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Eisai Co., Ltd

DISCOVERY RESEARCH ON MULTIKINASE INHIBITOR LENVATINIB HONORED WITH PSJ AWARD FOR DRUG RESEARCH AND DEVELOPMENT '20

Eisai Co., Ltd. (Headquarters: Tokyo, CEO: Haruo Naito, "Eisai") has announced that drug discovery research conducted on lenvatinib mesylate (brand name: LENVIMA[®], "lenvatinib"), the orally available multikinase inhibitor discovered by Eisai, has been honored with The Pharmaceutical Society of Japan (PSJ) Award for Drug Research and Development '20 by the PSJ.

The PSJ Award for Drug Research and Development is one of a series of awards presented by the PSJ and is dedicated to researchers who have conducted outstanding research work that has contributed to medicine through the innovative development of a pharmaceutical drug or applicable technology related to the pharmaceutical sciences. Award recipients are evaluated by the PSJ based on the ingenuity of the research itself as well as the effectiveness and safety of the related pharmaceutical product(s) or the innovativeness of the related medical treatment or treatment technology. The PSJ Award for Drug Research and Development was introduced by the PSJ in 1988, with Eisai previously receiving the award for drug discovery research in 1998 on donepezil hydrochloride, an Alzheimer's disease treatment, and in 2013 on eribulin mesylate, an anti-cancer agent.

The reasons for the selection of this discovery research for the award are outlined by the PSJ as follows. First, Eisai researchers created lenvatinib as a new type of kinase inhibitor with type V binding mode through a creation of the original screening models reflecting human disease and refined optimization of leading compounds. Furthermore, lenvatinib mesylate demonstrated antitumor activity against various types of cancer in clinical trials, which was accomplished with strategic application of drug properties to selectively inhibit kinases associated with tumor growth and pathogenic angiogenesis. At the time of application for the award, lenvatinib mesylate received approval as a treatment for refractory thyroid cancer, unresectable hepatocellular carcinoma, and advanced renal cell carcinoma. Finally, lenvatinib discovery research realized an innovative drug that received the Breakthrough Therapy designation by the U.S. Food and Drug Administration (FDA) and holds high potential to contribute to anticancer therapy worldwide.

Currently, lenvatinib has been approved as a treatment for refractory thyroid cancer in more than 60 countries including Japan, the United States, and in Europe; as a treatment for unresectable hepatocellular carcinoma in more than 55 countries including Japan, the United States, in Europe, China and in Asia; as well as in combination with everolimus as a treatment for advanced renal cell carcinoma (second-line) in more than 50 countries including the United States, in Europe and in Asia. Additionally, it is also approved in the combination treatment of lenvatinib plus KEYTRUDA[®] (pembrolizumab) for advanced endometrial carcinoma in the United States, Australia, and Canada.

Eisai positions oncology as a key franchise area and aims to create innovative drugs that act towards curing cancer. Eisai is committed to exploring the potential clinical benefits of lenvatinib and aims to make continuous efforts to meet the diversified needs of and increase the benefits provided to patients with cancer, their families, and healthcare professionals.

Theme of awarded research:

Drug discovery research of novel anti-tumor agent lenvatinib targeting VEGF and FGF receptors

Award recipients:

Yasuhiro Funahashi	(Deputy Chief Scientific Officer, Oncology Business Group, Eisai)
Akihiko Tsuruoka	(Officer, Executive Director, Japan/Asia Clinical Development Department, Medicine Creation, Oncology Business Group, Eisai)
Junji Matsui	(Deputy Chief Discovery Officer/Executive Director, Translational Science Department, Medicine Creation, Oncology Business Group, Eisai)
Tomohiro Matsushima	(Executive Director, Strategy Department, Oncology Business Group, Eisai)
Kazuki Miyazaki	(Former employee of Eisai)

* In March 2018, Eisai and Merck & Co., Inc., Kenilworth, N.J., U.S.A. (known as MSD outside the United States and Canada), through an affiliate, entered into a strategic collaboration for the worldwide co-development and co-commercialization of LENVIMA. KEYTRUDA is a registered trademark of Merck Sharp & Dohme Corp., a subsidiary of Merck & Co., Inc., Kenilworth, N.J., U.S.A.

Media Inquiries:

Public Relations Department,
Eisai Co., Ltd.
+81-(0)3-3817-5120

[Notes to editors]

1. About LENVIMA® (lenvatinib mesylate)

LENVIMA, discovered and developed by Eisai, is a kinase inhibitor that inhibits the kinase activities of vascular endothelial growth factor (VEGF) receptors VEGFR1 (FLT1), VEGFR2 (KDR), and VEGFR3 (FLT4). LENVIMA inhibits other kinases that have been implicated in pathogenic angiogenesis, tumor growth, and cancer progression in addition to their normal cellular functions, including fibroblast growth factor (FGF) receptors FGFR1-4, the platelet derived growth factor receptor alpha (PDGFR α), KIT, and RET. In syngeneic mouse tumor models, LENVIMA decreased tumor-associated macrophages population, increased activated cytotoxic T cells population, and demonstrated greater antitumor activity in combination with an anti-PD-1 monoclonal antibody compared to either treatment alone.

2. About LENVIMA's Novel Binding Mode (Type V)

Kinase inhibitors are categorized into several types (Type I to Type V) depending on the binding site and the conformation of the targeted kinase in complex with them. Most of the currently approved tyrosine kinase inhibitors are either Type I or Type II; however, according to X-ray crystal structural analysis, LENVIMA was found to possess a new Type V binding mode of kinase inhibition that is distinct from existing compounds. In addition, LENVIMA was confirmed via kinetic analysis to exhibit rapid binding to the target molecule and potent inhibition of kinase activity, and it is suggested that this may be attributed to its novel binding mode.