

Press Release

Daiichi Sankyo Expands DS-1062 Study to Include Patients with Triple Negative Breast Cancer

- Phase 1 study now evaluating DS-1062, a TROP2 directed DXd ADC, in patients with advanced/unresectable or metastatic triple negative breast cancer
- Expansion follows encouraging preliminary results for DS-1062 in patients with advanced non-small cell lung cancer

Tokyo, Munich and Basking Ridge, NJ – (July 1, 2020) – Daiichi Sankyo Company, Limited (hereafter, Daiichi Sankyo) today announced the first patient with triple negative breast cancer (TNBC) has been dosed in the ongoing phase 1 study assessing DS-1062, a TROP2 directed DXd antibody drug conjugate (ADC).

Patients with TNBC, an aggressive subtype of breast cancer, have limited treatment options beyond standard chemotherapy.¹ High TROP2 expression has been reported in up to 80 percent of patients with TNBC.^{2,3}

“Following the promising preliminary results reported with DS-1062 in patients with non-small cell lung cancer, we have expanded the study to include patients with triple negative breast cancer,” said Gilles Gallant, BPharm, PhD, FOPQ, Senior Vice President, Global Head, Oncology Development, Oncology R&D, Daiichi Sankyo. “We continue to follow the science to determine whether DS-1062, designed with our proprietary DXd ADC technology, could serve as a new TROP2 directed therapy option for patients with TNBC and other cancers.”

About the Phase 1 Study

The first-in-human, open-label, two-part, multi-center [phase 1 study](#) is designed to evaluate the safety, tolerability and preliminary efficacy of DS-1062 in patients with TROP2 unselected advanced solid tumors, which are refractory to or relapsed from standard treatment or for whom no standard treatment is available.

The first part of the study (dose escalation) assessed the safety and tolerability of increasing doses of DS-1062 to determine the maximum tolerated dose (MTD) and/or recommended dose for expansion (RDE) in patients with unresectable advanced NSCLC. The second part of the study (dose expansion) is further assessing the safety and tolerability of DS-1062 at selected dose levels for NSCLC. A cohort of patients with unresectable/advanced or metastatic TNBC has been added.

The [study](#) is currently enrolling approximately 180 patients in the U.S. and Japan with advanced unresectable NSCLC to receive DS-1062 at doses of 4, 6, and 8 mg/kg, and approximately 40 patients with advanced/unresectable or metastatic TNBC will receive DS-1062 at the 8 mg/kg dose. Patient enrollment in the dose expansion part of the study may be further expanded to include additional tumor types.

Safety endpoints include dose limiting toxicities and serious adverse events. Efficacy endpoints include objective response rate, duration of response, disease control rate, time to response, progression-free survival and overall survival. Pharmacokinetic, biomarker and immunogenicity endpoints also will be evaluated.

Updated data from this study in patients with heavily pre-treated advanced non-small cell lung cancer (NSCLC) were recently presented at the 2020 American Society of Clinical Oncology (ASCO) Virtual Scientific Program (#ASCO20).

About TROP2

TROP2 (trophoblast cell-surface antigen 2) is a transmembrane glycoprotein that is overexpressed in many cancers including up to 80 percent of patients with triple negative breast cancer.^{2,3} High TROP2 expression also has been identified in a majority of NSCLCs.⁴ Research indicates that high TROP2 expression is associated with cancer cell growth and proliferation and poor patient survival.⁵ TROP2 is recognized as a promising molecular target for therapeutic development in various cancers.⁵

About Triple Negative Breast Cancer

Approximately 10 to 20 percent of breast cancers are considered triple negative because the tumors test negative for estrogen and progesterone hormone receptors (HRs) and for human epidermal growth factor 2 receptor (HER2).⁶ Patients with TNBC have limited treatment options beyond standard chemotherapy.⁶ An aggressive breast cancer subtype, TNBC is more likely to recur following initial chemotherapy compared to other breast cancers.⁷ Overall, prognosis for patients with metastatic TNBC is worse than for the other breast cancer subtypes, and more effective therapeutic options are needed.⁶

About DS-1062

DS-1062 is one of three lead DXd antibody drug conjugates (ADCs) in the oncology pipeline of Daiichi Sankyo. 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 DXd ADC technology, DS-1062 is comprised of a humanized anti-TROP2 monoclonal antibody attached to a topoisomerase I inhibitor payload by a

tetrapeptide-based linker with a customized drug-to-antibody ratio (DAR) of four to optimize the benefit-risk ratio for the intended patient population.

Preclinical studies have demonstrated that DS-1062 selectively binds to the TROP2 receptor on the surface of a tumor cell. It is proposed that DS-1062 is then internalized into the cancer cell where lysosomal enzymes break down the tetrapeptide-based linker and release the DXd payload.

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 our DXd antibody drug conjugate (ADC) technology, our powerful research engines include biologics, medicinal chemistry, modality and other research laboratories in Japan, and Plexxikon Inc., our small molecule structure-guided R&D center in Berkeley, CA. For more information, please visit: www.DSCancerEnterprise.com.

About Daiichi Sankyo

Daiichi Sankyo Group is dedicated to the creation and supply of innovative pharmaceutical therapies to improve standards of care and address diversified, unmet medical needs of people globally by leveraging our world-class science and technology. With more than 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 cardiovascular diseases, under the Group's 2025 Vision to become a "Global Pharma Innovator with Competitive Advantage in Oncology," Daiichi Sankyo is primarily focused on providing novel therapies in oncology, as well as other research areas centered around rare diseases and immune disorders. For more information, please visit:

www.daiichisankyo.com.

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