ARQULE AND DAIICHI SANKYO ANNOUNCE COMPLETION OF ACCRUAL IN METIV-HCC PHASE 3 TRIAL OF TIVANTINIB FOR SECOND-LINE HEPATOCELLULAR CARCINOMA

Planned interim analysis expected to occur early in the second quarter of 2016

Burlington, MA and Parsippany, NJ, December 10, 2015 – ArQule, Inc. (Nasdaq: ARQL) and Daiichi Sankyo, Inc. today announced that the phase 3 METIV-HCC trial for tivantinib in second-line hepatocellular carcinoma (HCC) has completed accrual. In addition, the planned interim analysis, which is triggered when 60 percent of events occur, is expected to take place early in the second quarter of 2016.

The METIV-HCC trial is a biomarker-driven, double-blind, placebo-controlled, pivotal phase 3 trial where patients are randomized 2:1 comparing tivantinib to best supportive care. The trial is conducted under a Special Protocol Assessment and has accrued more than 300 HCC patients with MET-high tumors only, as determined by an immunohistochemistry test. The trial is being conducted in western countries by Daiichi Sankyo and ArQule with a primary endpoint of overall survival. The planned interim analysis for Data Monitoring Committee (DMC) review was designed with an early stop for superiority.

"We are pleased to have fully accrued the METIV-HCC trial prior to year-end," said Brian Schwartz, M.D., Head of Research and Development and Chief Medical Officer at ArQule. "HCC is a disease with high unmet need and with no approved therapy for second-line treatment. It has been very encouraging to see a growing body of evidence supporting the phase 3 clinical evaluation of tivantinib in MET-high populations through a recent presentation at the International Liver Cancer Association conference."

"We would like to thank all the patients, investigators and clinical sites for partnering with us to achieve this important milestone," said Mahmoud Ghazzi, M.D., Ph.D, President and Global Head of Development for Daiichi Sankyo. "The completion of planned patient enrollment into METIV-HCC is an important step forward in the development of a potential new targeted treatment for patients with advanced HCC, who currently have limited options."

About Hepatocellular Carcinoma (HCC)
Liver cancer is the sixth most common cancer globally with 782,000 new cases in 2012 and is the second most common cause of cancer-related death with 745,000 deaths in 2012.[1] HCC accounts for about 90 percent of primary liver cancers.[2] Cirrhosis, chronic hepatitis B and C and smoking are recognized worldwide as factors increasing the risk of HCC.[2]

About MET and Tivantinib (ARQ 197)
Tivantinib is an orally administered, selective inhibitor of MET, a receptor tyrosine kinase, which is currently in phase 2 and phase 3 clinical trials. In healthy adult cells, MET can be

present in normal levels to support natural cellular function, but in cancer cells, MET can be inappropriately and continuously activated. When abnormally activated, MET plays multiple roles in aspects of human cancer, including cancer cell growth, survival, angiogenesis, invasion and metastasis. The activation of certain cell signaling pathways, including MET, has also been associated with the development of resistance to anti-EGFR (epidermal growth factor receptor) antibodies such as cetuximab and panitumumab.

Pre-clinical data have demonstrated that tivantinib inhibits MET activation in a range of human tumor cell lines and shows anti-tumor activity against several human tumor xenografts. In clinical trials to date, treatment with tivantinib has been generally well tolerated and has shown clinical activity in a number of tumors studied. Tivantinib has not yet been approved for any indication in any country.

In December 2008, ArQule and Daiichi Sankyo signed a license, co-development and co-commercialization agreement for tivantinib in the U.S., Europe, South America and the rest of the world, excluding Japan, China (including Hong Kong), South Korea and Taiwan.

In November 2015, ArQule exercised its co-commercialization option for tivantinib in the U.S. A co-commercialization agreement is expected to be finalized in the first quarter of 2016.

About ArQule
ArQule is a biopharmaceutical company engaged in the research and development of targeted 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. Our prioritized clinical-stage pipeline consists of four drug candidates, all of which are in targeted, biomarker-defined patient populations, making ArQule an early leader in precision medicine. ArQule's lead product, in phase 2 and phase 3 clinical development, is tivantinib (ARQ 197), an oral, selective inhibitor of the c-MET receptor tyrosine kinase. The Company's pipeline includes: ARQ 092, designed to inhibit the AKT serine/threonine kinase; ARQ 087, a multi-kinase inhibitor designed to preferentially inhibit the fibroblast growth factor receptor (FGFR) family; and ARQ 761, a Beta lapachone analog being evaluated as a promoter of NQ01-mediated programmed cancer cell necrosis. ArQule's current discovery efforts are focused on the identification of novel kinase inhibitors, leveraging the Company's proprietary library of compounds.

About Daiichi Sankyo Oncology
Daiichi Sankyo is focused on the discovery and development of novel oncology agents with the goal of delivering first-in-class and best-in-class treatments that address unmet medical needs. The oncology pipeline of Daiichi Sankyo continues to grow and currently includes both small molecules and monoclonal antibodies with novel targets in both solid and hematological cancers.

Daiichi Sankyo currently has four compounds in phase 3 clinical development, each with a unique mechanism of action with three focusing on rare or orphan indications. These investigational compounds include quizartinib, an oral FLT3 inhibitor, for relapsed or refractory FLT3-ITD-positive acute myeloid leukemia (AML); pexidartinib (PLX3397), an

oral CSF-1R inhibitor, for tenosynovial giant cell tumor (TGCT) being developed with Plexxikon, a member of the Daiichi Sankyo Group; tivantinib, an oral MET inhibitor, for second-line treatment of hepatocellular carcinoma in partnership with ArQule, Inc.; and patritumab, a HER3 monoclonal antibody, for non-small cell lung cancer.

About Daiichi Sankyo

Daiichi Sankyo Group is dedicated to the creation and supply of innovative pharmaceutical products to address diversified, unmet medical needs of patients in both mature and emerging markets. With over 100 years of scientific expertise and a presence in more than 20 countries, Daiichi Sankyo and its 17,000 employees around the world draw upon a rich legacy of innovation and a robust pipeline of promising new medicines to help people. In addition to its strong portfolio of medicines for hypertension, dyslipidemia, bacterial infections, and thrombotic disorders, the Group's research and development is focused on bringing forth novel therapies in cardiovascular-metabolic diseases, pain management, and oncology, including biologics. For more information, please visit: www.daiichisankyo.com. Daiichi Sankyo, Inc., headquartered in Parsippany, New Jersey, is a member of the Daiichi Sankyo Group. For more information on Daiichi Sankyo, Inc., please visit www.dsi.com.

This press release contains forward-looking statements regarding the Company's clinical trials with tivantinib (ARQ 197). 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 may not demonstrate promising therapeutic effect or 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 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 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 is subject to the ability of the Company as well as Daiichi Sankyo, Inc., our development partner for tivantinib, 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. In addition, we and our partners are utilizing companion diagnostic tests to identify MET-high patients in METIV-HCC and JET-HCC. In such cases, we are collaborating with third parties for the development and commercialization of such diagnostic tests. We and our collaborators may encounter difficulties in developing and obtaining approval for such companion diagnostics, including issues relating to selectivity/specificity, analytical validation, reproducibility, or clinical validation. Any delay or failure by our collaborators or us to develop or obtain regulatory approval of the 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. 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 continue to develop them. 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.

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