Passion for Innovation.
Compassion for Patients.™

Daiichi-Sankyo

Press Release

Not Intended for UK Media Use

Daiichi Sankyo Initiates Phase 1 Study of DS-1062 in Patients with Advanced Non-Small Cell Lung Cancer

- First-in-human phase 1 study will evaluate safety and tolerability of DS-1062, an investigational trophoblast cell-surface antigen 2-(TROP2)-targeting antibody drug conjugate (ADC), in patients with unresectable advanced non-small cell lung cancer
- TROP2 overexpression is associated with aggressive tumor growth and decreased survival in many tumor types
- DS-1062 is the third ADC in clinical development utilizing Daiichi Sankyo's proprietary linker and payload technology

Tokyo, Basking Ridge, NJ, and Munich – (February 22, 2018) – Daiichi Sankyo Company, Limited (hereafter, Daiichi Sankyo) today announced the first patient has been dosed in a phase 1 study assessing the safety and tolerability of DS-1062, an investigational TROP2-targeting antibody drug conjugate (ADC), in patients with unresectable advanced non-small cell lung cancer (NSCLC) who are refractory to or have relapsed following standard treatment or for whom no standard treatment is available.

With the discovery of driver genes and introduction of targeted therapies, there has been improvement in patient outcomes for certain types of NSCLC. However, for patients with unresectable advanced NSCLC, there is still a need for new therapeutic strategies as the five-year survival rates for patients with advanced stages of NSCLC are low.[1]

DS-1062 is designed to target and deliver chemotherapy inside cancer cells expressing trophoblast cell-surface antigen 2 (TROP2), which is overexpressed in many cancers including NSCLC.[2] Overexpression of TROP2 is a driver in cancer growth and has been associated with decreased patient survival, increased tumor aggressiveness, metastasis, and drug resistance in several tumor types.[3]

"We are initially focusing on evaluating DS-1062 in patients with advanced NSCLC with potential expansion into other tumor types depending on the results of this early critical test in a study designed to provide evidence supporting unique properties of this particular TROP2 ADC construct," said Antoine Yver, MD, MSc, Executive Vice President and Global Head, Oncology Research and Development, Daiichi Sankyo. "With the initiation of this study of DS-1062, we move our third ADC into the clinic and continue to investigate the potential of the smart delivery of chemotherapy in various cancers including lung, breast and gastric cancer."

About the Study

The phase 1, open-label study will investigate the safety and tolerability of DS-1062 in patients with unresectable advanced NSCLC who are refractory to or have relapsed following standard treatment or for whom no standard treatment is available. The first part of the study (dose escalation) will assess the safety and tolerability of increasing doses of DS-1062 to determine the maximum tolerated dose and recommended dose for expansion. The second part of the study (dose expansion) will evaluate the safety and tolerability of DS-1062 at the recommended dose for expansion. Study endpoints include safety, pharmacokinetics, objective response rate, duration of response, disease control rate, time to response, progression-free survival, overall survival, biomarker analysis and immunogenicity. This portion of the study is expected to enroll approximately 40 patients with unresectable advanced NSCLC in the United States and Japan.

Following the outcome of both the dose escalation and dose expansion parts of the study in patients with unresectable advanced NSCLC, there may be two additional expansion cohorts opened for other solid tumors where high expression of TROP2 is frequently observed. For more information about the study, please visit ClinicalTrials.gov.

About DS-1062

Part of the investigational ADC Franchise of the Daiichi Sankyo Cancer Enterprise, DS-1062 is an investigational TROP2-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, DS-1062 is a smart chemotherapy comprised of a humanized anti-TROP2 monoclonal 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. DS-1062 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 Franchise, 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 CSF-1R 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.

Contact

Jennifer Brennan
Daiichi Sankyo, Inc.
jbrennan2@dsi.com
+1 908 992 6631 (office)
+1 201 709 9309 (mobile)

References:

1. American Cancer Society. Non-Small Cell Lung Cancer Survival Rates by Stage. 2017.
2. Inamura, K., et al. *Oncotarget.* 2017; 8(17):28725-28735.
3. Shvartsur A, et al. *Genes & Cancer.* 2015; 6(3-4):84-105.