

For Immediate Release

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**ARQULE AND DAIICHI-SANKYO ENTER INTO STRATEGIC R&D PARTNERSHIP TO  
PROGRESS NOVEL COMPOUNDS TO TARGET CANCER**

**Product development agreement focused on ARQ 197, c-Met inhibitor,  
with discovery collaboration directed toward novel kinase inhibitors;**

The attached is the co-press release with ArQule, Inc., which was issued in US on November 10, 2008. (US time)

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**Product development agreement focused on ARQ 197, c-Met inhibitor,  
with discovery collaboration directed toward novel kinase inhibitors;**

**Total cash upfront to ArQule is \$75 million;**

**ArQule's conference call scheduled today (November 10) at 9:00 AM eastern time**

**Woburn, MA, and Tokyo, Japan, November 10, 2008** – ArQule, Inc. (NASDAQ: ARQL) and Daiichi Sankyo Co., Ltd. (TSE: 4568) today announced that they have entered into two agreements that form the basis of a strategic relationship for the development and discovery of novel oncology therapeutics.

ArQule and Daiichi Sankyo will co-develop ARQ 197, a proprietary, orally administered, small molecule inhibitor of the c-Met receptor tyrosine kinase, to treat cancer. In addition, ArQule and Daiichi Sankyo will advance the application of ArQule's kinase inhibitor discovery platform (AKIP™) to develop a new generation of highly selective, anti-cancer kinase inhibitors intended to ensure a long-term presence in this therapeutic category. On a combined basis, the two deals include \$75 million in cash upfront to ArQule from Daiichi Sankyo.

“We are delighted to welcome Daiichi Sankyo as a partner in our shared quest to bring innovative cancer therapeutics to patients and their physicians,” said Paolo Pucci, chief executive officer of

ArQule. “With this announcement, we complete the ARQ 197 partnership process and set the stage to bring the ARQ 197 development program to the next level. We are also very pleased to broaden this relationship by welcoming Daiichi Sankyo as our first partner for ArQule’s AKIP™ platform.

“For ArQule, this strategic relationship will achieve several product development, scientific and financial objectives,” said Mr. Pucci. “First, it will allow us to optimize a clinical program with ARQ 197 that elicits the full therapeutic potential of this highly selective c-Met inhibitor. Second, it supports and further validates the application of our proprietary technology platform to the discovery of a new generation of selective inhibitors of kinases implicated in cancer. Finally, it provides meaningful, non-dilutive infusions of cash, as well as an opportunity for cost sharing.”

“Daiichi Sankyo looks forward to being able to collaborate with ArQule to realize differentiated and innovative approaches in the treatment of these devastating diseases,” said Takashi Shoda, president and chief executive officer of Daiichi Sankyo Co., Ltd. “This strategic partnership is the next important milestone for Daiichi Sankyo to solidify a strong and viable pipeline in oncology.”

#### ARQ 197 Agreement Summary

ArQule and Daiichi Sankyo have entered into a binding letter of intent for an exclusive license, co-development and co-commercialization agreement under which they shall collaborate to conduct research, clinical trials and the market launch of ARQ 197 in human cancer indications 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. (Kyowa) has exclusive rights for development and commercialization.

The binding letter of intent provides for a \$60 million cash upfront licensing payment from Daiichi Sankyo to ArQule. In addition, the binding letter of intent includes significant development and sales milestone payments. ArQule and Daiichi Sankyo will share equally the costs of Phase 2 and Phase 3 clinical studies, with ArQule’s share of Phase 3 costs payable solely from milestone and royalty payments by Daiichi Sankyo. Upon commercialization, ArQule will receive tiered royalties from Daiichi Sankyo on net sales of ARQ 197. ArQule retains the option to participate in the commercialization of ARQ 197 in the U.S. The final contract based on the binding letter of intent, including the terms above, is expected to be signed in December 2008. The upfront payment provided for in the binding letter of intent will be paid upon the later of December 8, 2008 or the expiration or termination of the applicable waiting period under the Hart-Scott-Rodino Antitrust Improvements Act of 1976.

