RIGEL PHARMACEUTICALS INC Form DEF 14A May 19, 2003

QuickLinks -- Click here to rapidly navigate through this document

SCHEDULE 14A INFORMATION

Proxy Statement Pursuant to Section 14(a) of the Securities Exchange Act of 1934

Filed by the Registrant ý

Filed by a Party other than the Registrant o

Check the appropriate box:

- o Preliminary Proxy Statement
- ⁰ Confidential, for Use of the Commission Only (as permitted by Rule 14a-6(e)(2))
- ý Definitive Proxy Statement
- o Definitive Additional Materials
- o Soliciting Material under Rule 14a-12

RIGEL PHARMACEUTICALS, INC.

(Name of Registrant as Specified In Its Charter)

(Name of Person(s) Filing Proxy Statement, if Other Than the Registrant) Payment of Filing Fee (Check the appropriate box):

- ý No fee required.
- Fee computed on table below per Exchange Act Rules 14a-6(i)(1) and 0-11.
 - (1) Title of each class of securities to which transaction applies:
 - (2) Aggregate number of securities to which transaction applies:
 - (3) Per unit price or other underlying value of transaction computed pursuant to Exchange Act Rule 0-11 (Set forth the amount on which the filing fee is calculated and state how it was determined):
 - (4) Proposed maximum aggregate value of transaction:

(5) Total fee paid:

- o Fee paid previously with preliminary materials.
- o Check box if any part of the fee is offset as provided by Exchange Act Rule 0-11(a)(2) and identify the filing for which the offsetting fee was paid previously. Identify the previous filing by registration statement number, or the Form or Schedule and the date of its filing.
 - (1) Amount Previously Paid:
 - (2) Form, Schedule or Registration Statement No.:

(3) Filing Party:

(4) Date Filed:

RIGEL PHARMACEUTICALS, INC.

1180 Veterans Boulevard South San Francisco, California 94080

NOTICE OF ANNUAL MEETING OF STOCKHOLDERS TO BE HELD ON JUNE 20, 2003

DEAR STOCKHOLDER:

You are cordially invited to attend the Annual Meeting of Stockholders of **RIGEL PHARMACEUTICALS**, **INC**., a Delaware corporation ("Rigel"). The meeting will be held on Friday, June 20, 2003 at 10:00 a.m., local time, at Rigel's executive offices, located at 1180 Veterans Boulevard, South San Francisco, California 94080, for the following purposes:

1.

To approve the terms of a private placement to investors of 71,874,999 shares (before giving effect to the proposed reverse stock split described below) of our common stock at a purchase price of \$0.64 per share (before giving effect to the proposed reverse stock split) and warrants to purchase an additional 14,374,997 shares (before giving effect to the proposed reverse stock split) of our common stock at an exercise price of \$0.64 per share (before giving effect to the proposed reverse stock split).

2.

To approve amendments to our current amended and restated certificate of incorporation to effect a reverse stock split of our outstanding common stock of not less than 1-for-2 and not more than 1-for-20 and to authorize our board of directors to select and file one such amendment to effect a reverse stock split within these parameters.

3.

To approve an amendment to our 2000 Equity Incentive Plan to: (a) increase the aggregate number of shares of common stock authorized for issuance under such plan by 14,400,000 shares (before giving effect to the proposed reverse stock split and combination of our 2001 Non-Officer Equity Incentive Plan with our 2000 Equity Incentive Plan); (b) add an evergreen feature that provides for automatic annual increases in the total number of shares authorized for issuance under such plan; and (c) combine our 2001 Non-Officer Equity Incentive Plan with our 2000 Equity Incentive Plan and terminate our 2001 Non-Officer Equity Incentive Plan with our 2000 Equity Incentive Plan and terminate our 2001 Non-Officer Equity Incentive Plan with our 2000 Equity Incentive Plan and terminate our 2001 Non-Officer Equity Incentive Plan with our 2000 Equity Incentive Plan and terminate our 2001 Non-Officer Equity Incentive Plan with our 2000 Equity Incentive Plan and terminate our 2001 Non-Officer Equity Incentive Plan with our 2000 Equity Incentive Plan and terminate our 2001 Non-Officer Equity Incentive Plan with our 2000 Equity Incentive Plan and terminate our 2001 Non-Officer Equity Incentive Plan with our 2000 Equity Incentive Plan and terminate our 2001 Non-Officer Equity Incentive Plan.

4.

5.

To approve an amendment to our 2000 Non-Employee Directors' Stock Option Plan to: (a) increase the aggregate number of shares of common stock authorized for issuance under such plan by 600,000 shares (before giving effect to the proposed reverse stock split); and (b) increase the size of the automatic initial and annual option grants under such plan to 60,000 shares and 15,000 shares, respectively (before giving effect to the proposed reverse stock split).

To approve an amendment to our 2000 Employee Stock Purchase Plan to: (a) increase the aggregate number of shares of common stock authorized for issuance under such plan by

600,000 shares (before giving effect to the proposed reverse stock split); and (b) amend the evergreen feature of such plan to increase the annual increase limits.

6.

To approve the repricing, in the discretion of our board of directors, of outstanding options to purchase shares of our common stock issued pursuant to our 2000 Equity Incentive Plan, 2001 Non-Officer Equity Incentive Plan and 2000 Non-Employee Directors' Stock Option Plan.

7.

To elect two Class III directors to hold office until our 2006 Annual Meeting of Stockholders.

8.

To ratify the selection by the audit committee of our board of directors of Ernst & Young LLP as independent auditors of Rigel for our fiscal year ending December 31, 2003.

9.

To conduct any other business properly brought before the meeting.

These items of business are more fully described in the Proxy Statement accompanying this Notice.

The record date for the Annual Meeting is May 15, 2003. Only stockholders of record at the close of business on that date may vote at the meeting or any adjournment or postponement thereof.

By order of the board of directors

James H. Welch Secretary

South San Francisco, California May 19, 2003

YOU ARE CORDIALLY INVITED TO ATTEND THE MEETING IN PERSON. WHETHER OR NOT YOU EXPECT TO ATTEND THE MEETING, PLEASE COMPLETE, DATE, SIGN AND RETURN THE ENCLOSED PROXY, OR VOTE OVER THE TELEPHONE OR THE INTERNET AS INSTRUCTED IN THESE MATERIALS, AS PROMPTLY AS POSSIBLE IN ORDER TO ENSURE YOUR REPRESENTATION AT THE MEETING. A RETURN ENVELOPE (WHICH IS POSTAGE PREPAID IF MAILED IN THE UNITED STATES) IS ENCLOSED FOR YOUR CONVENIENCE. EVEN IF YOU HAVE VOTED BY PROXY, YOU MAY STILL VOTE IN PERSON IF YOU ATTEND THE MEETING. PLEASE NOTE, HOWEVER, THAT IF YOUR SHARES ARE HELD

OF RECORD BY A BROKER, BANK OR OTHER NOMINEE AND YOU WISH TO VOTE AT THE MEETING, YOU MUST OBTAIN A PROXY ISSUED IN YOUR NAME FROM THAT RECORD HOLDER.

RIGEL PHARMACEUTICALS, INC.

1180 Veterans Boulevard South San Francisco, California 94080

PROXY STATEMENT

FOR THE 2003 ANNUAL MEETING OF STOCKHOLDERS

June 20, 2003

QUESTIONS AND ANSWERS ABOUT THIS PROXY MATERIAL AND VOTING

Although we encourage you to read the enclosed proxy statement in its entirety, we include this Question and Answer section to provide some background information and brief answers to several questions you might have about the enclosed proposals.

WHY AM I RECEIVING THESE MATERIALS?

We sent you this proxy statement and the enclosed proxy card because the board of directors of **RIGEL PHARMACEUTICALS, INC**. (sometimes referred to as the "Company" or "Rigel") is soliciting your proxy to vote at the 2003 Annual Meeting of Stockholders. You are invited to attend the annual meeting, and we request that you vote on the proposals described in this proxy statement. However, you do not need to attend the meeting to vote your shares. Instead, you may simply complete, sign and return the enclosed proxy card, or follow the instructions below to submit your proxy over the telephone or on the Internet.

We intend to mail this proxy statement and accompanying proxy card on or about May 20, 2003 to all stockholders of record entitled to vote at the annual meeting.

WHO CAN VOTE AT THE ANNUAL MEETING?

Only stockholders of record at the close of business on May 15, 2003 will be entitled to vote at the annual meeting. On this record date, there were 46,384,689 shares of common stock outstanding and entitled to vote.

Stockholder of Record: Shares Registered in Your Name

If on May 15, 2003 your shares were registered directly in your name with Rigel's transfer agent, Wells Fargo Bank Minnesota, N.A., then you are a stockholder of record. As a stockholder of record, you may vote in person at the meeting or vote by proxy. Whether or not you plan to attend the meeting, we urge you to fill out and return the enclosed proxy card or vote by proxy on the Internet as instructed below to ensure your vote is counted.

Beneficial Owner: Shares Registered in the Name of a Broker or Bank

If on May 15, 2003 your shares were held in an account at a brokerage firm, bank, dealer or other similar organization, then you are the beneficial owner of shares held in "street name," and these proxy materials are being forwarded to you by that organization. The organization holding your account is considered the stockholder of record for purposes of voting at the annual meeting. As a beneficial owner, you have the right to direct your broker or other agent on how to vote the shares in your account. You are also invited to attend the annual meeting. However, since you are not the stockholder of record, you may not vote your shares in person at the meeting unless you request and obtain a valid proxy from your broker or other agent.

WHAT AM I VOTING ON?

There are eight matters scheduled for a vote:

Proposal 1 relates to the approval of a private placement to investors of 71,874,999 shares (before giving effect to the proposed reverse stock split) of our common stock at a purchase price of \$0.64 per share (before giving effect to the proposed reverse stock split) and warrants to purchase an additional 14,374,997 shares (before giving effect to the proposed reverse stock split) of our common stock at an exercise price of \$0.64 per share (before giving effect to the proposed reverse stock split) of our common stock at an exercise price of \$0.64 per share (before giving effect to the proposed reverse stock split) (the "Private Placement") that would generate gross proceeds to us of \$46 million at the closing. Stockholder approval of the Private Placement is necessary to consummate the Private Placement.

Proposal 2 relates to the approval of amendments to our current amended and restated certificate of incorporation to effect a reverse stock split of our outstanding common stock of not less than 1-for-2 and not more than 1-for-20 and to authorize our board of directors to select and file one such amendment to effect a reverse stock split within these parameters (the "Reverse Stock Split"). Completion of the Reverse Stock Split is a condition to consummation of the Private Placement, so stockholders who vote to approve Proposal 1 should also vote to approve Proposal 2.

Proposal 3 relates to the approval of an amendment to our 2000 Equity Incentive Plan to: (a) increase the aggregate number of shares of common stock authorized for issuance under such plan by 14,400,000 shares (before giving effect to the proposed Reverse Stock Split and combination of our 2001 Non-Officer Equity Incentive Plan with our 2000 Equity Incentive Plan); (b) add an evergreen feature that provides for automatic annual increases in the total number of shares authorized for issuance under such plan; and (c) combine our 2001 Non-Officer Equity Incentive Plan with our 2000 Equity Incentive Plan and terminate our 2001 Non-Officer Equity Incentive Plan.

Proposal 4 relates to the approval of an amendment to our 2000 Non-Employee Directors' Stock Option Plan to: (a) increase the aggregate number of shares of common stock authorized for issuance under such plan by 600,000 shares (before giving effect to the proposed Reverse Stock Split); and (b) increase the size of the automatic initial and annual option grants under such plan to 60,000 shares and 15,000 shares, respectively (before giving effect to the proposed Reverse Stock Split).

Proposal 5 relates to the approval of an amendment to our 2000 Employee Stock Purchase Plan to: (a) increase the aggregate number of shares of common stock authorized for issuance under such plan by 600,000 shares (before giving effect to the proposed Reverse Stock Split); and (b) amend the evergreen feature of such plan to increase the annual increase limits.

Proposal 6 relates to the approval of the repricing, in the discretion of our board of directors, of outstanding options to purchase shares of our common stock issued pursuant to our 2000 Equity

2

Incentive Plan, 2001 Non-Officer Equity Incentive Plan and 2000 Non-Employee Directors' Stock Option Plan.

Proposal 7 relates to the election of two Class III directors.

Proposal 8 relates to the ratification of the selection of the audit committee of our board of directors of Ernst & Young LLP as Rigel's independent auditors for the fiscal year ending December 31, 2003.

HOW IS THE PRIVATE PLACEMENT STRUCTURED?

On April 29, 2003, we entered into a common stock and warrant purchase agreement with investors that provides for the issuance and sale by us to the investors of 71,874,999 shares (before giving effect to the proposed Reverse Stock Split) of our common stock at a purchase price of \$0.64 per share (before giving effect to the proposed reverse stock split) and warrants to purchase an additional 14,374,997 shares (before giving effect to the proposed Reverse Stock Split) of our common stock at an exercise price of \$0.64 per share (before giving effect to the proposed reverse stock split) for aggregate proceeds to Rigel of approximately \$46 million at the closing. Under the terms of the purchase agreement, the closing of the Private Placement is subject to a number of conditions, including the following:

Stockholder approval of Proposals 1 and 2;

Completion of the Reverse Stock Split;

An increase in the size of our board of directors from seven to nine members, and the election to our board of directors of two directors designated by MPM Capital, L.P., one of the investors in the Private Placement;

The absence of any event, change or occurrence that has a material adverse impact on our financial position, business, properties, assets, liabilities, prospects or results of operations as defined in the purchase agreement (a "Material Adverse Effect"); and

Continued listing of our common stock on the Nasdaq National Market.

The number of shares of common stock issued in the Private Placement (and the number of shares of common stock that may be issued upon exercise of the warrants issued as part of the Private Placement) will be subject to proportional adjustment for any changes to our capital structure, including the proposed Reverse Stock Split, but the aggregate purchase price will remain \$46 million. We describe the terms of the Private Placement more fully below under "Proposal 1 Approval of the Private Placement."

Stockholders, including certain executive officers and directors of Rigel, who held in the aggregate approximately 11,681,533 shares of our common stock, or approximately 25% of our outstanding common stock, as of April 29, 2003, have entered into voting agreements with the lead investor in the Private Placement pursuant to which each has agreed, solely in its capacity as a stockholder, to vote its shares of our common stock in favor of Proposals 1, 2, 3, 4, 5 and 6. We describe the terms of the voting agreements more fully below under "Proposal 1 Approval of the Private Placement."

WHY IS RIGEL SEEKING STOCKHOLDER APPROVAL OF THE PRIVATE PLACEMENT?

We are subject to Nasdaq's rules because our common stock is currently listed on the Nasdaq National Market. These rules require stockholder approval for an issuance of stock that is deemed to result in a "change of control" of the issuer (based on certain criteria and presumptions established by Nasdaq) or, in certain circumstances, is at a price that is less than the greater of book or market value.

3

Nasdaq rules require stockholder approval of the Private Placement because the Private Placement will be considered a change of control of Rigel based on certain criteria and presumptions established by Nasdaq. Upon consummation of the Private Placement, MPM Capital, L.P. and its related entities ("MPM Capital") would beneficially own approximately 36.8%, Alta Partners and its related entities would beneficially own approximately 15.0%, Frazier Healthcare and its related entities would beneficially own approximately 15.0%, Frazier Healthcare and its related entities would beneficially own approximately 13.9% and HBM BioVentures (Cayman) Ltd. would beneficially own approximately 8.2%, of our total shares outstanding after consummation of the Private Placement (assuming exercise in full of the warrants issued to the investors as part of the Private Placement and based on 46,376,004 shares of common stock outstanding as of April 29, 2003). In addition, Rigel would use its commercially reasonable best efforts to elect designees of MPM Capital as two of our nine board members as of the closing of the Private Placement. Following completion of the Private Placement, the investors would hold the requisite percentage of our outstanding shares of stock so as to permit them, if they chose to act in concert, to take actions

requiring stockholder approval without obtaining the approval of our other stockholders.

In addition, Nasdaq rules require stockholder approval of the Private Placement because the shares of common stock and the warrants (and the shares of common stock issuable upon exercise of the warrants) would equal approximately 65.0% of Rigel's outstanding stock (based on 46,376,004 shares outstanding as of April 29, 2003) and would be sold at a per share price lower than the greater of the book or market value as of the date on which we signed the purchase agreement with respect to the Private Placement. Under applicable Nasdaq rules, we are required to obtain stockholder approval for an issuance of shares of stock in a private placement equal to or greater than 20% of our outstanding shares of stock if the shares are sold at a per share price lower than the greater of the book or market value.

Finally, Nasdaq rules require stockholder approval of the Private Placement because two of our directors have financial interests in two of the investors in the Private Placement, and the common stock proposed to be issued in the Private Placement would be sold at a per share price deemed to be below the book or market value of our common stock. Under applicable Nasdaq rules and guidance, we are required to obtain stockholder approval for issuances of shares to directors if the shares are sold at a per share price lower than the greater of the book or market value of our common stock.

WHY IS RIGEL DOING THE PRIVATE PLACEMENT?

In early 2002, our management and board of directors recognized our need to raise additional funding in order to continue our research and development and the initiation of our clinical programs. From April 2002 through April 2003, members of management met with individuals from over 70 companies or institutions in the investment field to discuss Rigel. By mid-2002, we began trying to obtain funding through a variety of options, including attempting to secure single-project financing and attempting to sell the remainder of the shares available under our shelf registration statement. However, despite our efforts, we did not receive any funding. In addition, in light of market conditions, we determined that it would not be feasible to raise additional capital through a public offering. Instead, we evaluated and focused our efforts on a private placement to selected investors, and we evaluated the likelihood of a sale of the company on favorable terms, or at all. After extensive discussion of the terms and conditions of the Private Placement, a review of the absence of alternative financing or other strategic prospects and the receipt of advice from Houlihan Lokey Howard & Zukin Financial Advisors, Inc., our financial advisors, our board of directors approved the proposed Private Placement. The primary purpose of the Private Placement is to provide support for our current and near-term clinical product candidates in allergy, hepatitis C and rheumatoid arthritis, as well as to provide funds for other general corporate purposes and working capital.

4

WHY IS RIGEL SEEKING STOCKHOLDER APPROVAL FOR THE REVERSE STOCK SPLIT?

Under the terms of the purchase agreement, the investors will not be obligated to close the Private Placement unless we receive stockholder approval for and complete the Reverse Stock Split set forth in "Proposal 2 Approval of the Reverse Stock Split." If we do not effect the Reverse Stock Split, we will not have enough authorized capital stock to be able to issue the shares of common stock and warrants to the investors in the Private Placement. In addition, the investors in the Private Placement are requiring the Reverse Stock Split because it is expected to have the effect, at least in the short-term, of increasing the minimum bid price of our common stock on the Nasdaq National Market, which was at \$0.87 per share as of May 1, 2003. If we are unable to maintain a \$1.00 minimum bid price for our common stock, our common stock may be delisted from the Nasdaq National Market and/or transferred to the Nasdaq SmallCap Market. If our common stock is delisted from the Nasdaq National Market or transferred to the Nasdaq SmallCap Market, trading in our common stock could decrease substantially, or cease altogether, the market price of our common stock on the Nasdaq National Market is a closing condition for the Private Placement. In addition, the continued listing of our common stock on the Nasdaq National Market is a closing condition for the Private Placement. Stockholders who vote to approve the Private Placement should also vote to approve the Reverse Stock Split described in Proposal 2 or the Private Placement cannot take effect.

WHAT IF PROPOSALS 1 AND 2 ARE NOT APPROVED?

If either of Proposals 1 or 2 does not receive stockholder approval, the investors will not be obligated to purchase the shares of common stock and warrants in the Private Placement, and we will not be obligated to sell them the shares of common stock or warrants. If Proposal 1 does not receive stockholder approval, we could request special relief from the Nasdaq National Market to allow the investors to proceed with the purchase of the securities. The Nasdaq National Market grants special relief based on an issuer's financial circumstances only in limited instances. There is no guarantee, however, that the Nasdaq National Market would grant such permission, or that the investors would purchase any of our securities if we do not receive stockholder approval for Proposals 1 and 2. If Proposal 2 does not receive stockholder approval, we will not have enough authorized capital stock to be able to issue the shares of common stock and warrants to the investors in the Private Placement, and we will not be able to close the Private Placement. In addition, if either of Proposals 1 or 2 does not receive stockholder approval and the purchase agreement with respect to the Private Placement is terminated, Rigel will be required to reimburse the investors for their

reasonable and documented fees and expenses directly incurred in connection with the purchase agreement and the Private Placement.

You should consider the fact that our current capital resources are very limited. We believe that our existing capital resources, together with anticipated payments under current collaborations, will be sufficient to support our current operating plan and spending only through the end of September 2003. We will require additional financing to fund our operations as currently planned beyond that date. If, as of June 30, 2003, a sufficient financing or corporate partnering transaction is not reasonably assured, we will be required to significantly scale back our operations by reducing our headcount by approximately 50% and significantly reducing all discretionary spending. We anticipate that upon the execution of such actions, our existing capital resources will be sufficient to support the substantially reduced funding of our current programs as well as our operations only through the end of 2003. Thus, if we do not consummate the financing contemplated by the Private Placement, we would be forced to immediately consider other financing or strategic options that our board of directors expects would be substantially less attractive than the Private Placement. An alternative financing is unlikely to be available on acceptable terms, or at all, and we cannot predict whether a corporate partnering transaction would be available on acceptable terms, or at all. In addition to other possibilities, we may be forced to consider selling some or all of our technology. However, there can be no assurance that

5

we would be able to sell any of our technology, or that if we were able to sell some or all of our technology, that we would be able to do so on favorable terms.

WHAT IF PROPOSALS 3, 4, 5, 6, 7 AND 8 ARE NOT APPROVED?

If Proposals 3, 4, 5, 6, 7 and 8 are not approved, there should not be an effect on any party's obligation to close the Private Placement. However, our equity incentive plans would be limited in their ability to provide valuable incentives to current, as well as future, employees, officers, directors and consultants.

HOW DO I VOTE?

You may either vote "For" all the nominees to the board of directors or you may abstain from voting for any nominee you specify. For each of the other matters to be voted on, you may vote "For" or "Against" or abstain from voting. The procedures for voting are straightforward:

Stockholder of Record: Shares Registered in Your Name

If you are a stockholder of record, you may vote in person at the annual meeting, vote by proxy using the enclosed proxy card or vote by proxy on the Internet. Whether or not you plan to attend the meeting, we urge you to vote by proxy to ensure your vote is counted. You may still attend the meeting and vote in person if you have already voted by proxy.

To vote in person, come to the annual meeting and we will give you a ballot when you arrive.

To vote using the proxy card, simply complete, sign and date the enclosed proxy card and return it promptly in the envelope provided. If you return your signed proxy card to us before the annual meeting, we will vote your shares as you direct.

To vote on the Internet, go to http://www.eproxy.com/rigl to complete an electronic proxy card. You will be asked to provide the company number and control number from the enclosed proxy card. Your vote must be received by 12:00 noon, Central Daylight Time, on June 19, 2003 to be counted.

Beneficial Owner: Shares Registered in the Name of a Broker or Bank

If you are a beneficial owner of shares registered in the name of your broker, bank or other agent, you should have received a proxy card and voting instructions with these proxy materials from that organization rather than from Rigel. Simply complete and mail the proxy card to ensure that your vote is counted. Alternatively, you may vote by telephone or over the Internet as instructed by your broker or bank. If your broker or bank is participating in the ADP Investor Communications Services program, you may grant a proxy to vote those shares

telephonically by calling the telephone number shown on the instruction form received from your broker or bank, or via the Internet at ADP Investor Communication Services' web site at http://www.proxyvote.com/. To vote in person at the annual meeting, you must obtain a valid proxy from your broker, bank or other agent. Follow the instructions from your broker or bank included with these proxy materials, or contact your broker or bank to request a proxy form.

WE PROVIDE INTERNET PROXY VOTING TO ALLOW YOU TO VOTE YOUR SHARES ON-LINE, WITH PROCEDURES DESIGNED TO ENSURE THE AUTHENTICITY AND CORRECTNESS OF YOUR PROXY VOTE INSTRUCTIONS. HOWEVER, PLEASE BE AWARE THAT YOU MUST BEAR ANY COSTS ASSOCIATED WITH YOUR INTERNET ACCESS, SUCH AS USAGE CHARGES FROM INTERNET ACCESS PROVIDERS AND TELEPHONE COMPANIES.

6

HOW MANY VOTES DO I HAVE?

On each matter to be voted upon, you have one vote for each share of common stock you own as of May 15, 2003.

WHAT IF I RETURN A PROXY CARD BUT DO NOT MAKE SPECIFIC CHOICES?

If you return a signed and dated proxy card without marking any voting selections, your shares will be voted "For" the election of both nominees for director in Proposal 7 and "For" Proposals 1, 2, 3, 4, 5, 6 and 8. If any other matter is properly presented at the meeting, your proxy (one of the individuals named on your proxy card) will vote your shares using his or her best judgment.

WHO IS PAYING FOR THIS PROXY SOLICITATION?

We will pay for the entire cost of soliciting proxies. In addition to these mailed proxy materials, our directors and employees and MacKenzie Partners, Inc. may also solicit proxies in person, by telephone or by other means of communication. Directors and employees will not be paid any additional compensation for soliciting proxies, but MacKenzie Partners will be paid its customary fee of approximately \$5,000 plus out-of-pocket expenses if it solicits proxies. We will also reimburse brokerage firms, banks and other agents for the cost of forwarding proxy materials to beneficial owners.

WHAT DOES IT MEAN IF I RECEIVE MORE THAN ONE PROXY CARD?

If you receive more than one proxy card, your shares are registered in more than one name or are registered in different accounts. Please complete, sign and return **each** proxy card to ensure that all of your shares are voted.

CAN I CHANGE MY VOTE AFTER SUBMITTING MY PROXY?

Yes. You can revoke your proxy at any time before the final vote at the meeting. You may revoke your proxy in any one of three ways:

You may submit another properly completed proxy card with a later date;

You may send a written notice that you are revoking your proxy to Rigel's Secretary at 1180 Veterans Boulevard, South San Francisco, California 94080; or

You may attend the annual meeting and vote in person. However, simply attending the meeting will not, by itself, revoke your proxy.

WHEN ARE STOCKHOLDER PROPOSALS DUE FOR NEXT YEAR'S ANNUAL MEETING?

To be considered for inclusion in next year's proxy materials, your proposal must be submitted in writing by January 20, 2004 to the Rigel's Secretary at 1180 Veterans Boulevard, South San Francisco, California 94080. If you wish to submit a proposal that is not to be included in next year's proxy materials, you must do so between February 20, 2004 and March 22, 2004. Stockholders are also advised to review our bylaws,

which contain additional requirements with respect to advance notice of stockholder proposals and director nominations.

HOW ARE VOTES COUNTED?

Votes will be counted by the inspector of election appointed for the meeting, who will separately count "For" and (with respect to proposals other than the election of directors) "Against" votes, abstentions and broker non-votes. A "broker non-vote" occurs when a nominee holding shares for a beneficial owner does not vote on a particular proposal because the nominee does not have discretionary voting power with respect to that proposal and has not received instructions with respect

7

to that proposal from the beneficial owner (despite voting on at least one other proposal for which it does have discretionary authority or for which it has received instructions). Abstentions will be counted towards the vote total for each proposal and will have the same effect as "Against" votes. Broker non-votes have no effect and will not be counted towards the vote total for any proposal, except for Proposal 2. For Proposal 2, broker non-votes will have the same effect as "Against" votes.

HOW MANY VOTES ARE NEEDED TO APPROVE EACH PROPOSAL?

To be approved, "Proposal 1 Approval of the Private Placement" must receive a "For" vote from the majority of shares present and entitled to vote either in person or by proxy. If you do not vote or "Abstain" from voting, it will have the same effect as an "Against" vote. Broker non-votes will have no effect.

To be approved, "Proposal 2 Approval of the Reverse Stock Split" must receive a "For" vote from 663% of the outstanding shares either in person or by proxy. If you do not vote or "Abstain" from voting, it will have the same effect as an "Against" vote. Broker non-votes will have the same effect as an "Against" vote. **Completion of the Reverse Stock Split is a condition to consummation of the Private Placement, so stockholders who vote to approve Proposal 1 should also vote to approve Proposal 2 or the Private Placement cannot take effect.**

To be approved, "Proposal 3 Amendment of the 2000 Equity Incentive Plan" must receive a "For" vote from the majority of shares present and entitled to vote either in person or by proxy. If you do not vote or "Abstain" from voting, it will have the same effect as an "Against" vote. Broker non-votes will have no effect.

To be approved, "Proposal 4 Amendment of the 2000 Non-Employee Directors' Stock Option Plan" must receive a "For" vote from the majority of shares present and entitled to vote either in person or by proxy. If you do not vote or "Abstain" from voting, it will have the same effect as an "Against" vote. Broker non-votes will have no effect.

To be approved, "Proposal 5 Amendment of the 2000 Employee Stock Purchase Plan" must receive a "For" vote from the majority of shares present and entitled to vote either in person or by proxy. If you do not vote or "Abstain" from voting, it will have the same effect as an "Against" vote. Broker non-votes will have no effect.

To be approved, "Proposal 6 Approval of the Option Repricing" must receive a "For" vote from the majority of shares present and entitled to vote either in person or by proxy. If you do not vote or "Abstain" from voting, it will have the same effect as an "Against" vote. Broker non-votes will have no effect.

For the election of Class III directors in "Proposal 7 Election of Directors," the two nominees receiving the most "For" votes (among votes properly cast in person or by proxy) will be elected. If you do not vote or "Abstain" from voting, it will have the same effect as an "Against" vote. Broker non-votes will have no effect.

To be approved, "Proposal 8 Ratification of Independent Auditors" must receive a "For" vote from the majority of shares present and entitled to vote either in person or by proxy. If you do not vote or "Abstain" from voting, it will have the same

effect as an "Against" vote. Broker non-votes will have no effect.

WHAT IS THE QUORUM REQUIREMENT?

A quorum of stockholders is necessary to hold a valid meeting. A quorum will be present if at least a majority of the outstanding shares is represented by votes at the meeting or by proxy. On the

8

record date, there were 46,384,689 shares outstanding and entitled to vote. Thus, approximately 23,192,346 shares must be represented by votes at the meeting or by proxy to have a quorum.

Your shares will be counted towards the quorum only if you submit a valid proxy vote or vote at the meeting. Abstentions and broker non-votes will be counted towards the quorum requirement. If there is no quorum, a majority of the votes present at the meeting may adjourn the meeting to another date.

HOW CAN I FIND OUT THE RESULTS OF THE VOTING AT THE ANNUAL MEETING?

Preliminary voting results will be announced at the annual meeting. Final voting results will be published in our quarterly report on Form 10-Q for the second quarter of 2003.

WHO CAN I CONTACT FOR MORE INFORMATION?

Stockholders may direct any questions regarding solicitation and voting to MacKenzie Partners, Inc. by calling (800) 322-2885.

PROPOSAL 1

APPROVAL OF THE PRIVATE PLACEMENT

BACKGROUND

In early 2002, our management and board of directors recognized our need to raise additional funding in order to continue our research and development and the initiation of our clinical programs. From April 2002 through April 2003, members of management met with individuals from over 70 companies or institutions in the investment field to discuss Rigel. By mid-2002, we began trying to obtain funding through a variety of options, including attempting to secure single-project financing and attempting to sell the remainder of the shares available under our shelf registration statement. However, despite our efforts, we did not receive any funding. Accordingly, in January 2003, our board of directors formed a financing committee to help identify and evaluate financing and strategic alternatives. The financing committee was comprised of three independent directors, initially Dr. Deleage, Mr. Frazier and Mr. Volpe. Also in January 2003, we announced a restructuring of our business and reduced our workforce to approximately 135 employees.

The financing committee of our board of directors met together with representatives of our management on March 3, 2003 and March 14, 2003 to evaluate our financing and strategic alternatives. In light of market conditions, we determined that it would not be feasible to raise additional capital through a public offering. Instead, we evaluated and focused our efforts on a private placement to selected investors, and we evaluated the likelihood of a sale of the company on favorable terms, or at all.

In early January 2003, officers of Rigel met with members of MPM Capital to discuss potential financing options. In early February 2003, we entered into negotiations with the investors in the Private Placement. The negotiations were led by MPM Capital, who asked whether Alta Partners and its related entities and Frazier Healthcare and its related entities would also invest in the proposed financing. Alta Partners and its related entities and Frazier Healthcare and its related entities expressed an interest in investing in a financing led by MPM Capital. At this time,

in light of Mr. Frazier's affiliation with Frazier Healthcare, Mr. Frazier resigned from the financing committee of our board of directors and was replaced by Dr. Sherwin. Shortly thereafter, in light of Dr. Deleage's affiliation with Alta Partners, Dr. Deleage resigned from the financing committee of our board of directors and was replaced by Dr. Moos. The financing committee, now including Drs. Moos and Sherwin, again met together with representatives of our management and legal counsel on March 19, 2003 to evaluate our financing and strategic alternatives. In addition, reports on discussions with potential investors as well as potential acquirors were discussed. On March 25, 2003, we received a draft term sheet from MPM Capital regarding the proposed Private Placement. Negotiations regarding the term sheet commenced, and the financing committee met again, together with representatives of our management and legal counsel, on April 2, 2003 to evaluate the term sheet and the rights offering described below and to consider whether there were alternative transactions available to the company. Negotiations regarding the term sheet continued, and on April 4, 2003, we reached preliminary agreement with MPM Capital on a term sheet. The financing committee met again together with representatives of our management and legal counsel on April 14, 2003 to discuss the terms of the Private Placement and the rights offering described below and to consider whether there were alternative transactions available to the company. On April 16, 2003, we engaged Houlihan Lokey Howard & Zukin Financial Advisors, Inc., or "Houlihan Lokey," to deliver an opinion that the consideration to be received by us in connection with the Private Placement and the proposed rights offering is fair to our stockholders who are not investors in the Private Placement from a financial point of view.

On April 24, 2003, our board of directors (excluding Dr. Deleage and Mr. Frazier, who abstained due to their affiliation with investors in the Private Placement) met together with representatives of our management and legal counsel to consider the Private Placement and the rights offering described

10

below. After extensive discussion of the terms and conditions, a review of the absence of alternative financing or other strategic prospects and the receipt of advice from Houlihan Lokey, our board of directors (excluding Dr. Deleage and Mr. Frazier) unanimously approved the continued negotiation and finalization of the proposed Private Placement and, subject to completion of the Private Placement, the rights offering. On April 24, 2003, our board of directors, including Dr. Deleage and Mr. Frazier, also approved the matters described in Proposal 2 and, subject to completion of the Private Placement, Proposals 3, 4, 5 and 6. Our board of directors had approved the matters described in Proposals 7 and 8 in January 2003.

On April 29, 2003, our board of directors (excluding Dr. Deleage and Mr. Frazier) met again to consider the Private Placement. After receiving the opinion of Houlihan Lokey that the consideration to be received by us in connection with the Private Placement and the proposed rights offering is fair to our stockholders who are not investors in the Private Placement from a financial point of view, the board of directors (excluding Dr. Deleage and Mr. Frazier) approved the Private Placement and the rights offering described below, and we entered into a definitive common stock and warrant purchase agreement with the investors in the Private Placement. Subject to the terms and conditions of the purchase agreement, we agreed to sell to the investors, for aggregate consideration of \$46 million, 71,874,999 shares (before giving effect to the proposed Reverse Stock Split) of our common stock at a purchase price of \$0.64 per share (before giving effect to the proposed Reverse Stock Split) of our common stock at an exercise price of \$0.64 per share (before giving effect to the proposed Reverse Stock Split) of our common stock at an exercise price of \$0.64 per share (before giving effect to the proposed Reverse Stock Split) of our common stock at an exercise price of \$0.64 per share (before giving effect to the proposed Reverse Stock Split).

OVERVIEW

The primary purpose of the Private Placement is to provide support for our current and near-term clinical product candidates in allergy, hepatitis C and rheumatoid arthritis, as well as to provide funds for other general corporate purposes and working capital. You should review Rigel's financial statements for the year ended December 31, 2002 and the quarter ended March 31, 2003 attached hereto as **Appendix G**.

MPM Capital and its related entities are the lead investors in the Private Placement. The other participating investors are Alta Partners and its related entities ("Alta"); Frazier Healthcare IV, L.P. and its related entities ("Frazier"); and HBM BioVentures (Cayman) Ltd. and its related entities ("HBM" and, together with MPM Capital, Alta and Frazier, the "Investors"). Alta, Frazier and HBM are current stockholders of Rigel. Jean Deleage, a member of our board of directors, is a managing general partner of Alta Partners, and Alan Frazier, also a member of our board of directors, is a managing general partner of Alta Partners, and Alan Frazier, also a member of our board of directors related to and voting on the Private Placement and the rights offering described below as both directors are affiliated with Investors who were negotiating the Private Placement. As a condition to the Investors' execution of a purchase agreement providing for the Private Placement, certain of our stockholders, who are affiliates of Rigel, entered into voting agreements with the Investors that require these stockholders to vote all of their shares of common stock in favor of approval of Proposals 1, 2, 3, 4, 5 and 6.

REASONS FOR STOCKHOLDER APPROVAL

Our common stock is listed on the Nasdaq National Market, and, as a result, we are subject to Nasdaq's rules. We are required to seek stockholder approval for the Private Placement in order to ensure compliance with Rule 4350 of the Nasdaq rules ("Nasdaq Rule 4350"). Nasdaq Rule 4350 requires stockholder approval in connection with the issuance of securities that could result in a "change of control" of an issuer. In addition, Nasdaq Rule 4350 requires stockholder approval prior to the issuance of securities under certain circumstances, including in connection with a transaction

involving the sale or issuance of common stock at a price below the book value or market value, where the amount of stock being issued is equal to 20% or more of the issuer's common stock outstanding before such issuance. Finally, Nasdaq Rule 4350 also requires stockholder approval in connection with certain issuances of securities to officers or directors of the issuer at a price below the book value or market value. On April 29, 2003, the closing sale price for Rigel common stock on the Nasdaq National Market was \$1.01.

The stockholders are being asked to approve the issuance and sale by Rigel of 71,874,999 shares (before giving effect to the proposed Reverse Stock Split) and warrants exercisable for 14,374,997 shares (before giving effect to the proposed Reverse Stock Split) of our common stock at an exercise price of \$0.64 per share (before giving effect to the proposed Reverse Stock Split). First, the Private Placement would be considered a "change of control" of Rigel as defined under Nasdaq's rules and guidance. Second, the Private Placement will result in the issuance of more than 20% of our outstanding common stock at a price below the market value. Assuming exercise in full of the warrants issued to the Investors in the Private Placement, upon consummation of the Private Placement, MPM Capital would beneficially own approximately 36.8%, Alta would beneficially own approximately 15.0%, Frazier would beneficially own approximately 13.9% and HBM would beneficially own approximately 8.2% of our outstanding shares of common stock (based on 46,376,004 shares of common stock outstanding as of April 29, 2003). In addition, Rigel would use its commercially reasonable best efforts to elect designees of MPM Capital as two of nine members of our board of directors as of the closing of the Private Placement. Following completion of the Private Placement, the investors would hold the requisite percentage of our outstanding shares so as to permit them, if they chose to act in concert, to take actions requiring stockholder approval without obtaining the approval of our other stockholders. Third, two of our directors, Dr. Deleage and Mr. Frazier, are affiliated with two of the Investors, Alta and Frazier, respectively, which are participating in the Private Placement. Accordingly, we are seeking stockholder approval to ensure compliance with Nasdaq Rule 4350.

SUMMARY OF THE TERMS OF THE PRIVATE PLACEMENT

The following is a summary of the terms of the Private Placement and the provisions of the transaction documents. The private placement of our common stock and warrants to purchase our common stock is intended to be exempt from the registration requirements of the Securities Act of 1933, as amended (the "Securities Act"), and we expect to rely upon Section 4 of the Securities Act and Rule 506 under Regulation D promulgated under the Securities Act for an exemption from registration.

THIS SUMMARY OF THE TERMS OF THE PRIVATE PLACEMENT IS INTENDED TO PROVIDE YOU WITH BASIC INFORMATION CONCERNING THE TRANSACTION; HOWEVER, IT IS NOT A SUBSTITUTE FOR REVIEWING THE TRANSACTION DOCUMENTS. YOU SHOULD READ THIS SUMMARY IN CONJUNCTION WITH THE TRANSACTION DOCUMENTS ATTACHED HERETO.

Purchase Agreement

The following summary of the provisions of the common stock and warrant purchase agreement, dated as of April 29, 2003, between Rigel and the Investors, is qualified in its entirety by the purchase agreement attached hereto as **Appendix A** and incorporated by reference herein. Pursuant to the purchase agreement, we have agreed to issue and sell 71,874,999 shares (before giving effect to the proposed Reverse Stock Split) of common stock at a purchase price of \$0.64 per share (before giving effect to the proposed Reverse Stock Split) of common stock at an exercise purchase price of \$0.64 per share (before giving effect to the proposed Reverse Stock Split) of common stock at an exercise purchase price of \$0.64 per share (before giving effect to the proposed Reverse Stock Split). The aggregate purchase

price to be paid by the Investors to Rigel for the securities at the closing of the Private Placement is fixed at \$46 million. The number of shares of common stock to be purchased by each Investor will be calculated by dividing the aggregate purchase price to be paid by each Investor by the per share purchase price. In addition, each Investor will receive five-year warrants that are immediately exercisable for up to 20% of the number of shares of common stock and the number of shares

issuable upon exercise of the warrants to be issued to the Investors will be proportionately adjusted to reflect changes in our capital structure, including the proposed Reverse Stock Split set forth under "Proposal 2 Approval of the Reverse Stock Split."

Representations, Warranties and Covenants. The purchase agreement contains representations and warranties and covenants that are customary in transactions of this kind.

No-Solicitation. Pursuant to the purchase agreement, neither Rigel nor any of its officers, directors or agents may take, cause or permit any person to take, directly or indirectly, any of the following actions with any third party:

solicit, knowingly encourage, initiate or participate in any negotiations, inquiries or discussions with respect to any offer or proposal to acquire our business, assets or capital shares, whether by merger, purchase of capital stock, purchase of assets or otherwise (an "alternative proposal");

disclose, in connection with an alternative proposal, any nonpublic information concerning our business or properties or afford to any third party access to our properties, books or records;

enter into or execute any agreement providing for an alternative proposal; or

make or authorize any public statement, recommendation or solicitation in support of any alternative proposal, other than with respect to the Private Placement.

However, if and to the extent that:

the annual meeting of our stockholders has not yet occurred;

our board of directors believes in good faith, after consultation with our legal counsel (and, in certain circumstances, our financial advisor), that such alternative proposal is, or could reasonably be expected to lead to, a unsolicited written bona fide offer or proposal from any party other than the Investors and any of their affiliates to acquire all or thirty percent (30%) or more of our business, assets or capital shares, whether by merger, purchase of capital stock, purchase of assets or otherwise, on terms (including conditions to consummation of the contemplated transaction) which our board of directors in its reasonable good faith judgment (after consultation with and based on the written advice of our financial advisor) believes to be more favorable to our stockholders from a financial point of view than the Private Placement and the transactions contemplated thereby (a "superior proposal"); and

our board of directors believes in good faith, considering the advice of our counsel, that the failure to participate in such negotiations or discussions, disclose such nonpublic information or provide such access to our properties, books or records would be inconsistent with the fiduciary duties of our board of directors under applicable law,

then we may participate in discussions or negotiations regarding such alternative proposal and provide non-public information or afford access to our properties, books or records for no more than five business days from the date of receipt of such alternative proposal.

In addition, if and to the extent that:

the annual meeting of stockholders has not yet occurred;

13

our board of directors believes in good faith, after consultation with our legal counsel (and, in certain circumstances, our financial advisor), that such alternative proposal is a superior proposal; and

our board of directors believes in good faith, considering the advice of our counsel, that the failure to participate in such negotiations or discussions, disclose such nonpublic information, provide such access to our properties, books or records, enter into any agreement providing for such superior proposal or make or authorize any public statement, recommendation or solicitation in support of such superior proposal would be inconsistent with the fiduciary duties of our board of directors under applicable law,

then we may participate in discussions or negotiations regarding such superior proposal, provide non-public information, afford access to our properties, books or records, enter into any agreement relating to such superior proposal (subject to full compliance with a right of first refusal granted to the Investors as described under "*Third-Party Offer*" below) or make or authorize any public statement, recommendation or solicitation in support of such superior proposal (subject to full compliance with the right of first refusal).

Third-Party Offer. If our board of directors determines in good faith to accept a superior proposal, we shall first disclose the terms and conditions of the superior proposal to the Investors and offer the Investors the opportunity to enter into a transaction with us on terms no less favorable to us and our stockholders from a financial point of view (including conditions to the consummation of the contemplated transactions) than those contained in the superior offer. In addition, if we accept a superior proposal, we may have to pay a termination fee to the Investors as further described under "Termination" below.

Closing Conditions. The Investors' obligations to consummate the closing of the Private Placement are subject to our fulfillment, on or prior to the closing, of several closing conditions, including, but not limited to, the following (which may be waived in whole or in part by the Investors):

Our representations and warranties must be true and correct as of the date of the agreement and as of the closing date, except in each case, or in the aggregate, as does not constitute a Material Adverse Effect on Rigel.

No temporary restraining orders or injunctions preventing the consummation of the transactions shall be in effect.

We shall have obtained stockholder approval of "Proposal 1 Approval of the Private Placement" and "Proposal 2 Approval of the Reverse Stock Split."

We shall have effected the Reverse Stock Split.

We shall have increased the size of our board of directors to nine members, MPM Capital shall have designated one director in Class II and one director in Class III of our board of directors, one such MPM Capital designee shall have been appointed to the compensation committee of our board of directors and one such MPM Capital designee shall have been appointed to the nominating committee of our board of directors.

Rigel and the Investors shall have entered into the second investor rights agreement (described below).

There shall have been no Material Adverse Effect on Rigel since the date of signing the purchase agreement.

We shall have initiated a search for the hiring of a senior executive officer in the area of drug development.

14

Our common stock shall continue to be listed on the Nasdaq National Market.

Termination. Subject to certain limitations, the purchase agreement may be terminated:

Mutually, by the written consent of Rigel and the Investors.

By either Rigel or the Investors if the Private Placement has not closed by August 31, 2003.

By either Rigel or the Investors if any governmental entity shall have issued an injunction prohibiting the consummation of the Private Placement.

By either Rigel or the Investors if our stockholders do not approve "Proposal 1 Approval of the Private Placement" and "Proposal 2 Approval of the Reverse Stock Split."

By either Rigel or the Investors if (i) we shall have accepted a superior proposal, (ii) our board of directors shall have recommended a superior proposal to our stockholders or (iii) our board of directors shall have withdrawn or modified or made any public statement inconsistent with its recommendation of approval by our stockholders of the "Proposal 1 Approval of the Private Placement" and "Proposal 2 Approval of the Reverse Stock Split."

By the Investors if (i) we shall have materially breached any covenant and such breach is not cured within ten days or (ii) any of our representations and warranties contained in the purchase agreement shall have become inaccurate such that the condition set forth in Section 5.1 of the purchase agreement would not be satisfied and such breach is not cured within 30 days.

By the Investors if there shall have occurred an event that constitutes a Material Adverse Effect on Rigel and such Material Adverse Effect is not cured within 30 days.

If we or the Investors terminate the purchase agreement, all rights and obligations of the parties terminate without any liability; provided, however, that such termination will not relieve us or any Investor of any liability for any willful breach of the agreement. If the purchase agreement is terminated (i) because our stockholders failed to approve the Private Placement and Reverse Stock Split or (ii) because of our material breach of a covenant in the purchase agreement, then we are required to promptly reimburse the Investors for their reasonable and documented legal, financial, due diligence and advisory out-of-pocket fees and expenses incurred directly in connection with the purchase agreement and the Private Placement. If the purchase agreement is terminated because we have accepted a superior proposal, our board of directors has recommended a superior proposal to our stockholders or our board of directors has withdrawn, modified or made a public statement inconsistent with its recommendation of "Proposal 1 Approval of the Private Placement" and "Proposal 2 Approval of the Reverse Stock Split," then we are required to promptly reimburse the Investors for their reasonable and documented legal, financial, due diligence and advisory out-of-pocket fees and expenses agreement and the Private Placement and advisory out-of-pocket fees and expenses agreement. If the purchase agreement is terminated because we have accepted a superior proposal, our board of directors has withdrawn, modified or made a public statement inconsistent with its recommendation of "Proposal 1 Approval of the Private Placement" and "Proposal 2 Approval of the Reverse Stock Split," then we are required to promptly reimburse the Investors for their reasonable and documented legal, financial, due diligence and advisory out-of-pocket fees and expenses incurred directly in connection with the purchase agreement and the Private Placement and, upon the consummation of a transaction resulting from the superior proposal, we are required to pay to

Warrants

The summary of the terms of the warrants to purchase common stock is qualified in its entirety by the form of warrant attached hereto as **Appendix B** and incorporated by reference herein. The warrants will have a term of five years, will be immediately exercisable and will include cashless net exercise provisions. Each Investor will be issued a warrant that is exercisable for up to 20% of the shares of common stock purchased by such Investor in the Private Placement, at an exercise price of \$0.64 per share (before giving effect to the proposed Reverse Stock Split). The Investors will receive warrants exercisable for an aggregate of 14,374,997 shares of common stock (before giving effect to the proposed Reverse Stock Split). The number of shares of common stock underlying the warrants to be issued to

the Investors will be proportionately adjusted to reflect changes in our capital structure, including the proposed Reverse Stock Split set forth under "Proposal 2 Approval of the Reverse Stock Split."

Voting Agreements

This summary of the voting agreements is qualified in its entirety by the form of the voting agreement attached hereto as **Appendix C** and incorporated by reference herein. MPM Capital has entered into voting agreements with certain of our stockholders, including members of our management, only in their capacity as stockholders, owning an aggregate of approximately 11,681,533 shares of common stock, or approximately 25% of the common stock outstanding as of April 29, 2003, the date of signing of the purchase agreement. The voting agreements provide that these stockholders will vote all of their shares in favor of Proposals 1, 2, 3, 4, 5 and 6. These stockholders also have agreed to vote their shares against any action or agreement that would result in a breach by the Investors or Rigel under the purchase agreement or which could be expected to delay, prevent or adversely affect the consummation of the Private Placement.

Second Investor Rights Agreement

Pursuant to the purchase agreement, Rigel and the Investors agreed to execute, on or prior to the closing of the Private Placement, a second investor rights agreement. The following summary of the second investor rights agreement is qualified in its entirety by the form of the second investor rights agreement attached hereto as **Appendix D** and incorporated by reference herein.

Corporate Governance. Pursuant to the purchase agreement, Rigel and the Investors agreed that, upon the closing of the Private Placement, Rigel would use its commercially reasonable best efforts to elect designees of MPM Capital as two directors to serve on our board of directors. Prior to the closing of the Private Placement, we will increase our board of directors from the current seven members to nine members. The two new directorships will be created in Class II and Class III. Pursuant to the second investor rights agreement, MPM Capital will designate Dr. Dennis Henner as the Class II director (to serve until the 2005 annual meeting of stockholders) and Mr. Nick Simon as the Class III director (to serve until the 2006 annual meeting of stockholders). For so long as MPM Capital holds at least 10% of our outstanding common stock, we will use our commercially reasonable best efforts to cause MPM Capital's director, we will use our commercially reasonable best efforts to appoint (i) one of MPM Capital's designees to the compensation committee of our board of directors and (ii) one of MPM Capital's designees to the compensation committee of our board of directors and (ii) one of MPM Capital's designees to the compensation committee of our board of directors and (ii) one of MPM Capital's designees to the nominating committee of our board of directors. In addition, for so long as MPM Capital holds at least 10% of our outstanding common stock, MPM Capital will be entitled to appoint a representative to attend all of the regularly scheduled meetings of our board of directors in a non-voting observer capacity. Frazier is also entitled to certain management consultation, inspection and board observer rights.

Registration Rights. Pursuant to the second investor rights agreement, we will file with the SEC within ten business days after the closing of the Private Placement, at our expense, a registration statement on Form S-3 for an offering to be made on a delayed on continuous basis pursuant to Rule 415 under the Securities Act registering the resale from time to time by the Investors of the shares of common stock issued pursuant to the Private Placement and the shares of common stock issuable upon exercise of the warrants. We will use our commercially reasonable best efforts to have the registration statement declared effective by the SEC within 90 days after the registration statement is initially filed with the SEC and to keep the registration statement effective for up to two years.

Pursuant to the second investor rights agreement, the Investors will be entitled to certain additional "piggy-back" and "demand" registration rights. In addition, we will not, without the prior written consent of the holders of at least a majority of the aggregate of the then-outstanding securities

16

under the second investor rights agreement and our amended and restated first investor rights agreement, enter into any agreement with any holder or prospective holder of Rigel securities that would grant such holder registration rights on a parity with, or senior to, those registration rights granted to the Investors. The second investor rights agreement includes other customary registration rights terms, including, without limitation, those related to registration suspension periods, registration expenses, indemnification and other similar provisions.

Participation Rights. Pursuant to the second investor rights agreement, each Investor, so long as it holds at least 10% of our outstanding common stock, will have the right to participate in certain securities offerings we do by purchasing its pro-rata share of any common or preferred stock or other securities issued by us in the future. Such right of participation will be subject to certain customary exclusions, including for securities issued pursuant to stock option plans, pursuant to public offerings, in connection with any stock split, stock dividend or recapitalization, in connection with equipment lease financings, in connection with corporate collaborations and in connection with acquisitions or transactions approved by our board of directors. In addition, we will be prohibited from offering participation rights, rights of first refusal, rights of first offer or similar rights to any holder or prospective holder of our securities on terms more favorable than, or in preference to, the participation rights granted to the Investors.

IMPACT OF THE PRIVATE PLACEMENT ON EXISTING STOCKHOLDERS ADVANTAGES AND DISADVANTAGES

Advantages. Before voting, each stockholder should consider the fact that the Private Placement will provide additional funding, which will be critically important to our efforts to continue operations. You should consider the fact that our current capital resources are very limited. We believe that our existing capital resources, together with anticipated payments under current collaborations, will be sufficient to support our current operating plan and spending only through the end of September 2003. We will require additional financing to fund our operations as currently planned beyond that date. If, as of June 30, 2003, a sufficient financing or corporate partnering transaction is not reasonably assured, we will be required to significantly scale back our operations by reducing our headcount by approximately 50% and significantly reducing all discretionary spending. We anticipate that upon the execution of such actions, our existing capital resources will be sufficient to support the substantially reduced funding of our current programs as well as our operations only through the end of 2003. Thus, if we do not consummate the financing contemplated by the Private Placement, we would be forced to immediately consider other financing or strategic options. An alternative financing is unlikely to be available on acceptable terms, or at all, and we cannot predict whether a corporate partnering transaction would be available on acceptable terms, or at all, and we cannot predict whether a corporate partnering transaction would be available on acceptable terms, or at all, and we cannot predict whether a corporate partnering transaction would be available on acceptable terms, or at all, and we cannot predict whether a corporate partnering transaction would be available on acceptable terms, or at all, and we cannot predict whether a corporate partnering transaction would be available on acceptable terms, or at all, and we cannot predict whether a corporate partnering transaction would be available on acceptable term

Disadvantages. The Private Placement will have a highly dilutive effect on current stockholders and optionholders; current Rigel stockholders' aggregate percentage ownership of the company will decline significantly as a result of the Private Placement. The number of shares issued pursuant to the Private Placement will increase substantially the number of shares of common stock currently outstanding. This means that our current stockholders will own a much smaller interest in Rigel as a result of the Private Placement.

For purposes of example only, a stockholder who owned approximately 5.0% of our outstanding stock as of April 29, 2003 would own approximately 2.0% of the shares outstanding immediately after the Private Placement, assuming the issuance of 71,874,999 shares of common stock to the Investors, and would own 1.7% of the shares outstanding immediately after the Private Placement assuming full exercise of the warrants to purchase 14,374,997 shares of common stock.

17

In addition, before voting, each stockholder also should consider the following disadvantages of the Private Placement:

The Investors in the Private Placement will control up to approximately 70.7% of the voting power of our capital stock immediately upon the closing of the Private Placement, and Rigel will use its commercially reasonable best efforts to elect designees of MPM Capital as two out of nine members of our board of directors. Upon the closing of the Private Placement, MPM Capital, Alta, Frazier and HBM would beneficially own approximately 36.8%, 15.0%, 13.9% and 8.2% of our shares outstanding, respectively (assuming full exercise of the warrants to be issued in the Private Placement and based on 46,376,004 shares of common stock outstanding as of April 29, 2003).

The Investors will have participation rights that could permit them to maintain their ownership interest in Rigel in the future.

The Investors' significant rights may make it more difficult for us to enter into other transactions, including mergers, acquisitions or change of control transactions.

Although our common stock will continue to be quoted on a securities exchange, we may differ in important respects from a publicly owned corporation in that our activities could potentially be controlled by a few Investors.

INTERESTS OF CERTAIN INVESTORS IN THE PRIVATE PLACEMENT

Alta, Frazier and HBM are stockholders of Rigel who currently beneficially own 12.6%, 9.4% and 3.3%, respectively, of our outstanding common stock. Dr. Deleage, a member of our board of directors, is a managing general partner of Alta, and Mr. Frazier, also a member of our board of directors, is a managing principal of Frazier. As a condition to the Investors' execution of a purchase agreement providing for the Private Placement, certain of our stockholders who are affiliates of Rigel, including Alta, Frazier, James M. Gower, our chief executive officer and chairman of the board, and Donald G. Payan, our executive vice president and chief scientific officer and a director, entered into voting agreements with MPM Capital that require these stockholders to vote all of their shares of common stock in favor of approval Proposals 1, 2, 3, 4, 5 and 6.

Alta is an investor in, and Dr. Deleage is a member of the board of directors of, MitoKor, a privately-held biotechnology company. Dr. Moos, a member of our board of directors, is the chief executive officer of MitoKor.

OPINION OF OUR FINANCIAL ADVISOR

On April 16, 2003, we engaged Houlihan Lokey to deliver an opinion that the consideration to be received by us in connection with the Private Placement and the proposed rights offering is fair to our stockholders who are not Investors from a financial point of view.

Houlihan Lokey provided its opinion for the information and assistance of our board of directors in connection with its consideration of the Private Placement. Houlihan Lokey's opinion is not a recommendation as to how any stockholder should vote at the annual meeting. The full text of Houlihan Lokey's written opinion is attached to this proxy statement as **Appendix E**. You are encouraged to read this opinion carefully in its entirety for a description of the assumptions made, matters considered and limitations on the review undertaken.

Fairness Opinion

On April 29, 2003, Houlihan Lokey delivered its oral opinion to the board of directors that the consideration to be received by us in connection with the Private Placement and the proposed rights

18

offering (collectively, the "Transaction") is fair to our stockholders who are not Investors from a financial point of view.

The board of directors retained Houlihan Lokey to render an opinion as to whether the consideration to be received by us in connection with the Transaction was fair to our stockholders who are not Investors from a financial point of view. The opinion, which we refer to in this proxy statement as the "Fairness Opinion," was prepared to assist the board of directors in evaluating the terms of the Transaction. The board of directors retained Houlihan Lokey based upon Houlihan Lokey's experience in the valuation of businesses and securities in connection with recapitalizations and similar transactions. Houlihan Lokey is a nationally recognized investment banking firm that is continually engaged in providing financial advisory services and rendering fairness opinions in connection with mergers and acquisitions, leveraged buyouts, business and securities valuations for a variety of regulatory and planning purposes, recapitalizations, financial restructurings and private placements of debt and equity securities.

At the April 24, 2003 meeting of the board of directors, Houlihan Lokey presented its analysis (as described below) and on April 29, 2003 rendered to the board of directors its oral opinion (subsequently confirmed in writing on April 29, 2003) that, based on and subject to the matters described in the Fairness Opinion, the consideration to be received by us in connection with the Transaction is fair to our stockholders who are not Investors from a financial point of view. The summary of the Fairness Opinion set forth below is qualified in its entirety by reference to the full text of the Fairness Opinion attached as **Appendix E** to this proxy statement. You are urged to read the Fairness Opinion in its entirety.

