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Eisai Co., Ltd.

DISCOVERY RESEARCH ON DUAL OREXIN RECEPTOR ANTAGONIST LEMBOREXANT HONORED WITH PSJ AWARD FOR DRUG RESEARCH AND DEVELOPMENT 2024

Eisai Co., Ltd. (Headquarters: Tokyo, CEO: Haruo Naito, "Eisai") announced today that drug discovery research conducted on lemborexant (brand name: Dayvigo®), the dual orexin receptor antagonist discovered by Eisai, has been honored with The Pharmaceutical Society of Japan (PSJ) Award for Drug Research and Development 2024 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. Eisai was a four-time winner of the award for drug discovery research: in 1998 on donepezil hydrochloride, an Alzheimer's disease treatment; in 2013 on eribulin mesylate, an anti-cancer agent; in 2020 on lenvatinib, a multikinase inhibitor; and in 2021 on perampanel, an AMPA-type glutamate receptor antagonist.

PSJ shared the following rationale for selecting lemborexant: "Unlike conventional drugs, based on the fact that the main cause of insomnia is the nocturnal hyperactivation of the wakefulness pathway, the compound targets inhibition of the wakefulness system, which is considered a rational therapeutic approach. The compound is highly original in its unique structure based on trisubstituted cyclopropanes, and has overcome many challenges in its optimization to a compound with a balanced profile by overcoming many pharmacokinetic and safety issues. In preclinical and clinical studies, it has demonstrated a superior efficacy and safety profile that sets it apart from conventional drugs, and the compound is meeting the unmet medical needs of many insomnia patients both in Japan and overseas."

Lemborexant is currently approved for the indication of insomnia in over 15 countries, including Japan, the U.S., Canada, Australia, and countries in Asia.

Eisai considers neurology, including insomnia, a therapeutic area of focus. Eisai strives to create innovative products in therapeutic areas with high unmet medical needs as soon as possible, and will further contribute to addressing the diverse needs of, as well as increasing the benefits provided to, those living with the disease and their families.

Theme of awarded research:

Drug discovery research of lemborexant, novel dual orexin 1/2 receptor antagonist for the treatment of sleep disorders

Award recipients:

Taro Terauchi	(Protein Integrity & Homeostasis Domain Head, DHBL, Eisai)
Takashi Ueno	(Senior Scientist, Global DMPK, BA Unit, Global PPD Function, DHBL, Eisai)
Shoji Asakura	(Executive Director, Global Drug Safety, BA Unit, Global PPD Function, DHBL, Eisai)
Naoki Kubota	(Director, Japan and Asia Clinical Development, CEG, DHBL, Eisai)
Carsten Beuckmann	(Former employee of Eisai)

Media Inquiries:

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

[Notes to editors]

1. About Lemborexant (product name: DAYVIGO)

Lemborexant, an orexin receptor antagonist, is Eisai's in-house discovered and developed small molecule that inhibits orexin neurotransmission by binding competitively to the two subtypes of orexin receptors (orexin receptor 1 and 2). In individuals with normal daily sleep-wake rhythms, orexin signaling is believed to promote periods of wakefulness. In individuals with sleep-wake disorders, it is possible that orexin signaling which regulates wakefulness is not functioning normally, suggesting that inhibiting inappropriate orexin signaling may enable initiation and maintenance of sleep. It has been approved for the treatment of insomnia in over 15 countries including Japan, the United States, Canada, Australia and countries in Asia.