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FOR IMMEDIATE RELEASE

**ARQULE AND DAIICHI SANKYO ANNOUNCE DISCONTINUATION OF PHASE 3
MARQUEE CLINICAL TRIAL IN NON-SMALL CELL LUNG CANCER**

DMC Recommends Discontinuation of Study for Futility

No Unexpected Safety Findings from Interim Analysis

Woburn, MA and Tokyo, Japan, October 2, 2012 – ArQule, Inc. (Nasdaq: ARQL) and Daiichi Sankyo, Co., Ltd. (TSE 4568) today announced that the independent Data Monitoring Committee (DMC) of the Phase 3 MARQUEE (Met inhibitor ARQ 197 plus Erlotinib vs Erlotinib plus placebo in NSCLC) trial recommended the study be stopped early following a planned interim analysis, when they concluded that the study would not meet its primary endpoint of improved overall survival. Although the interim analysis showed a statistically significant improvement in progression-free survival (PFS) in the intent-to-treat (ITT) population, this benefit did not carry over to overall survival. There were no safety concerns identified by the DMC to Daiichi Sankyo or ArQule during this interim analysis.

MARQUEE is a randomized, double-blind, controlled pivotal trial to evaluate the investigational selective MET inhibitor, tivantinib (ARQ 197), in combination with erlotinib in previously treated patients with locally advanced or metastatic, non-squamous NSCLC.

ArQule and Daiichi Sankyo are providing information regarding the study discontinuation to health authorities and those clinical investigators participating in studies of tivantinib. Data from this study will be presented at an upcoming scientific meeting.

“We are disappointed that the MARQUEE trial did not provide statistically significant results for overall survival in a disease and treatment setting which remains a major unmet medical need,” said Paolo Pucci, chief executive officer of ArQule.

“Fighting cancer is a complex process in that therapies work differently in different tumor settings, so we will continue to investigate tivantinib in other tumor types,” said Glenn Gormley, MD, PhD, global head, R&D and senior executive officer, Daiichi Sankyo Co., Ltd.

Approximately 1,000 patients were recruited in MARQUEE from more than 200 clinical sites worldwide. The primary endpoint in the trial is overall survival (OS) in the overall intent-to-treat population. Secondary endpoints include OS in the subpopulation of patients with epidermal growth factor receptor (EGFR) wild type, progression-free survival (PFS) in the ITT population, and further assessment of the safety of tivantinib in combination with erlotinib. Tivantinib has not been approved for any indication in any country.

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

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 candidate, in Phase 2 and Phase 3 clinical development together with development and commercialization partner, Daiichi Sankyo, Co. Ltd., is tivantinib, an oral, selective inhibitor of the MET receptor tyrosine kinase. The Company’s pipeline consists of ARQ 621, designed to inhibit the Eg5 kinesin motor protein, and ARQ 736, designed to inhibit the RAF kinases. 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.

This press release contains statements regarding the clinical trials with tivantinib (ARQ 197) by ArQule and its business partner, Daiichi Sankyo. These statements are based on the current beliefs and expectations of both companies, and are subject to risks and uncertainties that could cause actual results to differ materially. Positive information about pre-clinical, early stage and interim clinical trial results does not ensure that later stage or larger scale clinical trials will be successful. For example, tivantinib may not demonstrate a promising therapeutic effect; in addition, it may not demonstrate an appropriate safety profile 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 during clinical trials or in the course of developing, testing or manufacturing these compounds that could lead ArQule or its partners to discontinue development. Even if later stage clinical trials are successful, unexpected concerns may arise from 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 ArQule'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 are subject to the ability of ArQule, Daiichi Sankyo, and Kyowa Hakko Kirin, a licensee of tivantinib in Asian territories, 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. 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 license or continue to develop them, as the case may be. 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, ArQule 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 ArQule's drug development and other activities, see ArQule's periodic reports filed with the Securities and Exchange Commission. Neither ArQule nor Daiichi Sankyo undertakes any obligation to publicly update any forward-looking statements.

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