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Press Release

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

- Pivotal phase 2 DESTINY-Breast01 study to examine efficacy and safety of DS-8201 in patients with HER2-positive unresectable and/or metastatic breast cancer who are resistant or refractory to ado-trastuzumab emtansine (T-DM1)
- Patients with HER2-positive metastatic breast cancer eventually develop resistance to currently approved treatments, underscoring the need for additional HER2-targeting therapies
- Utilizing Daiichi Sankyo's innovative antibody drug conjugate (ADC) technology, DS-8201 has demonstrated preliminary evidence of antitumor activity in HER2-expressing cancers in an ongoing phase 1 study

Tokyo, Japan, Basking Ridge, NJ, and Munich, Germany – (August 29, 2017) – Daiichi Sankyo Company, Limited (hereafter, Daiichi Sankyo) today announced the initiation of DESTINY-Breast01, a pivotal phase 2 study evaluating the safety and efficacy of investigational HER2-targeting antibody drug conjugate (ADC) DS-8201 in patients with HER2-positive unresectable and/or metastatic breast cancer resistant or refractory to ado-trastuzumab emtansine (T-DM1).

About one in five patients with breast cancer overexpress HER2, a tyrosine kinase receptor growth-promoting protein found on the surface of some cancer cells, which is associated with aggressive disease.[1] Many tumors advance to the point where no currently approved HER2-targeting treatment continues to control the disease. Furthermore, there is no current standard of care for HER2-positive tumors after treatment with trastuzumab, pertuzumab and T-DM1.[2]

"The initiation of this phase 2 study represents an important next step to rapidly advance the development of DS-8201, as we will obtain a better understanding of how the smart delivery of chemotherapy directly to targeted cancer cells may help patients with HER2-expressing metastatic breast cancer," said Antoine Yver, MD, MSc, Executive Vice President and Global Head, Oncology Research and Development, Daiichi Sankyo. "In addition to this pivotal study, we will continue to evaluate DS-8201 in other HER2-expressing cancers as well as in combination with other therapies where science suggests that it may help improve patient outcomes."

DESTINY-Breast01 is a pivotal phase 2, open-label, global, multicenter, two-part study evaluating the safety and efficacy of DS-8201 in patients with HER2-positive unresectable and/or metastatic breast cancer resistant or refractory to T-DM1. The primary endpoint of the study is objective response rate. Secondary objectives include duration of response, disease control rate, clinical benefit rate, progression-free survival and overall survival. The first part of the study will include a pharmacokinetic stage and a dose finding stage to identify the recommended dose of DS-8201 to be evaluated in the second part of the study. The second part of the study will enroll patients into one of two cohorts: patients resistant or

refractory to T-DM1 (part 2a) and patients who discontinued treatment with T-DM1 for reasons other than resistant or refractory disease (part 2b). DESTINY-Breast01 is expected to enroll more than 230 patients at up to 90 sites in North America, Europe, Japan and other countries in Asia. For more information about this clinical trial, please visit ClinicalTrials.gov.

About DS-8201

DS-8201 is the lead product in the ADC Franchise of the Daiichi Sankyo Cancer Enterprise. ADCs are a type of targeted cancer medicine 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. 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 linker. It is designed to deliver enhanced cell destruction upon release inside the cell and reduce systemic exposure to the cytotoxic payload (or chemotherapy) compared to the way chemotherapy is commonly delivered.

In addition to the DESTINY-Breast01 study, DS-8201 is in phase 1 development for HER2 low-expressing breast cancer, HER2-positive gastric cancer, and other HER2-expressing solid tumors. The U.S. Food and Drug Administration (FDA) granted Breakthrough Therapy designation to DS-8201 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. DS-8201 is an investigational agent that has not been approved for any indication in any country. Safety and efficacy have not been established, and there is no guarantee that DS-8201 will become commercially available.

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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1. American Cancer Society. Breast Cancer Overview. 2016.
2. NCCN Guidelines. Breast Cancer. Version 2.2017.