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Aerpio Therapeutics Announces Publication of Data on Tie2 Activator, AKB-9778, Supporting Future Clinical Development in Cancer

--*Data Featured in the* Journal of the National Cancer Institute--

Cincinnati, OH, August 5, 2013 – Aerpio Therapeutics, a clinical-stage biopharmaceutical company developing innovative therapies for vascular diseases by targeting the Tie2 pathway, today announced that collaborators from Massachusetts General Hospital, Harvard Medical School, New York University and the Massachusetts Institute of Technology published data on Tie2 activator, AKB-9778, in the *Journal of the National Cancer Institute*. The data highlight clinically relevant changes to tumor vasculature upon administration of AKB-9778 in preclinical models of breast cancer, which delayed tumor growth, slowed metastatic progression and enhanced responses to radiotherapy. AKB-9778 is a first-in-class inhibitor of VE-PTP (vascular endothelial - protein tyrosine phosphatase also known as human protein tyrosine phosphatase beta [HPTPβ]) that works to activate Tie2, a receptor on vascular endothelial cells that stabilizes blood vessels, preventing abnormal blood vessel growth and vascular leak.

"Certain abnormalities of tumor vasculature are known determinants of aggressive tumor behavior, insensitivity to standard therapies and poor prognosis," said Rakesh K. Jain, A. Werk Cook Professor of Tumor Biology (Radiation Oncology), Department of Radiation Oncology, Harvard Medical School Director; Director of Steele Laboratory, Massachusetts General Hospital and Principal Investigator of the study. "Use of AKB-9778 represents a novel approach to prevent or alleviate the abnormalities of tumor vasculature by activating Tie2 through the inhibition of VE-PTP. We demonstrated that through its effect on tumor vasculature, AKB-9778 can delay tumor growth, slow metastatic progression and enhance responses to cytotoxic treatments, representing a potential adjunct therapy for patients with cancer."

Tie2 signaling is regulated on the cell surface by the receptors angiopoietin (Ang)-1 and Ang-2. Ang-1 activates Tie2 signaling, whereas Ang-2 inhibits Tie2 signaling. Many tumors express high levels of Ang-2, which now has become a target of interest in cancer research. However, VE-PTP is able to inhibit Tie2 signaling downstream of the Ang-1 and Ang-2 receptors, mitigating the cell's response to either pharmacological activation of Ang-1 or inhibition of Ang-2.

"Indeed, the role of Tie2 is becoming more of a focus in oncology, and these latest data highlight the broad potential that AKB-9778 may have to impact the vasculature in a variety of indications, including cancer," said Kevin Peters, MD, Chief Scientific Officer and VP of Research and Development at Aerpio. "A number of compounds under investigation target Ang-2. However, Ang-2 inhibition may not result in optimal Tie2 activation and, perhaps due to that, may not be the best approach to targeting the angiopoietin/Tie2 pathway. In contrast, AKB-9778 is able to activate Tie2, even in the context of increased Ang-2, and has marked positive effects on tumor vasculature as a result. Aerpio's lead

development program for AKB-9778 is focused on stabilizing the vasculature of patients with diabetic macular edema and a Phase 1/2 clinical trial is currently underway."

The full paper, entitled "Effects of Vascular-Endothelial Protein Tyrosine Phosphatase Inhibition on Breast Cancer Vasculature and Metastatic Progression", is available at: http://jnci.oxfordjournals.org/content/early/2013/07/26/jnci.djt164.abstract?sid=7d29e7fb-82ec-4cce-8ea2-00123e6e2b58.

About AKB-9778

Tie2 is a receptor tyrosine kinase expressed on vascular endothelial cells, which plays a key role in stabilizing blood vessels. AKB-9778 is a first-in-class small molecule that works by inhibiting the vascular endothelial protein tyrosine phosphatase (VE-PTP, also known as HPTPβ or Human Protein Tyrosine Phosphatase β) enzyme, a negative regulator of the Tie2 receptor. By inhibiting this negative regulator, AKB-9778 restores Tie2 signaling, reducing vascular leak and pathologic neovascularization. Tie2 activators have potential utility in a range of important clinical indications, but Aerpio is currently focusing development of AKB-9778 in diabetic macular edema. In a Phase 1 healthy volunteer study, AKB-9778 was well tolerated through the predicted efficacious dose range, with evidence of on target pharmacology. Data from a Phase 1b/2a study to explore the safety and efficacy of AKB-9778 in patients with diabetic macular edema are expected in 2013.

About Aerpio Therapeutics

Aerpio Therapeutics, Inc. is a clinical-stage biopharmaceutical company developing innovative therapies for vascular diseases by targeting the Tie2 pathway. Tie2 activation represents a novel mechanism for vascular stabilization and maintenance and a promising approach for addressing retinal diseases characterized by edema and neovascularization. The Company's lead program, AKB-9778, is a first-in-class stabilizer of the Tie2 pathway and is in clinical development for diabetic macular edema. More information is available at www.aerpio.com.

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