

FOR IMMEDIATE RELEASE

Aerpio Announces Positive Phase 1 Data on First-in-class Tie2 Activator, AKB-9778, in Development for Diabetic Macular Edema

Cincinnati, OH, March 20, 2012 – Aerpio Therapeutics, a clinical-stage biopharmaceutical company focused on advancing innovative therapies for the treatment of diabetic eye disease and inflammatory bowel disease, today announced positive data from its Phase 1 trial of AKB-9778 for the treatment of diabetic macular edema (DME). AKB-9778, a first-in-class human protein tyrosine phosphatase beta (HPTP β) inhibitor, works to activate Tie2, a receptor on vascular endothelial cells that promotes vascular stability, preventing abnormal blood vessel growth and vascular leak. The data show AKB-9778 was well tolerated through the predicted efficacious dose range, supporting the clinical advancement of AKB-9778.

“Considering the pivotal importance of Tie2 activation in the retinal vasculature, we believe AKB-9778 could offer patients with diabetic macular edema a more effective therapy either alone or in combination with current therapies. AKB-9778 also offers the important benefit of being self-administered, which is not possible with currently marketed treatments,” said Kevin Peters, MD, Chief Scientific Officer and VP of Research and Development, Aerpio. “We look forward to advancing AKB-9778 in the clinic and expect to start a Phase 1b/2a trial in the second quarter of 2012.”

The Phase 1 study was designed to evaluate the safety, tolerability and pharmacokinetics of single ascending doses of AKB-9778 in healthy volunteers. The trial enrolled 48 healthy volunteers and was conducted at Medpace, Inc. in Cincinnati, OH. AKB-9778 was well tolerated through the predicted efficacious dose range with evidence of on-target pharmacology. Together with preclinical data, these findings support advancing AKB-9778 into a pilot 1b/2a study to explore the safety and efficacy of AKB-9778 in patients with diabetic macular edema.

About AKB-9778

AKB-9778 works by inhibiting the Human Protein Tyrosine Phosphatase β (HPTP β) enzyme, which acts as a negative regulator of the Tie-2 receptor. By inhibiting this negative regulator, Tie-2 signaling is restored, overcoming the effects of the Ang2-induced vascular destabilization. Tie-2 activators have potential utility in a range of important clinical indications, but Aerpio is currently focusing development of its lead candidate, AKB-9778, in diabetic macular edema, with a Phase 1b/2a trial for that indication planned to start in Q2 2012.

About Diabetic Retinopathy and Diabetic Macular Edema

Diabetic retinopathy, including diabetic macular edema is the leading cause of visual impairment and blindness in the working age population and represents a large and growing medical need that is not adequately addressed by current treatment approaches.

About Aerpio Therapeutics

Aerpio Therapeutics, Inc. is a clinical-stage biopharmaceutical company focused on advancing innovative therapies for the treatment of diabetic eye disease and inflammatory bowel disease. Aerpio is a leader in the development of small molecule drugs based on Tie-2 activation and the stabilization of Hypoxiainducible Factor 1 α (HIF-1 α). The company's lead program, AKB-9778, is a first-in-class stabilizer of the Tie-2 pathway and is in clinical development for diabetic macular edema. AKB-4924, a HIF-1 α stabilizer, is in late preclinical development for inflammatory bowel disease. More information is available at www.aerpio.com.

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