

ARQULE INC

FORM 10-Q (Quarterly Report)

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UNITED STATES
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, 2017

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)

One Wall Street, Burlington, Massachusetts 01803
(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 No

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 No

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

Accelerated filer
Smaller reporting company
Emerging growth company

Indicate If an emerging growth company, indicate by check by check mark if the registrant has elected not to use the extended transition period for complying with any new or revised financial accounting standards provided pursuant to Section 13(a) of the Exchange Act.

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

Number of shares outstanding of the registrant's Common Stock as of April 20, 2017:

Common Stock, par value \$.01 71,146,597 shares outstanding

ARQULE, INC.

QUARTER ENDED MARCH 31, 2017

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ARQUE, INC.

CONDENSED BALANCE SHEETS (Unaudited)

	March 31, 2017	December 31, 2016
(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)		
ASSETS		
Current assets:		
Cash and cash equivalents	\$ 28,237	\$ 15,267
Marketable securities-short term	9,303	15,859
Prepaid expenses and other current assets	630	822
Total current assets	38,170	31,948
Property and equipment, net	156	180
Other assets	164	252
Total assets	\$ 38,490	\$ 32,380
LIABILITIES AND STOCKHOLDERS' EQUITY		
Current liabilities:		
Accounts payable and accrued expenses	\$ 7,164	\$ 8,700
Total current liabilities	7,164	8,700
Long-term liabilities:		
Notes payable	14,337	—
Total liabilities	21,501	8,700
Commitment and contingencies		
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; 71,146,597 and 71,146,209 shares issued and outstanding at March 31, 2017 and December 31, 2016, respectively	711	711
Additional paid-in capital	528,691	527,802
Accumulated other comprehensive income (loss)	(2)	2
Accumulated deficit	(512,411)	(504,835)
Total stockholders' equity	16,989	23,680
Total liabilities and stockholders' equity	\$ 38,490	\$ 32,380

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

ARQULE, INC.

CONDENSED STATEMENTS OF OPERATIONS AND COMPREHENSIVE LOSS (Unaudited)

	THREE MONTHS ENDED MARCH 31,	
	2017	2016
	(IN THOUSANDS, EXCEPT PER SHARE DATA)	
Revenue:		
Research and development revenue	\$ —	\$ 1,227
Costs and expenses:		
Research and development	5,194	4,198
General and administrative	2,074	2,044
Total costs and expenses	<u>7,268</u>	<u>6,242</u>
Loss from operations	(7,268)	(5,015)
Interest income	22	34
Interest expense	(330)	—
Net loss	<u>(7,576)</u>	<u>(4,981)</u>
Unrealized gain (loss) on marketable securities	(4)	29
Comprehensive loss	<u>\$ (7,580)</u>	<u>\$ (4,952)</u>
Basic and diluted net loss per share:		
Net loss per share	<u>\$ (0.11)</u>	<u>\$ (0.08)</u>
Weighted average basic and diluted common shares outstanding	<u>71,138</u>	<u>65,489</u>

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

ARQULE, INC.

CONDENSED STATEMENTS OF CASH FLOWS (Unaudited)

	THREE MONTHS ENDED	
	March 31,	
	2017	2016
	(IN THOUSANDS)	
Cash flows from operating activities:		
Net loss	\$ (7,576)	\$ (4,981)
Adjustments to reconcile net loss to net cash used in operating activities:		
Depreciation and amortization	24	27
Amortization of premium (discount) on marketable securities	(3)	26
Amortization of debt discount	60	—
Non-cash stock compensation	543	594
Changes in operating assets and liabilities:		
Prepaid expenses and other assets	280	(115)
Accounts payable and accrued expenses	(1,653)	(843)
Deferred revenue	—	(1,140)
Net cash used in operating activities	<u>(8,325)</u>	<u>(6,432)</u>
Cash flows from investing activities:		
Purchases of marketable securities	(1)	(13,386)
Proceeds from sale or maturity of marketable securities	6,556	10,498
Net cash provided by (used in) investing activities	<u>6,555</u>	<u>(2,888)</u>
Cash flows from financing activities:		
Proceeds from notes payable and warrants, net	14,740	—
Proceeds from stock offering, net	—	15,185
Proceeds from stock option exercises and employee stock plan purchases	—	114
Net cash provided by financing activities	<u>14,740</u>	<u>15,299</u>
Net increase in cash and cash equivalents	<u>12,970</u>	<u>5,979</u>
Cash and cash equivalents, beginning of period	<u>15,267</u>	<u>13,983</u>
Cash and cash equivalents, end of period	<u>\$ 28,237</u>	<u>\$ 19,962</u>

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

ARQULE, INC.

NOTES TO UNAUDITED CONDENSED FINANCIAL STATEMENTS

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE DATA)

1. NATURE OF OPERATIONS AND BASIS OF PRESENTATION

We are a biopharmaceutical company engaged in the research and development of innovative therapeutics to treat cancers and rare diseases. Our mission is to discover, develop and commercialize novel small molecule drugs in areas of high unmet need that will dramatically extend and improve the lives of our patients. These drugs target biological pathways implicated in a wide range of cancers and certain non-oncology indications. Our discovery and development efforts are guided, when possible, by an understanding of the role of biomarkers, which are indicators of a particular biological condition or process and may predict the clinical benefit of our compounds in defined patient populations. Our clinical-stage pipeline consists of five drug candidates, all of which are in targeted patient populations, making ArQule a leader among companies our size in precision medicine.

