Helsinn presents newly available data on vepafestinib at IASLC 2022 World Conference on Lung Cancer

Lugano, Switzerland, August 8, 2022 - Helsinn Group ("Helsinn"), a fully integrated, global biopharma company with a diversified pipeline of innovative oncology assets, announces its participation in the upcoming IASLC 2022 World Conference on Lung Cancer (WCLC) hosted by the International Association for the Study of Lung Cancer, taking place from 6-9 August in Vienna, Austria.

At the conference, new preclinical data for the investigational product vepafestinib (TAS0953/HM06), a selective RET inhibitor that has shown a distinct binding mode\(^1\) to RET and a high brain barrier permeability\(^2\), will be presented on August 9, 2022, 12:12 – 12:17 CEST.

Details of the abstract are below:

**Title:** TA0953/HM06, a novel RET-specific inhibitor effective in extracranial and CNS disease models of NSCLC with RET fusions

- **Author:** Igor Odintsov, MD
- **Session:** MA13 – Update on ROS1 Inhibitors and New Pathways
- **Abstract ID:** MA13.05

This Helsinn-sponsored study, which was conducted by Dr. Odintsov and colleagues in the Marc Ladanyi Lab at Memorial Sloan Kettering Cancer Center, explored the effect of vepafestinib (TAS0953/HM06), relative to other RET multi-kinase and selective inhibitors, on growth inhibition using *in vitro* and *in vivo* NSCLC extracranial and CNS disease models.

**Dr. Sergio Cantoreggi, Helsinn Group Chief Scientific Officer and Head of R&D commented:** “We are excited to be presenting further preclinical data on vepafestinib at the IASLC 2022 World Conference on Lung Cancer. RET alterations are a key driver in several types of cancer. The data presented on our investigational asset vepafestinib highlight Helsinn’s strong focus on targeted therapies for rare cancers and demonstrate the deployment of our fully integrated targeted therapy (FITT) strategy.”

**Dr. Igor Odintsov, MD, commented:** “Cancers with RET alterations have a high incidence of brain metastasis at advance stage of the disease (for example, 25% of lung adenocarcinoma
patients with stage IV disease had brain metastasis). Developing therapies for these patients to minimize CNS progression is critically important. These preclinical results support the continued investigation and development of vepafestinib in this disease space.”

About Helsinn

Helsinn is a fully integrated, global biopharma company headquartered in Lugano, Switzerland. It is focused on improving the lives of cancer patients all over the world with a leading position in cancer supportive care and an innovative pipeline of cancer therapeutics.

Helsinn is a third-generation family-owned company, that since 1976 has been focused on improving the lives of patients, guided by core values of respect, integrity and quality. It operates a unique licensing business model with integrated drug development and manufacturing capabilities. Helsinn has a commercial presence in 190 countries either directly, with operating subsidiaries in the U.S. and China, or via its network of long-standing trusted partners. Helsinn also has a fully integrated supply chain and product development through its subsidiary in Ireland, Helsinn Birex Pharmaceuticals Ltd.

Helsinn plays an active and central role in promoting social transformation in favor of people and the environment. Corporate social responsibility is at the heart of everything we do, which is reinforced in the company’s strategic plan by a commitment to sustainable growth.

To learn more about Helsinn please visit www.helsinn.com

About vepafestinib (TAS0953/HM06)

Vepafestinib (also known as TAS0953/HM06 in partnership with Taiho Pharmaceutical Co., Ltd. (“Taiho”)) is an investigational, potent, orally administered, and highly selective RET inhibitor. In preclinical studies, vepafestinib has shown activity against RET solvent front (G810) and gatekeeper (V804) mutations. Relative to first generation selective RET inhibitors, vepafestinib is pharmacologically distinct, exhibits a distinct binding mode to RET, and has shown evidence of enhanced brain penetrability in preclinical models. Vepafestinib is currently being evaluated in a phase 1/2 study (the margaRET study, NCT04683250) in individuals with advanced solid cancers with RET abnormalities, including those resistant to first-generation selective RET inhibitors. Taiho and Helsinn signed a co-development and commercialization agreement for TAS0953/HM06 in 2017 and will continue to pursue together all preclinical, clinical and CMC
About RET

RET is a transmembrane receptor tyrosine kinase. Abnormalities in the RET gene, such as fusions and point mutations, are oncogenic drivers of multiple human cancers. RET fusions are present in 1-2% of patients with non-small cell lung cancer (NSCLC) and are associated with a high incidence of brain metastasis at diagnosis. Patients are typically young and non-smokers. Although treatment for these patients has significantly improved in recent years, acquired resistance to first generation RET inhibitors has emerged. Overcoming this resistance and addressing the CNS progression in these patients are important areas of unmet need5,6.

References:


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