EISAI ANNOUNCES MOVE TO SOLO DEVELOPMENT AND COMMERCIALIZATION OF FARLETUZUMAB ECTERIBULIN (FZEC) ANTIBODY DRUG CONJUGATE (ADC)

Strategic collaboration with

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[Notes to editors]

1. Farletuzumab ecteribulin (FZEC, formerly known as MORAb-202)

FZEC is Eisai's first antibody drug conjugate (ADC) and that is composed of Eisai's in-house developed farletuzumab, a humanized IgG1 monoclonal antibody that binds to the folate receptor alpha (FR), and Eisai's in-house developed anticancer agent eribulin, using an enzymatically cleavable linker. After FZEC enters the target FR -positive cancer cells, it is thought that the linker is enzymatically cleaved, releasing eribulin from the antibody leading to its antitumor activity. When the anticancer agent and antibody components of an ADC are separated inside a targeted antigen-positive cancer cell, it is theorized that the released anticancer agent also has a bystander effect on neighboring antigen-negative cancer cells and the component cells of the tumor microenvironment. In pre-clinical studies, FZEC demonstrated a bystander effect*, with antitumor activity on the FR -negative cancer cells surrounding the FR -positive cancer cells.

The payload eribulin was the first in the halichondrin class of microtubule dynamics inhibitor. Structurally, eribulin is a simplified and synthetically produced version of halichondrin B, a natural product isolated from the marine sponge *Halichondria okadai*, and functions by inhibiting the growth phase of microtubule dynamics which prevents cell division. Eribulin has been approved in countries including Japan, the United States, China, in Europe and in Asia for use in the treatment of advanced breast cancer and liposarcoma (soft tissue sarcoma in Japan).

*Bystander effect: When the anticancer agent and antibody parts of an ADC are separated inside a targeted antigen-positive cancer cell, the released anticancer agent also affects neighboring antigen-negative cancer cells and the component cells of the cancer microenvironment.