ArQule has a long history of kinase drug discovery and development, having discovered and introduced nine kinase inhibitors into clinical trials. Our drug discovery efforts have been informed by our historical expertise in chemistry, our work in rational drug design and by our insight into kinase binding and regulation. We have applied this knowledge to produce significant chemical matter for a number of kinase targets and to build an extensive library of proprietary compounds with the potential to target multiple kinases in oncology and other therapeutic areas, such as rare diseases. We expect to bring further preclinical programs forward and to interrogate our library against new targets beyond kinases either directly or with collaborators.

Our proprietary pipeline of product candidates is directed toward molecular targets and biological processes with demonstrated roles in the development of both human cancers and rare, non-oncology diseases. All of these programs are being developed in targeted, biomarker-defined patient populations. By seeking out subgroups of patients that are most likely to respond to our drugs, we intend to identify small, often orphan, indications that allow for focused and efficient development. At the same time, in addition to pursuing these potentially fast-to-market strategies, we also pursue development in other indications that could allow us to expand the utility of the drugs if approved. The pipeline includes the following wholly-owned compounds:

- ARQ 087, a multi-kinase inhibitor designed to preferentially inhibit the FGFR family of kinases, in Phase 2 for intrahepatic cholangiocarcinoma (iCCA) and in Phase 1b for multiple oncology indications;
- ARQ 092, a selective inhibitor of the AKT serine/threonine kinase, in Phase 1 for multiple oncology indications and in the rare disease, Proteus syndrome, in partnership with the National Institutes of Health (NIH);
- ARQ 751, a next-generation inhibitor of AKT, in Phase 1 for solid tumors harboring the AKT1 or PI3K mutation;
- ARQ 531, an investigational, orally bioavailable, potent and reversible inhibitor of both wild type and C481S-mutant BTK, planned to advance to Phase 1 by Q3 2017; and
- ARQ 761, a β -lapachone analog being evaluated as a promoter of NQO1-mediated programmed cancer cell death, in Phase 1/2 in multiple oncology indications in partnership with The University of Texas Southwest Medical Center.

Our most advanced partnered asset is tivantinib (ARQ 197), an orally administered, small molecule inhibitor of the c-Met receptor tyrosine kinase (“MET”) and its biological pathway. We licensed commercial rights to tivantinib for human cancer indications to Daiichi Sankyo Co., Ltd. (“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 Co., Ltd. (“Kyowa Hakko Kirin”).

Our METIV-HCC trial was a pivotal Phase 3 randomized, double-blind, controlled study of tivantinib as single-agent therapy in previously treated patients with MET diagnostic-high, inoperable HCC conducted by Daiichi Sankyo and us. The primary endpoint was overall survival (OS) in the intent-to-treat (ITT) population, and the secondary endpoint was progression-free survival (PFS) in the same population. On February 17, 2017, we and Daiichi Sankyo announced that the METIV-HCC trial did not meet its primary endpoint of improving OS.

Our JET-HCC trial was a second pivotal Phase 3 randomized, double-blind, controlled study of tivantinib as single-agent therapy in previously treated patients with MET diagnostic-high, inoperable HCC conducted by Kyowa Hakko Kirin. The primary endpoint was PFS. On March 27, 2017, we reported that our partner, Kyowa Hakko Kirin, announced top-line results of the JET-HCC Phase 3 trial of tivantinib in Japan and that the trial did not meet its primary endpoint of improving PFS.

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. In the three months ended March 31, 2017 and 2016, our net use of cash was primarily driven by payments for operating expenses which resulted in net cash outflows of \$8.3 million and \$6.4 million, respectively.

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. On January 6, 2017, we entered into a loan and security agreement (the "Loan Agreement") with a principal balance of \$15 million (see Note 8). The terms of the Loan Agreement require payments of interest on a monthly basis through September 2018 and payments of interest and principal from October 2018 to August 2021. We anticipate that our cash, cash equivalents and marketable securities on hand at March 31, 2017, and the funds received on January 6, 2017 from our Loan Agreement will be sufficient to finance our operations for at least 12 months from the issuance date of these financial statements. We expect that 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.

We have prepared the accompanying condensed 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 financial statements should be read in conjunction with our audited financial statements and footnotes related thereto for the year ended December 31, 2016 included in our annual report on Form 10-K filed with the SEC on March 9, 2017.

In our opinion, the accompanying unaudited condensed financial statements contain all adjustments, consisting only of normal recurring adjustments, necessary for a fair statement of its financial position as of March 31, 2017, and its results of operations and cash flows for the three months ended March 31, 2017 and March 31, 2016. The results of operations for such interim periods are not necessarily indicative of the results to be achieved for the full year. The condensed balance sheet at December 31, 2016, was derived from audited annual financial statements, but does not contain all of the footnote disclosures from the annual financial statements

2. COLLABORATIONS AND ALLIANCES

Daiichi Sankyo Tivantinib Agreement

As previously reported, 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.

Under the terms of our tivantinib collaboration agreement with Daiichi Sankyo we shared development costs equally with our share of Phase 3 costs funded solely from milestones and royalties. In each quarter the tivantinib collaboration costs we incurred were compared with those of Daiichi Sankyo. If our costs for the quarter exceeded Daiichi Sankyo's, we recognized revenue on the amounts due to us under the contingency adjusted performance model. Revenue was 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 were less than those of Daiichi Sankyo, we reported the amount due to Daiichi Sankyo as contra-revenue in that quarter. To the extent that our share of Phase 3 collaboration costs exceeded the amount of milestones and royalties received, that excess was netted against milestones and royalties earned and was not reported as contra-revenue.