No limitations were imposed by the board of directors upon Houlihan Lokey with respect to the investigations made or procedures followed by it in rendering its opinion. Houlihan Lokey has not been requested and does not intend to update, revise or reaffirm its fairness opinion in connection with the Transaction, including to reflect any circumstances or events that have occurred since April 29, 2003. You should understand that the opinion speaks only as of its date. Events that could affect the fairness of the Transaction to the holders of our common stock who are not Investors, from a financial point of view, include adverse changes in industry performance or market conditions and changes to our business, financial condition and results of operations.

No material relationship existed between Houlihan Lokey and Rigel, including our affiliates, none has since developed and none is mutually understood to be contemplated other than the engagement letter we entered into with Houlihan Lokey with respect to the Fairness Opinion.

In arriving at its Fairness Opinion, among other things, Houlihan Lokey did the following:

reviewed our annual reports to stockholders and on Form 10-K for the fiscal year ended December 31, 2002 and our internally-prepared interim financial statements for the period ended March 31, 2003, which our management has identified as being the most current financial statements available;

reviewed copies of the following agreements, or Transaction Documents:

Memorandum of Proposed Terms for Private Placement of Common Stock ("Term Sheet") dated April 4, 2003;

Form of Amended and Restated Certificate of Incorporation dated April 29, 2003;

Form of Second Investor Rights Agreement dated April 29, 2003;

Common Stock and Warrant Purchase Agreement dated April 29, 2003;

Form of Common Stock Purchase Warrant dated April 29, 2003; and

19

Voting Agreement dated April 29, 2003;

met with certain members of our senior management to discuss our operations, financial condition, future prospects and projected operations and performance, and discussed certain matters with representatives of our counsel;

visited certain of our facilities and business offices;

reviewed forecasts and projections prepared by our management with respect to us for the years ending December 31, 2003 through 2005;

reviewed the historical market prices and trading volume for our publicly traded securities;

reviewed certain other publicly available financial data for certain companies that Houlihan Lokey deems comparable to us, and publicly available prices and premiums paid in other transactions that it considered similar to the Transaction; and

conducted such other studies, analyses and inquiries as Houlihan Lokey deemed appropriate.

Houlihan Lokey used several methodologies to assess the fairness of the consideration to be received by us in connection with the Transaction. The following is a summary of the material financial analyses undertaken by Houlihan Lokey in connection with providing its Fairness Opinion. This summary is qualified in its entirety by reference to the full text of the Fairness Opinion.

Houlihan Lokey's analyses of Rigel were performed on a pre-Transaction and post-Transaction basis. The pre-Transaction analysis included an analysis of the prices of our publicly-traded shares and the resulting enterprise value based upon the prices at which our common stock was trading on the Nasdaq National Market. The pre-Transaction analysis also considered our enterprise value based on a market capitalization approach. The pre-Transaction analysis then took into account our cash and debt balances as of March 31, 2003 to determine the equity value.

The post-Transaction analysis included calculations of the enterprise value of Rigel using the following going concern valuation approaches: (i) market capitalization; and (ii) comparable private transactions. The post-Transaction analysis gave consideration to the matters contemplated by the Transaction Documents, including the contemplated immediate infusion of \$46 million of additional capital from the Transaction, the \$10 million of assumed proceeds from the proposed rights offering and the contemplated dilutive impact of certain warrants to be issued in connection with the Transaction.

Pre-Transaction Analysis of our Company

Public Market Price. Houlihan Lokey reviewed the historical market prices and trading volume for our publicly held common stock and reviewed certain publicly-available analyst reports, news articles and press releases relating Rigel. Houlihan Lokey analyzed the closing price of our common stock on a 5-day, 10-day and 30-day average basis as of April 22, 2003, which were \$0.73, \$0.72 and \$0.71, respectively. The resulting value indications for our enterprise value, as reviewed by Houlihan Lokey, ranged from an aggregate of \$16.5 million to \$19.5 million. Houlihan Lokey considered the closing price of our common stock on a 5-day, 10-day and 30-day average basis as of April 29, 2003, which were \$0.85, \$0.79 and \$0.75, respectively, which it found to be consistent with its prior value indication.

Market Capitalization Approach. Houlihan Lokey selected 16 publicly traded comparable companies to value us that are engaged in drug discovery (listed in descending order of total market capitalization as of April 22, 2003): Human Genome Sciences, Vertex Pharmaceuticals Inc., Osi Pharmaceuticals Inc., Telik Inc., Exelixis Inc., Myriad Genetics Inc., Tularik Inc., Maxygen Inc., Curagen Corp., Isis Pharmaceuticals Inc., Lexicon Genetics Inc., Arena Pharmaceuticals Inc., Array Pharmaceuticals Inc., Ariad Pharmaceuticals Inc., Biocryst Pharmaceuticals and Valentis Inc. We refer

20

to this group hereafter as the "Comparable Companies." Houlihan Lokey reviewed certain financial information of the Comparable Companies and utilized the most recent publicly-available information for the Comparable Companies in its analysis.

Houlihan Lokey found that drug discovery companies like us and the others listed above are valued by the public equity markets primarily using the following qualitative and quantitative factors, referred to as the "Major Criteria":

Number of programs in pipeline;

Number of programs in clinical testing;

Reported or rumored results from clinical trials; and

Cash balance and cash burn rate.

Houlihan Lokey reviewed these four Major Criteria with respect to the Comparable Companies in comparison to us to arrive at an aggregate enterprise value conclusion for us.

Houlihan Lokey also reviewed selected price to revenue and price to cash multiples from the Comparable Companies in order to value us. Houlihan Lokey selected a multiple range from 1.5 times to 2.0 times our projected 2003 revenues of \$16.2 million, 1.0 times to 1.3 times our projected 2004 revenues of \$23.7 million and 1.0 times to 1.5 times our cash balance of \$22.2 million as of March 31, 2003. After adjusting for cash and debt, the market capitalization approach indicated our enterprise value ranged from \$4 million to \$12 million, on a pre-Transaction basis, based on a cash balance of \$22.2 million and a debt level of \$4.95 million as of March 31, 2003.

Summary of Pre-Transaction Analyses. Based on Houlihan Lokey's consideration of the assumptions and analyses mentioned above, the applicable range of enterprise value for our company prior to the Transaction was estimated by Houlihan Lokey to be from \$4 million to \$19.5 million. In order to calculate the range of equity value from this range of enterprise values, Houlihan Lokey considered adjustments based on a cash balance of \$22.2 million and a debt level of \$4.95 million as of March 31, 2003. Houlihan Lokey estimated our equity value to be from \$21 million to \$37 million, or \$0.45 to \$0.79 per share based on approximately 47 million outstanding shares of common stock and in-the-money options and warrants to purchase common stock.

Post-Transaction Analysis of our Company

Market Capitalization Approach. Because of the equity infusion from the Private Placement and the \$10 million of assumed proceeds from the rights offering, we will have a higher cash balance and therefore Houlihan Lokey believes that we would have a higher perceived sustainability by the market. Houlihan Lokey reviewed the Major Criteria with respect to the Comparable Companies in comparison to us in light of the equity infusions to arrive at an enterprise value conclusion for us.

Houlihan Lokey then also derived indications of the enterprise value of our company by applying selected multiples to our projected revenues and cash post-Transaction. The selected multiples were primarily based upon the multiples observed for Comparable Companies.

Houlihan Lokey selected a multiple range from 7.0 times to 8.0 times our projected 2003 revenues of \$16.18 million, 4.5 times to 5.5 times our projected 2004 revenues of \$23.7 million and 1.5 times to 1.7 times our post-Transaction cash balance of \$78.2 million (including \$46 million in investment proceeds and \$10 million in assumed proceeds from the rights offering). After adjusting for cash and debt, the market capitalization approach indicated an enterprise value range from \$38 million to \$56 million, on a post-Transaction basis, based on a pro forma cash balance of \$78.2 million and a debt level of \$4.95 million as of March 31, 2003.

Comparable Private Financings Approach. Houlihan Lokey also considered 170 private financings with complete data (funding amount and pre-money valuation) announced in the drug discovery industry. Summary data (in millions of dollars) is shown below:

| | | | | e-Money Aluation | | Investment Amount | | | |
|---|----------------|----|-------|---------------------|--------|----------------------|-------|----|-------|
| | # Transactions | I | Mean | N | Iedian | | Mean | М | edian |
| All transactions(1) | 170 | \$ | 23.48 | \$ | 8.54 | \$ | 11.60 | \$ | 4.50 |
| All transactions, prior six months | 17 | | 20.13 | | 8.37 | | 7.89 | | 5.37 |
| Late-stage transactions | 25 | | 61.91 | | 39.33 | | 21.49 | | 15.26 |
| Late-stage transactions, prior six months | 5 | | 43.79 | | 30.00 | | 10.74 | | 8.00 |

(1)

Transaction search comprised of private financings since January 2001 in drug discovery industry.

Houlihan Lokey considered the Transaction as a late-stage transaction, therefore it selected a range of \$35 million to \$55 million as an enterprise valuation for us.

Summary of Post-Transaction Analyses. Based on consideration of the analyses mentioned above, the post-Transaction range of enterprise value for our company was estimated to be from \$37 million to \$56 million. After determining the enterprise value, Houlihan Lokey made certain adjustments to determine equity value as follows:

added our existing cash balance of approximately \$22.2 million at March 31, 2003;

deducted our existing debt of approximately \$4.95 million at March 31, 2003;

added the expected cash infusion contemplated by the Transaction Documents of approximately \$46 million from the equity investment and \$10 million of assumed proceeds from the proposed rights offering; and

deducted the value of the warrants issued in the Transaction of approximately \$5.4 million (as derived through the application of a Black-Scholes option pricing model).

After consideration of these adjustments, the range of post-Transaction value for the common stockholders' equity in our company was estimated to be from \$105 million to \$124 million, or \$0.71 to \$0.83 per share based on approximately 148.9 million outstanding shares of common stock, outstanding in-the-money options and warrants to purchase common stock and the warrants issued in the Transaction.

Summary of Valuation Analyses

The market value of the common stockholders' equity of our company as determined by Houlihan Lokey was estimated to range from \$21 million to \$37 million, or \$0.45 to \$0.79 per share on a pre-Transaction basis (based on approximately 47 million outstanding shares of common stock), and \$105 million to \$124 million, or \$0.71 to \$0.83 per share on a post-Transaction basis (based on approximately 148.9 million outstanding shares of common stock, outstanding in-the-money options and warrants to purchase common stock and the warrants issued in the Transaction).

Private Investment in Public Equities (PIPE) Study

Separate and apart from our company and the Transaction, Houlihan Lokey analyzed 103 PIPE transactions closed during the four months prior to the date of the Fairness Opinion involving the sale of common stock. Of these transactions:

62 had market capitalizations greater than \$10 million and less than \$100 million;

13 were highly dilutive (greater than 30% dilution);

80 involved unprofitable companies; and

57 included the sale of warrants.

Houlihan Lokey sorted and analyzed the PIPE transactions based on several tiers, including:

transactions involving companies with market capitalizations greater than \$10 million and less than \$100 million;

transactions involving companies that operate in the healthcare industry;

transactions involving companies that operate in the biotechnology industry;

transactions involving profitable companies;

transactions involving unprofitable companies; and

transactions involving companies that recorded greater than 30% dilution.

Empirical data observed in the aforesaid analysis of PIPE transactions conducted by Houlihan Lokey indicate that in the majority of transactions analyzed new common stock capital infusions were placed at prices ranging from a 46% discount to the pre-transaction share price to a 44% premium. The \$0.64 per share price in the Transaction represents an approximately 15% discount to the average closing price of Rigel's common stock for the 30-day period ending on April 29, 2003 and an approximately 20% discount to the average closing price of our common stock for the 10-day period ending on April 29, 2003. The discount applicable to the common stock is above average but within the range of transactions in the PIPE study, especially the highly dilutive transactions, and the warrant coverage is below the average.

The empirical data observed in the PIPE transactions study indicate that the terms of the Transaction, including the purchase price discount to market price, warrant coverage and warrant exercise discount, do not appear unreasonable when compared to the terms of other equity financings, particularly for highly dilutive transactions and transactions among unprofitable companies.

Conclusion

Based on the analyses described above, Houlihan Lokey determined that, as of the date of the Fairness Opinion, the consideration to be received by us in connection with the Transaction is fair to our stockholders who are not Investors from a financial point of view.

As a matter of course, we do not publicly disclose projections of the operating results of our business. Nevertheless, in connection with its review, Houlihan Lokey considered financial projections. These financial projections were prepared by our management, and reflect predictions of future events for which Houlihan Lokey takes no responsibility and makes no predictions. Actual results may vary materially from these projections. Our financial projections took into account market conditions as they existed at the time of the most recent updating of the projections, which was as of April 17, 2003, and we do not intend to provide Houlihan Lokey with any updated or revised financial projections in connection with the Transaction. Our company's financial projections did not take into account any circumstances or events occurring after the date they were prepared. In addition, factors such as industry performance, general business, economic, regulatory, market and financial conditions, as well as changes to the business, financial condition or results of operation of Rigel may cause the financial projections or the underlying assumptions to be inaccurate, potentially in material, adverse ways and the actual results to differ materially from those projected.

In arriving at its Fairness Opinion, Houlihan Lokey reviewed key economic and market indicators, including, but not limited to, growth in the U.S. Gross Domestic Product, inflation rates, interest rates,

| ~ | - |
|----|----|
| `) | 14 |
| ~ | • |

consumer spending levels, manufacturing productivity levels, unemployment rates and general stock market performance. Houlihan Lokey's opinion is based on the business, economic, market and other conditions as they existed as of April 29, 2003 and on our financial projections provided to Houlihan Lokey as of April 17, 2003. In rendering its opinion, Houlihan Lokey relied upon and assumed, without independent verification, that the financial and other information provided to Houlihan Lokey by the management of Rigel was accurate and complete, that our financial projections were reasonably prepared and reflected the best then currently available estimates of the financial results and condition of our company; that no material changes (individually or in the aggregate) had occurred in the information reviewed between the date the information was provided to Houlihan Lokey and the date of the Fairness Opinion, including without limitation, the Transaction Documents, and that there were no facts or information regarding Rigel that would cause the information supplied by Houlihan Lokey to be incomplete or misleading in any material respect. Houlihan Lokey did not independently verify the accuracy or completeness of the information supplied to it with respect to Rigel and does not assume responsibility for it.

Houlihan Lokey did not make or review any independent appraisal of the specific properties or assets of Rigel, including, without limitation, the quality or strength of our intellectual property portfolio.

Houlihan Lokey was not asked to opine and does not express any opinion as to: (i) the tax or legal consequences of the Transaction or the Transaction Documents; (ii) the realizable value of our common stock or the prices at which our common stock may trade; and (iii) the fairness of any aspect of the Transaction not expressly addressed in its Fairness Opinion.

The Houlihan Lokey opinion does not address the underlying business decision to effect the Transaction or to enter into the Transaction Documents; nor does it constitute a recommendation to any stockholder (or any other person) as to whether the stockholder should vote in favor of the portion of the Transaction that are subject to stockholder approval. Houlihan Lokey has no obligation to update the Fairness Opinion. Furthermore, Houlihan Lokey did not negotiate any portion of the Transaction or the Transaction Documents.

The summary set forth above describes the material points of more detailed analyses performed by Houlihan Lokey in arriving at its Fairness Opinion. The preparation of a Fairness Opinion is a complex analytical process involving various determinations as to the most appropriate and relevant methods of financial analysis and application of those methods to the particular circumstances and is, therefore, not readily susceptible to summary description. In arriving at its Fairness Opinion, Houlihan Lokey made qualitative judgments as to the significance and relevance of each analysis and factor. Accordingly, Houlihan Lokey believes that its analyses and summary set forth herein must be considered as a whole and that selecting portions of its analyses, without considering all analyses and factors, or portions of this summary, could create an incomplete and/or inaccurate view of the processes underlying the analyses set forth in the Fairness Opinion. In its analyses, Houlihan Lokey made numerous assumptions with respect to Rigel, the Transaction, the consummation of the Transaction in accordance with the Transaction Documents, industry performance, general business, economic, market and financial conditions and other matters, the accuracy and completeness of the information provided to it by our management (including without limitation, our Company's financial projections), many of which are beyond our control. The estimates contained in such analyses are not necessarily indicative of actual values or predictive of future results or values, which may be more or less favorable than suggested by such analyses. Additionally, analyses relating to the value of our business or securities are not appraisals. Accordingly, such analyses and estimates are inherently subject to substantial uncertainty.

Under our engagement letter with Houlihan Lokey, we have agreed to pay Houlihan Lokey fees totaling \$250,000. In addition, we have agreed, among other things, to reimburse Houlihan Lokey for certain of its reasonable out-of-pocket expenses incurred in connection with the services provided by

Houlihan Lokey, and to indemnify and hold harmless Houlihan Lokey and other related parties from and against various liabilities and expenses, which may include liabilities under the federal securities laws, in connection with its engagement.

RIGHTS OFFERING

After the closing of the Private Placement, we intend to offer to our existing stockholders (other than the Investors) non-transferable rights to purchase up to an aggregate of \$10 million of shares of newly issued common stock at a purchase price of \$0.64 per share (before giving effect to the proposed Reverse Stock Split), the same purchase price paid by in the Investors in the Private Placement. The rights offering will not include warrants.

THE RIGHTS OFFERING WILL BE MADE ONLY BY MEANS OF A PROSPECTUS ANTICIPATED TO BE FILED WITH THE SECURITIES AND EXCHANGE COMMISSION AS PART OF A REGISTRATION STATEMENT. A REGISTRATION STATEMENT RELATING TO THE RIGHTS OFFERING HAS NOT YET BEEN FILED WITH THE SECURITIES AND EXCHANGE COMMISSION. THE SECURITIES MAY NOT BE SOLD NOR MAY ANY OFFER TO BUY BE ACCEPTED PRIOR TO THE TIME THE REGISTRATION STATEMENT HAS BEEN FILED AND BECOMES EFFECTIVE. THIS DESCRIPTION SHALL NOT CONSTITUTE AN OFFER TO SELL OR A SOLICITATION OF AN OFFER TO BUY, NOR SHALL THERE BE ANY SALE OF THESE SECURITIES IN ANY STATE IN WHICH SUCH OFFER, SOLICITATION OR SALE WOULD BE UNLAWFUL PRIOR TO REGISTRATION OR QUALIFICATION UNDER THE SECURITIES LAWS OF SUCH STATE OR JURISDICTION.

BOARD RECOMMENDATION

The board of directors recommends a vote "For" approval of this "Proposal 1 Approval of the Private Placement." Delaware law contemplates that directors who have a personal, financial or other interest that is different from, or in conflict with, those of the stockholders regarding a proposal may abstain from voting to recommend such proposal. Dr. Deleage and Mr. Frazier abstained from our board of directors' vote regarding "Proposal 1 Approval of the Private Placement" as Mr. Deleage is affiliated with Alta, an Investor in the Private Placement, and Mr. Frazier is affiliated with Frazier, another Investor in the Private Placement. The remaining five directors unanimously approved the Private Placement, and they unanimously recommend a vote "For" approval of this "Proposal 1 Approval of the Private Placement."

REQUIRED VOTE

The affirmative vote of the holders of a majority of the common stock present in person or represented by proxy and entitled to vote at the annual meeting will be required to approve this "Proposal 1 Approval of the Private Placement." Abstentions will be counted toward the tabulation of votes cast on proposals presented to the stockholders and will have the same effect as negative votes. Broker non-votes are counted toward a quorum, but are not counted for any purpose in determining whether this matter has been approved.

THE BOARD OF DIRECTORS RECOMMENDS A VOTE IN FAVOR OF PROPOSAL 1.

25

PROPOSAL 2

APPROVAL OF THE REVERSE STOCK SPLIT

This proposal, if approved, will authorize an amendment to our current amended and restated certificate of incorporation for the purpose of effecting a reverse stock split of our outstanding common stock of not less than 1-for-2 and not more than 1-for-20, with our board of directors having the authority to determine which, if any, of these reverse stock splits to effectuate within the foregoing parameters. **Completion of the Reverse Stock Split is a condition to consummation of the Private Placement.** If Proposal 2 is approved by our stockholders, completion of the Private Placement is not a condition to our board of directors' authority to complete the Reverse Stock Split. As a result, our board of directors may complete the Reverse Stock Split even if Proposal 1 is not approved or if the Private Placement is otherwise not consummated.

INTRODUCTION

Our board of directors has approved a proposal to amend and restate our certificate of incorporation to effect a reverse stock split of all outstanding shares of our common stock at an exchange ratio ranging from 1-for-2 to 1-for-20. Our board of directors has recommended that this proposal be presented to our stockholders for approval. You are now being asked to vote upon amendments to our certificate of incorporation to effect the Reverse Stock Split, whereby a number of outstanding shares of our common stock between and including two and 20, such number consisting only of whole shares, will be combined into one share of our common stock. Pending stockholder approval, our board of directors will have the sole discretion pursuant to Section 242(c) of the Delaware General Corporation Law to elect, as it determines to be in the best interests of Rigel and our stockholders, whether or not to effect the Reverse Stock Split, and if so, the number of shares of our common stock between and including two and 20 that will be combined into one share of our common stock, at any time before the first anniversary of this annual meeting of stockholders. Our board of directors believes that stockholder approval of amendments granting the board this discretion, rather than approval of a specified exchange ratio, provides our board of directors with maximum flexibility to react to then-current market conditions and, therefore, is in the best interests of Rigel and our stockholders. If approved by the stockholders, our board of directors will have the authority to effect a reverse stock split on only one occasion (unless the stockholders subsequently approve an additional reverse stock split, which we do not currently anticipate.)

The text of the forms of the proposed amendments to our certificate of incorporation is attached to this proxy statement as **Appendix F**. By approving these amendments, stockholders will approve a series of amendments to our certificate of incorporation pursuant to which any whole number of outstanding shares between and including two and 20 would be combined into one share of our common stock, and authorize our board of directors to file only one such amendment, as determined by our board of directors in the manner described herein, and to abandon each amendment not selected by our board of directors.

If approved by our stockholders and, following such approval, our board of directors determines that effecting the Reverse Stock Split is in the best interests of Rigel and our stockholders, the Reverse Stock Split will become effective upon filing one such amendment with the Secretary of State of the State of Delaware. The amendment filed thereby will contain the number of shares selected by our board of directors within the limits set forth in this proposal to be combined into one share of our common stock.

If our board of directors elects to effect the Reverse Stock Split following stockholder approval, the number of issued and outstanding shares of our common stock would be reduced in accordance with an exchange ratio determined by our board of directors within the limits set forth in this proposal. Except for adjustments that may result from the treatment of fractional shares as described below, each

26

stockholder will hold the same percentage of our outstanding common stock immediately following the Reverse Stock Split as such stockholder held immediately prior to the Reverse Stock Split.

While the Reverse Stock Split will not change your ownership percentage in Rigel, the Private Placement, as set forth in "Proposal 1 Approval of the Private Placement, *would* cause your ownership percentage in Rigel to decline substantially.

Currently, we are authorized to issue up to a total of 110,000,000 shares of capital stock, consisting of 10,000,000 shares of preferred stock and 100,000,000 shares of common stock. The Reverse Stock Split would not change the number of total authorized shares of our capital stock. Thus, immediately following the Reverse Stock Split, the total number of authorized shares of capital stock would remain at 110,000,000, consisting of 10,000,000 shares of preferred stock and 100,000,000 shares of common stock. The par value of our common stock and preferred stock would remain unchanged at \$0.001 per share, as well. As of April 29, 2003, we had approximately 53,623,996 shares of common stock that were authorized but unissued. Following the Reverse Stock Split, our board of directors intends to issue some of our authorized but unissued shares of common stock to the Investors in connection with the Private Placement.

Our board of directors believes that it would be advisable to obtain the approval of our stockholders for the Reverse Stock Split because without the Reverse Stock Split, we will not have enough shares of common stock authorized under our current amended and restated

certificate of incorporation to issue to the Investors in the Private Placement. If the Reverse Stock Split were to be implemented, the number of shares of stock issuable upon consummation of the Private Placement and the number of shares issuable upon exercise of the warrants issued in the Private Placement would be proportionately reduced to a number that would be within the number of shares of common stock currently authorized under our amended and restated certificate of incorporation. By obtaining stockholder approval of the Reverse Stock Split, we will also have met one of the conditions to consummating the Private Placement.

Our board of directors has also determined that it would be advisable to obtain the approval of our stockholders for the Reverse Stock Split in order to increase the trading price of our common stock on the Nasdaq National Market. Our board of directors proposes this action because the trading price of shares of our common stock has declined below \$1.00, and our failure to increase the trading price above \$1.00 will result in delisting of our common stock from the Nasdaq National Market, which our board of directors believes could harm our stockholders by reducing the marketability and the liquidity of their shares.

Accordingly, our board of directors is asking that the stockholders approve a range for the Reverse Stock Split of not less than 1-for-2 and not more than 1-for-20; and further, that our board of directors be authorized to determine the Reverse Stock Split in the specified range, if any, to implement.

In determining the ratio of the Reverse Stock Split to implement, our board of directors will consider, among other things, prevailing market conditions, the trading price of our common stock, the number of round lot holders of our common stock and the steps that we will need to take in order to achieve compliance with the trading price requirements and other listing regulations of the Nasdaq National Market. Based in part on the price of our common stock on the days leading up to the filing of the amended and restated certificate of incorporation effecting the Reverse Stock Split, our board of directors will select the ratio which it believes will, in accordance with Nasdaq maintenance requirements, (i) increase the trading price of our common stock sufficiently to maintain a minimum bid price of at least \$1.00 and (ii) result in the continued existence of at least 400 stockholders of round lots.

Even after we complete the Private Placement and the Reverse Stock Split, we may not be able to meet the continuing listing standards of the Nasdaq National Market. If we are delisted, we intend to

27

apply for listing on the Nasdaq SmallCap Market. We may not meet the standards for listing on the Nasdaq SmallCap Market.

A vote in favor of this "Proposal 2 Approval of the Reverse Stock Split" will be a vote for approval of each of the reverse split ratios in the specified range and for the granting of authority to our board of directors to effectuate the Reverse Stock Split in the range as it deems advisable at the time the Reverse Stock Split is to be effectuated.

REASONS FOR THE REVERSE STOCK SPLIT

The Investors have made receipt of stockholder approval and completion of the Reverse Stock Split a condition to consummating the Private Placement. In order for us to complete the Private Placement, we must effect the Reverse Stock Split to have enough authorized but unissued shares of common stock to issue to the Investors and to satisfy the closing condition under the purchase agreement. Stockholders who want to approve the Private Placement must also approve the Reverse Stock Split in order for us to consummate the Private Placement. If our stockholders approve "Proposal 1 Approval of the Private Placement," but do not approve this "Proposal 2 Approval of the Reverse Stock Split," we will not be able to consummate the Private Placement.

In addition, one purpose of the Reverse Stock Split is to combine the outstanding shares of our common stock into a smaller number of shares so that the shares will trade at a significantly higher price per share than their recent trading prices. Since January 22, 2003, the closing bid price of shares of our common stock on the Nasdaq National Market has been below \$1.00 per share on all but six trading days.

Pursuant to the Nasdaq National Market's listing requirements, the minimum bid price of shares of our common stock must be at least \$1.00 per share in order to maintain listing on the Nasdaq National Market. We also believe, although we cannot assure you, that the Reverse Stock Split will enable shares of our common stock to trade, in the short term, above the \$1.00 minimum bid price. We believe that maintaining the listing of our common stock on the Nasdaq National Market is in the best interests of Rigel and our stockholders. Listing on the Nasdaq National Market increases liquidity and may minimize the spread between the "bid" and "asked" prices quoted by market makers. Further, maintaining our Nasdaq National Market listing may enhance our access to capital and increase our flexibility in responding to anticipated capital requirements.

For the above reasons, we believe that having the ability to effectuate the Reverse Stock Split in an effort to permit us to consummate the Private Placement and regain compliance with the Nasdaq listing requirements is in the best interests of Rigel and our stockholders. We anticipate that, following the consummation of the Reverse Stock Split, our common stock will trade, in the short term, at a price per share that is proportionately higher than current market prices. However, there can be no assurance that the Reverse Stock Split, if implemented, will have the desired effect of proportionately raising our common stock price over the long term, or at all.

EFFECTS OF THE REVERSE STOCK SPLIT

If the stockholders approve this "Proposal 2 Approval of the Reverse Stock Split," our board of directors would:

Determine which, if any, of the reverse stock splits of not less than 1-for-2 and not more than 1-for-20 is advisable, based on market and other relevant conditions and the trading prices of our common stock at that time; and

Direct management to file the amended and restated certificate of incorporation with the Delaware Secretary of State that would specify that, as of the date of the filing, every two to 20 shares (depending on the specific split ratio selected by our board of directors) of our common

28

stock outstanding would automatically be combined and converted into one share, with any fractional shares that result from the Reverse Stock Split to be rounded up to the nearest whole share of common stock. For example, if our board of directors selected a 1-for-2 Reverse Stock Split, the amendment would specify that every two shares of our common stock outstanding be combined and converted into a single share.

We estimate that, following the Reverse Stock Split, we would have approximately the same number of stockholders and, except for any changes as a result of the treatment of fractional shares, the completion of the Reverse Stock Split alone would not affect any stockholder's proportionate equity interest in Rigel. Therefore, by way of example, a stockholder who owns a number of shares that, prior to the Reverse Stock Split, represented 1% of our outstanding shares would continue to own 1% of our outstanding shares after the Reverse Stock Split. However, you should take into account that we will likely be effecting the Reverse Stock Split in conjunction with the Private Placement. The combined effect of these transactions would be to reduce your ownership interest in Rigel significantly. Also, the combined effect of these transactions would be to concentrate ownership of our stock in the hands of a few holders who will collectively own approximately 70.7% of our outstanding stock (based on 46,376,004 shares outstanding as of April 29, 2003).

The Reverse Stock Split alone will not affect the number of shares of common stock that our board of directors is authorized to issue by our amended and restated certificate of incorporation. However, it will have the effect of increasing the number of shares available for future issuance, because of the reduction in the number of shares that will be outstanding after giving effect to the Reverse Stock Split. Except as disclosed in this proxy statement, we currently have no plans related to the authorized but unissued common stock. In the future, we may seek to raise additional funds through equity financings, issue shares in connection with an acquisition, issue shares in connection with a strategic alliance or other collaboration or issue shares in connection with a merger.

The table below illustrates the approximate reduction in the outstanding shares of common stock as a result of the Reverse Stock Split, the approximate number of shares that would be issued in the Private Placement (assuming a Reverse Stock Split and full exercise of the warrants to be issued in the Private Placement), the approximate number of shares of common stock that would remain outstanding following the Reverse Stock Split and the consummation of the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement) and the approximate number of shares of common stock that would remain authorized but unissued following the Reverse Stock Split and the consummation of the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Private Placement (assuming full exercise of the warrants to be issued in the Placement (assuming full exercise of the warrants to be issued in the Placement (assuming full exercise of the warrants to be issued in the Placement (assuming

29

Private Placement). The information in the following table is based on the 46,376,004 shares of common stock outstanding as of April 29, 2003.

| Proposed Reverse Stock Split | Shares | Percentage | Post-Split Shares | Post-Split | Post-Split Shares |
|------------------------------|-------------|--------------|-------------------|--------------|-------------------|
| | Outstanding | Reduction in | Issued in the | Shares to be | to be Authorized |
| | After the | the | Private | Outstanding | But Unissued |

| | Reverse Stock Split | Outstanding Shares | Placement | After the Private Placement | After the Private Placement | |
|----------|------------------------|-----------------------|------------|-----------------------------------|--------------------------------|--|
| 1 for 2 | 23,188,002 | 50.0% | 43,124,998 | 66,313,000 | 33,687,000 | |
| 1 for 3 | 15,458,668 | 66.7% | 28,749,998 | 44,208,666 | 55,791,334 | |
| 1 for 4 | 11,594,001 | 75.0% | 21,562,499 | 33,156,500 | 66,843,500 | |
| 1 for 5 | 9,275,200 | 80.0% | 17,249,999 | 26,525,199 | 73,474,801 | |
| 1 for 6 | 7,729,334 | 83.3% | 14,374,999 | 22,104,333 | 77,895,667 | |
| 1 for 7 | 6,625,143 | 85.7% | 12,321,428 | 18,946,571 | 81,053,429 | |
| 1 for 8 | 5,797,000 | 87.5% | 10,781,249 | 16,578,249 | 83,421,751 | |
| 1 for 9 | 5,152,889 | 88.9% | 9,583,332 | 14,736,221 | 85,263,779 | |
| 1 for 10 | 4,637,600 | 90.0% | 8,624,999 | 13,262,599 | 86,737,401 | |
| 1 for 11 | 4,216,000 | 90.9% | 7,840,908 | 12,056,908 | 87,943,092 | |
| 1 for 12 | 3,864,667 | 91.7% | 7,187,499 | 11,052,166 | 88,947,834 | |
| 1 for 13 | 3,567,384 | 92.3% | 6,634,615 | 10,201,999 | 89,798,001 | |
| 1 for 14 | 3,312,571 | 92.9% | 6,160,714 | 9,473,285 | 90,526,715 | |
| 1 for 15 | 3,091,733 | 93.3% | 5,749,999 | 8,841,732 | 91,158,268 | |
| 1 for 16 | 2,898,500 | 93.8% | 5,390,624 | 8,289,124 | 91,710,876 | |
| 1 for 17 | 2,728,000 | 94.1% | 5,073,529 | 7,801,529 | 92,198,471 | |
| 1 for 18 | 2,576,444 | 94.4% | 4,791,666 | 7,368,110 | 92,631,890 | |
| 1 for 19 | 2,440,842 | 94.7% | 4,539,473 | 6,980,315 | 93,019,685 | |
| 1 for 20 | 2,318,800 | 95.0% | 4,312,499 | 6,631,299 | 93,368,701 | |

Even though there will not be any dilution in the percentage ownership of current stockholders solely as a result of the Reverse Stock Split, there will be substantial dilution of such percentage ownership if the Private Placement is consummated shortly after effectuating the Reverse Stock Split, with the dilutive effects more fully discussed in "Proposal 1 Approval of the Private Placement." The table above does not take into account any such effect.

The Reverse Stock Split may increase the number of stockholders of Rigel who own "odd lots" of less than 100 shares of our common stock. Brokerage commission and other costs of transactions in odd lots are generally higher than the costs of transactions of more than 100 shares of common stock.

Our common stock is currently registered under the Securities Exchange Act of 1934, as amended (the "Exchange Act"), and we are subject to the periodic reporting and other requirements of the Exchange Act. The Reverse Stock Split will not affect the registration of the common stock under the Exchange Act. Subject to Nasdaq's consent, if the Reverse Stock Split is implemented, our common stock will continue to be reported on the Nasdaq National Market under the symbol "RIGL" (although Nasdaq would likely add the letter "D" to the end of the trading symbol for a period of 20 trading days to indicate that the Reverse Stock Split has occurred).

The Reverse Stock Split will not affect the par value of our common stock. As a result, on the effective date of the Reverse Stock Split, the stated capital on our balance sheet attributable to the common stock will be reduced in proportion to the exchange ratio selected by our board of directors in the manner described above, and the additional paid-in capital account shall be credited with the amount by which the stated capital is reduced. The per share net income or loss and net book value of our common stock will be increased because there will be fewer shares of our common stock outstanding.

30

TREATMENT OF FRACTIONAL SHARES

No fractional shares of common stock will be issued as a result of the Reverse Stock Split. Instead, stockholders who otherwise would be entitled to receive a fractional share of our common stock as a consequence of the Reverse Stock Split, upon surrender to the exchange agent of such certificates representing such fractional shares, will instead be entitled to receive cash in an amount equal to the product obtained by multiplying (i) the closing sale price of our common stock on the effective date of the Reverse Stock Split as reported on the Nasdaq National Market by (ii) the number of shares of our common stock held by such stockholder that would otherwise have been exchanged for such fractional share interest.

EFFECT OF THE REVERSE STOCK SPLIT ON WARRANTS AND OPTIONS

The number of shares subject to our outstanding warrants and common stock options also will automatically be reduced in the same ratio as the reduction in the outstanding shares. Correspondingly, the per share exercise price of those options and warrants will be increased in direct proportion to the Reverse Stock Split ratio, so that the aggregate dollar amount payable for the purchase of the shares subject to the options and warrants will remain unchanged. For example, assume that a 1-for-2 Reverse Stock Split were implemented and that an optionee holds options to purchase 1,000 shares at an exercise price of \$1.00 per share. On the effectiveness of the 1-for-2 Reverse Stock Split, the number of shares subject to that option would be reduced to 500 shares and the exercise price would be proportionately increased to \$2.00 per share. In connection with the Reverse Stock Split, the number of shares of common stock issuable upon exercise or conversion of outstanding stock options and warrants will be rounded to the nearest whole share and no cash payment will be made in respect of such rounding.

EXCHANGE OF STOCK CERTIFICATES

The combination of, and reduction in, the number of our outstanding shares as a result of the Reverse Stock Split will occur automatically on the date that the amended and restated certificate of incorporation effectuating the Reverse Stock Split is filed with the Secretary of State of the State of Delaware (referred to as the effective date), without any action on the part of our stockholders and without regard to the date that stock certificates representing the shares prior to the Reverse Stock Split are physically surrendered for new stock certificates.

As soon as practicable after the effective date, transmittal forms will be mailed to each holder of record of certificates for shares of our common stock to be used in forwarding such certificates for surrender and exchange for certificates representing the number of shares of our common stock such stockholder is entitled to receive as a result of the Reverse Stock Split. Our transfer agent will act as exchange agent for purposes of implementing the exchange of the stock certificates. The transmittal forms will be accompanied by instructions specifying other details of the exchange. Upon receipt of such transmittal form, each stockholder should surrender the certificates representing shares of our common stock prior to the Reverse Stock Split in accordance with the applicable instructions. Each holder who surrenders certificates will receive new certificates representing the whole number of shares of our common stock that he or she holds as a result of the Reverse Stock Split. No new certificates will be issued to a stockholder until such stockholder has surrendered such stockholder's outstanding certificate(s) together with the properly completed and executed transmittal form to the exchange agent. **Stockholders should not send in their stock certificates until they receive a transmittal form from our transfer agent.**

ACCOUNTING CONSEQUENCES

The par value per share of our common stock would remain unchanged at \$0.001 per share after the Reverse Stock Split. As a result, on the effective date of the Reverse Stock Split, the stated capital on our balance sheet attributable to the common stock will be reduced proportionally, based on the exchange ratio of the Reverse Stock Split, from its present amount, and the additional paid-in capital account shall be credited with the amount by which the stated capital is reduced. The amounts of net income or loss per common share and net book value per common share will be increased because there will be fewer shares of our common stock outstanding. We do not anticipate that any other accounting consequences would arise as a result of the Reverse Stock Split.

NO APPRAISAL RIGHTS

Under the Delaware General Corporation Law, our stockholders are not entitled to appraisal rights with respect to our proposed amendments to our charter to effect the reverse stock split, and we will not independently provide our stockholders with any such rights.

MATERIAL FEDERAL U.S. INCOME TAX CONSEQUENCES OF THE REVERSE STOCK SPLIT

The following is a summary of important tax considerations of the Reverse Stock Split. It addresses only stockholders who hold the pre-reverse-split shares and post-reverse-split shares as capital assets. It does not purport to be complete and does not address stockholders subject to special rules, such as financial institutions, tax-exempt organizations, insurance companies, dealers in securities, mutual funds, foreign stockholders who hold the pre-reverse-split shares as part of a straddle, hedge or conversion transaction, stockholders who hold the pre-reverse-split shares as part of a straddle, hedge or conversion transaction, stockholders who hold the pre-reverse-split shares as part of a straddle, hedge or conversion transaction, stockholders who hold the pre-reverse-split shares as qualified small business stock within the meaning of Section 1202 of the Internal Revenue Code of 1986, as amended (the "Code"), stockholders who are subject to the alternative minimum tax provisions of the Code and stockholders who acquired their pre-reverse-split shares pursuant to the exercise of employee stock options or otherwise as compensation. This summary is based upon current law, which may change, possibly even retroactively. It does not address tax considerations under state, local, foreign and other laws. Furthermore, we have not obtained a ruling from the Internal Revenue Service or an opinion of legal or tax counsel with respect to the consequences of the reverse stock split. **Each stockholder is advised to consult his or her tax advisor as to his or her own situation.**

The Reverse Stock Split is intended to constitute a reorganization within the meaning of Section 368 of the Code. Assuming the Reverse Stock Split qualifies as a reorganization, a stockholder generally will not recognize gain or loss on the Reverse Stock Split, except to the extent of cash, if any, received in lieu of a fractional share interest in the post-reverse split shares. The aggregate tax basis of the post-reverse-split shares received will be equal to the aggregate tax basis of the pre-reverse-split shares received will include the holding period of the post-reverse-split shares received will include the holding period of the pre-reverse-split shares received will include the holding period of the pre-reverse-split shares exchanged.

A holder of the pre-reverse-split shares who receives cash will generally recognize gain or loss equal to the difference between the portion of the tax basis of the pre-reverse-split shares allocated to the fractional share interest and the cash received.

Such gain or loss will be a capital gain or loss and will be short term if the pre-reverse-split shares were held for one year or less and long term if held more than one year.

No gain or loss will be recognized by Rigel as a result of the Reverse Stock Split.

32

REQUIRED VOTE

The affirmative vote of the holders of 66²/₃% of the outstanding shares of our common stock (approximately 30,923,126 shares, based on 46,384,689 shares of common stock outstanding on the record date) will be required to approve this "Proposal 2 Approval of the Reverse Stock Split." Abstentions will be counted toward the tabulation of votes cast on proposals presented to the stockholders and will have the same effect as negative votes. Broker non-votes will have the same effect as "Against" votes.

Completion of the Reverse Stock Split is a condition to consummation of the Private Placement, so stockholders who vote to approve Proposal 1 should also vote to approve Proposal 2.

If Proposal 2 is approved by our stockholders, completion of the Private Placement is not a condition to our board of directors' authority to complete the Reverse Stock Split.

THE BOARD OF DIRECTORS RECOMMENDS A VOTE IN FAVOR OF PROPOSAL 2.

33

PROPOSAL 3

AMENDMENT OF THE 2000 EQUITY INCENTIVE PLAN

In January 2000, our board of directors adopted, and our stockholders subsequently approved, our 2000 Equity Incentive Plan (the "2000 Plan"), which is an amendment and restatement of our 1997 Stock Option Plan. As of April 29, 2003, 9,525,000 shares of our common stock were authorized and reserved for issuance under the 2000 Plan, awards (net of canceled or expired awards) covering an aggregate of 8,302,244 shares of common stock had been granted under the 2000 Plan and approximately 1,222,756 shares of common stock (plus any shares that might in the future be returned to the 2000 Plan as a result of cancellation or expiration of awards) remained available for future grants under the 2000 Plan. All share numbers set forth in this Proposal 3 reflect pre-split numbers before giving effect to the proposed Reverse Stock Split.

During the 2002 fiscal year, we granted options to purchase 275,000 shares of common stock under the 2000 Plan to our current executive officers and directors at exercise prices ranging from \$1.40 to \$4.62 per share, and we granted to all employees and consultants (excluding executive officers) as a group options to purchase 540,830 shares of common stock at exercise prices ranging from \$3.00 to \$4.62 per share.

In July 2001, our board of directors adopted Rigel's 2001 Non-Officer Equity Incentive Plan (the "2001 Plan"). As of April 29, 2003, 3,500,000 shares of our common stock were authorized and reserved for issuance under the 2001 Plan, awards (net of canceled or expired awards) covering an aggregate of 866,991 shares of common stock had been granted under the 2001 Plan and approximately 2,633,009 shares of common stock (plus any shares that might in the future be returned to the 2001 Plan as a result of cancellation or expiration of awards) remained available for future grants under the 2001 Plan. Incentive stock options are not available under the 2001 Plan. After due consideration, our board of directors has determined that it is in the best interests of Rigel and our stockholders to merge the 2001 Plan into the 2000 Plan and to terminate the 2001 Plan. Any stock awards granted under the 2001 Plan prior to April 29, 2003 shall continue to be governed by the terms of the 2001 Plan as in effect immediately prior to April 29, 2003. The common stock that was reserved for issuance under the 2001 Plan, including the common stock that may be issued pursuant to outstanding stock awards granted under the 2001 Plan prior to April 29, 2003, will be included in the aggregate share reserve for the 2000 Plan.

In April 2003, our board of directors approved an amendment to the 2000 Plan, subject to stockholder approval and consummation of the Private Placement, to (i) merge the 2001 Plan into the 2000 Plan and to terminate the 2001 Plan, (ii) increase the number of shares authorized for issuance under the 2000 Plan (including the available reserve from the merging of the 2001 Plan) by 14,400,000 million shares of common stock from an aggregate total of 13,025,000 shares to 27,425,000 shares and (iii) add an evergreen feature that provides for automatic annual increases in the total number of shares reserved for issuance under the 2000 Plan. Our board of directors adopted these amendments to ensure that Rigel can continue to grant stock options under the 2000 Plan at levels determined appropriate by our board of directors and the compensation committee.

Stockholders are requested in this Proposal 3 to approve the 2000 Plan, as amended, effective as of the closing of the Private Placement. The affirmative vote of the holders of a majority of the shares present in person or represented by proxy and entitled to vote at the annual meeting will be required to approve the 2000 Plan, as amended. Abstentions will be counted toward the tabulation of votes cast on proposals presented to the stockholders and will have the same effect as negative votes. Broker non-votes are counted towards a quorum, but are not counted for any purpose in determining whether this matter has been approved.

34

THE BOARD OF DIRECTORS RECOMMENDS A VOTE IN FAVOR OF PROPOSAL 3.

The essential features of the 2000 Plan are outlined below.

GENERAL

The 2000 Plan provides for the grant of incentive stock options, nonstatutory stock options, stock bonuses and restricted stock purchase awards (collectively "awards"). Incentive stock options granted under the 2000 Plan are intended to qualify as "incentive stock options" within the meaning of Section 422 of the Code. Nonstatutory stock options granted under the 2000 Plan are not intended to qualify as incentive stock options under the Code. See "Federal Income Tax Information" for a discussion of the tax treatment of awards. To date, we have granted only stock options under the 2000 Plan.

PURPOSE

Our board of directors adopted the 2000 Plan to provide a means by which employees, directors and consultants of Rigel and our affiliates may be given an opportunity to purchase stock in Rigel, to assist in retaining the services of such persons, to secure and retain the services of persons capable of filling such positions and to provide incentives for such persons to exert maximum efforts for the success of Rigel and our affiliates. All of our approximately 160 employees, directors and consultants are eligible to participate in the 2000 Plan.

ADMINISTRATION

Our board of directors administers the 2000 Plan. Subject to the provisions of the 2000 Plan, the board has the power to construe and interpret the 2000 Plan and to determine the persons to whom and the dates on which awards will be granted, the number of shares of common stock to be subject to each award, the time or times during the term of each award within which all or a portion of such award may be exercised, the exercise price, the type of consideration and other terms of the award.

The board has the power to delegate administration of the 2000 Plan to a committee composed of not fewer than two members of the board. In the discretion of the board, a committee may consist solely of two or more outside directors in accordance with Section 162(m) of the Code or solely of two or more non-employee directors in accordance with Rule 16b-3 of the Exchange Act. Our board of directors has delegated

administration of the 2000 Plan to the compensation committee of the board. As used herein with respect to the 2000 Plan, the "board" refers to any committee the board appoints as well as to our board of directors itself.

The regulations under Section 162(m) of the Code require that the directors who serve as members of the committee must be "outside directors." The 2000 Plan provides that, in the board's discretion, directors serving on the committee may be "outside directors" within the meaning of Section 162(m). This limitation would exclude from the committee directors who are (i) current employees of Rigel or an affiliate, (ii) former employees of Rigel or an affiliate receiving compensation for past services (other than benefits under a tax-qualified pension 2000 Plan), (iii) current and former officers of Rigel or an affiliate, (iv) directors currently receiving direct or indirect remuneration from Rigel or an affiliate in any capacity (other than as a director) and (v) any other person who is otherwise not considered an "outside director" for purposes of Section 162(m).

STOCK SUBJECT TO THE 2000 PLAN

Subject to this Proposal 3 and consummation of the Private Placement, an aggregate of 27,425,000 shares of common stock is reserved for issuance under the 2000 Plan, and the 2000 Plan has an

| 2 | E |
|----|---|
| ۰, | |
| | |

evergreen feature providing for an automatic annual increase in the number of shares reserved for issuance under the 2000 Plan. Subject to this Proposal 3 and consummation of the Private Placement, the automatic annual increase in the share reserve is equal to the least of 4,000,000 shares, 2% of the common stock outstanding or such number of shares determined by our board of directors. The automatic annual increase will be added to the shares reserved on every 2nd of December for a period of seven years, commencing in 2003 and ending in (and including) 2009. If awards granted under the 2000 Plan or previously granted under the 2001 Plan expire or otherwise terminate without being exercised, the shares of common stock not acquired pursuant to such awards again become available for issuance under the 2000 Plan. If shares of common stock are not issued because such shares instead are used to satisfy an applicable tax withholding requirement or other obligation to Rigel in connection with the exercise of an award, then such shares will again be available for issuance under the 2000 Plan. In addition, if the exercise price of any award is satisfied by the tender of shares of common stock to us (whether by actual delivery or attestation) only the number of shares of common stock issued, net of any shares so tendered, will be deemed issued to the participant. If we reacquire unvested stock issued under the 2000 Plan, the reacquired stock will not again become available for reissuance under the 2000 Plan.

ELIGIBILITY

Incentive stock options may be granted under the 2000 Plan only to employees (including officers) of Rigel and our affiliates. Employees (including officers), directors and consultants of both Rigel and our affiliates are eligible to receive all other types of awards under the 2000 Plan.

No incentive stock option may be granted under the 2000 Plan to any person who, at the time of the grant, owns (or is deemed to own) stock possessing more than 10% of the total combined voting power of Rigel or any affiliate of Rigel, unless the exercise price is at least 110% of the fair market value of the stock subject to the option on the date of grant and the term of the option does not exceed five years from the date of grant. In addition, the aggregate fair market value, determined at the time of grant, of the shares of common stock with respect to which incentive stock options are exercisable for the first time by a participant during any calendar year (under the 2000 Plan and all other such plans of Rigel and our affiliates) may not exceed \$100,000.

No employee may be granted options under the 2000 Plan exercisable for more than 1,500,000 shares of common stock during any calendar year (the "Section 162(m) Limitation").

TERMS OF OPTIONS

The following is a description of the permissible terms of options under the 2000 Plan. Individual option grants may be more restrictive as to any or all of the permissible terms described below.

Exercise Price; Payment. The exercise price of incentive stock options may not be less than 100% of the fair market value of the stock subject to the option on the date of the grant and, in some cases (see "Eligibility" above), may not be less than 110% of such fair market value. The exercise price of nonstatutory options may not be less than 85% of the fair market value of the stock on the date of grant. If options were granted to covered executives with exercise prices below fair market value, deductions for compensation attributable to the exercise of such options could be limited by Section 162(m) of the Code. See "Federal Income Tax Information." As of April 29, 2003, the closing price of the Company's common stock as reported on the Nasdaq National Market System was \$1.01 per share.

The exercise price of options granted under the 2000 Plan must be paid either in cash at the time the option is exercised or, at the discretion of the board, (i) by delivery of other Rigel common stock owned by the participant for at least six months (or such other period of time required to avoid a

charge to earnings for financial accounting purposes), (ii) pursuant to a deferred payment arrangement or (iii) in any other form of legal consideration acceptable to the board.

Repricing. In the event of a decline in the value of our common stock, our board of directors has the authority to offer participants the opportunity to reduce the exercise price of outstanding options or to replace outstanding options with new options, stock bonuses, restricted stock and/or cash. To the extent required by Section 162(m) of the Code, a repriced option is deemed to be canceled and a new option granted. Both the option deemed to be canceled and the new option deemed to be granted will be counted against the Section 162(m) Limitation.

Option Exercise. Options granted under the 2000 Plan may become exercisable in cumulative increments, or "vest," as determined by the board. Shares covered by currently outstanding options under the 2000 Plan typically vest monthly during the participant's employment by, or service as a director or consultant to, Rigel or an affiliate (collectively, "service"), and certain options do not begin to vest until the first anniversary of such grant dates. Shares covered by options granted in the future under the 2000 Plan may be subject to different vesting terms. Our board of directors has the power to accelerate the time during which an option may vest or be exercised. In addition, options granted under the 2000 Plan may permit exercise prior to vesting, but in such event the participant may be required to enter into an early exercise stock purchase agreement that allows Rigel to repurchase unvested shares, generally at their exercise price, should the participant's service terminate before vesting. To the extent provided by the terms of an option, a participant may satisfy any federal, state or local tax withholding obligation relating to the exercise of such option by a cash payment upon exercise, by authorizing Rigel to withhold a portion of the stock otherwise issuable to the participant, by delivering already-owned Rigel common stock or by a combination of these means.

Term. The maximum term of options under the 2000 Plan is ten years, except that in certain cases (see "Eligibility") the maximum term is five years. Options under the 2000 Plan generally terminate three months after termination of the participant's service unless (i) such termination is due to the participant's permanent and total disability (as defined in the Code), in which case the option may, but need not, provide that it may be exercised (to the extent the option was exercisable at the time of the termination of service) at any time within 12 months of such termination; (ii) the participant dies before the participant's service has terminated, or within three months after termination of such service, in which case the option may, but need not, provide that it may be exercised (to the extent the option was exercisable at the time of the participant's death) within 18 months of the participant's death by the person or persons to whom the rights to such option pass by will or by the laws of descent and distribution; or (iii) the option by its terms specifically provides otherwise. A participant may designate a beneficiary who may exercise the option following the participant's death. Individual option grants by their terms may provide for exercise within a longer period of time following termination of service.

A participant's option agreement may provide that if the exercise of the option following the termination of the participant's service would be prohibited because the issuance of stock would violate the registration requirements under the Securities Act, then the option will terminate on the earlier of (i) the expiration of the term of the option or (ii) three months after the termination of the participant's service during which the exercise of the option would not be in violation of such registration requirements.

TERMS OF STOCK BONUSES AND PURCHASES OF RESTRICTED STOCK

Payment. Our board of directors determines the purchase price under a restricted stock purchase agreement, but the purchase price may not be less than 85% of the fair market value of our common stock on the date of purchase. Our board of directors may award stock bonuses in consideration of past services without a purchase payment.

37

The purchase price of stock acquired pursuant to a restricted stock purchase agreement under the 2000 Plan must be paid either in cash at the time of purchase or, at the discretion of the board, (i) pursuant to a deferred payment arrangement or (ii) in any other form of legal consideration acceptable to the board.

Vesting. Shares of stock sold or awarded under the 2000 Plan may, but need not, be subject to a repurchase option in favor of Rigel in accordance with a vesting schedule as determined by the board. Our board of directors has the power to accelerate the vesting of stock acquired pursuant to a restricted stock purchase agreement under the 2000 Plan.

Restrictions on Transfer. Rights under a stock bonus or restricted stock bonus agreement may not be transferred except where such assignment is expressly authorized by the terms of the applicable stock bonus or restricted stock purchase agreement.

RESTRICTIONS ON TRANSFER

The participant may not transfer an incentive stock option otherwise than by will or by the laws of descent and distribution. During the lifetime of the participant, only the participant may exercise an incentive stock option. The board may grant nonstatutory stock options that are transferable to the extent provided in the stock option agreement. Shares subject to repurchase by Rigel under an early exercise stock purchase agreement may be subject to restrictions on transfer that the board deems appropriate.

ADJUSTMENT PROVISIONS

Transactions not involving receipt of consideration by Rigel, such as a merger, consolidation, reorganization, stock dividend or stock split, may change the type(s), class(es) and number of shares of common stock subject to the 2000 Plan and outstanding awards. In that event, the 2000 Plan will be appropriately adjusted as to the type(s), class(es) and the maximum number of shares of common stock subject to the 2000 Plan and the Section 162(m) Limitation, and outstanding awards will be adjusted as to the type(s), class(es), number of shares and price per share of common stock subject to such awards.

EFFECT OF CERTAIN CORPORATE EVENTS

In the event of (i) a sale, lease or other disposition of all or substantially all of our securities or assets, (ii) a merger or consolidation in which Rigel is not the surviving corporation or (iii) a reverse merger in which Rigel is the surviving corporation but the shares of common stock outstanding immediately preceding the merger are converted by virtue of the merger into other property, whether in the form of securities, cash or otherwise, any surviving or acquiring corporation may continue or assume awards outstanding under the 2000 Plan or may substitute similar awards. If any surviving or acquiring corporation does not assume such awards or substitute similar awards, then with respect to awards held by participants whose service with Rigel or an affiliate has not terminated as of the effective date of the corporate transaction, the vesting of such awards (and, if applicable, the time during which such awards may be exercised) will be accelerated in full, and the awards will terminate if not exercised (if applicable) at or prior to such effective date. Options granted under the 1997 Stock Option Plan will be subject to the foregoing provisions upon a dissolution or liquidation of the Company.

The 2000 Plan provides that, in the event of a dissolution or liquidation of Rigel, all outstanding awards will terminate prior to such event.

The acceleration of an award in the event of a corporate transaction or a change in control event may be viewed as an anti-takeover provision, which may have the effect of discouraging a proposal to acquire or otherwise obtain control of Rigel.

38

DURATION, AMENDMENT AND TERMINATION

Our board of directors may suspend or terminate the 2000 Plan without stockholder approval or ratification at any time or from time to time. Unless sooner terminated, the 2000 Plan will terminate on April 23, 2013.

Our board of directors may also amend the 2000 Plan at any time or from time to time. However, no amendment will be effective unless approved by our stockholders within 12 months before or after its adoption by the board if the amendment would (i) modify the requirements as to eligibility for participation (to the extent such modification requires stockholder approval in order for the 2000 Plan to satisfy Section 422 of the Code, if applicable, or Rule 16b-3 of the Exchange Act); (ii) increase the number of shares reserved for issuance upon exercise of awards; or (iii) change any other provision of the 2000 Plan in any other way if such modification requires stockholder approval in order to comply with Rule 16b-3 of the Exchange Act or satisfy the requirements of Section 422 of the Code or any securities exchange listing requirements. Our board of directors may submit any other amendment to the 2000 Plan for stockholder approval, including, but not limited to, amendments intended to satisfy the requirements of Section 162(m) of the Code regarding the exclusion of performance-based compensation from the limitation on the deductibility of compensation paid to certain employees.

FEDERAL INCOME TAX INFORMATION

Incentive Stock Options. Incentive stock options under the 2000 Plan are intended to be eligible for the favorable federal income tax treatment accorded "incentive stock options" under the Code.

There generally are no federal income tax consequences to the participant or Rigel by reason of the grant or exercise of an incentive stock option. However, the exercise of an incentive stock option may increase the participant's alternative minimum tax liability, if any.

If a participant holds stock acquired through exercise of an incentive stock option for more than two years from the date on which the option is granted and more than one year from the date on which the shares are transferred to the participant upon exercise of the option, any gain or loss on a disposition of such stock will be a long-term capital gain or loss.

Generally, if the participant disposes of the stock before the expiration of either of these holding periods (a "disqualifying disposition"), then at the time of disposition the participant will realize taxable ordinary income equal to the least of (i) the excess of the stock's fair market value on the date of exercise over the exercise price or (ii) the participant's actual gain, if any, on the purchase and sale. The participant's additional gain or any loss upon the disqualifying disposition will be a capital gain or loss, which will be long-term or short-term depending on whether the stock was held for more than one year.

To the extent the participant recognizes ordinary income by reason of a disqualifying disposition, Rigel will generally be entitled (subject to the requirement of reasonableness, the provisions of Section 162(m) of the Code and the satisfaction of a tax reporting obligation) to a corresponding business expense deduction in the tax year in which the disqualifying disposition occurs.

