SECURITIES AND EXCHANGE COMMISSION

Washington, DC 20549

FORM 10-Q

Quarterly report pursuant to Section 13 or 15(d) of the Securities Exchange Act of 1934

For the Quarter Ended March 31, 2012

Commission File No. 000-21429

ArQule, Inc. (Exact Name of Registrant as Specified in its Charter)

Delaware (State of Incorporation) 04-3221586 (I.R.S. Employer Identification Number)

19 Presidential Way, Woburn, Massachusetts 01801 (Address of Principal Executive Offices)

(781) 994-0300

(Registrant's Telephone Number, including Area Code)

Indicate by check mark whether the registrant (1) has filed all reports required to be filed by Section 13 or 15(d) of the Securities Act of 1934 during the preceding 12 months (or for such shorter period that the registrant was required to file such reports), and (2) has been subject to such filing requirements for the past 90 days. Yes x No o

Indicate by check mark whether the registrant has submitted electronically and posted on its Web site, if any, every Interactive Data File required to be submitted and posted pursuant to Rule 405 of Regulation S-T (232.405) of this chapter) during the preceding 12 months (or for such shorter period that the registrant was required to submit and post such files). Yes x No o

Indicate by check mark whether the registrant is a large accelerated filer, an accelerated filer, a non-accelerated filer, or a smaller reporting company. See definitions of "large accelerated filer," "accelerated filer," and "smaller reporting company" in Rule 12b-2 of the Exchange Act. (Check one):

Large accelerated filer o

Non-accelerated filer o (Do not check if a smaller reporting company) Accelerated filer x

Smaller reporting company o

Indicate by check mark whether the registrant is a shell company (as defined in Rule 12b-2 of the Exchange Act). Yes o No x

Number of shares outstanding of the registrant's Common Stock as of April 26, 2012:

Common Stock, par value \$.01 62,200,788 shares outstanding

QUARTER ENDED MARCH 31, 2012

TABLE OF CONTENTS

PART I - FINANCIAL INFORMATION

Item 1. — Unaudited Condensed Consolidated Financial Statements

	Condensed Consolidated Balance Sheets (Unaudited) March 31, 2012 and December 31, 2011	3
	Condensed Consolidated Statements of Operations and Comprehensive Loss (Unaudited) three months ended March 31, 2012 and 2011	4
	Condensed Consolidated Statements of Cash Flows (Unaudited) three months ended March 31, 2012 and 2011	5
	Notes to Unaudited Condensed Consolidated Financial Statements	6
Item 2. — Manage	ement's Discussion and Analysis of Financial Condition and Results of Operations	15
Item 3. — Quantit	ative and Qualitative Disclosures about Market Risk	23
Item 4. — Control	ls and Procedures	24
PART II - OTHE	R INFORMATION	
Item 1. — Legal F	Proceedings	24
Item 1A. — Risk	Factors	24
Item 2. — Unregis	stered Sales of Equity Securities and Use Of Proceeds	24
Item 3. — Default	s Upon Senior Securities	24
Item 4. — Mine S	afety Disclosures	24
Item 5. — Other I	nformation	24
Item 6. — Exhibit	s	24
SIGNATURES		25

2

CONDENSED CONSOLIDATED BALANCE SHEETS (Unaudited)

	EXCEPT S	December 31, 2011 DUSANDS, SHARE AND ARE DATA)
ASSETS		
Current assets:		
Cash and cash equivalents	\$19,533	\$ 11,095
Marketable securities-short term	48,642	57,073
Prepaid expenses and other current assets	1,572	4,020
Total current assets	69,747	72,188
Marketable securities-long term	32,183	40,475
Property and equipment, net	2,731	2,939
Other assets	1,480	1,449
Total assets	\$106,141	\$ 117,051
LIABILITIES AND STOCKHOLDERS' EQUITY Current liabilities: Accounts payable and accrued expenses Note payable Current portion of deferred revenue Current portion of deferred gain on sale leaseback Total current liabilities Deferred revenue, net of current portion Deferred gain on sale leaseback, net of current portion Total liabilities Commitments and contingencies	\$11,646 1,700 32,395 552 46,293 31,062 1,196 78,551	\$ 11,932 1,700 34,705 552 48,889 37,097 1,336 87,322
Stockholders' equity: Preferred stock, \$0.01 par value; 1,000,000 shares authorized; no shares issued or outstanding Common stock, \$0.01 par value; 100,000,000 shares authorized; 53,972,088 and 53,825,567 shares issued and outstanding at March 31, 2012 and December 31, 2011, respectively Additional paid-in capital Accumulated other comprehensive income (loss) Accumulated deficit Total stockholders' equity Total liabilities and stockholders' equity	 540 440,777 13 (413,740) 27,590 \$106,141	538 438,677 (6) (409,480) 29,729 \$ 117,051

The accompanying notes are an integral part of these interim unaudited financial statements.

CONDENSED CONSOLIDATED STATEMENTS OF OPERATIONS AND COMPREHENSIVE LOSS (Unaudited)

2012 (IN T EX	THREE MONTHS ENDE MARCH 31, 2012 2011 (IN THOUSANDS, EXCEPT PER SHARE DATA)				
Revenue:		¢ 10 105			
Research and development revenue \$8,498		\$ 13,405			
Costs and expenses:					
Research and development 9,303		11,393			
General and administrative 3,599		3,543			
Total costs and expenses12,902		14,936			
Loss from operations (4,404)	(1,531)		
Interest income 65		55			
Interest expense (6)	(6)		
Other income 85		16			
Net loss (4,260)	(1,466)		
Unrealized gain (loss) on marketable securities 19		(37)		
Comprehensive loss \$ (4,241)	\$(1,503)		
Basic and diluted net loss per share: Net loss per share \$(0.08))	+ (0.00)		
Weighted average basic and diluted common shares outstanding 53,810		50,623			

The accompanying notes are an integral part of these interim unaudited financial statements.

CONDENSED CONSOLIDATED STATEMENTS OF CASH FLOWS (Unaudited)

	THREE MONTHS ENDED March 31,			D
	2012 (IN TH	IOL	2011 JSANDS)	
Cash flows from operating activities:	* / * * *		*	
Net loss	\$ (4,260)	\$ (1,466)
Adjustments to reconcile net loss to net cash provided by (used in) operating activities:				
Depreciation and amortization	281		288	
Amortization of premium/discount on marketable securities	200		214	
Amortization of deferred gain on sale leaseback	(140)	(139)
Non-cash stock compensation	1,198		1,092	
Gain on auction rate securities	(85)	(16)
Changes in operating assets and liabilities:				
Prepaid expenses and other current assets	2,448		(114)
Other assets	(31)	5	
Accounts payable and accrued expenses	(286)	1,359	
Deferred revenue	(8,345)	6,604	
Net cash provided by (used in) operating activities	(9,020)	7,827	
Cash flows from investing activities:				
Purchases of marketable securities	(14,307)	(44,622)
Proceeds from sale or maturity of marketable securities	30,934		32,501	
Purchases of property and equipment	(73)	(277)
Net cash provided by (used in) investing activities	16,554		(12,398)
Cash flows from financing activities:				
Proceeds from stock offering, net			46,756	
Proceeds from stock option exercises	904		832	
Net cash provided by financing activities	904		47,588	
Net increase in cash and cash equivalents	8,438		43,017	
Cash and cash equivalents, beginning of period	11,095		20,457	
Cash and cash equivalents, end of period	\$ 19,533		\$ 63,474	

The accompanying notes are an integral part of these interim unaudited financial statements.

5

NOTES TO UNAUDITED CONDENSED CONSOLIDATED FINANCIAL STATEMENTS

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

1. NATURE OF OPERATIONS AND BASIS OF PRESENTATION

We are a clinical-stage biotechnology company organized as a Delaware corporation in 1993 engaged in the research and development of innovative cancer therapeutics. Our mission is to produce novel drugs with differentiated mechanisms of action that will extend the lives of our patients. These drugs target biological pathways implicated in a wide range of cancers. We employ technologies such as our ArQule Kinase Inhibitor Platform ("AKIPTM") to design and develop drugs that have the potential to fulfill this mission.

Our lead product candidate is tivantinib (ARQ 197), an orally administered, small molecule inhibitor of the c-Met receptor tyrosine kinase ("c-Met"). C-Met is a promising target for cancer therapy, based on its multiple roles in cancerous cell proliferation, tumor spread, new blood vessel formation and resistance to certain drug therapies. We and our partners, Daiichi Sankyo Co., Ltd. ("Daiichi Sankyo") and Kyowa Hakko Kirin Co., Ltd. ("Kyowa Hakko Kirin"), are implementing a clinical development program designed to realize the broad potential of tivantinib as a well-tolerated single agent and in combination with other anti-cancer therapies in a number of disease indications. Our strategy is to focus on the most promising indications within our clinical programs based upon data that is continually generated. Our leading indications include non-small cell lung cancer ("NSCLC"), liver cancer ("hepatocellular carcinoma" or "HCC") and colorectal cancer. We are also completing earlier-stage combination therapy trials with tivantinib and other anti-cancer agents that may provide data to support later-stage trials in additional indications.

In January 2012, we announced the results of a randomized, double-blind, placebo controlled, Phase 2 trial in HCC demonstrating that treatment with tivantinib as single agent therapy produced a statistically significant improvement in time-to-progression ("TTP") in previously treated patients. Patients with higher levels of c-Met who were treated with tivantinib experienced pronounced benefit in prolonged TTP. We plan to present complete data from this trial at the Annual Meeting of the American Society of Clinical Oncology ("ASCO") in June 2012

In January 2011, we enrolled the first patient in the Phase 3 MARQUEE (Met inhibitor ARQ 197 plus Erlotinib vs. Erlotinib plus placebo in NSCLC) trial of tivantinib in NSCLC in combination with erlotinib, an approved anti-cancer agent. The Phase 3 trial is a randomized, double-blinded, controlled study of previously treated patients with locally advanced or metastatic, non-squamous NSCLC who will receive tivantinib plus erlotinib or placebo plus erlotinib. This trial is being conducted under a Special Protocol Assessment ("SPA") agreement with the U.S. Food and Drug Administration ("FDA").

