Kyowa Hakko Kirin Announces Discontinuation for Developing ARQ 197 (Tivantinib)

Tokyo, Japan, Oct. 6th, 2017 -- Kyowa Hakko Kirin Co., Ltd. (Tokyo: 4151, President and CEO: Nobuo Hanai, "Kyowa Hakko Kirin") announced today the discontinuation for developing ARQ 197 (generic name: tivantinib).

ARQ 197 is an oral agent whose molecular target is c-Met, which was discovered by ArQule, Inc. (NASDAQ: ARQL, "ArQule"). Kyowa Hakko Kirin signed a license agreement with ArQule for the exclusive rights to the development and sales of tivantinib in Japan and some parts of Asia (China, Korea, and Taiwan) on April 27th, 2007.

Under the license agreement, Kyowa Hakko Kirin developed ARQ 197 for indications of gastric cancer, non-small-cell lung cancer and hepatocellular carcinoma in its territory. However, positive results were not acquired in any studies. Concerning the situation, Kyowa Hakko Kirin discontinued ARQ 197 development project.

"We are disappointed in these results, as we had hoped ARQ197 might offer a new option for the thousands of cancer patients," said Mitsuo Satoh, Ph.D., Head of Research and Development Division of Kyowa Hakko Kirin. "We would like to express our sincere thanks for the patients in our studies, as well as to the investigators, for their contributions to our development. We are continuously committed to tackling the unmet medical needs in cancer."

The Kyowa Hakko Kirin Group companies strive to contribute to the health and well-being of people around the world by creating new value through the pursuit of advances in life sciences and technologies.

About c-Met

c-Met is receptor tyrosine kinase. When abnormally activated, the c-Met receptor tyrosine kinase plays multiple roles in aspects of human cancer, including cancer cell growth, survival, angiogenesis, invasion and metastasis.

About Kyowa Hakko Kirin

Kyowa Hakko Kirin Co., Ltd. is a research-based life sciences company, with special strengths in biotechnologies. In the core therapeutic areas of oncology, nephrology and immunology/ allergy, Kyowa Hakko Kirin leverages leading-edge biotechnologies centered on antibody technologies, to continually discover innovative new drugs and to develop and market those drugs world-wide. In this way, the company is working to realize its vision of becoming a Japan-based global specialty pharmaceutical company that contributes to the health and wellbeing of people around the world.

You can learn more about the business at: <u>www.kyowa-kirin.com</u>.

About ArQule

<u>ArQule</u> is a biopharmaceutical company engaged in the research and development of targeted therapeutics to treat cancers and rare diseases. ArQule's mission is to discover, develop and commercialize novel small molecule drugs in areas of high unmet need that will dramatically extend and improve the lives of our patients. Our clinical-stage pipeline consists of five drug candidates, all of which are in targeted, biomarker-defined patient populations, making <u>ArQule</u> a leader among companies our size in precision medicine. ArQule's proprietary pipeline includes:

ARQ 087, a multi-kinase inhibitor designed to preferentially inhibit the fibroblast growth factor receptor (FGFR) family, in phase 2 for iCCA and in phase 1b for multiple oncology indications; ARQ 092, a selective inhibitor of the AKT serine/threonine kinase, in a phase 1/2 company sponsored study for Overgrowth Diseases, in a phase 1 study for ultra-rare Proteus syndrome conducted by the National Institutes of Health (NIH), as well as in multiple oncology indications; ARQ 751, a next generation AKT inhibitor, in phase 1 for patients with AKT1 and PI3K mutations; and ARQ 761, a β -lapachone analog being evaluated as a promoter of NQO1-mediated programmed cancer cell necrosis, in phase 1/2 in multiple oncology indications in partnership with the University of Texas Southwestern Medical Center. In addition, we have advanced ARQ 531, an investigational, orally bioavailable, potent and reversible inhibitor of both wild type and C481S-mutant BTK, in phase 1 for patients with B-cell malignancies refractory to other therapeutic options. ArQule's current discovery efforts are focused on the identification and development of novel kinase inhibitors, leveraging the Company's proprietary library of compounds. You can follow us on Twitter and LinkedIn.