Daiichi Sankyo To License ARQ 092 from ArQule, the First New Compound Resulting from the Companies' Joint AKIP Research Collaboration

ARQ 092 Will Soon Enter Phase 1 Clinical Trial

Woburn, MA and Tokyo, Japan (November 10, 2011) – ArQule, Inc. (NASDAQ: ARQL) and Daiichi Sankyo, Co. Ltd. (TSE 4568) announced today the execution of a license agreement for the development of a new AKT inhibitor called ARQ 092, the first compound to emerge from the companies' November 2008 agreement to collaborate on research utilizing the AKIP™ (ArQule Kinase Inhibitor Platform) technology to generate novel, selective and potent small molecule kinase inhibitors. Under the license agreement, Daiichi Sankyo will obtain exclusive rights for development, manufacturing and marketing of ARQ 092 on a worldwide basis.

ARQ 092 will be studied in cancer patients to identify its utility in targeting the AKT signaling pathway, which plays a role in regulating cell growth, survival, migration and angiogenesis, and is frequently deregulated in cancer. Patient enrollment in the Phase 1 clinical trial with ARQ 092 is scheduled to open in the coming months.

"ARQ 092 is a potent, selective AKT inhibitor that has been optimized through a structure-based drug design methodology developed by ArQule scientists," said Dr. Thomas C.K. Chan, chief scientific officer of ArQule. "This clinical candidate is the result of close scientific collaboration between the two companies over the past two and a half years."

"The ARQ 092 collaboration builds on the success of our other partnerships with ArQule, including the co-development of our c-MET inhibitor, tivantinib, which is currently being studied in a Phase 3 trial as a treatment for non-squamous, non-small cell lung cancer," said Dr. Kazunori Hirokawa, global head of the R&D Unit of Daiichi Sankyo. "In addition to our own internal R&D capabilities, our strategic alliance partnerships, such as our collaborations with ArQule, allow us to combine forces to move more programs into clinical trials toward our common goal of improving and extending lives."

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) for binding. ArQule has identified more than 200 human 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 may have utility in treating 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 Phase 3 clinical development, is tivantinib (ARQ 197), 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 forward-looking statements regarding the ArQule Kinase Inhibitor Platform (AKIP™) and ArQule's related agreement with Daiichi Sankyo. These statements are based on ArQule'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 in 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 ArQule 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 ArQule'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 ArQule's drug development and other activities, see ArQule's periodic reports filed with the Securities and Exchange Commission. ArQule does not undertake any obligation to publicly update any forward-looking statements.

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