May 3, 2012

ArQule Reports First Quarter 2012 Financial Results

Conference call scheduled today at 9:00 a.m. eastern time

WOBURN, Mass.--(BUSINESS WIRE)-- ArQule, Inc. (NASDAQ: ARQL) today announced its financial results for the first quarter of 2012.

For the quarter ended March 31, 2012, the Company reported a net loss of $4,260,000, or $0.08 per share, compared to a net loss of $1,466,000, or $0.03 per share, for the first quarter of 2011.

At March 31, 2012, the Company had a total of $100,358,000 in cash, equivalents and marketable securities.

Operational Update

- Reporting of randomized, controlled Phase 2 clinical trial with tivantinib (ARQ 197) as a single agent in hepatocellular carcinoma, showing a statistically significant improvement in time-to-progression in the intent-to-treat (ITT) population of previously treated patients;
- Data presentations for tivantinib at the Annual Meeting of the American Association for Cancer Research (AACR), including IHC analysis of tissue from a completed Phase 2 clinical trial in non-small cell lung cancer (NSCLC) showing that non-squamous NSCLC tumors were more often positive for c-MET expression than squamous NSCLC tumors.

"The top-line Phase 2 findings with tivantinib in HCC announced in January, 2012 represent the first randomized data with a c-Met inhibitor administered as a single agent in this disease," said Paolo Pucci, chief executive officer of ArQule. "We look forward to presenting additional data from this trial at the Annual Meeting of the American Society of Clinical Oncology in June, including secondary endpoint, sub-group and biomarker analyses.

"In April, 2012, the Company completed a common stock offering, which combined with the full exercise of an over-allotment option resulted in net proceeds of approximately $56 million, an amount not reflected in our first quarter financials," said Mr. Pucci.

Revenues and Expenses

The Company reported revenues of $8,498,000 for the quarter ended March 31, 2012, compared with $13,405,000 for the quarter ended March 31, 2011. The revenue decrease in the quarter ended March 31, 2012 is primarily due to the timing of revenue recognized from the $25 million milestone payment received in the quarter ended March 31, 2011 from Daiichi Sankyo triggered by the dosing of the first patient in the Phase 3 NSCLC clinical trial. In the quarter ended March 31, 2011, we recognized revenue of $11.4 million related to this milestone, compared with $1.2 million in the quarter ended March 31, 2012. This decrease was partially offset by revenue increases from our Daiichi Sankyo AKIP™ and ARQ 092 agreements, as well as lower contra revenue of $1.6 million.

Total costs and expenses for the quarter ended March 31, 2012 were $12,902,000, compared to $14,936,000 for the first quarter of 2011. Research and development costs for the quarter ended March 31, 2012 were $9,303,000, compared to $11,393,000 for the first quarter of 2011. These decreases were primarily due to lower outsourced clinical and product development costs related to Phase 1 and 2 clinical programs for tivantinib.

General and administrative costs for the quarter ended March 31, 2012 were $3,599,000, compared to $3,543,000 for the first quarter of 2011.

Updated Financial Guidance

As previously stated, for 2012 ArQule expects net use of cash to range between $39 and $44 million. Revenues are expected to range between $40 and $45 million. Net loss is expected to range between $15 and $20 million. To reflect the April 16, 2012 common stock offering, net loss per share is now expected to range between $(0.25) and $(0.33) for 2012. As a result of the approximately $56 million of net proceeds from this offering, ArQule now expects to end 2012 with between $121 and $126 million in cash and marketable securities.

Conference Call and Webcast

Conference call details

Date:	Thursday, May 3, 2012
Time:	9:00 a.m. Eastern Time
Conference Call Numbers	
Domestic:	877-868-1831
International:	914-495-8595
Web cast:	http://www.arqule.com

A replay of the conference call will be available for seven days following the call and can be accessed by dialing toll-free 855-859-2056 and outside the U.S. 404-537-3406. The confirmation code for replayed calls is 73469325.

