



May 18, 2017

ArQule to Present Preclinical Data for BTK Inhibitor, ARQ 531, at the 22nd Annual Congress of the European Hematology Association (EHA)

Data strengthens preclinical profile for ARQ 531

BURLINGTON, Mass.--(BUSINESS WIRE)-- ArQule, Inc. (Nasdaq: ARQL) today announced that preclinical data for ARQ 531 in diffuse large B-cell lymphoma (DLBCL) *in vitro* and *in vivo* tumor models will be presented on June 23, 2017 at EHA Congress in Madrid, Spain. The data supports clinical trials with ARQ 531 in the ibrutinib resistant patient population. A phase 1 trial with ARQ 531 in patients with B-cell malignancies refractory to other therapeutic options, including ibrutinib, is planned to commence by the third quarter of 2017. ARQ 531 is an investigational, orally bioavailable, potent and reversible inhibitor of both wild type and C481S-mutant Bruton's tyrosine kinase (BTK).

Presentation Details

Friday, June 23, 2017: Non-Hodgkin and Hodgkin Lymphoma - Biology

ARQ 531

Abstract E1400

ARQ 531, a reversible BTK inhibitor, demonstrates potent anti-tumor activity in ABC-DLBCL and GCB-DLBCL

E-poster Screens

Time: 9:30 AM CET

About BTK and ARQ 531

ARQ 531 is an investigational, orally bioavailable, potent and reversible Bruton's tyrosine kinase (BTK) inhibitor. Biochemical and cellular studies have shown that ARQ 531 inhibits both the wild type and C481S-mutant forms of BTK. The C481S mutation is a known emerging resistance mechanism for first generation irreversible BTK inhibitors. In preclinical studies ARQ 531 has demonstrated high oral bioavailability as well as good ADME, pharmacokinetic and metabolic properties. The company plans to initiate a phase 1 trial by the third quarter of 2017. BTK is a therapeutic target that has been clinically proven to inhibit B-cell receptor signaling in blood cancers.

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 four 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: 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; ARQ 092, a selective inhibitor of the AKT serine/threonine kinase, in phase 1/2 company sponsored study for Overgrowth Diseases, in phase 1 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, through toxicology testing and plan to initiate a phase 1 trial by the third quarter of 2017. 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 regarding preclinical experiments and planned clinical trials with ARQ 531. 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 results does not ensure that clinical trials will be successful. For example, ARQ 531 may not demonstrate promising therapeutic effect in man; in addition, it may not exhibit an adequate safety profile in planned 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 ARQ 531 that could lead the Company 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 view of the data or require additional data or information or additional studies. 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 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.

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