EISAI'S IN-HOUSE DEVELOPED NOVEL ANTICANCER AGENT LENVIMA® RECEIVES BREAKTHROUGH THERAPY DESIGNATION FROM U.S. FDA FOR RENAL CELL CARCINOMA

Eisai Co., Ltd. (Headquarters: Tokyo, CEO: Haruo Naito, "Eisai") announced today its U.S. subsidiary

[Notes to editors]

1. About lenvatinib mesylate (product name: Lenvima)

Lenvatinib is an orally administered multiple receptor tyrosine kinase (RTK) inhibitor with a novel binding mode that selectively inhibits the kinase activities of vascular endothelial growth factor (VEGF) receptors (VEGFR1, VEGFR2 and VEGFR3) and fibroblast growth factor (FGF) receptors (FGFR1, FGFR2, FGFR3 and FGFR4) in addition to other proangiogenic and oncogenic pathway-related RTKs (including the platelet-derived growth factor (PDGF) receptor PDGFRα; KIT; and RET) involved in tumor proliferation. Lenvatinib has been confirmed through X-ray co-crystal structural analysis to demonstrate a new binding mode (Type V) to VEGFR2, and exhibits rapid binding to the target molecule and potent inhibition of kinase activity, according to kinetic analysis.[4]

Currently, lenvatinib has been launched in the United States, Japan and Europe indicated for the treatment of

4. About the Breakthrough Therapy Designation

The Breakthrough Therapy designation is a program intended to expedite development and review of drugs for serious or life-threatening conditions. Preliminary clinical evidence demonstrating the drug may have substantial improvement on at least one clinically significant endpoint over available therapy is required in order to qualify for this designation. The benefits of this Breakthrough Therapy designation include more intensive guidance on an efficient drug development program, access to a regulatory liaison to help accelerate review time, and eligibility for rolling review as well as priority review.

[1] Motzer, R, et al. Randomized phase II, three-arm trial of lenvatinib (LEN), everolimus (EVE), and LEN+EVE in patients (pts) with metastatic renal cell carcinoma (mRCC). *Journal of Clinical Oncology* 33(15), 2015 (suppl; abstract 4506)

[2] Globocan 2012: Estimated Cancer Incidence, Mortality and Prevalence Worldwide in 2012 http://globocan.iarc.fr/

[3] Eble J.N, ed. Pathology and Genetics of Tumours of the Urinary System and Male Genital Organs. 3rd ed. *World Health Organization Classification of Tumours*, vol.7 (IARC, 2004)

[4] Okamoto K, et al. Distinct Binding Mode of Multikinase Inhibitor Lenvatinib Revealed by Biochemical Characterization. *ACS Med. Chem. Lett.* 2015; 6, 89–94