Our cumulative share of the Daiichi Sankyo Phase 3 costs through March 31, 2017 totaled \$107.7 million. Our cumulative share of Phase 3 collaboration costs has exceeded the amount of milestones received through March 31, 2017 by \$67.7 million which are not required to be repaid upon expiration of the agreement.

Revenue for this agreement was recognized using the contingency-adjusted performance model with an estimated development period through December 31, 2016. On February 17, 2017, we and Daiichi Sankyo announced that the METIV-HCC trial did not meet its primary end point of improving OS. As a result, we do not anticipate receiving further royalties or milestones in connection with the agreement.

For the quarters ended March 31, 2017 and 2016, zero and \$0.7 million, respectively, were recognized as net revenue.

Kyowa Hakko Kirin Licensing Agreement

As previously reported, 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. Revenue for this agreement was recognized using the contingency-adjusted performance model with an estimated development period through December 31, 2016. On March 27, 2017, we reported that our partner, Kyowa Hakko Kirin, announced top-line results of the JET-HCC Phase 3 trial of tivantinib in Japan, and that the trial did not meet its primary endpoint of improving PFS. As a result, we do not anticipate receiving further royalties or milestones in connection with the agreement.

For the quarters ended March 31, 2017 and 2016, zero and \$0.5 million, respectively, were recognized as 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 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 and comprehensive loss.

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 statement of operations and comprehensive loss 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.

The following is a summary of the fair value of available-for-sale marketable securities we held at March 31, 2017 and December 31, 2016:

March 31, 2017	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
<i>Security type</i>				
Corporate debt securities-short term	\$ 9,305	\$ —	\$ (2)	\$ 9,303
Total available-for-sale marketable securities	\$ 9,305	\$ —	\$ (2)	\$ 9,303

December 31, 2016	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
<i>Security type</i>				
Corporate debt securities-short term	\$ 15,857	\$ 7	\$ (5)	\$ 15,859
Total available-for-sale marketable securities	\$ 15,857	\$ 7	\$ (5)	\$ 15,859

Our available-for-sale marketable securities in a loss position at March 31, 2017, and December 31, 2016 were in a continuous unrealized loss position for less than 12 months.

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 31, 2017	Quoted Prices in Active Markets (Level 1)	Significant Other Observable Inputs (Level 2)	Significant Unobservable Inputs (Level 3)
Cash equivalents	\$ 2,947	\$ 2,947	\$ —	\$ —
Corporate debt securities-short term	9,303	—	9,303	—
Total	\$ 12,250	\$ 2,947	\$ 9,303	\$ —

	December 31, 2016	Quoted Prices in Active Markets (Level 1)	Significant Other Observable Inputs (Level 2)	Significant Unobservable Inputs (Level 3)
Cash equivalents	\$ 12,923	\$ 12,923	\$ —	\$ —
Corporate debt securities-short term	15,859	—	15,859	—
Total	\$ 28,782	\$ 12,923	\$ 15,859	\$ —

4. ACCOUNTS PAYABLE AND ACCRUED EXPENSES

Accounts payable and accrued expenses include the following at March 31, 2017 and December 31, 2016:

	March 31, 2017	December 31, 2016
Accounts payable	\$ 610	\$ 710
Accrued payroll	772	1,856
Accrued outsourced pre-clinical and clinical fees	4,682	5,461
Accrued professional fees	663	363
Other accrued expenses	437	310
	<u>\$ 7,164</u>	<u>\$ 8,700</u>

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, for the three months ended March 31, 2017, include 9,504,090 shares that would be issued upon the exercise of outstanding employee stock options and 354,330 shares that would be issued upon the exercise of the warrants issued in conjunction with our January 6, 2017 loan agreement. Potential common shares, for the three months ended March 31, 2016, include 9,477,198 shares that would be issued upon the exercise of outstanding employee stock options, and 3,567,956 shares that would have been issued upon exercise of stock options issued in our February 26, 2016 stock offering.

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, 2017 and 2016.

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

	Three Months Ended March 31,	
	2017	2016
Research and development	\$ 130	\$ 190
General and administrative	413	404
Total stock-based compensation expense	<u>\$ 543</u>	<u>\$ 594</u>

In the three months ended March 31, 2017 and 2016, 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, 2017 was as follows:

Stock Options	Number of Shares	Weighted Average Exercise Price
Outstanding as of December 31, 2016	8,715,048	\$ 3.71
Granted	982,500	1.53
Exercised	—	—
Cancelled	(193,458)	5.98
Outstanding as of March 31, 2017	<u>9,504,090</u>	<u>\$ 3.44</u>
Exercisable as of March 31, 2017	<u>6,481,588</u>	<u>\$ 4.28</u>

The aggregate intrinsic value of options outstanding at March 31, 2017 was zero related to exercisable options. The weighted average fair value of options granted in the three months ended March 31, 2017 and 2016 was \$0.93 and \$1.12 per share, respectively. The intrinsic value of options exercised in the three months ended March 31, 2017 was zero.

Shares vested, expected to vest and exercisable at March 31, 2017 are as follows:

	<u>Shares</u>	<u>Weighted- Average Exercise Price</u>	<u>Weighted- Average Remaining Contractual Term (in years)</u>	<u>Aggregate Intrinsic Value</u>
Vested and unvested expected to vest at March 31, 2017	9,326,234	\$ 3.44	5.9	\$ —
Exercisable at March 31, 2017	6,481,588	\$ 4.28	4.5	\$ —

The total compensation cost not yet recognized as of March 31, 2017 related to non-vested option awards was \$3.0 million, which will be recognized over a weighted-average period of 3.1 years. During the three months ended March 31, 2017, 182,877 shares expired and 10,581 shares were forfeited. The weighted average remaining contractual life for options exercisable at March 31, 2017 was 4.5 years.

