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Press Release

Daiichi Sankyo Initiates Pivotal Phase 2 Study of DS-8201 in Patients with HER2-Positive Advanced Gastric Cancer

- Pivotal phase 2 DESTINY-Gastric01 study in Japan and South Korea will evaluate efficacy and safety of DS-8201 in HER2-positive advanced gastric cancer resistant or refractory to trastuzumab
- Currently no HER2-targeting therapy is approved for patients with HER2-positive gastric cancer whose tumors are no longer controlled by trastuzumab
- DESTINY-Gastric01 represents the second pivotal study of DS-8201 following recent initiation of DESTINY-Breast01 in patients with HER2-positive unresectable and/or metastatic breast cancer

Tokyo, Basking Ridge, NJ, and Munich – (November 20, 2017) – Daiichi Sankyo Company, Limited (hereafter, Daiichi Sankyo) today announced the first patient has been enrolled in DESTINY-Gastric01, a pivotal phase 2 study in Japan and South Korea evaluating the safety and efficacy of DS-8201, an investigational HER2-targeting antibody drug conjugate (ADC), in patients with HER2-positive advanced gastric or gastroesophageal junction adenocarcinoma resistant or refractory to trastuzumab.

"Japan and South Korea have some of the highest rates of gastric cancer worldwide and there have been limited advances in targeted treatments over the past decade," said Koichi Akahane, PhD, MBA, Executive Officer, Head of Oncology Function, R&D Division, Daiichi Sankyo. "The initiation of this pivotal study will allow us to evaluate whether the smart delivery of chemotherapy with DS-8201 may be a potential new treatment option to help address the high unmet medical need of gastric cancer."

Approximately one in five gastric cancers overexpress HER2, a tyrosine kinase receptor growth-promoting protein found on the surface of some cancer cells.[1] HER2-expressing gastric cancer is an area of unmet medical need as advances in the treatment of the disease have been limited, largely due to its genetic complexity and heterogeneity.[2] Currently, no approved HER2-targeting therapy options exist for patients with HER2-positive advanced gastric cancer after trastuzumab.

"We are excited to initiate this second pivotal study of DS-8201 as it represents an important next step to accelerate the development of DS-8201," said Antoine Yver, MD, MSc, Executive Vice President and Global Head, Oncology Research and Development, Daiichi Sankyo. "With limited treatment options available for advanced gastric cancer, including no approved antibody drug conjugate, we are exploring the potential of DS-8201 as a new treatment option for this type of HER2-expressing cancer."

About DESTINY-Gastric01

DESTINY-Gastric01 is a pivotal phase 2, open-label study investigating the safety and efficacy of DS-8201 in patients with HER2-expressing advanced gastric cancer or gastroesophageal junction adenocarcinoma (defined as IHC3+ or IHC2+/ISH+) who have progressed on two prior regimens

including fluoropyrimidine agent, platinum agent and trastuzumab. Patients will be randomized 2:1 to DS-8201 or physician's choice of treatment (paclitaxel or irinotecan monotherapy). The primary endpoint of the study is objective response rate. Secondary endpoints include progression-free survival, overall survival, duration of response, disease control rate, time to treatment failure, pharmacokinetics and safety.

DESTINY-Gastric01 also will include two non-randomized exploratory cohorts to examine the safety and efficacy of DS-8201 in patients with HER2 low-expressing advanced gastric cancer, who have not been treated previously with a HER2-targeting therapy. The first exploratory cohort will enroll patients with HER2 low-expression defined as IHC2+/ISH-, and the second exploratory cohort will include HER2 low-expression defined as IHC1+.

The study is expected to enroll up to 180 patients in the pivotal cohort and 40 patients in the exploratory cohorts in Japan and South Korea. For more information about this clinical trial, visit www.ClinicalTrials.gov.

About Gastric Cancer

Gastric cancer is the fifth most common cancer worldwide, with nearly one million new cases reported in 2012.[3] Approximately half of all gastric cancer cases occur in eastern Asia, with South Korea and Japan having the first and third highest incidence rate worldwide, respectively.[3,4] Gastric cancer is the third leading cause of cancer-related death worldwide, and the second and third leading cause of cancer-related death in Japan and South Korea, respectively.[3,5,6]

About DS-8201

DS-8201 is the lead product in the ADC Franchise of the Daiichi Sankyo Cancer Enterprise. 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, DS-8201 is a smart chemotherapy comprised of a humanized HER2 antibody attached to a novel topoisomerase I inhibitor (DXd) 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.

In addition to the DESTINY-Gastric01 study, DS-8201 is currently in phase 2 clinical development for HER2-positive unresectable and/or metastatic breast cancer resistant or refractory to ado-trastuzumab emtansine (T-DM1) (DESTINY-Breast01) and in phase 1 development for other HER2-expressing advanced/unresectable or metastatic solid tumors.

DS-8201 has been granted Breakthrough Therapy designation for the treatment of patients with HER2-positive, locally advanced or metastatic breast cancer who have been treated with trastuzumab and pertuzumab and have disease progression after ado-trastuzumab emtansine (T-DM1), and Fast Track designation for the treatment of HER2-positive unresectable and/or metastatic breast cancer in patients who have progressed after prior treatment with HER2-targeted therapies including T-DM1 by the U.S. Food and Drug Administration (FDA). DS-8201 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 vision of Daiichi Sankyo Cancer Enterprise is to leverage our world-class, innovative science and push beyond traditional thinking in order 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 our Antibody Drug Conjugate (ADC) and Acute Myeloid Leukemia (AML) Franchises, our cancer pipeline includes more than 20 small molecules, monoclonal antibodies and ADCs stemming from our powerful research engines: our 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 development include: quizartinib, an oral FLT3 inhibitor, for newly-diagnosed and relapsed or refractory AML with FLT3-ITD mutations; DS-8201, an ADC for HER2-expressing breast and gastric cancer, and other HER2-expressing solid tumors; and pexidartinib, an oral CSF-1R inhibitor, for tenosynovial giant cell tumor (TGCT), which is also being explored in a range of solid tumors in combination with the anti-PD1 immunotherapy pembrolizumab. 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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