

## Press Release

# **Daiichi Sankyo Announces Clinical Research Collaboration to Evaluate DS-1062 in Combination with KEYTRUDA® (pembrolizumab) in Metastatic Non-Small Cell Lung Cancer**

**Tokyo, Munich and Basking Ridge, NJ – May 29, 2020** – Daiichi Sankyo Company, Limited (hereafter, Daiichi Sankyo) announced that it has entered into a clinical trial collaboration agreement with a subsidiary of Merck & Co., Inc., Kenilworth, New Jersey, U.S.A., known as MSD outside the United States and Canada, to evaluate the combination of DS-1062, a TROP2 directed DXd antibody drug conjugate (ADC), and KEYTRUDA® (pembrolizumab) in patients with previously-treated advanced or metastatic non-small cell lung cancer (NSCLC) without actionable genomic alterations.

There are no TROP2 directed therapies and no ADCs currently approved for treatment of NSCLC, which frequently overexpresses the TROP2 protein.<sup>1</sup>

“Strategic research collaborations like this support our goal of developing our TROP2 directed DXd ADC in combination with immune checkpoint inhibitors to improve upon the current standard of care therapies across a wide range of NSCLC subtypes,” said Gilles Gallant, BPharm, PhD, FOPQ, Senior Vice President, Global Head, Oncology Development, Oncology R&D, Daiichi Sankyo. “We look forward to evaluating the safety and efficacy of DS-1062 in combination with KEYTRUDA as a potential combination therapy strategy to advance treatment outcomes for patients with metastatic NSCLC without mutations known to drive cancer growth.”

### **About the Study**

Under the terms of the agreement, Daiichi Sankyo will conduct a multicenter, two-part, open-label, non-randomized, phase 1b study of DS-1062 in combination with KEYTRUDA in patients with advanced or metastatic NSCLC without actionable genomic alterations and previously treated with platinum-based chemotherapy with or without immunotherapy. Patients need to have been previously treated with one regimen of a PD-1/PD-L1 directed immunotherapy, except if patients have a PD-L1 proportion score of <1%.

The first part of the study (dose escalation) will evaluate the safety and tolerability of increasing doses of DS-1062 with a fixed dose of KEYTRUDA to determine the maximum tolerated dose (MTD) and/or

recommended dose for expansion (RDE). The second part of the study (dose expansion) will evaluate the safety and tolerability of DS-1062 at the RDE in combination with KEYTRUDA.

The primary endpoints of the study are safety and tolerability of the maximum tolerated dose/recommended expansion dose of DS-1062 in combination with KEYTRUDA. Secondary endpoints include objective response rate (ORR), duration of response, disease control rate, clinical benefit rate, progression-free survival, time to tumor response, best percentage change in sum of diameters of the tumor as assessed by investigator, overall survival, and pharmacokinetic and immunogenicity parameters. Patients with documented wild-type EFGR and ALK mutations, alterations in ROS1, NTRK, BRAF, or other known actionable mutations are not eligible for the study.

The study is expected to enroll approximately 60 patients in the U.S. and Japan. Additional details of the agreement were not disclosed.

KEYTRUDA<sup>®</sup> is a registered trademark of Merck Sharp & Dohme Corp, a subsidiary of Merck & Co., Inc., Kenilworth, NJ, USA.

### **Unmet Need in Non-Small Cell Lung Cancer (NSCLC)**

Lung cancer is the most common cancer and the leading cause of cancer mortality worldwide; there were an estimated 2.1 million new cases of lung cancer diagnosed in 2018 and 1.8 million deaths.<sup>2</sup>

NSCLC accounts for approximately 80 to 85 percent of all lung cancers.<sup>3</sup> The majority of patients diagnosed with advanced NSCLC have traditionally received platinum-based chemotherapy as first-line treatment; the introduction of immune checkpoint inhibitors and targeted therapies in recent years has created new options.<sup>3</sup> These newer types of agents may be recommended in first or subsequent lines of treatment based on genetic and biomolecular profiling of tumors.<sup>4</sup> For patients whose cancer continues to progress on available regimens, new and novel therapeutics are needed.<sup>4</sup>

### **About TROP2**

TROP2 (trophoblast cell-surface antigen 2) is a transmembrane glycoprotein that is overexpressed in many cancers; high TROP2 expression has been identified in a majority of NSCLCs.<sup>1</sup> Overexpression of TROP2 is associated with decreased patient survival.<sup>5</sup> TROP2 is recognized as a promising molecular target for therapeutic development.<sup>5</sup> No TROP2 directed therapies are currently approved for treatment of NSCLC.

### **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.

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](http://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](http://www.daiichisankyo.com).

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- <sup>4</sup> National Comprehensive Care Network. NCCN Guidelines Version 2.2020. NSCLC. pNSCL-18.
- <sup>5</sup> Zeng, P. et al. *Nature Scientific Reports*. 2016;6: e33658.