In 2013, we granted 242,697 shares of restricted stock to employees, vesting annually over a four year period. The weighted average fair value of the restricted stock at the time of grant in 2013 was \$2.51 per share, and is being expensed ratably over the vesting period. Through March 31, 2017, 82,617 shares have been forfeited, and 160,080 shares have vested. We recognized share-based compensation expense related to restricted stock of \$8 and \$22 for the three months ended March 31, 2017 and 2016, respectively.

Restricted stock activity under the Plan for the three months ended March 31, 2017 was as follows:

<u>Restricted Stock</u>	<u>Number of Shares</u>	<u>Weighted Average Grant Date Fair Value</u>
Unvested as of December 31, 2016	29,276	\$ 2.51
Vested	(29,276)	2.51
Unvested as of March 31, 2017	<u>—</u>	<u>\$ —</u>

The fair value of restricted stock that vested in the three months ended March 31, 2017 and 2016 was \$46 and \$47, respectively.

7. STOCK OFFERING

On February 26, 2016, we entered into definitive stock purchase agreements with certain institutional and accredited investors. In conjunction with this stock offering we issued 8,027,900 shares of our common stock and non-transferable options for 3,567,956 shares of our common stock for aggregate net proceeds of \$15.2 million. Each option was exercisable for \$2.50 per share and they all expired on March 22, 2017.

8. LOAN AGREEMENT

On January 6, 2017, Oxford Finance LLC, as collateral agent and a lender (the “Lender”), and any additional lenders that may become parties thereto, entered into a loan and security agreement with us (the “Loan Agreement”).

Pursuant to the terms of the Loan Agreement, the Lender issued us a loan in the principal amount of \$15.0 million. The loan will bear interest at the rate equal to (a) the greater of (i) the 30 day U.S. LIBOR rate reported in the Wall Street Journal on the date occurring on the last business day of the month that immediately precedes the month in which the interest will accrue or (ii) 0.65% (b) plus 6.85%. The applicable interest rate on the loan at March 31, 2017 was 7.83%. We will have interest-only payments for 18 months, followed by an amortization period of 36 months. The maturity date of the loan is July 1, 2021.

The expected remaining repayment of the \$15 million loan principal is as follows:

2018	\$	1,667
2019		5,000
2020		5,000
2021		3,333
	\$	<u>15,000</u>

Upon the earlier of prepayment or the maturity date, we will pay to the Lender a final payment of 6% of the full principal amount of the loan. We may elect to prepay all amounts owed prior to the maturity date, provided that a prepayment fee also is paid equal to (i) 3% of the outstanding principal balance if prepayment occurs in months 1-12 following the closing, (ii) 2.0% of the outstanding principal balance in months 13-24 following the closing, and (iii) 1% thereafter.

We paid the Lender an upfront facility fee of \$75,000.

Pursuant to the terms of the Loan Agreement, we are bound by certain affirmative covenants setting forth actions that are required during the term of the Loan Agreement, including, without limitation, certain information delivery requirements, obligations to maintain certain insurance, and certain notice requirements. Additionally, we are bound by certain negative covenants setting forth actions that are not permitted to be taken during the term of the Loan Agreement without consent, including, without limitation, incurring certain additional indebtedness, entering into certain mergers, acquisitions or other business combination transactions, or incurring any non-permitted lien or other encumbrance on our assets. We are in compliance with the loan covenants at March 31, 2017.

Upon the occurrence of an event of default under the Loan Agreement (subject to cure periods for certain events of default), all amounts owed by us thereunder will begin to bear interest at a rate that is 5% higher than the rate that is otherwise applicable and may be declared immediately due and payable by the Lender. Events of default under the Loan Agreement include, among other things, the following: the occurrence of certain bankruptcy events; the failure to make payments under the Loan Agreement when due; the occurrence of a material adverse change in our business, operations or financial condition; the rendering of certain types of fines or judgments against us; any breach by us of any covenant (subject to cure for certain covenants only) made in the Loan Agreement; and the failure of any representation or warranty made by us in connection with the Loan Agreement to be correct in all material respects when made.

We have granted Lender, a security interest in substantially all of our personal property, rights and assets, other than intellectual property, to secure the payment of all amounts owed to the Lender under the Loan Agreement. We have also agreed not to encumber any of our intellectual property without required lenders' prior written consent.

In connection with entering into the Loan Agreement, we issued to the Lender warrants to purchase an aggregate of 354,330 shares of our common stock (the "Lender Warrants"). The warrants are exercisable immediately, have a per-share exercise price of \$1.27 and have a term of ten years. We have recorded the relative fair value of the warrants as a discount to the carrying value of the notes payable with a corresponding increase to additional paid in capital.

9. 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 us 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.

In March 2016, the FASB issued ASU No. 2016-09, Compensation - Stock Compensation (Topic 718): Improvements to Employee Share-Based Payment Accounting. The new standard involves several aspects of the accounting for share-based payment transactions, including the income tax consequences, classification of awards as either equity or liabilities and classification on the statement of cash flows. We adopted this ASU in 2017 and it will not have a material impact on our financial position, results of operations or statement of cash flows.