In August 2011, Kyowa Hakko Kirin announced the initiation of the Phase 3 ATTENTION (Asian Trial of Tivantinib plus Erlotinib vs. Erlotinib for NSCLC without EGFR Mutation) trial of tivantinib in combination with erlotinib. The ATTENTION trial is a randomized, double-blinded, controlled study of previously treated patients with locally advanced or metastatic non-squamous NSCLC with the wild type form of the EGFR gene who will receive tivantinib plus erlotinib or placebo plus erlotinib.

On November 10, 2011, we and Daiichi Sankyo announced the execution of a license agreement for the development of a new AKT inhibitor, ARQ 092, the first compound to emerge from the companies' AKIPTM collaboration. The license agreement provides exclusive rights to Daiichi Sankyo for the development, manufacturing and marketing of ARQ 092 on a worldwide basis. Under this agreement, we received a \$10 million upfront fee from Daiichi Sankyo in November 2011.

Our proprietary pipeline is directed toward molecular targets and biological processes with demonstrated roles in the development of human cancers. The most advanced candidates in this pipeline are ARQ 621, an inhibitor of the Eg5 kinesin motor protein, and ARQ 736, an inhibitor of the RAF kinases, both of which are in Phase 1 clinical testing. A third pipeline program, focused on small molecule inhibitors of fibroblast growth factor receptor, is in pre-clinical development.

We have prepared the accompanying condensed consolidated financial statements pursuant to the rules and regulations of the U.S. Securities and Exchange Commission ("SEC"). Certain information and footnote disclosures normally included in financial statements prepared in accordance with generally accepted accounting principles have been condensed or omitted pursuant to these rules and regulations. These condensed consolidated financial statements should be read in conjunction with our audited financial statements and footnotes related thereto for the year ended December 31, 2011 included in our annual report on Form 10-K filed with the SEC on March 1, 2012.

The unaudited condensed consolidated financial statements include, in our opinion, all adjustments (consisting only of normal recurring adjustments) necessary for a fair statement of our financial position as of March 31, 2012, and the results of our operations and cash flows for the three months ended March 31, 2012 and March 31, 2011. The results of operations for such interim periods are not necessarily indicative of the results to be achieved for the full year.

2. COLLABORATIONS AND ALLIANCES

Daiichi Sankyo Kinase Inhibitor Discovery Agreement

On November 7, 2008, we entered into a research collaboration, exclusive license and co-commercialization agreement with Daiichi Sankyo under which we are applying our proprietary technology and know-how using our AKIPTM technology for the discovery of therapeutic compounds that selectively inhibit certain kinases in the field of oncology. The agreement defines two such kinase targets, and Daiichi Sankyo will have an option to license compounds directed to these targets following the completion of certain pre-clinical studies. The agreement provides for a \$15 million upfront payment, which we received in November 2008, research support payments for the first two years of the collaboration (which was extended for an additional two years in 2010), licensing fees for compounds discovered as a result of this research, milestone payments related to clinical development, regulatory review and sales, and royalty payments on net sales of compounds from the collaboration. We retain the option to co-commercialize licensed products developed under this agreement in the U.S. In May 2009, we entered into an agreement with Daiichi Sankyo related to potential future milestones and royalties for our AKIPTM collaboration, under which we could receive up to \$265 million in potential development and sales milestone payments for each product selected for clinical development. Upon commercialization of a licensed product, we would also receive tiered, double-digit royalties on its net sales. On October 12, 2010, we and Daiichi Sankyo announced the expansion of this agreement, establishing a third target, with an option for a fourth, in oncology, and a two-year extension through November 2012.

The duration and termination of the agreement are tied to future events. Unless earlier terminated due to breach, insolvency or upon 90 days notice by Daiichi Sankyo, the agreement terminates on the later of (i) the expiration of the research collaboration period, or (ii) various periods specified in the agreement for development and commercialization of products. If Daiichi Sankyo has commercialized a licensed product or products, the agreement will continue in force until such time as all royalty terms for all licensed products have ended. The royalty term, on a country-by-country basis for a product, ends as of the later of (i) the expiration of the last valid claim under a patent covering the manufacture, use, or sale of a licensed product or (ii) a certain number of years from the date of the commercial sale of the licensed product in such country.

Revenue for this agreement is recognized using the contingency-adjusted performance model with an estimated performance period through November 2012. For the quarters ended March 31, 2012 and 2011, \$4.9 million and \$2.4 million, respectively were recognized as revenue. At March 31, 2012, \$7.5 million remains in deferred revenue.

Daiichi Sankyo ARQ 092 Agreement

On November 10, 2011, we and Daiichi Sankyo announced the execution of a license agreement for the development of a new AKT inhibitor, ARQ 092, the first compound to emerge from the companies' AKIPTM collaboration. The license agreement provides exclusive rights to Daiichi Sankyo for the development, manufacturing and marketing of ARQ 092 on a worldwide basis. Under this agreement, we received a \$10 million upfront fee from Daiichi Sankyo in November 2011.

Revenue for this agreement is recognized using Financial Accounting Standards Board Accounting Standards Update No. 2009-13, Multiple-Deliverable Revenue Arrangements ("ASU 2009-13"). Under ASU 2009-13 all undelivered items under the agreement are divided into separate units of accounting based on whether the deliverable provides stand-alone value to the licensee. These units of accounting consist of (i) the license to develop and commercialize ARQ 092, (ii) committed future clinical trial services, (iii) committed future clinical trial services, (iii) committee services. The Company determined the best estimate selling price (BESP) for each unit of

accounting based upon management's judgment and including factors such as discounted cash flows, estimated direct expenses and other costs and probability of successful outcome of clinical trials.

As the license granted under the agreement was delivered, the license had standalone value, and there were no further obligations related to the license, revenue of \$10.0 million related to this accounting unit was recognized in 2011 based on the best estimate of selling price of the license. Revenue related to future clinical trial services, clinical trial costs and steering committee services will be recognized ratably over the clinical trial as amounts are incurred and billed, up to the amount of cash received for these deliverables based on the best estimate of selling price of each deliverable. The estimated development period for this agreement is through June 2013. We recognized revenue of \$0.9 million related to this agreement for the quarter ended March 31, 2012. At March 31, 2012, \$0.5 million remains in deferred revenue.

Daiichi Sankyo Tivantinib Agreement

On December 18, 2008, we entered into a license, co-development and co-commercialization agreement with Daiichi Sankyo to conduct research, clinical trials and the commercialization of tivantinib in human cancer indications in the U.S., Europe, South America and the rest of the world, excluding Japan, China (including Hong Kong), South Korea and Taiwan, where Kyowa Hakko Kirin has exclusive rights for development and commercialization.

The agreement provides for a \$60 million cash upfront licensing payment from Daiichi Sankyo to us, which we received in December 2008, and an additional \$560 million in potential development and sales milestone payments offset by our share of the Phase 3 costs. Upon commercialization, we will receive tiered, double-digit royalties from Daiichi Sankyo on net sales of tivantinib commensurate with the magnitude of the transaction. We retain the option to participate in the commercialization of tivantinib in the U.S. We and Daiichi Sankyo will share equally the costs of Phase 2 and Phase 3 clinical studies, with our share of Phase 3 costs payable solely from milestone and royalty payments by Daiichi Sankyo.

In each quarter the tivantinib collaboration costs we incur are compared with those of Daiichi Sankyo. If our costs for the quarter exceed Daiichi Sankyo's we recognize revenue on the amounts due to us under the contingency adjusted performance model. Revenue is calculated on a pro-rata basis using the time elapsed from inception of the agreement over the estimated duration of the development period under the agreement. If our costs for the quarter are less than those of Daiichi Sankyo's, we report the amount due to Daiichi Sankyo as contra-revenue in that quarter. To the extent that our share of Phase 3 collaboration costs exceeds the amount of milestones and royalties received, that excess is netted against future milestones and royalties if and when earned and is not reported as contra-revenue.

Our cumulative share of the Daiichi Sankyo Phase 3 costs inception to date through March 31, 2012, totaled \$41.8 million, and we received milestones of \$25.0 million during that period. Our cumulative share of Phase 3 collaboration costs has exceeded the amount of milestones received through March 31, 2012 by \$16.8 million which will be netted against future milestones and royalties when earned and has not been reported as contra-revenue.

For the quarter ended March 31, 2012 our non-Phase 3 tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by \$0.9 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. Our non-refundable share of advance drug purchases is recognized as contra-revenue as the related drugs are administered to patients. For the quarter ended March 31, 2012 \$2.5 million of these drug purchases was also recognized as contra-revenue.

For the quarter ended March 31, 2011 our tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by \$4.9 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. There were no advance drug purchases in the quarter ended March 31, 2011.

The duration and termination of the agreement are tied to future events. Unless earlier terminated due to breach, insolvency or upon 90 days notice if prior to phase 3 clinical trials or 180 days notice if on or after the beginning of phase 3 clinical trials by Daiichi Sankyo, the agreement shall continue until the later of (i) such time as Daiichi Sankyo is no longer developing at least one licensed product or (ii) if Daiichi Sankyo has commercialized a licensed product or products, such time as all royalty terms for all licensed products have ended. The royalty term, on a country-by-country basis for a product, ends as of the later of (i) the expiration of the last valid claim under a patent covering the manufacture, use, or sale of a licensed product or (ii) a certain number of years from the date of the commercial sale of the licensed product in such country.

Revenue for this agreement is recognized using the contingency-adjusted performance model with an estimated development period through December 2013. For the quarters ended March 31, 2012 and 2011, \$1.3 million and \$9.9 million, respectively, were recognized as net revenue. At March 31, 2012, \$32.3 million remains in deferred revenue.

Kyowa Hakko Kirin Licensing Agreement

On April 27, 2007, we entered into an exclusive license agreement with Kyowa Hakko Kirin to develop and commercialize tivantinib in Japan and parts of Asia. A \$3 million portion of an upfront licensing fee was received by the Company under this agreement in the first quarter of 2007, and an additional \$27 million in upfront licensing fees

was received on May 7, 2007. The agreement includes \$123 million in upfront and potential development milestone payments from Kyowa Hakko Kirin to ArQule, including the \$30 million cash upfront licensing payments. In February 2008, we received a \$3 million milestone payment from Kyowa Hakko Kirin. Upon commercialization, ArQule will receive tiered royalties in the mid-teen to low-twenty percent range from Kyowa Hakko Kirin on net sales of tivantinib. Kyowa Hakko Kirin will be responsible for all clinical development costs and commercialization of the compound in certain Asian countries, consisting of Japan, China (including Hong Kong), South Korea and Taiwan. In July 2010, we announced the initiation of a Phase 2 trial with tivantinib by Kyowa Hakko Kirin in gastric cancer, for which we received a \$5 million milestone payment in September 2010. In August 2011, Kyowa Hakko Kirin announced the initiation of the Phase 3 ATTENTION trial in Asia of tivantinib and erlotinib in non-squamous NSCLC patients with wild type EGFR. Dosing of the first patient in this trial triggered a \$10 million milestone payment, which we received in August 2011. The milestone payment was recorded as deferred revenue and is being recognized as revenue using the contingency-adjusted performance model with an estimated development period through April 2016.