About ArQule

ArQule is a biotechnology company engaged in the research and development of next-generation, small-molecule cancer therapeutics. The Company's targeted, broad-spectrum products and research programs are focused on key biological processes that are central to human cancers. ArQule's lead product, in Phase 2 and Phase 3 clinical development, is tivantinib, also known as ARQ 197, an inhibitor of the c-Met receptor tyrosine kinase. The Company has also initiated Phase 1 clinical testing with ARQ 621, designed to inhibit the Eg5 kinesin motor protein, and with ARQ 736, designed to inhibit the RAF kinases. ArQule's current discovery efforts, which are based on the ArQule Kinase Inhibitor Platform (AKIP™), are focused on the identification of novel kinase inhibitors that are potent, selective and do not compete with ATP (adenosine triphosphate) for binding to the kinase.

This press release contains forward-looking statements regarding the Company's clinical trials with tivantinib (ARQ 197) and other candidate compounds in earlier stages of development, as well as forward-looking statements related to the Company's financial guidance for 2012 (including estimates of net use of cash, revenues, net loss, net loss per share and cash and marketable securities at the end of 2012), key corporate objectives for 2012, its ability to fund operations with current cash and marketable securities, and its agreements with its partner, Daiichi Sankyo, Inc. These statements are based on the Company's current beliefs and expectations, and are subject to risks and uncertainties that could cause actual results to differ materially. Positive information about pre-clinical and early stage clinical trial results does not ensure that later stage or larger scale clinical trials will be successful. For example, tivantinib, ARQ 621, ARQ 736 and ARQ 092 may not demonstrate promising therapeutic effects; in addition, they may not demonstrate appropriate safety profiles in current or later stage or larger scale clinical trials as a result of known or as yet unanticipated side effects. The results achieved in later stage trials may not be sufficient to meet applicable regulatory standards or to justify further development. Problems or delays may arise during clinical trials or in the course of developing, testing or manufacturing these compounds that could lead the Company or its partners to discontinue development. Even if later stage clinical trials are successful, unexpected concerns may arise from analysis of data or from additional data. Obstacles may arise or issues may be identified in connection with review of clinical data with regulatory authorities. Regulatory authorities may disagree with the Company's view of the data or require additional data or information or additional studies. In addition, the planned timing of initiation and completion of clinical trials for tivantinib are subject to the ability of the Company as well as Daiichi Sankyo, Inc. and Kyowa Hakko Kirin, a licensee of tivantinib, to enroll patients, enter into agreements with clinical trial sites and investigators, and overcome technical hurdles and other issues related to the conduct of the trials for which each of them is responsible. There is a risk that these issues may not be successfully resolved. Drug development involves a high degree of risk. Only a small number of research and development programs result in the commercialization of a product. Positive pre-clinical data may not be supported in later stages of development. Furthermore, ArQule may not have the financial or human resources to successfully pursue drug discovery in the future. Moreover, with respect to partnered programs, even if certain compounds show initial promise, Daiichi Sankyo or Kyowa Hakko Kirin may decide not to license or continue to develop them, as the case may be. In addition, Daiichi Sankyo and Kyowa Hakko Kirin have certain rights to unilaterally terminate their agreements with ArQule. If either company were to do so, the Company might not be able to complete development and commercialization of the applicable licensed products on its own. For more detailed information on the risks and uncertainties associated with the Company's drug development and other activities, see the Company's periodic reports filed with the Securities and Exchange Commission. The Company does not undertake any obligation to publicly update any forward-looking statements.

ArQule, Inc.
Condensed Statement of Operations and Comprehensive Loss
(In Thousands, Except Per Share Amounts)
(Unaudited)

	Three Months Ended

	March 31,	
	2012	2011
Revenue:		
Research and development revenue (1)	$ 8,498	$ 13,405
Costs and expenses:		
Research and development	9,303	11,393
General and administrative	3,599	3,543
Total costs and expenses	12,902	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)	$ (0.03)
Weighted average basic and diluted common shares outstanding	53,810	50,623

(1) Research and development revenue is shown net of collaboration contra-revenue of $3.4 million and $4.9 million for the quarters ended March 31, 2012 and 2011, respectively.

Balance sheet data (in thousands):	**March 31, 2012**	**December 31, 2011**
Cash, equivalents and marketable securities- short term	$ 68,175	$ 68,168
Marketable securities- long term	32,183	40,475
	$ 100,358	$ 108,643
Total assets	$ 106,141	$ 117,051
Notes payable	$ 1,700	$ 1,700
Stockholders' equity	$ 27,590	$ 29,729

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Source: ArQule, Inc.