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# EISAI SIGNS AGREEMENT WITH EPIZYME AND ROCHE MOLECULAR SYSTEMS TO DEVELOP COMPANION DIAGNOSTIC

Eisai Co., Ltd. (Headquarters: Tokyo, President & CEO: Haruo Naito, "Eisai") announced today that it has signed an agreement with Epizyme, Inc. (Headquarters: Massachusetts, the United States; President & CEO: Robert Gould; "Epizyme") and Roche Molecular Systems Inc. (Headquarters: California, the United States; CEO: Paul Brown; "RMS") to collaborate on the development of a companion diagnostic to help Eisai and Epizyme identify patients for their research on lymphomas. Specifically, the new companion diagnostic will further enable Eisai and Epizyme to advance personalized therapeutics for patients with lymphomas possessing genetic mutations in the epigenetic enzyme EZH2.

Since April 2011, Eisai has collaborated with Epizyme under a strategic partnership agreement focused on the development of cancer therapies that target EZH2 and been engaging in research aimed at the creation of such therapies. As demonstrated in a recent study conducted by Epizyme, an EZH2 inhibitor has been shown to eradicate lymphoma cells possessing change-of-function mutation in EZH2. The results of this study suggest that EZH2 is a driving oncogene in these cancers and therefore an important therapeutic target.

In parallel with the clinical development of this EZH2 inhibitor, Eisai and Epizyme are working with RMS, a company with strengths in the development and commercialization of companion diagnostics, to develop a companion diagnostic to identify a genetically defined subset of patients with lymphoma to proceed with effective clinical development.

Remarkable progress has been made in recent years in the field of oncology regarding genetics research. By maximizing full utilization of internal and external information obtained from cutting-edge research in human biology, including a drug creation approach based in genetics and epigenetics, Eisai will continue to contribute to advances in personalized therapeutics for patients with cancer.

[Please refer to the following notes for further information on epigenetics, EZH2, Eisai's commitment to personalized medicine, Epizyme, Inc., and Roche.]

### [Notes to editors]

#### 1. About Epigenetics

Epigenetics refers to mechanisms that lead to activity or inactivity of selective genetic functions due to acquired genetic modification not accompanied by changes in the underlying gene sequence. The term also refers to the study of such mechanisms. Examples of acquired genetic modification in this field include changes in gene expression caused by DNA methylation and changes in genetic expression caused by the chemical modification of amino acids in histones (methylation, acetylation, phosphorylation, etc.). Dacogen<sup>®</sup> (decitabine), which is marketed by Eisai in the United States, is a DNA methylation inhibitor, and is approved in the United States as a therapeutic agent that contributes to the quality of life of patients living with myelodysplastic syndromes (MDS).

#### 2. About EZH2

EZH2 is one of the proteins that make up the histone methyltransferases (HMTs) that alter gene expression. EZH2 is known to methylate lysine 27 of the protein H3 (H3K27), H3 being one of the five major histone groups (H1, H2A, H2B, H3 and H4) that together help to store DNA in eukaryotic cell nuclei. H3K27 methylation is also known to suppress gene transcription. Recently, several change-of-function genetic mutations, including Y461, have been reported in EZH2 in lymphomas.

#### 3. About Eisai's Commitment to Personalized Medicine

Following advances in human biology, initiatives aimed at achievements in personalized medicine through early development of new drugs and companion diagnostics based on optimum therapeutic hypotheses are progressing steadily. Eisai is actively seeking out therapeutic hypotheses linked to personalized medicine while strengthening networks with scientists at the cutting edge of their respective fields, and is increasing its sensitivities in regard to future trends in scientific technology and both in-house and external inventions and discoveries. At its research subsidiary H3 Biomedicine Inc. in the Boston area of the United States, for example, Eisai is rising to meet challenges in targeting new therapeutic hypotheses by identifying strong potential therapeutic targets through genetics-based approaches to cancer and the creation of novel anticancer agents using first-in-class compounds. Furthermore, Eisai has concentrated all functions aimed at possible developments in this field, from biomarker discovery research to companion diagnostics development, into its Biomarker and Personalized Medicine Core Function Unit, and through collaborations with other drug creation units such as its Oncology Product Creation unit, is continuing endeavors toward further realizing personalized medicine.

#### 4. About Epizyme, Inc.

Epizyme, Inc. is leading the creation of small molecule histone methyltransferase inhibitors (HMTi), a new class of personalized therapeutics for patients with genetically defined cancers. Genetic alterations in HMTs, a family of epigenetic enzymes, drive multiple human diseases. Its approach represents the future of healthcare by matching better medicines with the right patients.

## 5. About Roche

Headquartered in Basel, Switzerland, Roche is a leader in research-focused healthcare with combined strengths in pharmaceuticals and diagnostics. Roche is the world's largest biotech company with truly differentiated medicines in oncology, virology, inflammation, metabolism and CNS. Roche is also the world leader in in-vitro diagnostics, tissue-based cancer diagnostics and a pioneer in diabetes management. Roche's personalized healthcare strategy aims at providing medicines and diagnostic tools that enable tangible improvements in the health, quality of life and survival of patients. In 2011, Roche had over 80,000 employees worldwide and invested over 8 billion Swiss francs in R&D. The Group posted sales of 42.5 billion Swiss francs. Genentech, United States, is a wholly owned member of the Roche Group. Roche has a majority stake in Chugai Pharmaceutical, Japan. For more information: <a href="http://www.roche.com/">http://www.roche.com/</a>.

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