In addition to the upfront and possible regulatory milestone payments totaling \$123 million, the Company will be eligible for future milestone payments based on the achievement of certain levels of net sales. The Company will recognize the payments, if any, as revenue in accordance with the contingency-adjusted performance model. As of December 31, 2011, the Company had not recognized any revenue from these sales milestone payments, and there can be no assurance that it will do so in the future.

The duration and termination of the agreement are tied to future events. Unless earlier terminated due to breach, insolvency or upon 90 days notice by Kyowa Hakko Kirin, the agreement terminates on the date that the last royalty term expires in all countries in the territory. The royalty term ends as of the later of (i) the expiration of the last pending patent application or expiration of the patent in the country covering the manufacture, use, or sale of a licensed product or (ii) a certain number of years from the date of the commercial launch in such country of such license product.

Revenue for this agreement is recognized using the contingency-adjusted performance model with an estimated development period through April 2016. For the quarters ended March 31, 2012 and 2011 \$1.4 million and \$1.1 million, respectively, were recognized as revenue. At March 31, 2012 \$23.2 million remains in deferred revenue.

3. MARKETABLE SECURITIES AND FAIR VALUE MEASUREMENTS

We generally classify our marketable securities as available-for-sale at the time of purchase and re-evaluate such designation as of each consolidated balance sheet date. Since we generally intend to convert them into cash as necessary to meet our liquidity requirements our marketable securities are classified as cash equivalents if the original maturity, from the date of purchase, is ninety days or less and as short-term investments if the original maturity, from the date of purchase, is in excess of ninety days but less than one year. Our marketable securities are classified as long-term investments if the maturity date is in excess of one year of the balance sheet date.

We report available-for-sale investments at fair value as of each balance sheet date and include any unrealized gains and, to the extent deemed temporary, unrealized losses in stockholders' equity. Realized gains and losses are determined using the specific identification method and are included in other income (expense) in the statement of operations. Our auction rate securities are classified as trading securities and any changes in the fair value of those securities are recorded as other income (expense) in the statement of operations.

We conduct quarterly reviews to determine the fair value of our investment portfolio and to identify and evaluate each investment that has an unrealized loss, in accordance with the meaning of other-than-temporary impairment and its application to certain investments. An unrealized loss exists when the current fair value of an individual security is less than its amortized cost basis. In the event that the cost basis of a security exceeds its fair value, we evaluate, among other factors, the duration of the period that, and extent to which, the fair value is less than cost basis, the financial health of and business outlook for the issuer, including industry and sector performance, and operational and financing cash flow factors, overall market conditions and trends, our intent to sell the investment and if it is more likely than not that we would be required to sell the investment before its anticipated recovery. Unrealized losses on available-for-sale securities that are determined to be temporary, and not related to credit loss, are recorded in accumulated other comprehensive income (loss).

For available-for-sale debt securities with unrealized losses, we perform an analysis to assess whether we intend to sell or whether we would more likely than not be required to sell the security before the expected recovery of the amortized cost basis. Where we intend to sell a security, or may be required to do so, the security's decline in fair value is deemed to be other-than-temporary and the full amount of the unrealized loss is reflected in the consolidated statement of operations as an impairment loss.

Regardless of our intent to sell a security, we perform additional analysis on all securities with unrealized losses to evaluate losses associated with the creditworthiness of the security. Credit losses are identified where we do not expect to receive cash flows sufficient to recover the amortized cost basis of a security.

We invest our available cash primarily in U.S. Treasury bill funds, money market funds, commercial paper, and U.S. federal and state agency backed certificates, including auction rate securities that have investment grade ratings. Auction rate securities are structured with short-term interest reset dates of generally less than 90 days, but with contractual maturities that can be well in excess of ten years. At the end of each reset period, which occurs every seven to twenty-eight days, investors can sell or continue to hold the securities at par value. If auction rate securities fail an auction, due to sell orders exceeding buy orders, the funds associated with a failed auction would not be accessible until a successful auction occurred, a buyer was found outside the auction process, the underlying securities matured or a settlement with the underwriter is reached.

ArQule's marketable securities portfolio includes \$2.1 million (at cost) at March 31, 2012 and December 31, 2011, invested in auction rate securities.

The following is a summary of the fair value of available-for-sale marketable securities we held at March 31, 2012 and December 31, 2011:

		Gross	Gross	
	Amortized	Unrealized	Unrealized	Fair
March 31, 2012	Cost	Gains	Losses	Value
Security type				
U.S. Federal Treasury and U.S. government agencies				
securities-short term	\$14,767	\$2	\$—	\$14,769
Corporate debt securities-short term	33,857	21	(5) 33,873
	48,624	23	(5) 48,642
U.S. Federal Treasury and U.S. government agencies				
securities-long term	19,046	3	(1) 19,048
Corporate debt securities-long term	11,381		(7) 11,374
	30,427	3	(8) 30,422
Total available-for-sale marketable securities	\$79,051	\$26	\$(13	\$79,064
		Cross	Cross	
	Amountined	Gross	Gross	Esia
December 21, 2011	Amortized	Unrealized	Unrealized	Fair Value
December 31, 2011	Amortized Cost			Fair Value
Security type		Unrealized	Unrealized	
Security type U.S. Federal Treasury and U.S. government agencies	Cost	Unrealized Gains	Unrealized Losses	Value
Security type U.S. Federal Treasury and U.S. government agencies securities-short term	Cost \$17,259	Unrealized Gains \$1	Unrealized Losses \$(1	Value) \$17,259
Security type U.S. Federal Treasury and U.S. government agencies	Cost \$17,259 39,828	Unrealized Gains \$1 22	Unrealized Losses \$(1 (36	Value) \$17,259) 39,814
Security type U.S. Federal Treasury and U.S. government agencies securities-short term Corporate debt securities-short term	Cost \$17,259	Unrealized Gains \$1	Unrealized Losses \$(1	Value) \$17,259
Security type U.S. Federal Treasury and U.S. government agencies securities-short term Corporate debt securities-short term U.S. Federal Treasury and U.S. government agencies	Cost \$17,259 39,828 57,087	Unrealized Gains \$1 22 23	Unrealized Losses \$(1 (36 (37)	Value) \$17,259) 39,814) 57,073
Security type U.S. Federal Treasury and U.S. government agencies securities-short term Corporate debt securities-short term U.S. Federal Treasury and U.S. government agencies securities-long term	Cost \$17,259 39,828 57,087 33,556	Unrealized Gains \$1 22 23 13	Unrealized Losses \$(1 (36 (37 (6	Value) \$17,259) 39,814) 57,073) 33,563
Security type U.S. Federal Treasury and U.S. government agencies securities-short term Corporate debt securities-short term U.S. Federal Treasury and U.S. government agencies	Cost \$17,259 39,828 57,087 33,556 5,235	Unrealized Gains \$1 22 23 13 2	Unrealized Losses \$(1 (36 (37) (6 (1	Value) \$17,259) 39,814) 57,073) 33,563) 5,236
Security type U.S. Federal Treasury and U.S. government agencies securities-short term Corporate debt securities-short term U.S. Federal Treasury and U.S. government agencies securities-long term	Cost \$17,259 39,828 57,087 33,556	Unrealized Gains \$1 22 23 13	Unrealized Losses \$(1 (36 (37 (6	Value) \$17,259) 39,814) 57,073) 33,563

The Company's available-for-sale marketable securities in a loss position at March 31, 2012 and December 31, 2011 were in a continuous unrealized loss position for less than 12 months.

The following is a summary of the fair value of trading securities we held at March 31, 2012 and December 31, 2011:

March 31, 2012 Security type	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
Auction rate securities	\$2,100	\$—	\$(339)	\$1,761
Total trading securities	\$2,100	\$—	\$(339)	\$1,761
	Amortized	Gross Unrealized	Gross Unrealized	Fair
December 31, 2011 Security type	Cost	Gains	Losses	Value
Auction rate securities	\$2,100	\$—	\$(424)	\$1,676

The underlying collateral of our auction rate securities consists of student loans, supported by the federal government as part of the Federal Family Education Loan Program (FFELP).

At March 31, 2012 and December 31, 2011, the Company's auction rate securities are included in marketable securities-long term and total \$1,761 and \$1,676, respectively. The net increase in value of our auction rate securities totaling \$85 and \$16 in the three months ended March 31, 2012 and March 31, 2011, respectively were recorded as a gain in other income in the statement of operations.