Nonstatutory Stock Options, Restricted Stock Purchase Awards and Stock Bonuses. Nonstatutory stock options, restricted stock purchase awards and stock bonuses granted under the 2000 Plan generally have the following federal income tax consequences.

There are no tax consequences to the participant or Rigel by reason of the grant. Upon acquisition of the stock, the participant normally will recognize taxable ordinary income equal to the excess, if any, of the stock's fair market value on the acquisition date over the purchase price. However, to the extent the stock is subject to certain types of vesting restrictions, the taxable event will be delayed until the vesting restrictions lapse unless the participant elects to be taxed on receipt of the stock. Upon the

39

receipt of shares under a restricted stock award, the participant normally will recognize ordinary income equal to the excess of the stock's fair market value over the purchase price, if any, for the restricted stock. However, an exception to this general rule may apply if the stock is subject to certain types of vesting restrictions such that it is subject to a "substantial risk of forfeiture" (as defined in Section 83 of the Code). In such event, unless the participant makes a Section 83(b) election under the Code within 30 days after the acquisition of the restricted stock, he or she generally will not recognize any income until such "substantial risk of forfeiture" lapses, and the income recognized will be based on the fair market value of the stock on such future date. In addition, the participant's holding period for purposes of determining the long-term or short-term nature of any capital gain or loss recognized on a subsequent disposition of the stock will begin on the date the "substantial risk of forfeiture" lapses. If a participant files a Section 83(b) election, he or she must report ordinary income equal to the difference between the stock's fair market value and the purchase price, if any. When the participant later sells such shares, any additional gain or any loss will be characterized as capital gain or loss, which will be long-term or short-term depending on the length of time the shares are held.

With respect to employees, Rigel is generally required to withhold from regular wages or supplemental wage payments an amount based on the ordinary income recognized. Subject to the requirement of reasonableness, the provisions of Section 162(m) of the Code and the satisfaction of a tax reporting obligation, Rigel will generally be entitled to a business expense deduction equal to the taxable ordinary income realized by the participant.

Upon disposition of the stock, the participant will recognize a capital gain or loss equal to the difference between the selling price and the sum of the amount paid for such stock plus any amount recognized as ordinary income upon acquisition (or vesting) of the stock. Such gain or loss will be long-term or short-term depending on whether the stock was held for more than one year. Slightly different rules may apply to participants who acquire stock subject to certain repurchase options or who are subject to Section 16(b) of the Exchange Act.

Potential Limitation on Company Deductions. Section 162(m) of the Code denies a deduction to any publicly held corporation for compensation paid to certain "covered employees" in a taxable year to the extent that compensation to such covered employee exceeds \$1 million. It is possible that compensation attributable to awards, when combined with all other types of compensation received by a covered employee from Rigel, may cause this limitation to be exceeded in any particular year.

Certain kinds of compensation, including qualified "performance-based compensation," are disregarded for purposes of the deduction limitation. In accordance with Treasury Regulations issued under Section 162(m), compensation attributable to stock options will qualify as performance-based compensation if the award is granted by a compensation committee comprised solely of "outside directors," the plan specifies the class of employees eligible to receive such awards and contains a per-employee limitation on the number of shares for which such

awards may be granted during a specified period, the eligible employee class and per-employee limitation are approved by the stockholders, and the exercise price of the award is no less than the fair market value of the stock on the date of grant.

Stock options with exercise prices of less than fair market value on the grant date, awards to purchase restricted stock and stock bonus awards will qualify as performance-based compensation under the Treasury Regulations issued under Section 162(m) only if (i) the award is granted by a compensation committee comprised solely of "outside directors," (ii) the award is granted (or exercisable) only upon the achievement of an objective performance goal established in writing by the compensation committee while the outcome is substantially uncertain, (iii) the compensation committee certifies in writing prior to the granting (or exercisability) of the award that the performance goal has been satisfied and (iv) prior to the granting (or exercisability) of the award, stockholders have approved the material terms of the award (including the class of employees eligible for such award, the business

40

criteria on which the performance goal is based, and the maximum amount or formula used to calculate the amount payable upon attainment of the performance goal).

The following table provides certain information with respect to all of our equity compensation plans in effect as of December 31, 2002.

| Plan Category | Number of securities to be issued upon exercise of outstanding options, warrants and rights (a) | ey outs | eighted-average kercise price of standing options, rrants and rights (b) | Number of securities remaining available for issuance under equity compensation plans (excluding securities reflected in column (a)) (c) |
|-------------------------------|---|------------|--|---|
| Equity compensation plans | | | | |
| approved by security holders | 5,451,302 | \$ | 3.43 | 1,168,302 |
| Equity compensation plans not | | | | |
| approved by security holders | 1,013,786 | \$ | 3.70 | 2,486,214 |
| Total | 6,465,090 | \$ | 3.47 | 3,654,516 |

Equity Compensation Plan Information

In July 2001, we adopted the 2001 Plan without the approval of our stockholders. The 2001 Plan, which will be merged into the 2000 Plan if Proposal 3 is approved, is described above in this Proposal 3. Additional information regarding the 2000 Plan and its evergreen feature is also set forth above in this Proposal 3, and information regarding our 2000 Employee Stock Purchase Plan, its evergreen feature and its reserves can be found in "Proposal 5 Amendment of the 2000 Employee Stock Purchase Plan."

41

PROPOSAL 4

AMENDMENT OF THE 2000 NON-EMPLOYEE DIRECTORS' STOCK OPTION PLAN

In August 2000, our board of directors adopted, and the stockholders subsequently approved, our 2000 Non-Employee Directors' Stock Option Plan (the "Directors' Plan"). As of April 29, 2003, 300,000 shares of common stock were authorized for issuance under the Directors' Plan, options (net of canceled or expired options) covering an aggregate of 45,000 shares of common stock had been granted under the Directors' Plan and only 255,000 shares of common stock (plus any shares that might in the future be returned to the Directors' Plan as a result of cancellations or expiration of options) remained available for future grant under the Directors' Plan. All share numbers set forth in this Proposal 4 reflect pre-split numbers before giving effect to the proposed Reverse Stock Split.

In April 2003, our board of directors amended the Directors' Plan, subject to stockholder approval and consummation of the Private Placement, to increase the number of shares of common stock authorized for issuance under the Directors' Plan by 600,000 shares, from a total of 300,000 shares to a total of 900,000 shares. Our board of directors adopted this amendment in order to ensure that we can continue to grant stock options at levels in accordance with our automatic grant policy. In addition, our board of directors' Plan. Under the Directors' Plan, subject to stockholder approval, to increase the size of the initial and annual grants awarded under the Directors' Plan. Under the Directors' Plan, as proposed to be amended, the size of initial grants would be increased from 20,000 to 60,000 shares, and the size of annual grants would be increased from 5,000 to 15,000 shares. Our board of directors took this action so that we can continue to attract, motivate and retain our non-employee directors.

Stockholders are requested in this Proposal 4 to approve the Directors' Plan, as amended, effective as of the closing of the Private Placement. The affirmative vote of the holders of a majority of the shares present in person or represented by proxy and entitled to vote at the meeting will be required to approve the Directors' Plan, as amended. Abstentions will be counted toward the tabulation of votes cast on proposals presented to the stockholders and will have the same effect as negative votes. Broker non-votes are counted towards a quorum, but are not counted for any purpose in determining whether this matter has been approved.

THE BOARD OF DIRECTORS RECOMMENDS A VOTE IN FAVOR OF PROPOSAL 4.

The essential features of the Directors' Plan are outlined below:

GENERAL

The Directors' Plan provides for the automatic grant of nonstatutory stock options. Options granted under the Directors' Plan are not intended to qualify as "incentive stock options" within the meaning of Section 422 of the Code. See "Federal Income Tax Information" for a discussion of the tax treatment of nonstatutory stock options.

PURPOSE

Our board of directors adopted the Directors' Plan to provide a means by which non-employee directors of Rigel may be given an opportunity to purchase stock in Rigel, to assist in retaining the services of such persons, to secure and retain the services of persons capable of filling such positions and to provide incentives for such persons to exert maximum efforts for the success of Rigel. Five of our current directors are eligible to participate in the Directors' Plan.

42

ADMINISTRATION

Our board of directors administers the Directors' Plan. The board has the power to construe and interpret the Directors' Plan but not to determine the persons to whom or the dates on which options will be granted, the number of shares to be subject to each option, the time or times during the term of each option within which all or a portion of such option may be exercised, the exercise price, the type of consideration or the other terms of the option.

The board may not delegate administration of the Directors' Plan to a committee.

ELIGIBILITY

The Directors' Plan provides that options may be granted only to non-employee directors of Rigel. A "non-employee director" is defined in the Directors' Plan as a director of Rigel who is not otherwise an employee of Rigel or any affiliate.

STOCK SUBJECT TO THE DIRECTORS' PLAN

Subject to this Proposal 4 and consummation of the Private Placement, an aggregate of 900,000 shares of common stock is reserved for issuance under the Directors' Plan. If options granted under the Directors' Plan expire or otherwise terminate without being exercised, the shares of common stock not acquired pursuant to such options again become available for issuance under the Directors' Plan.

TERMS OF OPTIONS

The following is a description of the terms of options granted under the Directors' Plan. Individual option grants may not be more restrictive as to the terms described below except as otherwise noted.

Automatic Grants. Subject to this Proposal 4 and consummation of the Private Placement, each person who is elected or appointed for the first time to be a non-employee director automatically is granted an option to purchase 60,000 shares of our common stock on the date of his or her initial election or appointment as a non-employee director. Further, the Directors' Plan, as proposed, provides for the automatic, non-discretionary grant of an option to purchase 15,000 shares of our common stock to each non-employee director on the day following each annual meeting of our stockholders, if such non-employee director continues to serve as a director on such date, prorated to reflect any quarters during which he or she did not serve as a non-employee director.

Exercise Price; Payment. The exercise price of each option granted under the Directors' Plan is 100% of the fair market value of the stock subject to the option on the date of the grant. As of April 29, 2003, the closing price of our common stock as reported on the Nasdaq National Market was \$1.01 per share.

The exercise price of options granted under the Directors' Plan must be paid (i) in cash at the time the option is exercised, (ii) by delivery of other Rigel common stock owned by the participant for at least six months (or such other period of time required to avoid a charge to earnings for financial accounting purposes), (iii) pursuant to a broker-assisted exercise program established under Regulation T as promulgated by the Federal Reserve board or (iv) by a combination of the foregoing means.

Repricing. In the event of a decline in the value of our common stock, our board of directors has the authority to offer participants the opportunity to reduce the exercise price of outstanding options or to replace outstanding options with new options and/or cash.

Option Exercise. Options granted under the Directors' Plan become exercisable in cumulative increments, or "vest," during the participant's service as a director of Rigel or during any subsequent

43

employment of the participant and/or service by the participant as an employee or a consultant to Rigel or an affiliate (collectively, "service"). Options granted under the Directors' Plan vest in equal monthly installments over 24 months. Options granted under the Directors' Plan do not permit exercise prior to vesting.

Term. The term of options under the Directors' Plan is ten years. Options under the Directors' Plan generally terminate three months after termination of the participant's service unless (i) such termination is due to the participant's permanent and total disability (as defined in the Code), in which case the option may, but need not, provide that it may be exercised (to the extent the option was exercisable at the time of the termination of service) at any time within 12 months of such termination; (ii) the participant dies before the participant's service has terminated, or within three months after termination of such service, in which case the option may, but need not, provide that it may be exercised (to the extent the option was exercisable at the time of the participant's death) within 18 months of the participant's death by the person or persons to whom the rights to such option pass by will or by the laws of descent and distribution; or (iii) the option by its terms specifically provides otherwise. A participant may designate a beneficiary who may exercise the option following the participant's death. Individual option grants by their terms may provide for exercise within a longer period of time following termination of service.

A participant's option agreement may provide that if the exercise of the option following the termination of the participant's service would be prohibited because the issuance of stock would violate the registration requirements under the Securities Act, then the option will terminate on the earlier of (i) the expiration of the term of the option or (ii) three months after the termination of the participant's service during which the exercise of the option would not be in violation of such registration requirements.

Other Provisions. The option agreement may contain other terms, provisions and conditions not inconsistent with the Directors' Plan, as determined by our board of directors.

RESTRICTIONS ON TRANSFER

The participant may not transfer an option except by will or by the laws of descent and distribution, by instrument to an inter vivos or testamentary trust or by gift to a member of the "immediate family" of the participant as that term is defined in 17 C.F.R. 240.16a-1(e). During the lifetime of the participant, an option may be exercised only by the participant or permitted transferee.

ADJUSTMENT PROVISIONS

Transactions not involving receipt of consideration by Rigel, such as a merger, consolidation, reorganization, stock dividend, stock split or other capitalization adjustment may change the class and number of shares of common stock subject to the Directors' Plan and outstanding options. In that event, the Directors' Plan will be appropriately adjusted as to the class and the maximum number of shares of common stock subject to the Directors' Plan, and outstanding options will be adjusted as to the class, number of shares and price per share of common stock subject to such options.

EFFECT OF CERTAIN CORPORATE EVENTS

The Directors' Plan provides that, in the event of (i) a sale, lease or other disposition of all or substantially all of our securities or assets, (ii) a merger or consolidation in which Rigel is not the surviving corporation or (iii) a reverse merger in which Rigel is the surviving corporation but the shares of common stock outstanding immediately preceding the merger are converted by virtue of the merger into other property, whether in the form of securities, cash or otherwise, any surviving or acquiring corporation may continue or assume awards outstanding under the Directors' Plan or may substitute similar awards. If any surviving or acquiring corporation does not assume such awards or substitute

44

similar awards, then with respect to awards held by participants whose service with Rigel or an affiliate has not terminated as of the effective date of the corporate transaction, the vesting of such awards (and, if applicable, the time during which such awards may be exercised) will be accelerated in full and the awards will terminate if not exercised (if applicable) at or prior to such effective date. In the event of a dissolution or liquidation of Rigel, all outstanding awards will terminate prior to such event. The acceleration of an award in the event of a corporate transaction or a change in control event may be viewed as an anti-takeover provision, which may have the effect of discouraging a proposal to acquire or otherwise obtain control of Rigel.

DURATION, AMENDMENT AND TERMINATION

Our board of directors may suspend or terminate the Directors' Plan without stockholder approval or ratification. Unless sooner terminated, the Directors' Plan will terminate at the time that all shares of common stock reserved for issuance under the Directors' Plan have been issued.

Our board of directors may also amend the Directors' Plan and the options outstanding thereunder at any time or from time to time. However, no amendment of the Directors' Plan may impair the rights and obligations of a participant under any options granted under the Directors' Plan prior to the amendment unless the participant consents in writing. In addition, no amendment will be effective unless approved by our stockholders within 12 months before or after its adoption by the board if the amendment would (i) modify the requirements as to eligibility for participation (to the extent such modification requires stockholder approval in order for the Directors' Plan to satisfy Rule 16b-3 of the Exchange Act); (ii) increase the number of shares reserved for issuance upon exercise of options; or (iii) change any other provision of the Directors' Plan in any other way if such modification requires stockholder approval in order to comply with Rule 16b-3 of the Exchange Act or satisfy the requirements of Section 162(m) of the Code or any securities exchange listing requirements. Our board of directors may submit any other amendment to the Directors' Plan for stockholder approval.

FEDERAL INCOME TAX INFORMATION

Nonstatutory Stock Options. Nonstatutory stock options granted under the Directors' Plan generally have the following federal income tax consequences.

There are no tax consequences to the participant or Rigel by reason of the grant. Upon acquisition of the stock, the participant normally will recognize taxable ordinary income equal to the excess, if any, of the stock's fair market value on the acquisition date over the exercise price.

Upon disposition of the stock, the participant will recognize a capital gain or loss equal to the difference between the selling price and the sum of the amount paid for such stock plus any amount recognized as ordinary income upon acquisition of the stock. Such gain or loss will be long-term or short-term depending on whether the stock was held for more than one year.

NEW PLAN BENEFITS

The following table presents certain information with respect to options to be granted under the Directors' Plan in 2003, subject to the stockholders' approval of the increase in the number of shares authorized for issuance under the Directors' Plan, to all of our non-employee directors.

Rigel Pharmaceuticals, Inc. 2000 Non-Employee Directors' Stock Option Plan

| Name | Number of Shares Underlying Options to be Granted |
|---|---|
| Jean Deleage | 15,000 |
| Alan D. Frazier | 15,000 |
| Walter H. Moos | 15,000 |
| Stephen A. Sherwin | 15,000 |
| Thomas S. Volpe | 15,000 |
| All Non-Employee Directors as a Group (5 Persons) 46 | 75,000 |

PROPOSAL 5

AMENDMENT OF THE 2000 EMPLOYEE STOCK PURCHASE PLAN

In August 2000, our board of directors adopted, and the stockholders subsequently approved, Rigel's 2000 Employee Stock Purchase Plan (the "Purchase Plan"). A total of 400,000 shares of our common stock were initially reserved for issuance under the Purchase Plan. The Purchase Plan has an evergreen feature, which provides for automatic annual increases in the number of shares available for issuance under the Purchase Plan on each of the first nine anniversaries of the effective date of the Purchase Plan. Prior to an amendment in April 2003 (as described below), the annual increase was equal to the least of 400,000 shares, 1% of the outstanding shares on the date of the annual increase or such amount as may be determined by our board of directors. The number of shares reserved for future issuance under the Purchase Plan was increased by 400,000 shares during 2002 and by 376,587 shares during 2001. All share numbers set forth in this Proposal 5 reflect pre-split numbers before giving effect to the proposed Reverse Stock Split.

In April 2003, our board of directors amended the Purchase Plan, subject to stockholder approval and consummation of the Private Placement, to (i) increase the aggregate number of shares of common stock authorized for issuance under the Purchase Plan by 600,000 shares and (ii) change the evergreen feature of the plan. The amendment provides that the increase in the number of shares reserved automatically pursuant to the evergreen feature will be equal to the least of 1% of the outstanding shares on the date of the annual increase, 800,000 shares or such amount as may be determined by the board. Our board of directors adopted this amendment in order to ensure that we can continue to grant purchase rights at levels determined appropriate by our board of directors.

During the 2002 fiscal year, shares of common stock were purchased in the amounts and at the weighted-average prices per share under the Purchase Plan as follows: James M. Gower: 5,479 shares at \$2.91; Raul Rodriguez: 3,341 shares at \$1.44; James H. Welch: 2,507 shares at \$1.44; all current executive officers as a group: 11,327 shares at \$2.15; and all employees (excluding executive officers) as a group: 162,726 shares at \$2.07.

As of April 29, 2003, an aggregate of 294,511 shares of our common stock had been granted under the Purchase Plan. Only 882,076 shares of common stock (plus any shares that might in the future be returned to the Purchase Plan as a result of cancellations or expiration of purchase rights) remained available for future grant under the Purchase Plan.

Stockholders are requested in this Proposal 5 to approve the Purchase Plan, as amended, effective as of the closing of the Private Placement. The affirmative vote of the holders of a majority of the shares present in person or represented by proxy and entitled to vote at the meeting will be required to approve the Purchase Plan, as amended. Abstentions will be counted toward the tabulation of votes cast on proposals presented to the stockholders and will have the same effect as negative votes. Broker non-votes are counted towards a quorum, but are not counted for any purpose in determining whether this matter has been approved.

THE BOARD OF DIRECTORS RECOMMENDS A VOTE IN FAVOR OF PROPOSAL 5.

47

The essential features of the Purchase Plan are outlined below:

PURPOSE

The purpose of the Purchase Plan is to provide a means by which employees of Rigel (and any of our affiliates designated by our board of directors to participate in the Purchase Plan) may be given an opportunity to purchase our common stock through payroll deductions, to assist Rigel in retaining the services of our employees, to secure and retain the services of new employees and to provide incentives for such persons to exert maximum efforts for the success of Rigel. All of our approximately 135 employees are eligible to participate in the Purchase Plan.

The rights to purchase common stock granted under the Purchase Plan are intended to qualify as options issued under an "employee stock purchase plan" as that term is defined in Section 423(b) of the Code.

ADMINISTRATION

Our board of directors administers the Purchase Plan and has the final power to construe and interpret both the Purchase Plan and the rights granted under it. The board has the power, subject to the provisions of the Purchase Plan, to determine when and how rights to purchase Rigel common stock will be granted and the provisions of each offering of such rights (which need not be identical).

Our board of directors has the power to delegate administration of the Purchase Plan to a committee composed of not fewer than two members of the board. The board has delegated administration of the Purchase Plan to the compensation committee of the board. As used herein with respect to the Purchase Plan, the "board" refers to any committee the board appoints and to the board.

STOCK SUBJECT TO PURCHASE PLAN

Subject to this Proposal 5 and consummation of the Private Placement, an aggregate of 1,776,587 shares of common stock is reserved for issuance under the Purchase Plan. Subject to this Proposal and consummation of the Private Placement, the increase in the number of shares reserved automatically is equal to the least of 1% of the outstanding shares on the date of the annual increase, 800,000 shares or such amount as may be determined by the board. If rights granted under the Purchase Plan expire, lapse or otherwise terminate without being exercised, the shares of common stock not purchased under such rights again become available for issuance under the Purchase Plan.

OFFERINGS

The Purchase Plan is implemented by offerings of rights to all eligible employees from time to time by our board of directors. The maximum length for an offering under the Purchase Plan is 27 months. Currently, under the Purchase Plan, each offering is 24 months long and is divided into four shorter "purchase periods" approximately six months long.

ELIGIBILITY

Any person who is customarily employed at least 20 hours per week and five months per calendar year by Rigel (or by any parent or subsidiary of Rigel designated by the board) on the first day of an offering is eligible to participate in that offering, provided such employee has been continuously employed by Rigel or the designated parent or subsidiary corporation for such period preceding the grant as the board or committee may require, but in no event will the required period of continuous employment be greater than two years. Officers of Rigel who are "highly compensated" as defined in the Code may be eligible to participate in the offerings, unless the board provides otherwise.

However, no employee is eligible to participate in the Purchase Plan if, immediately after the grant of purchase rights, the employee would own, directly or indirectly, stock possessing 5% or more of the total combined voting power or value of all classes of stock of Rigel or of any parent or subsidiary of Rigel (including any stock which such employee may purchase under all outstanding rights and options). In addition, no employee may accrue the right to purchase more than \$25,000 worth of common stock (determined at the fair market value of the shares at the time such rights are granted) under all employee stock purchase plans of Rigel and our parent and subsidiary corporations in any calendar year. In addition to the preceding limitation, under the current offering, no employee may purchase more than 5,000 shares of common stock on any purchase date during the offering.

⁴⁸

PARTICIPATION IN THE PLAN

Eligible employees enroll in the Purchase Plan by delivering to Rigel, prior to the date selected by the board as the offering date for the offering, an agreement authorizing payroll deductions of up to 15% of such employees' total compensation during the offering.

PURCHASE PRICE

The purchase price per share at which shares of common stock are sold in an offering under the Purchase Plan is the lower of (i) 85% of the fair market value of a share of common stock on first day of the offering or (ii) 85% of the fair market value of a share of common stock on the purchase date, as set by the board.

PAYMENT OF PURCHASE PRICE; PAYROLL DEDUCTIONS

The purchase price of the shares is accumulated by payroll deductions over the offering. At any time during the offering, a participant may reduce or terminate his or her payroll deductions as the board provides in the offering. A participant may increase or begin such payroll deductions after the beginning of the offering only as provided for in the offering. All payroll deductions made for a participant are credited to his or her account under the Purchase Plan and deposited with the general funds of Rigel. A participant may make additional payments into such account only if specifically provided for in the offering and only if the participant has not had the maximum amount withheld during the offering.

PURCHASE OF STOCK

By executing an agreement to participate in the Purchase Plan, the employee is entitled to purchase shares under the Purchase Plan. In connection with offerings made under the Purchase Plan, the board specifies a maximum number of shares of common stock an employee may be granted the right to purchase and the maximum aggregate number of shares of common stock that may be purchased pursuant to such offering by all participants. If the aggregate number of shares to be purchased upon exercise of rights granted in the offering would exceed the maximum aggregate number of shares of common stock available, the board would make a pro rata allocation of available shares in a uniform and equitable manner. Unless the employee's participation is discontinued, his or her right to purchase shares is exercised automatically on the purchase date, as set by the board, at the applicable price. See "Withdrawal" below.

WITHDRAWAL

While each participant in the Purchase Plan is required to sign an agreement authorizing payroll deductions, the participant may withdraw from a given offering by terminating his or her payroll deductions and by delivering to Rigel a notice of withdrawal from the Purchase Plan. Such withdrawal may be elected at any time during the offering except as provided by the board in the offering.

49

Upon any withdrawal from an offering by the employee, Rigel will distribute to the employee his or her accumulated payroll deductions without interest, less any accumulated deductions previously applied to the purchase of shares of common stock on the employee's behalf during such offering, and such employee's interest in the offering will be automatically terminated. The employee is not entitled to again participate in that offering. However, an employee's withdrawal from an offering will not have any effect upon such employee's eligibility to participate in subsequent offerings under the Purchase Plan.

TERMINATION OF EMPLOYMENT

Rights granted pursuant to any offering under the Purchase Plan terminate immediately upon cessation of an employee's employment for any reason, and Rigel will distribute to such employee all of his or her accumulated payroll deductions, without interest.

RESTRICTIONS ON TRANSFER

Rights granted under the Purchase Plan are not transferable and may be exercised only by the person to whom such rights are granted. A participant may designate a beneficiary who is to receive any shares and cash, if any, from the participant's account under the Purchase Plan in the event of such participant's death after the offering but prior to delivery to the participant of the shares and cash, or who is to receive the cash from the participant's account in the event of such participant's death during an offering.

ADJUSTMENT PROVISIONS

Transactions not involving receipt of consideration by Rigel, such as a reincorporation, combination, reclassification, stock dividend or stock split, may change the type(s), class(es) and number of shares of common stock subject to the Purchase Plan and to outstanding purchase rights. In that event, the Purchase Plan will be appropriately adjusted in the type(s), class(es) and maximum number of shares subject to the Purchase Plan and the outstanding purchase rights granted under the Purchase Plan will be appropriately adjusted in the type(s), class(es), number of shares and purchase rights.

EFFECT OF CERTAIN CORPORATE TRANSACTIONS

In the event of (i) a dissolution, liquidation or sale of all or substantially all of the securities or assets of Rigel, (ii) a merger or consolidation in which Rigel is not the surviving corporation or (iii) a reverse merger in which Rigel is the surviving corporation but the shares of common stock outstanding immediately preceding the merger are converted by virtue of the merger into other property, whether in the form of securities, cash or otherwise, any surviving corporation may continue or assume rights outstanding under the Purchase Plan or may substitute similar rights. If any surviving corporation does not assume such rights or substitute similar rights, then the participants' accumulated payroll deductions will be used to purchase shares of common stock immediately prior to the corporate transaction under the ongoing offering and the participants' rights under the ongoing offering will terminate immediately after such purchase.

DURATION, AMENDMENT AND TERMINATION

Our board of directors may suspend or terminate the Purchase Plan at any time. Unless terminated earlier, the Purchase Plan will terminate on December 3, 2010.

Our board of directors may amend the Purchase Plan at any time. Any amendment of the Purchase Plan must be approved by the stockholders within 12 months of its adoption by the board if the amendment would (i) increase the number of shares of common stock reserved for issuance under

```
50
```

the Purchase Plan, (ii) modify the requirements relating to eligibility for participation in the Purchase Plan (to the extent such modification requires stockholder approval in order for the Purchase Plan to obtain employee stock purchase plan treatment under Section 423 of the Code or to comply with the requirements of Rule 16b-3 promulgated under the Exchange Act, or "Rule 16b-3"); or (iii) modify the Purchase Plan in any other way if such modification requires stockholder approval in order for the Purchase Plan to obtain employee stock purchase plan treatment under Section 423 of the Code or to comply with the requirements of Rule 16b-3.

Rights granted before amendment or termination of the Purchase Plan will not be altered or impaired by any amendment or termination of the Purchase Plan without consent of the employee to whom such rights were granted.

FEDERAL INCOME TAX INFORMATION

Rights granted under the Purchase Plan are intended to qualify for favorable federal income tax treatment associated with rights granted under an employee stock purchase plan which qualifies under provisions of Section 423 of the Code.

A participant will be taxed on amounts withheld for the purchase of shares of common stock as if such amounts were actually received. Otherwise, no income will be taxable to a participant until disposition of the acquired shares, and the method of taxation will depend upon the holding period of the acquired shares.

If the stock is disposed of more than two years after the beginning of the offering period and more than one year after the stock is transferred to the participant, then the lesser of (i) the excess of the fair market value of the stock at the time of such disposition over the exercise price or (ii) the excess of the fair market value of the stock as of the beginning of the offering period over the exercise price (determined as of the beginning of the offering period) will be treated as ordinary income. Any further gain or any loss will be taxed as a long-term capital gain or loss. At present, such capital gains generally are subject to lower tax rates than ordinary income.

If the stock is sold or disposed of before the expiration of either of the holding periods described above, then the excess of the fair market value of the stock on the exercise date over the exercise price will be treated as ordinary income at the time of such disposition. The balance of any gain will be treated as capital gain. Even if the stock is later disposed of for less than its fair market value on the exercise date, the same

amount of ordinary income is attributed to the participant, and a capital loss is recognized equal to the difference between the sales price and the fair market value of the stock on such exercise date. Any capital gain or loss will be short-term or long-term, depending on how long the stock has been held.

There are no federal income tax consequences to Rigel by reason of the grant or exercise of rights under the Purchase Plan. Rigel is entitled to a deduction to the extent amounts are taxed as ordinary income to a participant (subject to the requirement of reasonableness and the satisfaction of tax reporting obligations).

PROPOSAL 6

APPROVAL OF THE OPTION REPRICING

On April 24, 2003, the members of our board of directors authorized, subject to stockholder approval and consummation of the Private Placement, a program that would permit our eligible employees to exchange options issued under our 2000 Plan, 2001 Plan and Directors' Plan with an exercise price greater than a per share price to be determined by our board of directors based on the fair market value of our common stock at the time of the repricing (the "Eligible Options") for an equal number of options to be granted immediately after the cancellation of the Eligible Options (the "Replacement Options"). This proposed transaction is referred to as the "Option Exchange Program." The Option Exchange Program is expected to be open to all of our eligible employees, executive officers, directors and consultants. The Replacement Options are expected to have an exercise price per share equal to the closing price of our common stock as reported on the Nasdaq National Market on the date the Replacement Options are granted. The ratio of exchanged options to Replacement Options is expected to be 1-to-1.

Stockholders are requested in this Proposal 6 to approve the Option Exchange Program, subject to the closing of the Private Placement. The affirmative vote of the holders of a majority of the shares present in person or represented by proxy and entitled to vote at the meeting will be required to approve the Option Exchange Program. Abstentions will be counted toward the tabulation of votes cast on proposals presented to the stockholders and will have the same effect as negative votes. Broker non-votes are counted towards a quorum, but are not counted for any purpose in determining whether this matter has been approved.

THE BOARD OF DIRECTORS RECOMMENDS A VOTE IN FAVOR OF PROPOSAL 6.

BACKGROUND

Rigel's compensation philosophy is to retain and motivate our employees and other service providers through appropriate levels of cash and equity compensation. Many of our outstanding options have exercise prices that are significantly higher than the current market price of our common stock. For that reason, we believe that these options are unlikely to be exercised in the near future and are not providing proper incentives for our employees. By providing the Option Exchange Program, we intend to maximize stockholder value by creating better performance incentives for our employees and to improve employee morale and provide a proper incentive to our employees by realigning our compensation programs to more closely reflect current market and economic conditions.

Like many other companies in the biotechnology industry, our stock price experienced a decline in 2001 and 2002 during the overall stock market downturn. Unfortunately, sustained adverse economic conditions have had a prolonged negative effect on the entire biotechnology sector, including markets in which we operate. Also, as a result of the volatility in our stock price over the past few years, many of our employees, directors and other service providers hold options with exercise prices significantly higher than the current market price of our common stock. These "out-of-the-money" options are no longer effective as incentives to motivate and retain these employees. We believe the Option Exchange Program would provide an opportunity to inspire our employees to achieve future growth and success for the Company.

Although your approval of the Option Exchange Program is not required by law or regulation or by the terms of the 2000 Plan, the 2001 Plan or the Directors' Plan, we believe that sound corporate governance dictates that the Option Exchange Program should be submitted to our stockholders; however, no determination has been made as to what action the board of directors would take if it is not approved.

DESCRIPTION OF THE OPTION EXCHANGE PROGRAM

Implementing the Option Exchange Program. Our board of directors authorized the Option Exchange Program in April 2003, subject to stockholder approval and consummation of the Private Placement. If approved, we expect to offer eligible employees, executive officers, directors and consultants the opportunity to participate in the Option Exchange Program under a tender offer (an "Offer to Exchange") expected to be filed with the SEC in the second quarter of 2003. Such eligible employees, executive officers, directors and consultants would be given at least 20 business days to make an election to cancel all or a portion of their Eligible Options. We expect to issue the Replacement Options in the third quarter of 2003. Even if approved by our stockholders, our board of directors will retain the authority, in its discretion, to terminate or postpone the Option Exchange Program, at any time prior to the expiration of the Offer to Exchange.

Outstanding Options Eligible for the Option Exchange Program. As of April 29, 2003, options for approximately 5,335,064 shares (before giving effect to the proposed Reverse Stock Split) were outstanding under all of our equity compensation plans. Of these outstanding options, options to purchase shares of common stock having exercise prices greater than a per share price to be determined by our board of directors based on the fair market value of our common stock at the time of the repricing would be eligible for exchange under the Option Exchange Program.

Eligibility. The Option Exchange Program is expected to be open to all of our employees, executive officers, directors and consultants who hold Eligible Options. These persons are referred to as "Eligible Participants." To be eligible, an Eligible Participant must be employed by us at the time any Offer to Exchange commences. Additionally, an Eligible Participant who surrenders his or her Eligible Options for exchange must also be an employee on the date any Replacement Options are granted in order to receive the Replacement Options. Approximately 155 employees, executive officers, directors and consultants may hold Eligible Options.

Election to Participate. Under the Option Exchange Program, Eligible Participants would be able to make an election to exchange Eligible Options in exchange for Replacement Options covering the same number of shares of common stock. Our closing stock price as of April 29, 2003 was \$1.01. Assuming all options with exercise prices over \$1.01 were deemed Eligible Options then, as of April 30, 2003, options to purchase approximately 3,209,943 shares of common stock would be eligible to be exchanged.

Participation in the Option Exchange Program would be voluntary. An Eligible Participant who chooses to participate would not be obligated to tender each Eligible Option granted to him or her. The Eligible Participant would be free to elect to tender as many or as few of his or her Eligible Options as he or she wishes to tender. However, all available shares under each Eligible Option that is tendered for exchange must be surrendered.

Exercise Price of Replacement Options. All Replacement Options would be granted with an exercise price equal to the closing price of our common stock as reported on the Nasdaq National Market on the date of grant of the Replacement Options.

Vesting of Replacement Options. The Replacement Options would be completely unvested at the time of grant and would vest 20% on the six-month anniversary of the date of grant, an additional 20% on the 12-month anniversary of the date of grant and the remaining 60% would vest in equal monthly installments over the following two years, such that 100% of the Replacement Options would be vested by the third anniversary of the grant date.

Term of the Replacement Options. Each Replacement Option would have a term of three years from the date of grant.

53

Other Terms and Conditions of the Replacement Options. The other terms and conditions of the Replacement Options would be set forth in an option agreement to be entered into as of the Replacement Option grant date and would be comparable to the other terms and conditions of the Eligible Options. The Replacement Options would be granted under the 2000 Plan. The Replacement Options would be incentive stock options under U.S. tax laws to the extent permitted under such laws, regardless of the tax status of the Eligible Options tendered for exchange. The shares of common stock for which the Replacement Options would be exercisable would be registered with the SEC.

Accounting Treatment. We may have to record future compensation expenses as a result of the Offer to Exchange because (i) we would grant Replacement Options within six months and a day of the date we accept and cancel Eligible Options surrendered to us; (ii) the exercise price of the Replacement Options would be less than the exercise price of the Eligible Options surrendered to us on the date we grant the Replacement Options; and (iii) making the offer would cause any Eligible Options to be treated for financial reporting purposes as a variable award from the start date of the Option Exchange Program.

As a result of a decision to extend this Option Exchange Program to our employees, all Replacement Options, as well as any Eligible Options that are not surrendered under this Offer to Exchange, would be treated for financial reporting purposes as variable awards. This means that we would be required to record a non-cash accounting charge reflecting increases and decreases (down to, but not below, the exercise price) in the price of our common stock in compensation expense in connection with the Replacement Options and any Eligible Options that are not exchanged and cancelled. We would have to continue to reflect decreases and increases in the price of our common stock in our statement of operations with respect to these options until they are exercised, forfeited or terminated. The higher the market value of our common stock, the greater the compensation expense.

U.S. Federal Income Tax Consequences. The exchange of Eligible Options should be treated as a non-taxable exchange, and no income should be recognized for U.S. federal income tax purposes by Rigel or our employees upon the grant of the Replacement Options.

Potential Modification to Terms to Comply with Governmental Requirements. The terms of the Option Exchange Program would be described in an Offer to Exchange that would be filed with the SEC. Although we do not anticipate that the SEC would require us to modify the terms materially, it is possible that we would need to alter the terms of the Option Exchange Program to comply with comments from the SEC.

NEW PLAN BENEFITS

Because the decision whether to participate in the Option Exchange Program is completely voluntary, we are not able to predict who would participate or how many employees, directors and consultants would elect to exchange Eligible Options. As discussed above, members of our board of directors and our executive officers are expected to be eligible to participate in the Option Exchange Program. Outstanding options with exercise prices greater than a per share price to be determined by our board of directors based on the fair market value of our common stock at the time of the repricing would be Eligible Options.

EFFECT ON STOCKHOLDERS

We are not able to predict the impact the Option Exchange Program will have on your interests as a stockholder as we are unable to predict how many employees, executive officers, directors and consultants would exchange their Eligible Options or what the future market price of our stock would be on the grant date of the Replacement Options.

Eligible employees, executive officers, directors and consultants are expected to be offered the opportunity to participate in the Option Exchange Program under a tender offer expected to be filed with the SEC in the second quarter of 2003. This proxy statement shall not constitute an offer to sell or exchange or a solicitation of an offer to buy or exchange any securities in the Option Exchange Program, nor shall there be any sale or exchange of any securities in any state in which such offer, solicitation, sale or exchange would be unlawful prior to registration or qualification under the securities laws of such state or jurisdiction.

54

PROPOSAL 7

ELECTION OF DIRECTORS

Our amended and restated certificate of incorporation and bylaws provide that our board of directors shall be divided into three classes, with each class having a three-year term. Vacancies on the board of directors may be filled by persons elected by a majority of the remaining directors. A director elected by the board to fill a vacancy (including a vacancy created by an increase in the number of directors) shall serve for the remainder of the full term of the class of directors in which the vacancy occurred and until such director's successor is elected and qualified.

The board of directors is presently composed of seven members. There are two directors in Class III, the class whose term of office expires in 2003. Each of the nominees for election to this class is currently a director who was previously elected by our stockholders. If elected at the annual meeting, each of the nominees would serve until our 2006 annual meeting of stockholders and until his successor is elected and has qualified, or until his earlier death, resignation or removal.

If we consummate the Private Placement, as described above in "Proposal 1 Approval of the Private Placement," we will increase our board of directors from the current seven members to nine members. The two new directorships will be created in Class II and Class III. At that time, our board of directors will fill those two vacancies. Pursuant to the second investor rights agreement, MPM Capital will designate Dr. Dennis Henner as the Class II director (to serve until the 2005 annual meeting of stockholders) and Mr. Nick Simon as the Class III director (to serve until the 2006 annual meeting of stockholders). For so long as MPM Capital holds at least 10% of our outstanding common stock, we will use our commercially reasonable best efforts to cause MPM Capital's director designees to be nominated and elected to our board of directors. For so

long as MPM Capital has a director designee on our board of directors, we will use our commercially reasonable best efforts to appoint (i) one of MPM Capital's designees to the compensation committee of our board of directors and (ii) one of MPM Capital's designees to the nominating committee of our board of directors. In addition, for so long as MPM Capital holds at least 10% of our outstanding common stock, MPM Capital will be entitled to appoint a representative to attend all of the regularly scheduled meetings of our board of directors in a non-voting observer capacity.

Directors are elected by a plurality of the votes present in person or represented by proxy and entitled to vote at the meeting. Shares represented by executed proxies will be voted, if authority to do so is not withheld, for the election of the two nominees named below. In the event that any nominee should be unavailable for election as a result of an unexpected occurrence, such shares will be voted for the election of such substitute nominee as management may propose. Each person nominated for election has agreed to serve if elected, and management has no reason to believe that any nominee will be unable to serve.

Set forth below is biographical information for each person nominated and each person whose term of office as a director will continue after our annual meeting.

NOMINEES FOR ELECTION AS CLASS III DIRECTORS FOR A THREE-YEAR TERM EXPIRING AT THE 2006 ANNUAL MEETING

James M. Gower, age 54, has been our Chairman of the Board and Chief Executive Officer since October 2001. Mr. Gower joined us as our President, Chief Executive Officer and as a member of our board of directors in January 1997. From 1992 to March 1996, Mr. Gower was President and Chief Executive Officer of Tularik Inc., a biotechnology company developing small-molecule drugs regulating gene expression. Prior to Tularik, Mr. Gower spent ten years at Genentech, Inc., a biopharmaceutical company, where he most recently served as Senior Vice President. During his ten years at Genentech, Mr. Gower was responsible for business development and sales and marketing functions. In addition, he established and managed Genentech's foreign operations in Canada and Japan and served as

55

President of Genentech Development Corporation. Mr. Gower serves on the board of directors of Cell Genesys, Inc. He holds a BS and an MBA in operations research from the University of Tennessee.

Donald G. Payan, MD, age 54, is our co-founder, has been a member of our board of directors since July 1996 and has served as our Executive Vice President and Chief Scientific Officer since January 1997. From January 1997 to July 1998, he also served as our Chief Operating Officer. From July 1996 to January 1997, Dr. Payan served as our President and Chief Executive Officer. From December 1995 to May 1996, Dr. Payan was Vice President of AxyS Pharmaceuticals, Inc., a biopharmaceutical company. From September 1993 to December 1995, Dr. Payan was the founder and Executive Vice President and Chief Scientific Officer of Khepri Pharmaceuticals, Inc., which merged with AxyS Pharmaceuticals. Dr. Payan continues his association with the University of California, San Francisco, which began in 1982, where he is currently an Adjunct Professor of Medicine and Surgery. Dr. Payan holds a BS and an MD from Stanford University with AxyS Pharmaceuticals.

THE BOARD OF DIRECTORS RECOMMENDS A VOTE IN FAVOR OF EACH NAMED NOMINEE.

CLASS I DIRECTORS CONTINUING IN OFFICE UNTIL THE 2004 ANNUAL MEETING

Jean Deleage, PhD, age 62, joined us as a director in January 1997. Dr. Deleage is a founder and managing director of Alta Partners, a venture capital firm investing in information technologies and life science companies. Dr. Deleage is a managing partner of Burr, Egan, Deleage & Co., a venture capital firm that he founded in 1979. Dr. Deleage was a founder of Sofinnova, a venture capital organization in France, and Sofinnova, Inc., the U.S. subsidiary of Sofinnova. Dr. Deleage currently serves on the board of directors of Aclara Biosciences, Inc., Crucell, N.V., Kosan Biosciences, Inc. and Telik, Inc. Dr. Deleage received a Baccalaureate in France, a Masters Degree in electrical engineering from the Ecole Superieure d'Electricite and a PhD in economics from the Sorbonne.

Alan D. Frazier, age 51, joined us as a director in October 1997. In 1991, Mr. Frazier founded Frazier Healthcare Ventures, a venture capital firm, and has served as the managing principal since its inception. From 1983 to 1991, Mr. Frazier served as Executive Vice President, Chief Financial Officer and Treasurer of Immunex Corporation, a biopharmaceutical company. From 1980 to 1983, Mr. Frazier was a principal in the Audit Department of Arthur Young & Company (now Ernst & Young). He also serves on the board of trustees of the Fred Hutchinson Cancer Research Center. Mr. Frazier holds a BA in economics from the University of Washington.

CLASS II DIRECTORS CONTINUING IN OFFICE UNTIL THE 2005 ANNUAL MEETING

Walter H. Moos, PhD, age 48, joined us as a director in March 1997. Since 1997, Dr. Moos has served as the Chairman and Chief Executive Officer of MitoKor, a biotechnology company. From 1991 to 1997, he served as Corporate Vice President and Vice President, Research and Development in the Technologies Division of Chiron Corporation, a biotechnology company. From 1982 to 1991, Dr. Moos held several positions at the Parke-Davis Pharmaceutical Research Division of the Warner-Lambert Company, last holding the position of Vice President, Neuroscience and Biological Chemistry. He has been an Adjunct Professor at the University of California, San Francisco, since 1992. Dr. Moos holds an AB from Harvard University and a PhD in chemistry from the University of California, Berkeley.

Stephen A. Sherwin, MD, age 54, joined us as a director in March 2000. Since March 1990, he has served as Chief Executive Officer and director of Cell Genesys, Inc., and as Chairman of the Board of Cell Genesys since March 1994. From March 1990 to August 2001, Dr. Sherwin held the additional position of President of Cell Genesys. From 1983 to 1990, Dr. Sherwin held various positions at Genentech Inc., a biopharmaceutical company, most recently as Vice President, Clinical Research. Dr. Sherwin currently serves as Chairman of the Board of Ceregene, Inc., a majority-owned subsidiary

56

of Cell Genesys, and as a director of Neurocrine Biosciences, Inc. He received his MD from Harvard Medical School and his BA from Yale University.

Thomas S. Volpe, age 52, joined us as a director in August 2000. Mr. Volpe is the Chairman and Chief Executive Officer of Volpe Investments, LLC, a risk capital investment firm. Until May 2001, he was the Chairman of Prudential Volpe Technology Group. From 1986 to 1999, Mr. Volpe was President, Chief Executive Officer and founder of Volpe Brown Whelan & Company, a risk capital and investment banking firm. Prior to forming Volpe Brown Whelan & Company, he was President, Chief Executive Officer and a member of the board of directors and management committee of Hambrecht & Quist Incorporated. Before joining Hambrecht & Quist, Mr. Volpe was Head of the Science and Technology Group of Blyth Eastman PaineWebber. Mr. Volpe also serves on the board of directors of Linear Technology Corporation. Mr. Volpe holds an AB in economics from Harvard University, an MSc in economics from the London School of Economics and an MBA from the Harvard Business School. Mr. Volpe has indicated that he intends to resign from our board of directors after consummation of the Private Placement.

BOARD COMMITTEES AND MEETINGS

During the fiscal year ended December 31, 2002, our board of directors held five meetings and acted by written consent once. The board has an audit committee, a compensation committee and a nominating committee.

Our audit committee meets with our independent auditors at least annually to review the results of our annual audit and discuss our financial statements; recommends to the board the independent auditors to be retained; oversees the independence of the independent auditors; evaluates the independent auditors' performance; and receives and considers the independent auditors' comments as to controls, adequacy of staff and management performance and procedures in connection with audit and financial controls. Our audit committee has a written charter and is currently composed of three non-employee directors: Dr. Sherwin and Messrs. Frazier and Volpe. Mr. Frazier replaced Dr. Deleage on the audit committee in October 2002. Our audit committee met four times during the fiscal year ended December 31, 2002. All members of our audit committee are independent (as independence is currently defined in Rule 4200(a)(14) of the NASD listing standards).

Our compensation committee meets at least annually to establish salaries, incentives and other forms of compensation paid to officers and employees, administer our various incentive compensation and benefit plans, and perform such other functions regarding compensation as the board may delegate. Our compensation committee is currently composed of two non-employee directors: Drs. Deleage and Moos. Dr. Deleage replaced Mr. Frazier on the compensation committee in October 2002. All members of our compensation committee are independent (as independence is currently defined in Rule 4200(a)(14) of the NASD listing standards). Our compensation committee met five times during the fiscal year ended December 31, 2002. If we consummate the Private Placement, as described above in "Proposal 1 Approval of the Private Placement," pursuant to the second investor rights agreement, we will increase the size of our compensation committee to three members and MPM Capital will have the right to designate one member to the compensation committee of our board of directors.

Our nominating committee interviews, evaluates, nominates and recommends individuals for membership on our board of directors and its various committees. No procedure has been established for the consideration of nominees recommended by our stockholders. Our nominating committee is currently composed of three non-employee directors: Drs. Moos and Sherwin and Mr. Volpe. The nominating committee was established in June 2002, but it did not meet during 2002. The nominees for election as Class III directors in this Proposal 7 were nominated by the full board of directors. If we consummate the Private Placement, as described above in "Proposal 1 Approval of the Private Placement,"

pursuant to the second investor rights agreement, we will maintain the size of our

57

nominating committee at three members and MPM Capital will have the right to designate one member to the nominating committee of our board of directors.

During the fiscal year ended December 31, 2002, all directors except Mr. Frazier attended at least 75% or more of the total meetings of the board and of the committees on which he served, held during the period for which he was a director or committee member, respectively.

REPORT OF THE AUDIT COMMITTEE OF THE BOARD OF DIRECTORS¹

The Audit Committee of the Board of Directors of Rigel Pharmaceuticals, Inc. serves as the representative of the Board of Directors for (a) general oversight of the financial reporting process of the Company, (b) monitoring the integrity of the Company's financial statements, (c) compliance with legal and regulatory requirements related to the preparation and external audit of the Company's financial statements and (d) selection, evaluation and retention of the Company's independent auditors. The Audit Committee is comprised of three non-employee directors, and each of the members of the Audit Committee is independent as currently defined under the Audit Committee Policies of the Nasdaq National Market. The Audit Committee maintains a written charter that outlines its responsibilities.

1

The material in this report is not "soliciting material," is not deemed "filed" with the SEC and is not to be incorporated by reference into any filing of Rigel under the Securities Act or Exchange Act, whether made before or after the date hereof and irrespective of any general incorporation language contained in such filing.

Rigel's management has primary responsibility for preparing the Company's financial statements and establishing the financial reporting process. Ernst & Young LLP, the Company's independent auditors, are responsible for performing an audit of the Company's financial statements and expressing an opinion as to the conformity of such financial statements with accounting principles generally accepted in the United States.

The Audit Committee reviewed and discussed the audited financial statements for the fiscal year ended December 31, 2002 with management and Ernst & Young LLP. The discussions with Ernst & Young LLP also included the matters required by Statement on Auditing Standards No. 61. The Audit Committee received and reviewed the written disclosures and letter from Ernst & Young LLP regarding its independence as required by Independence Standards Board Standard No. 1. The Audit Committee has also considered whether the independent auditors' provision of non-audit services to the Company is compatible with maintaining the auditors' independence. The Audit Committee has concluded that the independent auditors are independent from the Company and its management. The Audit Committee believes that management maintains an effective system of internal controls that results in fairly presented financial statements. We have also discussed with management and Ernst & Young LLP the process used to support the certifications of the Chief Executive Officer and Chief Financial Officer that are required by the Sarbanes-Oxley Act of 2002 and the Securities and Exchange Commission ("SEC") that are required to accompany the Company's periodic filings with the SEC.

Based on these discussions, the Audit Committee recommended to the Board of Directors that the audited financial statements be included in Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2002. In addition, the Audit Committee has selected Ernst & Young LLP as the Company's independent auditors for the fiscal year ending December 31, 2003 and has presented its selection to the Board of Directors to present to the stockholders for ratification.

The undersigned members of the Audit Committee have submitted this Audit Committee Report:

Alan D. Frazier

Stephen A. Sherwin, MD

Thomas S. Volpe

PROPOSAL 8

RATIFICATION OF INDEPENDENT AUDITORS

The audit committee of our board of directors has selected Ernst & Young LLP as our independent auditors for the fiscal year ending December 31, 2003 and has further directed that management submit the selection of independent auditors for ratification by our stockholders at the annual meeting. Ernst & Young LLP has audited our financial statements since our inception in 1996. Representatives of Ernst & Young LLP are expected to be present at the annual meeting, will have an opportunity to make a statement if they so desire and will be available to respond to appropriate questions.

Stockholder ratification of the selection of Ernst & Young LLP as our independent auditors is not required by our bylaws or otherwise. However, the audit committee of board of directors is submitting the selection of Ernst & Young LLP to the stockholders for ratification as a matter of sound corporate practice. If our stockholders fail to ratify the selection, the audit committee of the board of directors will reconsider whether or not to retain that firm. Even if the selection is ratified, our audit committee of the board of directors in its discretion may direct the appointment of different independent auditors at any time during the year if they determine that such a change would be in the best interests of Rigel and our stockholders.

The affirmative vote of the holders of a majority of the shares present in person or represented by proxy and entitled to vote at the meeting will be required to ratify the selection of Ernst & Young LLP. Abstentions will be counted toward the tabulation of votes cast on proposals presented to the stockholders and will have the same effect as negative votes. Broker non-votes are counted towards a quorum, but are not counted for any purpose in determining whether this matter has been approved.

Audit Fees. During the fiscal year ended December 31, 2002, the aggregate fees billed by Ernst & Young LLP for the audit of our financial statements for such fiscal year and for the reviews of our interim financial statements were \$173,500.

Financial Information Systems Design and Implementation Fees. During the fiscal year ended December 31, 2002, Ernst & Young LLP did not bill us for any fees relating to information technology consulting.

All Other Fees. During the fiscal year ended December 31, 2002, the aggregate fees billed by Ernst & Young LLP for professional services other than audit and information technology consulting fees were \$73,135.

Our audit committee has determined the rendering of all non-audit services by Ernst & Young LLP is compatible with maintaining the auditors' independence.

THE BOARD OF DIRECTORS RECOMMENDS A VOTE IN FAVOR OF PROPOSAL 8.

59

SECURITY OWNERSHIP OF CERTAIN BENEFICIAL OWNERS AND MANAGEMENT

The following table shows information known to us with respect to the beneficial ownership of our common stock as of April 29, 2003, by:

Each person or group who beneficially owns more than 5% of our common stock;

Our chief executive officer;

Each of our four other most highly compensated executive officers whose compensation exceeded \$100,000 during 2002;

each of our directors; and

all of our directors and executive officers as a group.

Beneficial ownership of shares is determined under the rules of the SEC and generally includes any shares over which a person exercises sole or shared voting or investment power. Except as indicated by footnote, and subject to applicable community property laws, each person identified in the table possesses sole voting and investment power with respect to all shares of common stock held by them. Shares of common stock subject to options currently exercisable or exercisable within 60 days of April 29, 2003 and not subject to repurchase as of that date are deemed outstanding for calculating the percentage of outstanding shares of the person holding these options, but are not deemed outstanding for calculating the percentage of beneficial ownership of any other person. Applicable percentage ownership in the following table is based on 46,376,004 shares of common stock outstanding as of April 29, 2003. Unless otherwise indicated, the address of each of the named individuals is c/o Rigel Pharmaceuticals, Inc., 1180 Veterans Boulevard, South San Francisco, California 94080.

| Beneficial Owner | Outstanding Shares of Common Stock | Shares Issuable Pursuant to Options Exercisable Within 60 Days of April 29, 2003 | Percent of Total Outstanding Shares Beneficially Owned |
|--|--|---|--|
| Five percent stockholders | | | |
| Entities affiliated with Alta Partners(1) One Embarcadero Center, Suite 4050 San Francisco, CA 94111 | 5,832,923 | | 12.6% |
| Entities affiliated with Frazier Healthcare II, L.P.(2) 601 Union Street, Suite 2110 Seattle, WA 98101 | 4,347,719 | | 9.4% |
| Entities affiliated with Lombard Odier Darier Hentsch & Cie(3) 11, rue de la Corraterie 1204 Geneva 11 Switzerland | 4,169,538 | | 9.0% |
| Novartis Pharma AG Head Financial Investments CH-4002 Basil, Switzerland | 3,428,571 | | 7.4% |
| | 60 | | |
| | | | |
| Directors and named executive officers | | | |
| James M. Gower | 613,100 | 390,000 | 2.1% |
| Brian C. Cunningham(4) Donald G. Payan, MD | 413,614 887,791 | 10,000 | * 1.9% |
| Raul Rodriguez | 206,621 | 226,041 | 1.9% |
| Elliott B. Grossbard | 200,021 | 72,916 | * |
| Jean Deleage, Ph.D.(1) | 5,832,923 | 7,291 | 12.6% |
| Alan D. Frazier(2) | 4,347,719 | 2,500 | 9.4% |
| Walter H. Moos, Ph.D. | .,= ,. => | 27,291 | * |
| Stephen A. Sherwin, MD | | 33,632 | * |
| Thomas S. Volpe | 33,333 | 30,000 | * |
| All executive officers and directors as a group (13 people) | 12,387,213 | 1,094,043 | 29.1% |

Less than one percent (1%).

(1)

Includes 4,578,327 shares held by Alta California Partners, L.P., 104,596 shares held by Alta Embarcadero Partners, LLC, 1,109,196 shares held by Alta BioPharma Partners II, L.P. and 40,804 shares held by Alta Embarcadero BioPharma Partners II. Dr. Deleage is a managing director of Alta Partners, disclaims beneficial ownership of all such shares held by funds affiliated with Alta Partners except to the extent of his proportionate pecuniary interest therein.

(2)

Includes 15,144 shares held by Frazier and Company, Inc. and 4,332,575 shares held by Frazier Healthcare II, L.P. Mr. Frazier, a managing principal of Frazier Healthcare, disclaims beneficial ownership of the shares held by Frazier Healthcare and Frazier Healthcare II, L.P. except to the extent of his proportionate pecuniary interest therein.

(3)

Includes 4,050,788 shares held by Lombard Odier Darier Hentsch & Cie for the benefit of the LODH Immunology Fund over which Lombard Odier Darier Hentsch Fund Managers SA has sole voting and dispositive power, and 118,750 shares held for the benefit of private or institutional clients, over which Lombard Odier Darier Hentsch & Cie shares dispositive power.

(4)

Mr. Cunningham resigned effective January 30, 2003.

CHANGE IN CONTROL

If we consummate the Private Placement as described above in "Proposal 1 Approval of the Private Placement," the Private Placement will be considered a change of control of Rigel based on certain criteria and presumptions established by Nasdaq. Upon consummation of the Private Placement, MPM Capital would beneficially own approximately 36.8%, Alta would beneficially own approximately 15.0%, Frazier would beneficially own approximately 13.9% and HBM would beneficially own approximately 8.2% of our total shares outstanding after consummation of the Private Placement (assuming exercise in full of the warrants issued to the Investors as part of the Private Placement and based on 46,376,004 shares of common stock outstanding as of April 29, 2003). In addition, Rigel would use its commercially reasonable best efforts to elect designees of MPM Capital as two of our nine board members as of the closing of the Private Placement. Following completion of the Private Placement, the Investors would hold the requisite percentage of our outstanding shares so as to permit them, if they chose to act in concert, to take actions requiring stockholder approval without obtaining the approval of our other stockholders.

61

SECTION 16(A) BENEFICIAL OWNERSHIP REPORTING COMPLIANCE

Section 16(a) of the Exchange Act requires our directors and executive officers, and persons who own more than ten percent of a registered class of our equity securities, to file with the SEC initial reports of ownership and reports of changes in ownership of our common stock and other equity securities. Officers, directors and greater than ten percent stockholders are required by SEC regulation to furnish us with copies of all Section 16(a) forms they file.

To our knowledge, based solely on a review of the copies of such reports furnished to us and written representations that no other reports were required, during the fiscal year ended December 31, 2002, all Section 16(a) filing requirements applicable to its officers, directors and greater than ten percent beneficial owners were complied with.

