Epizyme Announces Clinical Data from Phase 1 Trial of EZH2 Inhibitor EPZ-6438 (E7438) to be Presented at EORTC-NCI-AACR Symposium

-- Late-breaking oral presentation will include data from the dose escalation portion of ongoing Phase 1/2 study in advanced solid tumors or B cell lymphomas --

Cambridge, Mass., October 1, 2014 - Epizyme, Inc. (NASDAQ: EPZM), a clinical stage biopharmaceutical company creating innovative personalized therapeutics for patients with genetically defined cancers, today announced, in conjunction with its development partner Eisai, that clinical data from the ongoing Phase 1 study of EPZ-6438 (E7438), an oral, small molecule inhibitor of EZH2, will be featured in a late-breaking oral presentation at the 26th EORTC-AACR-NCI Symposium on Molecular Targets and Cancer Therapeutics, to be held November 18-21 in Barcelona, Spain. The presentation will take place during the plenary session on Epigenetic Targets. In addition, this session will feature a presentation from Chief Scientific Officer Robert Copeland, Ph.D., discussing Epizyme's targeted therapies against the histone methyltransferases (HMTs) DOT1L and EZH2.

“Preliminary observations of activity and tolerability suggested the potential utility for EPZ-6438 in a broader range of patients than simply those with EZH2-mutated lymphoma,” said Peter Ho, M.D., Ph.D., Chief Development Officer, Epizyme. “The presentation at the EORTC-NCI-AACR Symposium will include results from EZH2 mutant and wild-type patients, and we look forward to presenting these data.”

**Phase 1 first-in-human study of the enhancer of zeste-homolog 2 (EZH2) histone methyl transferase inhibitor E7438 as a single agent in patients with advanced solid tumors or B cell lymphoma**

**Speaker:** Vincent Ribrag, M.D., Institut Gustave Roussy, Villejuif, France  
**Session title:** Plenary Session 5: Epigenetic Targets  
**Presentation time:** Thursday, November 20, 2014 at 12:10 p.m. CET  
**Abstract Code:** 6LBA

**DOT1L and EZH2 targeted therapies**

**Speaker:** Robert Copeland, Ph.D., Chief Scientific Officer, Epizyme, Inc.  
**Session title:** Plenary Session 5: Epigenetic Targets  
**Presentation time:** Thursday, November 20, 2014 at 10:50 a.m. CET

**About EZH2 Cancers**

EZH2 is a histone methyltransferase (HMT) that is increasingly understood to play a potentially oncogenic role in a number of cancers. These include germinal center (GC) non-Hodgkin lymphomas, INI1-deficient cancers such as synovial sarcoma and malignant rhabdoid tumors, and a range of other solid tumors.
**About EPZ-6438**
Epizyme and our partner Eisai are developing EPZ-6438 (Eisai refers to this therapeutic candidate as E7438), a small molecule inhibitor of EZH2 created with our proprietary product platform, for the treatment of non-Hodgkin lymphoma patients. In many human cancers, misregulated EZH2 enzyme activity results in misregulation of genes that control cell proliferation — without these control mechanisms, cancer cells are free to grow rapidly.

Epizyme granted Eisai a worldwide license to EPZ-6438 (E7438), subject to Epizyme's right to opt in for co-development, co-commercialization and profit share arrangement with Eisai in the United States. Epizyme is working with Roche and Eisai to develop a companion diagnostic to identify patients with non-wild type EZH2, where EZH2 contains point mutations. Additional information about these partnerships may be found here: [http://www.epizyme.com/about-us/partnerships/](http://www.epizyme.com/about-us/partnerships/)

In June 2013, Epizyme and Eisai initiated a Phase 1/2 clinical trial of EPZ-6438 (E7438) in patients with advanced solid tumors or B-cell lymphomas. EPZ-6438 is the second HMTi to enter human clinical development (following Epizyme's DOT1L inhibitor, EPZ-5676).

Additional information about this program, including clinical trial information, may be found here: [http://clinicaltrials.gov/ct2/show/NCT01897571?term=7438&rank=1](http://clinicaltrials.gov/ct2/show/NCT01897571?term=7438&rank=1)

**About Epizyme, Inc.**
Epizyme, Inc. is a clinical stage biopharmaceutical company creating personalized therapeutics for patients with genetically defined cancers. Epizyme has built a proprietary product platform that the company uses to create small molecule inhibitors of a 96-member class of enzymes known as histone methyltransferases, or HMTs. HMTs are part of the system of gene regulation, referred to as epigenetics, that controls gene expression. Genetic alterations can result in changes to the activity of HMTs, making them oncogenic (cancer-causing). By focusing on the genetic drivers of cancers, Epizyme's targeted science seeks to match the right medicines with the right patients for a personalized approach to cancer treatment.

For more information, visit [www.epizyme.com](http://www.epizyme.com) and connect with us on Twitter at [@EpizymeRx](https://twitter.com/EpizymeRx).

**Cautionary Note on Forward-Looking Statements**
Any statements in this press release about future expectations, plans and prospects for Epizyme, Inc. and other statements containing the words "anticipate," "believe," "estimate," "expect," "intend," "may," "plan," "predict," "project," "target," "potential," "will," "would," "could," "should," "continue," and similar expressions, constitute forward-looking statements within the meaning of The Private Securities Litigation Reform Act of 1995. Actual results may differ materially from those indicated by such forward-looking statements as a result of various important factors, including: uncertainties inherent in the initiation of future clinical studies or expansion of ongoing clinical studies, availability and timing of data from ongoing clinical studies, whether interim results from a clinical trial such as the results reported in this release
will be predictive of the final results of the trial or results of early clinical studies will be indicative of the results of future trials; expectations for regulatory approvals, development progress of the Company’s companion diagnostics, availability of funding sufficient for the Company’s foreseeable and unforeseeable operating expenses and capital expenditure requirements, other matters that could affect the availability or commercial potential of the Company’s therapeutic candidates or companion diagnostics and other factors discussed in the "Risk Factors" section of the Company's Quarterly Report on Form 10-Q filed with the Securities and Exchange Commission in August 2014. In addition, the forward-looking statements included in this press release represent the Company's views as of the date hereof. The Company anticipates that subsequent events and developments will cause the Company's views to change. However, while the Company may elect to update these forward-looking statements at some point in the future, the Company specifically disclaims any obligation to do so. These forward-looking statements should not be relied upon as representing the Company's views as of any date subsequent to the date hereof.

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