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ArQule Announces $9.5 Million Private Placement of Preferred Stock

The Pontifax Group led this financing with additional participation from an Israeli-based healthcare equity fund

Ran Nussbaum, Managing Partner and co-founder of The Pontifax Group, joins ArQule Board

BURLINGTON, Mass.--(BUSINESS WIRE)-- ArQule, Inc. (NASDAQ: ARQL) today announced the closing of a private placement with institutional investors led by The Pontifax Group pursuant to which the Company raised gross proceeds of $9.5 million through the sale of approximately 8,370 shares of series A convertible preferred stock (Series A Preferred) and warrants covering 2,260 shares of Series A Preferred (Warrants). Each share of Series A Preferred together with the associated Warrant is priced at $1,135 and will automatically convert into 1,000 shares of common stock upon the adoption of an amendment to the Company's restated certificate of incorporation.

ArQule estimates the net proceeds from this offering will be approximately $9.3 million. The Warrants have a pre-conversion exercise price of $1,750 per share of Series A Preferred (post-conversion price of $1.75 per share of common stock), are exercisable immediately and expire approximately four years from the date of the adoption of an amendment to the Company's restated certificate of incorporation.

"Taking into account the net proceeds from this private placement, ArQule now expects to end 2017 with between $47 and $49 million in cash and marketable securities to fund the next business cycle that is rich in potential milestones for its oncology and rare diseases programs," said Paolo Pucci, Chief Executive Officer of ArQule. "Based on this estimate, the company currently anticipates cash and marketable securities to provide funding well into 2019, not including any potential proceeds from future business development activities."

ArQule intends to use the net proceeds from this offering to advance clinical trials related to its proprietary pipeline, including derazantinib (ARQ 087), miransertib (ARQ 092), ARQ 531 and ARQ 751, and for general corporate purposes, including working capital. Based on recent positive clinical and regulatory developments for miransertib in Proteus syndrome, further investments in expanding the rare disease strategy will be prioritized.

In addition, effective immediately, the company has increased the size of its Board of Directors by one member and elected Ran Nussbaum, managing partner and co-founder of The Pontifax Group, to such newly created vacancy. Mr. Nussbaum was a founding Board Member of Kite Pharma and holds other Board positions at Pontifax portfolio companies.

"We are pleased to welcome Ran to our Board of Directors," said Pat Zenner, Chairperson of the Board of Directors of ArQule. "The Pontifax Group brings important new strategic relationships to ArQule at a time when we anticipate significant progress from our proprietary pipeline. Ran adds a very attractive set of skills and expertise to an already well-rounded Board of Directors."

"Considering the recent positive clinical developments across ArQule's proprietary pipeline I am excited to join as an investor and a Board Member," said Ran Nussbaum, Managing Partner and co-founder of The Pontifax Group. "I am looking forward to working with Pat, Paolo, and the ArQule team to further develop its clinical assets with a focus on the rare disease strategy, highlighted by the practice altering potential that miransertib is demonstrating in the treatment of Proteus syndrome and Overgrowth Diseases."

About The Pontifax Group

The Pontifax Group is a life sciences venture capital firm with an extensive global portfolio focused on unmet needs within the healthcare industry. Prior to joining Pontifax, Mr. Nussbaum was a partner at Israel's largest business intelligence and strategic consulting firm. Mr. Nussbaum serves, or has served, as a board member on many of Pontifax's portfolio companies, including Kite Pharma, Keros (as chairman), UroGen Ltd, cCam Biotherapeutics (bought by Merck), Eloxx Pharmaceuticals, and NovellusDX.

About ArQule

ArQule is a biopharmaceutical company engaged in the research and development of targeted therapeutics to treat cancers and rare diseases. ArQule's 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. Our clinical-stage pipeline consists of five drug candidates, all of which are in targeted, biomarker-defined patient populations, making ArQule a leader among companies our size in precision medicine. ArQule's proprietary pipeline includes: Derazantinib (ARQ 087), a multi-kinase inhibitor designed to preferentially inhibit the fibroblast growth factor receptor (FGFR) family, in phase 2 for iCCA and in phase 1b for multiple oncology indications; Miransertib (ARQ 092), a selective inhibitor of the AKT serine/threonine kinase, in a phase 1/2 company sponsored study for Overgrowth Diseases, in a phase 1 study for ultra-rare Proteus syndrome conducted by the National Institutes of Health (NIH), as well as in multiple oncology indications; ARQ 751, a next generation AKT inhibitor, in phase 1 for patients with AKT1 and PI3K mutations; and ARQ 761, a β-lapachone analog being evaluated as a promoter of NQO1-mediated programmed cancer cell necrosis, in phase 1/2 in multiple oncology indications in partnership with the University of Texas Southwestern Medical Center. In addition, we have advanced ARQ 531, an investigational, orally bioavailable, potent and reversible inhibitor of both wild type and C481S-mutant BTK, in phase 1 for patients with B-cell malignancies refractory to other therapeutic options. ArQule's current discovery efforts are focused on the identification and development of novel kinase inhibitors, leveraging the Company's proprietary library of compounds. You can follow us on Twitter and LinkedIn.

Forward-Looking Statements

This press release contains forward-looking statements, including, without limitation, those related to the achievement of certain clinical and regulatory milestones with derazantinib (ARQ 087), miransertib (ARQ 092) and ARQ 531, use of offering proceeds and updated financial guidance with respect to cash at year end and the Company's ability to fund operations with current cash and marketable securities for an estimated time period. 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 clinical milestones will be met or that later stage or larger scale clinical trials will be successful. Moreover, derazantinib, mirensertib, and ARQ 531 or other programs may not demonstrate promising therapeutic effect; 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 prior to the initiation of planned clinical trials, during clinical trials or in the course of developing, testing or manufacturing these compounds that could lead the Company or its partners and collaborators to fail to initiate or to discontinue development. Even if later stage clinical trials are successful, unexpected concerns may arise from subsequent 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 or its partners' view of data or require additional data or information or additional studies. In addition, the planned timing of completion of clinical trials for miransertib in Proteus syndrome is dependent in part on the National Institutes of Health, our collaborator responsible for the phase 1 trial in Proteus syndrome, 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. In addition, we are utilizing a companion diagnostic to identify patients in our registration trial with derazantinib in intrahepatic cholangiocarcinoma with FGFR2 fusions, and we are utilizing or expect to utilize diagnostic tools in our other biomarker-guided clinical trials with derazantinib, miransertib, ARQ 751 and ARQ 531; we or our collaborators may encounter difficulties in developing and obtaining approval for companion diagnostics, including issues relating to access to certain technologies, selectivity/specificity, analytical validation, reproducibility, or clinical validation. Any delay or failure by our collaborators or ourselves to develop or obtain regulatory approval of companion diagnostics could delay or prevent approval of our product candidates. Drug development involves a high degree of risk. Only a small number of research and development programs result in the commercialization of a product. Furthermore, the Company's expectations regarding its use of cash are subject to numerous risks and uncertainties, including, without limitation, those set forth above. The Company may not have the financial or human resources to successfully pursue all of its drug discovery programs in the future. For more detailed information on the risks and uncertainties associated with the Company's drug development, financial condition 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.

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