COMPENSATION OF EXECUTIVE OFFICERS

The following table sets forth information concerning the compensation that we paid during the fiscal years ended December 31, 2002, 2001 and 2000 to our chief executive officer and each of the four other most highly compensated executive officers who earned more than \$100,000 during 2002.

| | Annual Compensation | | | Long-Term (| Comp | ensation | | |
|---|----------------------|----------------------------------|-------------------------------|-------------|--------|---|----|---------------------------|
| Name and Principal Position | Year | Ап | Salary Bonus | | | Securities Underlying Options/SARS(1) | | All Other Compensation |
| James M. Gower Chief Executive Officer, Chairman of the Board and Director | 2002 2001 2000 | \$ | 330,000 288,837 267,800 | \$ | 50,000 | | | |
| Brian C. Cunningham(2) President and Chief Operating Officer | 2002 2001 2000 | 300,000 269,626 \$ 257,500 | | \$ | 50,000 | 200,000 | | |
| Donald G. Payan, MD Executive Vice President and Chief Scientific Officer and Director | 2002 2001 2000 | | 300,000 263,833 247,200 | 3 60,000 | | | | |
| Raul Rodriguez(3) Senior Vice President, Business Development and Commercial Operations | 2002 2001 2000 | | 240,000 216,321 165,000 | | 15,000 | 150,000 245,000 | \$ | 12,226(4) |
| Elliot B. Grossbard, MD(5) Senior Vice President, Medical Development | 2002 2001 2000 | | 206,270 | | | 250,000 | | |

(1)

Options granted in 2000 and 2002 were made under our 2000 Equity Incentive Plan.

(2)

Mr. Cunningham resigned effective January 30, 2003.

(3)

Mr. Rodriguez began employment effective April 3, 2000.

(4)

Other compensation consists of relocation costs incurred by Rigel on behalf of Mr. Rodriguez.

(5)

Dr. Grossbard began employment effective April 1, 2002.

The following table sets forth summary information regarding the option grants made to our chief executive officer and each of our four other most highly paid executive officers during 2002. Options granted to purchase shares of our common stock under our 2000 Equity Incentive Plan generally vest over a four-year period. The exercise price per share is equal to the fair market value of our common stock on the date of grant as determined by our board of directors.

The potential realizable value is calculated based on the ten-year term of the option at the time of grant. Stock price appreciation of 5% and 10% is assumed pursuant to rules promulgated by the SEC and does not represent our prediction of our stock price performance. The potential realizable values at 5% and 10% appreciation are calculated by:

multiplying the number of shares of common stock under the option by the closing price of our common stock on December 31, 2002 at a price of \$1.10 per share;

assuming that the aggregate stock value derived from that calculation compounds at the annual 5% or 10% rate shown in the table until the expiration of the options; and

63

subtracting from that result the aggregate option exercise price.

Percentages shown under "Percentage of Total Options Granted to Employees in 2002" are based on an aggregate of 1,662,916 options granted to employees under our 2000 Equity Incentive Plan and our 2001 Non-Officer Equity Incentive Plan during 2002.

Option Grants in 2002 Fiscal Year

| | Number of Securities | Ir % of Total Options | ldividual | Grants | | Value at Annual Appreciat | Realizable Assumed Rates of ion of Stock Option Term |
|----------------------|----------------------------------|------------------------------------|-----------|--------------------------|--------------------|---------------------------------|--|
| Name | Underlying Options Granted | Granted to Employees in 2002 | F | ercise Price \$/Sh | Expiration Date | 5% | 10% |
| James M. Gower | | | | | | | |
| Donald G. Payan | | | | | | | |
| Brian C. Cunningham | | | | | | | |
| Raul Rodriguez | 150,000 | 9.0 |)% \$ | 1.40 | 11/22/12 | 54,477 | 213,963 |
| Elliott B. Grossbard | 250,000 | 15.0 |)% | 3.74 | 4/9/12 | | |

The following table sets forth summary information regarding the number and value of shares acquired upon exercise of options in, and options held as of December 31, 2002 for our chief executive officer and each of our four most highly compensated executive officers. Amounts shown in the "Value of Unexercised In-the-Money Options at December 31, 2002" column are based on the closing market price on December 31, 2002 of \$1.10 per share, without taking into account any taxes that may be payable in connection with the transaction, multiplied by the number of shares underlying the option, less the aggregate exercise price payable for these shares.

Aggregated Option Exercises in 2002 Fiscal Year and 2002 Fiscal Year-End Option Values

| | Shares | | Numb Securities U Unexercise at Decembe | Underlying d Options | | Value of U In-the-Mor at Decemb | ney O | ptions |
|------------------------|----------------------------|-------------------|--|-------------------------|--------|---------------------------------------|----------|---------|
| Name | Acquired on Exercise(#) | Value Realized | Vested Unvested | | Vested | | Unvested | |
| James M. Gower | | | 345,000 | 105,000 | \$ | 310,500 | \$ | 94,500 |
| Donald G. Payan | | | 115,000 | 35,000 | | 103,500 | | 31,500 |
| Brian C. Cunningham(1) | | | 587,449 | 112,501 | | 430,341 | | 438,002 |
| Raul Rodriguez | | | 176,666 | 218,334 | | | | |
| Elliott B. Grossbard | | | | 250,000 | | | | |

(1)

Mr. Cunningham's options ceased vesting on January 30, 2003 and his options expired on April 30, 2003.

COMPENSATION OF DIRECTORS

We do not provide cash compensation to members of our board of directors for serving on the board of directors or for attendance at committee meetings. The members of our board of directors are eligible for reimbursement for their expenses incurred in connection with attendance at board meetings in accordance with Rigel policy.

Each of our non-employee directors also receives stock option grants under the Directors' Plan. Only non-employee directors or their affiliates are eligible to receive options under the Directors' Plan. Options granted under the Directors' Plan are not intended to qualify as incentive stock options under the Code.

64

Option grants under the Directors' Plan are non-discretionary. Currently, each person who is elected or appointed for the first time to be a non-employee director automatically receives, upon the date of his or her initial election or appointment to be a non-employee director by the board or Rigel stockholders, an initial grant to purchase 20,000 shares of common stock on the terms and conditions set forth in the plan. In addition, on the day following the annual meeting of stockholders each year, each non-employee director who continues to serve as a non-employee director automatically receives an annual option to purchase 5,000 shares of common stock. Subject to stockholder approval of "Proposal 4 Amendment of the 2000 Non-Employee Directors' Stock Option Plan," the size of such automatic initial and annual grants would be increased to 60,000 shares and 15,000 shares, respectively. No other options may be granted at any time under the Directors' Plan. The exercise price of options granted under the Directors' Plan is 100% of the fair market value of our common stock on the date of the option grant. The options vest over two years in equal monthly installments provided that the non-employee director continues to provide services to Rigel. The term of options granted under the Directors' Plan is ten years. In the event of a merger of Rigel with or into another corporation or a consolidation, acquisition of assets or other change in control transaction involving us, each option either will continue in effect, if we are the surviving entity, or if neither assumed nor substituted, will accelerate and the option will terminate if not exercised prior to the consummation of the transaction. See "Proposal 4 Amendment of the 2000 Non-Employee Directors' Stock Option Plan," for more information regarding the Directors' Plan.

Pursuant to the Directors' Plan, on June 21, 2002, the day after our 2002 annual meeting of stockholders, we granted options covering 5,000 shares of common stock to each of Drs. Deleage, Moos and Sherwin and Messrs. Volpe and Frazier, each at an exercise price of \$3.00 per share. These options vest in a series of 24 equal monthly installments beginning on the grant date.

EMPLOYMENT CONTRACTS AND TERMINATION OF EMPLOYMENT AND CHANGE OF CONTROL ARRANGEMENTS

We have an employment agreement with Dr. Payan, our Executive Vice President and Chief Scientific Officer, dated as of January 16, 1997, which was amended in March 2003 and continues indefinitely. Pursuant to the terms of the amended agreement, Dr. Payan is entitled to receive an annualized base salary of \$185,000 and was issued 750,000 shares of our common stock. As of January 16, 2000, all such shares were fully vested and not subject to a right of repurchase by us. Either Rigel or Dr. Payan may terminate his employment at any time for any reason. If we terminate Dr. Payan's employment without cause, he will receive a severance payment equal to his annual base salary in effect at the date of termination.

We have an employment agreement with Dr. Grossbard, our Senior Vice President and Medical Director, dated as of March 18, 2002, and continuing indefinitely. Pursuant to the terms of the agreement, Dr. Grossbard is entitled to receive an annualized base salary of \$275,000 and was issued an option to purchase 250,000 shares of our common stock. As of April 29, 2003, options to purchase 62,500 shares were fully vested and exercisable. Either Rigel or Dr. Grossbard may terminate his employment at any time for any reason. If, solely as a result of a change in control of Rigel, Dr. Grossbard's employment is terminated or his responsibilities are substantially diminished for any reason prior to April 1, 2004, then the option to purchase 250,000 shares shall vest and become immediately exercisable in full.

65

REPORT OF THE COMPENSATION COMMITTEE OF THE BOARD OF DIRECTORS ON EXECUTIVE COMPENSATION¹

The Compensation Committee of the Board of Directors of Rigel Pharmaceuticals, Inc. ("Rigel" or the "Company") is composed of two non-employee directors and is responsible for making recommendations to the Company's Board of Directors concerning salaries and incentive

compensation for all employees, including executive officers. The Compensation Committee also has the authority and power to administer the Company's equity incentive plans and grant stock options to the Company's employees and consultants pursuant to such plans.

1

The material in this report is not "soliciting material", is not deemed "filed" with the SEC, and is not to be incorporated by reference into any filing of Rigel under the Securities Act or Exchange Act, whether made before or after the date hereof and irrespective of any general incorporation language contained in such filing.

Compensation Philosophy

The Company's compensation program seeks to align compensation with business objectives and individual performance, and to enable the Company to attract, retain and motivate all employees, including executive officers, who are expected to contribute to the long-term success of the Company. The Company's compensation philosophy is based on the principles of competitive and fair compensation and sustained performance.

Compensation for the Company's employees, including executive officers, is set so as to be comparable and equitable with employees and executive officers having similar responsibilities at similar-sized publicly-traded biopharmaceutical companies located in the greater San Francisco Bay Area. Every employee's salary is assessed annually, based on individual performance, corporate performance and the relative compensation of the employee as compared to data collected from surveys of comparable compensation levels.

In mid 2001, subsequent to and in connection with Rigel's transition into a publicly traded company in late 2000, the Compensation Committee requested that an independent compensation consulting firm review and make recommendations for the Company's compensation policies to ensure that Rigel's practices were appropriate and competitive with similar publicly-traded biopharmaceutical companies in Northern California. These studies and recommendations were updated in 2002 to ensure Rigel's practices remained appropriate and competitive.

Elements of Annual Compensation

Salary. The salary for Rigel's executives officers and employees is determined by reviewing compensation for competitive positions in similar-sized publicly-traded biopharmaceutical companies in Northern California, as well as the historical compensation levels of each position. Increases in annual salaries are based on actual corporate and individual performance against targeted performance and various subjective performance criteria. Targeted performance criteria vary for each executive or employee and are based on his or her area of responsibility. Subjective performance criteria include an executive's or employee's ability to motivate others, develop the skills necessary to mature with the Company and recognize and pursue new business opportunities to enhance the Company's growth and success. The Compensation Committee does not use a specific formula based on these targeted performance and subjective criteria, but instead makes an evaluation of each employee's contributions to the long-term success of the company in light of all such criteria.

Bonus. Cash bonuses for the Company's executives and employees are awarded from time to time at the discretion of the Compensation Committee in the recognition of performance that the Compensation Committee determines to incrementally contribute to the Company's growth and

66

increased stockholder value. For the year ended December 31, 2002, the compensation committee approved a cash bonus program for all officers and employees of the Company (the "2002 Bonus Program"). Under the 2002 Bonus Program, the bonus amount paid to officers and employees was contingent upon meeting certain company wide objectives as well as individual goals. No bonuses were authorized or paid under the 2002 Bonus Program as not all company wide objectives were met.

Long-Term Incentives. In order to align the long-term interests of employees with those of stockholders by making them owners of the Company, the Company grants all employees, including executives, options to purchase stock. Options also align the Company's employee retention efforts with stockholder interests by playing a critical role in the retention of employees that the Compensation Committee determines to have a significant role in the success of the Company. Options are granted with an exercise price set at the fair market value of the Company's stock on the date of grant and provide economic value only when the price of the Company's stock increases above the exercise price. The size of option grants is generally intended to reflect the employee's position within the Company, the quantity and vesting status of options already held by an employee, if any, and the employee's contributions to both the creation of value and the long-term success to the Company. Options are subject to vesting provisions designed to encourage employees to remain with the Company. For the year ended December 31, 2002, options to purchase 525,000 shares were granted to the Company's executive officers.

All executive officers of the Company are eligible to participate in the Company's 2000 Employee Stock Purchase Program (the "Purchase Plan"). The purchase plan is available to all employees of the Company and generally permits participants to purchase shares at a discount of approximately 15% from the fair market value at the beginning or end of the applicable purchase period.

Compliance with Internal Revenue Code Section 162(m)

Section 162(m) of the Internal Revenue Code of 1986, as amended, generally disallows a tax deduction to publicly-held companies for compensation in excess of \$1.0 million paid to the corporation's chief executive officer and the four other most highly-compensated executive officers. Qualifying performance-based compensation will not be subject to the deduction limit if certain requirements are met. The Company generally intends to structure the stock options granted to its executive officers in a manner that complies with this statute to mitigate any disallowance of deductions under Section 162(m). However, the Compensation Committee reserves the right to use its judgment to authorize compensation payments that may be in excess of the limit when the Compensation Committee believes such payment is appropriate, after taking into consideration changing business conditions, the officer's performance and the best interests of the Company's stockholders.

Compensation for Mr. James Gower, Chairman and CEO

The Compensation Committee believes that Mr. Gower's salary for the fiscal year ended December 31, 2002 was consistent with the criteria described above and with the Compensation Committee's evaluation of his overall leadership and management of Rigel. No stock options were granted to Mr. Gower as compensation for fiscal year 2002. In June 2002, the Compensation Committee reviewed the recommendation from the independent compensation consulting firm engaged by the Compensation Committee. However, upon recommendation of management, Mr. Gower and the rest of the executive officers would not receive a salary increase in 2002. Therefore, Mr. Gower's annual salary remained unchanged at \$330,000. Mr. Gower did not receive a cash bonus as compensation for his services during fiscal year 2002.

67

Summary

The Compensation Committee believes that the Company's compensation policy has been successful in attracting and retaining qualified employees and in tying compensation directly to corporate performance relative to corporate goals. The Compensation Committee has utilized an independent compensation consulting firm to review the Company's compensation policies and make recommendations to ensure that Rigel's compensation practices were competitive. Not all elements of the recommended compensation plans presented by the independent consulting firm have been implemented in 2002, and despite keeping executive salaries unchanged in 2002, the Compensation Committee believes that and the Company is maintaining competitive salaries and incentives for Rigel's employees and executive officers. The Company expects its compensation practices and policies to continue to evolve over time as it attempts to satisfy the expectations and needs of its employees while maintaining the Company's focus on building long-term stockholder value in a highly competitive and rapidly changing business environment.

The undersigned members of the Compensation Committee have submitted this Report of the Compensation Committee:

Jean Deleage, PhD Walter H. Moos, PhD

COMPENSATION COMMITTEE INTERLOCKS AND INSIDER PARTICIPATION

As noted above, our compensation committee of our board of directors currently consists of Drs. Deleage and Moos. No current member of the compensation committee has been an officer or employee of Rigel at any time. None of Rigel's executive officers serves as a member of the board of directors or compensation committee of any other company that has one or more executive officers serving as a member of Rigel's board of directors or compensation committee. Prior to the formation of a compensation committee in February 1998, our board of directors as a whole made decisions relating to compensation of our executive officers.

1

The material in this report is not "soliciting material," is not deemed "filed" with the SEC and is not to be incorporated by reference into any filing of Rigel under the Securities Act or Exchange Act, whether made before or after the date hereof and irrespective of any general incorporation language contained in such filing.

The rules of the SEC require that we include in our proxy statement a line-graph presentation comparing cumulative stockholder returns on our common stock with the Nasdaq Composite Index (which tracks the aggregate price performance of equity securities of companies traded on the Nasdaq) and either a published industry or line-of-business standard index or an index of peer companies selected by us. We have elected to use the Nasdaq Biotechnology Index (consisting of a group of approximately 75 companies in the biotechnology sector) for purposes of the performance comparison that appears below.

The graph shows the cumulative total stockholder return assuming the investment of \$100 and the reinvestment of dividends and is based on the returns of the component companies weighted according to their market capitalizations as of the end of each period for which returns are indicated. No dividends have been declared on our common stock. The graph commences as of November 29, 2000. The stockholder return shown on the graph below is not necessarily indicative of future performance, and we do not make or endorse any predictions as to future stockholder returns.

Comparison of Quarterly Cumulative Total Return on Investment

CERTAIN TRANSACTIONS

In connection with the proposed Private Placement, as described above in "Proposal 1 Approval of the Private Placement," participating Investors include Alta Partners and its related entities, Frazier Healthcare IV, L.P. and its related entities and HBM BioVentures AG and its related entities. Alta, Frazier and HBM are stockholders of Rigel who currently beneficially own 12.6%, 9.4% and 3.3%, respectively, of our outstanding common stock. Dr. Deleage, a member of our board of directors, is a managing general partner of Alta, and Mr. Frazier, also a member of our board of directors, is a managing principal of Frazier. As a condition to the Investors' execution of a purchase agreement providing for the Private Placement, certain of our stockholders who are affiliates of Rigel, including Alta, Frazier, James M. Gower, our chief executive officer and chairman of the board, and Donald G. Payan, our executive vice president and chief scientific officer and a director, entered into voting agreements with MPM Capital that require these stockholders to vote all of their shares of common stock in favor of approval Proposals 1, 2, 3, 4, 5 and 6. Dr. Deleage and Mr. Frazier abstained from participation in meetings related to and voting on the Private Placement and related matters brought before the board of directors as both directors are affiliated with Investors who were negotiating the Private Placement with Rigel.

Lombard Odier & Cie, Alta California Partners, L.P., Alta Embarcadero Partners, LLC, Frazier Healthcare II, L.P., Frazier Healthcare, Johnson and Johnson, Novartis and Thomas Volpe are entitled to certain rights with respect to registration under the Securities Act of shares of our common stock that they hold. These rights are provided under an Amended and Restated Investor Rights Agreement, dated February 3, 2000, and under agreements with similar registration rights. If we propose to register any of our securities under the Securities Act, either for our own account of ot the account of others, these holders are entitled to notice of the registration and are entitled to include, at our expense, their shares of common stock in the registration. In addition, these holders may require us, at our expense and on not more than two occasions, to file a registration statement under the Securities Act with respect to their shares of common stock, and we will be required to use our best efforts to effect the registration. Further, these holders may require us at our expense to register their shares on Form S-3, subject to certain limitations. Pursuant to the registration rights set forth in Section 2.4 of the Amended and Restated Investor Rights Agreement., we registered an aggregate of 17,673,751 shares of common stock held by Lombard Odier & Cie, Alta California Partners, L.P., Alta Embarcadero Partners, LLC, Frazier Healthcare II, L.P., Frazier and Company, Inc. and Novartis. These shares were registered on a Registration Statement on Form S-3 filed with the SEC on April 30, 2002 (File No. 333-87276) and declared effective by the SEC on May 8, 2002.

We have entered into indemnification agreements with our directors and certain officers for the indemnification and advancement of expenses to these persons to the fullest extent permitted by law. We also intend to enter into those agreements with our future directors and officers.

In September 1999, we established a research collaboration and license agreement with Cell Genesys, Inc. James M. Gower, our President and Chief Executive Officer, serves on the board of directors of Cell Genesys. Stephen A. Sherwin, MD, who serves on our board of directors, is Chief Executive Officer and Chairman of the Board of Cell Genesys.

We have an employment agreement with Dr. Payan, our Executive Vice President and Chief Scientific Officer, dated as of January 16, 1997, which was amended in March 2003 and continues indefinitely. Pursuant to the terms of the amended agreement, Dr. Payan is entitled to receive an annualized base salary of \$185,000 and was issued 750,000 shares of our common stock. As of January 16, 2000, all such shares were fully vested and not subject to a right of repurchase by us. Either Rigel or Dr. Payan may terminate his employment at any time for any reason. If we terminate

70

Dr. Payan's employment without cause, he will receive a severance payment equal to his annual base salary in effect at the date of termination.

We have an employment agreement with Dr. Grossbard, our Senior Vice President and Medical Director, dated as of March 18, 2002, and continuing indefinitely. Pursuant to the terms of the agreement, Dr. Grossbard is entitled to receive an annualized base salary of \$275,000 and was issued an option to purchase 250,000 shares of our common stock. As of April 29, 2003, options to purchase 62,500 shares were fully vested and exercisable. Either Rigel or Dr. Grossbard may terminate his employment at any time for any reason. If, solely as a result of a change in control of Rigel, Dr. Grossbard's employment is terminated or his responsibilities are substantially diminished for any reason prior to April 1, 2004, then the option to purchase 250,000 shares shall vest and become immediately exercisable in full.

In May 1999, we signed an agreement for the establishment of a broad collaboration with Novartis, whereby the two companies agreed to work on up to five different five-year research projects to identify drug targets for products that can treat, prevent or diagnose the effects of human disease. According to the terms of the original agreement, two of the research projects were to be conducted jointly by Novartis and us, and the other three research projects were to be conducted at Novartis. Four projects are now underway. The first research project, a joint research project, is focused on identifying small molecule drug targets that regulate T cells. The second research project, also a joint research project, relates to the identification and validation of small molecule drug targets that can mediate specific functions of B cells. The third research project, a project carried out at Novartis, is focused on identifying small molecule drug targets that regulate chronic bronchitis. In

July 2001, Novartis and Rigel amended the agreement to add a three-year joint project at Rigel in the area of angiogenesis in lieu of a project at Novartis. In contrast to the original agreement to conduct an additional project at Novartis, this amendment resulted in both funded research at Rigel and an additional upfront payment to us of \$4.0 million. In January 2002, Novartis chose not to exercise its option to add a second project to be conducted at Novartis. During 2002, Novartis notified us that it was terminating the research phase of the initial T Cell and B Cell joint projects after forty-two months. The termination dates for the research phases of the initial joint projects were therefore November 2002 and February 2003, respectively. The third research project, a project carried out at Novartis, is focused on identifying small molecule drug targets that regulate chronic bronchitis.

HOUSEHOLDING OF PROXY MATERIALS

The SEC has adopted rules that permit companies and intermediaries, such as brokers, to satisfy the delivery requirements for proxy statements and annual reports with respect to two or more stockholders sharing the same address by delivering a single proxy statement addressed to those stockholders. This process, which is commonly referred to as "householding," potentially means extra convenience for stockholders and cost savings for companies.

This year, a number of brokers with account holders who are Rigel stockholders may be "householding" our proxy materials. A single proxy statement may be delivered to multiple stockholders sharing an address unless contrary instructions have been received from the affected stockholders. Once you have received notice from your broker that they will be "householding" communications to your address, "householding" will continue until you are notified otherwise or until you revoke your consent. If, at any time, you no longer wish to participate in "householding" and would prefer to receive a separate proxy statement and annual report, please notify your broker directly or direct your written request to: Secretary, Rigel Pharmaceuticals, Inc., 1180 Veterans Boulevard, South San Francisco, CA 94080 or contact Secretary, Rigel Pharmaceuticals, Inc. at (650) 624-1100. Stockholders who currently receive multiple copies of the proxy statement at their address and would like to request "householding" of their communications should contact their broker.

71

OTHER MATTERS

Our board of directors knows of no other matters that will be presented for consideration at the annual meeting. If any other matters are properly brought before the annual meeting, it is the intention of the persons named in the accompanying proxy to vote on such matters in accordance with their best judgment.

By Order of the board of directors

James H. Welch Secretary

May 19, 2003

A copy of our Annual Report on Form 10-K for the fiscal year ended December 31, 2002, as amended, is available without charge upon written request to: Investor Relations, Rigel Pharmaceuticals, Inc., 1180 Veterans Boulevard, South San Francisco, CA 94080. Such Annual Report includes our audited financial statements for the 2002 fiscal year and certain other financial information, which is incorporated by reference herein.

APPENDIX A

RIGEL PHARMACEUTICALS, INC.

COMMON STOCK AND WARRANT PURCHASE AGREEMENT

APRIL 29, 2003

TABLE OF CONTENTS

| 1. | AUTHO | AUTHORIZATION OF SHARES AND WARRANTS 1 | | | | | |
|----|--------|---|---|--|--|--|--|
| 2. | AGREEN | MENT TO SELL AND PURCHASE SHARES AND WARRANTS | 1 | | | | |
| 3. | CLOSIN | G; DELIVERY OF SHARES AND WARRANTS | 1 | | | | |
| 4. | CONDIT | TIONS TO COMPANY'S OBLIGATIONS | 2 | | | | |
| | 4.1 | Payment | 2 | | | | |
| | 4.2 | Representations and Warranties True and Correct | 2 | | | | |
| | 4.3 | No Injunction or Regulatory Restraints; Illegality | 3 | | | | |
| | 4.4 | Filing of Certificate | 3 | | | | |
| | 4.5 | Required Stockholder Approval | 3 | | | | |
| 5. | CONDIT | TIONS TO INVESTORS' OBLIGATIONS | 3 | | | | |
| | 5.1 | Representations and Warranties True and Correct | 3 | | | | |
| | 5.2 | Compliance with Laws | 3 | | | | |
| | 5.3 | No Injunction or Regulatory Constraints; Illegality | 3 | | | | |
| | 5.4 | Filing of Certificate | 3 | | | | |
| | 5.5 | Opinion of Company's Counsel | 4 | | | | |
| | 5.6 | Required Stockholder Approval | 4 | | | | |
| | 5.7 | Board of Directors Designees | 4 | | | | |
| | 5.8 | Committee Appointment | 4 | | | | |
| | 5.9 | Investor Rights Agreement | 4 | | | | |
| | 5.10 | Waiver of Registration Rights | 4 | | | | |
| | 5.11 | No Material Adverse Effect | 4 | | | | |
| | 5.12 | Executive Search | 4 | | | | |
| | 5.13 | Covenants | 5 | | | | |
| | 5.14 | Nasdaq Listing | 5 | | | | |
| | | | | | | | |

| | 5.15 | Closing Proceeds | 5 |
|----|---------|--|---|
| | | | |
| | 5.16 | Officers' Certificates | 5 |
| 6. | REPRESE | NTATIONS AND WARRANTIES OF THE COMPANY | 5 |
| | 6.1 | Organization | 5 |
| | 6.2 | Subsidiaries | 5 |
| | 6.3 | Due Authorization | 5 |
| | 6.4 | Vote Required | 6 |
| | 6.5 | Non-Contravention | 6 |
| | | | |

i

| 6.6 | Capitalization | 6 |
|------|----------------------------|----|
| 6.7 | Legal Proceedings | 7 |
| 6.8 | No Violations | 7 |
| 6.9 | Governmental Permits, Etc. | 7 |
| 6.10 | Intellectual Property | 7 |
| 6.11 | Financial Statements | 8 |
| 6.12 | Absence of Changes | 8 |
| 6.13 | Nasdaq Compliance | 9 |
| 6.14 | Reporting Status | 9 |
| 6.15 | Listing | 9 |
| 6.16 | No Manipulation of Stock | 9 |
| 6.17 | Accountants | 10 |
| 6.18 | Contracts | 10 |
| 6.19 | Taxes | 10 |
| 6.20 | Transfer Taxes | 10 |
| 6.21 | Investment Company | 10 |
| 6.22 | Insurance | 10 |
| 6.23 | DGCL 203 | 11 |
| 6.24 | Brokers or Finders | 11 |
| 6.25 | Offering Materials | 11 |

| 11 |
|----|
| 11 |
| 12 |
| 12 |
| 12 |
| 12 |
| 13 |
| 13 |
| 13 |
| 13 |
| 13 |
| 13 |
| 14 |
| 14 |
| |

ii

| 8. | COVENAN | VTS | 14 |
|----|---------|---|----|
| | 8.1 | Stockholders' Meeting | 14 |
| | 8.2 | Filing of Proxy Statement; Amendment of Form 10-K | 14 |
| | 8.3 | Election of Directors | 15 |
| | 8.4 | Nasdaq Listing | 15 |
| | 8.5 | Delivery of Warrant Shares | 15 |
| | 8.6 | Rights Offering and Option Plan Matters | 15 |
| | 8.7 | No Solicitation | 15 |
| | 8.8 | Third Party Offer | 17 |
| | 8.9 | Operation of Business | 17 |
| | 8.10 | Reasonable Efforts; Notification; Representations | 17 |
| | 8.11 | Indemnification Agreements; Charter Documents | 18 |
| | 8.12 | HSR Compliance | 18 |
| | 8.13 | Executive Recruiting Firm | 18 |
| 9. | TERMINA | | 18 |

| | 9.1 | Termination Events | 18 |
|-----|---------|--|----|
| | 9.2 | Effect of Termination | 19 |
| 10. | MISCELL | ANEOUS | 19 |
| | 10.1 | Notices | 19 |
| | 10.2 | Amendment and Waiver | 20 |
| | 10.3 | Survival of Representations, Warranties and Agreements | 20 |
| | 10.4 | Expenses | 20 |
| | 10.5 | Attorneys' Fees | 20 |
| | 10.6 | Public Announcements | 21 |
| | 10.7 | Headings | 21 |
| | 10.8 | Pronouns | 21 |
| | 10.9 | Severability | 21 |
| | 10.10 | Governing Law | 21 |
| | 10.11 | Entire Agreement | 21 |
| | 10.12 | Counterparts | 21 |
| | 10.13 | Confidential Disclosure Agreement | 21 |
| | 10.14 | Tax Disclosure | 21 |

RIGEL PHARMACEUTICALS, INC.

COMMON STOCK AND WARRANT PURCHASE AGREEMENT

This Common Stock and Warrant Purchase Agreement (the "*Agreement*") is made as of April 29, 2003 by and among **RIGEL PHARMACEUTICALS, INC.,** a Delaware corporation (the "*Company*"), and each of the parties set forth on *Schedule A* attached hereto (each, an "*Investor*" and, collectively, the "*Investors*").

RECITALS

A. The Company desires to sell, and the Investors desire to purchase, the Shares and the Warrants (each as defined below) pursuant to the terms set forth in this Agreement.

B. In order to induce the Investors to enter into this Agreement, certain stockholders of the Company are executing voting agreements in favor of the Investors.

AGREEMENT

1. Authorization of Shares and Warrants. Subject to the terms and conditions of this Agreement, the Company, as of the Closing Date (as defined in Section 3.1), will have authorized (a) the sale and issuance of 71,874,999 shares (the "*Shares*") of the Company's common stock, par value \$0.001 per share (the "*Common Stock*"), and the Warrants (as defined in Section 2.1(b)) (the "*Offering*") and (b) the reservation of the shares of Common Stock into which the Warrants are exercisable (the "*Warrant Shares*").

2. Agreement to Sell and Purchase Shares and Warrants.

2.1 Subject to the terms and conditions of this Agreement, each Investor agrees, severally and not jointly, to purchase at the Closing (as defined in Section 3.1), and the Company agrees to sell and issue to each Investor, severally and not jointly, at the Closing:

(a) that number of Shares determined by dividing (i) the dollar amount set forth opposite such Investor's name on *Schedule A* hereto (the "*Aggregate Purchase Price*") by (ii) the per share purchase price of \$0.64 (the "*Purchase Price*"), rounded down to the nearest whole number of Shares; and

(b) a warrant, in the form attached hereto as *Exhibit A*, exercisable for that number of Warrant Shares equal to twenty percent (20%) of the Shares purchased by such Investor pursuant to Section 2.1(a) above, at a price per share exercise price equal to the Purchase Price, as set forth opposite such Investor's name on *Schedule A* hereto (each, a "*Warrant*" and, collectively, the "*Warrants*").

2.2 The number of Shares to be purchased by the Investors at the Closing pursuant to Section 2.1(a), the Purchase Price applicable to such Shares and the per share exercise price and number of underlying shares of Common Stock attributable to the Warrants shall be proportionately adjusted for any subdivision or combination of Common Stock (by stock split, reverse stock split, dividend, reorganization, recapitalization or otherwise, including, but not limited to, the Reverse Stock Split (as defined in Section 4.4)).

3. Closing; Delivery of Shares and Warrants.

3.1 The closing of the purchase and sale of the Shares and the Warrants pursuant to this Agreement (the "*Closing*") shall take place at 10:00 a.m. at the offices of Cooley Godward LLP, 3175 Hanover Street, Palo Alto, California on the second (2^{nd}) business day (the "*Closing Date*") after satisfaction in full of the closing conditions set forth in Sections 4 and 5 herein that by their terms are not to occur at the Closing, or waiver of any such closing conditions pursuant to the

A-1

terms therein, or at such other time and place as may be agreed to by the Company and the Investors representing a majority of the total Aggregate Purchase Prices paid by all Investors (a "*Majority in Interest of the Investors*"). At the Closing, each Investor shall deliver, in immediately available funds, the Aggregate Purchase Price by wire transfer to an account designated by the Company. As soon as reasonably practicable, but in no event later than five (5) business days after the Closing, the Company shall deliver to each Investor, against payment therefor, one or more stock certificates representing the number of Shares set forth on *Schedule A* hereto and one or more Warrants to purchase the number of Warrant Shares set forth on *Schedule A* hereto, each such certificate and Warrant to be dated as of the Closing Date and to be registered in the name of the Investor or, if so indicated on the Stock Certificate and Warrant Questionnaire attached hereto as *Exhibit B*, in the name of a nominee designated by such Investor.

3.2 All certificates representing the Shares and the Warrant Shares shall bear the following legends:

(a) "THE SHARES REPRESENTED BY THIS CERTIFICATE HAVE BEEN ACQUIRED FOR INVESTMENT AND HAVE NOT BEEN REGISTERED UNDER THE SECURITIES ACT OF 1933, AS AMENDED (THE "ACT"). SUCH SHARES MAY NOT BE SOLD OR TRANSFERRED IN THE ABSENCE OF REGISTRATION OR AN EXEMPTION THEREFROM. RIGEL PHARMACEUTICALS, INC. MAY REQUIRE AN OPINION OF COUNSEL REASONABLY ACCEPTABLE TO IT THAT A PROPOSED TRANSFER OR SALE IS IN COMPLIANCE WITH THE ACT."

(b) "THE SALE, TRANSFER OR VOTING OF THE SHARES REPRESENTED BY THIS CERTIFICATE IS RESTRICTED BY THE TERMS OF A SECOND INVESTOR RIGHTS AGREEMENT BY AND AMONG RIGEL PHARMACEUTICALS, INC. AND THE INVESTORS NAMED THEREIN. COPIES OF THE AGREEMENT MAY BE OBTAINED AT NO COST BY WRITTEN REQUEST MADE BY THE HOLDERS OF RECORD OF THIS CERTIFICATE TO THE SECRETARY OF RIGEL PHARMACEUTICALS, INC. AT THE PRINCIPAL EXECUTIVE

OFFICES OF RIGEL PHARMACEUTICALS, INC."

(c) The certificates representing the Shares and Warrant Shares will be subject to a stop transfer order with the Company's transfer agent that restricts the transfer of such shares except in compliance with this Agreement.

(d) The Company acknowledges and agrees that an opinion of counsel shall not be required upon the transfer by an Investor of any securities to an "*Affiliate*" (as defined in Rule 12b-2 of the rules and regulations promulgated under the Securities Exchange Act of 1934, as amended (the "*Exchange Act*")) of such Investor, including, but not limited to, a member, retired member, partner, retired partner or affiliated venture capital fund of such Investor.

4. *Conditions to Company's Obligations.* The Company's obligation to issue Shares and Warrants to each Investor at the Closing shall be subject to the fulfillment on or prior to the Closing of the following conditions, any one or more of which may be waived in whole or in part by the written consent of the Company:

4.1 Payment. The Company shall have received the Aggregate Purchase Price.

4.2 *Representations and Warranties True and Correct.* The representations and warranties made by such Investor in Section 7 hereof shall be true and correct in all material respects as of the date hereof and the Closing Date with the same force and effect as if they had been made as of the Closing Date.

A-2

4.3 *No Injunction or Regulatory Restraints; Illegality.* No temporary restraining order, preliminary or permanent injunction or other order issued by any court of competent jurisdiction or governmental entity or other legal or regulatory restraint or prohibition preventing the consummation of the transactions contemplated hereby shall be in effect; nor shall there be any action taken by any court of competent jurisdiction or governmental entity, or any law or order enacted, entered, enforced or deemed applicable to the transactions contemplated hereby by any court of competent jurisdiction or governmental entity, that would prohibit their consummation.

4.4 *Filing of Certificate*. The Company shall have filed with the Secretary of State of the State of Delaware the Amended and Restated Certificate of Incorporation, in substantially the form attached hereto as *Exhibit C* (the "*Certificate*"), to (a) effect a reverse stock split of the outstanding Common Stock within the range of 1-for-5 to 1-for-15 as mutually agreed upon by the board of directors of the Company (the "*Board of Directors*") and a Majority in Interest of the Investors (the "*Reverse Stock Split*") and (b) to effect any other changes to the Company's certificate of incorporation as are necessary to complete the transactions contemplated hereby, including, but not limited to, potentially increasing the number of authorized shares of Common Stock of the Company.

4.5 *Required Stockholder Approval.* The Company shall have obtained the Required Stockholder Approval (as defined in Section 6.4).

5. *Conditions to Investors' Obligations.* Each Investor's obligation to purchase Shares and Warrants at the Closing shall be subject to the fulfillment on or prior to the Closing of the following conditions, any one or more of which may be waived in whole or in part, subject to Section 10.2, by the written consent of a Majority in Interest of the Investors:

5.1 *Representations and Warranties True and Correct.* The representations and warranties made by the Company in Section 6 hereof shall be true and correct as of the date hereof and the Closing Date with the same force and effect as if they had been made as of the Closing Date, except as otherwise contemplated by this Agreement and except (a) in each case, or in the aggregate, as does not constitute a Material Adverse Effect on the Company (as defined in Section 5.11) and (b) for those representations and warranties that address matters only as of a particular date, which shall be true and correct (subject to the qualification set forth in the preceding clause (a)) as of such date.

5.2 *Compliance with Laws.* The purchase of the Shares and Warrants by each Investor hereunder shall be legally permitted by all laws and regulations to which the Company is subject (including all applicable federal, state and foreign securities laws).

5.3 No Injunction or Regulatory Constraints; Illegality. No temporary restraining order, preliminary or permanent injunction or other order issued by any court of competent jurisdiction or governmental entity or other legal or regulatory restraint or prohibition

preventing the consummation of the transactions contemplated hereby shall be in effect; nor shall there be any action taken by any court of competent jurisdiction or governmental entity, or any law or order enacted, entered, enforced or deemed applicable to the transactions contemplated hereby by any court of competent jurisdiction or governmental entity, that would prohibit their consummation.

5.4 *Filing of Certificate.* The Company shall have filed with the Secretary of State of the State of Delaware the Certificate to (a) effect the Reverse Stock Split and (b) effect any other changes to the Company's certificate of incorporation as are necessary to complete the transactions contemplated hereby, including, but not limited to, potentially increasing the number of authorized shares of Common Stock of the Company.

A-3

5.5 *Opinion of Company's Counsel.* The Investors shall have received a legal opinion of Cooley Godward LLP, counsel for the Company, in substantially the form attached hereto as *Exhibit D*.

5.6 Required Stockholder Approval. The Company shall have obtained the Required Stockholder Approval.

5.7 Board of Directors Designees. The Board of Directors (which shall have nine (9) members as of the Closing) shall have appointed, effective as of the Closing, Nick Simon and Dennis Henner (the "*MPM Representatives*") as designees of MPM BioVentures III, L.P. or its affiliates ("*MPM Capital*") to serve on the Board of Directors as Class II and Class III directors (as defined in the Company's Certificate). In addition, the Board of Directors shall have appointed, effective as of the Closing, one (1) MPM Representative as a member of the compensation committee of the Board of Directors and one (1) MPM Representative as a member of the Board of Directors, and all such appointments shall be in full force and effect.

5.8 *Committee Appointment.* Kazumi Shiosaki, Ph.D., representing MPM Capital, shall have been appointed to the Company's drug development committee (or similar committee as appropriate) to serve until a senior executive officer in the area of drug development, which individual shall have extensive small molecule experience and expertise, has been appointed by the Board of Directors.

5.9 *Investor Rights Agreement*. The parties hereto shall have entered into that certain Second Investor Rights Agreement in substantially the form attached hereto as *Exhibit E* (the "*Investor Rights Agreement*," and together with this Agreement, the "*Agreements*").

5.10 *Waiver of Registration Rights.* The Prior Rights Holders (as defined in the Investor Rights Agreement) shall have waived any applicable registration rights held by them in connection with the filing of any registration statement on behalf of the Investors pursuant to Sections 2.1 and 2.4 of the Investor Rights Agreement.

5.11 *No Material Adverse Effect.* There shall have been no Material Adverse Effect on the Company between the date of the execution of this Agreement and the Closing Date. For the purposes of this Agreement, a "*Material Adverse Effect*" on the Company shall mean an event, change or occurrence that individually, or together with any other event, change or occurrence, has had a material adverse impact on the Company's financial position, business, properties, assets, liabilities (absolute, accrued or contingent), prospects or results of operations; *provided, however*, that none of the direct effects of any of the following (individually or in combination) shall be deemed to constitute, or shall be taken into account in determining whether there has been, a Material Adverse Effect on the Company: (a) changes in generally accepted accounting practices, (b) historically experienced seasonal fluctuations in the Company's performance, (c) changes in conditions generally affecting the biotechnology industry, (e) the announcement or pendency of any of the transactions contemplated by this Agreement, (f) the taking of any action required by this Agreement and (g) expenditures by the Company in the ordinary course of business consistent with past practices and reasonable expenditures by the Company in connection with the transactions contemplated by this Agreement.

5.12 *Executive Search*. The Company shall have initiated a search for and shall have diligently pursued the hiring of a senior executive officer in the area of drug development, which individual shall have extensive small molecule development experience and expertise and be acceptable to the Board of Directors.

5.13 *Covenants.* Each covenant and agreement contained in this Agreement to be performed by the Company on or prior to the Closing shall have been performed or complied with in all material respects.

5.14 *Nasdaq Listing*. The Company shall (a) have filed a listing application with the Nasdaq National Market ("*Nasdaq*") for the Shares and the Warrant Shares, (b) continue to have its shares of Common Stock listed for trading on Nasdaq and (c) have not been notified by Nasdaq of any action or potential action by Nasdaq or of any violation of any Nasdaq rule that could result in the delisting of the Company's Common Stock from Nasdaq, except as otherwise described in Section 6.13 of the Company's Disclosure Schedule.

5.15 *Closing Proceeds.* The Company shall have raised at least \$40,000,000 from the Investors pursuant to this Agreement; *provided, however*, that, notwithstanding Section 10.2, this condition may be waived solely by MPM Capital.

5.16 *Officers' Certificates.* The Company shall have delivered to the Investors a certificate, dated as of the Closing Date and executed by the chief executive officer of the Company, and a certificate, dated as of the Closing Date and executed by the secretary of the Company, in the forms attached hereto as *Exhibits F* and *G*, respectively.

6. *Representations and Warranties of the Company*. Except as otherwise described in the Company's Disclosure Schedule, and except as expressly contemplated herein or thereby, the Company hereby represents and warrants to each Investor as follows:

6.1 Organization. The Company is duly incorporated and validly existing in good standing under the laws of the State of Delaware. The Company has full power and authority to own, operate and occupy its properties and to conduct its business as presently conducted and is registered or qualified to do business and is in good standing in each jurisdiction in which it owns or leases property or transacts business and where the failure to be so qualified would have a Material Adverse Effect on the Company, and, to the Company's knowledge (as defined below), no proceeding has been instituted in any such jurisdiction revoking, limiting or curtailing, or seeking to revoke, limit or curtail, such power and authority or qualification. For purposes of this Agreement, the term "*knowledge*" (including any derivation thereof such as "*know*" or "*knowing*" and regardless of whether such word starts with an initial capital) in reference to the Company shall mean the actual knowledge of the Company's executive officers.

6.2 *Subsidiaries.* The Company does not own or control any equity security or other interest of any other corporation, partnership or other business entity. Since its inception, the Company has not consolidated or merged with, acquired all or substantially all of the assets of, or acquired the stock of or any interest in any corporation, partnership or other business entity.

6.3 *Due Authorization.* The Company has all requisite corporate power and authority to execute, deliver and perform its obligations under the Agreements and Warrants, and the Agreements and Warrants have been duly authorized and validly executed and delivered by the Company and, except for obtaining Stockholder Approval, no other corporate action on the part of the Company or its stockholders is necessary to authorize the execution and delivery by the Company of the Agreements or the Warrants or the consummation by it of the Offering. The Agreements and Warrants, assuming due and valid authorization, execution and delivery hereof and thereof by the Investors, constitute legal, valid and binding agreements of the Company, enforceable against the Company in accordance with their terms, except as rights to indemnity and contribution may be limited by state or federal securities laws or the public policy underlying such laws, except as enforceability may be limited by applicable bankruptcy, insolvency, reorganization, moratorium or similar laws affecting creditors' and contracting parties' rights generally, and except

A-5

as enforceability may be subject to general principles of equity (regardless of whether such enforceability is considered in a proceeding in equity or at law).

6.4 *Vote Required.* The only votes of the holders of any class or series of the Company's capital stock necessary to approve the Offering and the other transactions contemplated by this Agreement (the "*Stockholder Approval*") are (a) the affirmative vote of the majority of shares of Common Stock present in person or represented by proxy at the Stockholders' Meeting (as defined in Section 8.1) and entitled to vote to approve the Offering (the "*Required Nasdaq Approval*"), (b) the affirmative vote of at least sixty-six and two-thirds percent (66²/₃%) of the outstanding shares of Common Stock entitled to vote to approve the Certificate and

resulting Reverse Stock Split and, if necessary to complete the transactions contemplated hereby, an increase in the number of authorized shares of Common Stock (the *"Reverse Split Stockholder Approval*" and, together with the Required Nasdaq Approval, the *"Required Stockholder Approval*"), (c) the affirmative vote of the majority of the shares of Common Stock present in person or represented by proxy at the Stockholders' Meeting and entitled to vote to approve the amendments to the Company's 2000 Equity Incentive Plan, 2000 Non-Employee Directors' Stock Option Plan and 2000 Employee Stock Purchase Plan to, among other things, increase the number of shares reserved for issuance under each such plan, as set forth on *Schedule B* attached hereto (the "*Plan Amendments*") and (d) the affirmative vote of the majority of the outstanding shares of Common Stock entitled to vote to approve the repricing, in the discretion of the Board of Directors and the reasonable discretion of a Majority in Interest of the Investors, of all options to purchase Common Stock issued pursuant to the Company's 2000 Equity Incentive Plan, 2000 Non-Employee Directors' Stock Option Plan outstanding as of the Closing (the "*Repricing*").

6.5 Non-Contravention. The execution and delivery of the Agreements, the issuance and sale of the Shares and the Warrants, the issuance of the Warrant Shares and the consummation of the transactions contemplated thereby will not (a) conflict with or constitute a violation of or default (with the passage of time or otherwise) or give rise to any right of termination, material amendment, cancellation or acceleration or loss of any material rights under (i) any material contracts to which the Company is a party and that are filed as exhibits to the Company's annual report on Form 10-K for the year ended December 31, 2002 and any Current Reports on Form 8-K or Quarterly Reports on Form 10-Q filed subsequent thereto with the Securities and Exchange Commission (the "SEC") by the Company (the "SEC Documents") (such contracts, the "Material Contracts"), (ii) the certificate of incorporation or the bylaws of the Company or any similar organizational document of the Company or (iii) any law, administrative regulation ordinance, writ, injunction, decree or order of any court or governmental agency, arbitration panel or authority binding upon the Company or its property, where such conflict, violation or default would result in a Material Adverse Effect on the Company or (b) result in the creation or imposition (or the obligation to create or impose) of any material lien, encumbrance, claim, security interest, pledge, charge or restriction of any kind upon any of the properties or assets of the Company or an acceleration of indebtedness pursuant to any obligation, agreement or condition contained in any Material Contract. No consent, approval, authorization or other order of, or registration, qualification or filing with, any regulatory body, administrative agency or other governmental body in the United States is required for the execution and delivery of the Agreements and the Warrants and the valid issuance and sale of the Shares and the Warrants to be sold pursuant to this Agreement, other than such as have been made or obtained or will be made or obtained pursuant to the Nasdaq Marketplace Rules (the "Nasdaq Rules") prior to the Closing and except for any securities filings required to be made under state securities laws.

6.6 *Capitalization*. As of the date of this Agreement, the authorized capital stock of the Company consists of 100,000,000 shares of Common Stock and 10,000,000 shares of preferred

A-6

stock, par value \$0.001 per share. As of the date of this Agreement, (a) 46,376,004 shares of Common Stock are issued and outstanding, (b) 45,731 shares of Common Stock are issued and held in the treasury of the Company, (c) no shares of preferred stock are designated as Preferred Stock, (d) 10,327,905 shares of Common Stock are reserved for issuance upon exercise of options to purchase the Common Stock under the Company's stock option plans and employee stock purchase plan and (e) 1,149,615 shares of Common Stock have been reserved for issuance upon the exercise of warrants to purchase Common Stock. The Shares and the Warrants to be sold pursuant to this Agreement and the Warrant Shares have been duly authorized, and when issued and paid for in accordance with the terms of this Agreement and the Warrants, will be duly and validly issued, fully paid and nonassessable. The outstanding shares of capital stock of the Company have been duly and validly issued and are fully paid and nonassessable, have been issued in compliance with all federal, state and foreign securities laws and were not issued in violation of any preemptive rights or similar rights to subscribe for or purchase securities. Except as set forth in or contemplated by the Agreements and the Warrants, there are no outstanding rights (including, without limitation, preemptive rights), warrants or options to acquire, or instruments convertible into or exchangeable for, any unissued shares of capital stock or other equity interest in the Company, or any contract, commitment, agreement, understanding or arrangement of any kind to which the Company is a party and relating to the issuance or sale of any capital stock of the Company, any such convertible or exchangeable securities or any such rights, warrants or options. Without limiting the foregoing, no preemptive right, co-sale right, right of first refusal or other similar right exists with respect to the issuance and sale of the Shares and the Warrants or the issuance of the Warrant Shares. Other than Voting Agreements substantially in the form attached hereto as Exhibit H, there are no stockholder agreements, voting agreements or other similar agreements with respect to the Common Stock to which the Company is a party.

6.7 *Legal Proceedings.* There is no material action, suit or governmental proceeding pending or, to the knowledge of the Company, threatened against or involving the Company or any of its properties or other assets or which questions the validity of this

Agreement or any action taken or to be taken by the Company pursuant to the Agreements or in connection with the transactions contemplated hereby. There is no fact or circumstance known to the Company that would reasonably be expected to give rise to any material action, suit, proceeding, inquiry or investigation against, relating to or affecting the Company or any of its properties or other assets. The Company is not subject to any judgment, order or decree that materially restricts its business practices or its ability to acquire any property or conduct its business in any area.

6.8 *No Violations.* The Company is not in violation of its certificate of incorporation or its bylaws or, to the knowledge of the Company, in material violation of any law, administrative regulation, ordinance or order of any court or governmental agency, arbitration panel or authority applicable to the Company, and is not in default (and there exists no condition which, with the passage of time or otherwise, would constitute a default) in the performance of any Material Contract. No notice, charge, claim, action or assertion has been received by the Company alleging such a violation or default.

6.9 *Governmental Permits, Etc.* The Company has all necessary franchises, licenses, certificates and other authorizations from any foreign, federal, state or local government or governmental agency, department or body that are currently necessary for the operation of the business of the Company as currently conducted, except where the failure to currently possess such items would not have a Material Adverse Effect on the Company. The Company has not received any notice of proceedings relating to the revocation or modification of, or non-compliance with, any such certificate, authorization or permit.

6.10 *Intellectual Property.* The Company owns or possesses and holds valid and sufficient rights to use all patents, patent rights or licenses, inventions, collaborative research agreements,

A-7

trade secrets, know-how, trademarks, service marks, trade names and copyrights that are necessary to conduct its business as currently conducted and as proposed to be conducted in all material respects. The expiration of any patents, patent rights, trade secrets, trademarks, service marks, trade names or copyrights, or termination or expiration of any exclusive licenses thereto, would not, individually or in the aggregate, result in a Material Adverse Effect on the Company. The Company has not received any notice of, and has no knowledge of, any infringement of or conflict with rights of the Company by others with respect to any patent, patent rights, inventions, trade secrets, know-how, trademarks, service marks, trade names or copyrights; and the Company has not received any notice of, and has no knowledge of, any infringement of or conflict with rights of others with respect to any patent, patent rights, inventions, trade secrets, know-how, trademarks, service marks, trade names or copyrights of or exclusively licensed to the Company, There is no claim being made against the Company regarding patents, patent rights or licenses, inventions, collaborative research, trade secrets, know-how, trademarks, service marks, trade names or copyrights. The Company does not, in the conduct of its business, infringe or conflict with any right or patent of any third party, or any discovery, invention, product or process that is the subject of a patent application filed by any third party, known to the Company. The Company has taken reasonable steps to protect the material intellectual property of the Company. The execution, delivery and performance by the Company of this Agreement, and the consummation of the transactions contemplated hereby, will not result in the loss or impairment of, or give rise to any right of any third party to terminate or materially alter, any of the Company's material rights to own any of its intellectual property or its material rights under any agreements relating to such intellectual property, nor require the consent of any governmental authority or third party in respect of any such intellectual property.

6.11 *Financial Statements.* The financial statements of the Company and the related notes contained in the Company's SEC Documents have been prepared from and are in accordance with the books and records of the Company and present fairly, in accordance with United States generally accepted accounting principles ("*GAAP*"), the financial position of the Company as of the dates indicated, and the results of its operations and cash flows for the periods therein specified. Such financial statements (including the related notes) have been prepared in accordance with GAAP applied on a consistent basis throughout the periods therein specified and have complied, as of their respective dates, in all material respects with the applicable accounting requirements and rules and regulations of the SEC. The Company has not created any entities or entered into any transactions or created any liabilities or obligations of any nature, whether or not accrued, contingent or otherwise, for the purpose of avoiding disclosure required by GAAP.

6.12 *Absence of Changes.* Since December 31, 2002, there has not been (a) any Material Adverse Effect on the Company, (b) any material obligation, direct or contingent, incurred by the Company, except obligations incurred in the ordinary course of business, (c) any dividend or distribution of any kind declared, paid or made on the capital stock of the Company, (d) any loss or damage (whether or not insured) to the physical property of the Company which has had a Material Adverse Effect on the Company, (e) any change in any method of tax or GAAP or accounting practice that would or would reasonably be expected to result in any material change in the financial statements, (f) any payment, loan or advance of any amount to, or sale, transfer or lease of any material properties or assets (real, personal or mixed, tangible or intangible) to, or any agreement or arrangement with, any executive

officer or directors or employees of the Company, except such amounts or such agreements made in the ordinary course of business, (g) any amendment to the Company's certificate of incorporation, bylaws or similar organizational documents, (h) any issuance, sale, transfer, pledge, disposal of or encumbrance of any shares of any class or series of the Company's capital stock, or securities convertible into or exchangeable for, or options, warrants, calls, commitments or rights of any kind to acquire, any shares of any class or series of its capital stock, other than shares of Common Stock reserved for issuance on the

date of this Agreement pursuant to the Company's stock option plans and employee stock purchase plan, the exercise of any options or warrants to purchase Common Stock outstanding on the date of this Agreement or existing agreements that require the Company to issue shares of Common Stock, (i) any redemption, purchase or other acquisition directly or indirectly of any shares of any class or series of the Company's capital stock, or any instrument or security which consists of or includes a right to acquire such shares (other than repurchases of restricted stock at the original purchase price pursuant to agreements outstanding on the date of this Agreement or entered into after the date of this Agreement in compliance with the provisions hereof), (j) except in the ordinary course of business and consistent with past practice or pursuant to the terms of its Material Contracts as in effect on the date hereof, any termination, modification or amendment to any of its Material Contracts or any waiver, release or assignment of any material rights under any Material Contract or material claims, (k) any revaluation in any material respect any of its assets, including any writing down of the value of inventory or writing-off of notes or accounts receivable, other than in the ordinary course of business consistent with past practice or as required by GAAP, (l) any settlement or compromise of any pending or threatened suit, action or claim that relates to the transactions contemplated hereby or is material to the Company, (m) any adoption of a plan of complete or partial liquidation, dissolution, merger, consolidation, restructuring, recapitalization or other reorganization of the Company or (n) any agreement, whether in writing or otherwise, to take any action described in this Section 6.12.

6.13 *Nasdaq Compliance.* The Company's Common Stock is registered pursuant to Section 12(g) of the Exchange Act and is listed on Nasdaq, and the Company has taken no action designed to, or likely to have the effect of, terminating the registration of the Common Stock under the Exchange Act or delisting the Common Stock from Nasdaq. The Company has not been notified by Nasdaq of any action or potential action by Nasdaq or of any violation of any Nasdaq Rules that could result in the delisting of the Company's Common Stock from Nasdaq.

6.14 *Reporting Status.* The Company has filed in a timely manner all documents that the Company was required to file under the Exchange Act and the Nasdaq Rules during the twelve (12) months preceding the date of this Agreement. The Company has delivered to the Investors a copy of all SEC Documents filed within the ten (10) days preceding the date hereof. The SEC Documents complied in all material respects with the requirements of the Securities Act of 1933, as amended (the "*Securities Act*"), and the Exchange Act and the rules and regulations of the SEC promulgated thereunder as of their respective filing dates, and none of the SEC Documents, including any financial statements or schedules included or incorporated by reference therein, when filed, contained any untrue statement of a material fact or omitted to state a material fact required to be stated therein or necessary in order to make the statements therein, in light of the circumstances under which they were made, not misleading. The Chief Executive Officer and the Chief Financial Officer of the Company have signed, and the Company has furnished to the SEC, all certifications required by Sections 302 and 906 of the Sarbanes-Oxley Act of 2002 (the "*Certifications*"). Such Certifications contain no qualifications or exceptions to the matters certified therein and have not been modified or withdrawn, and neither the Company nor any of it officers has received notice from any governmental entity questioning or challenging the accuracy, completeness, content, form or manner of filing or submission of such Certifications.

6.15 *Listing.* The Company shall comply with all requirements of the National Association of Securities Dealers, Inc. with respect to the issuance of the Shares and the Warrants and the listing of the underlying Common Stock on Nasdaq.

6.16 *No Manipulation of Stock.* The Company has not taken and will not take any action outside the ordinary course of business designed to or that might reasonably be expected to cause or result in unlawful manipulation of the price of the Common Stock to facilitate the sale or resale of the Shares and the Warrants.

6.17 *Accountants.* Ernst & Young LLP, which expressed its opinion with respect to the financial statements included in the Company's Annual Report on Form 10-K for the year ended December 31, 2002, are independent accountants as required by the Securities Act and the rules and regulations promulgated thereunder.

6.18 Contracts.

(a) Except for the Material Contracts, the Company does not have any agreements, contracts and commitments not made in the ordinary course of business that are material to the Company.

(b) The Company does not have any employment agreements, or any other similar agreements that contain any severance or termination pay liabilities or obligations, that are not filed as exhibits to the SEC Documents.

(c) No purchase contract or commitment of the Company continues for a period of more than twelve (12) months or is in excess of the normal, ordinary and usual requirements of business.

(d) The Company is not in default under or in material violation of, nor to the Company's knowledge, is there any valid basis for any claim of default, under or material violation of, any Material Contract.

(e) The Company does not have any debt obligations for borrowed money, including any guarantee of or agreement to acquire any such debt obligation of others, or any power of attorney outstanding or any obligation or liability (whether absolute, accrued, contingent or otherwise) as guarantor, surety, co-signer, endorser, co-maker, indemnitor or otherwise with respect to the obligation of any corporation, partnership, joint venture, association, organization or other entity.

