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FOR IMMEDIATE RELEASE:

ARQULE AND DAIICHI SANKYO PRESENT FINAL PHASE 2 RESULTS FOR ARQ 197 C-MET INHIBITOR IN NON-SMALL CELL LUNG CANCER AT ASCO

ARQ 197 in combination with erlotinib showed promising overall survival, consistent with efficacy signal seen in progression-free survival

Woburn, MA, and Tokyo, Japan, June 5, 2010 – ArQule, Inc. (NASDAQ: ARQL) and Daiichi Sankyo Co., Ltd. (TSE 4568) today announced the presentation of data from a Phase 2 clinical trial at the 2010 Annual Meeting of the American Society of Clinical Oncology (ASCO) showing encouraging overall survival (OS) results with ARQ 197 in combination with erlotinib among patients with advanced, refractory non-small cell lung cancer.

One hundred sixty-seven patients participated in this Phase 2, double-blind, randomized, signal generation trial. All patients were EGFR (epidermal growth factor receptor) inhibitornaïve, but had progressed after at least one prior chemotherapy regimen. Patients were randomized one-to-one to receive either the combination of ARQ 197 plus erlotinib or placebo plus erlotinib. The primary endpoint of the study was comparison of Progression-Free Survival (PFS) between treatment arms; secondary endpoints included PFS in predefined patient subsets, overall survival, overall response rate, and safety.

The ASCO presentation (Abstract No: LBA7502), given by Joan H. Schiller, M.D., Chief, Division of Hematology and Oncology at the University of Texas Southwestern Medical Center, included data showing that median OS in the intent to treat (ITT) population (n = 167) was 36.6 weeks in the ARQ 197 plus erlotinib arm, compared with 29.4 weeks in the erlotinib plus placebo arm, an improvement of 24 percent (unadjusted hazard ratio = 0.88, p = 0.50) as one of the secondary endpoints.

In the pre-defined sub-group of patients with non-squamous cell carcinoma histology (n = 117), median OS was 43.1 weeks in the treatment arm, compared with 29.4 weeks in the placebo arm, an improvement of 47 percent (unadjusted hazard ratio = 0.72, p = 0.19). Based on an exploratory Cox regression analysis, the difference in median OS achieved statistical significance (p < 0.05) in this sub-group when adjusting for imbalances in key prognostic factors that included EGFR status and KRAS status, both of which favored the placebo arm.

As previously announced, the ARQ 197 plus erlotinib combination demonstrated a 66 percent improvement in the primary endpoint, median Progression-Free Survival (PFS), although the difference in PFS between the two arms did not achieve statistical significance (p = 0.24, hazard ratio = 0.81) by applying a log-rank test. Improvement in median PFS was more pronounced in the pre-defined sub-group of patients with non-squamous histology (n = 117).

"These data from a well controlled trial signal potential patient benefit, with promising overall survival and prolonged progression-free survival," said Dr. Schiller. "In addition, the combination of ARQ 197 plus erlotinib was shown to be well tolerated, with manageable side effects similar to erlotinib alone."

The trial design allowed patients who failed on erlotinib monotherapy to cross over into the erlotinib plus ARQ 197 arm. Of the 23 cross-over patients who were evaluable for response, two had a partial response per Response Evaluation Criteria in Solid Tumors (RECIST) and nine had stable disease.

"These OS results are consistent with the previously reported PFS findings in both the ITT population and patients with non-squamous histology, which gives us additional confidence in the strength of the signal in this trial," said Glenn Gormley, MD, PhD, Chief Scientific Officer & President, Daiichi Sankyo Pharma Development. "The full set of data will now help guide planning for next-stage clinical development activities, as well as discussions with regulatory authorities."

About c-Met and ARQ 197

ARQ 197 is an orally available, small molecule inhibitor of the c-Met receptor tyrosine kinase. Erlotinib, marketed as TarcevaTM, is an inhibitor of the EGFR tyrosine kinase.

ARQ 197 is also currently being evaluated in clinical trials as a single agent and in combination with other anti-cancer therapies in a number of indications, including c-Met-associated soft-tissue sarcomas, hepatocellular carcinoma, pancreatic adenocarcinoma, germ cell tumors and colorectal cancer.

Patients, physicians and other healthcare professionals seeking additional information regarding trials involving ARQ 197 may call 1-800-373-7827.

The American Cancer Society's estimates of the impact of lung cancer in the U.S. during 2009 include approximately 219,000 new cases (both non-small cell and small cell) and 159,000 deaths resulting from the disease, accounting for 28 percent of all cancer deaths. Lung cancer is the leading cause of cancer death among both men and women.

When abnormally activated, the c-Met receptor tyrosine kinase plays multiple roles in aspects of human cancer, including cancer cell growth, survival, angiogenesis, invasion and metastasis. Pre-clinical data have demonstrated that ARQ 197 inhibits c-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 ARQ 197 has been well tolerated and has resulted in tumor responses and prolonged stable disease across broad ranges of tumors and doses.

On December 19, 2008, ArQule and Daiichi Sankyo, Co., Ltd. signed a license, codevelopment and co-commercialization agreement to co-develop ARQ 197 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 Co., Ltd. has exclusive rights for development and commercialization.

About ArQule

ArQule is a biotechnology company engaged in the research and development of nextgeneration, 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 clinical development, is ARQ 197, an inhibitor of the c-Met receptor tyrosine kinase. The Company is also conducting Phase 1 clinical testing with ARQ 621, designed to inhibit the Eg5 kinesin motor protein. The Company's pre-clinical pipeline includes a compound designed to inhibit the B-RAF kinase. ArQule's current discovery efforts, which are based on the ArQule Kinase Inhibitor Platform (AKIPTM), 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. The most advanced AKIPTM program is focused on the discovery of inhibitors of fibroblast growth factor receptor (FGFR).

About Daiichi Sankyo

The Daiichi Sankyo Group is dedicated to the creation and supply of innovative pharmaceutical products to address the diversified, unmet medical needs of patients in both mature and emerging markets. While maintaining its portfolio of marketed pharmaceuticals for hypertension, hyperlipidemia, and bacterial infections, the Group is engaged in the development of treatments for thrombotic disorders and focused on the discovery of novel oncology and cardiovascular-metabolic therapies. Furthermore, the Daiichi Sankyo Group has created a "Hybrid Business Model," which will respond to market and customer diversity and optimize growth opportunities across the value chain. 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 progress of the Company's clinical trials, including its Phase 2 trial with ARQ 197 in non-small cell lung cancer (NSCLC) and trials which may be conducted by Daiichi Sankyo and/or Kyowa Hakko Kirin under their agreements with the Company. 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 early stage clinical trial results is not necessarily indicative of clinical efficacy and does not ensure that later stage or larger scale clinical trials will be successful. For example, ARQ 197 may not demonstrate promising therapeutic effect; in addition, this compound may not demonstrate an appropriate safety profile in further pre-clinical testing and in current, 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. 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 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 the Company's view of the data or require additional data, information or studies. In addition, the planned timing of initiation and completion of clinical trials for ARQ 197 are subject to the ability of the Company or Daiichi Sankyo, its partner, and Kyowa Hakko Kirin, a licensee of ARQ 197, to enroll patients, enter into agreements with clinical trial sites and investigators, and other technical hurdles and issues that may not be resolved. Moreover, Daiichi Sankyo has certain rights to unilaterally terminate the ARQ 197 license, co-development and co-commercialization agreement. 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, ArQule may not have the financial or human resources to pursue drug discovery successfully in the future. 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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