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Epizyme Expands Clinical Programs through Cooperative Research and Development Agreements with the National Cancer Institute

Studies Will Evaluate Tazemetostat and Pinometostat in Multiple Cancer Indications

CAMBRIDGE, Mass., Oct. 31, 2016 (GLOBE NEWSWIRE) -- Epizyme, Inc. (NASDAQ:EPZM), a clinical-stage biopharmaceutical company creating novel epigenetic therapies, today announced that it has entered into two Cooperative Research and Development Agreements (CRADAs) with the Cancer Therapy Evaluation Program (CTEP) of the National Cancer Institute (NCI). The CRADAs will evaluate tazemetostat, Epizyme's first-in-class EZH2 inhibitor, and pinometostat, the Company's first-in-class DOT1L inhibitor. Both investigational medicines target proteins implicated in the development and regulation of cancer.

"This collaboration reflects Epizyme's strategy to enhance our development programs through impactful partnerships," said Peter Ho, M.D., Ph.D., Chief Medical Officer, Epizyme. "These CRADAs broaden the scope of our ongoing tazemetostat clinical program to explore its potential benefit in a wider range of cancer indications. We are also excited to continue the exploration of pinometostat's potential utility in treating acute leukemias in combination regimens. We look forward to generating additional data to advance our epigenetic pipeline of novel therapies for patients with cancer."

As part of the CRADA for tazemetostat, CTEP will collaborate with Epizyme in clinical trials to evaluate the safety and efficacy of tazemetostat in patients with hematologic malignancies and solid tumors. The initial NCI-sponsored study will evaluate tazemetostat in a phase 2 clinical trial in patients with ovarian cancer. External publications and Epizyme preclinical data indicate that inhibition of EZH2 could be beneficial in subsets of ovarian cancer.

Under the second CRADA, the safety and efficacy of pinometostat will be evaluated in patients with acute leukemias. Initial studies will evaluate the combination of pinometostat with standard-of-care therapies or targeted agents in acute myeloid leukemia, acute lymphoid leukemia, or mixed lineage leukemia characterized by a rearrangement in the mixed lineage leukemia gene (MLL-r). Preclinical studies of pinometostat in MLL-r cell line models have shown synergy with chemotherapies, including acute leukemia standard-of-care agents and select targeted therapies.

As part of both agreements, additional clinical trials will be considered. NCI will predominantly fund the studies and manage study operations.

About the Tazemetostat Clinical Program
Tazemetostat, a first-in-class EZH2 inhibitor, is being evaluated as a monotherapy and in combination with other agents in multiple cancer indications. Phase 2 studies of tazemetostat as a monotherapy are currently ongoing in patients with non-Hodgkin lymphoma; in adults and children with certain genetically defined solid tumors, including INI1-negative and SMARCA4-negative tumors and synovial sarcoma; and in patients with mesothelioma characterized by BAP1 loss-of-function. Tazemetostat has been granted orphan drug status by the U.S. Food and Drug Administration, for the treatment of malignant rhabdoid tumors.

Additionally, tazemetostat is being evaluated in two combination studies in patients with diffuse large B-cell lymphoma (DLBCL). A first-line Phase 1b/2 trial of tazemetostat in combination with R-CHOP, an immunochemotherapy regimen consisting of rituximab, cyclophosphamide, doxorubicin, vincristine and prednisone, is being conducted as part of Epizyme's collaboration with the Lymphoma Study Association. A Phase 1b study evaluating tazemetostat in combination with Tecentriq® (atezolizumab), an anti-PD-L1 cancer immunotherapy approved by the U.S. Food and Drug Administration, is being conducted as part of a collaboration with Genentech, a member of the Roche Group, in relapsed and refractory patients with DLBCL. For more information on tazemetostat clinical trials, please visit www.epizymeclinicaltrials.com.

About Pinometostat
Pinometostat, a small molecule inhibitor of DOT1L, is under clinical evaluation for the treatment of children with acute leukemias, including a genetically defined leukemia characterized by MLL-r. Pinometostat is being developed in collaboration with Celgene. Epizyme retains all U.S. rights to pinometostat and has granted Celgene an exclusive license to

pinometostat outside of the U.S.

About Epizyme, Inc.
Epizyme, Inc. is a clinical stage biopharmaceutical company creating novel epigenetic therapeutics for cancer patients. 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 more information, visit www.epizyme.com.

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 and in the availability and timing of data from ongoing clinical studies; whether interim results from a clinical trial will be predictive of the final results of the trial; whether results from preclinical studies or earlier clinical studies will be predictive of the results of future trials; whether results from clinical studies will warrant meetings with regulatory authorities or submissions for regulatory approval; expectations for regulatory approvals to conduct trials or to market products; whether the Company's cash resources will be sufficient to fund 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; and other factors discussed in the "Risk Factors" section of the Company's most recent Form 10-Q filed with the SEC and in the Company's other filings from time to time with the SEC. In addition, the forward-looking statements included in this press release represent the Company's views as of the date hereof and should not be relied upon as representing the Company's views as of any date subsequent to 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.

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