(f) All agreements, contracts and commitments required to be filed by the Company under the Exchange Act or the Securities Act have been filed in a timely manner with the SEC.

(g) The Company is not materially restricted by agreement from carrying on its business anywhere in the world.

6.19 *Taxes.* The Company has duly and timely filed all necessary federal, state and foreign income and franchise tax returns and has paid or accrued all taxes shown as due thereon, and the Company has no knowledge of a tax deficiency which has been or might be asserted or threatened against it which would have a Material Adverse Effect on the Company.

6.20 *Transfer Taxes.* On the Closing Date, all stock transfer or other taxes (other than income taxes) which are required to be paid in connection with the sale and transfer of the Shares and the Warrants to be sold to the Investors hereunder will be, or will have been, fully paid or provided for by the Company and all laws imposing such taxes will be or will have been fully complied with.

6.21 *Investment Company.* The Company is not an "investment company" or an "affiliated person" of, or "promoter" or "principal underwriter" for, an investment company, within the meaning of the Investment Company Act of 1940, as amended.

6.22 *Insurance*. The Company maintains and will continue to maintain insurance of the types and in the amounts that the Company reasonably believes is adequate for its business, including, but not limited to, insurance against theft, damage, destruction, acts of vandalism and all other risks customarily insured against by similarly situated companies, all of which insurance is in full force and effect.

A-10

6.23 *DGCL 203.* Prior to the date of this Agreement, the Board of Directors, at a meeting duly called and held, has (a) determined that the Agreement and the Offering are fair to, advisable and in the best interests of the Company and the stockholders of the Company, (b) approved the Offering and (c) resolved to recommend that the stockholders of the Company approve the Offering. The action taken by the Board of Directors constitutes approval of the Offering under the provisions of Section 203 of the Delaware General Corporation Law ("*DGCL*") such that Section 203 of the DGCL does not apply to this Agreement or the Offering, and such approval has not been amended, rescinded or modified. No other state takeover, antitakeover, moratorium, fair price, interested stockholder, business combination or similar statute or rule is applicable to the Offering. If any state takeover statute other than Section 203 of the DGCL becomes or is deemed to become applicable to this Agreement or the Offering, the Company shall take all

reasonable action necessary to render such statute inapplicable to all of the foregoing.

6.24 *Brokers or Finders.* No agent, broker, investment banker, financial advisor or other firm or entity is or will be entitled to any broker's or finder's fee or any other commission or similar fee payable by the Company in connection with the Offering, except for amounts paid or payable to Houlihan Lokey Howard & Zukin (the "*Financial Advisor*"). The Company has no liabilities or obligations (absolute, accrued, contingent or otherwise) to the Financial Advisor except as set forth in the engagement letter between the Company and the Financial Advisor, which letter has been provided to the Investors.

6.25 *Offering Materials.* The Company has not distributed and will not distribute prior to the Closing Date any offering material in connection with the offering and sale of the Shares and the Warrants. The Company has not issued, offered or sold any shares of Common Stock (including for this purpose any securities of the same or a similar class as the Shares or the Warrant Shares or any securities convertible into or exchangeable or exercisable for the Shares or the Warrant Shares) within the six (6) month period preceding the date hereof or taken any other action, or failed to take any action, that, in any such case, would (i) eliminate the availability of the exemption from registration under Regulation D under the Securities Act in connection with the offer and sale of the Shares and the Warrants as contemplated hereby or (ii) cause the offering of the Shares and the Warrants pursuant to this Agreement to be integrated with prior offerings by the Company for purposes of the Securities Act. The Company shall not directly or indirectly take, and shall not permit any of its directors, or officers indirectly to take, any action (including any offering or sale to any person or entity of the Shares, the Warrants or any Common Stock) that will make unavailable the exemption from registration under the Securities Act being relied upon by the Company for the offer and sale to the Investors of the Shares and the Warrants as contemplated by this Agreement, including the filing of a registration statement under the Securities Act. No form of general solicitation or advertising within the meaning of Rule 502(c) under the Securities Act has been used or authorized by the Company or any of its officers or directors in connection with the offer or sale of the Shares or the Warrants as contemplated by this Agreement or any other agreement to which the Company is a party.

6.26 *Registration Rights.* There are no registration or other similar rights to have any securities registered under any registration statement to be filed on behalf of the Investors pursuant to Sections 2.1 and 2.4 of the Investor Rights Agreement and no other registration rights exist with respect to the issuance or registration of the Shares and the Warrant Shares by the Company under the Securities Act that have not been satisfied or waived.

6.27 Books and Records. The books of account, minute books, stock record books and other records of the Company are complete and correct in all material respects and have been maintained in accordance with sound business practices and the requirements of Section 13(b)(2) of the Exchange Act, including an adequate system of internal controls. The minute books of the Company contain accurate and complete records of all meetings held of, and corporate action

A-11

taken by, the stockholders, the Board of Directors and committees of the Board of Directors, and no meeting of any of such stockholders, the Board of Directors or such committees has been held for which minutes have not been prepared and are not contained in such minute books.

6.28 *Employee Benefit Plans; Employee Matters.* The consummation of the transactions contemplated by this Agreement will not, alone or in conjunction with any other possible event (including termination of employment) (i) entitle any current or former employee or other service provider of the Company to severance benefits or any other payment, compensation or benefit (including forgiveness of indebtedness), except as expressly provided by this Agreement or (ii) accelerate the time of payment or vesting, or increase the amount of compensation or benefit due any such employee or service provider, alone or in conjunction with any other possible event (including termination of employment). The Company is in compliance in all material respects with all currently applicable laws and regulations respecting employment, discrimination in employment, terms and conditions of employment, wages, hours and occupational safety and health and employment practices, and is not engaged in any unfair labor practice. To the Company's knowledge, no employees of the Company are in violation of any term of any material employment contract, patent disclosure agreement, noncompetition agreement or any restrictive covenant to a former employer relating to the right of any such employee to be employed by the Company because of the nature of the business conducted or presently proposed to be conducted by the Company or to the use of trade secrets or proprietary information of others. No key employee of the Company has given written notice to the Company and, to the Company's knowledge, no key employee intends to terminate his or her employment with the Company.

6.29 *Environmental Laws.* The Company is not in violation of any applicable statute, law or regulation relating to the environment or occupational health and safety, and no material expenditures are or will be required in order to comply with any such

existing statute, law or regulation, which could reasonably be expected to result in a Material Adverse Effect on the Company.

6.31 *Title to Property and Assets.* The Company owns its property and tangible assets free and clear of all mortgages, liens, loans and encumbrances, except such encumbrances and liens that arise in the ordinary course of business and do not materially impair the Company's ownership or use of such property or tangible assets. With respect to the property and tangible assets it leases,

A-12

the Company is in compliance with such leases and, to the knowledge, holds a valid leasehold interest free of any liens, claims or encumbrances.

6.32 *Insider Interests; Related Party Transactions.* Except for inventors who have assigned their patent rights to the Company and which assignments have been filed with the United States Patent and Trademark Office, to the Company's knowledge no executive officer or director of the Company has any material interest in any material property, real or personal, tangible or intangible, including any invention, patent, trademark or trade name, used in or pertaining to the business of the Company.

6.33 Real Property Holding Corporation. The Company is not a real property holding corporation within the meaning of Section 897(c)(2) of the Internal Revenue Code of 1986, as amended, and any regulations promulgated thereunder.

7. Representations, Warranties and Covenants of the Investors.

Each Investor, severally and not jointly, hereby represents and warrants to the Company as follows:

7.1 *Investment Experience and Interest.* Such Investor represents and warrants to, and covenants with, the Company that: (a) the Investor is an "accredited investor" as defined in Regulation D under the Securities Act and the Investor is knowledgeable, sophisticated and experienced in making, and is qualified to make decisions with respect to, investments in shares presenting an investment decision like that involved in the purchase of the Shares and the Warrants, including investments in securities issued by the Company and investments in comparable companies, and has requested, received, reviewed and considered all information it deemed relevant in making an informed decision to purchase the Shares and the Warrants, (b) the Investor is acquiring the number of Shares set forth on *Schedule A* hereto and the Warrants to purchase the number of shares of Common Stock set forth on *Schedule A* hereto in the ordinary course of its business and for its own account and with no present intention of distributing any of such Shares or Warrants or any arrangement or understanding with any other persons regarding the distribution of such Shares or Warrants, (c) the Investor will not, directly or indirectly, offer, sell, pledge, transfer or otherwise dispose of (or solicit any offers to buy, purchase or otherwise laws and the respective rules and regulations promulgated thereunder and (d) the Investor has, in connection with its decision to purchase the number of Shares set forth on *Schedule A* hereto and the Warrants to purchase the number of shares of Common Stock set forth on schedule *A* hereto in the securities and regulations promulgated thereunder and (d) the Investor has, in connection with its decision to purchase the number of Shares set forth on *Schedule A* hereto and the Warrants to purchase the number of shares of Common Stock set forth on *Schedule A* hereto, relied only upon the SEC Documents and the information incorporated by reference therein and the representations and warranties of the Company contai

7.2 *Registration or Exemption Requirements.* Such Investor acknowledges and understands that the Shares and the Warrants may not be resold or otherwise transferred except in a transaction registered under the Securities Act, or unless an exemption from

such registration is available. Such Investor understands that the Warrants and the certificates evidencing the Shares will be imprinted with a legend that prohibits the transfer of such securities unless (a) they are registered or such registration is not required and (b) if the transfer is pursuant to an exemption from registration under the Securities Act and, if the Company shall so request in writing, an opinion of counsel reasonably satisfactory to the Company is obtained to the effect that the transaction is so exempt; *provided*, *however*, that notwithstanding the foregoing, Section 3.2(d) hereof shall also apply to such transfers.

7.3 *Foreign Jurisdictions.* Such Investor acknowledges, represents and agrees that no action has been or will be taken in any jurisdiction outside the United States by the Company, or any agents acting on behalf of the Company, that would permit an offering of the Shares or the

Warrants, or possession or distribution of offering materials in connection with the issue of the Shares and the Warrants, in any jurisdiction outside the United States where action for that purpose is required. Each Investor outside the United States will comply with all applicable laws and regulations in each foreign jurisdiction in which it purchases, offers, sells or delivers the Shares or the Warrants or has in its possession or distributes any offering material, in all cases at its own expense.

7.4 *Due Authorization.* Such Investor has all requisite corporate power and authority to execute, deliver and perform its obligations under the Agreements and Warrants, and the Agreements and Warrants have been duly authorized and validly executed and delivered by the Investor and no other corporate action on the part of the Investor is necessary to authorize the execution and delivery by the Investor of the Agreements or the Warrants. The Agreements and Warrants constitute legal, valid and binding agreements of the Investor, enforceable against the Investor in accordance with their terms, except as rights to indemnity and contribution may be limited by state or federal securities laws or the public policy underlying such laws, except as enforceability may be limited by applicable bankruptcy, insolvency, reorganization, moratorium or similar laws affecting creditors' and contracting parties' rights generally, and except as enforceability may be subject to general principles of equity (regardless of whether such enforceability is considered in a proceeding in equity or at law).

7.5 No Legal, Tax or Investment Advice. Such Investor understands that nothing in the Agreements or any other materials presented to the Investor in connection with the purchase and sale of the Shares and Warrants constitutes legal, tax or investment advice. The Investor has consulted such legal, tax and investment advisors as it, in its sole discretion, has deemed necessary or appropriate in connection with its purchase of the Shares and the Warrants. The Investor has not, and will not, rely on the fairness opinion, if any, that the Financial Advisor may deliver to the Board of Directors with respect to the Offering.

8. Covenants.

8.1 Stockholders' Meeting. The Company shall, in accordance with the laws of the State of Delaware, its certificate of incorporation and its bylaws, as each may be amended, use its commercially reasonable best efforts to convene a meeting of holders of the Common Stock (the "Stockholders' Meeting") within thirty (30) days (or such other time period that is mutually agreed to by the Company and a Majority in Interest of Investors) after the date of the Proxy Statement (as defined in Section 8.2), to consider and vote upon giving Stockholder Approval. The Board of Directors shall recommend such approval by the stockholders (the "Company Recommendation") and shall not (i) withdraw, modify or qualify (or propose to withdraw, modify or qualify) in any manner adverse to Investors such recommendation in favor of the Required Stockholder Approval or (ii) take any action or make any statement in connection with the Stockholders' Meeting inconsistent with such recommendation in favor of the Required Stockholder Approval or (ii) take any action or make a Change in the Company Recommendation "); provided, however, that the Board of Directors may make a Change in the Company Recommendation, the Company shall nonetheless cause the Stockholders' Meeting to be convened and a vote to be taken, and nothing contained herein shall be deemed to relieve the Company of such obligation unless this Agreement is terminated pursuant to Section 9.

8.2 *Filing of Proxy Statement; Amendment of Form 10-K.* Not later than May 9, 2003, the Company shall prepare and file with the SEC a proxy statement meeting the requirements of Section 14 of the Exchange Act and the related rules and regulations thereunder promulgated by the SEC (the "*Proxy Statement*") to solicit Stockholder Approval. The Company shall use its commercially reasonable best efforts to cause the Proxy Statement to be cleared by the SEC as

promptly as reasonably practicable after such filing, and shall promptly mail the Proxy Statement to the stockholders of the Company. The Company shall keep the Investors apprised of the status of matters relating to the Proxy Statement and the Stockholders' Meeting, including promptly furnishing the Investors and their counsel with copies of notices or other communications related to the Proxy Statement, the Stockholders' Meeting or the transactions contemplated hereby received by the Company from the SEC or Nasdaq. The Company shall use its commercially reasonable best efforts to prepare and file with the SEC prior to the filing of the Proxy Statement an amendment of its Annual Report on Form 10-K for the year ended December 31, 2002 in order to file certain agreements as identified in Section 6.14 of the Company's Disclosure Schedule.

8.3 *Election of Directors.* The Company will use its commercially reasonable best efforts to cause, at the Closing, (i) the MPM Representatives to be appointed as members of the Board of Directors, (ii) one (1) of the MPM Representatives to be designated as a member of the compensation committee of the Board of Directors and (iii) one (1) of the MPM Representatives to be designated as a member of the nominating committee of the Board of Directors.

8.4 Nasdaq Listing. The Company shall file a listing application with Nasdaq for the Shares and the Warrant Shares.

8.5 *Delivery of Warrant Shares.* The Company covenants to each Investor that, upon exercise of the Warrant(s) held by such Investor, it shall use its commercially reasonable efforts to cause the Warrant Shares to be issued and promptly delivered to such Investor in accordance with the Certificate; *provided, however*, that such issuance and delivery shall occur no later than ten (10) business days after the Company's receipt of the Investor's surrender of the Warrant(s) that such Investor desires to exercise.

8.6 Rights Offering and Option Plan Matters.

(a) The Company and the Investors acknowledge and agree that, promptly after the Closing, the Company intends to offer to its stockholders (excluding the Investors) non-transferable rights to purchase 15,625,000 shares of Common Stock at the Purchase Price, and each Investor hereby agrees to waive any right to participate in such rights offering.

(b) The Company and the Investors acknowledge and agree that the Company shall solicit the approval of its stockholders of, and, if such stockholder approval is obtained, shall effect (i) at the Closing, the Plan Amendments and (ii) after the Closing, the Repricing.

8.7 No Solicitation.

(a) The Company and each of its officers, directors and agents shall not take, cause or permit (and the Company shall use its commercially reasonable efforts to ensure that none of its representatives takes, causes or permits) any person to take, directly or indirectly, any of the following actions with any third party: (i) solicit, knowingly encourage, initiate or participate in any negotiations, inquiries or discussions with respect to any offer or proposal to acquire the business, assets or capital shares of the Company (excluding non-exclusive licenses entered into in the ordinary course of business), whether by merger, consolidation, other business combination, purchase of capital stock, purchase of assets, license, lease, tender or exchange offer or otherwise (each of the foregoing, an "*Alternative Proposal*"), (ii) disclose, in connection with an Alternative Proposal, any nonpublic information concerning Company's business or properties or afford to any third party access to its properties, books or records, except in the ordinary course of business and as required by law or pursuant to a governmental request for information, (iii) enter into or execute any agreement providing for an Alternative Proposal or (iv) make or authorize any public statement, recommendation or solicitation in support of any Alternative Proposal or any offer or proposal relating to an Alternative Proposal, other than with respect to the Offering; *provided, however*, that, in each

A-15

case, (A) if and to the extent that (1) the Stockholders' Meeting has not yet occurred, (2) the Board of Directors believes in good faith, after consultation with the Company's legal counsel (and, in order for the Company to withhold, withdraw, modify or change in a manner adverse to the Investors or effect a Change in the Company Recommendation, the Company's Financial Advisor), that such Alternative Proposal is, or could reasonably be expected to lead to, a Superior Proposal (as defined hereafter) and (3) the Board of Directors believes in good faith, considering the advice of the Company's counsel, that the failure to participate in such negotiations or discussions, disclose such nonpublic information or provide such access

to its properties, books or records would be inconsistent with the fiduciary duties of the Board of Directors under applicable law, then the Company may participate in discussions or negotiations regarding such Alternative Proposal, provide non-public information with respect to the Company (but only to the extent that such information was previously provided to the Investors prior to the execution of this Agreement or is provided to the Investors concurrently therewith) or afford access to the properties, books or records of the Company, as applicable, for no more than five (5) business days from the date of receipt of such Alternative Proposal and (B) if and to the extent that (1) the Stockholders' Meeting has not yet occurred, (2) the Board of Directors believes in good faith, after consultation with the Company's legal counsel (and, in order for the Company to withhold, withdraw, modify or change in a manner adverse to the Investors or effect a Change in the Company Recommendation, the Company's Financial Advisor), that such Alternative Proposal is a Superior Proposal and (3) the Board of Directors believes in good faith, considering the advice of the Company's counsel, that the failure to participate in such negotiations or discussions, disclose such nonpublic information, provide such access to its properties, books or records, enter into any agreement providing for such Superior Proposal or make or authorize any public statement, recommendation or solicitation in support of such Superior Proposal or any offer or proposal relating to such Superior Proposal would be inconsistent with the fiduciary duties of the Board of Directors under applicable law, then the Company may participate in discussions or negotiations regarding such Superior Proposal, provide non-public information with respect to the Company (but only to the extent that such information was previously provided to the Investors prior to the execution of this Agreement or is provided to the Investors concurrently therewith), afford access to the properties, books or records of the Company, enter into any agreement relating to such Superior Proposal (subject to full compliance with Section 8.8 hereof) or make or authorize any public statement, recommendation or solicitation in support of such Superior Proposal or any offer or proposal relating to an Superior Proposal, as applicable (subject to full compliance with Section 8.8 hereof).

(b) In the event that the Company is contacted by any third party expressing an interest in discussing an Alternative Proposal, the Company will promptly, but in no event later than twenty-four (24) hours following the Company's knowledge of such contact, notify the Investors in writing of such contact and the identity of the third party so contacting the Company and shall promptly, but in no event later than twenty-four (24) hours, advise the Investors of any material modification or proposed modification thereto.

(c) Nothing contained in this Agreement shall prohibit the Company or the Board of Directors from taking and disclosing to the Company's stockholders a position with respect to an unsolicited bona fide tender or exchange offer by a third party pursuant to Rule 14e-2(a) of the Exchange Act or from making any disclosure required by applicable law.

(d) For purposes of this Agreement, a "*Superior Proposal*" means any unsolicited written bona fide offer or proposal from any party other than the parties hereto and any of their affiliates to acquire all or thirty percent (30%) or more of the business, assets or capital shares of the Company (excluding non-exclusive licenses entered into in the ordinary course of

A-16

business), whether by merger, consolidation, other business combination, purchase of capital stock, purchase of assets, license, lease, tender or exchange offer or otherwise, (i) on terms (including conditions to consummation of the contemplated transaction) which the Board of Directors in its reasonable good faith judgment (after consultation with and based on the written advice of its Financial Advisor) believes to be more favorable to its stockholders from a financial point of view than the Offering and the transactions contemplated by this Agreement and (ii) that is not attributable to a material breach by the Company of Section 8.7(a) hereof.

(e) Notwithstanding Section 8.7 hereof, the Company may negotiate with respect to the transaction identified in Section 8.7 of the Company's Disclosure Schedule on the conditions identified therein; *provided, however*, that any such material terms as disclosed in Section 8.7 of the Company's Disclosure Schedule shall not change. The negotiation or consummation of such transaction (i) shall not affect the obligations of the Company pursuant to the Agreements, including, but not limited to, the obligation of the Company to complete the transactions contemplated hereby, and (ii) shall not be construed as a Superior Proposal.

8.8 *Third Party Offer*. During the period from the date of this Agreement until the Closing or the effective date of termination of this Agreement pursuant to the termination provisions of Sections 9.1(a), 9.1(b), 9.1(c), 9.1(d), 9.1(f) or 9.1(g), if the Board of Directors determines in good faith to accept a Superior Proposal, prior to accepting such Superior Proposal, the Company shall first (a) disclose to the Investors the terms and conditions of such Superior Proposal and (b) offer the Investors the opportunity to enter into a transaction with the Company on terms no less favorable to the Company and its stockholders from a financial point of view

(including conditions to consummation of the contemplated transactions) than those contained in the Superior Proposal (the "*Offer*"). A Majority in Interest of the Investors shall be entitled to notify the Company within five (5) business days of the terms of a transaction with the Company in response to the Offer (a "*Counter Proposal*"). If the terms of the Counter Proposal are determined by the Board of Directors (after consultation with its legal and financial advisors) in good faith to be no less favorable to the Company and its stockholders from a financial point of view (including conditions to consummation of the contemplated transaction) than those contained in the Superior Proposal, then the Company shall accept the Counter Proposal. If the Company does not receive a Counter Proposal from a Majority in Interest of the Investors within such five (5) business day period, the Company may accept the Superior Proposal, provided there are no subsequent material changes to the terms of such Superior Proposal. If the terms of such Superior Proposal are materially changed, such Superior Proposal shall be deemed a new proposal and shall be subject to each of the terms of this Section 8.8. This Section 8.8 shall apply to any Superior Proposal made by any person or entity at any time prior to the termination of the Investors' rights under this Section 8.8.

8.9 *Operation of Business.* Except as contemplated by this Agreement, the Company shall carry on its business in the ordinary course in substantially the same manner as heretofore conducted and, to the extent consistent with such business, use its commercially reasonable efforts consistent with past practice and policies to preserve intact its present business organizations, keep available the services of its present officers, consultants and employees and preserve its relationships with customers, suppliers and others having business dealings with it. The Company shall promptly notify the Investors of any event or occurrence or emergency, which is not in the ordinary course of business of the Company. The Company shall not amend or modify the charter of any committee of the Board of Directors without the consent of a Majority in Interest of the Investors, which consent shall not be unreasonably withheld.

8.10 *Reasonable Efforts; Notification; Representations.* Subject to the other terms and conditions of this Agreement, each of the parties to this Agreement shall use its commercially reasonable efforts to take promptly, or cause to be taken, all actions, and to do promptly, or cause

A-17

to be done, all things necessary, proper or advisable under applicable laws and regulations to consummate and make effective the Offering contemplated by this Agreement. Each party to this Agreement shall give prompt notice to each other party to this Agreement upon becoming aware that any representation or warranty made by such party in this Agreement has become untrue or inaccurate or that such party has failed to comply with or satisfy in any material respect any covenant, condition or agreement to be complied with or satisfied by such party under this Agreement, in each case such that the conditions set forth in Section 4 or Section 5, as the case may be, would not be satisfied. No party to this Agreement shall take any action that would cause any representation or warranty made by such party in this Agreement to be untrue if made at the Closing.

8.11 *Indemnification Agreements; Charter Documents.* Promptly following the date hereof and prior to the time that the MPM Representatives become members of the Board of Directors, the Company shall execute indemnification agreements with each director appointed or elected to the Board of Directors pursuant to Section 8.3.

8.12 *HSR Compliance.* The Company and each of the Investors shall at all times use commercially reasonable efforts to comply with the provisions of the Hart-Scott-Rodino Antitrust Improvements Act of 1976, as amended.

8.13 *Executive Recruiting Firm.* The Company shall retain an executive recruiting firm, reasonably acceptable to a Majority in Interest of the Investors, to conduct a search for and diligently pursue the hire of a senior executive officer in the area of drug development (which individual shall have extensive small molecule development experience and expertise and be acceptable to the Board of Directors) until such time as such senior executive officer in the area of drug development is appointed by the Board of Directors.

9. Termination.

9.1 *Termination Events*. Without prejudice to other remedies that may be available to the parties by law or this Agreement, this Agreement may be terminated and the transactions contemplated hereby may be abandoned at any time prior to the Closing:

(a) mutually, by the written consent of the Company and a Majority in Interest of the Investors;

(b) by either the Company or a Majority in Interest of the Investors by giving written notice to the other party or parties if the Closing shall not have occurred prior to August 31, 2003, unless extended by written agreement of such parties;

provided, however, that the party seeking termination pursuant to this subsection (b) is not in default or material breach hereunder and *provided, further*, that the right to terminate this Agreement under this subsection (b) shall not be available to any party whose failure to fulfill any obligation under this Agreement has been the cause of, or resulted in, the failure of the Closing to occur on or before such date;

(c) by either the Company or a Majority in Interest of the Investors by giving written notice to the other party or parties if any governmental entity shall have issued an injunction or other ruling prohibiting the consummation of any of the transactions contemplated by this Agreement and such injunction or other ruling shall not be subject to appeal or shall have become final and unappealable;

(d) by either the Company or a Majority in Interest of the Investors in the event that the Required Stockholder Approval is not obtained at the Stockholders' Meeting;

(e) by either the Company or a Majority in Interest of the Investors, if (i) the Company shall have entered into an agreement to consummate a Superior Proposal, (ii) the Board of

Directors shall have recommended to the stockholders of the Company a Superior Proposal or (iii) the Board of Directors shall have withdrawn, modified or qualified in any manner adverse to the Investors or made any public statement inconsistent with the Company Recommendation; *provided, however*, that, in order for the termination of this Agreement by the Company pursuant to this clause (e) to be deemed effective, the Company shall have complied with all provisions of Sections 8.7 and 8.8;

(f) by a Majority in Interest of the Investors, if (i) the Company shall have materially breached any covenant or obligation in this Agreement and such breach is not cured within ten (10) business days of the date of the delivery to the Company by an Investor of a written notice of such breach or (ii) any of the Company's representations and warranties contained in this Agreement shall have become inaccurate as of a date subsequent to the date of this Agreement (as if made on such subsequent date), such that the condition set forth in Section 5.1 would not be satisfied as of such date and such breach is not cured within thirty (30) days of the date of the delivery to the Company by an Investor of a written notice of such breach; or

(g) by a Majority in Interest of the Investors, if there shall have occurred an event or events which, individually or in the aggregate, constitute a Material Adverse Effect on the Company and such Material Adverse Effect on the Company continues for at least thirty (30) days after the date of delivery to the Company by an Investor of a written notice of such Material Adverse Effect on the Company.

9.2 *Effect of Termination.* In the event of any termination of this Agreement pursuant to Section 9.1, all rights and obligations of the parties hereunder shall terminate without any liability on the part of any party or its Affiliates in respect thereof; *provided*, *however*, that such termination shall not relieve the Company or any Investor of any liability for any willful breach of this Agreement. If this Agreement is terminated pursuant to Section 9.1(d) or Section 9.1(f)(i), the Company shall promptly reimburse the Investors for their reasonable and documented legal, financial, due diligence and advisory out-of-pocket fees and expenses incurred directly in connection with this Agreement is terminated pursuant to Section 9.1(e), the Company shall (a) promptly reimburse the Investors for their reasonable and documented legal, financial, due diligence and advisory out-of-pocket fees and expenses set forth in Section 10.4). If this Agreement is terminated pursuant to Section 9.1(e), the Company shall (a) promptly reimburse the Investors for their reasonable and documented legal, financial, due diligence and advisory out-of-pocket fees and expenses incurred directly in connection with this Agreement and the transactions contemplated hereby (subject to the limit on legal expenses incurred directly in connection with this Agreement and the transactions contemplated hereby (subject to the limit on legal expenses set forth in Section 10.4) and (b) upon the consummation of a transaction resulting from the Superior Proposal, shall pay to the Investors an aggregate amount of \$1.5 million. Each Investor's pro rata share of such \$1.5 million payment shall be determined in relation to the proportion that such Investor's Aggregate Purchase Price bears to the total Aggregate Purchase Price committed by all Investors.

10. Miscellaneous.

10.1 *Notices.* All notices, requests, consents and other communications hereunder shall be in writing; shall be mailed (a) if within the domestic United States, by first-class registered or certified airmail, by nationally recognized overnight express courier, postage prepaid, or by facsimile or (b) if delivered to or from outside the United States, by International Federal Express or facsimile;

shall be deemed given: (i) if delivered by first-class registered or certified mail domestic, three business days after so mailed, (ii) if delivered by nationally recognized overnight carrier, one business day after so mailed, (iii) if delivered by International Federal Express, two

A-19

business days after so mailed or (iv) if delivered by facsimile, upon electric confirmation of receipt; and shall be delivered as addressed as follows:

(a) if to the Company, to:

Rigel Pharmaceuticals, Inc. 1180 Veterans Boulevard South San Francisco, CA 94080 Attn: James M. Gower Chairman and Chief Executive Officer Phone: (650) 624-1100 Telecopy: (650) 624-1133

(b) with a copy mailed to:

Cooley Godward LLP Five Palo Alto Square 3000 El Camino Real Palo Alto, CA 94306 Attn: Suzanne Sawochka Hooper Phone: (650) 843-5000 Telecopy: (650) 849-7400

(c) if to the Investors, at the addresses on the *Schedule A* hereto, or at such other address or addresses as may have been furnished to the Company in writing.

10.2 Amendment and Waiver. Any provision of this Agreement may be amended, waived or modified only upon the written consent of the Company and a Majority in Interest of the Investors; *provided, however*, that no amendment, waiver or modification of this Section 10.2, the form of Warrant attached hereto as *Exhibit A* or any of the conditions to the Investors' obligations set forth in Section 5, and no amendment, waiver or modification of any provision of this Agreement which is detrimental to any Investor in a manner materially different from any other Investor, shall be made without the consent of each affected Investor. Subject to the foregoing, any amendment or waiver effected in accordance with this Section 10.2 shall be binding upon each Investor and the Company.

10.3 *Survival of Representations, Warranties and Agreements.* Notwithstanding any investigation made by any party to this Agreement, all covenants, agreements, representations and warranties made by the Company and the Investors herein shall survive the execution of this Agreement until the third (3rd) anniversary of the Closing.

10.4 *Expenses.* The Company shall pay all costs and expenses that it incurs with respect to the negotiation, execution, delivery and performance of the Agreement and the transactions contemplated thereby. The Company shall, at the Closing, reimburse the reasonable and documented fees and expenses of counsel for MPM Capital incurred directly in connection with this Agreement and the transactions contemplated hereby, up to a maximum of \$100,000.00 (the "*MPM Fees*"); *provided, however*, that if the SEC informs the Company that the Proxy Statement will be subject to a full review by, and comments from, the SEC, then the Company and MPM Capital shall negotiate in good faith to reach mutual agreement regarding a necessary increase in the maximum amount of the MPM Fees, if any.

10.5 *Attorneys' Fees.* In the event that any suit or action is instituted under or in relation to this Agreement, including without limitation to enforce any provision in this Agreement, the prevailing party in such dispute shall be entitled to recover from the losing party all fees, costs and expenses of enforcing any right of such prevailing party under or with respect to this Agreement,

including without limitation, such reasonable fees and expenses of attorneys and accountants, which shall include, without limitation, all fees, costs and expenses of appeals.

10.6 *Public Announcements.* Except as may be required by law or regulation, the Company shall not use the name of, or make reference to, any Investor or any of its affiliates in any press release or in any public manner (including any reports or filings made by the Company under the Exchange Act) without such Investor's prior written consent, which consent shall not be unreasonably withheld. The initial press release with respect to the execution of this Agreement shall be approved by the Company and MPM Capital on behalf of the Investors. Thereafter, so long as this Agreement is in effect, the Company and the Investors shall consult with each other before issuing any press release or otherwise making any public statements with respect to this Agreement or the transactions contemplated hereby without the prior consent of the other party, which consent shall not be unreasonably withheld; provided, however, that the Company, on the one hand, and the Investors, on the other hand, may, without the prior consent of the other party, issue a press release or make such public statement as may, upon the advice of counsel, be required by law if it has used all reasonable efforts to consult with the other party.

10.7 *Headings*. The headings of the various sections of this Agreement have been inserted for convenience of reference only and shall not be deemed to be part of this Agreement.

10.8 *Pronouns*. All pronouns contained herein, and any variations thereof, shall be deemed to refer to the masculine, feminine or neutral, singular or plural, as to the identity of the parties hereto may require.

10.9 *Severability*. In case any provision contained in this Agreement should be invalid, illegal or unenforceable in any respect, the validity, legality and enforceability of the remaining provisions contained herein shall not in any way be affected or impaired thereby.

10.10 *Governing Law.* This Agreement shall be governed by, and construed in accordance with, the internal laws of the State of California, without giving effect to the principles of conflicts of law. The parties agree that any action brought by either party under or in relation to this Agreement, including without limitation to interpret or enforce any provision of this Agreement, shall be brought in, and each party agrees to and does hereby submit to the jurisdiction and venue of, any state or federal court located in the County of San Francisco, California.

10.11 *Entire Agreement.* This Agreement, including the Exhibits hereto, constitutes the full and entire understanding and agreement between the parties with regard to the subjects hereof, and no party shall be liable or bound to any other in any manner by any oral or written representations, warranties, covenants and agreements except as specifically set forth herein. Each party expressly represents and warrants that it is not relying on any oral or written representations, warranties, covenants or agreements outside of this Agreement.

10.12 *Counterparts.* This Agreement may be executed in two (2) or more counterparts, each of which shall constitute an original, but all of which, when taken together, shall constitute but one (1) instrument, and shall become effective when one (1) or more counterparts have been signed by each party hereto and delivered to the other parties.

10.13 *Confidential Disclosure Agreement.* Notwithstanding any provision of this Agreement to the contrary, any confidential disclosure agreement previously executed by the Company and the Investors in connection with the transactions contemplated by this Agreement shall remain in full force and effect in accordance with its terms following the execution of this Agreement and the consummation of the transactions contemplated hereby.

10.14 *Tax Disclosure*. Notwithstanding any provision of this Agreement to the contrary, any party to this Agreement (and any employee, representative, shareholder or other agent of any

party to this Agreement) may disclose to any and all persons, without limitation of any kind, the tax treatment and tax structure of the transactions contemplated by this Agreement and all materials of any kind (including opinions or other tax analyses) that are provided to it relating to such tax treatment and tax structure; *provided however*, that such disclosure may not be made to the extent reasonably

necessary to comply with any applicable federal or state securities laws; and *provided further*, that for this purpose, (a) the "*tax treatment*" of a transaction means the purported or claimed federal income tax treatment of the transaction and (b) the "*tax structure*" of a transaction means any fact that may be relevant to understanding the purported or claimed federal income tax treatment of the transaction.

A-22

IN WITNESS WHEREOF, the parties hereto have executed this COMMON STOCK AND WARRANT PURCHASE AGREEMENT as of the date set forth in the first paragraph hereof.

INVESTORS: COMPANY: RIGEL PHARMACEUTICALS, INC. MPM BIOVENTURES III, L.P. By: /s/ James M. Gower By: MPM BioVentures III GP, L.P., James M. Gower its General Partner Name: Chairman and Chief Executive Officer Title: By: MPM BioVentures III LLC, its General Partner /s/ Nicholas J. Simon By: Name: Nicholas J. Simon Title: Series A Member MPM BIOVENTURES III-OP, L.P. MPM BioVentures III GP, L.P., By: its General Partner MPM BioVentures III LLC, By: its General Partner By: /s/ Nicholas J. Simon Name: Nicholas J. Simon Title: Series A Member SIGNATURE PAGE TO COMMON STOCK AND WARRANT PURCHASE AGREEMENT

A-23

MPM BIOVENTURES III GMBH & CO. PARALLEL-BETEILIGUNGS KG

| By: | MPM BioVentures III GP, L.P., in its capacity as the Managing Limited Partner |
|-----------------|--|
| By: | MPM BioVentures III LLC, its General Partner |
| By: | /s/ Nicholas J. Simon |
| Name: Title: | Nicholas J. Simon Series A Member |

MPM BIOVENTURES III PARALLEL FUND, L.P.

| By: | MPM BioVentures III GP, L.P., its General Partner |
|-------------------------|---|
| By: | MPM BioVentures III LLC, its General Partner |
| By: | /s/ Nicholas J. Simon |
| Name: Title: A-24 | Nicholas J. Simon Series A Member |
| | SET MANAGEMENT RS 2003 BVIII LLC |
| By: | /s/ Nicholas J. Simon |
| Name: Title: | Nicholas J. Simon Manager |
| MPM BIC | DEQUITIES MASTER FUND, L.P. |
| By: | MPM BioEquities GP, L.P., its General Partner |
| By: | MPM BioEquities GP LLC, its General Partner |
| By: | /s/ Kurt von Emster |
| Name: Title: A-25 | Kurt von Emster General Partner |
| ALTA B | IOPHARMA PARTNERS II, L.P. |
| By: | Alta BioPharma Management Partners II, LLC |
| By: | /s/ Jean Deleage |
| | Managing Director |
| ALTA E | MBARCADERO BIOPHARMA PARTNERS II, LLC |
| By: | /s/ Hilary S. Strain |
| A-26 | V.P. of Finance & Admin. |
| FRAZIE | R HEALTHCARE IV, L.P. |
| By: By: | FHM IV, LP, its General Partner FHM IV, LLC, its General Partner |
| | |

By: /s/ Nathan Every

Nathan Every, Authorized Representative

FRAZIER AFFILIATES IV, L.P.

| By: By: By: | FHM IV, LP, its General Partner FHM IV, LLC, its General Partner /s/ Nathan Every |
|-------------------|---|
| A-27 | Nathan Every, Authorized Representative |
| | |
| HBM | SIOVENTURES (CAYMAN) LTD. |
| HBM I By: | SIOVENTURES (CAYMAN) LTD. /s/ John Arnold |

APPENDIX B

THE SECURITIES REPRESENTED HEREBY HAVE BEEN ACQUIRED FOR INVESTMENT AND HAVE NOT BEEN REGISTERED UNDER THE SECURITIES ACT OF 1933, AS AMENDED (THE "ACT"). SUCH SECURITIES MAY NOT BE SOLD OR TRANSFERRED IN THE ABSENCE OF REGISTRATION OR AN EXEMPTION THEREFROM. RIGEL PHARMACEUTICALS, INC. MAY REQUIRE AN OPINION OF COUNSEL REASONABLY ACCEPTABLE TO IT THAT A PROPOSED TRANSFER OR SALE IS IN COMPLIANCE WITH THE ACT.

THE SALE, TRANSFER OR VOTING OF THE SECURITIES REPRESENTED HEREBY IS RESTRICTED BY THE TERMS OF A SECOND INVESTOR RIGHTS AGREEMENT BY AND AMONG RIGEL PHARMACEUTICALS, INC. AND THE INVESTORS NAMED THEREIN. COPIES OF THE AGREEMENT MAY BE OBTAINED AT NO COST BY WRITTEN REQUEST MADE BY THE HOLDERS OF RECORD OF THIS CERTIFICATE TO THE SECRETARY OF RIGEL PHARMACEUTICALS, INC. AT THE PRINCIPAL EXECUTIVE OFFICES OF RIGEL PHARMACEUTICALS, INC.

RIGEL PHARMACEUTICALS, INC.

COMMON STOCK PURCHASE WARRANT

Warrant No. CS-[] [,] 2003] Shares

ſ

1. Issuance. For value received, this Warrant is issued to **[HOLDER]**, by **RIGEL PHARMACEUTICALS**, **INC.**, a Delaware corporation (hereinafter with its successors called the "*Company*"), pursuant to the terms and conditions of that certain Common Stock and Warrant Purchase Agreement, dated as of April 29, 2003, by and among the Company and the investors listed on Schedule A thereto (the "*Purchase Agreement*").

2. Purchase Price; Number of Shares. The registered holder of this Warrant (the "Holder"), commencing on the date hereof, is entitled upon surrender of this Warrant, with the subscription form annexed hereto duly executed, at the principal office of the Company, to purchase from the Company [()] [To be 20% of the Holder's shares under the Purchase Agreement] fully paid and nonassessable shares (the "Shares") of common stock, \$.001 par value per share, of the Company (the "Common Stock"), at a price per share of \$0.64 (the "Warrant Price"). Until such time as this Warrant is exercised in full or expires, the Warrant Price and the securities issuable upon exercise of this Warrant are subject to adjustment as hereinafter provided. The person or persons under whose name or names any certificate representing Shares shall be deemed to have become the holder of record of the Shares represented thereby as at the close of business on the date this Warrant is exercised with respect to such Shares, whether or not the transfer books of the Company shall be closed.

3. Payment of Purchase Price. The Purchase Price (as defined below) may be paid: (i) by certified or bank check or by wire transfer of immediately available funds to an account designated by the Company; (ii) by the surrender by the Holder to the Company of any promissory notes or other obligations issued by the Company, with all such notes and obligations so surrendered being credited against the Purchase Price in an amount equal to the principal amount thereof plus accrued interest to the date of surrender; (iii) exercise of the "net issue election" right provided for in Section 4; or (iv) by any combination of the foregoing. The "*Purchase Price*" shall mean the amount equal to the then applicable Warrant Price multiplied by the number of Shares then being purchased.

4. Net Issue Election. The Holder may elect to receive, without the payment by the Holder of any additional consideration, shares of Common Stock equal to the value of this Warrant or any

B-1

portion hereof by the surrender of this Warrant or such portion to the Company, with the net issue election notice annexed hereto duly executed, at the principal office of the Company. Thereupon, the Company shall issue to the Holder such number of fully paid and nonassessable shares of Common Stock as is computed using the following formula:

$$X = \frac{Y(A - B)}{A}$$

where:

X = the number of shares of Common Stock to be issued to the Holder pursuant to this Section 4.

- Y = the number of shares of Common Stock covered by this Warrant in respect of which the net issue election is made pursuant to this Section 4.
- A = the Fair Market Value (defined below) of one share of Common Stock, as determined at the time the net issue election is made pursuant to this Section 4.

B = the Warrant Price in effect under this Warrant at the time the net issue election is made pursuant to this Section 4. *"Fair Market Value"* of a share of Common Stock as of a particular date (the "*Determination Date*") shall mean the average of the closing or last reported sale prices of the Common Stock as reported on the Nasdaq National Market over the 30-day period ending five business days prior to the Determination Date; *provided, however*, that if (i) the Common Stock is neither traded on the Nasdaq National Market nor on a national securities exchange, then Fair Market Value shall be the average of the closing or last reported sale prices of the Common Stock over the 30-day period ending five business days prior to the Determination Date reflected in the over-the-counter market, as reported by the National Quotation Bureau, Inc. or any organization performing a similar function, or if closing prices are not then routinely reported for the over-the-counter market, the average of the last bid and asked prices of the Common Stock over the 30-day period ending five business days prior to the Determination Date and (ii) if there is no public market for the Common Stock, then Fair Market Value shall be determined in good faith by the Company's Board of Directors.

5. Partial Exercise. This Warrant may be exercised in part, and the Holder shall be entitled to receive a new warrant, which shall be dated as of the date of this Warrant, covering the number of Shares in respect of which this Warrant shall not have been exercised.

6. Fractional Shares. In no event shall any fractional share of Common Stock be issued upon any exercise of this Warrant. If, upon exercise of this Warrant as an entirety, the Holder would, except as provided in this Section 6, be entitled to receive a fractional share of Common Stock, then the Company shall pay in lieu thereof, the Fair Market Value of such fractional share in cash.

7. Expiration Date; Early Termination. This Warrant or any Successor Warrant (as defined in Section 10 below) shall expire on the close of business on [,] 2008 [*to be the fifth anniversary of the date of issuance*] (the "*Expiration Date*"), and shall be void thereafter.

8. Reserved Shares; Valid Issuance. The Company covenants that it will at all times from and after the date hereof reserve and keep available such number of its authorized shares of Common Stock, free from all preemptive or similar rights therein, as will be sufficient to permit the exercise of this Warrant in full into shares of Common Stock upon such exercise. If at any time prior to the Expiration Date the number of authorized but unissued shares of Common Stock shall not be sufficient to permit exercise of this Warrant, the Company shall take such corporate action as may, in the opinion of its counsel, be necessary to increase its authorized but unissued shares of Common Stock to such number of shares as shall be sufficient for such purposes. The Company further covenants that such

shares as may be issued pursuant to such exercise will, upon issuance, be duly and validly issued, fully paid and nonassessable and free from all taxes, liens and charges with respect to the issuance thereof.

9. Stock Splits and Dividends. If after the date hereof the Company shall subdivide the Common Stock, by split-up or otherwise, combine the Common Stock or issue additional shares of Common Stock in payment of a stock dividend on the Common Stock, then the number of Shares issuable upon the exercise of this Warrant shall forthwith be proportionately increased in the case of a subdivision or stock dividend, or proportionately decreased in the case of a combination, and the Warrant Price shall forthwith be proportionately decreased in the case of a subdivision or stock dividend, or proportionately increased in the case of a combination.

10. Mergers and Reclassifications.

(a) If after the date hereof the Company shall enter into any Reorganization (as hereinafter defined), then, as a condition of such Reorganization, lawful provisions shall be made, and duly executed documents evidencing the same from the Company or its successor shall be delivered to the Holder (a "*Successor Warrant*"), so that the Holder shall thereafter have the right to purchase, at a total price not to exceed that payable upon the exercise of this Warrant in full, the kind and amount of shares of stock and other securities and property receivable upon such Reorganization by a holder of the number of shares of Common Stock that might have been purchased by the Holder immediately prior to such Reorganization, and in any such case appropriate provisions shall be made with respect to the rights and interest of the Holder to the end that the provisions hereof (including without limitation, provisions for the adjustment of the Warrant Price and the number of shares issuable hereunder and the provisions relating to the net issue election) shall thereafter be applicable in relation to any shares of stock or other securities and property thereafter deliverable upon exercise hereof.

(b) For the purposes of this Section 10, the term "Reorganization" shall include without limitation any reclassification, capital reorganization or change of the Common Stock (other than as a result of a subdivision, combination or stock dividend provided for in Section 9 hereof), any consolidation of the Company with, or merger of the Company into, another corporation or other business organization (other than a merger in which the Company is the surviving corporation and which does not result in any reclassification or change of the outstanding Common Stock), or any sale or conveyance to another corporation or other business organization of all or substantially all of the assets of the Company

11. Certificate of Adjustment. Whenever the Warrant Price is adjusted, as herein provided, the Company shall promptly deliver to the Holder a certificate of the Company's Chief Financial Officer setting forth the Warrant Price after such adjustment and setting forth a brief statement of the facts requiring such adjustment.

12. Notices of Record Date, Etc. In the event of:

(a) any taking by the Company of a record of the holders of any class of securities for the purpose of determining the holders thereof who are entitled to receive any dividend or other distribution, or any right to subscribe for, purchase, sell or otherwise acquire or dispose of any shares of stock of any class or any other securities or property, or to receive any other right;

(b) any reclassification of the capital stock of the Company, capital reorganization of the Company, consolidation or merger involving the Company or sale or conveyance of all or substantially all of its assets; or

(c) any voluntary or involuntary dissolution, liquidation or winding-up of the Company; then in each such event the Company will provide or cause to be provided to the Holder a written

B-3

notice thereof. Such notice shall be provided at least 15 calendar days prior to the date specified in such notice on which any such action is to be taken.

13. Representations, Warranties and Covenants. This Warrant is issued and delivered by the Company and accepted by each Holder on the basis of the following representations, warranties and covenants made by the Company:

(a) The Company has all necessary authority to issue, execute and deliver this Warrant and to perform its obligations hereunder. This Warrant has been duly authorized, issued, executed and delivered by the Company and is the valid and binding obligation of the Company, enforceable in accordance with its terms, except as enforceability may be limited by bankruptcy, insolvency, reorganization or other similar laws of general application affecting the enforcement of the Holder's rights or by general equity principals or public policy concerns.

(b) The Shares have been duly authorized and reserved for issuance by the Company and, when issued in accordance with the terms hereof, will be validly issued, fully paid and nonassessable.

14. Amendment and Waiver. The terms of this Warrant may be amended, modified or waived only with the written consent of the party against which enforcement of the same is sought.

15. Representations and Covenants of the Holder. This Warrant has been entered into by the Company in reliance upon the following representations and covenants of the Holder, which by its execution hereof the Holder hereby confirms:

(a) The Holder is an "accredited investor" as defined in Regulation D under the Securities Act of 1933, as amended (the "*Securities Act*"), and the Holder is knowledgeable, sophisticated and experienced in making, and is qualified to make decisions with respect to, investments in shares presenting an investment decision like that involved in the purchase of the Shares and the Warrant, including investments in securities issued by the Company and investments in comparable companies, and has requested, received, reviewed and considered all information it deemed relevant in making an informed decision to purchase the Warrant;

(b) The Holder is acquiring the Warrant in the ordinary course of its business and for its own account for investment only and with no present intention of distributing the Warrant or any of the Shares or any arrangement or understanding with any other persons regarding the distribution of the Warrant or the Shares; and

(c) The Holder will not, directly or indirectly, offer, sell, pledge, transfer or otherwise dispose of (or solicit any offers to buy, purchase or otherwise acquire or take a pledge of) the Warrant or any of the Shares except in compliance with the Securities Act, applicable state securities laws and the respective rules and regulations promulgated thereunder.

16. Notices, Transfers, Etc.

(a) Any notice or written communication required or permitted to be given to the Holder may be given by certified mall or delivered to the Holder at the address most recently provided by the Holder to the Company.

(b) Subject to compliance with applicable federal and state securities laws and any other contractual restrictions between the Company and the Holder contained in the Purchase Agreement or that certain Second Investor Rights Agreement, dated as of the date hereof, by and among the Company and the investors named therein, this Warrant may be transferred by the Holder with respect to any or all of the Shares purchasable hereunder. Upon surrender of this Warrant to the Company, together with the assignment notice annexed hereto duly executed, for transfer of this Warrant as an entirety by the Holder, the Company shall issue a new warrant of

B-4

the same denomination to the assignee. Upon surrender of this Warrant to the Company, together with the assignment hereof properly endorsed, by the Holder for transfer with respect to a portion of the Shares, the Company shall issue a new warrant to the assignee, in such denomination as shall be requested by the Holder hereof, and shall issue to such Holder a new warrant covering the number of Shares in respect of which this Warrant shall not have been transferred.

(c) The Company acknowledges and agrees that an opinion of counsel shall not be required upon the transfer by the Holder of any securities to an "Affiliate" (as defined in Rule 12b-2 of the rules and regulations promulgated under the Securities and Exchange Act of 1934, as amended) of such Holder.

(d) In case this Warrant shall be mutilated, lost, stolen or destroyed, the Company shall issue a new warrant of like tenor and denomination and deliver the same (i) in exchange and substitution for and upon surrender and cancellation of any mutilated Warrant or (ii) in lieu of any Warrant lost, stolen or destroyed, upon receipt of an affidavit of the Holder or other evidence reasonably satisfactory to the Company of the loss, theft or destruction of such Warrant and an indemnification of loss by the Holder in favor of

the Company.

17. Transfer to Comply with the Securities Act. This Warrant may not be exercised and neither this Warrant nor any of the Shares, nor any interest in either, may be offered, sold, assigned, pledged, hypothecated, encumbered or in any other manner transferred or disposed of, in whole or in part, except in compliance with applicable United States federal and state securities laws and the terms and conditions hereof. Each Warrant shall bear a legend in substantially the same form as the legend set forth on the first page of this Warrant. Each certificate for Shares issued upon exercise of this Warrant, unless at the time of exercise such Shares are acquired pursuant to a registration statement that has been declared effective under the Securities Act and applicable blue sky laws, shall bear legends substantially in the following form:

"THE SHARES REPRESENTED BY THIS CERTIFICATE HAVE BEEN ACQUIRED FOR INVESTMENT AND HAVE NOT BEEN REGISTERED UNDER THE SECURITIES ACT OF 1933, AS AMENDED (THE "ACT"). SUCH SHARES MAY NOT BE SOLD OR TRANSFERRED IN THE ABSENCE OF REGISTRATION OR AN EXEMPTION THEREFROM. RIGEL PHARMACEUTICALS, INC. MAY REQUIRE AN OPINION OF COUNSEL REASONABLY ACCEPTABLE TO IT THAT A PROPOSED TRANSFER OR SALE IS IN COMPLIANCE WITH THE ACT."

"THE SALE, TRANSFER OR VOTING OF THE SHARES REPRESENTED BY THIS CERTIFICATE IS RESTRICTED BY THE TERMS OF A SECOND INVESTOR RIGHTS AGREEMENT BY AND AMONG RIGEL PHARMACEUTICALS, INC. AND THE INVESTORS NAMED THEREIN. COPIES OF THE AGREEMENT MAY BE OBTAINED AT NO COST BY WRITTEN REQUEST MADE BY THE HOLDERS OF RECORD OF THIS CERTIFICATE TO THE SECRETARY OF RIGEL PHARMACEUTICALS, INC. AT THE PRINCIPAL EXECUTIVE OFFICES OF RIGEL PHARMACEUTICALS, INC."

Any certificate for any Shares issued at any time in exchange or substitution for any certificate for any Shares bearing such legends (except a new certificate for any Shares issued after the acquisition of such Shares pursuant to a registration statement that has been declared effective under the Securities Act) shall also bear such legends unless, in the opinion of counsel for the Company, the Shares represented thereby need no longer be subject to the restriction contained herein. The provisions of this Section 17 shall be binding upon all subsequent holders of certificates for Shares bearing the above legends and all subsequent holders of this Warrant, if any.

18. Rights of the Holder. The Holder shall not, by virtue hereof, be entitled to any rights of a stockholder of the Company, either at law or equity, and the rights of the Holder are limited to those

expressed in this Warrant. Nothing contained in this Warrant shall be construed as conferring upon the Holder hereof the right to vote, to consent or to receive notice as a stockholder of the Company on any matters or with respect to any rights whatsoever as a stockholder of the Company. No dividends or interest shall be payable or accrued in respect of this Warrant or the interest represented hereby or the Shares purchasable hereunder until, and only to the extent that, this Warrant shall have been exercised in accordance with its terms.

19. No Impairment. The Company will not, by amendment of its Amended and Restated Certificate of Incorporation or through any reclassification, capital reorganization, consolidation, merger, sale or conveyance of assets, dissolution, liquidation, issue or sale of securities or any other voluntary action, avoid or seek to avoid the observance of performance of any of the terms of this Warrant, but will at all times in good faith assist in the carrying out of all such terms and in the taking of all such action as may be necessary or appropriate in order to protect the rights of the Holder.

20. Governing Law. The provisions and terms of this Warrant shall be governed by and construed in accordance with the internal laws of the State of California.

21. Successors and Assigns. This Warrant shall be binding upon the Company's successors and assigns and shall inure to the benefit of the Holder's successors, legal representatives and permitted assigns.

22. Business Days. If the last or appointed day for the taking of any action required or the expiration of any rights granted herein shall be a Saturday or Sunday or a legal holiday in California, then such action may be taken or right may be exercised on the next succeeding day that is not a Saturday or Sunday or such a legal holiday.

23. Severability. If one or more provisions of this Warrant are held to be unenforceable under applicable law, such provision shall be excluded from this Warrant, and the balance of the Warrant shall be interpreted as if such provision were so excluded and shall be enforceable in accordance with its terms.

B-6

IN WITNESS WHEREOF, the Company has duly caused this Warrant to be signed by its duly authorized officer and to be dated as of the date first written above.

Company:

RIGEL PHARMACEUTICALS, INC.

| By: | |
|--------|--|
| Name | |
| Title: | |
| B-7 | |

NOTICE OF EXERCISE

(1)

The undersigned hereby:

[

] elects to purchase shares of Common Stock of the Company pursuant to the terms of the attached Warrant, and tenders herewith payment of the purchase price of such shares in full, together with all applicable transfer taxes, if any.

[

] elects to exercise its net issuance rights pursuant to Section 4 of the attached Warrant with respect to shares of Common Stock, and shall tender payment of all applicable transfer taxes, if any.

(2)

Please issue a certificate or certificates representing said shares of Common Stock in the name of the undersigned or in such other name as is specified below:

(Name)

(Address)

(3)

The undersigned represents that the aforesaid shares are being acquired for the account of the undersigned for investment and not with a view to, or for resale in connection with, the distribution thereof and that the undersigned has no present intention of distributing or reselling such shares, all except as in compliance with applicable securities laws.

| (Date) | (Signature) | | |
|---|---|--|--|
| | (Print name) B-8 | | |
| | ASSIGNMENT | | |
| For value received hereby sells, assign | | | |
| [Please print o | or type the name and address of Assignee] | | |
| the within Warrant, and does hereby irrevocably constitute to transfer the within Warrant on the books of the within n | e and appoint its attorney named Company with full power of substitution on the premises. | | |
| DATED: | | | |
| IN THE PRESENCE OF: | | | |
| | B-9 | | |
| | APPENDIX C | | |
| | VOTING AGREEMENT | | |
| THIS VOTING AGREEMENT ("Agreement") is e | entered into as of April 29, 2003, by and among (" <i>Stockholder</i> ") and | | |

THIS VOTING AGREEMENT (*"Agreement*") is entered into as of April 29, 2003, by and among (*"Stockholder"*) and certain of the investors set forth on *Schedule A* (the *"Investors"*) to that certain Common Stock and Warrant Purchase Agreement of even date herewith (the *"Purchase Agreement"*).

RECITALS

A. Stockholder is a holder of record and the "beneficial owner" (within the meaning of Rule 13d-3 under the Securities Exchange Act of 1934, as amended (the "*Exchange Act*")) of certain shares of common stock of Rigel Pharmaceuticals, Inc., a Delaware corporation (the "*Company*").

B. The Company is entering into the Purchase Agreement with the Investors that provides (subject to the conditions set forth therein) for the sale by the Company and the purchase by the Investors of (i) an aggregate of 71,874,999 shares (the "*Shares*") of the Company's common stock, par value \$0.001 per share (the "*Company Common Stock*"), and (ii) Warrants (as defined in the Purchase Agreement).

C. In order to induce the Investors to enter into the Purchase Agreement, Stockholder is entering into this Agreement.

AGREEMENT

The parties to this Agreement, intending to be legally bound, agree as follows:

SECTION 1. Certain Definitions

For purposes of this Agreement:

(a) The term "Closing Date" shall have the meaning assigned to it in the Purchase Agreement.

(b) The term "*Offering*" shall have the meaning assigned to it in the Purchase Agreement.

(c) Stockholder shall be deemed to "*Own*" or to have acquired "Ownership" of a security if Stockholder (i) is the record owner of such security or (ii) is the "beneficial owner" (within the meaning of Rule 13d-3 under the Exchange Act) of such security.

(d) "*Person*" shall mean any (i) individual, (ii) corporation, limited liability company, partnership or other entity or (iii) governmental authority.

(e) "Subject Securities" shall mean (i) all securities of the Company (including all shares of Company Common Stock and all options, warrants and other rights to acquire shares of Company Common Stock) Owned by Stockholder as of the date of this Agreement and (ii) all additional securities of the Company (including all additional shares of Company Common Stock and all additional options, warrants and other rights to acquire shares of Company Common Stock) of which Stockholder acquires Ownership during the period from the date of this Agreement through the Voting Covenant Expiration Date.

(f) A Person shall be deemed to have a effected a "*Transfer*" of a security if such Person directly or indirectly (i) sells, pledges, encumbers, grants an option with respect to, transfers or disposes of such security or any interest in such security to any Person, (ii) enters into an agreement or commitment contemplating the possible sale of, pledge of, encumbrance of, grant of an option with respect to, transfer of or disposition of such security or any interest therein to any

C-1

Person or (iii) reduces such Person's beneficial ownership of, interest in or risk relating to such security.

