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FOR IMMEDIATE RELEASE:

ARQULE AND DAIICHI SANKYO MOVE FORWARD WITH PHASE 3 CLINICAL TRIAL PLAN FOR ARQ 197 IN NON-SMALL CELL LUNG CANCER

Special Protocol Assessment to be requested

Woburn, MA and Tokyo, Japan – August 3, 2010 – ArQule, Inc. (Nasdaq: ARQL) and Daiichi Sankyo Co., Ltd. (TSE 4568) today announced that they will move forward with a Phase 3 clinical trial of ARQ 197, a small molecule inhibitor of the c-Met receptor tyrosine kinase, in patients with non-small cell lung cancer (NSCLC). In connection with this decision, the sponsor company, Daiichi Sankyo, will file a Special Protocol Assessment (SPA) with the U.S. Food and Drug Administration (FDA) for a trial comparing ARQ 197 plus erlotinib against erlotinib plus placebo.

"The decision to advance ARQ 197 into Phase 3 clinical testing underscores the success of our partnership with Daiichi Sankyo," said Paolo Pucci, chief executive officer of ArQule. "ArQule and Daiichi Sankyo signed the ARQ 197 partnership in December 2008, and in less than two years, we are requesting an SPA for a Phase 3 trial with this potential first-in-class molecule."

"The efficacy observed among patients with NSCLC who received ARQ 197 provides us with encouraging evidence that ARQ 197 may be beneficial to this patient population. Based on these results, we are developing plans to support a Phase 3 clinical program and bring new hope to patients with this disease," said Dr. Kazunori Hirokawa, global head of R&D Unit, Daiichi Sankyo.

An SPA is an agreement with the FDA establishing the design, endpoints and statistical analysis of a clinical trial intended to provide the necessary data to support a New Drug Application (NDA). Following FDA review of the SPA, the two companies will implement

the protocol for the Phase 3 trial and commence patient enrollment. Details regarding the trial design will be communicated at that time.

This decision follows the completion of a comprehensive review of clinical and pre-clinical data, including discussions with key opinion leaders and a meeting with the FDA following the recently completed Phase 2 trial. In this trial, treatment with ARQ 197 in combination with erlotinib showed promising overall survival and progression-free survival among patients with advanced, refractory NSCLC. Data from this trial related to overall survival and progression-free survival were statistically significant in patients with non-squamous cell histology when adjusted for imbalances in key prognostic factors.

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

About Lung Cancer

Lung cancer is the leading cause of cancer-related death in American men and women, accounting for 28 percent of all cancer deaths estimated to have occurred in 2009. According to the American Cancer Society, in 2009, lung cancer represented about 15 percent of new cancer diagnoses, or 219,000 new cases of lung cancer. Lung cancer is classified as either non-small cell, which accounts for 85 percent of all lung cancer diagnoses, or small cell, accounting for the remainder of cases.

About ARQ 197 and c-Met

ARQ 197 is an orally available, selective inhibitor of c-Met, a receptor tyrosine kinase. When abnormally activated, c-Met 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.

About ArQule and Daiichi Sankyo Co., Ltd.

In December 2008, ArQule and Daiichi Sankyo signed a license, co-development 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 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 upcoming Phase 3 clinical development, is 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. The Company's pre-clinical pipeline includes a compound designed to inhibit the BRAF kinase. 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.

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 Companies' Phase 2 and Phase 3 clinical trials with ARQ 197. These statements are based on the Companies' 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. 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 Companies or their collaborators 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 Companies' views of the data or require additional data, information or studies. 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. In addition, the planned timing of initiation and completion of clinical trials for ARQ 197 is subject to the ability of the Companies to enroll patients, enter into agreements with clinical trial sites and investigators, and other technical hurdles and issues that may not be 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. 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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