In February 2016, the FASB issued ASU No. 2016-02, Leases (Topic 842). The new standard requires that all lessees recognize the assets and liabilities that arise from leases on the balance sheet and disclose qualitative and quantitative information about its leasing arrangements. The new standard will be effective for us on January 1, 2019. We are currently evaluating the potential impact that this standard may have on our financial position and results of operations.

In August 2014, the FASB issued Accounting Standard Update (“ASU”) 2014-15, Presentation of Financial Statements—Going Concern (Subtopic 205-40): Disclosure of Uncertainties about an Entity’s Ability to Continue as a Going Concern. Under the new guidance, management will be required to assess an entity’s ability to continue as a going concern, and to provide related footnote disclosures in certain circumstances. The provisions of this ASU are effective for annual periods ending after December 15, 2016, and for annual and interim periods thereafter and we adopted this ASU in 2016.

During the quarter ended June 30, 2014, the FASB issued ASU No. 2014-09, “Revenue from Contracts with Customers” (Topic 606), which supersedes all existing revenue recognition requirements, including most industry-specific guidance. The new standard requires a company to recognize revenue when it transfers goods or services to customers in an amount that reflects the consideration that the company expects to receive for those goods or services. In August 2015, the FASB issued ASU No. 2015-14, Revenue from Contracts with Customers (Topic 606): Deferral of the Effective Date, which delayed the effective date of the new standard from January 1, 2017 to January 1, 2018. The FASB also agreed to allow entities to choose to adopt the standard as of the original effective date. We evaluated this ASU and determined that it will not have a material impact on our financial position or results of operations.

10. INCOME TAXES

As of December 31, 2016, we had federal NOL, state NOL, and research and development credit carryforwards of approximately \$382,781, \$202,137 and \$27,779 respectively, which expire at various dates through 2036. Approximately \$15,080 of our federal NOL and \$929 of our state NOL were generated from excess tax deductions from share-based awards.

The Company adopted ASU No. 2016-09 in the first quarter of 2017. The recognition of the excess tax benefits from share-base payments mentioned above increased deferred tax assets and retained earnings accordingly. As the company doesn’t expect taxable income in the foreseeable future, we reserved the full amount at the time of the recognition and there was no impact on the net positions of deferred tax assets and retained earnings. The amount of excess tax benefits or deficiencies will fluctuate from period to period based on the price of our stock, the volume of share-based instruments settled or vested, and the value assigned to employee equity awards under U.S. GAAP and these fluctuations did not affect our net deferred tax position in the first quarter of 2017.

At March 31, 2017 and December 31, 2016, 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. Our policy is to recognize interest and penalties related to uncertain tax positions in income tax expense. As of March 31, 2017 and December 31, 2016, we had no accrued interest or penalties related to uncertain tax positions. Our U.S. federal tax returns for the tax years 2013 through 2016 and our state tax returns for the tax years 2013 through 2016 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 and 383 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 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 through January 31, 2017, to determine whether such amounts are likely to be limited by Sections 382 or 383. As a result of this analysis, we currently do not believe any Sections 382 or 383 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.

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

The following discussion should be read in conjunction with our condensed financial statements and accompanying notes contained in this quarterly report on Form 10-Q and our audited financial statements and related notes included in our Annual Report on Form 10-K for the year ended December 31, 2016.

We are a biopharmaceutical company engaged in the research and development of innovative therapeutics to treat cancers and rare diseases. Our mission is to discover, develop and commercialize novel small molecule drugs in areas of high unmet need that will dramatically extend and improve the lives of our patients. These drugs target biological pathways implicated in a wide range of cancers and certain non-oncology indications. Our discovery and development efforts are guided, when possible, by an understanding of the role of biomarkers, which are indicators of a particular biological condition or process and may predict the clinical benefit of our compounds in defined patient populations. Our clinical-stage pipeline consists of five drug candidates, all of which are in targeted patient populations, making ArQule a leader among companies our size in precision medicine.

ArQule has a long history of kinase drug discovery and development, having discovered and introduced nine kinase inhibitors into clinical trials. Our drug discovery efforts have been informed by our historical expertise in chemistry, our work in rational drug design and by our insight into kinase binding and regulation. We have applied this knowledge to produce significant chemical matter for a number of kinase targets and to build an extensive library of proprietary compounds with the potential to target multiple kinases in oncology and other therapeutic areas, such as rare diseases. We expect to bring further preclinical programs forward and to interrogate our library against new targets beyond kinases either directly or with collaborators.

Our proprietary pipeline of product candidates is directed toward molecular targets and biological processes with demonstrated roles in the development of both human cancers and rare, non-oncology diseases. All of these programs are being developed in targeted, biomarker-defined patient populations. By seeking out subgroups of patients that are most likely to respond to our drugs, we intend to identify small, often orphan, indications that allow for focused and efficient development. At the same time, in addition to pursuing these potentially fast-to-market strategies, we also pursue development in other indications that could allow us to expand the utility of the drugs if approved. The pipeline includes the following wholly-owned compounds:

- ARQ 087, a multi-kinase inhibitor designed to preferentially inhibit the FGFR family of kinases, in Phase 2 for intrahepatic cholangiocarcinoma (iCCA) and in Phase 1b for multiple oncology indications;
- ARQ 092, a selective inhibitor of the AKT serine/threonine kinase, in Phase 1 for multiple oncology indications and in the rare disease, Proteus syndrome, in partnership with the National Institutes of Health (NIH);
- ARQ 751, a next-generation inhibitor of AKT, in Phase 1 for solid tumors harboring the AKT1 or PI3K mutation;
- ARQ 531, an investigational, orally bioavailable, potent and reversible inhibitor of both wild type and C481S-mutant BTK, planned to advance to Phase 1 by Q3 2017; and
- ARQ 761, a β -lapachone analog being evaluated as a promoter of NQO1-mediated programmed cancer cell death, in Phase 1/2 in multiple oncology indications in partnership with The University of Texas Southwest Medical Center.

