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Press Release

Daiichi Sankyo Presents Preliminary Phase 1 Data for Antibody Drug Conjugate U3-1402 in Patients with HER3-Expressing Breast Cancer at 2018 American Society of Clinical Oncology (ASCO) Annual Meeting

- First-in-human safety data presented from phase 1/2 study with U3-1402, an investigational and potential first-in-class HER3-targeting antibody drug conjugate (ADC), in patients with heavily pretreated HER3-positive metastatic breast cancer
- Preliminary efficacy data demonstrated a 47 percent confirmed overall response rate and a 94 percent disease control rate with U3-1402
- Findings represent first clinical data ever to be reported for an investigational ADC in HER3-expressing cancer and provide proof-of-concept on the portability of Daiichi Sankyo's proprietary DXd and linker ADC technology beyond DS-8201

Tokyo, Basking Ridge, NJ, and Munich – (June 1, 2018) – Daiichi Sankyo Company, Limited (hereafter, Daiichi Sankyo) announced that preliminary data from the dose escalation part of an ongoing phase 1/2 study with investigational U3-1402 in heavily pretreated patients with HER3-positive metastatic breast cancer will be presented during a Poster Discussion Session on Monday, June 4 at the 2018 American Society of Clinical Oncology (ASCO) Annual Meeting in Chicago, IL (Abstract 2512; 3:00 – 4:15 PM CDT).

Safety results were reported for 34 patients receiving U3-1402 in dose levels between 1.6 mg/kg to 8.0 mg/kg given every three weeks. A maximum tolerated dose has not yet been reached. The most common adverse events (>30 percent, any Grade) included nausea (82 percent), platelet count decreased/thrombocytopenia (68 percent), decreased appetite (62 percent), neutrophil count decreased/neutropenia (59 percent), white blood cell count decreased (53 percent), vomiting (50 percent), ALT increased (38 percent), AST increased (38 percent), anemia (38 percent), stomatitis (32 percent) and diarrhea (32 percent). The most common adverse events Grade ≥3 (>10 percent of patients) were thrombocytopenia (29 percent), neutrophil count decreased/neutropenia (27 percent), white blood cell count decreased (18 percent) and anemia (12 percent). The following dose-limiting toxicities were observed: Grade 4 platelet count decreased (3 patients), Grade 3 ALT increased (2 patients), and Grade 2 AST increased (1 patient).

Preliminary results in 32 efficacy evaluable patients showed that U3-1402 demonstrated a confirmed overall response rate of 47 percent (15/32 patients) and a disease control rate of 94 percent (30/32 patients).

"There is a clinical need for additional treatments for metastatic breast cancer, especially for those tumors that express HER3, which is associated with poor prognosis and for which no targeted therapies are currently available," said Takahiro Kogawa, MD, PhD, National Cancer Center Hospital East in Japan, and an

investigator for the study. “These preliminary results suggest that U3-1402 could be a potential new treatment approach for metastatic breast tumors that express HER3, and the study will move forward to determine the most suitable dosing regimen for further clinical evaluation.”

“These findings with U3-1402, which are the first reported clinical data evaluating an ADC in HER3-expressing cancer, build upon our historical understanding of exploring the role of HER3 as a potential target,” said Kouichi Akahane, PhD, MBA, Executive Officer, Head of Oncology Function, R&D Division, Daiichi Sankyo. “Furthermore, these results seen with U3-1402 offer proof-of-concept of the portability of our proprietary DXd and linker ADC technology, which has been specifically designed to smartly deliver chemotherapy with the precision of a targeted therapy.”

About the Phase 1 Study

In this three-part open-label global phase 1/2 study, U3-1402 is given as an intravenous infusion every three weeks. The first part of the study (dose escalation) is assessing the safety, tolerability and maximum tolerated dose of U3-1402 in HER3-positive (defined as IHC 2+/3+) metastatic breast cancer patients who are refractory or intolerant to standard treatment, or for whom no standard treatment is available. The second part of the study (dose-finding) will assess the safety and efficacy of U3-1402 and determine the recommended phase 2 dose in HER3-positive metastatic breast cancer patients who have received six or fewer prior chemotherapy regimens. The third part of the study (phase 2) will assess the safety and efficacy of the recommended dose of U3-1402 in HER3-positive metastatic breast cancer patients who have received six or fewer prior chemotherapy regimens. The study is currently enrolling patients in Japan and is preparing to expand to include patients in the U.S. For more information about this study, please visit ClinicalTrials.gov.

