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FOR IMMEDIATE RELEASE

**ARQULE AND DAIICHI SANKYO EXPAND DRUG DISCOVERY COLLABORATION
IN ONCOLOGY**

Woburn, MA, October 12, 2010 – ArQule, Inc. (NASDAQ: ARQL) and Daiichi Sankyo Co., Ltd. (TSE 4568) today announced the expansion of their research, development and license agreement for the discovery of novel kinase inhibitors in the field of oncology. This expanded agreement establishes a third therapeutic target, with an option for a fourth, in the field of oncology, and it includes a two-year extension based on the application of the proprietary ArQule Kinase Inhibitor Platform (AKIP™) technology.

“This technology has provided us with a unique and innovative approach for discovery in the treatment of cancer,” said Dr. Hideyuki Haruyama, the Global Head of Research, Daiichi Sankyo. “We expect that the expansion of this collaboration will produce other drug candidates and lay the foundation for future growth in this field.”

Consistent with the existing AKIP collaboration, the economic terms provided for in the expanded agreement include payments for research support, licensing fees for compounds discovered as a result of this research, milestone payments related to clinical development, regulatory review and sales, and tiered royalty payments on net sales of each product. Daiichi Sankyo will have an option to license compounds directed to the targets defined under the agreement following the completion of certain pre-clinical studies. ArQule retains the option to co-commercialize any resulting licensed products in the U.S.

“Our initial drug discovery collaboration has identified a development candidate for one target, and we are optimizing advanced lead compounds for the other target,” said Dr. Thomas C.K. Chan, chief scientific officer of ArQule. “The expansion of this collaboration will continue to deploy

AKIP technology to discover inhibitors with novel modes of action for additional oncology targets over the next two years.”

About the ArQule Kinase Inhibitor Platform (AKIP™)

Kinases play pivotal roles in modulating diverse cellular activities and have been implicated as important mediators of certain forms of cancer and other diseases. The AKIP™ technology is based on a novel binding mode that leads to inhibition of target kinases by small molecules that do not compete with adenosine triphosphate (ATP). ArQule has identified binding sites in more than 200 kinases involved in multiple therapeutic areas that are amenable to such non-ATP competitive inhibition.

ArQule’s ability to rationally design novel kinase inhibitors that encompass new chemical spaces allows for an expanding intellectual property estate. The Company believes that non-ATP competitive small molecule inhibitors may have fewer off-target side effects and utility in a broad range of human diseases.

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 Company’s ArQule Kinase Inhibitor Platform (AKIP™) and its related agreement with Daiichi Sankyo. 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 results does not ensure that later stage pre-clinical or clinical development will be successful. For example, targets for the kinase research may not prove to be therapeutically relevant. Compounds developed through application of the AKIP™ platform may not demonstrate positive activity in pre-clinical in vivo or in vitro testing or in subsequent clinical trials; in addition, they may not demonstrate an appropriate safety profile later development 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 Daiichi Sankyo 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. Regulatory authorities may disagree with the Company's or Daiichi Sankyo's view of the data or require additional data or information or additional studies. 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. Daiichi Sankyo may not exercise its option to license compounds even if the compounds show initial promise. 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.