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Epizyme to Present New Preclinical Data on Tazemetostat and Epigenetic Target Identification Efforts at AACR Annual Meeting

CAMBRIDGE, Mass., March 29, 2017 (GLOBE NEWSWIRE) -- Epizyme, Inc. (NASDAQ:EPZM), a clinical-stage biopharmaceutical company creating novel epigenetic therapies, today announced that new preclinical data on tazemetostat, Epizyme's lead product candidate and first-in-class EZH2 inhibitor, along with other epigenetic target identification efforts, will be presented in poster sessions at the American Association for Cancer Research Annual Meeting 2017 taking place in Washington, D.C., April 1-5, 2017.

"2017 continues to be a transformational year for Epizyme as we work to rewrite cancer treatment through novel epigenetic medicines," said Rob Bazemore, CEO of Epizyme. "We look forward to sharing these new data on tazemetostat and our innovative approach to advancing our preclinical pipeline with the oncology community at AACR."

"As we evaluate these data, we are particularly encouraged by new research revealing the important role EZH2 plays in the proliferation of multiple myeloma, and preclinical activity of our first-in-class EZH2 inhibitor, tazemetostat, as both monotherapy and combination therapy in *in vitro* models of multiple myeloma," said Richard Chesworth, DPhil, senior vice president of research at Epizyme. "These findings reinforce the potential for tazemetostat as a treatment option across multiple B-cell malignancies."

Multiple myeloma is a cancer arising from terminally differentiated B-cell lymphocyte plasmablasts. Mounting evidence suggests that EZH2 is an important regulator of B-cell differentiation and may play an important role in clinical B-cell malignancies. Consistent with this role, inhibition of EZH2 alone has shown potent anti-proliferative effects in *in vitro* and *in vivo* preclinical models of multiple myeloma.

In a new study being presented at AACR, Epizyme evaluated the efficacy of tazemetostat, an EZH2 inhibitor, as monotherapy and in combination with standard of care agents in preclinical models of multiple myeloma. Tazemetostat selectively inhibited intracellular H3K27 methylation in multiple myeloma cell lines and elicited a robust anti-proliferative effect in 14-day assays. Synergistic activity was observed when tazemetostat was combined with commonly used multiple myeloma therapeutics (glucocorticoid receptor agonists and small molecule immune system modulators) when cells were treated with tazemetostat for seven days prior to the addition of the standard-of-care drugs. Based on these results, studies with selected therapeutic modalities were expanded into *in vivo* xenograft models to further evaluate monotherapy and combination activity of tazemetostat in multiple myeloma.

Data will also be presented from preclinical studies demonstrating synergistic activity following the addition of tazemetostat to current small molecule treatments for malignant rhabdoid tumors and atypical teratoid rhabdoid tumors, both rare and aggressive forms of cancer with high unmet medical need, typically affecting pediatric patients. In addition, Epizyme will present data demonstrating that tazemetostat induces potent and selective apoptosis in SMARCA2 and SMARCA4-deficient ovarian cell lines, which confirms SCCOHT (small cell carcinoma of the ovary hypercalcemic type) is also sensitive to CRISPR-mediated EZH2 gene ablation. These findings support Epizyme's ongoing Phase 2 trial of tazemetostat in solid tumors, and may support future clinical evaluation in other tumor types such as lung cancers.

Details of the poster presentations are as follows:

Date & Time: Sunday, April 2, 1:00 - 5:00 p.m. ET

Title: CRISPR pooled screening of hundreds of cancer cell lines identifies differential dependencies on epigenetic pathways and synthetic lethal relationships

Abstract Number: 406/6

Location: Section 17

Date & Time: Monday, April 3, 8:00 a.m. - 12:00 p.m. ET

Title: Tazemetostat displays synergistic antiproliferative activity with backbone therapies in preclinical models of AT/RT and MRT

Abstract Number: 1944/16

Location: Section 42

Date & Time: Tuesday, April 4, 8:00 a.m. - 12:00 p.m. ET

Title: Selective killing of SMARCA2- and SMARCA4-deficient tumors by inhibition of EZH2: *In vitro* and *in vivo* preclinical models

Abstract Number: 3345/6

Location: Section 15

Date & Time: Wednesday, April 5, 8:00 a.m. - 12:00 p.m. ET

Title: Activity of the EZH2 inhibitor tazemetostat as a monotherapy and in combination with multiple myeloma therapies in preclinical models

Abstract Number: 5060/5

Location: Section 2

About Epizyme, Inc.

Epizyme, Inc. is a clinical-stage biopharmaceutical company committed to rewriting cancer treatment through novel epigenetic medicines. Epizyme is broadly developing its lead product candidate, tazemetostat, a first-in-class EZH2 inhibitor, with studies underway in both solid tumors and hematological malignancies as a monotherapy and combination therapy and in relapsed and front-line disease. Using the Company's proprietary platform, Epizyme has pioneered the identification and development of small molecule inhibitors of chromatin modifying proteins (CMPs), such as tazemetostat. CMPs 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 CMPs, which can allow cancer cells to grow and proliferate. By focusing on the genetic drivers of cancers, Epizyme's science seeks to match targeted medicines with the specific patients that need it. For more information, visit www.epizyme.com and connect with us on Twitter at @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 and in the availability and timing of data from ongoing clinical studies; whether results from preclinical studies or earlier clinical studies will be predictive of the results of future trials; whether results from clinical studies such as the results described in this release 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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