(g) "*Voting Covenant Expiration Date*" shall mean the earlier of (i) the date upon which the Purchase Agreement is validly terminated pursuant to Section 9 thereof or (ii) the Closing Date.

SECTION 2. Transfer of Subject Securities and Voting Rights

2.1 Restriction on Transfer of Subject Securities. Subject to Section 2.3, during the period from the date of this Agreement through the Voting Covenant Expiration Date, Stockholder shall not, directly or indirectly, cause or permit any Transfer of any of the Subject Securities to be effected.

2.2 Restriction on Transfer of Voting Rights. During the period from the date of this Agreement through the Voting Covenant Expiration Date, Stockholder shall ensure that (a) none of the Subject Securities is deposited into a voting trust and (b) no proxy is granted, and no voting agreement or similar agreement is entered into, with respect to any of the Subject Securities.

2.3 Permitted Transfers. Section 2.1 shall not prohibit a transfer of Company Common Stock by Stockholder (a) to any member of his immediate family, or to a trust for the benefit of Stockholder or any member of his immediate family, (b) upon the death of Stockholder or (c) if Stockholder is a partnership or limited liability company, to one or more partners or members of Stockholder or to an affiliated corporation under common control with Stockholder; *provided, however*, that a transfer referred to in this sentence shall be permitted only if, as a precondition to such transfer, the transferee agrees in a writing, reasonably satisfactory in form and substance to the Investors, to be bound by the terms of this Agreement.

SECTION 3. Voting of Shares

3.1 Voting Covenant. Stockholder, solely in Stockholder's capacity as a stockholder of the Company, hereby agrees that, prior to the Voting Covenant Expiration Date, at any meeting of the stockholders of the Company, however called, and in any written action by consent of stockholders of the Company, Stockholder shall cause all of the Subject Securities to be voted:

(a) in favor of the issuance of the Shares and the Warrants in the Offering, in favor of each of the other actions contemplated by the Purchase Agreement and in favor of any action in furtherance of any of the foregoing; and

(b) against any action or agreement that would result in a breach of any representation, warranty, covenant or obligation of the Investors and/or the Company in the Purchase Agreement or which could be expected to delay, prevent or adversely affect the consummation of the transactions contemplated by the Purchase Agreement (including, but not limited to, any matter submitted to stockholders that would cause a failure of a closing condition in the Purchase Agreement).

Prior to the Voting Covenant Expiration Date, Stockholder shall not enter into any agreement or understanding with any Person to vote or give instructions in any manner inconsistent with clause "(a)" or "(b)" of the preceding sentence.

3.2 Proxy; Further Assurances.

(a) Contemporaneously with the execution of this Agreement: (i) Stockholder shall deliver to the Investors a proxy in the form attached to this Agreement as *Exhibit A*, which shall be irrevocable to the fullest extent permitted by law (at all times prior to the Voting Covenant Expiration Date) with respect to the shares referred to therein (the "*Proxy*") and (ii) Stockholder shall cause to be delivered to the Investors an additional proxy (in the form attached hereto as *Exhibit A*) executed on behalf of the record owner of any outstanding shares of Company Common

C-2

Stock that are owned beneficially (within the meaning of Rule 13d-3 under the Exchange Act), but not of record, by Stockholder.

(b) Stockholder shall, at his or its own expense, perform such further acts and execute such further proxies and other documents and instruments as may reasonably be required to vest in the Investors the power to carry out and give effect to the provisions of this Agreement.

SECTION 4. No Solicitation

Stockholder agrees that, during the period from the date of this Agreement through the Voting Covenant Expiration Date, Stockholder shall not take, cause or permit (and shall use its commercially reasonable efforts to ensure that none of its officers, directors, agents or representatives takes, causes or permits) any person to take, directly or indirectly, any of the following actions with any third party: (a) solicit, knowingly encourage, initiate or participate in any negotiations, inquiries or discussions with respect to any offer or proposal to acquire the business, assets or capital shares of the Company (excluding non-exclusive licenses entered into in the ordinary course of business), whether by merger, consolidation, other business combination, purchase of capital stock, purchase of assets, license, lease, tender or exchange offer or otherwise (each of the foregoing, an "*Alternative Proposal*"), (b) disclose, in connection with an Alternative Proposal, any nonpublic information concerning the Company's business or properties or afford to any third party access to its properties, books or records, except in the ordinary course of business and as required by law or pursuant to a governmental request for information, (c) enter into or execute any agreement providing for an Alternative Proposal or (d) make or authorize any public statement, recommendation or solicitation in support of any Alternative Proposal or any offer or proposal relating to an Alternative Proposal, other than with respect to the Offering.

SECTION 5. Representations and Warranties of Stockholder

Stockholder hereby represents and warrants to the Investors as follows:

5.1 Authorization, etc. Stockholder has the absolute and unrestricted right, power, authority and capacity to execute and deliver this Agreement and the Proxy and to perform his or its obligations hereunder and thereunder. This Agreement and the Proxy have been duly executed and delivered by Stockholder and constitute legal, valid and binding obligations of Stockholder, enforceable against Stockholder in accordance with their terms, subject to (a) laws of general application relating to bankruptcy, insolvency and the relief of debtors and (b) rules of law governing specific performance, injunctive relief and other equitable remedies. If Stockholder is a general or limited partnership, then Stockholder is a partnership duly organized, validly existing and in good standing under the laws of the jurisdiction in which it was organized. If Stockholder is a limited liability company, then Stockholder is a limited liability company duly organized, validly existing and in good standing under the laws of the jurisdiction in which it was organized.

5.2 No Conflicts or Consents.

(a) The execution and delivery of this Agreement and the Proxy by Stockholder do not, and the performance of this Agreement and the Proxy by Stockholder will not (i) conflict with or violate any law, rule, regulation, order, decree or judgment applicable to Stockholder or by which he or it or any of his or its properties is or may be bound or affected, (ii) if Stockholder is not a natural person, violate or conflict with the certificate of incorporation, bylaws or other equivalent organizational documents of Stockholder or (iii) result in or constitute (with or without notice or lapse of time) any breach of or default under, or give to any other Person (with or without notice or lapse of time) in the creation of any encumbrance or restriction on any of the Subject Securities pursuant to, any note, bond, mortgage, indenture, contract, agreement,

C-3

lease, license, permit, franchise or other instrument or obligation to which Stockholder is a party or by which Stockholder or any of his or its affiliates or properties is or may be bound or affected. There is no beneficiary or holder of a voting trust certificate or other interest of any trust of which Stockholder is a trustee whose consent is required for the execution and delivery of this Agreement or the consummation by Stockholder of the transactions contemplated by this Agreement.

(b) The execution and delivery of this Agreement and the Proxy by Stockholder do not, and the performance of this Agreement and the Proxy by Stockholder will not, require any consent, approval, authorization or permit of any Person. Stockholder does not have any understanding in effect with respect to the voting or transfer of any Subject Securities. Stockholder is not required to make any filing with or notify any governmental or regulatory authority in connection with this Agreement or the transactions contemplated thereby pursuant to the requirements of the Hart-Scott-Rodino Antitrust Improvements Act of 1976, as amended, and the rules and regulations promulgated thereunder. If Stockholder is a natural person and is married, and Stockholder's Subject Securities constitute community property or otherwise need spousal or other approval for this Agreement to be legal, valid and binding on Stockholder, this Agreement has been duly authorized, executed and delivered by, and constitutes a valid and binding agreement of, Stockholder's spouse, enforceable against such spouse in accordance with its terms.

5.3 Title to Securities. As of the date of this Agreement, (a) Stockholder holds of record (free and clear of any encumbrances or restrictions) the number of outstanding shares of Company Common Stock set forth under the heading "Shares Held of Record" on the signature page hereof, (b) Stockholder holds (free and clear of any encumbrances or restrictions) the options, warrants and other rights to acquire shares of Company Common Stock set forth under the heading "Options and Other Rights" on the signature page hereof, (c) Stockholder Owns the additional securities of the Company set forth under the heading "Additional Securities Beneficially Owned" on the signature page hereof and (d) Stockholder does not directly or indirectly Own any shares of capital stock or other securities of the Company, or any option, warrant or other right to acquire (by purchase, conversion or otherwise) any shares of capital stock or other securities of the Company, other than the shares and options, warrants and other rights set forth on the signature page hereof.

5.4 Litigation. There is no private or governmental action, suit, proceeding, claim, arbitration or investigation pending or, to the knowledge of Stockholder or any of Stockholder's affiliates, threatened before any agency, administration, court or tribunal, foreign or domestic, against Stockholder or any of Stockholder's affiliates or any of their respective properties or any of their respective officers or directors, in the case of a corporate entity (in their capacities as such), or any of their respective partners (in the case of a partnership), that, individually or in the aggregate, could reasonably be expected to materially delay or impair Stockholder's ability to consummate the transactions contemplated by this Agreement. There is no judgment, decree or order against Stockholder or any of Stockholder's affiliates, any of their respective directors or officers (in their capacities as such), in the case of a corporate entity, or any of their respective partners (in the case of a corporate entity, or any of their respective directors or officers (in their capacities as such), in the case of a corporate entity, or any of their respective directors or officers (in their capacities as such), in the case of a corporate entity, or any of their respective partners (in the case of a partnership), that, individually or in the aggregate, could reasonably be expected to prevent, enjoin, alter or delay any of the transactions contemplated by this Agreement, or that, individually or in the aggregate, could reasonably be expected to have an adverse effect on Stockholder's ability to consummate the transactions contemplated by this Agreement.

5.5 Accuracy of Representations. The representations and warranties contained in this Agreement are accurate in all respects as of the date of this Agreement and will be accurate in all respects at all times until the termination of this Agreement.

C-4

SECTION 6. Additional Covenants of Stockholder

6.1 Further Assurances. From time to time and without additional consideration, Stockholder shall (at Stockholder's sole expense) execute and deliver, or cause to be executed and delivered, such additional transfers, assignments, endorsements, proxies, consents and other instruments, and shall (at Stockholder's sole expense) take such further actions, as the Investors may request for the purpose of carrying out and furthering the intent of this Agreement.

SECTION 7. Miscellaneous

7.1 Survival of Representations, Warranties and Agreements. All representations, warranties, covenants and agreements made by Stockholder in this Agreement shall survive (a) the Closing Date, (b) any termination of the Purchase Agreement and/or this Agreement and (c) the Voting Covenant Expiration Date.

7.2 Expenses. All costs and expenses incurred in connection with the transactions contemplated by this Agreement shall be paid by the party incurring such costs and expenses.

7.3 Notices. All notices, requests, consents and other communications hereunder shall be in writing; shall be mailed (a) if within the domestic United States, by first-class registered or certified airmail, by nationally recognized overnight express courier, postage prepaid, or by facsimile or (b) if delivered to or from outside the United States, by International Federal Express or facsimile; shall be deemed given: (i) if delivered by first-class registered or certified mail domestic, three business days after so mailed, (ii) if delivered by nationally recognized overnight carrier, one business day after so mailed, (iii) if delivered by International Federal Express, two business days after so mailed or (iv) if delivered by facsimile, upon electric confirmation of receipt; and shall be delivered as addressed as follows:

if to Stockholder:

at the address set forth on the signature page hereof; and

if to the Investors:

[address]

7.4 Severability. In case any provision contained in this Agreement should be invalid, illegal or unenforceable in any respect, the validity, legality and enforceability of the remaining provisions contained herein shall not in any way be affected or impaired thereby. Upon such determination that any term or other provision is invalid, illegal or incapable of being enforced, the parties hereto shall negotiate in good faith to modify this Agreement so as to effect the original intent of the parties as closely as possible to the fullest extent permitted by applicable law in an acceptable manner.

7.5 Entire Agreement. This Agreement, the Proxy and any other documents delivered by the parties in connection herewith constitute the entire agreement between the parties with respect to the subject matter hereof and thereof and supersede all prior agreements and understandings between the parties with respect thereto. No addition to or modification of any provision of this Agreement shall be binding upon either party unless made in writing and signed by both parties.

7.6 Assignment; Binding Effect. Except as provided herein, neither this Agreement nor any of the interests or obligations hereunder may be assigned or delegated by Stockholder, and any attempted or purported assignment or delegation of any of such interests or obligations shall be void. Subject to the preceding sentence, this Agreement shall be binding upon Stockholder and his heirs, estate, executors and personal representatives and his or its successors and assigns, and shall inure to the benefit of the Investors and their successors and assigns.

Without limiting any of the restrictions set forth in Section 2 or Section 6.1 or elsewhere in this Agreement, this Agreement shall be binding upon any Person to whom any Subject Securities are transferred. Nothing in this Agreement is intended to confer on any Person (other than the Investors and their successors and assigns) any rights or remedies of any nature. Notwithstanding the foregoing, any assignment, delegation or

attempted transfer of any rights, interests or obligations under this Agreement by Stockholder without the prior written consent of the Investors shall be void.

7.7 Indemnification. Stockholder shall hold harmless and indemnify the Investors from and against, and shall compensate and reimburse the Investors for, any loss, damage, claim, liability, fee (including attorneys' fees), demand, cost or expense (regardless of whether or not such loss, damage, claim, liability, fee, demand, cost or expense relates to a third-party claim) that is directly or indirectly suffered or incurred by the Investors, or to which the Investors otherwise become subject, and that arises directly or indirectly from, or relates directly or indirectly to, (a) any inaccuracy in or breach of any representation or warranty contained in this Agreement or (b) any failure on the part of Stockholder to observe, perform or abide by, or any other breach of, any restriction, covenant, obligation or other provision contained in this Agreement or in the Proxy.

7.8 Specific Performance. The parties agree that irreparable damage would occur in the event that any of the provisions of this Agreement or the Proxy were not performed in accordance with its specific terms or were otherwise breached. Stockholder agrees that, in the event of any breach or threatened breach by Stockholder of any covenant or obligation contained in this Agreement or in the Proxy, the Investors shall be entitled (in addition to any other remedy that may be available to them, including monetary damages) to seek and obtain (a) a decree or order of specific performance to enforce the observance and performance of such covenant or obligation, and (b) an injunction restraining such breach or threatened breach. Stockholder further agrees that neither the Investors nor any other Person shall be required to obtain, furnish or post any bond or similar instrument in connection with or as a condition to obtaining any remedy referred to in this Section 7.8, and Stockholder irrevocably waives any right he or it may have to require the obtaining, furnishing or posting of any such bond or similar instrument.

7.9 Non-Exclusivity. The rights and remedies of the Investors under this Agreement are not exclusive of or limited by any other rights or remedies which it may have, whether at law, in equity, by contract or otherwise, all of which shall be cumulative (and not alternative). Without limiting the generality of the foregoing, the rights and remedies of the Investors under this Agreement, and the obligations and liabilities of Stockholder under this Agreement, are in addition to their respective rights, remedies, obligations and liabilities under common law requirements and under all applicable statutes, rules and regulations.

7.10 Governing Law; Venue.

(a) This Agreement and the Proxy shall be construed in accordance with, and governed in all respects by, the laws of the State of Delaware (without giving effect to principles of conflicts of laws).

(b) Any legal action or other legal proceeding relating to this Agreement or the Proxy or the enforcement of any provision of this Agreement or the Proxy may be brought or otherwise commenced in any state or federal court located in the State of Delaware. Stockholder:

(i) expressly and irrevocably consents and submits to the jurisdiction of each state and federal court located in the State of Delaware in connection with any such legal proceeding;

(ii) agrees that service of any process, summons, notice or document by U.S. mail addressed to him or it at the address set forth on the signature page hereof shall constitute effective service of such process, summons, notice or document for purposes of any such legal proceeding;

(iii) agrees that each state and federal court located in the State of Delaware shall be deemed to be a convenient forum; and

(iv) agrees not to assert (by way of motion, as a defense or otherwise), in any such legal proceeding commenced in any state or federal court located in the State of Delaware, any claim that Stockholder is not subject personally to the jurisdiction of such court, that such legal proceeding has been brought in an inconvenient forum, that the venue of such proceeding is improper or that this Agreement or the subject matter of this Agreement may not be enforced in or by such court.

Nothing contained in this Section 7.10 shall be deemed to limit or otherwise affect the right of the Investors to commence any legal proceeding or otherwise proceed against Stockholder in any other forum or jurisdiction.

(c) STOCKHOLDER IRREVOCABLY WAIVES THE RIGHT TO A JURY TRIAL IN CONNECTION WITH ANY LEGAL PROCEEDING RELATING TO THIS AGREEMENT OR THE PROXY OR THE ENFORCEMENT OF ANY PROVISION OF THIS AGREEMENT OR THE PROXY.

7.11 Counterparts. This Agreement may be executed in separate counterparts, each of which when so executed and delivered shall be an original, but all such counterparts shall together constitute one and the same instrument.

7.12 Headings. The captions contained in this Agreement are for convenience of reference only, shall not be deemed to be a part of this Agreement and shall not be referred to in connection with the construction or interpretation of this Agreement.

7.13 Pronouns. All pronouns contained herein, and any variations thereof, shall be deemed to refer to the masculine, feminine or neutral, singular or plural, as to the identity of the parties hereto may require.

7.14 Attorneys' Fees. In the event that any suit or action is instituted under or in relation to this Agreement, including without limitation to enforce any provision in this Agreement, the prevailing party in such dispute shall be entitled to recover from the losing party all fees, costs and expenses of enforcing any right of such prevailing party under or with respect to this Agreement, including without limitation, such reasonable fees and expenses of attorneys and accountants, which shall include, without limitation, all fees, costs and expenses of appeals.

7.15 No Waiver. No failure on the part of the Investors to exercise any power, right, privilege or remedy under this Agreement, and no delay on the part of the Investors in exercising any power, right, privilege or remedy under this Agreement, shall operate as a waiver of such power, right, privilege or remedy; and no single or partial exercise of any such power, right, privilege or remedy shall preclude any other or further exercise thereof or of any other power, right, privilege or remedy. The Investors shall not be deemed to have waived any claim available to the Investors arising out of this Agreement, or any power, right, privilege or remedy of the Investors under this Agreement, unless the waiver of such claim, power, right, privilege or remedy is expressly set forth in a written instrument duly executed and delivered on behalf of the Investors, and any such waiver shall not be applicable or have any effect except in the specific instance in which it is given.

C-7

IN WITNESS WHEREOF, the Investors and Stockholder have caused this Agreement to be executed as of the date first written above.

| [INVESTORS] | |
|-------------|--|
| By: | |
| Name: | |
| Title: | |
| STOCKHOLDER | |
| | |
| Name: | |
| Address: | |
| | |
| | |
| | |

| Shares Held | Options and | Additional Securities |
|-------------|--------------|-----------------------|
| of Record | Other Rights | Beneficially Owned |
| | | |

C-8

EXHIBIT A FORM OF IRREVOCABLE PROXY

The undersigned stockholder (the "*Stockholder*") of **RIGEL PHARMACEUTICALS, INC.**, a Delaware corporation (the "*Company*"), hereby irrevocably (to the fullest extent permitted by law) appoints and constitutes [____], [____] and [____], and each of them, the exclusive attorneys and proxies of the Stockholder with full power of substitution and resubstitution, to the full extent of the Stockholder's rights with respect to (i) the outstanding shares of capital stock of the Company owned of record by the Stockholder as of the date of this proxy, which shares are specified on the final page of this proxy and (ii) any and all other shares of capital stock of the Company which the Stockholder may acquire on or after the date hereof. (The shares of the capital stock of the Company referred to in clauses "(i)" and "(ii)" of the immediately preceding sentence are collectively referred to as the "*Shares*.") Upon the execution hereof, all prior proxies given by the Stockholder with respect to any of the Shares are hereby revoked, and the Stockholder agrees that no subsequent proxies will be given with respect to any of the Shares.

This proxy is irrevocable (to the fullest extent permitted by law), is coupled with an interest and is granted in connection with the Voting Agreement, dated as of the date hereof, between the Investors and the Stockholder (the "*Voting Agreement*"), and is granted in consideration of the Investors entering into the Common Stock and Warrant Purchase Agreement, dated as of the date hereof, among the Company and the Investors (the "*Purchase Agreement*"). This proxy will terminate on the Voting Covenant Expiration Date (as defined in the Voting Agreement).

The attorneys and proxies named above be, and each of them are, authorized and empowered by the undersigned, and may exercise this proxy, to vote the Shares, and to exercise all voting, consent and similar rights of the undersigned with respect to the Shares until the Voting Covenant Expiration Date at any meeting of the stockholders of the Company, however called, and in connection with any written action by consent of stockholders of the Company:

(i)

in favor of issuance of the Shares and the Warrants (as defined in the Purchase Agreement) in the Offering, in favor of each of the other actions contemplated by the Purchase Agreement and in favor of any action in furtherance of any of the foregoing; and

(ii)

against any action or agreement that would result in a breach of any representation, warranty, covenant or obligation of the Investors and/or the Company in the Purchase Agreement or which could be expected to delay, prevent or adversely affect the consummation of the transactions contemplated by the Purchase Agreement (including, but not limited to, any matter submitted to stockholders that would cause a failure of a closing condition in the Purchase Agreement).

The Stockholder may vote the Shares on all other matters not referred to in this proxy, and the attorneys and proxies named above may not exercise this proxy with respect to such other matters.

This proxy shall be binding upon the heirs, estate, executors, personal representatives, successors and assigns of the Stockholder (including any transferee of any of the Shares).

C-A-1

In case any provision contained in this Agreement should be invalid, illegal or unenforceable in any respect, the validity, legality and enforceability of the remaining provisions contained herein shall not in any way be affected or impaired thereby.

Dated: [], 2003

Signature

Print Name

C-A-2

APPENDIX D

RIGEL PHARMACEUTICALS, INC.

SECOND INVESTOR RIGHTS AGREEMENT

[

][], 2003

TABLE OF CONTENTS

| SECTION 1. | GENER | AL | 1 | | |
|------------|--------|---|----|--|--|
| | 1.1 | Definitions | 1 | | |
| SECTION 2. | REGIST | IRATION; ADDITIONAL REGISTRATION RIGHTS; ETC. | 2 | | |
| | 2.1 | Registration of the Securities | 2 | | |
| | 2.2 | .2 Suspension Periods | | | |
| | 2.3 | Piggyback Registrations | 5 | | |
| | 2.4 | Demand Registration | 6 | | |
| | 2.5 | Certain Obligations of the Company for Piggyback and Demand Registrations | 7 | | |
| | 2.6 | Restrictions on Transfer | 10 | | |
| | 2.7 | Termination of Registration Rights | 11 | | |
| | 2.8 | Delay of Registration; Furnishing Information | 11 | | |
| | 2.9 | Indemnification | 11 | | |
| | 2.10 | Assignment of Registration Rights | 14 | | |
| | 2.11 | Amendment of Registration Rights | 14 | | |
| SECTION 3. | CERTA | IN COVENANTS OF THE PARTIES | 14 | | |
| | 3.1 | Reservation of Common Stock | 14 | | |
| | 3.2 | Rule 144 Reporting | 14 | | |
| | 3.3 | Observation Rights | 14 | | |
| | 3.4 | Board of Directors Matters | 15 | | |

| | 3.5 | Limitation on Subsequent Registration Rights | |
|------------|-------|--|----|
| | 3.6 | Participation Rights | 16 |
| | 3.7 | Nasdaq Listing | 18 |
| SECTION 4. | MISCE | ELLANEOUS | 18 |
| | 4.1 | Governing Law | 18 |
| | 4.2 | No Inconsistent Agreements | 18 |
| | 4.3 | Successors and Assigns | 18 |
| | 4.4 | Entire Agreement | 18 |
| | 4.5 | Specific Enforcement | 18 |
| | 4.6 | Severability | 19 |
| | 4.7 | Amendment and Waiver | 19 |
| | 4.8 | Notices | 19 |
| | 4.9 | Attorneys' Fees | 19 |
| | 4.7 | Automeys rees | 19 |

| 4.10 | Headings | | 20 |
|------|----------------------|----|----|
| | - - | | • |
| 4.11 | Counterparts | | 20 |
| 4.12 | Aggregation of Stock | | 20 |
| 4.12 | D | | 20 |
| 4.13 | Pronouns | ii | 20 |
| | | | |

i

RIGEL PHARMACEUTICALS, INC. SECOND INVESTOR RIGHTS AGREEMENT

THIS SECOND INVESTOR RIGHTS AGREEMENT (the "*Agreement*") is entered into as of [], 2003 by and among RIGEL PHARMACEUTICALS, INC., a Delaware corporation (the "*Company*"), and the investors listed on Exhibit A hereto, referred to hereinafter as the "Investors" and each individually as an "Investor."

RECITALS

WHEREAS, the Investors are purchasing shares of the Company's common stock, par value \$.001 per share (the "*Common Stock*"), and warrants to purchase shares of Common Stock pursuant to that certain Common Stock and Warrant Purchase Agreement (the "*Purchase Agreement*") dated as of April 29, 2003 (the "*Financing*");

WHEREAS, the obligations in the Purchase Agreement are conditioned upon the execution and delivery of this Agreement; and

WHEREAS, in connection with the consummation of the Financing, the parties desire to enter into this Agreement in order to grant registration and other rights to the Investors as set forth below.

NOW, THEREFORE, in consideration of the foregoing recitals and the mutual promises, representations, warranties and covenants hereinafter set forth and for other good and valuable consideration, the receipt and sufficiency of which are hereby acknowledged, the parties hereto agree as follows:

SECTION 1. GENERAL.

1.1 Definitions. Capitalized terms used but not otherwise defined herein shall have the meanings given them in the Purchase Agreement. As used in this Agreement the following terms shall have the following respective meanings:

(a) "Common Warrants" means those certain warrants to purchase Common Stock issued pursuant to the Purchase Agreement and held by the Investors listed on Exhibit A hereto and their permitted assigns.

(b) "Exchange Act" means the Securities Exchange Act of 1934, as amended.

(c) *"Form S-3"* means such form under the Securities Act as in effect on the date hereof or any successor or similar registration form under the Securities Act subsequently adopted by the SEC that permits inclusion or incorporation of substantial information by reference to other documents filed by the Company with the SEC.

(d) "*Holder*" means any person owning of record or having the right to acquire Registrable Securities, or any assignee of record thereof in accordance with Section 2.10 hereof, which have not been sold to the public.

(e) "Initiating Holders" means the Holders of at least thirty percent (30%) of the Registrable Securities then outstanding.

(f) *"Prior Investor Rights Agreement"* means that certain Amended and Restated Investor Rights Agreement, dated as of [April], 2003, by and among the Company and the investors named therein.

(g) "Prior Registrable Securities" means the "Registrable Securities" (as defined in the Prior Investor Rights Agreement) then outstanding under the Prior Investor Rights Agreement, such

D-1

Prior Rights Holders and their associated amounts of Prior Registrable Securities are as set forth hereto on Exhibit B.

(h) "*Prior Rights Holders*" means the "Holders" of "Registrable Securities" (each as defined in the Prior Investor Rights Agreement) then outstanding under the Prior Investor Rights Agreement.

(i) "*Register,*" "*registered*" and "*registration*" refer to a registration effected by preparing and filing a registration statement in compliance with the Securities Act and the declaration or ordering of effectiveness of such registration statement or document.

(j) "*Registrable Securities*" means: (i) the Shares; (ii) the Warrant Shares; and (iii) any shares of Common Stock issued as (or issuable upon the conversion or exercise of any warrant, right or other security that is issued as) a dividend or other distribution with respect to, or in exchange for or in replacement of, the Shares and Warrant Shares. Notwithstanding the foregoing, Registrable Securities shall not include any shares of Common Stock: (A) sold by a person to the public either pursuant to a registration statement or Rule 144; (B) sold in a private transaction in which the transferor's rights under Section 2 of this Agreement are not assigned; or (C) held by a Holder (together with its affiliates) during such periods in which all of such shares may be sold by the Holder pursuant to Rule 144 within 90 days.

(k) "*Registration Expenses*" means all expenses incurred by the Company in complying with Sections 2.1, 2.3 and 2.4 hereof, including, without limitation, all registration and filing fees, printing expenses, fees and disbursements of counsel for the Company, reasonable fees and disbursements not to exceed \$25,000 of a single special counsel for the Holders, blue sky fees and expenses and the expense of any special audits incident to, or required by, any such registration (but excluding the compensation of regular

employees of the Company that shall be paid in any event by the Company).

- (I) "SEC" or "Commission" means the Securities and Exchange Commission.
- (m) "Securities Act" means the Securities Act of 1933, as amended.

(n) "Selling Expenses" means all underwriting discounts and selling commissions applicable to a sale of Registrable Securities.

(o) *"Shares"* means the shares of Common Stock issued pursuant to the Purchase Agreement and held by the Investors listed on **Exhibit A** hereto and their permitted assigns.

(p) "Special Registration Statement" means: (i) a registration statement relating to any employee benefit plan; (ii) a registration statement relating to any corporate reorganization or transaction under Rule 145 of the Securities Act, including any registration statements related to the issuance or resale of securities issued in such a transaction; or (iii) a registration statement in which the only securities being registered are shares of stock issuable upon conversion of debt securities.

(q) "Warrant Shares" means the shares of Common Stock issuable or issued upon exercise of the Common Warrants.

SECTION 2. REGISTRATION; ADDITIONAL REGISTRATION RIGHTS; ETC.

2.1 Registration of the Securities. The Company shall:

(a) prepare and file with the SEC, as soon as practicable, but in no event later than 10 business days after the Closing Date (as defined in the Purchase Agreement), a registration statement on Form S-3 (the "*Registration Statement*") for an offering to be made on a delayed or

D-2

continuous basis pursuant to Rule 415 under the Securities Act registering the resale from time to time by the Investors of the Registrable Securities;

(b) use its commercially reasonable best efforts to cause the Registration Statement to become effective as soon as practicable and no later than five business days after the receipt of a notice of "no review" from the SEC or, in the event of SEC review, no later than 90 days after the Registration Statement is initially filed by the Company with the SEC;

(c) subject to Section 2.2, use its commercially reasonable best efforts to prepare and file with the SEC such amendments and supplements to the Registration Statement and the related prospectus used in connection therewith (the "*Prospectus*") as may be necessary to keep the Registration Statement current and effective for a period not exceeding the earlier of: (i) the second anniversary of the initial effectiveness of the Registration Statement; (ii) the date on which all Holders may sell all Registrable Securities then held by such Holders without restriction under Rule 144 of the Securities Act; or (iii) such time as all Registrable Securities have been sold (together, the "*Registration Period*");

(d) furnish to the Holders with respect to the Registrable Securities registered under the Registration Statement such number of copies of the Registration Statement and Prospectuses (including any preliminary prospectuses) in conformity with the requirements of the Securities Act and such other documents as the Holders may reasonably request, in order to facilitate the sale or other disposition of all or any of the Registrable Securities by the Holders;

(e) use its commercially reasonable best efforts to register and qualify the Registrable Securities covered by the Registration Statement under such other securities or Blue Sky laws of such jurisdictions in the United States as shall be reasonably requested by the Holders; *provided, however*, that the Company shall not be required in connection therewith or as a condition thereto to qualify to do business or consent to service of process in any jurisdiction in which it is not now so qualified or has not so consented;

(f) advise the Holders promptly after it shall receive notice or obtain knowledge of the issuance of any stop order by the SEC delaying or suspending the effectiveness of the Registration Statement or of the initiation of any proceeding for that purpose; and it will promptly use its commercially reasonable best efforts to prevent the issuance of any stop order or to obtain its withdrawal at the earliest possible moment if such stop order should be issued;

(g) notify each Holder of securities registered by such Registration Statement at any time when a Prospectus relating thereto is required to be delivered under the Securities Act of the happening of any event as a result of which the Prospectus included in such Registration Statement, as then in effect, includes an untrue statement of a material fact or omits to state a material fact required to be stated therein or necessary to make the statements therein not misleading in the light of the circumstances then existing; and

(h) bear all Registration Expenses in connection with the procedures in paragraphs (a) through (g) of this Section 2.1 and the registration of the Registrable Securities pursuant to the Registration Statement.

2.2 Suspension Periods.

(a) Except in the event that paragraph (b) below applies, the Company shall: (i) if necessary to keep the Registration Statement current and effective, promptly prepare and file from time to time with the SEC post-effective amendments to the Registration Statement or supplements to the related Prospectus or supplements or amendments to any document incorporated therein by reference or file any other required document so that the Registration Statement will not contain an untrue statement of a material fact or omit to state a material fact required to be stated therein

D-3

or necessary to make the statements therein not misleading, and so that, as thereafter delivered to purchasers of the Registrable Securities being sold thereunder, such Prospectus will not contain an untrue statement of a material fact or omit to state a material fact required to be stated therein or necessary to make the statements therein, in light of the circumstances under which they were made, not misleading; (ii) provide the Holders with copies of any documents filed pursuant to Section 2.2(a)(i); and (iii) inform the Holders that the Company has complied with its obligations in Section 2.2(a)(i) (or that, if the Company has filed a post-effective amendment to the Registration Statement that has not yet been declared effective, the Company will notify the Holders to that effect, will use its commercially reasonable best efforts to secure the effectiveness of such post-effective amendment as promptly as possible and will promptly notify the Holders pursuant to Section 2.2(a)(i) hereof when the amendment has become effective).

(b) Subject to Section 2.2(c) below, in the event: (i) of any request by the SEC or any other federal or state governmental authority during the period of effectiveness of the Registration Statement for amendments or supplements to the Registration Statement or related Prospectus or for additional information so that the Registration Statement will not contain an untrue statement of a material fact or omit to state a material fact required to be stated therein or necessary to make the statements therein not misleading or otherwise fail to comply with the applicable rules and regulations of the federal securities laws; (ii) of the issuance by the SEC or any other federal or state governmental authority of any stop order suspending the effectiveness of the Registration Statement or the initiation of any proceedings for that purpose; (iii) of the receipt by the Company of any notification with respect to the suspension of the qualification or exemption from qualification of any of the Registrable Securities for sale in any jurisdiction or the initiation of any proceeding for such purpose, provided that, considering the advice of counsel, the Company reasonably believes that it must qualify in such jurisdiction; (iv) of any event or circumstance that, considering the advice of counsel, the Company reasonably believes necessitates the making of any changes in the Registration Statement or related Prospectus, or any document incorporated or deemed to be incorporated therein by reference, so that, in the case of the Registration Statement, it will not contain any untrue statement of a material fact or any omission to state a material fact required to be stated therein or necessary to make the statements therein not misleading, and that in the case of a related Prospectus, it will not contain any untrue statement of a material fact or any omission to state a material fact required to be stated therein or necessary to make the statements therein, in the light of the circumstances under which they were made, not misleading; or (v) that the Company reasonably believes, considering the advice of counsel, that the Company may, in the absence of a suspension described hereunder, be required under state or federal securities laws to disclose any corporate development, the disclosure of which could reasonably be expected to have a material adverse effect upon the Company, its stockholders, a potentially material transaction or event involving the Company, or any negotiations, discussions or proposals directly relating thereto; then the Company shall deliver a certificate in writing to each Holder (the "Suspension Notice") to the effect of the foregoing and, upon receipt of such Suspension Notice, the Holder will refrain from selling any Registrable Securities pursuant to the Registration Statement (a "Suspension") until the Holder's receipt of copies of a supplemented or amended Prospectus prepared and filed by the Company or until the Holder is advised in writing by the Company that the current Prospectus may be used and the Holder has received copies of any additional or supplemental filings that are incorporated or deemed incorporated by reference in any such Prospectus. In the event of any Suspension, the Company will use its commercially reasonable best efforts to cause the use of the Prospectus so suspended to be resumed as soon as reasonably practicable after delivery of a Suspension Notice to the Holders. In the event that the Company shall exercise its right to suspend the effectiveness of the Registration Statement hereunder, the Registration Period during which the Registration Statement is to remain effective shall be extended by a period of time equal to the duration of any Suspensions.

(c) Notwithstanding the foregoing paragraphs of this Section 2.2, the Holders shall not be prohibited from selling Registrable Securities under the Registration Statement as a result of Suspensions on more than two occasions of not more than 45 days each in any 12-month period; *provided, however*, that in no event shall any Suspension pursuant to Section 2.2(b)(iv) exceed ten business days.

(d) Provided that a Suspension is not then in effect, each Holder may sell Registrable Securities under the Registration Statement, provided that it arranges for delivery of a current Prospectus to the transferee of such Registrable Securities. Upon receipt of a request therefor, the Company will provide an adequate number of current Prospectuses to the Holder and supply copies to any other parties requiring such Prospectuses.

2.3 Piggyback Registrations.

(a) The Company shall notify all Holders of Registrable Securities then outstanding in writing at least ten days prior to the filing of any registration statement under the Securities Act for purposes of a public offering of securities of the Company (including, but not limited to, registration statements relating to secondary offerings of securities of the Company, but excluding Special Registration Statements) and shall afford each such Holder an opportunity to include in such registration statement all or part of such Registrable Securities held by such Holder. Each Holder desiring to include in any such registration statement all or any part of the Registrable Securities held by it shall, within ten days after the above-described notice from the Company, so notify the Company in writing. Such notice shall state the intended method of disposition of the Registrable Securities by such Holder. If a Holder decides not to include all of its Registrable Securities in any registration statement thereafter filed by the Company, such Holder shall nevertheless continue to have the right to include any Registrable Securities in any subsequent registration statement or registration statements as may be filed by the Company with respect to offerings of its securities, all upon the terms and conditions set forth herein.

(b) If the registration statement under which the Company gives notice under this Section 2.3 is for an underwritten public offering, the Company shall so advise the Holders of Registrable Securities then outstanding. In such event, the right of any such Holder to be included in a registration pursuant to this Section 2.3 shall be conditioned upon such Holder's participation in such underwriting and the inclusion of such Holder's Registrable Securities in the underwriting to the extent provided herein. All Holders proposing to distribute their Registrable Securities through such underwriting shall enter into an underwriting agreement in customary form with the underwriter or underwriters selected for such underwriting by the Company. Notwithstanding any other provision of this Agreement, if the underwriter determines in good faith that marketing factors require a limitation of the number of shares to be underwritten, the number of shares that may be included in the underwriting shall be allocated: first, to the Company; second, to the Holders and the Prior Rights Holders on a pro rata basis based on the aggregate of the Registrable Securities then held by the Holders under this Agreement and the Prior Registrable Securities then held by the Prior Rights Holders under the Prior Investor Rights Agreement; and third, to any stockholders of the Company (other than a Holder or Prior Rights Holder) on a pro rata basis; provided, however, that: (i) no such reduction shall reduce the aggregate amount of securities of the selling Holders, together with the securities of the Prior Rights Holders, included in the registration below thirty percent (30%) of the total amount of securities included in such registration; and (ii) no such reduction shall reduce the amount of Registrable Securities of the selling Holders included in the registration unless all Prior Rights Holders exercising piggyback registration rights in such registration are subject to such reduction in their Prior Registrable Securities. Except as provided above, in no event will shares of any other selling stockholder of the Company be included in such registration that would reduce the number of shares that may be included by the Holders and the Prior Rights Holders without the written consent of the holders

D-5

of not less than a majority of the aggregate of the Registrable Securities and the Prior Registrable Securities proposed to be sold in the offering. If any participating Holder disapproves of the terms of any such underwriting, such participating Holder may elect to withdraw therefrom by written notice to the Company and the underwriter, delivered prior to the effective date of the registration statement. Any Registrable Securities excluded or withdrawn from such underwriting shall be excluded and withdrawn from the registration. For any Holder that is a partnership, corporation, venture capital fund or limited liability company, the partners, retired partners, members, retired members and stockholders of such Holder, or the estates and family members of any such partners, retired partners, members, retired members and any trusts for the benefit of any of the foregoing person shall be deemed to be a single "Holder," and any *pro rata* reduction with respect to such "Holder" shall be based upon the aggregate amount of shares carrying registration rights owned by all entities and individuals included in such "Holder," as defined in this sentence.

(c) The Company shall have the right to terminate or withdraw any registration initiated by it under this Section 2.3 prior to the effectiveness of such registration whether or not any Holder has elected to include securities in such registration.

2.4 Demand Registration.

(a) In the event that: (i) the Registration Statement is not declared effective by the SEC as set forth in Section 2.1(b); (ii) the Holders still hold Registrable Securities at the end of the Registration Period; or (iii) subject to Section 2.2, the Registration Statement does not remain effective during the Registration Period, and the Initiating Holders intend to distribute Registrable Securities, then the Initiating Holders may deliver a written request to the Company that the Company file a registration statement under the Securities Act covering the registration of at least \$3 million of the Registrable Securities then outstanding in an underwritten offering. The Company shall, within 20 business days of the receipt of such request, give written notice of such request to all Holders, and subject to the limitations of this Section 2.4, effect, as expeditiously as reasonably possible, the registration under the Securities Act of all Registrable Securities that all Holders request to be registered on the form of registration statement then available to the Company.

(b) If the Initiating Holders intend to distribute the Registrable Securities covered by their request by means of an underwriting, they shall so advise the Company as a part of their request made pursuant to this Section 2.4, and the Company shall include such information in the written notice referred to in Section 2.4(a). In such event, the right of any Holder to include its Registrable Securities in such registration under this Section 2.4 shall be conditioned upon such Holder's participation in such underwriting and the inclusion of such Holder's Registrable Securities in the underwriting (unless otherwise mutually agreed by a majority in interest of the Initiating Holders and such Holder) to the extent provided herein. All Holders proposing to distribute their securities through such underwriting shall enter into an underwriting agreement in customary form with the underwriter or underwriters selected for such underwriting by a majority in interest of the Initiating Holders (which underwriter or underwriters shall be reasonably acceptable to the Company). Notwithstanding any other provision of this Section 2.4, if the underwriter advises the Company that marketing factors require a limitation on the number of securities underwritten (including Registrable Securities), then the Company shall so advise all Holders of Registrable Securities that would otherwise be underwritten pursuant hereto, and the number of Registrable securities that would otherwise be underwritten securities *pro rata* based on the number of Registrable Securities are first excluded. Any Registrable Securities excluded or withdrawn from such underwriting shall be withdrawn from the registration.

(c) The Company shall not be required to effect a registration pursuant to this Section 2.4:

(i)

after the Company has effected three registrations pursuant to this Section 2.4, and such registrations have been declared or ordered effective;

(ii)

during the period starting with the date of filing of, and ending on the date one hundred eighty (180) days following the effective date of, a registration statement pertaining to a public offering as described in Section 2.3, other than pursuant to a Special Registration Statement; *provided* that the Company makes reasonable good faith efforts to cause such registration statement to become effective;

(iii)

if within 30 days of receipt of a written request from the Initiating Holders pursuant to Section 2.4(a), the Company gives notice to the Holders of the Company's intention to file a registration statement for a public offering as described in Section 2.3, other than pursuant to a Special Registration Statement, within 90 days; *provided* that if the Company does not file such registration statement within such 90-day period, the Company shall then effect the registration requested by the Initiating Holders pursuant to Section 2.4;

(iv)

if the Company shall file a registration statement pursuant to this Section 2.3 and then furnish to the Initiating Holders requesting such registration statement pursuant to this Section 2.4 a certificate signed by the Chairman of the Board of the Company stating that in the good faith judgment of the Board of

Directors of the Company, it would be seriously detrimental to the Company and its stockholders for such registration statement to become effective at such time, in which event the Company shall have the right to defer seeking acceleration of effectiveness of such filing for a period of not more than 30 days after receipt of a notice of "no review" or completion of the review process from the SEC; *provided* that such right to delay effectiveness of a requested registration shall be exercised by the Company not more than once in any 12-month period; and *provided further* that the Company shall not register any securities for the account of itself or any other stockholder during such period (other than pursuant to a Special Registration Statement); and

(v)

in any particular jurisdiction in which the Company would be required to qualify to do business or to execute a general consent to service of process in effecting such registration, qualification or compliance.

2.5 Certain Obligations of the Company for Piggyback and Demand Registrations.

(a) Whenever required to effect the registration of any Registrable Securities pursuant to Section 2.3 or Section 2.4, the Company shall, as expeditiously as reasonably possible:

(i)

prepare and file with the SEC a registration statement with respect to such Registrable Securities, and use its commercially reasonable best efforts to cause such registration statement to become effective as soon as practicable;

(ii)

subject to Section 2.5(b), use its commercially reasonable best efforts to prepare and file with the SEC such amendments and supplements to a registration statement and prospectus used in connection therewith as may be necessary to keep such registration statement effective for a period not exceeding, with respect to each Holder's Registrable Securities included in such registration, the earlier of: (i) the second anniversary of the initial effectiveness of such registration statement; (ii) the date on which the Holder may sell all Registrable Securities then held by the Holder without restriction under Rule 144 of the Securities Act; or (iii) such time as all Registrable Securities held by such Holder have been sold;

D-7

(iii)

furnish to the Holders with respect to the Registrable Securities registered under a registration statement such number of copies of such registration statement, and related prospectuses, including preliminary prospectuses, in conformity with the requirements of the Securities Act, and such other documents as such Holders may reasonably request in order to facilitate the public sale or other disposition of the Registrable Securities by the Holders;

(iv)

use its commercially reasonable best efforts to register and qualify the securities covered by such registration statement under such other securities or Blue Sky laws of such jurisdictions in the United States as shall be reasonably requested by the Holders; *provided, however*, that the Company shall not be required in connection therewith or as a condition thereto to qualify to do business or consent to service of process in any jurisdiction in which it is not now so qualified or has not so consented;

(v)

advise the Holders promptly after it shall receive notice or obtain knowledge of the issuance of any stop order by the SEC delaying or suspending the effectiveness of a registration statement or of the initiation of any proceeding for that purpose; and it will promptly use its commercially reasonable best efforts to prevent the issuance of any stop order or to obtain its withdrawal at the earliest possible moment if such stop order should be issued;

(vi)

in the event of any underwritten public offering, enter into and perform its obligations under an underwriting agreement, in usual and customary form, with the managing underwriter(s) of such

offering; each Holder participating in such underwriting shall also enter into and perform its obligations under such an agreement;

notify each Holder of securities registered by such registration statement at any time when a prospectus relating thereto is required to be delivered under the Securities Act of the happening of any event as a result of which the prospectus included in such registration statement, as then in effect, includes an untrue statement of a material fact or omits to state a material fact required to be stated therein or necessary to make the statements therein not misleading in the light of the circumstances then existing;

cause all such Registrable Securities registered under such registration statement to be listed on each securities exchange on which similar securities issued by the Company are then listed;

provide a transfer agent and registrar for all Registrable Securities registered under the registration statement and a CUSIP number for all such Registrable Securities, in each case not later than the effective date of such registration; and

(x)

(vii)

(viii)

(ix)

use its commercially reasonable efforts to furnish, at the request of any Holder requesting registration of Registrable Securities under the registration statement, on the date that such Registrable Securities are delivered to the underwriters for sale in connection with a registration under the registration statement, if such securities are being sold through underwriters, or, if such securities are not being sold through underwriters, on the date that the registration statement with respect to such securities becomes effective, (A) an opinion, dated such date, of the counsel for the Company, in form and substance as is customarily requested by the underwriters in an underwritten public offering, addressed to the underwriters, if any, and to the Holders requesting registration of Registrable Securities and (B) a letter dated such

D-8

date, from the independent certified public accountants of the Company and any company acquired by the Company, in form and substance as is customarily given by independent certified public accountants to underwriters in an underwritten public offering, addressed to the underwriters, if any, and to the Holders requesting registration of Registrable Securities.

(b) Subject to Section 2.5(c) below, in the event: (i) of any request by the SEC or any other federal or state governmental authority during the period of effectiveness of a registration statement filed pursuant to Section 2.3 or Section 2.4 for amendments or supplements to such registration statement or related prospectus or for additional information so that the Registration Statement will not contain an untrue statement of a material fact or omit to state a material fact required to be stated therein or necessary to make the statements therein not misleading or otherwise fail to comply with the applicable rules and regulations of the federal securities laws; (ii) of the issuance by the SEC or any other federal or state governmental authority of any stop order suspending the effectiveness of such registration statement or the initiation of any proceedings for that purpose; (iii) of the receipt by the Company of any notification with respect to the suspension of the qualification or exemption from qualification of any of the Registrable Securities for sale in any jurisdiction or the initiation of any proceeding for such purpose, provided that, considering the advice of counsel, the Company reasonably believes that it must qualify in such jurisdiction; (iv) of any event or circumstance that, considering the advice of counsel, the Company reasonably believes necessitates the making of any changes in such registration statement or related prospectus, or any document incorporated or deemed to be incorporated therein by reference, so that, in the case of such registration statement, it will not contain any untrue statement of a material fact or any omission to state a material fact required to be stated therein or necessary to make the statements therein not misleading, and that in the case of a related prospectus, it will not contain any untrue statement of a material fact or any omission to state a material fact required to be stated therein or necessary to make the statements therein, in the light of the circumstances under which they were made, not misleading; or (v) that the Company reasonably believes, considering the advice of counsel, that the Company may, in the absence of a suspension described hereunder, be required under state or federal securities laws to disclose any corporate development, the disclosure of which could reasonably be expected to have a material adverse effect upon the Company, its stockholders, a potentially material transaction or event involving the Company, or any negotiations, discussions or proposals directly relating thereto; then the Company shall deliver a Suspension Notice to each Holder to the effect of the foregoing and, upon receipt of such Suspension Notice, the Holder will refrain from selling any Registrable Securities pursuant to such registration statement until the Holder's receipt of copies of a supplemented or amended prospectus prepared and filed by the Company or until the Holder is advised in writing by the Company that the current prospectus may be used and the Holder has received copies of any additional or supplemental filings that are incorporated or deemed incorporated by reference in any such

prospectus. In the event of any Suspension, the Company will use its commercially reasonable best efforts to cause the use of the prospectus so suspended to be resumed as soon as reasonably practicable after delivery of a Suspension Notice to the Holders. In the event that the Company shall exercise its right to suspend the effectiveness of such registration statement hereunder, the period during which such registration statement is to remain effective pursuant to Section 2.5(a)(ii) shall be extended by a period of time equal to the duration of any Suspensions.

(c) Notwithstanding the foregoing paragraphs of this Section 2.5, the Holders shall not be prohibited from selling Registrable Securities under a registration statement filed pursuant to Section 2.4 as a result of Suspensions on more than two occasions of not more than 45 days each in any 12-month period (it being understood that (i) any delay in effectiveness of a registration statement pursuant to Section 2.4(c)(iv) shall be counted as an occasion of Suspension for

D-9

purposes of this Section 2.5(c) and (ii) any days of delayed effectiveness of a registration statement pursuant to Section 2.4(c)(iv) shall be counted as part of the 45-day total allowed for Suspension under this Section 2.5(c)); *provided, however*, that in no event shall any Suspension pursuant to Section 2.5(b)(iv) of a registration statement filed under Section 2.4 exceed ten business days.

(d) Except as specifically provided herein, all Registration Expenses incurred in connection with any registration under Section 2.3 or Section 2.4 shall be borne by the Company. All Selling Expenses incurred in connection with any registrations under Section 2.3 or Section 2.4 shall be borne by the holders of the securities so registered *pro rata* on the basis of the number of shares so registered. The Company shall not, however, be required to pay the Registration Expenses of any registration proceeding begun pursuant to Section 2.4, the request of which has been subsequently withdrawn by the Initiating Holders unless: (i) the withdrawal is based upon material adverse information concerning the Company of which the Initiating Holders were not aware at the time of such request; or (ii) the Holders of a majority of the Registrable Securities then outstanding agree to forfeit their right to one requested registration pursuant to Section 2.4 (in which event such right shall be deemed forfeited by all Holders). If the Holders are required to pay the Registration Expenses, such expenses shall be borne by the holders of securities (including Registrable Securities) requesting such registration in proportion to the number of shares for which registration was requested.

2.6 Restrictions on Transfer.

(a) Each Investor agrees that it will not sell, offer to sell, solicit offers to buy, dispose of, loan, pledge or grant any right with respect to (collectively, a "*Disposition*") all or any portion of the Registrable Securities unless and until:

(i)

there is then in effect a registration statement under the Securities Act covering such proposed Disposition and such Disposition is made in accordance with such registration statement; or

(ii)

(A) if rights under this Agreement are assigned to the transferee, the transferee has agreed in writing to be bound by the terms of this Agreement, (B) such Holder shall have notified the Company of the proposed Disposition and shall have furnished the Company with a detailed statement of the circumstances surrounding the proposed Disposition and (C) if reasonably requested by the Company, such Holder shall have furnished the Company with an opinion of counsel, reasonably satisfactory to the Company, that such Disposition will not require registration of such shares under the Securities Act.

(b) Notwithstanding the provisions of Section 2.6(a) above, no such restriction shall apply to a transfer by a Holder that is: (i) a partnership transferring to its partners or former partners in accordance with partnership interests; (ii) a corporation transferring to a wholly-owned subsidiary or a parent corporation that owns all of the capital stock of the Holder; (iii) a limited liability company transferring to its members or former members in accordance with their interest in the limited liability company; (iv) an affiliated venture fund transferring to another affiliated venture fund; or (v) an individual transferring to the Holder's family member or trust for the benefit of an individual Holder; *provided* that in each case the transfere will agree in writing to be subject to the terms of this Agreement to the same extent as if the transfere were an original Holder hereunder.

(c) Each certificate representing the Shares or Warrant Shares shall be stamped or otherwise imprinted with legends substantially similar to the following (in addition to any legend required under applicable state securities laws):

"THE SHARES REPRESENTED BY THIS CERTIFICATE HAVE BEEN ACQUIRED FOR INVESTMENT AND HAVE NOT BEEN REGISTERED UNDER THE SECURITIES ACT OF 1933, AS AMENDED (THE "ACT"). SUCH SHARES MAY NOT BE SOLD OR TRANSFERRED IN THE ABSENCE OF REGISTRATION OR AN EXEMPTION THEREFROM. RIGEL PHARMACEUTICALS, INC. MAY REQUIRE AN OPINION OF COUNSEL REASONABLY ACCEPTABLE TO IT THAT A PROPOSED TRANSFER OR SALE IS IN COMPLIANCE WITH THE ACT."

"THE SALE, TRANSFER OR VOTING OF THE SHARES REPRESENTED BY THIS CERTIFICATE IS RESTRICTED BY THE TERMS OF A SECOND INVESTOR RIGHTS AGREEMENT BY AND AMONG RIGEL PHARMACEUTICALS, INC. AND THE INVESTORS NAMED THEREIN. COPIES OF THE AGREEMENT MAY BE OBTAINED AT NO COST BY WRITTEN REQUEST MADE BY THE HOLDERS OF RECORD OF THIS CERTIFICATE TO THE SECRETARY OF RIGEL PHARMACEUTICALS, INC. AT THE PRINCIPAL EXECUTIVE OFFICES OF RIGEL PHARMACEUTICALS, INC."

(d) The Company shall be obligated to reissue promptly unlegended certificates at the request of any Holder thereof if the Holder shall have (i) sold Registrable Securities pursuant to an effective registration statement or (ii) obtained an opinion of counsel (which counsel may be counsel to the Company) reasonably acceptable to the Company to the effect that the securities proposed to be disposed of may lawfully be so disposed of without registration, qualification and legend.

(e) Any legend endorsed on an instrument pursuant to applicable state securities laws and the stop-transfer instructions with respect to such securities shall be removed upon receipt by the Company of an order of the appropriate blue sky authority authorizing such removal.

2.7 Termination of Registration Rights. All registration rights granted under this Section 2 shall terminate and be of no further force and effect upon the earlier of: (i) the date on which the Holders may sell all Registrable Securities then held by the Holders without restriction under Rule 144 of the Securities Act; or (ii) such time as all Registrable Securities purchased by the Investors in the Financing have been sold.

2.8 Delay of Registration; Furnishing Information.

(a) No Holder shall have any right to obtain or seek an injunction restraining or otherwise delaying any such registration as the result of any controversy that might arise with respect to the interpretation or implementation of this Section 2.

(b) It shall be a condition precedent to the obligations of the Company to register a Holder's Registrable Securities pursuant to Section 2.1, Section 2.3 or Section 2.4 that such Holder shall furnish to the Company such information regarding themselves, the Registrable Securities held by them and the intended method of disposition of such securities as shall be reasonably required to effect the registration of such Holder's Registrable Securities. Upon receipt of such information, the Company shall promptly update any registration statement (whether or not such registration statement has been declared effective) used or to be used to register Registrable Securities.

2.9 Indemnification. In the event any Registrable Securities are included in a registration statement under Section 2.1, Section 2.3 or Section 2.4:

(a) To the extent permitted by law, the Company shall indemnify and hold harmless each Holder, the partners, members, officers and directors of each Holder, any underwriter (as defined

D-11

in the Securities Act) for such Holder and each person, if any, who controls such Holder or underwriter within the meaning of the Securities Act or the Exchange Act, against any losses, claims, damages, or liabilities (joint or several) to which they may become subject under the Securities Act, the Exchange Act or other federal or state law, insofar as such losses, claims, damages or liabilities (or actions in respect thereof) arise out of, or are based upon, any of the following statements, omissions or violations (collectively a "*Violation*") by the Company: (i) any untrue statement or alleged untrue statement of a material fact contained in such registration statement or incorporated by reference therein, including any preliminary prospectus or final prospectus contained therein or any amendments or supplements thereto; (ii) the omission or alleged omission to state therein a material fact required to be stated therein, or necessary to make the statements therein not misleading; or (iii) any violation or alleged violation by the Company of the Securities Act, the Exchange Act any state securities law or any rule or regulation promulgated under the Securities Act, the Exchange Act or

any state securities law in connection with the offering covered by such registration statement; and the Company shall reimburse each such Holder, partner, member, officer, director, underwriter or controlling person for any legal or other expenses reasonably incurred by them in connection with investigating or defending any such loss, claim, damage, liability or action as such expenses are incurred; *provided however*, that the indemnity agreement contained in this Section 2.9(a) shall not apply to amounts paid in settlement of any such loss, claim, damage, liability or action if such settlement is effected without the consent of the Company, which consent shall not be unreasonably withheld, nor shall the Company be liable in any such case for any such loss, claim, damage, liability or action to the extent that it arises out of, or is based upon, a Violation that occurs in reliance upon and in conformity with written information furnished expressly for use in connection with such registration by or on behalf of such Holder, partner, member, officer, director, underwriter or controlling person of such Holder.

(b) To the extent permitted by law, each Holder shall, if Registrable Securities held by such Holder are included in such registration statement, indemnify and hold harmless the Company, each of its directors, its officers and each person, if any, who controls the Company within the meaning of the Securities Act, any underwriter and any other Holder selling securities under such registration statement or any of such other Holder's partners, directors or officers or any person who controls such Holder, against any losses, claims, damages or liabilities (joint or several) to which the Company or any such director, officer, controlling person, underwriter or other such Holder, or partner, director, officer or controlling person of such other Holder may become subject under the Securities Act, the Exchange Act or other federal or state law, insofar as such losses, claims, damages or liabilities (or actions in respect thereto) arise out of, or are based upon, any of the following statements: (i) any untrue statement or alleged untrue statement of a material fact contained in such registration statement or incorporated by reference therein, including any preliminary prospectus or final prospectus contained therein or any amendments or supplements thereto; (ii) the omission or alleged omission to state therein a material fact required to be stated therein, or necessary to make the statements therein not misleading; or (iii) any violation or alleged violation by the Company of the Securities Act (collectively, a "Holder Violation"), in each case to the extent (and only to the extent) that such Holder Violation occurs in reliance upon and in conformity with written information furnished by such Holder under an instrument duly executed by such Holder and stated to be specifically for use in connection with such registration; and each such Holder shall reimburse any legal or other expenses reasonably incurred by the Company or any such director, officer, controlling person, underwriter or other Holder, or partner, officer, director or controlling person of such other Holder in connection with investigating or defending any such loss, claim, damage, liability or action if it is judicially determined that there was such a Holder Violation; provided, however, that the indemnity agreement contained in this Section 2.9(b) shall not apply to amounts paid in settlement of any such loss, claim, damage,

liability or action if such settlement is effected without the consent of the Holder, which consent shall not be unreasonably withheld; *provided further*, that in no event shall any indemnity under this Section 2.9 exceed the net proceeds from the offering received by such Holder.