Our most advanced partnered asset was tivantinib (ARQ 197), an orally administered, small molecule inhibitor of the c-Met receptor tyrosine kinase (“MET”) and its biological pathway. We licensed commercial rights to tivantinib for human cancer indications to Daiichi Sankyo Co., Ltd. (“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 Co., Ltd. (“Kyowa Hakko Kirin”).

Our METIV-HCC trial was a pivotal Phase 3 randomized, double-blind, controlled study of tivantinib as single agent therapy in previously treated patients with MET diagnostic-high, inoperable HCC conducted by Daiichi Sankyo and us. The primary endpoint was overall survival (OS) in the intent-to-treat (ITT) population, and the secondary endpoint was progression-free survival (PFS) in the same population. On February 17, 2017, we and Daiichi Sankyo announced that the METIV-HCC trial did not meet its primary endpoint of improving.

Our JET-HCC was a pivotal Phase 3, randomized, double-blind, controlled study of tivantinib as single-agent therapy in previously treated patients with MET diagnostic-high, inoperable HCC conducted by Kyowa Hakko Kirin in Japan. On March 27, 2017, we reported that our partner, Kyowa Hakko Kirin, announced top-line results of the JET-HCC Phase 3 trial of tivantinib in Japan, and that the trial did not meet its primary endpoint of improving PFS.

We have incurred a cumulative deficit of approximately \$512 million from inception through March 31, 2017. We recorded a net loss for 2015 and 2016 and expect a net loss for 2017.

As previously reported, 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. On February 17, 2017, we and Daiichi Sankyo announced that the MET-IV-HCC trial did not meet its primary end point of improving OS. As a result, we do not anticipate receiving further royalties or milestones in connection with the agreement.

Under the terms of our tivantinib collaboration agreement with Daiichi Sankyo we shared development costs equally with our share of Phase 3 costs funded solely from milestones and royalties. In each quarter the tivantinib collaboration costs we incurred were compared with those of Daiichi Sankyo. If our costs for the quarter exceeded Daiichi Sankyo’s, we recognized revenue on the amounts due to us under the contingency adjusted performance model. Revenue was 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 were less than those of Daiichi Sankyo, we reported the amount due to Daiichi Sankyo as contra-revenue in that quarter. To the extent that our share of Phase 3 collaboration costs exceeded the amount of milestones and royalties received, that excess was netted against milestones and royalties earned and was not reported as contra-revenue.

Our cumulative share of the Daiichi Sankyo Phase 3 costs through March 31, 2017 totaled \$107.7 million. Our cumulative share of Phase 3 collaboration costs has exceeded the amount of milestones received through March 31, 2017 by \$67.7 million which are not required to be repaid upon expiration of the agreement.

Revenue for this agreement was recognized using the contingency-adjusted performance model with an estimated development period through December 31, 2016. On February 17, 2017, we and Daiichi Sankyo announced that the METIV-HCC trial did not meet its primary end point of improving OS. As a result, we do not anticipate receiving further royalties or milestones in connection with the agreement.

As previously reported, 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. Revenue for this agreement was recognized using the contingency-adjusted performance model with an estimated development period through December 31, 2016. On March 27, 2017, we reported that our partner, Kyowa Hakko Kirin, announced top-line results of the JET-HCC Phase 3 trial of tivantinib in Japan, and that the trial did not meet its primary endpoint of improving PFS. As a result, we do not anticipate receiving further royalties or milestones in connection with the agreement.

LIQUIDITY AND CAPITAL RESOURCES

	March 31,	December 31,	Increase (decrease)	
	2017	2016	\$	%
	(in millions)			
Cash, cash equivalents and marketable securities-short term	\$ 37.5	\$ 31.1	6.4	21%
Working capital	31.0	23.2	7.8	33%
	Q1 2017	Q1 2016	Increase (decrease)	
	(in millions)			
Cash flow from:				
Operating activities	\$ (8.3)	\$ (6.4)	\$ (1.9)	
Investing activities	6.6	(2.9)	9.5	
Financing activities	14.7	15.3	(0.6)	

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 quarters ended March 31, 2017 and 2016, our net use of cash was primarily driven by payments for operating expenses which resulted in net cash outflows of \$8.3 million and \$6.4 million, respectively.

Cash flow from investing activities. Our net cash provided by investing activities of \$6.6 million for the quarter ended March 31, 2017, was comprised of net maturities of marketable securities. Our net cash provided by investing activities of \$2.9 million for the quarter ended March 31, 2016 was comprised primarily of net maturities of marketable securities of \$13.4 million and net purchases of marketable securities of \$10.5 million. The composition and mix of cash, cash equivalents and marketable securities may change frequently as a result of our constant evaluation of conditions in financial markets, the maturity of specific investments, and our near term liquidity needs.

Cash flow from financing activities. Our net cash provided by financing activities of \$14.7 million for the quarter ended March 31, 2017, was comprised of \$14.7 million net proceeds from the loan and security agreement that we entered into on January 6, 2017. Our net cash provided by financing activities of \$15.3 million for the quarter ended March 31, 2016, was comprised of net proceeds from our February 26, 2016 stock offering of \$15.2 million and \$0.1 million from stock option exercises and employee stock plan purchases.

