

Press Release

DS-3939 Enters Clinical Development in Patients Across Several Types of Advanced Solid Cancers

Tokyo and Basking Ridge, NJ – (September 7, 2023) – Daiichi Sankyo (TSE: 4568) announced today that the first patient has been dosed in a first-in-human phase 1/2 trial evaluating DS-3939 in patients with several types of advanced solid tumors including non-small cell lung, breast, urothelial, ovarian, biliary tract, and pancreatic cancer.

DS-3939 is a specifically engineered potential first-in-class tumor-associated mucin-1 (TA-MUC1) directed antibody drug conjugate (ADC) designed using Daiichi Sankyo's proprietary DXd ADC technology.

TA-MUC1 is a tumor-specific transmembrane glycoprotein and is overexpressed in most human epithelial cancers, making it a promising target for cancer therapy.^{1,2} Currently, there are no TA-MUC1 directed therapies approved for any type of cancer.

“With DS-3939, we are pairing our unique DXd antibody drug conjugate technology with a TA-MUC1 antibody in order to evaluate this novel treatment strategy for patients with several types of advanced cancer,” said Mark Rutstein, MD, Global Head, Oncology Clinical Development, Daiichi Sankyo. “The initiation of this trial is a significant milestone as DS-3939 is an important new addition to our growing DXd ADC portfolio, which now consists of six ADCs in clinical development, and represents our ongoing commitment to create new standards of care for patients with cancer.”

About the Phase 1/2 Trial

The two-part, multicenter, open-label, first-in-human phase 1/2 trial will assess the safety and efficacy of DS-3939 in patients with locally advanced, metastatic or unresectable solid tumors not amenable to standard of care treatment for each tumor type.

The first part of the trial (dose escalation) will assess the safety and tolerability of increasing doses of DS-3939 to determine the maximum tolerated dose and/or the recommended doses for expansion (RDEs) in patients with locally advanced, metastatic, or unresectable solid tumors.

The second part of the trial (dose expansion) will consist of multiple expansion cohorts to continue to assess the safety and efficacy of DS-3939. The trial will evaluate safety endpoints including dose-limiting toxicities and adverse events, and efficacy endpoints including overall response rate, disease control rate, duration of response, time to response, progression-free survival and overall survival. Pharmacokinetic and biomarker endpoints also will be assessed.

The trial is expected to enroll patients across multiple sites globally, including Asia and North America. For more information, please visit [ClinicalTrials.gov](https://clinicaltrials.gov).

About TA-MUC1

TA-MUC1 is a tumor-specific transmembrane glycoprotein with aberrant glycosylation due to changes of the expression patterns of some sialyltransferases.¹ Based on the overexpression of TA-MUC1 in most human epithelial cancers, it is an attractive target for cancer therapy.² Currently, there are no TA-MUC1 directed therapies approved for any type of cancer.

About DS-3939

DS-3939 is an investigational potential first-in-class TA-MUC1 directed ADC. Designed using Daiichi Sankyo's proprietary DXd ADC technology, DS-3939 is comprised of a humanized anti-TA-MUC1 antibody licensed from Glycotope GmbH, attached to a number of topoisomerase I inhibitor payloads (an exatecan derivative, DXd) via tetrapeptide-based cleavable linkers.

About the DXd ADC Portfolio of Daiichi Sankyo

The DXd ADC portfolio of Daiichi Sankyo currently consists of six ADCs in clinical development across multiple types of cancer. ENHERTU, a HER2 directed ADC, and datopotamab deruxtecan (Dato-DXd), a TROP2 directed ADC, are being jointly developed and commercialized globally with AstraZeneca. Four additional Daiichi Sankyo DXd ADCs include patritumab deruxtecan (HER3-DXd), a HER3 directed ADC, ifinatamab deruxtecan (I-DXd; DS-7300), a B7-H3 directed ADC, raludotatug deruxtecan (R-DXd; DS-6000), a CDH6 directed ADC, and DS-3939, a TA-MUC1 directed ADC.

Designed using Daiichi Sankyo's proprietary DXd ADC technology to target and deliver a cytotoxic payload inside cancer cells that express a specific cell surface antigen, each ADC consists of a monoclonal antibody attached to a number of topoisomerase I inhibitor payloads (an exatecan derivative, DXd) via tetrapeptide-based cleavable linkers.

Datopotamab deruxtecan, ifinatamab deruxtecan, patritumab deruxtecan, raludotatug deruxtecan and DS-3939 are investigational medicines that have not been approved for any indication in any country. Safety and efficacy have not been established.

About Daiichi Sankyo

Daiichi Sankyo is an innovative global healthcare company contributing to the sustainable development of society that discovers, develops and delivers new standards of care to enrich the quality of life around the world. With more than 120 years of experience, Daiichi Sankyo leverages its world-class science and technology to create new modalities and innovative medicines for people with cancer, cardiovascular and other diseases with high unmet medical need. For more information, please visit www.daiichisankyo.com.

Media Contacts:

Global:

Jennifer Brennan
Daiichi Sankyo, Inc.
jbrennan2@dsi.com
+1 908 900 3183 (mobile)

Japan:

Koji Ogiwara
Daiichi Sankyo Co., Ltd.
ogiwara.koji.ay@daiichisankyo.co.jp
+81 3 6225 1126 (office)

Investor Relations Contact:

DaiichiSankyoIR@daiichisankyo.co.jp

References:

¹ Nath S, et al. *Trends Mol Med*. 2014; 20(6):332-42.

² Fan XN, et al. *Pathol Res Pract*. 2010; 206(8):585-9.