10

The following tables present information about our assets that are measured at fair value on a recurring basis for the periods presented and indicates the fair value hierarchy of the valuation techniques we utilized to determine such fair value. In general, fair values determined by Level 1 inputs utilize quoted prices (unadjusted) in active markets for identical assets or liabilities. Fair values determined by Level 2 inputs utilize data points that are observable such as quoted prices, interest rates and yield curves. We value our level 2 investments using quoted prices for identical assets in the markets where they are traded, although such trades may not occur daily. These quoted prices are based on observable inputs, primarily interest rates. Fair values determined by Level 3 inputs are unobservable data points for the asset or liability, and include situations where there is little, if any, market activity for the asset or liability. There were no transfers in or out of Level 1 or Level 2 measurements for the periods presented:

	March 21		Quoted Prices in ctive Markets	Significant Other Observable	Significant Unobservable
	March 31, 2012	A	(Level 1)	Inputs (Level 2)	Inputs (Level 3)
Cash aquivalanta	\$19,530	¢	· · · ·	(Level 2) \$—	
Cash equivalents	\$19,330	\$	19,530	Ф —	\$ —
U.S. Federal Treasury and U.S. government agencies	1470			1450	
securities-short term	14,769			14,769	_
Corporate debt securities-short term	33,873		—	33,873	—
U.S. Federal Treasury and U.S. government agencies					
securities-long term	19,048			19,048	
Corporate debt securities-long term	11,374			11,374	
Auction rate securities-long term	1,761				1,761
Total	\$100,355	\$	19,530	\$79,064	\$ 1,761

				Significant	
		Ç	Juoted Prices	Other	Significant
			in	Observable	Unobservable
	December 31,	A	ctive Markets	Inputs	Inputs
	2011		(Level 1)	(Level 2)	(Level 3)
Cash equivalents	\$ 10,042	\$	10,042	\$—	\$ —
U.S. Federal Treasury and U.S. government agencies					
securities-short term	17,259			17,259	
Corporate debt securities-short term	39,814			39,814	
U.S. Federal Treasury and U.S. government agencies					
securities-long term	33,563			33,563	
Corporate debt securities-long term	5,236			5,236	
Auction rate securities-long term	1,676				1,676
Total	\$ 107,590	\$	10,042	\$95,872	\$ 1,676

Due to the lack of market quotes relating to our auction rate securities, the fair value measurements for our auction rate securities have been estimated using an income approach model (discounted cash flow analysis), which is exclusively based on Level 3 inputs. The model considers factors that reflect assumptions market participants would use in pricing including, among others, the collateralization underlying the investments, the creditworthiness of the counterparty, the expected future cash flows, liquidity premiums, the probability of successful auctions in the future, and interest rates. The assumptions used are subject to volatility and may change as the underlying sources of these

assumptions and markets conditions change.

The following tables roll forward the fair value of our auction rate securities, whose fair values are determined by Level 3 inputs for the periods presented:

Α	mount
Balance at December 31, 2011 \$	1,676
Gain on auction rate securities	85
Settlements	
Balance at March 31, 2012 \$	1,761

11

	Am	ount
Balance at December 31, 2010	\$	2,154
Gain on auction rate securities		16
Settlements		(98)
Balance at March 31, 2011	\$	2,072

The following table provides quantitative information on the unobservable inputs of our fair value measurements for our Level 3 assets for the three months ended March 31, 2012:

	estimated	e Information abo	ut Level 3 Fair Value Mea	asurements
	ir Value at Iarch 31, 2012	Valuation Technique	Unobservable Inputs	Range
Auction rate		Discounted cash		
securities	\$ 1,761	flow		1 6 1 07
			Maximum rate	1.61% - 1.61 %
			Liquidity	3.50% -
			risk premium	4.50 %
			Probability of	
			earning	
			maximum rate	0.05% -
			until maturity	0.08 %
			Probability	
			of principal	
			returned prior to	85.50%
			maturity	- 87.64 %
			Probability of	12.31%
			default	- 14.42 %

A significant increase or decrease in the individual assumptions included above could result in a significantly lower or higher fair value measurement.

4. ACCOUNTS PAYABLE AND ACCRUED EXPENSES

Accounts payable and accrued expenses include the following at March 31, 2012 and December 31, 2011:

	2012	2011
Accounts payable	\$ 114	\$ 226
Accrued payroll	1,214	2,768
Accrued outsourced pre-clinical and		
clinical fees	8,897	8,034
Accrued professional fees	512	379
Other accrued expenses	909	525
	\$ 11,646	\$ 11,932

5. NET LOSS PER SHARE

Net loss per share is computed using the weighted average number of common shares outstanding. Basic and diluted net loss per share amounts are equivalent for the periods presented as the inclusion of potential common shares in the number of shares used for the diluted computation would be anti-dilutive to loss per share. Potential common shares, the shares that would be issued upon the exercise of outstanding stock options, were 7,550,700 and 7,536,247 for the three months ended March 31, 2012 and 2011, respectively.

6. STOCK-BASED COMPENSATION AND STOCK PLANS

Our stock-based compensation cost is measured at the grant date, based on the calculated fair value of the award, and is recognized as an expense over the employees' requisite service period (generally the vesting period of the equity grant). We estimate the fair value of stock options using the Black-Scholes valuation model. Key input assumptions used to estimate the fair value of stock options include the exercise price of the award, expected option term, expected volatility of our stock over the option's expected term, risk-free interest rate over the option's expected term, and the expected annual dividend yield. We believe that the valuation technique and approach utilized to develop the underlying assumptions are appropriate in calculating the fair values of our stock options granted in the three months ended March 31, 2012 and 2011.

The following table presents stock-based compensation expense included in our Condensed Consolidated Statements of Operations:

	Three Months Ended March 31,					
	2012 201					
Research and development	\$	460	\$	437		
General and administrative		738		655		
Total stock-based compensation						
expense	\$	1,198	\$	1,092		

In the three months ended March 31, 2012 and March 31, 2011, no stock-based compensation expense was capitalized and there were no recognized tax benefits associated with the stock-based compensation expense.

Option activity under our stock plans for the three months ended March 31, 2012 was as follows:

	Number of	Weighted Average
Stock Options	Shares	Exercise Price
Outstanding as of December 31, 2011	6,547,443	\$ 5.34
Granted	1,298,468	7.95
Exercised	(174,228)	5.19
Cancelled	(120,983)	9.27
Outstanding as of March 31, 2012	7,550,700	\$ 5.73
Exercisable as of March 31, 2012	4,494,293	\$ 5.24

The aggregate intrinsic value of options outstanding at March 31, 2012 was \$43,259, of which \$23,566 related to exercisable options. The weighted average fair value of options granted in the three months ended March 31, 2012 and 2011 was \$4.80 and \$4.00 per share, respectively. The intrinsic value of options exercised in the three months ended March 31, 2012 and 2011 was \$383 and \$277, respectively.

Shares vested, expected to vest and exercisable at March 31, 2012 are as follows:

	Weighted-Average				
			Remaining	Aggregate	
	,	Weighted-Average	Contractual	Intrinsic	
	Shares	Exercise Price	Term (in years)	Value	
Vested and unvested expected to vest					
at March 31, 2012	7,418,252	\$ 5.73	7.0 \$	42,406	
Exercisable at March 31, 2012	4,494,293	\$ 5.24	5.7 \$	23,566	

The total compensation cost not yet recognized as of March 31, 2012 related to non-vested option awards was \$10.7 million, which will be recognized over a weighted-average period of 2.9 years. During the three months ended March 31, 2012, there were 70,125 shares forfeited with a weighted average grant date fair value of \$3.65 per share. The weighted average remaining contractual life for options exercisable at March 31, 2012 was 5.7 years.

No restricted stock was granted in 2011or 2010. In 2009, we granted 412,200 shares of restricted stock to employees, vesting annually over a four year period. In 2008 we granted 103,316 shares of restricted stock to employees, vesting

annually over a four year period and 125,000 shares vesting annually over a two year period. The shares of restricted stock were issued at no cost to the recipients. The weighted average fair value of the restricted stock at the time of grant in 2009 and 2008 was \$3.54 and \$4.31 respectively, per share, and is being expensed ratably over the vesting period. Through March 31, 2012, 60,945 shares have been forfeited, and 491,226 shares have vested. We recognized share-based compensation expense related to restricted stock of \$73 and \$98 for the three months ended March 31, 2012 and 2011, respectively.

13

Restricted stock activity under the Plan for the three months ended March 31, 2012 was as follows:

Restricted Stock	Number of Shares	Weighted Average Grant Date Fair Value
Unvested as of December 31,		Tull Vulue
2011	195,979	\$ 3.65
Granted	—	—
Vested	(107,634)	3.74
Cancelled	—	—
Unvested as of March 31,		
2012	88,345	\$ 3.54

The fair value of restricted stock vested in the three months ended March 31, 2012 and 2011 was \$749 and \$440, respectively.

In July 2010, the Company amended its chief executive officer's (the "CEO's") employment agreement to grant the CEO 100,000 stock options, of which 25% vested upon grant and 25% vest annually over the following three years, and a maximum of 390,000 performance-based stock units that vest upon the achievement of certain performance and market based targets. In February 2012, the Company amended its chief medical officer's (the "CMO's") employment agreement to grant the CMO 50,000 performance-based stock units that vest upon the achievement of certain performance based targets. Through March 31, 2012, no expense has been recorded for any of these performance-based stock units.

7. STOCK OFFERING

On April 16, 2012, we sold 8,222,500 shares of common stock at \$7.30 per share for aggregate net proceeds of approximately \$56.2 million after commissions and other estimated offering expenses.

On January 25, 2011, we sold 8,050,000 shares of common stock at \$6.15 per share for aggregate net proceeds of approximately \$46.8 million after commissions and other offering expenses.

8. RECENT ACCOUNTING PRONOUNCEMENTS

From time to time, new accounting pronouncements are issued by the Financial Accounting Standards Board ("FASB") or other standard setting bodies that are adopted by the Company as of the specified effective date. Unless otherwise discussed, we believe that the impact of recently issued standards that are not yet effective will not have a material impact on our financial position or results of operations upon adoption.

Recently Issued Accounting Standards

In April 2010, the FASB issued ASU No. 2010-17, Revenue Recognition—Milestone Method. This ASU provides guidance on the criteria that should be met for determining whether the milestone method of revenue recognition is appropriate. Under the milestone method of revenue recognition, consideration that is contingent upon achievement of a milestone in its entirety can be recognized as revenue in the period in which the milestone is achieved only if the milestone meets all criteria to be considered substantive. This standard provides the criteria to be met for a milestone to be considered substantive which includes that: a) performance consideration earned by achieving the milestone be commensurate with either performance to achieve the milestone or the enhancement of the value of the item delivered as a result of a specific outcome resulting from performance to achieve the milestone; and b) relate to past

performance and be reasonable relative to all deliverables and payment terms in the arrangement. The Company adopted this guidance on a prospective basis on January 1, 2011. The decision to use the milestone method of revenue recognition is a policy election. The new guidance may impact any new collaboration agreements or material modifications to existing agreements, in the event we elect the policy of utilizing the milestone method to recognize substantive milestones.

In May 2011, the FASB issued ASU No. 2011-04, "Fair Value Measurement (Topic 820): Amendments to Achieve Common Fair Value Measurement and Disclosure Requirements in U.S. GAAP and IFRSs". This newly issued accounting standard clarifies the application of certain existing fair value measurement guidance and expands the disclosures for fair value measurements that are estimated using significant unobservable (Level 3) inputs. This ASU is effective on a prospective basis for annual and interim reporting periods beginning on or after December 15, 2011. We adopted this standard on January 1, 2012 and it did not have a material impact on our financial position or results of operations.

