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**FOR IMMEDIATE RELEASE**

# ARQULE AND DAIICHI SANKYO ANNOUNCE COMPLETION OF PATIENT RECRUITMENT IN PHASE 3 CLINICAL TRIAL OF TIVANTINIB IN NON-SMALL CELL LUNG CANCER

**Woburn, MA and Tokyo, Japan, May 18, 2012 –** ArQule, Inc. (Nasdaq: ARQL) and Daiichi Sankyo, Co., Ltd. (TSE 4568) today announced that recruitment of patients has been completed in the randomized, double-blind, controlled Phase 3 MARQUEE pivotal trial of their investigational selective c-MET inhibitor, tivantinib, in combination with erlotinib in previously treated patients with locally advanced or metastatic, non-squamous, non-small cell lung cancer (NSCLC).

The MARQUEE (**M**et inhibitor **ARQ** 197 plus **E**rlotinib vs **E**rlotinib plus placebo in NSCLC) trial began enrollment in January 2011 and is being conducted under a Special Protocol Assessment (SPA), which was established following agreement with the U.S. Food and Drug Administration (FDA). Tivantinib is currently in Phase 3 development and has not yet been approved for any indication.

"At the time of diagnosis with lung cancer, more than half of all patients have progressed to advanced stages of the disease, with a poor prognosis for long-term survival. There is a high unmet need for additional effective treatment options for patients and their families," said Glenn Gormley, MD, PhD, Global Head, Research & Development and Senior Executive Officer, Daiichi Sankyo and President, Daiichi Sankyo Pharma Development.

Lung cancer is one of the most commonly diagnosed cancers around the globe,[i] with an estimated 1.6 million new cases diagnosed worldwide each year.[ii] NSCLC is the most common form of lung cancer, accounting for approximately 85 percent of all cases.[iii] The majority of all lung cancers are non-squamous.[iv]

"We would like to thank the patients, investigators and clinical sites who are participating in the MARQUEE trial," said Brian Schwartz, chief medical officer of ArQule. "Their commitment,

diligence and care have been essential in the timely achievement of this important milestone in the development of tivantinib."

Approximately 1,000 patients have been recruited in MARQUEE from more than 200 clinical sites worldwide. The primary endpoint in the trial is overall survival in the overall intent-to-treat population. Professor Giorgio Scagliotti, MD, PhD, Head of the Department of Clinical and Biological Sciences at S. Luigi Hospital, Orbassano (Torino), Italy is the principal European investigator for MARQUEE, and the principal U.S. investigator is Alan Sandler, MD, Professor of Medicine in the Division of Hematology and Medical Oncology, Department of Medicine, at Oregon Health and Science University in Portland.

In December 2008, ArQule and Daiichi Sankyo signed a license, co-development and co-commercialization agreement to co-develop tivantinib (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.

**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 c-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 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 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, 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 undertake any obligation to publicly update any forward-looking statements.*

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[i] American Cancer Society. Global Cancer Facts & Figures 2nd Edition. http://www.cancer.org/acs/groups/content/@epidemiologysurveilance/documents/document/acspc-027766.pdf. Accessed April 11, 2012.

[ii] American Cancer Society. Global Cancer Facts & Figures 2nd Edition. http://www.cancer.org/acs/groups/content/@epidemiologysurveilance/documents/document/acspc-027766.pdf. Accessed April 11, 2012.

[iii] American Cancer Society. Non-Small Cell Lung Cancer. http://www.cancer.org/acs/groups/cid/documents/webcontent/003115-pdf.pdf. Accessed April 11, 2012.

[iv] American Cancer Society. Non-Small Cell Lung Cancer. http://www.cancer.org/acs/groups/cid/documents/webcontent/003115-pdf.pdf. Accessed April 11, 2012.