Our cash equivalents and marketable securities typically include U.S. Treasury bill funds, money market funds, commercial paper, and U.S. federal and state agency backed certificates 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.

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.

On February 26, 2016 we entered into definitive stock purchase agreements with certain institutional and accredited investors. In conjunction with this stock offering we issued 8,027,900 shares of our common stock and non-transferable options for 3,567,956 shares of our common stock for aggregate net proceeds of \$15.2 million. Each option was exercisable for \$2.50 per share and they all expired on March 22, 2017.

On January 6, 2017, we entered into a loan and security agreement in the principal amount of \$15.0 million. The loan will bear interest at a minimum of 7.6% per annum and the interest rate will float based upon the 30 day U.S. LIBOR rate. We will have interest-only payments for 18 months, followed by an amortization period of 36 months. The maturity date of the loan is July 1, 2021.

We anticipate that our cash, cash equivalents and marketable securities on hand at March 31, 2017 and the funds received on January 6, 2017 from our loan and security agreement mentioned above will be sufficient to finance our operations into at least mid-2018.

Our contractual obligations were comprised of the following as of March 31, 2017 (in thousands):

Contractual Obligations	Payment due by period				
	Total	Less than 1 year	1 - 3 years	3 - 5 years	More than 5 years
Notes payable	\$ 15,900	\$ —	\$ 8,333	\$ 7,567	\$ —
Operating lease obligations	1,670	549	1,121	—	—
Purchase obligations	4,682	4,682	—	—	—
Total	\$ 22,252	\$ 5,231	\$ 9,454	\$ 7,567	\$ —

In January 2015, we entered into a lease agreement for a new headquarters facility. The lease commenced on May 1, 2015 for a term of five years and three months with an average annual rental rate of \$455 thousand. The obligations for this new facility are included in the table above.

Purchase obligations are comprised primarily of outsourced preclinical and clinical trial expenses and payments to license certain intellectual property to support our research efforts.

CRITICAL ACCOUNTING POLICIES AND ESTIMATES

A “critical accounting policy” is one which is both important to the portrayal of our 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 Financial Statements included in our Annual Report for the fiscal year ended December 31, 2016 on Form 10-K filed with the SEC on March 9, 2017.

RESULTS OF OPERATIONS

The following are the results of operations for the three months ended March 31, 2017 and 2016:

Revenue

	(in millions)		Increase (decrease)	
	2017	2016	\$	%
<i>For the three months ended March 31:</i>				
Research and development revenue	\$ —	\$ 1.2	\$ (1.2)	(100)%

Research and development revenue in the three months ended March 31, 2017 was zero due to the end of the estimated development period on December 31, 2016 for both the Daiichi Sankyo tivantinib development agreement and the Kyowa Hakko Kirin exclusive license agreement. Research and development revenue in the three months ended March 31, 2016 revenue is comprised of revenue of \$0.5 million from our Daiichi Sankyo METIV-HCC trial and \$0.7 million from our Kyowa Hakko Kirin JET-HCC trial.

Research and development

	(in millions)		Increase (decrease)	
	2017	2016	\$	%
<i>For the three months ended March 31:</i>				
Research and development	\$ 5.2	\$ 4.2	\$ 1.0	24%

Research and development expense in the quarter ended March 31, 2017 increased by \$1.0 million primarily due to higher outsourced preclinical, clinical and product development costs. At March 31, 2017 we had 20 employees dedicated to our research and development program compared to 21 at March 31, 2016.

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 that our research and development expense will remain significant 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):

Oncology program	Current status	Three Months Ended March 31, 2017	Program-to- date
Met program—Tivantinib	Phase 3	\$ 0.1	\$ 84.9

Under the terms of our tivantinib collaboration agreement with Daiichi Sankyo we shared development costs equally with our share of Phase 3 costs funded solely from milestones and royalties. Our cumulative share of Phase 3 collaboration costs has exceeded the amount of milestones received through March 31, 2017 by \$67.7 million and is not reflected in the above table.

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, and 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 each of these types of products to take nine years or more, and for total development costs to exceed \$500 million for each product.

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

<u>Clinical Phase</u>	<u>Estimated Completion Period</u>
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 do not substantially depend 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 Sankyo 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

	<u>2017</u>		<u>2016</u>		<u>Increase (decrease)</u>		
	(in millions)				<u>\$</u>	<u>%</u>	
<i>For the three months ended March 31:</i>							
General and administrative	\$	2.1	\$	2.0	\$	0.1	1%

General and administrative expense increased in the first quarter of 2017 principally due to higher labor related costs. General and administrative headcount was 14 at March 31, 2017, compared to 16 at March 31, 2016.

Interest income and interest expense

	<u>2017</u>		<u>2016</u>		<u>Increase (decrease)</u>		
	(in thousands)				<u>\$</u>	<u>%</u>	
<i>For the three months ended March 31:</i>							
Interest income	\$	22	\$	34	\$	(12)	(35)%
Interest expense		330		—	330	100%	

Interest income is derived from our portfolio of cash, cash equivalents and investments and decreased in the first quarter of 2017 primarily due to a decrease in our portfolio balance. Interest expense is from the loan agreement we entered into on January 6, 2017.

RECENT ACCOUNTING PRONOUNCEMENTS

For a discussion of new accounting pronouncements please read Note 9, *Recent Accounting Pronouncements* to our financial statements included in this report.

