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Eisai Co., Ltd.

JAPAN MHLW GRANTS SAKIGAKE DESIGNATION TO NOVEL FIBROBLAST GROWTH FACTOR (FGF) RECEPTOR SELECTIVE TYROSINE KINASE INHIBITOR E7090

Eisai Co., Ltd. (Headquarters: Tokyo, CEO: Haruo Naito, "Eisai") announced today that its in-house discovered fibroblast growth factor receptor (FGFR) tyrosine kinase inhibitor E7090 has been granted the SAKIGAKE designation by Japan's Ministry of Health, Labour and Welfare for the treatment of unresectable biliary tract cancer with *FGFR2* gene fusion. E7090 selectively inhibits FGFR1, FGFR2 and FGFR3, and is currently under development as a novel orally available anticancer agent.

The SAKIGAKE Designation System promotes R&D in Japan aiming at early practical applications for innovative new medicines and other products. Under this system, in principle the designated product must have the potential for prominent effectiveness based on a different mechanism of action from already approved products. Designated products are eligible for prioritized consultation services and reviews for regulatory authorizations.

FGFRs with genetic aberrations are known to play an important role in the proliferation, survival and migration of cancer cells as well as tumor angiogenesis and drug resistance. These genetic aberrations in FGFRs have been observed in various types of cancers, therefore, FGFRs are gaining attention as a promising target for cancer therapy. By selectively inhibiting FGFR1, 2 and 3, and blocking those signals, E7090 has the potential to become a new molecular targeted therapy for cancers with FGFR genetic aberrations.

In Japan, E7090 is currently being investigated in a First in Human study (Phase I clinical study) targeting patients with solid tumors including cholangiocarcinoma harboring *FGFR2* gene fusion.

Eisai positions oncology as a key therapeutic area and is aiming to discover revolutionary new medicines with the potential to cure cancer. Eisai is committed to exploring the potential clinical benefits of E7090 as it seeks to contribute further to addressing the diverse needs of, and increasing the benefits provided to patients with cancer, their families, and healthcare providers.

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[Notes to editors]

1. About E7090

Discovered in-house by Eisai's Tsukuba Research Laboratories, E7090 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, E7090 has a basic structure which lacks the dimethoxyphenyl moiety, and in a kinetic interaction analysis study, it was observed that E7090 demonstrates antitumor effects due to inhibition of kinase activity with a unique binding mode (Type V) that exhibits rapid and potent binding as well as high selectivity to FGFR.[1]

2. About Biliary Tract Cancer with *FGFR2* Gene Fusions

The five-year survival rate for biliary tract cancer is approximately 20%, which makes it an intractable cancer with the second worst prognosis following pancreatic cancer.[2] Chemotherapy 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] As a selective FGFR inhibitor, E7090 could potentially be useful in treating cholangiocarcinoma with *FGFR2* gene fusion.

[1] Watanabe Miyano S. 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(11), 2630-2639.

[2] Latest statistics, Cancer Information Service, National Cancer Center, Japan.

[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.