Daiichi Sankyo and ArQule Enroll First Hepatocellular Carcinoma Patient into Global Phase 3 Trial for Tivantinib

Tokyo, Japan and Woburn, MA – January 31, 2013 – Daiichi Sankyo Company, Limited (TSE 4568) and ArQule, Inc. (Nasdaq: ARQL) today announced that the first patient has been enrolled in the pivotal Phase 3 METIV-HCC (**MET**-high patients with **tiv**antinib in HCC) trial of tivantinib (ARQ 197). Tivantinib, an investigational selective inhibitor of MET, a receptor tyrosine kinase, is being evaluated for the treatment of patients diagnosed with hepatocellular carcinoma (HCC) who have received one prior systemic anti-cancer therapy.

The METIV-HCC trial is a randomized, double-blinded, controlled study of previously treated patients with MET-high inoperable HCC who will receive tivantinib or placebo. The primary endpoint is overall survival (OS), and the secondary endpoint is progression-free survival (PFS). Approximately 300 patients are planned to be enrolled at approximately 120 clinical centers worldwide. Additional details of the trial are available on www.clinicaltrials.gov.

"We are very pleased to begin this Phase 3 trial to advance our understanding of the potential role of tivantinib in the treatment of HCC," said Glenn Gormley, MD, PhD, Global Head of Research and Development and Senior Executive Officer, Daiichi Sankyo. "It is our hope that this late-stage study will confirm the positive results we saw in Phase 2 in time to progression (TTP) and overall survival (OS) observed in patients whose tumors were MET-high."

"Hepatocellular carcinoma is a devastating disease, and patients with advanced HCC are in need of new therapies that can help extend their lives," said Paolo Pucci, chief executive officer of ArQule. "The METIV-HCC trial follows positive Phase 2 results that demonstrated improvements in overall survival and time to progression observed among MET-high patients."

In October 2012, agreement was reached with the U.S. Food and Drug Administration (FDA) on a Special Protocol Assessment (SPA) for this pivotal Phase 3 trial. The SPA process is a procedure by which the FDA provides official evaluation and written guidance on the design and size of proposed protocols that are intended to form the basis for a New Drug Application. Final marketing approval depends on the results of the trial.

About Hepatocellular Carcinoma (HCC)

Globally, liver cancer is the sixth most common cancer (749,000 new cases), accounting for 7 percent of all cancers, and is the third leading cause of cancer related death (692,000 cases).[i] HCC represents more than 90 percent of primary liver cancers. [ii] Chronic hepatitis B and C are recognized as the major factors worldwide increasing the risk of HCC, with risk being even greater in the presence of co-infection with these viruses.[iii] Cirrhosis is also a risk factor for development of HCC.

About Tivantinib and the MET pathway

Tivantinib is an orally administered, selective inhibitor of MET, a receptor tyrosine kinase. Tivantinib is currently in Phase 3 development and has not been approved in any market. In healthy adult cells, MET is present in normal levels to support natural cellular function, but in cancer cells MET is inappropriately and continuously activated for unknown reasons. When abnormally activated, MET plays multiple roles in aspects of human cancer, including cancer cell growth, survival, angiogenesis, invasion and metastasis.

About ArQule and Daiichi Sankyo Co., Ltd.

In December 2008, ArQule and Daiichi Sankyo signed a license, co-development and co-commercialization agreement for 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 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.

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, ARQ 736, designed to inhibit the RAF kinases, and ARQ 087, designed to inhibit fibroblast growth factor receptor (FGFR). ArQule's current discovery efforts, 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.

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[i] EASL–EORTC Clinical Practice Guidelines: Management of hepatocellular carcinoma. Journal of Hepatology. 2012;56: 908-943

[ii] EASL–EORTC Clinical Practice Guidelines: Management of hepatocellular carcinoma. Journal of Hepatology. 2012;56: 908-943

[iii] Chiaramonte M, Stroffolini T, Vian A, et al.: Rate of incidence of hepatocellular carcinoma in patients with compensated viral cirrhosis. Cancer 85 (10): 2132-37, 1999.