About HER3-Positive Metastatic Breast Cancer

Breast cancer is typically classified and treated based on one of three types of biomarker status classifications: hormone-receptor positive (HR+), where the tumor cells contain either estrogen receptors (ER) or progesterone receptors (PR); HER2-positive (HER2+), where the tumor cells overexpress HER2; and triple negative, where the tumor cells do not have estrogen or progesterone receptors and are HER2-negative.[1] However, human epidermal growth factor receptor 3 (known as HER3 or ERBB3) is a tyrosine kinase receptor that is increasingly being recognized as important to tumor growth in certain cancers including breast cancer.[2] Patients living with invasive breast cancer with high levels of HER3 face a significantly worse prognosis and decreased survival, and to date there is no approved HER3-directed therapy option.[3]

About U3-1402

Part of the investigational ADC Franchise of the Daiichi Sankyo Cancer Enterprise, U3-1402 is an investigational and potential first-in-class HER3-targeting ADC. ADCs are targeted cancer medicines that deliver cytotoxic chemotherapy ("payload") to cancer cells via a linker attached to a monoclonal antibody that binds to a specific target expressed on cancer cells. Designed using Daiichi Sankyo's proprietary ADC technology, U3-1402 is a smart chemotherapy comprised of a human anti-HER3 antibody attached to a novel topoisomerase I inhibitor payload by a tetrapeptide-based linker. It is designed to target and deliver chemotherapy inside cancer cells and reduce systemic exposure to the cytotoxic payload (or chemotherapy) compared to the way chemotherapy is commonly delivered.

U3-1402 is currently being evaluated in two phase 1 clinical studies, including a phase 1/2 study for HER3-expressing metastatic or unresectable breast cancer in Japan and a phase 1 study for metastatic or unresectable EGFR-mutated non-small cell lung cancer (NSCLC) in the U.S.

U3-1402 is an investigational agent that has not been approved for any indication in any country. Safety and efficacy have not been established.

About Daiichi Sankyo Cancer Enterprise

The mission of Daiichi Sankyo Cancer Enterprise is to leverage our world-class, innovative science and push beyond traditional thinking to create meaningful treatments for patients with cancer. We are dedicated to transforming science into value for patients, and this sense of obligation informs everything we do. Anchored by three pillars including our investigational Antibody Drug Conjugate Franchise, Acute Myeloid Leukemia Franchise and Breakthrough Science, we aim to deliver seven distinct new molecular entities over eight years during 2018 to 2025. Our powerful research engines include two laboratories for biologic/immuno-oncology and small molecules in Japan, and Plexxikon Inc., our small molecule structure-guided R&D center in Berkeley, CA. Compounds in pivotal stage development include: DS-8201, an antibody drug conjugate (ADC) for HER2-expressing breast, gastric and other cancers; quizartinib, an oral selective FLT3 inhibitor, for newly-diagnosed and relapsed/refractory acute myeloid leukemia (AML) with *FLT3*-ITD mutations; and pexidartinib, an oral CSF1R inhibitor, for tenosynovial giant cell tumor (TGCT). For more information, please visit: www.DSCancerEnterprise.com.

About Daiichi Sankyo

Daiichi Sankyo Group is dedicated to the creation and supply of innovative pharmaceutical products to address diversified, unmet medical needs of patients in both mature and emerging markets. With over 100 years of scientific expertise and a presence in more than 20 countries, Daiichi Sankyo and its 15,000 employees around

the world draw upon a rich legacy of innovation and a robust pipeline of promising new medicines to help people. In addition to a strong portfolio of medicines for hypertension and thrombotic disorders, under the Group's 2025 Vision to become a "Global Pharma Innovator with Competitive Advantage in Oncology," Daiichi Sankyo research and development is primarily focused on bringing forth novel therapies in oncology, including immuno-oncology, with additional focus on new horizon areas, such as pain management, neurodegenerative diseases, heart and kidney diseases, and other rare diseases. For more information, please visit: www.daiichisankyo.com. Daiichi Sankyo, Inc., headquartered in Basking Ridge, New Jersey, is a member of the Daiichi Sankyo Group. For more information on Daiichi Sankyo, Inc., please visit: www.dsi.com.

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