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Eisai Co., Ltd.

# EISAI SUBMITS MARKETING AUTHORIZATION APPLICATION IN JAPAN FOR ANTICANCER AGENT TASURGRATINIB FOR BILIARY TRACT CANCER WITH *FGFR2* GENE FUSION

Eisai Co., Ltd. (Headquarters: Tokyo, CEO: Haruo Naito, "Eisai") announced today that it has submitted a marketing authorization application in Japan for its in-house discovered fibroblast growth factor (FGF) receptor (FGFR1, FGFR2, FGFR3) selective tyrosine kinase inhibitor tasurgratinib succinate (generic name, development code: E7090, "tasurgratinib") for biliary tract cancer with *FGFR2* gene fusion. In Japan, tasurgratinib has received orphan drug designation for a prospective indication for unresectable biliary tract cancer with *FGFR2* gene fusion by the Ministry of Health, Labour and Welfare, (MHLW). Under this system, this application will be subject to priority review.

This application is based on the results of a multicenter, open-label, single-arm clinical phase II trial (Study 201) in Japan and China conducted by Eisai. Study 201 enrolled patients with unresectable biliary tract cancer with FGFR2 gene fusion previously treated with gemcitabine-based combination chemotherapy. The primary endpoint of this study was objective response rate, and secondary endpoints included safety. Detailed results of the study will be presented at upcoming academic conferences.

The estimated number of patients with biliary tract cancer is approximately 25,000 in Japan[1, 2] and the five-year survival rate for the cancer is approximately 25%, which makes it an intractable cancer with the second worst prognosis following pancreatic cancer.[1] Drug therapy options are limited in comparison with other cancers, and as such it is a disease with significant unmet medical needs. *FGFR2* gene fusion is observed in approximately 14% of intrahepatic cholangiocarcinoma, which account for 15-30% of biliary tract cancers.[3] FGFR genetic aberrations such as the gene fusions are known to be deeply involved in the proliferation, survival and migration of cancer cells as well as tumor angiogenesis and drug resistance. As these genetic aberrations in FGFRs have been observed in various other types of cancers including biliary tract cancer, there is growing interest in FGFRs as a promising target for cancer therapy. By selectively inhibiting FGFR1, 2 and 3, and blocking those signals, tasurgratinib has been expected to become a new molecular targeted therapy for cancers with FGFR genetic aberrations.[4]

Eisai acknowledges "Oncology" as one of its key strategic areas, and will continue to focus on the discovery and development of anti-cancer drugs within drug discovery domains including "tumor microenvironment", "proteostasis disruption", "cell linage and cell differentiation", and "inflammation, hypoxia, oxidative stress and cell senescence" under the Deep Human Biology Learning (DHBL) drug discovery and development organization. Eisai aspires to discover innovative new drugs with new targets and mechanisms of action from these domains with the aim of contributing to the cure of cancers.

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**[Notes to editors]**

**1. About tasurgratinib (development code: E7090)**

Discovered in-house by Eisai's Tsukuba Research Laboratories, tasurgratinib is an orally available novel tyrosine kinase inhibitor that demonstrates selective inhibitory activity against fibroblast growth factor receptors (FGFR) FGFR1, FGFR2 and FGFR3. Distinct from prior known FGFR inhibitors, tasurgratinib has a basic structure which lacks the dimethoxyphenyl moiety, and in a kinetic interaction analysis study, it was observed that tasurgratinib demonstrates antitumor effects due to inhibition of kinase activity with a binding mode (Type V) that exhibits rapid and potent binding as well as high selectivity to FGFR.[4]

In addition to a Phase II clinical trial (Study 201) of tasurgratinib in Japan and China to evaluate efficacy and safety in patients with cholangiocarcinoma with *FGFR2* gene fusion, a Phase I clinical trial is underway in Japan in patients with estrogen receptor-positive and HER2-negative breast cancer.


1. Latest statistics, Cancer Information Service, National Cancer Center, Japan.
2. The 23rd Follow-up Survey Reports for Primary Liver Cancer Cases in Japan (2014-2015), 2023.
3. Arai Y. et al., "Fibroblast growth factor receptor 2 tyrosine kinase fusions define a unique molecular subtype of cholangiocarcinoma", *Hepatology*, 2014, 59, 1427-1434.
4. Miyano SW. et al., "E7090, a Novel Selective Inhibitor of Fibroblast Growth Factor Receptors, Displays Potent Antitumor Activity and Prolongs Survival in Preclinical Models", *Molecular Cancer Therapeutics*, 2016, 15, 2630-2639.