#### Kinase Inhibitor Discovery Agreement Summary

ArQule and Daiichi Sankyo have entered into a research collaboration, exclusive license and co-commercialization agreement under which ArQule will apply its proprietary technology and know-how from its AKIP™ platform for the discovery of therapeutic compounds that selectively inhibit certain kinases. The agreement defines two such kinase targets, and Daiichi Sankyo will have an option to license compounds directed to these targets following the completion of certain pre-clinical studies.

The agreement provides for a \$15 million upfront payment, undisclosed payments in research support for the first and second years of the collaboration, licensing fees for compounds discovered as a result of this research, milestone payments related to clinical development, regulatory review and sales, and royalty payments. ArQule retains the option to co-commercialize licensed products in the U.S.

### **Investor Conference Call**

ArQule will host an investor conference call today at 9:00 a.m. to discuss the Daiichi Sankyo relationship.

Date: Monday, November 10, 2008  
Time: 9:00 a.m. eastern time

### Conference Call Dial-In Numbers

Domestic: 866-770-7120  
International: 617-213-8065  
Participant passcode: 97690659  
Webcast: <http://www.ArQule.com>

A replay of the conference call will be available beginning at 11:00 a.m. today for five days and can be accessed by dialing 1-888-286-8010 from the U.S. and Canada, and 1-617-801-6888 from outside the U.S. For archived calls, the access code is 32056271.

### **About ARQ 197 and c-Met**

ARQ 197 is a 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, including clear cell sarcoma, and shows anti-tumor activity against several human tumor xenografts. In clinical studies 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's Kinase Inhibitor Discovery Platform**

Insights into the binding of ARQ 197 to c-Met formed the basis of ArQule's discovery platform, which the Company is leveraging to design a new type of kinase inhibitors. These compounds will be intended to selectively inhibit each targeted kinase potently, selectively and without competing with ATP (adenosine triphosphate, an energy source for cells). The Company is assessing the potential of multiple kinases as targets for this drug discovery platform, named AKIP™, and is applying the platform to discover and validate compounds that inhibit these kinase targets with mechanisms similar to that of ARQ 197.

## About Daiichi Sankyo

A global pharma innovator, Daiichi Sankyo Co., Ltd. was established in 2005 through the merger of two leading Japanese pharmaceutical companies. This integration created a more robust organization that allows for continuous development of novel drugs that enrich the quality of life for patients around the world. A central focus of Daiichi Sankyo's research and development are thrombotic disorders, malignant neoplasm, diabetes mellitus, and autoimmune disorders. Equally important to the company are hypertension, hyperlipidemia or atherosclerosis and bacterial infections.

For more information, visit [www.daiichisankyo.com](http://www.daiichisankyo.com).

Daiichi Sankyo, Inc., a subsidiary of the global research-based pharmaceutical company, is based in Parsippany, NJ.

## 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 cancer. ArQule's lead product, which is in clinical-stage development, is ARQ 197, an inhibitor of the c-Met receptor tyrosine kinase. An additional clinical-stage program includes compounds that activate the cell's DNA damage response mechanism mediated by the E2F-1 transcription factor. The Company's most advanced pre-clinical development programs are focused on compounds that inhibit the Eg5 kinesin spindle protein and the BRAF kinase. ArQule's current discovery efforts are focused on the identification of novel kinase inhibitors that are potent, selective and do not compete with ATP (adenosine triphosphate), an energy source for cells.

*This press release contains forward-looking statements regarding the Company's clinical trials with ARQ 197 and other candidate compounds in earlier stages of development covered by the Company's agreements 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 and early stage clinical trial results does not ensure that later stage or larger scale clinical trials will be successful. For example, ARQ 197 may not demonstrate 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. 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 or that regulatory authorities may disagree with the Company'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 ARQ 197 are subject to the ability of the Company 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. 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. 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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