In June 2011, the FASB issued ASU No. 2011-05, "Comprehensive Income (Topic 220)". This newly issued accounting standard (1) eliminates the option to present the components of other comprehensive income as part of the statement of changes in stockholders' equity; (2) requires the consecutive presentation of the statement of net income and other comprehensive income; and (3) requires an entity to present reclassification adjustments on the face of the financial statements from other comprehensive income to net income. The amendments in this ASU do not change the items that must be reported in other comprehensive income or when an item of other comprehensive income must be reclassified to net income nor do the amendments affect how earnings per share is calculated or presented. This ASU is required to be applied retrospectively and is effective for fiscal years and interim periods within those years beginning after December 15, 2011. As this accounting standard only requires enhanced disclosure, the adoption of this standard on January 1, 2012 did not impact our financial position or results of operations.

9. INCOME TAXES

As of December 31, 2011, we had federal NOL, state NOL, and research and development credit carryforwards of approximately \$243,310, \$171,060 and \$25,063 respectively, which can be used to offset future federal and state income tax liabilities and expire at various dates through 2031. Federal net capital loss carryforwards of approximately \$571 can be used to offset future federal capital gains and expire in 2015. Approximately \$14,954 of our federal NOL and \$1,974 of our state NOL were generated from excess tax deductions from share-based awards, the tax benefit of which will be credited to additional paid-in-capital when the deductions reduce current taxes payable.

At March 31, 2012 and December 31, 2011 we had no unrecognized tax benefits. We do not expect that the total amount of unrecognized tax benefits will significantly increase in the next twelve months. We recognize interest and penalties related to uncertain tax positions in income tax expense. As of March 31, 2012 and December 31, 2011, we had no accrued interest or penalties related to uncertain tax positions. Our U.S. federal tax returns for the tax years 2009 through 2011 and our state tax returns for the tax years 2007 through 2011 remain open to examination. Prior tax years remain open to the extent of net operating loss and tax credit carryforwards.

Utilization of NOL and research and development credit carryforwards may be subject to a substantial annual limitation in the event of an ownership change that has occurred previously or could occur in the future pursuant to Section 382 of the Internal Revenue Code of 1986, as amended, as well as similar state provisions. An ownership change may limit the amount of NOL and research and development credit carryforwards that can be utilized annually to offset future taxable income and tax, and may, in turn, result in the expiration of a portion of those carryforwards before utilization. In general, an ownership change, as defined by Section 382, results from transactions that increase the ownership of certain stockholders or public groups in the stock of a corporation by more than 50 percentage points over a three year period. We undertook a detailed study of our NOL and research and development credit carryforwards in the fourth quarter of 2009 to determine whether such amounts are likely to be limited by Section 382. As a result of this analysis, and a detailed review of ownership changes through 2011, we currently do not believe Sections 382's limitations will significantly impact our ability to offset income with available NOL and research and development credit carryforwards. However, future ownership changes under Section 382 may limit our ability to fully utilize these tax benefits.

10. NOTES PAYABLE

In October 2008, we entered into a margin loan agreement with a financial institution collateralized by \$2.9 million of our auction rate securities and borrowed \$1.7 million which is the maximum amount allowed under this facility. The amount outstanding under this facility is \$1.7 million at March 31, 2012 and 2011, collateralized by \$2.1 million of auction rate securities at cost. Interest expense was \$6 for the three months ended March 31, 2012 and 2011.

Management believes the carrying value of the note payable approximates its fair value for these borrowings and would be classified as a Level 2 measurement due to use of valuation inputs based on similar liabilities in the market.

ITEM 2. MANAGEMENT'S DISCUSSION AND ANALYSIS OF FINANCIAL CONDITION AND RESULTS OF OPERATIONS

The following discussion should be read in conjunction with our consolidated financial statements and related notes contained in this report.

We are a clinical-stage biotechnology company engaged in the research and development of innovative cancer therapeutics. Our mission is to produce novel drugs with differentiated mechanisms of action that will extend the lives of our patients. These drugs target biological pathways implicated in a wide range of cancers. We employ technologies such as our ArQule Kinase Inhibitor Platform ("AKIPTM") to design and develop drugs that have the potential to fulfill this mission.

Our product candidates and programs span a continuum of research and development ranging from drug discovery to advanced clinical testing. They are based on our understanding of biological processes that lead to the proliferation and metastasis of cancer cells, combined with our ability to generate product candidates possessing certain pre-selected, drug-like properties. We believe that these qualities, when present from the earliest stages of product development, increase the likelihood of producing safe, effective and marketable drugs.

Our lead product candidate is tivantinib (ARQ 197), an orally administered, small molecule inhibitor of the c-Met receptor tyrosine kinase ("c-Met"). C-Met is a promising target for cancer therapy, based on its multiple roles in cancerous cell proliferation, tumor spread, new blood vessel formation and resistance to certain drug therapies. We and our partners, Daiichi Sankyo Co., Ltd. ("Daiichi Sankyo") and Kyowa Hakko Kirin Co., Ltd. ("Kyowa Hakko Kirin"), are implementing a clinical development program designed to realize the broad potential of tivantinib as a well-tolerated single agent and in combination with other anti-cancer therapies in a number of disease indications. Our strategy is to focus on the most promising indications within our clinical programs based upon data that is continually generated. Our leading indications include non-small cell lung cancer ("NSCLC"), liver cancer (hepatocellular carcinoma or HCC) and colorectal cancer. We are also completing earlier-stage trials in additional indications.

In January 2012, we announced the results of a randomized, double-blind, placebo controlled, Phase 2 trial in HCC demonstrating that treatment with tivantinib as single agent therapy produced a statistically significant 56 percent improvement in time-to-progression ("TTP") in the intent-to-treat ("ITT") population of previously treated patients with HCC (hazard ratio = 0.64, log rank p-value = 0.04). Adverse events were reported at similar rates in the treatment and placebo arms, except for a higher incidence of fatigue and hematologic events, including neutropenia and anemia, in tivantinib-treated patients. The incidence of hematologic events declined following dose reduction of tivantinib from 360 milligrams twice daily (BID) to 240 milligrams BID. Patients with higher levels of c-Met who were treated with tivantinib experienced pronounced benefit in prolonged TTP. We plan to present complete data from this trial at the Annual Meeting of the American Society of Clinical Oncology ("ASCO") in June 2012.

In January 2011, we enrolled the first patient in the Phase 3 MARQUEE (Met inhibitor ARQ 197 plus Erlotinib vs. Erlotinib plus placebo in NSCLC) trial of tivantinib in NSCLC in combination with erlotinib, an approved anti-cancer agent. Erlotinib, marketed as TarcevaTM, inhibits the EGFR (epidermal growth factor receptor) tyrosine kinase. The MARQUEE trial is a randomized, double-blinded, controlled study of previously treated patients with locally advanced or metastatic non-squamous NSCLC who will receive tivantinib plus erlotinib or placebo plus erlotinib. This trial is being conducted under a Special Protocol Assessment ("SPA") agreement with the U.S. Food and Drug Administration ("FDA").

We have incorporated into the SPA a broad genotyping and biomarker program designed to expand what is an evolving understanding of the biology of c-Met and of tivantinib. In addition, we continue to investigate and add to our understanding of the profile of tivantinib and its metabolites to better characterize their scope and effect as anti-cancer agents. These efforts include the generation and interpretation of clinical and pre-clinical data by us, our partners and third parties suggesting potential anti-cancer activity in addition to c-Met inhibition. In this regard, certain preclinical experiments have demonstrated that tivantinib has activity against cells that harbor little or undetectable levels of c-Met, suggesting an additional mechanism or mechanisms in those settings, including mitotic arrest, or the possible involvement of cellular mechanisms and signaling pathways activated by c-Met. Although it is unclear what effect such activity may have in clinical settings, data from randomized, controlled clinical trials demonstrate that tivantinib has greater benefit for patients who have tested positive for high c-Met status while showing less activity in c-Met low populations. As a result, ArQule believes that c-Met status remains the most significant biomarker for further development of tumor types. We will pursue these and future findings to inform our decisions regarding additional clinical settings and patient populations for tivantinib.

In August 2011, Kyowa Hakko Kirin announced the initiation of the Phase 3 ATTENTION (Asian Trial of Tivantinib plus Erlotinib vs. Erlotinib for NSCLC without EGFR Mutation) trial of tivantinib in combination with erlotinib. The ATTENTION trial is a randomized, double-blinded, controlled study of previously treated patients with locally advanced or metastatic non-squamous NSCLC with the wild type form of the EGFR gene who will receive tivantinib plus erlotinib or placebo plus erlotinib.

In July 2011, we dosed the first patient in a Phase 2, randomized trial of tivantinib and erlotinib in NSCLC patients with a mutated form of the KRAS gene. We selected this patient population based on a strong signal of clinical benefit observed among KRAS-mutant patients who comprised a sub-group in our previous randomized Phase 2 trial. This trial will compare PFS of patients treated with tivantinib and erlotinib to PFS of patients treated with single agent chemotherapy. Approximately 100 patients will be enrolled at 14 clinical sites in the U.S.

We have licensed commercial rights to tivantinib for human cancer indications to Daiichi Sankyo in the U.S., Europe, South America and the rest of the world, excluding Japan and certain other Asian countries, where we have licensed commercial rights to Kyowa Hakko Kirin. Our agreements with these partners provide for possible future milestone payments, royalties on product sales, and development funding, in addition to significant payments that we have already received. During 2011, we received \$25 million from Daiichi Sankyo resulting from the dosing of the first patient in the MARQUEE trial, and we received \$10 million from Kyowa Hakko Kirin resulting from dosing of the first patient in the ATTENTION trial.

Our proprietary pipeline is directed toward molecular targets and biological processes with demonstrated roles in the development of human cancers. The most advanced candidates in this pipeline are ARQ 621, an inhibitor of the Eg5 kinesin motor protein, and ARQ 736, an inhibitor of the RAF kinases, both of which are in Phase 1 clinical testing. A third pipeline program, focused on small molecule inhibitors of fibroblast growth factor receptor, is in pre-clinical development.