(c) Promptly after receipt by an indemnified party under this Section 2.9 of notice of the commencement of any action (including any governmental action), such indemnified party will, if a claim in respect thereof is to be made against any indemnifying party under this Section 2.9, deliver to the indemnifying party a written notice of the commencement thereof, and the indemnifying party shall have the right to participate in, and, to the extent the indemnifying party so desires, jointly with any other indemnifying party similarly noticed, to assume the defense thereof with counsel mutually satisfactory to the parties; *provided, however*, that an indemnified party shall have the right to retain its own counsel, with the fees and expenses to be paid by the indemnifying party, if representation of such indemnified party by the counsel retained by the indemnifying party would be inappropriate due to actual or potential differing interests between such indemnified party and any other party represented by such counsel in such proceeding. The failure to deliver written notice to the indemnifying party within a reasonable time of the commencement of any such action, if materially prejudicial to its ability to defend such action, shall relieve such indemnifying party of liability to the indemnifying party under this Section 2.9 to the extent of such prejudice, but the omission so to deliver written notice to the indemnifying party will not relieve it of any liability that it may have to any indemnified party otherwise than under this Section 2.9.

(d) If the indemnification provided for in this Section 2.9 is held by a court of competent jurisdiction to be unavailable to an indemnified party with respect to any losses, claims, damages or liabilities referred to herein, the indemnifying party, in lieu of indemnifying such indemnified party hereunder, shall to the extent permitted by applicable law contribute to the amount paid or payable by such indemnified party as a result of such loss, claim, damage or liability in such proportion as is appropriate to reflect the relative fault of the indemnifying party on the one hand and of the indemnified party on the other in connection with the Violation(s) or Holder Violation(s) that resulted in such loss, claim, damage or liability, as well as any other relevant equitable considerations. The relative fault of the indemnifying party and of the indemnified party shall be determined by a court of law by reference to, among other

things, whether the untrue or alleged untrue statement of a material fact or the omission to state a material fact relates to information supplied by the indemnifying party or by the indemnified party and the parties' relative intent, knowledge, access to information and opportunity to correct or prevent such statement or omission; *provided*, that in no event shall any contribution by a Holder hereunder, when combined with amounts paid pursuant to Section 2.9(b), exceed the net proceeds from the offering received by such Holder.

(e) The obligations of the Company and the Holders under this Section 2.9 shall survive completion of any offering of Registrable Securities pursuant to a registration statement under Section 2 and the termination of this Agreement. No indemnifying party, in the defense of any such claim or litigation, shall, except with the consent of each indemnified party, consent to the entry of any judgment or enter into any settlement that does not include as an unconditional term thereof the giving by the claimant or plaintiff to such indemnified party of a release from all liability in respect to such claim or litigation.

D-13

2.10 Assignment of Registration Rights. The rights to cause the Company to register Registrable Securities pursuant to this Section 2 may be assigned by a Holder to a transferee or assignee of Registrable Securities that: (a) is a subsidiary, parent, general partner, limited partner, retired partner, member or retired member, stockholder or affiliated venture fund of a Holder; (b) is a Holder's family member or trust for the benefit of an individual Holder; (c) acquires at least 100,000 shares of Registrable Securities (as adjusted for stock splits and combinations); or (d) is an entity affiliated by common control (or other related entity) with such Holder; *provided, however*, that: (i) the transferor shall, within ten days after such transfer, furnish to the Company written notice of the name and address of such transferee or assignee and the securities with respect to which such registration rights are being assigned; and (ii) such transferee shall agree to be subject to all restrictions set forth in this Agreement.

2.11 Amendment of Registration Rights. Any provision of this Section 2 may be amended and the observance thereof may be waived (either generally or in a particular instance and either retroactively or prospectively), only with the written consent of the Company and the Holders of at least a majority of the Registrable Securities then outstanding. Any amendment or waiver effected in accordance with this Section 2.11 shall be binding upon each Holder and the Company. By acceptance of any benefits under this Section 2, the Holders of Registrable Securities hereby agree to be bound by the provisions hereunder.

SECTION 3. CERTAIN COVENANTS OF THE PARTIES.

3.1 Reservation of Common Stock. The Company will at all times reserve and keep available, solely for issuance and delivery upon the exercise of the Common Warrants, the Warrant Shares issuable from time to time upon such exercise.

3.2 Rule 144 Reporting. With a view to making available to each Holder the benefits of Rule 144 under the Securities Act (or its successor rule) and any other rule or regulation of the SEC that may at any time permit the Holder to sell Registrable Securities to the public without registration or pursuant to Form S-3, the Company covenants and agrees to: (a) make and keep public information available, as those terms are understood and defined in Rule 144, until such time as all Registrable Securities purchased by the Investors in the Financing have been sold; (b) file with the SEC in a timely manner all reports and other documents required of the Company under the Securities Act and the Exchange Act; and (c) furnish to the Holder upon request, as long as the Holder owns any Registrable Securities, (i) a written statement by the Company that it has complied with the reporting requirements of Rule 144 of the Securities Act and the Exchange Act or that it qualifies as a registrant whose securities may be registered on Form S-3, (ii) a copy of the Company's most recent Annual Report on Form 10-K or Quarterly Report on Form 10-Q and (iii) such other information as may be reasonably requested in order to avail the Holder of any rule or regulation of the SEC that permits the selling of any such Registrable Securities without registration or pursuant to such form.

3.3 Observation Rights. For so long as MPM BioVentures III, L.P. or its affiliates ("*MPM Capital*") shall own Registrable Securities representing not less than 10% of the total shares of Common Stock then outstanding, the Company shall allow Ms. Ashley Ledbetter (or such other representative that may be designated by MPM Capital in accordance with this Section 3.3) to serve as a representative designated by MPM Capital (the "*Representative*") to attend all regularly scheduled meetings of the Company's Board of Directors in a nonvoting capacity, and in connection therewith, the Company shall give such Representative copies of all notices, minutes, consents and other materials, financial or otherwise, which the Company provides to its Board of Directors; *provided, however*, that: (a) MPM Capital shall not change or substitute its Representative without providing the Company with 20 days' prior notice of such change or substitution; (b) the Representative shall agree to hold in confidence and trust and to act in a fiduciary manner with respect to all information so provided; and (c) the Company reserves the right to exclude such Representative from access to any

material or meeting or portion thereof if the Company believes that such exclusion is reasonably necessary: (i) to preserve the attorney-client privilege; (ii) to protect highly confidential information; or (iii) to prevent the disclosure of trade secrets to a competitor.

3.4 Board of Directors Matters. For so long as MPM Capital shall own Registrable Securities representing not less than 10% of the total shares of Common Stock then outstanding:

(a) the Company's Board of Directors shall consist of nine members, and the Company's Board of Directors shall be divided into three classes, with each class having a three-year term;

(b) the Company shall: (i) use its commercially reasonable best efforts to cause Mr. Dennis Henner, as designated by MPM Capital (the "*Class II Designee*"), to be nominated and elected to Class II of the Company's Board of Directors at each meeting or pursuant to each consent of the Company's stockholders for the election of Class II directors; (ii) use its commercially reasonable best efforts to cause Mr. Nick Simon, as designated by MPM Capital (the "*Class III Designee*" and collectively with the Class II Designee, the "*MPM Designees*"), to be nominated and elected to Class III of the Company's Board of Directors at each meeting or pursuant to each consent of the Company's stockholders for the election of Class III *Designee*" and collectively with the Class II Designee, the "*MPM Designees*"), to be nominated and elected to Class III of the Company's Board of Directors at each meeting or pursuant to each consent of the Company's stockholders for the election of Class III directors; and (iii) if any MPM Designee elected to the Company's Board of Directors ceases to be a member of the Company's Board of Directors during such person's term as a director due to such person's resignation, death or removal, the Company shall use its commercially reasonable best efforts, subject to applicable laws and regulations, to cause such vacancy to be filled by a replacement designated by MPM Capital, and such designee shall be an MPM Designee for purposes of this Agreement;

(c) as long as an MPM Designee remains on the Company's Board of Directors pursuant to Section 3.4(b), then: (i) the Company shall use its commercially reasonable best efforts to appoint one of the MPM Designees to the Nominating Committee of the Company's Board of Directors; and (ii) the Company shall not materially amend or modify the Charter of the Nominating Committee of the Company's Board of Directors as in effect as of the date of this Agreement; *provided, however*, that the Company shall not be required to make any appointment to a committee of the Company's Board of Directors if such appointment could reasonably be expected to conflict with federal securities laws or any other rules or regulations then in effect of Nasdaq or any exchange on which the Company's Board of Directors as is necessary to not conflict with any applicable federal securities laws, state laws or any other rules or regulations then in effect of Nasdaq or any exchange are listed for trading;

(d) as long as an MPM Designee remains on the Company's Board of Directors pursuant to Section 3.4(b), then the Company shall use its commercially reasonable best efforts to appoint one of the MPM Designees to the Compensation Committee of the Company's Board of Directors; *provided, however*, that the Company shall not be required to make any appointment to a committee of the Company's Board of Directors if such appointment could reasonably be expected to conflict with federal securities laws or any other rules or regulations then in effect of Nasdaq or any exchange on which the Company's securities are listed for trading; and

(e) the Company shall use its commercially reasonable efforts to maintain the Company's amended and restated certificate of incorporation and bylaws, as amended, to permit the Company to indemnify its directors and officers to the fullest extent permitted by law (including to seek to amend such certificate and bylaws to the extent the law permits greater indemnification than then permitted by such certificate and bylaws).

3.5 Limitation on Subsequent Registration Rights. For so long as any Registrable Securities remain outstanding, after the date of this Agreement, the Company shall not, without the prior written

D-15

consent of the holders of at least a majority of the aggregate of (a) the Registrable Securities then outstanding under this Agreement and (b) the Prior Registrable Securities then outstanding under the Prior Investor Rights Agreement, enter into any agreement, other than in connection with a Special Registration Statement, with any holder or prospective holder of any securities of the Company that would grant such holder registration rights on a parity with, or senior to, those granted to the Holders hereunder.

3.6 Participation Rights.

(a) The parties hereby agree that each Investor, so long as such Investor and its respective affiliates beneficially own at least 10% of all of the outstanding shares of Common Stock, shall have the right (the "*Participation Right*"), but not the obligation, to purchase its Pro Rata Share (as defined below) of all (or any part) of any New Securities (as defined below). "*Pro Rata Share*" equals a fraction, (i) the numerator of which shall be the number of shares of Common Stock then owned by such Investor (or receivable by

such Investor upon conversion or exercise of all then outstanding convertible or exercisable securities held by such Investor) and (ii) the denominator of which shall be the total number of shares of Common Stock then outstanding.

(b) For purposes of this Agreement, "*New Securities*" shall mean any shares of, or securities convertible into or exchangeable or exercisable for any shares of, the Company's capital stock; *provided*, *however*, that the term "New Securities" does not include:

| (i) | |
|-----------------|---|
| (1) | any securities, including shares of Common Stock, to be issued pursuant to a "public offering" (as such term is determined by the rules, regulations and guidelines of the National Association of Securities Dealers) of such securities, or issued pursuant to a registration statement on a Form S-4 or S-8 or substantially equivalent successor form, or, upon the approval of a majority of the entire Board of Directors of the Company (i.e., a majority of the total number of directors then in office), any shares issued pursuant to registration statement and offered publicly (the parties hereto agree that merely because an offering is to be undertaken as a "take-down" from a shelf registration statement, that factor will not be the sole determinative factor as to whether such offering is a "public offering"); |
| (ii) | shares of Common Stock (or options therefor) issued or issuable to employees, officers, directors, consultants or other service providers of the Company pursuant to stock options or other stock incentive agreements or plans approved by a majority of the Company's Board of Directors and not for capital-raising transactions; |
| (iii) | any securities issued upon the conversion or exercise of an convertible or exercisable securities outstanding as of the date hereof; |
| (iv) | any shares of Common Stock (or any other security) issued in connection with any stock split, stock dividend, combination, recapitalization or similar corporate action for which no consideration is paid or payable; |
| (v) | any shares of Common Stock (or any other security) issued in connection with (A) any corporate collaboration agreement, (B) any licensing agreement, (C) any payment or settlement of any obligation under a material contract and (D) as compensation for any services rendered to the Company; |
| (vi) | any securities issued pursuant to an acquisition of the Company approved by its Board of Directors by means of (A) merger or other form of corporate reorganization in which outstanding shares of the Company are exchanged for securities or other consideration issued, or caused to be issued, by the acquiring corporation or its subsidiary and pursuant to which the holders of the outstanding D-16 |
| | |
| | voting securities of the Company immediately prior to such merger or other form of corporate reorganization fail to hold equity securities representing a majority of the voting power of the Company or surviving entity immediately following such merger or other form of corporate reorganization or (B) a sale of all or substantially all the assets of the Company approved by the Company's Board of Directors; |
| (vii) (viii) | any shares of Common Stock or Warrants issued pursuant to the Financing; |
| (·/ | |

any securities issued in connection with bona fide equipment financings or bona fide lease agreements; or

(ix)

any securities issued in transactions approved by the Board of Directors (i.e., a majority of the total number of directors then in office) as being excluded from the provisions of this Section 3.6.

(c) If the Company proposes to undertake any issuance of New Securities, it shall, prior to any such issuance, give written notice to the Investors of its bona fide intention to issue New Securities (the "Company Notice"), describing the type of New Securities proposed to be issued, the total number or quantity of New Securities proposed to be issued, and the price and the general terms upon which the Company proposes to issue such New Securities. Each Investor may elect to purchase or acquire its Pro Rata Share of such New Securities (an "Electing Party") by delivering written notice (the "Participation Notice") of its election to so purchase or acquire such New Securities at the price and upon the general terms specified in the Company Notice and stating the quantity of New Securities to be purchased (not to exceed its Pro Rata Share). The Participation Notice shall be delivered to the Company within five (5) business days after the date of delivery of the Company Notice, and the obligations of the Electing Party thereunder to purchase the elected number or percentage of New Securities, and the obligations of the Company to sell such New Securities to the Electing Party, shall be contingent upon the consummation of the sale or other transaction pursuant to which the New Securities are proposed to be issued. The number or amount of New Securities specified in the Participation Notice shall be subject to automatic and proportionate reduction in the event that the total number or quantity of New Securities sold by the Company is reduced below the number or quantity specified in the Company Notice. If any Investor fails to give the Participation Notice to the Company within such five business-day period, or specifies in the Participation Notice that such Investor will only purchase part, but not all, of such Investor's Pro Rata Share (a "Nonpurchasing Investor"), then such Nonpurchasing Investor shall forfeit the right hereunder to purchase that part of its Pro Rata Share of such New Securities that it did not so agree to purchase, and the Company shall promptly give each Investor (if any) who has timely agreed to purchase its full Pro Rata Share of such offering of New Securities (a "Purchasing Investor") written notice of the failure of any Nonpurchasing Investor to purchase such Nonpurchasing Investor's full Pro Rata Share of such offering of New Securities (the "Overallotment Notice"). Such Overallotment Notice shall be given to each Purchasing Investor within three business days of the expiration of the five business-day period. Each Purchasing Investor shall have a right of overallotment such that such Purchasing Investor may agree to purchase a portion of the Nonpurchasing Investor's unpurchased Pro Rata Share of such offering on a pro rata basis according to the relative Pro Rata Shares of the Purchasing Investor at any time within three business days after receiving the Overallotment Notice.

(d) If the Investors fail to exercise in full the Participation Right within such five plus three plus three business-day period, then the Company shall have 180 calendar days thereafter to sell the New Securities with respect to which the Investors' Participation Rights hereunder were not exercised, at a price not less than, and upon general terms not more favorable than those specified in the Company Notice. If the Company has not issued and sold the New Securities within such

180 calendar-day period, then the Company shall not thereafter issue or sell any New Securities without again first offering such New Securities to the Investors pursuant to this Section 3.6.

(e) From and after the date of this Agreement, the Company shall not enter into any agreement with any holder or prospective holder of any securities that would allow such holder or prospective holder a participation right, right of first refusal, right of first offer or other similar right which is on terms materially more favorable to such holder or prospective holder than, or in preference to, the Participation Right granted to the Investors hereunder.

3.7 Nasdaq Listing. The Company shall use its commercially reasonable best efforts to maintain the listing of the Registrable Securities on Nasdaq.

SECTION 4. MISCELLANEOUS.

4.1 Governing Law. This Agreement shall be governed by, and construed in accordance with, the internal laws of the State of California, without giving effect to the principles of conflicts of law. The parties agree that any action brought by either party under or in relation to this Agreement, including without limitation to interpret or enforce any provision of this Agreement, shall be brought in, and each party agrees to and does hereby submit to the jurisdiction and venue of, any state or federal court located in the County of San Francisco, California.

4.2 No Inconsistent Agreements. The Company has not entered, as of the date hereof, into any agreement with respect to any of its securities that is inconsistent with, diminishes or otherwise limits, the rights granted to the Holders of Registrable Securities in this Agreement or otherwise conflicts with the provisions hereof.

4.3 Successors and Assigns. Except as otherwise expressly provided herein, the provisions hereof shall inure to the benefit of, and be binding upon, the parties hereto and their respective successors, assigns, heirs, executors and administrators and shall inure to the benefit of, and be enforceable by, each person who shall be a Holder of Registrable Securities from time to time; *provided, however*, that prior to the receipt by

the Company of adequate written notice of the transfer of any Registrable Securities specifying the full name and address of the transferee, the Company may deem and treat the person listed as the holder of such shares in its records as the absolute owner and holder of such shares for all purposes, including the payment of dividends or any redemption price.

4.4 Entire Agreement. This Agreement, including the Exhibits hereto, constitutes the full and entire understanding and agreement between the parties with regard to the subject hereof and no party shall be liable or bound to any other in any manner by any oral or written representations, warranties, covenants and agreements except as specifically set forth herein. Each party expressly represents and warrants that it is not relying on any oral or written representations, warranties, covenants or agreements outside of this Agreement; *provided, however*, that the parties hereto acknowledge that the Investors have relied on those certain Consents, Waivers and Agreements, dated as of April 29, 2003, executed by holders of a majority of the "Registrable Securities" outstanding under (and as defined in) that certain Amended and Restated Investor Rights Agreement, dated as of February 3, 2000, by and among the Company and the investors named therein.

4.5 Specific Enforcement. It is agreed and understood that monetary damages would not adequately compensate an injured party for the breach of Section 3.4 of this Agreement by any other party, that Section 3.4 of this Agreement shall be specifically enforceable, and that any breach or threatened breach of Section 3.4 of this Agreement shall be the proper subject of a temporary or permanent injunction or restraining order. Further, each party hereto waives any claim or defense that there is an adequate remedy at law for such breach or threatened breach Section 3.4 of this Agreement.

D-18

4.6 Severability. In case any provision contained in this Agreement should be invalid, illegal or unenforceable in any respect, the validity, legality and enforceability of the remaining provisions contained herein shall not in any way be affected or impaired thereby.

4.7 Amendment and Waiver.

(a) Except as otherwise expressly provided, this Agreement may be amended or modified only upon the written consent of the Company and the Holders of at least a majority of the Registrable Securities then outstanding.

(b) Except as otherwise expressly provided, the obligations of the Company and the rights of the Holders under this Agreement may be waived only with the written consent of the Holders of at least a majority of the Registrable Securities then outstanding.

(c) For the purposes of determining the number of Holders entitled to vote or exercise any rights hereunder, the Company shall be entitled to rely solely on the list of record holders of its stock as maintained by or on behalf of the Company.

4.8 Notices. All notices, requests, consents and other communications hereunder shall be in writing; shall be mailed (a) if within the domestic United States, by first-class registered or certified airmail, by nationally recognized overnight express courier, postage prepaid, or by facsimile or (b) if delivered from outside the United States, by International Federal Express or facsimile; shall be deemed given: (i) if delivered by first-class registered or certified mail domestic, three business days after so mailed; (ii) if delivered by nationally recognized overnight carrier, one business day after so mailed; (iii) if delivered by International Federal Express, two business days after so mailed; or (iv) if delivered by facsimile, upon electric confirmation of receipt; and shall be delivered as addressed as follows:

(a) if to the Company, to:

Rigel Pharmaceuticals, Inc. 1180 Veterans Boulevard South San Francisco, CA 94080 Attn: James M. Gower Chairman and Chief Executive Officer Phone: (650) 624-1100 Telecopy: (650) 624-1133

with a copy to:

Cooley Godward LLP Five Palo Alto Square 3000 El Camino Real

Palo Alto, CA 94306 Attn: Suzanne Sawochka Hooper Phone: (650) 843-5000 Telecopy: (650) 849-7400

(b) if to the Investors, at the addresses as set forth on **Exhibit A** hereto, or at such other address or addresses as may have been furnished to the Company in writing.

4.9 Attorneys' Fees. In the event that any suit or action is instituted under or in relation to this Agreement, including without limitation to enforce any provision in this Agreement, the prevailing party in such dispute shall be entitled to recover from the losing party all fees, costs and expenses of enforcing any right of such prevailing party under or with respect to this Agreement, including without

D-19

limitation, such reasonable fees and expenses of attorneys and accountants, which shall include, without limitation, all fees, costs and expenses of appeals.

4.10 Headings. The headings of the various sections of this Agreement have been inserted for convenience of reference only and shall not be deemed to be part of this Agreement.

4.11 Counterparts. This Agreement may be executed in two or more counterparts, each of which shall constitute an original, but all of which, when taken together, shall constitute but one instrument, and shall become effective when one or more counterparts have been signed by each party hereto and delivered to the other parties.

4.12 Aggregation of Stock. All shares of Registrable Securities held or acquired by affiliated entities or persons or persons or entities under common management or control shall be aggregated together for the purpose of determining the availability of any rights under this Agreement.

4.13 Pronouns. All pronouns contained herein, and any variations thereof, shall be deemed to refer to the masculine, feminine or neutral, singular or plural, as to the identity of the parties hereto may require.

[REMAINDER OF PAGE INTENTIONALLY LEFT BLANK.]

D-20

IN WITNESS WHEREOF, the parties hereto have executed this **SECOND INVESTOR RIGHTS AGREEMENT** as of the date set forth in the first paragraph hereof.

| COMPANY: | |
|----------|--|
| | |

By:

Name:

Title:

RIGEL PHARMACEUTICALS, INC.

INVESTORS:

MPM BIOVENTURES III, L.P.

By: MPM BioVentures III GP, L.P., its General Partner

By: MPM BioVentures III LLC, its General Partner

Name:

By:

Title: Series A Member

116

MPM BIOVENTURES III-QP, L.P.

| By: | MPM BioVentures III GP, L.P., its General Partner |
|-------|--|
| By: | MPM BioVentures III LLC, its General Partner |
| By: | |
| Name: | |

Title: Series A Member SECOND INVESTOR RIGHTS AGREEMENT SIGNATURE PAGE

D-21

MPM BIOVENTURES III GMBH & CO. PARALLEL-BETEILIGUNGS KG

| By: | MPM BioVentures III GP, L.P., in its capacity as the Managing Limited Partner | | | | | | |
|---|--|--|--|--|--|--|--|
| By: | MPM BioVentures III LLC, its General Partner | | | | | | |
| By: | | | | | | | |
| Name: | | | | | | | |
| Title: | Series A Member | | | | | | |
| MPM BIOVENTURES III PARALLEL FUND, L.P. | | | | | | | |
| By: | MPM BioVentures III GP, L.P., its General Partner | | | | | | |
| By: | MPM BioVentures III LLC, its General Partner | | | | | | |
| By: | | | | | | | |
| Name: | | | | | | | |
| Title: D-22 | Series A Member | | | | | | |

MPM ASSET MANAGEMENT INVESTORS 2003 BVIII LLC

| By: | |
|----------------|---|
| Name: | |
| Title: | Manager |
| MPM | BIOEQUITIES MASTER FUND, L.P. |
| By: | MPM BioEquities GP, L.P., its General Partner |
| By: | MPM BioEquities GP LLC, its General Partner |
| By: | |
| Name: | |
| Title: D-23 | General Partner |
| ALT | A BIOPHARMA PARTNERS II, L.P. |
| By: | Alta BioPharma Management Partners II, LLC |
| By: | |
| | Managing Director |
| ALT | A EMBARCADERO BIOPHARMA PARTNERS II, LLC |
| By: | |
| D-24 | V.P. of Finance & Admin. |
| FRA | ZIER HEALTHCARE IV, L.P. |
| By: By: | FHM IV, LP, its General Partner FHM IV, LLC, its General Partner |
| By: | |
| | , Member |
| FRA | ZIER AFFILIATES IV, L.P. |
| By: By: | FHM IV, LP, its General Partner FHM IV, LLC, its General Partner |
| By: | |

| D-25 | , Member |
|----------------|--------------------------------|
| НВМ В | BIOVENTURES (CAYMAN) LTD. |
| By: | |
| Name: | John Arnold |
| Title: D-26 | Chairman and Managing Director |

APPENDIX E

HOULIHAN LOKEY HOWARD & ZUKIN FINANCIAL ADVISORS, INC. LETTER

[Houlihan Lokey Howard & Zukin Financial Advisors, Inc. Letterhead]

April 29, 2003

The Board of Directors of Rigel Pharmaceuticals, Inc. 1180 Veterans Blvd. South San Francisco, California 94080

Dear Gentlemen:

We understand that the Company is contemplating the sale of approximately \$46 million in common stock and warrants to a group of investors that will include Alta Partners ("Alta"), Frazier Healthcare Ventures ("Frazier"), MPM Capital ("MPM"), HBM Bioventures ("HBM"), and possibly other investors (collectively the "Investors"). Alta, Frazier and HBM are existing investors in the Company's equity securities, and Alta and Frazier are directly represented on the Company's Board of Directors (the "Board"). MPM is an independent third party with no representation on the Board. The common stock will be issued at \$0.64 per share (the "Purchase Price"). The warrants will be exercisable for that number of shares of common stock equal to 20% of the number of shares of common stock issued in the transaction at an exercise price equal to the Purchase Price. Promptly after the closing of the transaction, the Company intends to offer to its stockholders (excluding the Investors) non-transferable rights to purchase \$10 million of common stock at the Purchase Price. Such transaction and other related transactions disclosed to Houlihan Lokey are referred to collectively herein as the "Transaction."

You have requested our opinion (the "Opinion") as to the fairness, from a financial point of view, to the stockholders of the Company that are not Investors of the consideration to be received by the Company in connection with the Transaction. The Opinion does not address the Company's underlying business decision to effect the Transaction. We have not been requested to, and did not, solicit third party indications of interest in financing or acquiring all or any part of the Company. Furthermore, at your request, we have not negotiated the Transaction or advised you with respect to alternatives to it.

In connection with this Opinion, we have made such reviews, analyses and inquiries as we have deemed necessary and appropriate under the circumstances. Among other things, we have:

1.

reviewed the Company's annual reports to stockholders and on Form 10-K for the fiscal year ended 2002 and Company-prepared interim financial statements for the period ended March 31, 2003, which the Company's management has identified as being the most current financial statements available;

2.

reviewed copies of the following agreements (the "Transaction Documents"):

Memorandum of Proposed Terms for Private Placement of Common Stock ("Term Sheet") dated April 4, 2003;

Form of Amended and Restated Certificate of Incorporation as of April 29, 2003;

Form of Second Investor Rights Agreement as of April 29, 2003;

Common Stock and Warrant Purchase Agreement dated April 29, 2003;

Form of Common Stock Purchase Warrant as of April 29, 2003; and

Voting Agreement dated April 29, 2003;

E-1

3.

met with certain members of the senior management of the Company to discuss the operations, financial condition, future prospects and projected operations and performance of the Company, and discussed certain matters with representatives of the Company's counsel;

visited certain facilities and business offices of the Company;

5.

4.

reviewed forecasts and projections prepared by the Company's management with respect to the Company for the years ended December 31, 2003 through 2005;

6.

reviewed the historical market prices and trading volume for the Company's publicly traded securities;

7.

reviewed certain other publicly available financial data for certain companies that we deem comparable to the Company, and publicly available prices and premiums paid in other transactions that we considered similar to the Transaction; and

8.

conducted such other studies, analyses and inquiries as we have deemed appropriate.

We have relied upon and assumed, without independent verification, that the financial forecasts and projections provided to us have been reasonably prepared and reflect the best currently available estimates of the future financial results and condition of the Company, and that there has been no material change in the assets, financial condition, business or prospects of the Company since the date of the most recent financial statements made available to us.

Subject to the terms of our engagement, it is understood that this letter is for the information of the Board of Directors and may not be disclosed or used for any other purpose without our prior written consent.

We have not independently verified the accuracy and completeness of the information supplied to us with respect to the Company and do not assume any responsibility with respect to it. We have not made any physical inspection or independent appraisal of any of the properties or assets of the Company. Our opinion is necessarily based on business, economic, market and other conditions as they exist and can be evaluated by us at the date of this letter.

Based upon the foregoing, and in reliance thereon, and assuming that the Transaction is consummated on the terms and conditions contained in the Transaction Documents reviewed by us, it is our opinion that the consideration to be received by the Company in connection with the Transaction is fair to its stockholders who are not Investors from a financial point of view.

HOULIHAN LOKEY HOWARD & ZUKIN FINANCIAL ADVISORS, INC.

/s/ Houlihan Lokey Howard & Zukin Financial Advisors, Inc.

E-2

APPENDIX F

AMENDED AND RESTATED CERTIFICATE OF INCORPORATION OF RIGEL PHARMACEUTICALS, INC.

RIGEL PHARMACEUTICALS, INC., a corporation organized and existing under the General Corporation Law of the State of Delaware, **DOES HEREBY CERTIFY**:

FIRST: The name of the corporation is Rigel Pharmaceuticals, Inc.

SECOND: The original Certificate of Incorporation of Rigel Pharmaceuticals, Inc. was filed with the Secretary of State of Delaware on June 14, 1996.

THIRD: The Restated Certificate of Incorporation of Rigel Pharmaceuticals, Inc., was filed with the Secretary of State of Delaware on July 22, 1996.

FOURTH: The Certificate of Amendment of Restated Certificate of Incorporation of Rigel Pharmaceuticals, Inc., was filed with the Secretary of State of Delaware on January 17, 1997.

FIFTH: The Amended and Restated Certificate of Incorporation of Rigel Pharmaceuticals, Inc., was filed with the Secretary of State of Delaware on January 21, 1997.

SIXTH: The Certificate of Amendment of the Amended and Restated Certificate of Incorporation of Rigel Pharmaceuticals, Inc., was filed with the Secretary of State of Delaware on April 25, 1997.

SEVENTH: The Amended and Restated Certificate of Incorporation of Rigel Pharmaceuticals, Inc., was filed with the Secretary of State of Delaware on October 31, 1997.

EIGHTH: The Amended and Restated Certificate of Incorporation of Rigel Pharmaceuticals, Inc., was filed with the Secretary of State of Delaware on December 9, 1998.

NINTH: The Amended and Restated Certificate of Incorporation of Rigel Pharmaceuticals, Inc., was filed with the Secretary of State of Delaware on May 26, 1999.

TENTH: The Amended and Restated Certificate of Incorporation of Rigel Pharmaceuticals, Inc., was filed with the Secretary of State of Delaware on February 2, 2000.

ELEVENTH: The Amended and Restated Certificate of Incorporation of Rigel Pharmaceuticals, Inc., was filed with the Secretary of State of Delaware on December 4, 2000.

TWELFTH: The Amended and Restated Certificate of Incorporation of Rigel Pharmaceuticals, Inc., in the form attached hereto as Exhibit A, was submitted to the stockholders of the corporation and was duly approved by the required vote of the stockholders of the corporation in accordance with the provisions of Sections 222, 242 and 245 of the Delaware General Corporation Law. The total number of outstanding shares entitled to vote or consent to this Amended and Restated Certificate of Incorporation was 46,384,689 shares of common stock. At least sixty-six and two-thirds percent (66-2/3%) of the outstanding shares of common stock, voting together as a single class, voted in favor of this Amended and Restated Certificate of Incorporation. The vote required was at least sixty-six and two-thirds percent (66-2/3%) of the outstanding shares of common stock, voting together as a single class.

THIRTEENTH: The Amended and Restated Certificate of Incorporation so adopted reads in full as set forth in Exhibit A attached hereto and is hereby incorporated herein by reference.

F-1

IN WITNESS WHEREOF, Rigel Pharmaceuticals, Inc. has caused this Amended and Restated Certificate of Incorporation to be signed by its Chief Executive Officer and Secretary as of [,] 2003.

RIGEL PHARMACEUTICALS, INC.

By:

James M. Gower, President

ATTEST:

By:

James H. Welch, Secretary

F-2

EXHIBIT A

AMENDED AND RESTATED CERTIFICATE OF INCORPORATION OF RIGEL PHARMACEUTICALS, INC.

I.

The name of this corporation is Rigel Pharmaceuticals, Inc.

II.

The address of the registered office of the corporation in the State of Delaware is 15 East North Street, City of Dover, County of Kent, and the name of the registered agent of the corporation in the State of Delaware at such address is the Incorporating Services, Ltd.

III.

The purpose of this corporation is to engage in any lawful act or activity for which a corporation may be organized under the General Corporation Law of the State of Delaware.

IV.

A. This corporation is authorized to issue two classes of stock to be designated, respectively, "Preferred Stock" and "Common Stock." The total number of shares that the corporation is authorized to issue is one hundred ten million (110,000,000) shares. One hundred million (100,000,000) shares shall be Common Stock, par value of one-tenth of one cent (\$.001) per share (the "Common Stock"), and ten million (10,000,000) shares shall be Preferred Stock, par value of one-tenth of one cent (\$.001) per share (the "Preferred Stock"). Effective as of 5:00 p.m., Eastern time, on the date this Amended and Restated Certificate of Incorporation is filed with the Secretary of State of the State of Delaware, each [*] shares of the corporation's Common Stock, par value of one-tenth of one cent (\$.001) per share, issued and outstanding shall, automatically and without any action on the part of the respective holders thereof, be combined and converted into one (1) share of Common Stock, par value of one-tenth of one cent (\$.001) per share shall be issued, and, in lieu thereof, any holder of less than one share of Common Stock shall be entitled to receive cash for such holder's fractional share based upon the closing sales price of the corporation's Common Stock as reported on The Nasdaq National Market as of the date this Amended and Restated Certificate of

Incorporation is filed with the Secretary of State of the State of Delaware.

*

By approving these amendments, stockholders will approve the combination of any whole number of shares of Common Stock between and including two (2) and twenty (20) into one (1) share of Common Stock. The Amended and Restated Certificate of Incorporation filed with the Secretary of State of the State of Delaware will include only that number determined by the Board of Directors to be in the best interests of the Corporation and its stockholders. In accordance with these resolutions, the Board of Directors will not implement any amendment providing for a different split ratio.

B. The Preferred Stock may be issued from time to time in one or more series. The Board of Directors is hereby authorized, by filing a certificate (a "Preferred Stock Designation") pursuant to the Delaware General Corporation Law ("DGCL"), to fix or alter from time to time the designation, powers, preferences and rights of the shares of each such series and the qualifications, limitations or restrictions of any wholly unissued series of Preferred Stock, and to establish from time to time the number of shares constituting any such series or any of them; and to increase or decrease the number of shares of any series subsequent to the issuance of shares of that series, but not below the number of

F-A-1

shares of such series then outstanding. In case the number of shares of any series shall be decreased in accordance with the foregoing sentence, the shares constituting such decrease shall resume the status that they had prior to the adoption of the resolution originally fixing the number of shares of such series.

C. Each outstanding share of Common Stock shall entitle the holder thereof to one vote on each matter properly submitted to the stockholders of the corporation for their vote; *provided, however*, that, except as otherwise required by law, holders of Common Stock shall not be entitled to vote on any amendment to this Amended and Restated Certificate of Incorporation (including any certificate of designation filed with respect to any series of Preferred Stock) that relates solely to the terms of one or more outstanding series of Preferred Stock if the holders of such affected series are entitled, either separately or together as a class with the holders of one or more other such series, to vote thereon by law or pursuant to this Amended and Restated Certificate of Incorporation (including any certificate of designation filed with respect to any series of Preferred Stock).

v.

For the management of the business and for the conduct of the affairs of the corporation, and in further definition, limitation and regulation of the powers of the corporation, of its directors and of its stockholders or any class thereof, as the case may be, it is further provided that:

A. Number and Classification of Directors

1. The management of the business and the conduct of the affairs of the corporation shall be vested in its Board of Directors. The number of directors that shall constitute the whole Board of Directors shall be fixed exclusively by one or more resolutions adopted by a majority of the authorized number of directors constituting the Board of Directors.

2. Subject to the rights of the holders of any series of Preferred Stock to elect additional directors under specified circumstances, the directors shall be divided into three classes designated as Class I, Class II and Class III, respectively. At the first annual meeting of stockholders following the initial classification of the Board of Directors, the term of office of the Class I directors shall be elected for a full term of three years. At the second annual meeting of stockholders following such initial classification, the term of office of the Class II directors shall be elected for a full term of three years. At the third annual meeting of stockholders following such initial classification, the term of office of the Class II directors shall expire and Class II directors shall be elected for a full term of three years. At the third annual meeting of stockholders following such initial classification, the term of office of the Class III directors shall expire and Class III directors shall be elected for a full term of three years. At each succeeding annual meeting of stockholders, directors shall be elected for a full term of three years to succeed the directors of the class whose terms expire at such annual meeting.

Notwithstanding the foregoing provisions of this section, each director shall serve until his successor is duly elected and qualified or until his death, resignation or removal. No decrease in the number of directors constituting the Board of Directors shall shorten the term of any incumbent director.

3. Removal of Directors

a. Neither the Board of Directors nor any individual director may be removed without cause.

b. Subject to any limitation imposed by law, any individual director or directors may be removed with cause by the holders of a majority of the voting power of the corporation entitled to vote at an election of directors.

4. Vacancies

a. Subject to the rights of the holders of any series of Preferred Stock, any vacancies on the Board of Directors resulting from death, resignation, disqualification, removal or other causes and any newly created directorships resulting from any increase in the number of directors, shall, unless the Board of Directors determines by resolution that any such vacancies or newly created directorships shall be filled by the stockholders, except as otherwise provided by law, be filled only by the affirmative vote of a majority of the director sthen in office, even though less than a quorum of the Board of Directors, and not by the stockholders. Any director elected in accordance with the preceding sentence shall hold office for the remainder of the full term of the director for which the vacancy was created or occurred and until such director's successor shall have been elected and qualified.

b. If at the time of filling any vacancy or any newly created directorship, the directors then in office shall constitute less than a majority of the whole board (as constituted immediately prior to any such increase), the Delaware Court of Chancery may, upon application of any stockholder or stockholders holding at least ten percent (10%) of the total number of the shares at the time outstanding having the right to vote for such directors, summarily order an election to be held to fill any such vacancies or newly created directorships, or to replace the directors chosen by the directors then in offices as aforesaid, which election shall be governed by Section 211 of the DGCL.

B. Bylaws and Stockholder Actions

1. Subject to paragraph (h) of Section 43 of the Bylaws, the Bylaws may be altered or amended or new Bylaws adopted by the affirmative vote of at least sixty-six and two-thirds percent (66-2/3%) of the voting power of all of the then-outstanding shares of the voting stock of the corporation entitled to vote. The Board of Directors shall also have the power to adopt, amend or repeal Bylaws.

2. The directors of the corporation need not be elected by written ballot unless the Bylaws so provide.

3. No action shall be taken by the stockholders of the corporation except at an annual or special meeting of stockholders called in accordance with the Bylaws, and no action shall be taken by the stockholders by written consent.

4. Advance notice of stockholder nominations for the election of directors and of business to be brought by stockholders before any meeting of the stockholders of the corporation shall be given in the manner provided in the Bylaws of the corporation.

VI.

A. The liability of the directors for monetary damages shall be eliminated to the fullest extent under applicable law. If the DGCL is amended to authorize corporate action further eliminating or limiting the personal liability of directors, then the liability of a director of the corporation shall be eliminated to the fullest extent permitted by the DGCL, as so amended.

B. Any repeal or modification of this Article VI shall be prospective and shall not affect the rights under this Article VI in effect at the time of the alleged occurrence of any act or omission to act giving rise to liability or indemnification.

VII.

A. The corporation reserves the right to amend, alter, change or repeal any provision contained in this Amended and Restated Certificate of Incorporation, in the manner now or hereafter prescribed

by statute, except as provided in paragraph B. of this Article VII, and all rights conferred upon the stockholders herein are granted subject to this reservation.

B. Notwithstanding any other provisions of this Amended and Restated Certificate of Incorporation or any provision of law that might otherwise permit a lesser vote or no vote, but in addition to any affirmative vote of the holders of any particular class or series of the voting stock required by law, this Amended and Restated Certificate of Incorporation or any Preferred Stock Designation, the affirmative vote of the holders of at least sixty-six and two-thirds percent (66-2/3%) of the voting power of all of the then-outstanding shares of the voting stock, voting together as a single class, shall be required to alter, amend or repeal Articles V, VI and VII.

F-A-4

APPENDIX G

FINANCIAL STATEMENTS FOR THE YEAR ENDED DECEMBER 31, 2002, AS FILED IN RIGEL'S ANNUAL REPORT ON FORM 10-K, AS AMENDED

Report of Ernst & Young LLP, Independent Auditors

The Board of Directors and Stockholders Rigel Pharmaceuticals, Inc.

We have audited the accompanying balance sheets of Rigel Pharmaceuticals, Inc. as of December 31, 2002 and 2001, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2002. These financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on these financial statements based on our audits.

We conducted our audits in accordance with auditing standards generally accepted in the United States. Those standards require that we plan and perform the audit to obtain reasonable assurance about whether the financial statements are free of material misstatement. An audit includes examining, on a test basis, evidence supporting the amounts and disclosures in the financial statements. An audit also includes assessing the accounting principles used and significant estimates made by management, as well as evaluating the overall financial statement presentation. We believe that our audits provide a reasonable basis for our opinion.

In our opinion, the financial statements referred to above present fairly, in all material respects, the financial position of Rigel Pharmaceuticals, Inc. at December 31, 2002 and 2001, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2002, in conformity with accounting principles generally accepted in the United States.

/s/ ERNST & YOUNG LLP

Palo Alto, California January 24, 2003 except for Note 9 as to which the date is January 31, 2003.

RIGEL PHARMACEUTICALS, INC.

BALANCE SHEETS (In thousands, except share and per share amounts)

| | December 31, | | | |
|--|--------------|-------------|----|--------------|
| | | 2002 | | 2001 |
| Assets | | | | |
| Current assets: | | | | |
| Cash and cash equivalents | \$ | 26,535 | \$ | 11,488 |
| Available-for-sale securities | | 756 | | 21,927 |
| Accounts receivable | | 1,503 | | 1,153 |
| Receivable from landlord | | 6,175 | | 389 |
| Prepaid expenses and other current assets | | 1,894 | | 1,576 |
| Total current assets | | 36,863 | | 36,533 |
| Property and equipment, net | | 5,206 | | 8,440 |
| Other assets | | 2,273 | | 1,475 |
| | \$ | 44,342 | \$ | 46,448 |
| Liabilities and stockholders' equity Current liabilities: | | | | |
| Accounts payable | \$ | 3,460 | \$ | 1,952 |
| Accrued compensation | | 799 | | 671 |
| Accrued liabilities | | 2,662 | | 1,104 |
| Deferred revenue | | 4,061 | | 3,264 |
| Capital lease obligations | | 3,388 | | 3,171 |
| Total current liabilities | | 14,370 | | 10,162 |
| Capital lease obligations | | 2,313 | | 4,243 |
| Long-term portion of deferred revenue Other long-term liabilities | | 2,147 71 | | 2,240 862 |
| Commitments | | | | |
| Stockholders' equity: | | | | |
| Common stock, \$0.001 par value; 100,000,000 shares authorized; 45,702,227 and 37,732,209 shares issued and outstanding in 2002 and 2001, respectively | | 46 | | 38 |
| Additional paid-in capital | | 140,982 | | 109,095 |
| Deferred stock compensation | | (772) | | (2,452 |
| Accumulated other comprehensive (loss) income | | (1) | | 44 |
| Accumulated deficit | | (114,814) | _ | (77,784 |
| Total stockholders' equity | | 25,441 | | 28,941 |
| | \$ | 44,342 | \$ | 46,448 |

See accompanying notes.

RIGEL PHARMACEUTICALS, INC.

STATEMENT OF OPERATIONS (In thousands, except per share amounts)

| | Years ended December 31, | | | | | | | |
|--|--------------------------|-----------------|----|-------------------|----|----------|--|--|
| | | 2002 | | 2001 | | 2000 | | |
| Contract revenues from collaborations Costs and expenses: | \$ | 15,788 | \$ | 15,303 | \$ | 13,218 | | |
| Research and development (See Note A) | | 43,350 | | 32,313 | | 32,034 | | |
| General and administrative (See Note A) | | 43,330 9,454 | | 7,950 | | 6,689 | | |
| General and administrative (see Note A) | | 9,434 | | 7,930 | | 0,089 | | |
| | | 52,804 | | 40,263 | | 38,723 | | |
| Loss from operations | | (37,016) | | (24,960) | | (25,505) | | |
| Interest income | | (37,010) 856 | | (24,900) 1,957 | | (23,303) | | |
| Interest expense | | (870) | | (802) | | (933) | | |
| Net loss | | (37,030) | | (23,805) | | (25,360) | | |
| Deemed dividend to Series E preferred stockholders | | | | | | (10,133) | | |
| Net loss allocable to common stockholders | \$ | (37,030) | \$ | (23,805) | \$ | (35,493) | | |
| Net loss per common share, basic and diluted | \$ | (0.82) | \$ | (0.64) | \$ | (4.89) | | |
| Weighted average shares used in computing net loss per common share, basic and diluted | | 44,954 | | 37,287 | | 7,263 | | |
| | | | | | | | | |
| Note A: | | | | | | | | |
| Includes charges for stock-based compensation as follows: | | | | | | | | |
| Research and development | \$ | 568 | \$ | 1,596 | \$ | 9,184 | | |
| General and administrative | | 191 | | 527 | | 976 | | |
| | \$ | 759 | \$ | 2,123 | \$ | 10,160 | | |
| See accompanying notes. | | | | | | | | |

G-3

RIGEL PHARMACEUTICALS, INC.

STATEMENT OF STOCKHOLDERS' EQUITY (In thousands, except per share and per share amounts)

| | | | Common | 1 Stock | | | Total | | | |
|------------------------------|-----------------------|------------------------------|-----------|--|-----------|------------------------------------|-------|------------------------|--------|--|
| | Convertible Shares | Preferred Stock Amount | Shares | Additional Deferred Other Paid-in Stock Comprehensive | | Paid-in Stock Comprehensive Accumu | | Accumulated Deficit | Stock- | |
| Balance at December 31, 1999 | 22,053,887 | \$ 22 | 3,095,834 | \$ 3 | \$ 35,164 | \$ (5,814) | \$ | \$ (28,619) | \$ 756 | |

| Issuance of Series E preferred stock at \$6.00 per share for cash, net of | | Common | Stock | | | Accumulated Other omprehensive | | Total Stock- holders |
|--|--------------|-----------------|---------|---------------|----------|--------------------------------------|-----------|----------------------------|
| issuance cost | 2,541,663 | 3 | | 15,247 | | Income | | Equity ₀ |
| Issuance of Series E preferred stock | | | | | - | | | |
| in exchange for a technology license Issuance of Series D preferred stock | 133,333 | | | 1,250 | | | | 1,250 |
| upon exercise of warrant at \$2.00 | | | | | | | | |
| per share | 167,074 | | | 215 | | | | 215 |
| Conversion of preferred stock to | | | | | | | | |
| common stock upon closing of | (24.805.057) | (25) 24 805 057 | 25 | | | | | |
| initial public offering Issuance of common stock at \$7.00 | (24,895,957) | (25) 24,895,957 | 25 | | | | | |
| per share for cash, net of issuance | | | | | | | | |
| costs | | 7,078,571 | 7 | 45,553 | | | | 45,560 |
| Issuance of common stock upon exercise of options | | 1,633,824 | 2 | 275 | | | | 277 |
| Issuance of common stock for | | 100.000 | | 900 | | | | 900 |
| services Compensation expense related to | | 100,000 | | 900 | | | | 900 |
| options granted to consultants | | | | 5,280 | | | | 5,280 |
| Deferred stock compensation | | | | 4,858 | (4,858) | | | |
| Amortization of deferred stock | | | | | 4 990 | | | 4 990 |
| compensation Net loss and comprehensive loss | | | | | 4,880 | 2 | (25,360) | 4,880 (25,358) |
| Net loss and comprehensive loss | | | | | | 2 | (25,500) | (23,330) |
| Balance at December 31, 2000 | | 36,804,186 | 37 | 108,742 | (5,792) | 2 | (53,979) | 49,010 |
| Issuance of common stock upon | | 30,004,100 | 57 | 108,742 | (3,792) | 2 | (33,979) | 49,010 |
| exercise of options and participation | | | | | | | | |
| in Purchase Plan | | 928,023 | 1 | 887 | | | | 888 |
| Issuance of warrant to purchase | | | | 683 | | | | (92 |
| common stock for services Compensation recovery related to | | | | 083 | | | | 683 |
| options granted to consultants | | | | (510) | | | | (510) |
| Deferred stock compensation | | | | 285 | (285) | | | |
| Amortization of deferred stock | | | | | 2 (25 | | | 2 (22 |
| compensation, net of cancellations Net loss and comprehensive loss | | | | (992) | 3,625 | 42 | (23,805) | 2,633 (23,763) |
| iver loss and comprehensive loss | | | | | | 42 | (23,803) | (23,703) |
| Balance at December 31, 2001 | | 27 722 200 | 20 | 109.095 | (2,452) | 4.4 | (77 794) | 28.041 |
| Issuance of common stock at \$4.50 | | 37,732,209 | 38 | 109,095 | (2,452) | 44 | (77,784) | 28,941 |
| per share for cash, net of issuance | | | | | | | | |
| costs | | 7,000,000 | 7 | 29,421 | | | | 29,428 |
| Issuance of common stock at \$4.30 | | | | | | | | |
| per share for cash, net of issuance costs | | 465,117 | | 1,923 | | | | 1,923 |
| Issuance of common stock upon | | 405,117 | | 1,925 | | | | 1,923 |
| exercise of options and participation | | | | | | | | |
| in Purchase Plan | | 504,901 | 1 | 445 | | | | 446 |
| Issuance of warrants to purchase | | | | 1,018 | | | | 1 0 1 0 |
| common stock for services Compensation recovery related to | | | | 1,018 | | | | 1,018 |
| options granted to consultants | | | | (196) | | | | (196) |
| Amortization of deferred stock | | | | | | | | |
| compensation, net of cancellations | | | | (724) | 1,680 | (15) | (27.020) | 956 |
| Net loss and comprehensive loss | | | | | | (45) | (37,030) | (37,075) |
| Balance at December 31, 2002 | \$ | 45,702,227 | \$ 46 5 | \$ 140,982 \$ | (772) \$ | (1) \$ | (114,814) | \$ 25,441 |
| | | | | | | | | |

See accompanying notes

G-4

RIGEL PHARMACEUTICALS, INC.

STATEMENTS OF CASH FLOWS (In thousands)

| | Years ended December 31, | | | | | | |
|---|--------------------------|----------|----------|----------|----|---------|--|
| | 2002 | | | 2001 | | 2000 | |
| Operating activities | | | | | | | |
| Net loss | \$ | (37,030) | \$ | (23,805) | \$ | (25,360 | |
| Adjustments to reconcile net loss to net cash used in operating activities: | | | | | | | |
| Depreciation and amortization | | 4,868 | | 4,127 | | 2,677 | |
| Amortization of deferred stock compensation, net | | 956 | | 2,633 | | 4,880 | |
| Noncash stock (recovery) compensation | | (196) | | (510) | | 5,280 | |
| Issuances of equity instruments for noncash benefits | | 20 | | | | 2,150 | |
| Changes in assets and liabilities: | | (2.5.0) | | (100) | | | |
| Accounts receivable | | (350) | | (490) | | 1,685 | |
| Prepaid expenses and other current assets, including receivable from landlord | | (4,593) | | (939) | | (680 | |
| Other assets | | 201 | | (551) | | | |
| Accounts payable | | 1,480 | | 638 | | 431 | |
| Accrued compensation | | 128 | | (53) | | 436 | |
| Accrued liabilities | | 74 | | 408 | | (707 | |
| Deferred revenue | | 704 | | 2,734 | | (2,956 | |
| Other long-term liabilities | | (790) | | (173) | | 576 | |
| Net cash used in operating activities | | (34,528) | | (15,981) | | (11,588 | |
| Investing activities Purchases of available-for-sale securities | | (26,713) | | (47,511) | | (3,962 | |
| Maturities of available-for-sale securities | | 22,875 | | 29,590 | | (3,902 | |
| Sales of available-for-sale securities | | 22,875 | | 29,590 | | | |
| Capital expenditures | | (1,635) | | (3,229) | | (3,617 | |
| Capital experiences | | (1,055) | | (3,229) | | (3,01 | |
| Net cash provided (used) in investing activities | | 19,491 | | (21,150) | | (7,57 | |
| Financing activities | | | | | | | |
| Proceeds from capital lease financing | | 1,999 | | 1,748 | | 3,471 | |
| Principal payments on capital lease obligations | | (3,712) | | (3,047) | | (2,412 | |
| Net proceeds from issuances of common stock | | 31,797 | | 888 | | 45,837 | |
| Net proceeds from issuances of convertible preferred stock | | | | | | 15,465 | |
| Net cash provided by (used in) financing activities | _ | 30,084 | | (411) | | 62,361 | |
| | | 15.047 | | (27.540) | | 42.10 | |
| Net increase (decrease) in cash and cash equivalents | | 15,047 | | (37,542) | | 43,194 | |
| Cash and cash equivalents at beginning of period | | 11,488 | _ | 49,030 | _ | 5,830 | |
| Cash and cash equivalents at end of period | \$ | 26,535 | \$ | 11,488 | \$ | 49,030 | |
| Supplemental disclosure of cash flow information | ¢ | 0=0 | <i>•</i> | 0.05 | ¢ | 0 | |
| Interest paid | \$ | 870 | \$ | 802 | \$ | 933 | |

| | | Years ended December 31, | | | | | | |
|-----------------------------------|-------------------------|--------------------------|-------|----|-----|----|--------|--|
| | | | | | | | | |
| Schedule of non cash transactions | | | | | | | | |
| Deferred stock compensation | | \$ | | \$ | 285 | \$ | 4,858 | |
| Issuance of warrants for services | | \$ | 1,018 | \$ | 683 | \$ | | |
| Series E deemed dividend | | \$ | | \$ | | \$ | 10,133 | |
| | | | | | | _ | | |
| S | See accompanying notes. | | | | | | | |

G-5

Rigel Pharmaceuticals, Inc. NOTES TO FINANCIAL STATEMENTS

In this Annual Report, "Rigel," "we," "us" and "our" refer to Rigel Pharmaceuticals, Inc. "Common Stock" refers to Rigel's common stock, par value \$0.001 per share.

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES

Nature of operations and basis of presentation

We were incorporated in the state of Delaware on June 14, 1996. We are engaged in the discovery and development of a broad range of new small molecule drug candidates.

Management's Plans

We have incurred net losses since inception and expect to incur substantial and increasing losses for the next several years as we continue to move drug candidates into and through preclinical and clinical stages of drug development and expand our research and development activities. To date, we have funded our operations primarily through the sale of equity securities, non-equity payments from collaborative partners and capital asset lease financings. We believe that our existing capital resources, together with anticipated payments under current collaborations, will be sufficient to support our current operating plan and spending through the end of September 2003. We will require additional financing to fund our operations as currently planned beyond that date. While we have been actively seeking both financing and corporate partnering opportunities, we cannot assure you that a sufficient financing or corporate partnering transaction can be completed on acceptable terms, or at all. If a sufficient financing or corporate partnering transaction cannot be completed or assured, we will not be able to continue our current operating plans and will be forced to reduce the scale of our operations. If a sufficient financing or corporate partnering transaction is not reasonably assured by the middle of May 2003, we will complete our R112 clinical trial currently under way and continue only with certain external preclinical studies in our Hepatitis C program. All other external studies would be terminated. If as of June 30, 2003 a sufficient financing or corporate partnering transaction is not reasonably assured, we will be required to significantly scale back our operations by reducing our headcount by approximately 50% and significantly reducing all discretionary spending. We anticipate that upon the execution of these actions, our existing capital resources will be sufficient to support the substantially reduced funding of our current programs as well as our operations through the end of 2003. To the extent we raise additional capital by issuing equity securities, our stockholders would at this time experience substantial dilution.

Use of estimates

The preparation of financial statements in conformity with accounting principles generally accepted in the United States requires management to make estimates and assumptions that affect the amounts reported in the financial statements and accompanying notes. Actual results could differ from these estimates.

Stock Award Plans

We have elected to continue to follow Accounting Principles Board Opinion No. 25 (or APB 25), "Accounting for Stock Issued to Employees," to account for employee stock options because the alternative fair value method of accounting prescribed by Statement of Financial Accounting Standards (or FAS) No. 123, "Accounting for Stock-Based Compensation," requires the use of option valuation models that were not developed for use in valuing employee stock options. Under APB 25, the intrinsic

G-6

value method of accounting, no compensation expense is recognized because the exercise price of our employee stock options equals the market price of the underlying stock on the date of grant.

In December 2002, the FASB issued Statement No. 148, "Accounting for Stock-Based Compensation Transition and Disclosure." FAS 148 amends FAS 123 "Accounting for Stock-Based Compensation" to provide alternative methods of transition for a voluntary change to the fair value based method of accounting for stock-based employee compensation. In addition, FAS 148 amends the disclosure requirements of FAS 123 to require more prominent disclosures in both annual and interim financial statements about the method of accounting for stock-based employee compensation. The additional disclosure requirements of FAS 123 to require more prominent disclosures in both annual and interim financial statements about the method of accounting for stock-based employee compensation and the effect of the method used on reported results. The additional disclosure requirements of FAS 148 are effective for fiscal years ending after December 15, 2002. We have elected to continue to follow the intrinsic value method of accounting as prescribed by Accounting Principles Board Opinion No. 25 (or APB 25), "Accounting for Stock Issued to Employees," to account for employee stock options. See Note 1 "Significant Accounting Polices" for the disclosures required by FAS 148.

Pro forma information regarding net loss and net loss per share is required by SFAS 123 and SFAS 148 and has been determined as if we had accounted for our employee stock options and employee stock purchase plan under the fair value method prescribed by the Statement. The fair value for these options was estimated at the date of grant using the Black-Scholes model in both 2002 and 2001, and the minimum value method in 2000 with the following weighted-average assumptions for the years ended December 31, 2002, 2001 and 2000: risk-free interest rates of 2.1%, 3.7% and 4.8%, respectively; volatility of 0.85 in 2002 and 0.65 in 2001 and 2000; an expected option life of five years; and no dividend yield.

For purposes of pro forma disclosures, the estimated fair value of the options is amortized to expense over the vesting period of the options. Our pro forma information follows (in thousands, except per share amounts):

| | Years Ended December 31, | | | | | | |
|---|--------------------------|----------|----|----------|----|----------|--|
| | | 2002 | _ | 2001 | | 2000 | |
| Net loss allocable to common stockholders as reported: | \$ | (37,030) | \$ | (23,805) | \$ | (35,493) | |
| Add: Total stock-based compensation determined under APB 25 | | (759) | | (2,123) | | (10,160) | |
| Add: Total stock-based compensation expense determined under the fair value based method for all | | | | | | | |
| awards | | 4,150 | | 4,931 | | 11,976 | |
| Pro forma net loss | | (40,421) | | (26,613) | | (37,309) | |
| Basic and diluted net loss per common share: | | | | | | | |
| As reported | \$ | (0.82) | \$ | (0.64) | \$ | (4.89) | |
| Pro forma | | (0.90) | | (0.71) | | (5.14) | |
| ivalents and available for sale securities | | | | . , | | | |

Cash, cash equivalents and available-for-sale securities

We consider all highly liquid investments in debt securities with a remaining maturity from the date of purchase of 90 days or less to be cash equivalents. Cash equivalents consist of money market funds and corporate debt securities. Our short-term investments include obligations of governmental agencies and corporate debt securities. By policy, we limit the concentration of credit risk by diversifying our investments among a variety of high credit-quality issuers.