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 by 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 existing and planned clinical trials as well as projected financial results and our ability to fund operations with current cash, cash equivalents and marketable securities.

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 unpredictable 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 our 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 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, 2016 filed with the SEC on February 28, 2017, 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 our judgment 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 equivalents and marketable securities typically include commercial paper, money market funds, and U.S. Treasury bill funds 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. Based on the type of securities we hold, we do not believe a change in interest rates would have a material impact on our financial statements. If interest rates were to increase or decrease by 1%, this would not result in a material change in the fair value of our investment portfolio.

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, 2017. 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, 2017, 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 our internal control over financial reporting during the most recently completed fiscal quarter that have materially affected, or are reasonably likely to materially affect, our 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 our 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, 2016 filed with the SEC on March 10, 2017, 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
10.1	Form of Performance-based Option Agreement.* Filed as Exhibit 10.1 to our Current Report on Form 8-K filed on April 10, 2017 (File No. 000-21429) and incorporated herein by reference.
10.2	Fourth Amendment to Employment Agreement with Paolo Pucci.* Filed as Exhibit 10.2 to our Current Report on Form 8-K filed on April 10, 2017 (File No. 000-21429) and incorporated herein by reference.
10.3	Fifth Amendment to Employment Agreement with Peter Lawrence.* Filed as Exhibit 10.3 to our Current Report on Form 8-K filed on April 10, 2017 (File No. 000-21429) and incorporated herein by reference.
10.4	Fourth Amendment to Employment Agreement with Brian Schwartz.* Filed as Exhibit 10.4 to our Current Report on Form 8-K filed on April 10, 2017 (File No. 000-21429) and incorporated herein by reference.
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

*Management compensatory plan or agreement

ARQULE, INC.

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.

Date: May 3, 2017

ArQule, Inc.

/s/ PETER S. LAWRENCE

Peter S. Lawrence
President and Chief Operating Officer
(Principal Financial Officer)

/s/ ROBERT J. WEISKOPF

Robert J. Weiskopf
Chief Financial Officer and Treasurer
(Principal Accounting Officer)

CERTIFICATE OF THE CHIEF EXECUTIVE OFFICER

I, Paolo Pucci, certify that:

1. I have reviewed this quarterly report on Form 10-Q of ArQule, Inc.;
2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;
3. Based on my knowledge, the financial statements, and other financial information included in this report fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;
4. The registrant's other certifying officer and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15(f)) for the registrant and have:
 - a) Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, is made known to us by others within those entities, particularly during the period in which this report is being prepared;
 - b) Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles;
 - c) Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures as of the end of the period covered by this report based on such evaluation; and
 - d) Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth fiscal quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and
5. The registrant's other certifying officer and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's board of directors (or persons performing the equivalent functions):
 - a) All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and
 - b) Any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: May 3, 2017

/s/ PAOLO PUCCI
Paolo Pucci
Chief Executive Officer
(Principal Executive Officer)

CERTIFICATE OF THE PRINCIPAL FINANCIAL OFFICER

I, Peter S. Lawrence, certify that:

1. I have reviewed this quarterly report on Form 10-Q of ArQule, Inc.;
2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;
3. Based on my knowledge, the financial statements, and other financial information included in this report fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;
4. The registrant's other certifying officer and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15(f)) for the registrant and have:
 - a) Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, is made known to us by others within those entities, particularly during the period in which this report is being prepared;
 - b) Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles;
 - c) Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures as of the end of the period covered by this report based on such evaluation; and
 - d) Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth fiscal quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and
5. The registrant's other certifying officer and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's board of directors (or persons performing the equivalent functions):
 - a) All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and
 - b) Any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: May 3, 2017

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

ARQULE, INC.

CERTIFICATE OF THE CHIEF EXECUTIVE OFFICER AND
PRINCIPAL FINANCIAL OFFICER

The undersigned, Paolo Pucci Chief Executive Officer (Principal Executive Officer) of ArQule, Inc. (the "Company") and Peter S. Lawrence, President and Chief Operating Officer (Principal Financial Officer), of the Company, both duly elected and currently serving, hereby certify that, to the best of his or her knowledge:

1. the quarterly report on Form 10-Q for the period ending March 31, 2017, filed on behalf of the Company pursuant to the Securities Exchange Act of 1934 (the "Exchange Act") and containing the financial statements of the Company, fully complies with the requirements of section 13(a) of the Exchange Act; and
2. the information contained in such quarterly report fairly presents, in all material respects, the financial condition and results of operations of the Company for the dates and periods covered by such quarterly report.

This certification accompanies the Company's Quarterly Report on Form 10-Q for the period ended March 31, 2017, pursuant to Section 906 of the Sarbanes-Oxley Act of 2002 (the "2002 Act") and shall not be deemed filed by the Company for purposes of Section 18 of the Exchange Act.

This certification is being made for the exclusive purpose of compliance by the Principal Executive Officer and Principal Financial Officer of the Company with the requirements of Section 906 of the 2002 Act, and may not be disclosed, distributed or used by any person for any reason other than as specifically required by law.

IN WITNESS WHEREOF, the undersigned have executed this Certificate as of the 3rd day of May 2017.

/s/ PAOLO PUCCI

Name: Paolo Pucci
Title: Chief Executive Officer
(Principal Executive Officer)

/s/ PETER S. LAWRENCE

Name: Peter S. Lawrence
Title: President and Chief Operating Officer
(Principal Financial Officer)