Our drug discovery efforts are focused primarily on AKIPTM, which we are using to generate compounds designed to inhibit kinases without competing with adenosine triphosphate ("ATP") for binding to the target kinase, as well as other types of kinase inhibitors. ATP is a chemical found in all living cells and is the energy source involved in a variety of physiological processes. We have assessed the potential of AKIPTM to target multiple kinases in oncology and other therapeutic areas, and we are generating and validating compounds that inhibit these kinase targets. During 2011, Daiichi Sankyo licensed ARQ 092, an inhibitor of the AKT protein kinase discovered under our AKIPTM oncology drug discovery collaboration. ARQ 092 is the first clinical-stage compound to emerge from this collaboration. As a result of our license agreement for this compound, we received a \$10 million payment from Daiichi Sankyo in November 2011.

We have incurred a cumulative deficit of approximately \$414 million from inception through March 31, 2012. We expect research and development costs to increase during the course of 2012, due to clinical testing of our lead product candidates. We recorded a net loss for 2009, 2010 and 2011 and expect a net loss for 2012.

Our revenue consists primarily of development funding from our alliances with Daiichi Sankyo and Kyowa Hakko Kirin. Revenue and expenses fluctuate from quarter to quarter based upon a number of factors, notably the timing and extent of our cancer-related research and development activities together with the length and outcome of our clinical trials.

On December 18, 2008, we entered into a license, co-development and co-commercialization agreement with Daiichi Sankyo to conduct research, clinical trials and commercialization of tivantinib in human cancer indications. The agreement provides for a \$60 million cash upfront licensing payment from Daiichi Sankyo to us, which we received in December 2008, and an additional \$560 million in potential development and sales milestone payments offset by our share of the Phase 3 costs. Upon commercialization, we will receive tiered, double-digit royalties from Daiichi Sankyo on net sales of tivantinib commensurate with the magnitude of the transaction. We retain the option to participate in the commercialization of tivantinib in the U.S. We and Daiichi Sankyo will share equally the costs of Phase 2 and Phase 3 clinical studies, with our share of Phase 3 costs payable solely from milestone and royalty payments by Daiichi Sankyo.

The dosing of the first patient in the Phase 3 MARQUEE clinical trial of tivantinib in NSCLC, announced in January 2011, triggered the payment of a \$25 million development milestone from Daiichi Sankyo that was received in February 2011. Revenue for this agreement is recognized using the contingency-adjusted performance model with an estimated development period through December 2013.

In each quarter the tivantinib collaboration costs we incur are compared with those of Daiichi Sankyo. If our costs for the quarter exceed Daiichi Sankyo's we recognize revenue on the amounts due to us under the contingency adjusted performance model. Revenue is calculated on a pro-rata basis using the time elapsed from inception of the agreement over the estimated duration of the development period under the agreement. If our costs for the quarter are less than those of Daiichi Sankyo's, we report the amount due to Daiichi Sankyo as contra-revenue in that quarter. To the extent that our share of Phase 3 collaboration costs exceeds the amount of milestones and royalties received, that excess is netted against future milestones and royalties if and when earned and is not reported as contra-revenue.

Our cumulative share of the Daiichi Sankyo Phase 3 costs inception to date through March 31, 2012, totaled \$41.8 million and we received milestones of \$25.0 million during that period. Our cumulative share of Phase 3 collaboration costs has exceeded the amount of milestones received through March 31, 2012 by \$16.8 million which will be netted against future milestones and royalties when earned and has not been reported as contra-revenue.

For the quarter ended March 31, 2012 our non-Phase 3 tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by \$0.9 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. Our non-refundable share of advance drug purchases is recognized as contra-revenue as the related drugs are administered to patients. For the quarter ended March 31, 2012 \$2.5 million of these drug purchases was also recognized as contra-revenue.

For the quarter ended March 31, 2011 our tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by \$4.9 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. There were no advance drug purchases in the quarter ended March 31, 2011.

On November 7, 2008, we entered into a research collaboration, exclusive license and co-commercialization agreement with Daiichi Sankyo under which we will apply our proprietary technology and know-how from our AKIPTM platform for the discovery of therapeutic compounds that selectively inhibit certain kinases. The agreement defines two such kinase targets, and Daiichi Sankyo will have an option to license compounds directed to these targets following the completion of certain pre-clinical studies. The agreement provides for a \$15 million upfront payment, which we received in November 2008, research support payments for the first two years of the collaboration (which was extended for an additional two years in 2010), licensing fees for compounds discovered as a result of this research, milestone payments related to clinical development, regulatory review and sales, and royalty payments on net sales of compounds from the collaboration. We retain the option to co-commercialize licensed products developed under this agreement in the U.S. In May 2009, we entered into an agreement with Daiichi Sankyo related to potential future milestones and royalties for our AKIPTM collaboration, under which we could receive up to \$265 million in

potential development and sales milestone payments for each product selected for clinical development. Upon commercialization of a licensed product, we would also receive tiered, double-digit royalties on its net sales. On October 12, 2010, we and Daiichi Sankyo announced the expansion of this agreement, establishing a third target, with an option for a fourth, in oncology, and a two-year extension through November 2012. Revenue for this agreement is recognized using the contingency-adjusted performance model with an estimated performance period through November 2012.

On April 27, 2007, we entered into an exclusive license agreement with Kyowa Hakko Kirin to develop and commercialize tivantinib in Japan and parts of Asia. A \$3 million portion of an upfront licensing fee was received by the Company under this agreement in the first quarter of 2007, and an additional \$27 million in upfront licensing fees was received on May 7, 2007. The agreement includes \$123 million in upfront and potential development milestone payments from Kyowa Hakko Kirin to ArQule, including the \$30 million cash upfront licensing payments. In February 2008, we received a \$3 million milestone payment from Kyowa Hakko Kirin, and in September 2010, we received a \$5 million milestone payment. Upon commercialization, ArQule will receive tiered royalties in the mid-teen to low-twenty percent range from Kyowa Hakko Kirin on net sales of tivantinib. Kyowa Hakko Kirin will be responsible for all clinical development costs and commercialization of the compound in certain Asian countries, consisting of Japan, China (including Hong Kong), South Korea and Taiwan. In addition to the upfront and possible regulatory milestone payments totaling \$123 million, the Company will be eligible for future milestone payments based on the achievement of certain levels of net sales.

The Company will recognize the payments, if any, as revenue in accordance with its revenue recognition policies. As of March 31, 2012, the Company has not recognized any revenue from these sales milestone payments, and there can be no assurance that it will do so in the future. Revenue for this agreement is recognized using the contingency-adjusted performance model with an estimated development period through April 2016.

LIQUIDITY AND CAPITAL RESOURCES

	March 31,	December 31,	Increase (de	crease)
	2012	2011	\$	%
		(in million	ns)	
Cash, cash equivalents and marketable				
securities-short term	\$ 68.2	\$ 68.2		%
Marketable securities-long term	32.2	40.5	(8.3)	(20)%
Notes payable	1.7	1.7		
Working capital	23.5	23.3	0.2	1 %
			Increase	
	Q1 2012	Q1 2011 (in millions)	(decrease)	
Cash flow from:		(
Operating activities	\$ (9.0)	\$ 7.8	\$ (16.8)
Investing activities	16.6	(12.4)	29.0	
Financing activities	0.9	47.6	(46.7)

Cash flow from operating activities. Our uses of cash for operating activities have primarily consisted of salaries and wages for our employees, facility and facility-related costs for our offices and laboratories, fees paid in connection with preclinical and clinical studies, laboratory supplies and materials, and professional fees. The sources of our cash flow from operating activities have consisted primarily of payments received from our collaborators for services performed or upfront payments for future services. For the quarter ended March 31, 2012, our net use of cash was primarily driven by the difference between cash receipts from our collaborators and payments for operating expenses which resulted in a net cash outflow of \$9.0 million.

Cash flow from investing activities. Our net cash provided by investing activities of \$16.6 million for the quarter ended March 31, 2012, was comprised of net sales of marketable securities. The composition and mix of cash, cash equivalents and marketable securities may change frequently as a result of the Company's constant evaluation of conditions in financial markets, the maturity of specific investments, and our near term liquidity needs.

Our cash equivalents and marketable securities include U.S. Treasury bill funds, money market funds, commercial paper fully guaranteed by the FDIC under the Temporary Liquidity Guarantee Program, commercial paper, and U.S. federal and state agency backed certificates, including auction rate securities that have investment grade ratings.

Our cash equivalents and our portfolio of marketable securities are subject to market risk due to changes in interest rates. Fixed rate interest securities may have their market value adversely impacted due to a rise in interest rates, while floating rate securities may produce less income than expected if interest rates fall. Due in part to these factors, our future investment income may fall short of expectation due to changes in interest rates or we may suffer losses in principal if we are forced to sell securities that decline in market value due to changes in interest rates. ArQule's marketable securities portfolio includes \$2.1 million (at cost) at March 31, 2012 and December 31, 2011, invested in auction rate securities.

Cash flow from financing activities. Our net cash provided by financing activities for the quarter ended March 31, 2012 consisted of \$0.9 million from the issuance of common stock from the exercise of stock options.

Our cash requirements may vary materially from those now planned depending upon the results of our drug discovery and development strategies, our ability to enter into additional corporate collaborations and the terms of such collaborations, results of research and development, unanticipated required capital expenditures, competitive and technological advances, acquisitions and other factors. We cannot guarantee that we will be able to develop any of our drug candidates into a commercial product. It is likely we will need to raise additional capital or incur indebtedness to continue to fund our operations in the future. Our ability to raise additional funds will depend on financial, economic and market conditions, and due to global capital and credit market conditions or for other reasons, we may be unable to raise capital when needed, or on terms favorable to us. If necessary funds are not available, we may have to delay, reduce the scope of, or eliminate some of our development programs, potentially delaying the time to market for any of our product candidates. Our net cash provided by financing activities for the quarter ended March 31, 2011 consisted of \$46.8 million from the net proceeds of our January 2011 stock offering and \$0.8 million from the issuance of common stock from the exercise of stock options.

In April 2012, we received net proceeds of \$56.2 million from our 8,222,500 share stock offering. In light of this cash inflow, cash, cash equivalents and marketable securities on hand at March 31, 2012 and our collaboration agreements, we expect that our available cash and cash equivalents will be sufficient to finance our working capital and capital requirements through 2014.