G-7

All cash equivalents and short-term investments are classified as available-for-sale. Available-for-sale securities are carried at amortized cost, and approximated their fair value at December 31, 2002 and 2001. Unrealized gains (losses) are reported in stockholders' equity and included in other comprehensive income. Fair value is estimated based on available market information. The cost of securities sold is based on the specific identification method. For the years ended December 31, 2002, 2001 and 2000, gross realized gains and losses on available-for-sale securities were not material. See Note 4 for a summary of available-for-sale securities at December 31, 2002 and 2001.

Fair value of financial instruments

Financial instruments, including cash and cash equivalents, accounts receivable, accounts payable, accrued liabilities and accrued compensation are carried at cost or amortized cost, which management believes approximates fair value.

Derivative financial instruments and hedging activities

All derivatives are required to be recognized on the balance sheet at fair value. Derivatives that are not designated as hedges must be adjusted to fair value through earnings. If the derivative is designated and qualifies as a hedge, depending on the nature of the hedge, changes in the fair value of the derivative are either offset against the change in fair value of assets, liabilities, or firm commitments through earnings or recognized in other comprehensive income until the hedged item is recognized in earnings. The ineffective portion of a derivative's change in fair value will be immediately recognized in earnings. We do not hold derivative financial instruments and do no currently engage in hedging activities.

Property and equipment

Property and equipment are stated at cost. Depreciation is calculated using the straight-line method over the estimated useful lives of the assets, which range from three to seven years. Leasehold improvements are amortized using the straight-line method over the estimated useful lives of the assets or the term of the lease, whichever is shorter.

Revenue recognition

Non-refundable, up-front payments received in connection with research and development collaboration agreements, including technology access fees, are deferred and recognized on a straight-line basis over the relevant periods of continuing involvement, generally the research term.

Revenues related to collaborative research with our corporate collaborators are recognized as research services are performed over the related development funding periods for each contract. Under these agreements, we are required to perform research and development activities as specified in each respective agreement. The payments received are not refundable and are generally based on a contractual cost per full-time equivalent employee working on the project. Research and development expenses under the collaborative research agreements approximate or exceed the revenue recognized under such agreements over the term of the respective agreements. Deferred revenue may result if we were not to incur the required level of effort during a specific period in comparison to funds received under the respective contracts.

Milestones are recognized pursuant to collaborative agreements upon the achievement of these specified at risk milestones.

Royalties will be recognized as earned in accordance with the contract terms when the third party results are reliably measurable and collectibility is reasonably assured.

Research and development

Research and development expenses include costs for scientific personnel, supplies, equipment, consultants, patent filings, research sponsored by us, allocated facility costs and costs related to clinical trials. All such costs are charged to research and development expense as incurred. Collaboration agreements generally specify minimum levels of research effort required to be performed by us.

Impairment of long-lived assets

We adopted FAS 144, "Accounting for the Impairment or Disposal of Long-Lived Assets," on January 1, 2002. FAS 144 supersedes FAS 121, "Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to Be Disposed Of." The primary objectives of FAS 144 are to develop one accounting model based on the framework established in FAS 121 for long-lived assets to be disposed of by sale, and to address significant implementation issues. Our adoption of FAS 144 did not have a material impact on our financial position or results of operations.

Segment reporting

We have determined that we operate in only one segment.

Contingencies

We are subject to claims related to the patent protection of certain of our technologies. We are required to assess the likelihood of any adverse judgments or outcomes to these matters as well as potential ranges of probable losses. A determination of the amount of reserves required, if any, for these contingencies is made after careful analysis of each individual issue. The required reserves may change in the future due to new developments in each matter or changes in approach such as a change in settlement strategy in dealing with these matters.

Net loss per share

Net loss per share has been computed according to Financial Accounting Standards Board Statement No. 128, "Earnings Per Share," which requires disclosure of basic and diluted earnings per share. Basic earnings per share excludes any dilutive effects of options, shares subject to repurchase, warrants and convertible securities. Diluted earnings per share includes the impact of potentially dilutive securities.

Our preferred stock converted into common stock upon the closing of our initial public offering in December 2000. For informational purposes, the following unaudited pro forma net loss per share data

| (| ì- | 9 |
|---|----|---|
| | | |

reflects the assumed conversion of our preferred stock at the date of issuance (in thousands, except per share information):

| | ear Ended cember 31, 2000 |
|--|-------------------------------------|
| Net loss to common stockholders before deemed dividend | \$ (25,360) |
| Weighted-average shares of common stock outstanding Pro forma adjustment to reflect weighted average effect of assumed conversion of preferred stock | 7,263 22,280 |
| Total weighted average shares outstanding pro forma | 29,543 |
| Basic and diluted pro forma loss per share | \$ (0.86) |

During all periods presented, we had securities outstanding which could potentially dilute basic earnings per share in the future, but were excluded from the computation of diluted net loss per share, as their effect would have been antidilutive. These outstanding securities consist of the following (in thousands, except per share information):

| | December 31, | | | | |
|---|------------------|----|-------|----|-------|
| | 2002 | | 2001 | | 2000 |
| nding options | 6,465 | | 5,761 | | 5,700 |
| arrants | 1,150 | | 300 | | 457 |
| ghted average exercise price of options | \$ 3.47 | \$ | 3.48 | \$ | 2.70 |
| ighted average exercise price of warrants | \$ 2.76 | \$ | 5.03 | \$ | 1.01 |

Recent accounting pronouncements

In June 2002, the Financial Accounting Standards Board (or FASB) issued FAS 146, "Accounting for Costs Associated with Exit or Disposal Activities," which addresses accounting for restructuring, discontinued operation, plant closing or other exit or disposal activity. FAS 146 requires companies to recognize costs associated with exit or disposal activities when they are incurred rather than at the date of a commitment to an exit or disposal plan. FAS 146 is to be applied prospectively to exit or disposal activities initiated after December 31, 2002. The adoption of FAS 146 is not expected to have a significant impact on our financial position and results of operations.

In November 2002, the FASB issued Interpretation No. 45 (or FIN 45), "Guarantor's Accounting and Disclosure Requirements for Guarantees, Including Indirect Guarantees of Indebtedness of Others." FIN 45 elaborates on the existing disclosure requirements for most guarantees, including residual value guarantees issued in conjunction with operating lease agreements. It also clarifies that at the time a company

issues a guarantee, the company must recognize an initial liability for the fair value of the obligation it assumes under that guarantee and must disclose that information in its interim and annual financial statements. The initial recognition and measurement provisions apply on a prospective basis to guarantees issued or modified after December 31, 2002. The disclosure requirements are effective for financial statements of interim or annual periods ending after December 15, 2002. Our adoption of FIN 45 did not have a material impact on our results of operations and financial position.

In January 2003, the FASB issued Interpretation No. 46 (or FIN 46), "Consolidation of Variable Interest Entities." FIN 46 requires a variable interest entity to be consolidated by a company if that company is subject to a majority of the risk of loss from the variable interest entity's activities or

G-10

entitled to receive a majority of the entity's residual returns or both. A variable interest entity is a corporation, partnership, trust, or any other legal structures used for business purposes that either (a) does not have equity investors with voting rights or (b) has equity investors that do not provide sufficient financial resources for the entity to support its activities. A variable interest entity often holds financial assets, including loans or receivables, real estate or other property. A variable interest entity may be essentially passive or it may engage in research and development or other activities on behalf of another company. The consolidation requirements of FIN 46 apply immediately to variable interest entities created after January 31, 2003. The consolidation requirements apply to older entities in the first fiscal year or interim period beginning after June 15, 2003. Certain of the disclosure requirements apply to all financial statements issued after January 31, 2003, regardless of when the variable interest entity was established. Our adoption of FIN 46 did not have a material impact on our results of operations and financial position.

In November 2002, the Emerging Issues Task Force (or EITF) reached a consensus on Issue No. 00-21, "Revenue Arrangements with Multiple Deliverables." EITF Issue No. 00-21 provides guidance on how to account for arrangements that involve the delivery or performance of multiple products, services and/or rights to use assets. The provisions of EITF Issue No. 00-21 will apply to revenue arrangements entered into in fiscal periods beginning after June 15, 2002. We believe the adoption of this standard will have no material impact on our financial statements.

2. SPONSORED RESEARCH AND LICENSE AGREEMENTS

Research agreements

On December 4, 1998, we entered into a research collaboration agreement with Johnson and Johnson Pharmaceutical and Development, LLC to research and identify novel targets for drug discovery. Under the terms of the contract, Johnson & Johnson paid a one-time non-refundable, non-creditable fee and will provide support for research activities during the research period, as well as various milestones and royalties. In December of 2001, Johnson & Johnson extended the funded research portion of the collaboration through December 2003. Johnson & Johnson participated in our series D and E preferred stock financings. Johnson & Johnson contributed \$3,000,000 for 1,500,000 shares of series D preferred stock and contributed \$1,000,000 for 166,666 shares of series E preferred stock. The preferred stock purchased by Johnson & Johnson automatically converted to 1,666,666 shares of common stock upon completion of our initial public offering.

On January 31, 1999, we entered into a two-year collaborative research agreement with Pfizer Inc. to discover and develop various molecular targets. Upon signing of the agreement, Pfizer was obligated to pay a one-time, nonrefundable, noncreditable fee. Under the terms of the contract, Pfizer provided support for research for two years and is obligated to pay us various milestones and royalties if certain conditions are met. On January 25, 2001, Pfizer notified us that it was electing to exercise its option to extend the funded research portion of the collaboration one additional year to January 31, 2002 and then extended it again for one additional month to February 28, 2002. In February 2002, the research phase of our collaboration with Pfizer concluded with Pfizer accepting a total of seven validated targets. Under our collaboration with Pfizer, we expect that these validated targets will continue through the drug discovery and development process at Pfizer. In conjunction with the original agreement, Pfizer contributed \$2,000,000 in exchange for 1,000,000 shares of series D preferred stock that subsequently converted to 1,000,000 shares of common stock upon completion of the our initial public offering.

On May 28, 1999, we entered into a broad collaboration with Novartis Pharma AG, whereby we and Novartis agreed to work on up to five different research programs to identify various targets for drug development. Two programs were initiated in 1999 while the third program to be conducted at Novartis was initiated on January 1, 2000. In July 2001, we expanded our collaboration with Novartis with the initiation of our angiogenesis program, the fourth and final program in our Novartis collaboration. Pursuant to the expanded Novartis collaboration, we received

a \$4.0 million up-front payment from Novartis, which will be recognized as revenue ratably over the life of the contract. In addition, the expanded collaboration provides that the angiogenesis research program will be carried out at Rigel, provides for research reimbursement over the next three years and includes potential future milestones and royalty payments to Rigel. Novartis notified us that it has chosen not to exercise its option for a second program of research that would have been carried out at Novartis. In May 2002, Novartis elected to conclude the research phases of our two initial joint projects in the autoimmunity and transplant rejection areas, after 42 months each, effective in November 2002 and February 2003, respectively. Pursuant to the collaboration agreement, Novartis had the option to end the research phase on these programs after either 24 months or 42 months.

For all programs, Novartis will provide payment for various milestones and royalties if certain conditions in the collaboration agreement are met. In conjunction with the original agreement, Novartis contributed \$4,000,000 in exchange for 2,000,000 shares of series D preferred stock that converted to 2,000,000 shares of common stock upon the completion of our initial public offering. The agreement also allowed for an additional equity investment of up to \$10,000,000, which was callable by us up through an initial public offering. We exercised this right and sold to Novartis 1,428,571 shares of common stock at \$7.00 per share concurrent with the closing of our initial public offering.

In August 2002, we signed an agreement for the establishment of collaboration with Daiichi Pharmaceuticals Co., Ltd to pursue research related to a specific protein degradation target. Per the agreement, the research phase of this collaboration is for three years. We will be working with Daiichi to discover and develop cancer pharmaceutical drugs. Under the terms of the collaboration agreement, Daiichi has paid us an upfront amount and a milestone payment, is obligated to pay us ongoing research support and may become obligated to pay us certain other milestones payments. In addition, we will receive royalties on any commercialized products to emerge from the collaboration.

The initial stages of the collaboration focused on the development of the assay for this specific target and the initiation of HTS to identify therapeutic molecules we and Daiichi would like to advance to later stages of drug development. Under terms of the agreement, we retain the rights to co-develop and co-promote products resulting from this collaboration in North America while Daiichi retains co-development and promotion rights in the remainder of the world.

Technology transfer agreement

In September 2000, we entered into a technology transfer agreement with Questcor Pharmaceuticals, Inc. and acquired the license and technology to a hepatitis C research program. Under the terms of this agreement, we paid a nonrefundable and noncreditable fee of \$500,000, and are required to pay future milestones and royalties, and issued to Questcor 83,333 shares of series E preferred stock, which converted to 83,333 of common stock upon the completion of our initial public offering. We were also committed to invest a total of \$2 million in research and development expenses over a two-year period through 2002. This committed spending level was achieved midway through 2002. The agreement terminates upon the expiration of the last patent within the agreement. We have accounted for the series E preferred stock at \$9.00 per share based on the deemed fair value of its common stock at the date of grant. We have expensed the aggregate value of approximately

G-12

\$1.2 million in September 2000 as the acquired technology is not yet fully developed and has no alternative use.

3. SIGNIFICANT CONCENTRATIONS

For the year ended December 31, 2002, Novartis, Johnson and Johnson, Daiichi and Pfizer accounted for 70%, 18%, 6% and 6% of total revenues, respectively. For the year ended December 31, 2001, Pfizer, Johnson and Johnson and Novartis accounted for 17%, 27% and 56% of total revenues, respectively. For the year ended December 31, 2000, Pfizer, Johnson & Johnson and Novartis accounted for 22%, 25% and 52% of total revenues, respectively. Accounts receivable relate mainly to these collaborative partners. The Company does not require collateral or other security for accounts receivable.

4. AVAILABLE-FOR-SALE SECURITIES

Available-for-sale securities consist of the following (in thousands):

Amortized Cost and Fair Value at December 31,

2002 2001

| | - | Amortized Cost and Fair Value at December 31, | | |
|-------------------------------|--------|---|----|--------|
| Money market funds | \$ | 26,535 | \$ | 11,488 |
| Corporate commercial paper | Ψ - | 756 | Ψ | 21,927 |
| | \$ | 27,291 | \$ | 33,415 |
| Reported as: | | | | |
| Cash and cash equivalents | \$ | 26,535 | \$ | 11,488 |
| Available-for-sale securities | _ | 756 | | 21,927 |
| | \$ | 27,291 | \$ | 33,415 |
| | ψ | 27,271 | Ψ | 55,415 |

At December 31, 2002, the available-for-sale securities had maturities of less than one year, with an average maturity of approximately 105 days.

There were no material gross realized gains or losses from sales of securities in the periods presented. Recorded unrealized gains and losses on available-for-sale securities were not material at December 31, 2002 or 2001.

5. PROPERTY AND EQUIPMENT

Property and equipment consists of the following (in thousands):

| | Years Ended December 31, | | | | |
|--|--------------------------|----------|----|---------|--|
| | | 2002 | | 2001 | |
| Laboratory and office equipment | \$ | 16,691 | \$ | 14,667 | |
| Leasehold improvements | | 3,175 | | 3,169 | |
| Construction in progress | | 197 | | 592 | |
| | | | | | |
| Total property and equipment | | 20,063 | | 18,428 | |
| Less accumulated depreciation and amortization | | (14,857) | | (9,988) | |
| | | | | | |
| Property and equipment, net | \$ | 5,206 | \$ | 8,440 | |
| | | | | | |
| G-13 | | | | | |

At December 31, 2002 and 2001, equipment under capital leases was approximately \$15.0 million and \$15.2 million, respectively with accumulated depreciation and amortization of approximately \$13.3 million and \$8.5 million, respectively. Amortization expense was \$1.7 million, \$1.0 million, and \$0.3 million for the years ended December 31, 2002, 2001, and 2000, respectively.

6. LONG-TERM OBLIGATIONS

At December 31, 2002, future minimum lease payments and obligations under all noncancelable leases were as follows (in thousands):

| | apital eases | perating Leases |
|------|-----------------|--------------------|
| 2003 | \$ 3,815 | \$ 7,169 |
| 2004 | 1,955 | 7,566 |

| | Capital Leases | Operating Leases |
|---|-------------------|---------------------|
| 2005 | 552 | 13,872 |
| 2006 | | 13,034 |
| 2007 | | 13,512 |
| 2008 and thereafter | | 142,975 |
| Total minimum payments required | 6,322 | \$ 198,128 |
| Less amount representing interest | 621 | |
| Present value of future lease payments | 5,701 | |
| Less current portion | (3,388) | |
| Noncurrent obligations under capital leases | 2,313 | |

During 2002, our office and research facility located at 240 East Grand in South San Francisco was leased under an operating lease terminated in conjunction with a 15-year lease for our current office and research facilities at 1180 Veterans Blvd. in South San Francisco signed in May 2001. Under the terms of the lease signed in 2001, we were to occupy our new facility in late 2002 and were to concurrently terminate our lease of our former facility at 240 East Grand in South San Francisco. We determined that the 2001 lease was an operating lease in accordance with FAS 13. In connection with the termination of the current 240 East Grand lease, we accelerated the amortization of tenant improvements and accrued rent charges over the expected remaining life of the lease and incurred minimal costs in connection with the terminated lease. The 1180 Veterans Blvd. research and office facilities were constructed as a build-to-suit facility. Under the original lease we were obligated to fund approximately \$18.0 million of the total tenant improvement obligations. In October 2002, we amended this original lease to provide for a delay of the rent commencement date until February 1, 2003 and an increase in the tenant improvement allowance to cover the remaining construction obligations on the facility. The lease was also amended to increase the future rental commitments to compensate for the delay of the rent commencement and the increase in the tenant improvement allowance. Since the amendment was considered a material change to the original lease, we revisited the proper accounting treatment for this lease per FAS 13 and again determined the lease to be an operating lease. We moved into the new facilities during February 2003.

Prior to the signing of the amendment, we had been directly paying a portion of the pre-construction and construction costs related to the new facility. These costs were being capitalized on our balance sheet as construction-in progress. We have estimated that the landlord will be responsible for all of the costs that we had previously capitalized. Therefore, we have reclassified these costs into a short-term asset "Receivable from Landlord" and shown on the face of our balance sheet.

G-14

Rent expense under all operating leases amounted to approximately \$1,897,000, \$2,167,000 and \$2,252,000 for the years ended December 31, 2002, 2001 and 2000, respectively.

In June 1998, we entered into a equipment lease line agreement for up to \$3,000,000, which was fully utilized in June 1999. The lease period was for four years. The interest on each lease is fixed at the time of the draw down with the interest rates ranging from 6.5% to 7.2%.

In June 1999 and August 1999, we entered into two additional equipment lease line agreements for an aggregate total of \$6,000,000, or \$3,000,000 each additional lease agreement. These lines were fully utilized in May 2000. The lease period was for four years. The interest on each lease is fixed at the time of the draw down with the interest rates ranging from 11.7% to 15.0%.

In August 2000, we entered into an additional equipment lease line agreement for an aggregate total of \$5,000,000. We utilized \$4,148,000 of the facility but have no remaining availability under the facility. The lease period was for four years. The interest on the lease is fixed at the time of the draw down with the interest rates ranging from 10.6% to 14.6%.

In January 2002, we entered into an additional equipment lease line agreement for an aggregate total of \$2,000,000. This line was fully utilized in August 2002. The lease period was for 37 months. The interest on the lease is fixed at the time of the draw down with the interest rates ranging from 11.5% to 11.7%.

In July 2002, we entered into an tenant improvement and equipment lease line agreement for an aggregate total of \$15,000,000. Due to the amendment of our master lease agreement for our 1180 Veterans Blvd. facility signed in October 2002, we terminated the line without drawing down any of the available funds. Therefore, we do not have access to any amount under the line.

In December 2002, we entered into an additional equipment lease line agreement for an aggregate total of \$2,000,000. We have the ability to draw down on this line until December 2003. As of December 31, 2002, no amounts under this line had been utilized. The lease period will be for three years. The interest on the lease is fixed at the time of any draw down.

Obligations under all leases are secured by the assets financed under the leases.

7. STOCKHOLDERS' EQUITY

Preferred and common stock

In February 2000, we completed a private placement of 2,508,330 shares of series E preferred stock at \$6.00 per share for net proceeds of approximately \$15.1 million. At the date of issuance, we believed the per share price of \$6.00 represented the fair value of the preferred stock. Subsequent to the commencement of our initial public offering process, we re-evaluated the fair value of its common stock as of February 2000 and determined it to be \$9.00 per share. Accordingly, the increase in fair value has resulted in a beneficial conversion feature of \$10.0 million that has been recorded as a deemed dividend to the preferred stockholders in 2000. We recorded the deemed dividend at the date of issuance by offsetting charges and credits to additional paid-in-capital without any effect on total stockholders' equity. The preferred stock dividend increases the net loss allocable to common stockholders in the calculation of basic and diluted net loss per common share in 2000. Also in February 2000, we issued 50,000 shares of series E preferred stock for a license of technology. We valued the license at \$500,000 and have expensed this amount in 2000 as the useful life is deemed to be less than one year.

In August 2000, we issued 33,333 shares of series E preferred stock to one of our directors. We recorded a deemed dividend of approximately \$100,000 at the time of issuance.

In January 2002, we issued 7,000,000 shares of common stock in a registered direct offering to certain institutional investors at a price of \$4.50 per share under our shelf registration statement. We received net proceeds of approximately \$29.4 million after deducting commissions and offering costs. In February 2002, we issued 465,117 shares of common stock in a registered direct offering to a certain institutional investor at a price of \$4.30 per share under our shelf registration statement. We received net proceeds of approximately \$1.8 million after deducting commissions and offering costs.

Warrants

In conjunction with the equipment lease line executed in April 1997, we issued a warrant to purchase 175,000 shares of series B preferred stock at an exercise price of \$0.80 per share. Upon the closing of our initial public offering, this warrant automatically converted to a warrant to purchase 175,000 shares of common stock at \$0.80 per share. this warrant was exercised in June 2001 and was no longer outstanding as of December 31, 2001.

In conjunction with the equipment lease line executed in June 1998, we issued a warrant to purchase 131,578 shares of series C preferred stock at an exercise price of \$1.14 per share. Upon the closing of our initial public offering, this warrant automatically converted to a warrant to purchase 131,578 shares of common stock at \$1.14 per share. this warrants was exercised in June 2001 and is no longer outstanding as of December 31, 2001.

In conjunction with the facilities lease entered into in June 1998, we issued three warrants to purchase an aggregate of 150,000 shares of common stock at an exercise price of \$1.14 per share. The warrants are exercisable at any time up to November 28, 2007, the seventh anniversary of the closing of our initial public offering.

In conjunction with the facilities lease entered into in May 2001, we issued a warrant to purchase 150,000 shares of our common stock at an exercise price of \$8.91 per share, a 15% premium to market at the time of issuance. This warrant will expire on May 16, 2006. The fair market value of this warrant, as determined by the Black-Scholes valuation model, was approximately \$683,000. This amount has been capitalized in other long term assets and is being amortized into expense over the life of the lease.

In conjunction with the equipment lease line executed in January 2002, we issued a warrant to purchase 23,810 shares of our common stock at an exercise price of \$4.20 per share. This warrant will expire on January 31, 2007. The fair market value of this warrant, as determined by the Black-Scholes valuation model, was approximately \$66,000. This amount has been capitalized in other long-term assets and is being amortized into expense over the payment period of the equipment lease line.

In conjunction with the equipment lease line executed in July 2002, we issued a warrant to purchase 138,889 shares of our common stock at an exercise price of \$2.70 per share. This warrant will expire on July 12, 2012. The fair market value of this warrant, as determined by the Black-Scholes valuation model, was approximately \$251,000. This amount was completely expensed in 2002 in conjunction with the termination of the line.

In conjunction with the amendment of our master lease agreement for our 1180 Veterans Blvd. facility entered into in October 2002, we issued a warrant to purchase 500,000 shares of our common stock at an exercise price of \$1.97 per share. This warrant will expire on October 18, 2007. The fair market value of this warrant, as determined by the Black-Scholes valuation model, was approximately \$565,000. This amount has been capitalized in other long term assets and is being amortized into expense over the life of the lease.

G-16

In conjunction with the equipment lease line executed in December 2002, we issued a warrant to purchase 186,916 shares of our common stock at an exercise price of \$1.07 per share. This warrant will expire on December 23, 2007. The fair market value of this warrant, as determined by the Black-Scholes valuation model, was approximately \$136,000. This amount has been capitalized in other long-term assets and is being amortized into expense over the payment period of the equipment lease line.

Stock option plans

In January 2000, we adopted the 2000 Equity Incentive Plan (the "2000 Plan"), which was approved in March 2000 by our stockholders. The 2000 Plan is an amendment and restatement of the 1997 Stock Option Plan. Under the 2000 Plan, incentive stock options, nonstatutory stock options and shares of common stock may be granted to our employees, directors and consultants. As of December 31, 2002, a total of 6,320,000 shares of common stock have been authorized for issuance under the 2000 Plan.

In July 2001, we adopted the 2001 Non-Officer Equity Incentive Plan (the "2001 Plan"). Under the 2001 Plan, which was not approved by our stockholders, nonstatutory stock options may be granted to our employees and consultants. As of December 31, 2002, a total of 3,500,000 shares of common stock have been authorized for issuance under the 2001 Plan.

Options granted under our 2000 Plan and 2001 Plan expire no later than ten years from the date of grant. The option price of each incentive stock option shall be at least 100% of the fair value on the date of grant, and the option price for each nonstatutory stock option shall be not less than 85% of the fair value on the date of grant, as determined by the board of directors. Options may be granted with different vesting terms from time to time, not to exceed five years from the date of grant.

In August 2000, we adopted the 2000 Non-Employee Directors Stock Option Plan (the "Directors' Plan"), which was approved in September 2000 by our stockholders. Each non-employee director who becomes a director of Rigel will be automatically granted a nonstatutory stock option to purchase 20,000 shares of common stock on the date on which such person first becomes a director. At each board meeting immediately following each annual meeting of stockholders, beginning with the board meeting following the 2001 Annual Stockholders Meeting, each non-employee director will automatically be granted a nonstatutory option to purchase 5,000 shares of common stock. The exercise price of options under the Directors' Plan will be equal to the fair market value of the common stock on the date of grant. The maximum term of the options granted under the Directors' Plan is ten years. All grants under the Directors' Plan will vest monthly over two years from date of grant. The Directors' Plan will terminate in September 2009, unless terminated earlier in accordance with the provisions of the Directors' Plan. As of December 31, 2002, a total of 300,000 shares of common stock have been authorized for issuance under the Directors' Plan.

G-17

Activity under all of the option plans through December 31, 2002 was as follows:

Shares Available For Grant Number of Options Weighted-Average Exercise Price

| Outstanding at December 31, 1999 | 3,694,662 | 5,242,004 | \$ 0.19 |
|--|-------------|-------------|---------|
| Authorized for grant | 300,000 | | |
| Shares granted out of the plans | (100,000) | 100,000 | |
| Granted | (2,563,609) | 2,563,609 | 6.09 |
| Exercised | | (1,733,824) | 0.16 |
| Cancelled | 501,991 | (501,991) | 3.47 |
| | | | |
| Outstanding at December 31, 2000 | 1,833,044 | 5,669,798 | 2.70 |
| Authorized for grant | 3,500,000 | | |
| Granted | (1,031,901) | 1,031,901 | 6.21 |
| Exercised | | (552,388) | 0.57 |
| Cancelled | 388,238 | (388,238) | 3.05 |
| | | | |
| Options outstanding at December 31, 2001 | 4,689,381 | 5,761,073 | 3.48 |
| Granted | (1,662,916) | 1,662,916 | 3.35 |
| Exercised | | (330,848) | 0.26 |
| Cancelled | 628,051 | (628,051) | 4.93 |
| | | | |
| Options outstanding at December 31, 2002 | 3,654,516 | 6,465,090 | \$ 3.47 |

Details of the Company's stock options by exercise price is as follows:

| | Options Outstanding | | | | Opti | ons Exercisa | ble |
|------------------|-------------------------------------|---|----|-----------------------------------|----------------------|--------------|-----------------------------|
| Exercise Price | Number of Outstanding Options | Weighted-Average Remaining Contractual Life | | eighted-Average Exercise Price | Number of Options | 0 | ited-Average rcise Price |
| \$0.10 - \$0.30 | 2,260,880 | 6.03 | \$ | 0.20 | 1,598,180 | \$ | 0.19 |
| \$1.40 - \$3.00 | 646,331 | 9.78 | \$ | 1.86 | 33,442 | \$ | 1.91 |
| \$3.74 - \$5.77 | 2,468,679 | 8.21 | \$ | 4.62 | 1,144,464 | \$ | 4.72 |
| \$7.50 - \$11.00 | 1,089,200 | 7.77 | \$ | 8.61 | 646,545 | \$ | 8.64 |
| \$0.10 - \$11.00 | 6,465,090 | 7.53 | \$ | 3.47 | 3,422,631 | \$ | 3.32 |

The weighted-average fair value of the options granted in 2002, 2001 and 2000 was \$2.27, \$3.57 and \$3.32, respectively.

We granted 65,000, 115,000 and 358,563 common stock options to consultants in exchange for services in 2002, 2001 and 2000, respectively. We have recorded compensation recovery related to these options of \$196,000 and \$510,000 for the years ended December 31, 2002 and 2001, respectively. We have recorded compensation expense related to these options of \$5,280,000 for the year ended December 31, 2000. In accordance with SFAS 123 and EITF 96-18, options granted to consultants are periodically revalued as they vest. In January 2000, the Company recorded an expense of \$664,000 related to the accelerated vesting of an option to purchase 75,000 shares of common stock issued to a consultant for services.

We have recorded deferred stock compensation with respect to options granted to employees of approximately \$0.3 million and \$4.9 million in the years ended December 31, 2001 and 2000, respectively, representing the difference between the exercise price of the options and the deemed fair value of the common stock on the date of the grant. These amounts are being amortized to operations over the vesting periods of the options using the graded vesting method. Such amortization expense amounted to approximately \$1.7 million, \$3.6 million and \$4.9 million for the years ended

December 31, 2002, 2001 and 2000, respectively, and is expected to be approximately \$0.7 million in 2003 and \$0.1 million in 2004.

2000 employee stock purchase plan

In August 2000, we adopted the 2000 Employee Stock Purchase Plan (the "Purchase Plan"), which was approved in September 2000 by our stockholders. The Purchase Plan permits eligible employees to purchase common stock at a discount through payroll deductions during defined offering periods. The price at which the stock is purchased is equal to the lower of 85% of the fair market value of the common stock on the first day of the offering or 85% of the fair market value of our common stock on the purchase date. The initial offering period commenced on the effective date of our initial public offering. We issued 174,053 shares of common stock during 2002 and 120,458 shares of common stock during 2001 pursuant to the Purchase Plan at an average price of \$2.07 per share in 2002 and \$4.98 per share in 2001. For 2002 and 2001, the weighted average fair value of stock issued under the Purchase Plan was \$1.68 and \$2.42, respectively. A total of 400,000 shares of the Company's common stock were initially reserved for issuance under the Purchase Plan. The Purchase Plan provides for annual increases in the number of shares available for issuance under the Purchase Plan on each anniversary date of the effective date of the offering. The number of shares reserved automatically is equal to the lesser of 400,000 shares, 1% of the outstanding shares on the date of the annual increase or such amount as may be determined by the board. The number of shares reserved for future issuance under the Purchase Plan was increased by 400,000 during 2002 and 376,587 during 2001.

Reserved shares

As of December 31, 2002, we had reserved shares of common stock for future issuance as follows:

| December 31, 2002 |
|----------------------|
| 1,149,615 |
| 10,119,606 |
| 882,076 |
| 12,151,297 |
| |

8. INCOME TAXES

Deferred income taxes reflect the net tax effects of temporary differences between the carrying amounts of assets and liabilities for financial reporting purposes and the amounts used for income tax purposes. Significant components of our deferred tax assets are as follows:

| | | Years Ended December 31, | | |
|---|------|-----------------------------|-----------|--|
| | | 2002 | 2001 | |
| Deferred tax assets | | | | |
| Net operating loss carryforwards | | \$ 31,300 \$ | \$ 18,500 | |
| Research and development credits | | 5,500 | 3,100 | |
| Capitalized research and development expenses | | 3,500 | 2,000 | |
| Other, net | | 4,100 | 2,600 | |
| | | | | |
| Total deferred tax assets | | 44,400 | 26,200 | |
| Valuation allowance | | (44,400) | (26,200) | |
| | | | | |
| Net deferred tax assets | | \$ 5 | 5 | |
| | | | | |
| | G-19 | | | |

Realization of deferred tax assets is dependent upon future earnings, if any, the timing and amount of which are uncertain. Accordingly, the net deferred tax assets have been fully offset by a valuation allowance. The valuation allowance increased by \$18.2 million, \$5.2 million, and \$10.2 million during 2002, 2001, and 2000, respectively.

Included in the valuation allowance balance is \$1.6 million related to the exercise of stock options which are not reflected as an expense for financial reporting purposes. Accordingly, any future reduction in the valuation allowance relating to this amount will be credited directly to equity and not reflected as an income tax benefit in the statement of operations.

As of December 31, 2002, we had net operating loss carryforwards for federal income tax purposes of approximately \$90.0 million, which expire in the years 2011 through 2022, and federal research and development tax credits of approximately \$3.4 million, which expire in the years 2012 through 2022.

Utilization of the net operating loss and credit may be subject to a substantial annual limitation due to the "change in ownership" provisions of the Internal Revenue Code of 1986 (IRC) and similar state provisions. The annual limitation may result in the expiration of net operating losses and credits before utilization.

Deferred income taxes reflect the net tax effects of temporary differences between the carrying amounts of assets.

9. SUBSEQUENT EVENTS

Reduction in Force

On January 31, 2003, we implemented a restructuring plan to reduce the rate of our cash consumption and better align our operating structure with current and expected future economic conditions. The restructuring plan included an immediate reduction in force of approximately 16 percent, or 25 employees, to 135 employees with reductions occurring in all functional areas. Two of our officers were included in this reduction in force.

10. SELECTED QUARTERLY FINANCIAL DATA (unaudited, in thousands, except per share amounts)

| | Year Ended December 31, 2002 | | | | Year Ended December 31, 2001 | | | | |
|---|------------------------------|------------|----------------|------------|------------------------------|------------|------------|---------|--|
| | Q1 | Q2 | Q3 | Q4 | Q1 | Q2 | Q3 | Q4 | |
| Revenue | \$ 4,098 \$ | 4,337 \$ | 3,653 \$ | 3,700 \$ | 3,194 \$ | 3,123 \$ | 4,206 \$ | 4,780 | |
| Net loss. | \$ (8,372) \$ | (10,446)\$ | (10,142) \$ | (8,070) \$ | (4,160) \$ | (7,315) \$ | (6,219) \$ | (6,111) | |
| Net loss per share to common stockholders, basic and diluted | \$ (0.19) \$ | (0.23) \$ | (0.22) \$ | (0.18) \$ | (0.11) \$ | (0.20) \$ | (0.17) \$ | (0.16) | |
| Weighted average shares used in computing net loss per common | | | | | | | | | |
| share, basic and diluted | 43,312 | 45,339 | 45,515 G-20 | 45,601 | 36,901 | 37,094 | 37,516 | 37,628 | |

FINANCIAL STATEMENTS FOR THE QUARTER ENDED MARCH 31, 2003, AS FILED IN RIGEL'S QUARTERLY REPORT ON FORM 10-Q

Independent Accountants' Review Report

The Board of Directors Rigel Pharmaceuticals, Inc.

We have reviewed the accompanying condensed balance sheet of Rigel Pharmaceuticals, Inc. as of March 31, 2003, and the related condensed statements of operations for the three-month periods ended March 31, 2003 and 2002, and the condensed statements of cash flows for the three-month periods ended March 31, 2003 and 2002. These financial statements are the responsibility of the Company's management.

We conducted our review in accordance with standards established by the American Institute of Certified Public Accountants. A review of interim financial information consists principally of applying analytical procedures to financial data, and making inquiries of persons responsible for financial and accounting matters. It is substantially less in scope than an audit conducted in accordance with auditing standards generally accepted in the United States, which will be performed for the full year with the objective of expressing an opinion regarding the financial statements taken as a whole. Accordingly, we do not express such an opinion.

Based on our review, we are not aware of any material modifications that should be made to the accompanying condensed financial statements referred to above for them to be in conformity with accounting principles generally accepted in the United States.

We have previously audited, in accordance with auditing standards generally accepted in the United States, the balance sheet of Rigel Pharmaceuticals, Inc. as of December 31, 2002, and the related statements of operations, and stockholders' equity, and cash flows for the year then ended and in our report dated January 24, 2003 (except for note 9, as to which the date is January 31, 2003), we expressed an unqualified opinion on those financial statements. In our opinion, the information set forth in the accompanying condensed balance sheet as of December 31, 2002, is fairly stated, in all material respects, in relation to the balance sheet from which it has been derived.

/s/ ERNST & YOUNG LLP

Palo Alto, California April 21, 2003

G-21

RIGEL PHARMACEUTICALS, INC. CONDENSED BALANCE SHEETS (in thousands, except shares and per share amounts)

| | | March 31, 2003 (unaudited) | | December 31, 2002 | |
|--|----|----------------------------------|----|----------------------|--|
| | (| | | (Note 1) | |
| Assets | | | | | |
| Current assets: | | | | | |
| Cash and cash equivalents | \$ | 21,433 | \$ | 26,535 | |
| Available-for-sale securities | | 751 | | 756 | |
| Accounts receivable | | 1,471 | | 1,503 | |
| Receivable from landlord | | 104 | | 6,175 | |
| Prepaid expenses and other current assets | | 1,922 | | 1,894 | |
| Total current assets | | 25,681 | | 36,863 | |
| | | | | | |
| Property and equipment, net | | 4,750 | | 5,206 | |
| Other assets | | 2,245 | | 2,273 | |
| | \$ | 32,676 | \$ | 44,342 | |
| Liabilities and stockholders' equity | | | | | |
| Current liabilities: | | | | | |
| Accounts payable | \$ | 1,718 | \$ | 3,460 | |
| Accrued compensation | | 891 | | 799 | |
| Accrued liabilities | | 974 | | 2,662 | |
| Deferred revenue | | 3,775 | | 4,061 | |
| Capital lease obligations | | 3,083 | | 3,388 | |
| Total current liabilities | | 10,441 | | 14,370 | |
| | | | | ,010 | |
| Capital lease obligations | | 1,867 | | 2,313 | |
| Long-term portion of deferred revenue | | 1,580 | | 2,147 | |
| Deferred rent Other long-term liabilities | | 963 343 | | 71 | |

| | - | March 31, 2003 | December 31, 2002 |
|--|----|-------------------|----------------------|
| | | | |
| Commitments | | | |
| Stockholders' equity: | | | |
| Common stock, \$0.001 par value; 100,000,000 shares authorized; 45,995,995 and 45,702,227 shares issued and outstanding on March 31, 2003 and December 31, 2002, | | | |
| respectively | | 46 | 46 |
| Additional paid-in capital | | 140,563 | 140,982 |
| Deferred stock compensation | | (513) | (772) |
| Accumulated other comprehensive income | | | (1) |
| Accumulated deficit | | (122,614) | (114,814) |
| Total stockholders' equity | | 17,482 | 25,441 |
| | \$ | 32,676 | \$ 44,342 |
| | | | |

Note (1)

The balance sheet at December 31, 2002 has been derived from the audited financial statements at that date included in Rigel's Form 10-K, for the fiscal year ended December 31, 2002, as amended.

See accompanying notes.

G-22

RIGEL PHARMACEUTICALS, INC. CONDENSED STATEMENTS OF OPERATIONS (in thousands, except per share amounts)

| | | Three Months Ended March 31, | | |
|--|----|---------------------------------|------|---------|
| | 2 | 003 | 2002 | |
| | | (unaudited) | | |
| Revenues: | | | | |
| Contract revenues from collaborations | \$ | 4,497 | \$ | 4,098 |
| Costs and expenses: | | | | |
| Research and development | | 10,010 | | 10,091 |
| General and administrative | | 2,034 | | 2,444 |
| | | 12,044 | _ | 12,535 |
| Loss from operations | | (7,547) | | (8,437) |
| Loss on sale of property and equipment | | (169) | | |
| Interest income | | 72 | | 294 |
| Interest expense | | (156) | | (229) |
| Net loss | \$ | (7,800) | \$ | (8,372) |

| | Three Months Ended March 31, | | |
|--|---------------------------------|--------|--|
| Net loss per share, basic and diluted | \$ (0.17) \$ | (0.19) | |
| Weighted-average shares used in computing net loss per common share, basic and diluted | 45,798 | 43,312 | |
| | | | |

See accompanying notes.

G-23

RIGEL PHARMACEUTICALS, INC. CONDENSED STATEMENTS OF CASH FLOWS (in thousands)

| | | Three Months Ended March 31, | | |
|---|----|---------------------------------|----------|--|
| | | 2003 | 2002 | |
| | | (unaudited) | | |
| Operating activities: | | | | |
| Net loss | \$ | (7,800) \$ | (8,372) | |
| Adjustments to reconcile net loss to net cash used in operating activities: | | 770 | 1.2.42 | |
| Depreciation and amortization | | 779 | 1,242 | |
| Amortization of deferred stock compensation | | 224 | 480 | |
| Non-cash stock compensation recovery | | (435) | (47) | |
| Issuances of equity instruments for non-cash benefits | | | 4 | |
| Loss on sale of assets | | 169 | | |
| Changes in assets and liabilities: | | | | |
| Accounts receivable | | 32 | (1,376) | |
| Prepaid expenses and other current assets, including receivable from landlord | | 6,043 | (185) | |
| Other assets | | 27 | (43) | |
| Accounts payable | | (1,742) | 2,331 | |
| Accrued compensation | | 92 | 349 | |
| Accrued liabilities | | (1,688) | 92 | |
| Deferred revenue | | (853) | 788 | |
| Deferred rent | | 892 | (215) | |
| Net cash used in operating activities | | (4,260) | (4,952) | |
| Investing activities: | | | | |
| Purchase of available-for-sale securities | | | (13,076) | |
| Maturities of available-for-sale securities | | | 6,875 | |
| Proceeds from the sale of property and equipment | | 71 | | |
| Capital expenditures | | (556) | (1,715) | |
| Net cash used in investing activities | _ | (485) | (7,916) | |
| | | | | |

Financing activities:

| | | Three Months Ended March 31, | | |
|--|--------------|---------------------------------|--|--|
| Proceeds from capital lease financing | 98 | 540 | | |
| Principal payments on capital lease obligations | (850) | (910) | | |
| Advance from landlord | 343 | | | |
| Net proceeds from issuances of common stock | 52 | 31,223 | | |
| Net cash (used in) provided by financing activities | (357) | 30,853 | | |
| Net increase (decrease) in cash and cash equivalents | (5,102) | 17,985 | | |
| Cash and cash equivalents at beginning of period | 26,535 | 11,488 | | |
| | | | | |
| Cash and cash equivalents at end of period | \$ 21,433 \$ | 29,473 | | |
| | | | | |

See accompanying notes.

G-24

Rigel Pharmaceuticals, Inc.

Notes to Condensed Financial Statements

(unaudited)

In this Quarterly Report, "Rigel," "we," "us" and "our" refer to Rigel Pharmaceuticals, Inc.

1. Nature of Operations

Rigel Pharmaceuticals, Inc. ("Rigel" or the "Company") was incorporated in the state of Delaware on June 14, 1996. The Company is engaged in the discovery and development of a broad range of new, small-molecule drug candidates.

2. Management's Plans

We have incurred net losses since inception and expect to incur substantial and increasing losses for the next several years as we continue to move drug candidates into and through preclinical and clinical stages of drug development and expand our research and development activities. To date, we have funded our operations primarily through the sale of equity securities, non-equity payments from collaborative partners and capital asset lease financings. We believe that our existing capital resources, together with anticipated payments under current collaborations, will be sufficient to support our current operating plan and spending only through the end of September 2003. We will require additional financing to fund our operations as currently planned beyond that date. On April 29, 2003, we entered into a definitive agreement to sell \$46.0 million of newly issued shares of common stock and warrants to purchase common stock in a private placement with MPM Capital, L.P., Frazier Healthcare, Alta Partners and HBM BioVentures AG. Under the terms of the agreement, we have agreed to sell approximately 72 million shares of our common stock at a price of \$0.64 a share. Investors in the private placement will also receive warrants to purchase an additional approximately 14.4 million shares of common stock at an exercise price of \$0.64 per share. The issuance of securities is subject to approval by our stockholders and to the satisfaction of a number of other closing conditions. If we consummate the private placement, substantial dilution to existing stockholders will result. If this financing transaction cannot be completed, we will not be able to continue our current operating plans and will be forced to reduce the scale of our operations. Should this transaction not be completed in a timely basis, we will be required to significantly scale back our operations by reducing our headcount by approximately 50% and significantly reducing all discretionary spending. We anticipate that upon the execution of these actions, our existing capital resources will be sufficient to support the substantially reduced funding of our current programs and operations only through the end of 2003. Thus, if we do not consummate the financing contemplated by the private placement, we would be forced to immediately consider other financing or strategic options. An alternative financing is unlikely to be available on acceptable terms, or at all, and we cannot predict whether a corporate partnering transaction would be available on acceptable terms, or at all. In addition to other possibilities, we may be forced to consider selling some or all of our technology. However, there can be no assurance that we would be able to sell any of our technology, or that if we were able to sell some or all of

our technology, that we would be able to do so on favorable terms.

3. Basis of Presentation

Our accompanying unaudited condensed financial statements have been prepared in accordance with generally accepted accounting principles for interim financial information and pursuant to the instructions to Form 10-Q and Article 10 of Regulation S-X. In the opinion of Rigel's management, these unaudited condensed financial statements include all adjustments, consisting only of normal recurring adjustments, which we consider necessary to fairly state our financial position and the results

G-25

of our operations and cash flows. Interim-period results are not necessarily indicative of results of operations or cash flows for a full-year period. The balance sheet at December 31, 2002 has been derived from audited financial statements at that date, but does not include all disclosures required by generally accepted accounting principles for complete financial statements.

These unaudited condensed financial statements and the notes accompanying them should be read in conjunction with our Annual Report on Form 10-K, as amended, for the year ended December 31, 2002.

Comprehensive loss did not differ materially from the net loss as reported.

4. Net Loss Per Share

Basic earnings per share excludes any dilutive effects of options or warrants. The calculation of diluted net loss per share excludes shares of potential common stock if the effect is anti-dilutive.

5. Stock Award Plans

We have elected to continue to follow Accounting Principles Board Opinion No. 25 (or APB 25), "Accounting for Stock Issued to Employees," to account for employee stock options because the alternative fair value method of accounting prescribed by Statement of Financial Accounting Standards (or FAS) No. 123, "Accounting for Stock-Based Compensation," requires the use of option valuation models that were not developed for use in valuing employee stock options. Under APB 25, the intrinsic value method of accounting, no compensation expense is recognized because the exercise price of our employee stock options equals the market price of the underlying stock on the date of grant.

In December 2002, the Financial Accounting Standards Board issued Statement No. 148, "Accounting for Stock-Based Compensation Transition and Disclosure." FAS 148 amends FAS 123, "Accounting for Stock-Based Compensation" to provide alternative methods of transition for a voluntary change to the fair value based method of accounting for stock-based employee compensation. In addition, FAS 148 amends the disclosure requirements of FAS 123 to require more prominent disclosures in both annual and interim financial statements about the method of accounting for stock-based employee compensation and the effect of the method used on reported results. The additional disclosure requirements of FAS 148 are effective for fiscal years ending after December 15, 2002. We have elected to continue to follow the intrinsic value method of accounting as prescribed by APB 25 to account for employee stock options.

Pro forma information regarding net loss and net loss per share is required by SFAS 123 and SFAS 148 and has been determined as if we had accounted for our employee stock options and employee stock purchase plan under the fair value method prescribed by SFAS 123. The fair value for these options was estimated at the date of grant using the Black-Scholes model.

G-26

For purposes of pro forma disclosures, the estimated fair value of the options is amortized to expense over the vesting period of the options. Our pro forma information follows (in thousands, except per share amounts):

> Three months ended March 31,

| | Three months ended March 31, | | | | |
|--|---------------------------------|---------|----|---------|--|
| | 2003 | | | 2002 | |
| Net loss as reported: | \$ | (7,800) | \$ | (8,372) | |
| Add back: Total stock-based employee compensation determined under APB | | ~ / / | | | |
| 25 | | 211 | | (433) | |
| Less: Total stock-based employee compensation expense determined under | | | | | |
| the fair value based method for all awards | | 605 | | 794 | |
| Pro forma net loss | \$ | (8,616) | \$ | (8,733) | |
| Basic and diluted net loss per common share: | | | | | |
| As reported | \$ | (0.17) | \$ | (0.19) | |
| Pro forma | \$ | (0.19) | \$ | (0.20) | |
| | | | | | |

6. Revenue Recognition

Non-refundable, up-front payments received in connection with research and development collaboration agreements, including technology access fees, are deferred and recognized on a straight-line basis over the relevant periods of continuing involvement, generally the research term.

Revenues related to collaborative research with our corporate collaborators are recognized as research services are performed over the related funding periods for each contract. Under these agreements, we are required to perform research and development activities as specified in each respective agreement. The payments received are not refundable and are generally based on a contractual cost per full-time equivalent employee working on the project. Research and development expenses under the collaborative research agreements approximate or exceed the revenue recognized under such agreements over the term of the respective agreements. Deferred revenue may result if we were not to incur the required level of effort during a specific period in comparison to funds received under the respective contracts.

Milestone payments are recognized pursuant to collaborative agreements upon the achievement of these specified at-risk milestones and upon acknowledgement by the collaborator.

Royalties will be recognized as earned in accordance with the contract terms when the third-party results are reliably measurable and collectibility is reasonably assured.

7. Reduction in Force

On January 31, 2003, we implemented a restructuring plan to reduce the rate of our cash consumption and better align our operating structure with current and expected future economic conditions. The restructuring plan included an immediate reduction in force of approximately 16%, or 25 employees, to 135 employees, with reductions occurring in all functional areas. Two of our officers were included in this reduction in force. All employees were given severance payments based on length of service at Rigel. All severance payments were made prior to March 31, 2003 except for one payment which was made in April 2003. During the three months ended March 31, 2003, we recognized

G-27

approximately \$435,000 of stock-based compensation recovery associated with the unvested options of the terminated employees that were cancelled which had previously been recognized under the graded vesting method of deferred compensation amortization.

8. Equipment Financing Amendment

On March 17, 2003, we amended a certain equipment lease agreement to allow for the buyout provision to be paid over a period of months rather than in a lump sum. The buyout provision of this amendment relates to approximately \$2.9 million of original equipment and tenant improvement purchases. As a result of this amendment, we are committed to an additional \$370,000 of payments through January 2004.

9. Subsequent Event

Equity Financing

On April 29, 2003, we entered into a definitive agreement for the sale of \$46.0 million of newly issued shares of common stock and warrants to purchase common stock in a private placement led by MPM Capital, L.P. that includes Frazier Healthcare, Alta Partners and HBM BioVentures. Under the terms of the agreement, we have agreed to issue to the investors 71,874,999 shares of common stock at a price of \$0.64 per share and warrants to purchase an additional 14,374,997 shares of common stock at an exercise price of \$0.64 per share. As a result of their combined approximate 70% ownership (without giving effect to the exercise of the warrants and assuming 46,376,004 shares outstanding on April 29, 2003), the investors would have control over Rigel following the closing of the transactions contemplated by the purchase agreement. The closing of the private placement is subject to a number of conditions, including, but not limited to, the approval by Rigel's stockholders, appointment to Rigel's board of directors of two investor designees, adoption of an amended and restated certificate of incorporation in order to effect a reverse stock split, there not having occurred a material adverse change prior to the closing and other customary closing conditions. If we are unsuccessful in consummating the transactions contemplated by the purchase agreement, we would be forced to consider other strategic options.

G-28

Attachment A:

RIGEL PHARMACEUTICALS, INC.

2000 EQUITY INCENTIVE PLAN

ADOPTED JANUARY 27, 2000 APPROVED BY STOCKHOLDERS MARCH 15, 2000 AMENDED DECEMBER 13, 2002 AMENDED AND RESTATED APRIL 24, 2003 (SUBJECT TO CONSUMMATION OF THE PRIVATE PLACEMENT) APPROVED BY STOCKHOLDERS JUNE , 2003 TERMINATION DATE: APRIL 24, 2013

1. PURPOSES.

(a) The Plan is an amendment and restatement of, and is intended to supersede and replace, the Company's 1997 Stock Option Plan.

(b) The persons eligible to receive Stock Awards are the Employees, Directors and Consultants of the Company and its Affiliates.

(c) The purpose of the Plan is to provide a means by which eligible recipients of Stock Awards may be given an opportunity to benefit from increases in value of the Common Stock through the granting of the following Stock Awards: (i) Incentive Stock Options, (ii) Nonstatutory Stock Options, (iii) stock bonuses and (iv) rights to acquire restricted stock.

(d) The Company, by means of the Plan, seeks to retain the services of the group of persons eligible to receive Stock Awards, to secure and retain the services of new members of this group and to provide incentives for such persons to exert maximum efforts for the success of the Company and its Affiliates.

(e) Any stock awards granted under the Rigel Pharmaceuticals, Inc. 2001 Non-Officer Equity Incentive Plan (the "Non-Officer Plan") prior to April 29, 2003 shall be governed by the terms of the Non-Officer Plan as in effect immediately prior to April 29, 2003, as set forth in Appendix A to this Plan. The Common Stock that was reserved for issuance under the Non-Officer Plan, including the Common Stock that may be issued pursuant to outstanding stock awards granted under the Non-Officer Plan prior to April 29, 2003, shall be included in the aggregate share reserve for this Plan, as set forth in Section 4(a).

2. DEFINITIONS.

(a) "*Affiliate*" means any parent corporation or subsidiary corporation of the Company, whether now or hereafter existing, as those terms are defined in Sections 424(e) and (f), respectively, of the Code.

(b) "Board" means the Board of Directors of the Company.

- (c) "Code" means the Internal Revenue Code of 1986, as amended.
- (d) "Committee" means a committee of one or more members of the Board appointed by the Board in accordance with subsection 3(c).

1

- (e) "Common Stock" means the common stock of the Company.
- (f) "Company" means Rigel Pharmaceuticals, Inc., a Delaware corporation.

(g) "Consultant" means any person, including an advisor, (i) engaged by the Company or an Affiliate to render consulting or advisory services and who is compensated for such services or (ii) who is a member of the Board of Directors of an Affiliate. However, the term "Consultant" shall not include either Directors who are not compensated by the Company for their services as Directors or Directors who are merely paid a director's fee by the Company for their services as Directors.

(h) "Continuous Service" means that the Participant's service with the Company or an Affiliate, whether as an Employee, Director or Consultant, is not interrupted or terminated. The Participant's Continuous Service shall not be deemed to have terminated merely because of a change in the capacity in which the Participant renders service to the Company or an Affiliate as an Employee, Consultant or Director or a change in the entity for which the Participant renders service, provided that there is no interruption or termination of the Participant's service. For example, a change in status without interruption from an Employee of the Company to a Consultant of an Affiliate or a Director will not constitute an interruption of Continuous Service. The Board or the chief executive officer of the Company, in that party's sole discretion, may determine whether Continuous Service shall be considered interrupted in the case of any leave of absence approved by that party, including sick leave, military leave or any other personal leave.

(i) "Covered Employee" means the chief executive officer and the four (4) other highest compensated officers of the Company for whom total compensation is required to be reported to stockholders under the Exchange Act, as determined for purposes of Section 162(m) of the Code.

- (j) "Director" means a member of the Board of Directors of the Company.
- (k) "Disability" means the permanent and total disability of a person within the meaning of Section 22(e)(3) of the Code.

(I) "*Employee*" means any person employed by the Company or an Affiliate. Mere service as a Director or payment of a director's fee by the Company or an Affiliate shall not be sufficient to constitute "employment" by the Company or an Affiliate.

- (m) "Exchange Act" means the Securities Exchange Act of 1934, as amended.
- (n) "Fair Market Value" means, as of any date, the value of the Common Stock determined as follows:

(i) If the Common Stock is listed on any established stock exchange or traded on the Nasdaq National Market or the Nasdaq SmallCap Market, the Fair Market Value of a share of Common Stock shall be the closing sales price for such stock (or the closing bid, if no sales were reported) as quoted on such exchange or market (or the exchange or market with the greatest volume of trading in the Common Stock) on the last market trading day prior to the day of determination, as reported in *The Wall Street Journal* or such other source as the Board deems reliable.

(ii) In the absence of such markets for the Common Stock, the Fair Market Value shall be determined in good faith by the Board.

(o) "Incentive Stock Option" means an Option intended to qualify as an incentive stock option within the meaning of Section 422 of the Code and the regulations promulgated thereunder.

(p) "Non-Employee Director" means a Director who either (i) is not a current Employee or Officer of the Company or its parent or a subsidiary, does not receive compensation (directly or indirectly) from the Company or its parent or a subsidiary for services rendered as a consultant or in any capacity other than as a Director (except for an amount as to which disclosure would not be required under Item 404(a) of Regulation S-K promulgated pursuant to the Securities Act ("Regulation S-K")), does not possess an interest in any other transaction as to which disclosure would be required under Item 404(a) of Regulation S-K and is not engaged in a business relationship as to which disclosure would be

2

required under Item 404(b) of Regulation S-K; or (ii) is otherwise considered a "non-employee director" for purposes of Rule 16b-3.

(q) "Nonstatutory Stock Option" means an Option not intended to qualify as an Incentive Stock Option.

(r) "Officer" means a person who is an officer of the Company within the meaning of Section 16 of the Exchange Act and the rules and regulations promulgated thereunder.

(s) "Option" means an Incentive Stock Option or a Nonstatutory Stock Option granted pursuant to the Plan.

(t) "Option Agreement" means a written agreement between the Company and an Optionholder evidencing the terms and conditions of an individual Option grant. Each Option Agreement shall be subject to the terms and conditions of the Plan.

(u) "Optionholder" means a person to whom an Option is granted pursuant to the Plan or, if applicable, such other person who holds an outstanding Option.

(v) "Outside Director" means a Director who either (i) is not a current employee of the Company or an "affiliated corporation" (within the meaning of Treasury Regulations promulgated under Section 162(m) of the Code), is not a former employee of the Company or an "affiliated corporation" receiving compensation for prior services (other than benefits under a tax qualified pension plan), was not an officer of the Company or an "affiliated corporation" at any time and is not currently receiving direct or indirect remuneration from the Company or an "affiliated corporation" for services in any capacity other than as a Director or (ii) is otherwise considered an "outside director" for purposes of Section 162(m) of the Code.

(w) "Participant" means a person to whom a Stock Award is granted pursuant to the Plan or, if applicable, such other person who holds an outstanding Stock Award.

(x) "Plan" means this Rigel Pharmaceuticals, Inc. 2000 Equity Incentive Plan.

(y) "Rule 16b-3" means Rule 16b-3 promulgated under the Exchange Act or any successor to Rule 16b-3, as in effect from time to time.

(z) "Securities Act" means the Securities Act of 1933, as amended.

(aa) "Stock Award" means any right granted under the Plan, including an Option, a stock bonus and a right to acquire restricted stock.

(bb) "Stock Award Agreement" means a written agreement between the Company and a holder of a Stock Award evidencing the terms and conditions of an individual Stock Award grant. Each Stock Award Agreement shall be subject to the terms and conditions of the Plan.

(cc) "Ten Percent Stockholder" means a person who owns (or is deemed to own pursuant to Section 424(d) of the Code) stock possessing more than ten percent (10%) of the total combined voting power of all classes of stock of the Company or of any of its Affiliates.