Our contractual obligations were comprised of the following as of March 31, 2012 (in thousands):

			Payı	nent d	ue by peri	od			
		L	ess than					Mc	ore than
Contractual Obligations	Total		1 year	1 -	- 3 years	3 -	5 years	5	years
Note payable	\$ 1,700	\$	1,700	\$		\$		\$	
Operating lease									
obligations	10,007		3,448		6,131		428		
Purchase obligations	9,140		9,140						
Total	\$ 20,847	\$	14,288	\$	6,131	\$	428	\$	

Purchase obligations are comprised primarily of outsourced preclinical and clinical trial expenses and payments to license certain intellectual property to support the Company's research efforts. Interest on notes payable is variable and is excluded from the table above. Notes payable currently bears interest at LIBOR plus 125 basis points.

CRITICAL ACCOUNTING POLICIES AND ESTIMATES

A "critical accounting policy" is one which is both important to the portrayal of the Company's financial condition and results and requires management's most difficult, subjective or complex judgments, often as a result of the need to make estimates about the effect of matters that are inherently uncertain. For additional information, please see the discussion of our significant accounting policies in Note 2 to the Consolidated Financial Statements included in our Annual Report for the fiscal year ended December 31, 2011 on Form 10-K filed with the SEC on March 1, 2012.

RESULTS OF OPERATIONS

The following are the results of operations for the three months ended March 31, 2012 and 2011:

Revenue

		Increa	ase (d	e (decrease)			
	2012	2011	\$		%		
	(in millions)						
For the three months ended March 31:							
Research and development revenue	\$8.5	\$13.4	\$(4.9)	(37)%	

Research and development revenue in the three months ended March 31, 2012 is comprised of revenue from the Daiichi Sankyo development and research collaboration agreements entered into in 2008, the November 2011 license agreement with Daiichi Sankyo for the development of ARQ 092, and the 2007 Kyowa Hakko Kirin exclusive license agreement.

Under the terms of our tivantinib collaboration agreement with Daiichi Sankyo we share development costs equally with our share of Phase 3 costs funded from milestones and royalties. In each quarter the tivantinib collaboration costs we incur are compared with those of Daiichi Sankyo. If our costs for the quarter exceed Daiichi Sankyo's we recognize revenue on the amounts due to us under the contingency adjusted performance model. Revenue is calculated on a pro-rata basis using the time elapsed from inception of the agreement over the estimated duration of the development period under the agreement. If our costs for the quarter are less than those of Daiichi Sankyo's, we report the amount due to Daiichi Sankyo as contra-revenue in that quarter. To the extent that our share of Phase 3 collaboration costs exceeds the amount of milestones and royalties received, that excess is netted against future milestones and royalties if and when earned and is not reported as contra-revenue.

Our cumulative share of the Daiichi Sankyo Phase 3 costs inception to date through March 31, 2012, totaled \$41.8 million and we received milestones of \$25.0 million during that period. Our cumulative share of Phase 3 collaboration costs has exceeded the amount of milestones received through March 31, 2012 by \$16.8 million which will be netted against future milestones and royalties when earned and has not been reported as contra-revenue.

For the quarter ended March 31, 2012 our non-Phase 3 tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by \$0.9 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. Our non-refundable share of advance drug purchases is recognized as contra-revenue as the related drugs are administered to patients. For the quarter ended March 31, 2012 \$2.5 million of these drug purchases was also recognized as contra-revenue.

For the quarter ended March 31, 2011 our tivantinib collaboration costs incurred were less than those of Daiichi Sankyo's by \$4.9 million which was recognized as contra-revenue and netted against our tivantinib Daiichi Sankyo research and development revenue. There were no advance drug purchases in the quarter ended March 31, 2011.

The \$4.9 million revenue decrease in the quarter ended March 31, 2012 is primarily due to the timing of revenue recognized from the \$25 million milestone payment we received from Daiichi Sankyo in the quarter ended March 31, 2011 triggered by the dosing of the first patient in the Phase 3 NSCLC clinical trial. In the quarter ended March 31, 2011 when we received the milestone payment, we recognized revenue of \$11.4 million compared with the \$1.2 million in the current quarter resulting in a revenue decrease of \$10.2 million. This decrease was partially offset by revenue increases of \$2.5 million from our Daiichi Sankyo AKIPTM agreement, \$0.9 million from our Daiichi Sankyo ARQ 092 agreement and lower contra-revenue of \$1.6 million.

Research and development

		Increase (decrease)				
	2012	2011	\$	%		
	(in millions)					
For the three months ended March 31:						
Research and development	\$9.3	\$11.4	\$(2.1) (18)%	

Research and development expense in the quarter ended March 31, 2012 decreased by \$2.1 million primarily due to lower outsourced clinical and product development costs related to our phase 1 and 2 programs for tivantinib. At March 31, 2012 we had 75 employees dedicated to our research and development program compared to 84 at March 31, 2011.

Overview

Our research and development expense consists primarily of salaries and related expenses for personnel, costs of contract manufacturing services, costs of facilities and equipment, fees paid to professional service providers in conjunction with our clinical trials, fees paid to research organizations in conjunction with pre-clinical animal studies, costs of materials used in research and development, consulting, license, and sponsored research fees paid to third parties and depreciation of associated laboratory equipment. We expect our research and development expense to increase as we continue to develop our portfolio of oncology programs.

We have not accumulated and tracked our internal historical research and development costs or our personnel and personnel-related costs on a program-by-program basis. Our employee and infrastructure resources are allocated across several projects, and many of our costs are directed to broadly applicable research endeavors. As a result, we cannot state the costs incurred for each of our oncology programs on a program-by-program basis.

The expenses incurred by us to third parties for pre-clinical and clinical trials in the current quarter and since inception of our lead clinical stage program were as follows (in millions):

Three Months EndedOncology program Current statusMarch 31, 2012Program-to-datec-Metprogram—tivantinibPhase 3\$ 1.1\$ 76.1

Our future research and development expenses in support of our current and future oncology programs will be subject to numerous uncertainties in timing and cost to completion. We test potential products in numerous pre-clinical studies for safety, toxicology, and efficacy. We may conduct multiple clinical trials for each product. As we obtain results from trials, we may elect to discontinue or delay clinical trials for certain products in order to focus our resources on more promising products. Completion of, clinical trials may take several years or more, but the length of time generally varies substantially according to the type, complexity novelty, and intended use of a product. It is not unusual for the pre-clinical and clinical development of these types of products to each take nine years or more, and for total development costs to exceed \$500 million for each product.

20

We estimate that clinical trials of the type generally needed to secure new drug approval are typically completed over the following timelines:

Clinical Phase	Estimated Completion Period
Phase 1	1-2 years
Phase 2	2-3 years
Phase 3	2-4 years

The duration and the cost of clinical trials may vary significantly over the life of a project as a result of differences arising during clinical development, including, among others, the following:

the number of clinical sites included in the trials;

the length of time required to enroll suitable patients;

the number of patients that ultimately participate in the trials;

the duration of patient follow-up to ensure the absence of long-term product-related adverse events; and

the efficacy and safety profile of the product.

An element of our business strategy is to pursue the research and development of a broad pipeline of products. This is intended to allow us to diversify the risks associated with our research and development expenditures. As a result, we believe our future capital requirements and future financial success are not substantially dependent on any one product. To the extent we are unable to build and maintain a broad pipeline of products, our dependence on the success of one or a few products increases.

Our strategy includes entering into alliance arrangements with third parties to participate in the development and commercialization of our products, such as our collaboration agreements with Daiichi and Kyowa Hakko Kirin. In the event that third parties have control over the clinical trial process for a product, the estimated completion date would be under control of that third party rather than under our control. We cannot forecast with any degree of certainty whether our products will be subject to future collaborative arrangements or how such arrangements would affect our development plans or capital requirements.

As a result of the uncertainties discussed above, we make significant estimates in determining the duration and completion costs of our oncology programs or when and to what extent we will receive cash inflows from the commercialization and sale of a product. Our inability to complete our oncology programs in a timely manner or our failure to enter into appropriate collaborative agreements could significantly increase our capital requirements and could adversely impact our liquidity. These uncertainties could force us to seek additional, external sources of financing from time-to-time in order to continue with our product development strategy. Our inability to raise additional capital, or to do so on terms reasonably acceptable to us, would jeopardize the future success of our business.

General and administrative

		Increase (decrease)			
	2012	2011	\$	%	
For the three months ended March 31:	(in n	nillions)			
General and administrative	\$3.6	\$3.5	\$0.1	2	%

General and administrative expense increased slightly in the first quarter of 2012 principally due to an increase in non-cash stock-based compensation expense. General and administrative headcount was 26 at March 31, 2012, compared to 29 at March 31, 2011.

Interest income, interest expense and other income (expense)

						Increase (deci	ease)	
	2012			2011	\$		%	
	(ir	n thou	sands	5)				
For the three months ended								
March 31:								
Interest income	\$ 65		\$	55	\$	10	18	%
Interest expense	(6)		(6)			%
Other income (expense)	85			16		69	431	%

21

Interest income is comprised primarily of interest income derived from our portfolio of cash, cash equivalents and investments and increased in the first quarter of 2012 due to an increase in interest rates. Interest expense was incurred on our notes payable and remained constant in the first quarter of 2012 and 2011. Other income in the first quarter of 2012 includes a gain of \$85 from the increase in fair value of our auction rate securities. Other income in the first quarter of 2011 includes a gain of \$16 from the increase in fair value of our auction rate securities.

RECENT ACCOUNTING PRONOUNCEMENTS

From time to time, new accounting pronouncements are issued by the Financial Accounting Standards Board ("FASB") or other standard setting bodies that are adopted by the Company as of the specified effective date. Unless otherwise discussed, we believe that the impact of recently issued standards that are not yet effective will not have a material impact on our financial position or results of operations upon adoption.

Recently Issued Accounting Standards

In April 2010, the FASB issued ASU No. 2010-17, Revenue Recognition—Milestone Method. This ASU provides guidance on the criteria that should be met for determining whether the milestone method of revenue recognition is appropriate. Under the milestone method of revenue recognition, consideration that is contingent upon achievement of a milestone in its entirety can be recognized as revenue in the period in which the milestone is achieved only if the milestone meets all criteria to be considered substantive. This standard provides the criteria to be met for a milestone to be considered substantive which includes that: a) performance consideration earned by achieving the milestone be commensurate with either performance to achieve the milestone or the enhancement of the value of the item delivered as a result of a specific outcome resulting from performance to achieve the milestone; and b) relate to past performance and be reasonable relative to all deliverables and payment terms in the arrangement. The Company adopted this guidance on a prospective basis on January 1, 2011. The decision to use the milestone method of revenue recognition is a policy election. The new guidance may impact any new collaboration agreements or material modifications to existing agreements, in the event we elect the policy of utilizing the milestone method to recognize substantive milestones.

In May 2011, the FASB issued ASU No. 2011-04, "Fair Value Measurement (Topic 820): Amendments to Achieve Common Fair Value Measurement and Disclosure Requirements in U.S. GAAP and IFRSs". This newly issued accounting standard clarifies the application of certain existing fair value measurement guidance and expands the disclosures for fair value measurements that are estimated using significant unobservable (Level 3) inputs. This ASU is effective on a prospective basis for annual and interim reporting periods beginning on or after December 15, 2011. We adopted this standard on January 1, 2012 and it did not have a material impact on our financial position or results of operations.

In June 2011, the FASB issued ASU No. 2011-05, "Comprehensive Income (Topic 220)". This newly issued accounting standard (1) eliminates the option to present the components of other comprehensive income as part of the statement of changes in stockholders' equity; (2) requires the consecutive presentation of the statement of net income and other comprehensive income; and (3) requires an entity to present reclassification adjustments on the face of the financial statements from other comprehensive income to net income. The amendments in this ASU do not change the items that must be reported in other comprehensive income or when an item of other comprehensive income must be reclassified to net income nor do the amendments affect how earnings per share is calculated or presented. This ASU is required to be applied retrospectively and is effective for fiscal years and interim periods within those years beginning after December 15, 2011. As this accounting standard only requires enhanced disclosure, the adoption of this standard on January 1, 2012 did not impact our financial position or results of operations.

FORWARD LOOKING STATEMENTS

In addition to historical information, this report contains forward-looking statements. You can identify these forward-looking statements by their use of words such as "anticipate," "assume," "believe," "estimate," "expect," "forecast," "intend," "may," "plan," "project," "target," "will" and other words and terms of similar meaning. You also can identify them the fact that they do not relate strictly to historical or current facts. All statements which address operating performance, events or developments that the Company expects or anticipates will occur in the future, such as projections about its future results of operations, its financial condition, research, development and commercialization of its products and anticipated trends in its business are forward-looking statements.

In this report we make forward-looking statements regarding our drug development pipeline and our clinical trials involving tivantinib. Additional forward-looking statements relate to our agreements with Kyowa Hakko Kirin and Daiichi Sankyo, including potential future milestones and royalty payments that could result from the future development of tivantinib.

Drug development involves a high degree of risk. Only a small number of research and development programs result in the commercialization of a product. For example, pre-clinical efforts associated with our product pipeline may fail or prove disappointing because our technology platform did not produce candidates with the desired characteristics. Animal xenograft pre-clinical studies may be unpredictive of human response. Positive information about early stage clinical trial results will not ensure that later stage or larger scale clinical trials will be successful. Furthermore, our drugs may not demonstrate promising therapeutic effects; in addition, they may not demonstrate appropriate safety profiles in ongoing or later stage or larger scale clinical trials as a result of known or as yet unidentified side effects. The results achieved in later stage trials may not be sufficient to meet applicable regulatory standards. Problems or delays may arise during clinical trials or in the course of developing, testing or manufacturing our drugs that could lead us or our partner to discontinue development.

Even if later stage clinical trials are successful, the risk exists that unexpected concerns may arise from analysis of data or from additional data or that obstacles may arise or issues be identified in connection with review of clinical data with regulatory authorities or that regulatory authorities may disagree with the Company's view of the data or require additional data or information or additional studies. Also, the planned timing of initiation of clinical trials and the duration and conclusion of such trials for our drugs are subject to the ability of the company to enroll patients, enter into agreements with clinical trial sites and investigators, and other technical hurdles and issues that may not be resolved.

We also make forward-looking statements regarding the adequacy of our financial resources. Our capital resources may not be adequate because our cash requirements may vary materially from those now planned depending upon the results of our drug discovery and development strategies, the outcomes of our clinical trials, our ability to enter into additional corporate collaborations in the future and the terms of such collaborations, results of research and development, the need for currently unanticipated capital expenditures, competitive and technological advances, acquisitions, financial market conditions, our ability to liquidate our investments in auction rate securities and other factors. Additionally, our corporate collaborators may terminate their agreements with us, thereby eliminating that source of funding, because we may fail to satisfy the prescribed terms of the collaborations or for other reasons.

We cannot guarantee that we will be able to develop any of our drug candidates into a commercial product generating revenues. If we experience increased losses, we may have to seek additional financing from public and private sales of our securities, including equity securities. There can be no assurance that additional funding will be available when needed or on acceptable terms.

The factors, risks and uncertainties referred to above and others are more fully described under the heading "Risk Factors" in our Annual Report on Form 10-K for the fiscal year ended December 31, 2011 filed with the SEC on March 1, 2012, as updated from time to time in our subsequent Quarterly Reports on Form 10-Q and Current Reports on Form 8-K. The forward-looking statements contained herein represent the judgment of the Company as of the date of this report. We are not under any obligation, and we expressly disclaim any obligation, to update or alter any forward-looking statements, whether as a result of new information, future events or otherwise, except to the extent required by law.

ITEM 3. QUANTITATIVE AND QUALITATIVE DISCLOSURES ABOUT MARKET RISK

We own financial instruments that are sensitive to market risk as part of our investment portfolio. We have implemented policies regarding the amount and credit ratings of investments. Our investment portfolio is used to preserve our capital until it is used to fund operations, including our research and development activities. Our investments are evaluated quarterly to determine the fair value of the portfolio.

Our cash and marketable securities include US Treasury bill funds, money market funds, and U.S. federal and state agency backed certificates, including auction rate securities that have strong credit ratings. Our cash equivalents and our portfolio of marketable securities are subject to market risk due to changes in interest rates. Fixed rate interest securities may have their market value adversely impacted due to a rise in interest rates, while floating rate securities may produce less income than expected if interest rates fall. Due in part to these factors, our future investment income may fall short of expectation due to changes in interest rates or we may suffer losses in principal if we are forced to

sell securities that decline in market value due to changes in interest rates.

Auction rate securities are securities that are structured with short-term interest reset dates of generally less than 90 days, but with contractual maturities that can be well in excess of ten years. At the end of each reset period, which occurs every seven to twenty-eight days, investors can sell or continue to hold the securities at par value. If any of our auction rate securities were to fail an auction, due to sell orders exceeding buy orders, the funds associated with a failed auction would not be accessible until a successful auction occurred, a buyer was found outside the auction process, the underlying securities matured or a settlement with the underwriter is reached. ArQule's marketable securities portfolio at March 31, 2012 and December 31, 2011 included \$2.1 million (at cost) invested in auction rate securities that have not successfully auctioned since February 12, 2008.

ITEM 4. CONTROLS AND PROCEDURES

Our management, with the participation of our Chief Executive Officer (Principal Executive Officer) and President and Chief Operating Officer (Principal Financial Officer), evaluated the effectiveness of our disclosure controls and procedures as of March 31, 2012. The term "disclosure controls and procedures," as defined in Rules 13a-15(e) and 15d-15(e) under the Securities Exchange Act of 1934, as amended ("Exchange Act"), means controls and other procedures of a company that are designed to ensure that information required to be disclosed by a company in the reports that it files or submits under the Exchange Act is recorded, processed, summarized and reported, within the time periods specified in the SEC's rules and forms. Disclosure controls and procedures include, without limitation, controls and procedures designed to ensure that information required to be disclosed by a company in the reports that it files or submits under the Exchange Act is accumulated and communicated to the company's management, including its principal executive and financial officer, as appropriate to allow timely decisions regarding required disclosure. Our management recognizes that any controls and procedures, no matter how well designed and operated, can provide only reasonable assurance of achieving their objectives and our management necessarily applies its judgment in evaluating the cost-benefit relationship of possible controls and procedures. Based on the evaluation of our disclosure controls and procedures as of March 31, 2012, our Chief Executive Officer (Principal Executive Officer) and President and Chief Operating Officer (Principal Financial Officer) concluded that, as of such date, our disclosure controls and procedures were effective at the reasonable assurance level.

There have been no changes in the Company's internal control over financial reporting during the most recently completed fiscal quarter that have materially affected, or are reasonably likely to materially affect, the Company's internal control over financial reporting.

PART II - OTHER INFORMATION

ITEM 1. - LEGAL PROCEEDINGS. None.

ITEM 1A. — RISK FACTORS. For information regarding factors that could affect the Company's results of operations, financial condition and liquidity, see the risk factors discussion provided under "Risk Factors" in Item 1A of ArQule's Annual Report on Form 10-K for the year ended December 31, 2011 filed with the SEC on March 1, 2012, as updated from time to time in our subsequent Quarterly Reports on Form 10-Q and Current Reports on Form 8-K. See also, "Forward-Looking Statements" included in this Quarterly Report on Form 10-Q.

ITEM 2. — UNREGISTERED SALES OF EQUITY SECURITIES AND USE OF PROCEEDS. None.

- ITEM 3. DEFAULTS UPON SENIOR SECURITIES. None.
- ITEM 4. MINE SAFETY DISCLOSURES. Not applicable.
- ITEM 5. OTHERS INFORMATION. None.
- ITEM 6. EXHIBITS.

EXHIBIT NO.	DESCRIPTION
31.1	Rule 13a-14(a) Certificate of Chief Executive Officer, filed herewith.
31.2	Rule 13a-14(a) Certificate of Principal Financial Officer, filed herewith.
32	Rule 13a-14(b) Certificate of Chief Executive Officer and Chief Financial Officer, filed
	herewith.
101	Interactive Data File

SIGNATURES

Pursuant to the requirements of the Securities Act of 1934, the registrant has duly caused this report to be signed on its behalf by the undersigned thereunto duly authorized.

ArQule, Inc.

Date: May 3, 2012

/s/ PETER S. LAWRENCE Peter S. Lawrence President and Chief Operating Officer (Principal Financial Officer)

/s/ ROBERT J. WEISKOPF Robert J. Weiskopf Vice President of Finance, Corporate Controller and Treasurer (Principal Accounting Officer)

25