

AVE-8134

Chemical Properties

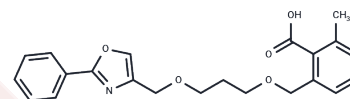
CAS No. : 304025-09-0

Formula: C₂₂H₂₃NO₅

Molecular Weight: 381.42

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	AVE-8134 is an agonist of PPAR α . For human and rodent PPAR α receptor, the EC ₅₀ values are 100 and 3000 nM, respectively.
Targets(IC ₅₀)	PPAR
In vitro	AVE8134 functions as a complete PPAR α -dominant PPAR agonist, while exhibiting no activity towards PPAR δ . At a concentration of 10 μ M, it augments the expression of CD36 and macrophage scavenger receptor 1 in monocytes, facilitating the accelerated uptake of oxidized LDL. Additionally, in HUVEC at 1 μ M, AVE8134 boosts Ser-1177-eNOS phosphorylation without affecting eNOS expression.
In vivo	AVE8134 effectively reduces heart cell enlargement caused by phenylephrine in adult rat cardiomyocytes and modulates various biochemical markers, decreasing plasma proBNP and arginine, while increasing plasma citrulline and the urinary NOx/creatinine ratio. It enhances insulin sensitivity in female ZDF rats at doses of 3-30 mg/kg/d over two weeks, and at doses of 3 mg/kg and 10 mg/kg, it dose-dependently ameliorates cardiac output, myocardial contractility and relaxation, and diminishes lung and left ventricular weight and fibrosis in post-myocardial infarction rats. Furthermore, AVE8134 at 3 mg/kg/d inhibits the onset of high blood pressure, heart enlargement, and cardiac fibrosis, and improves endothelial function in DOCA rats. In pre-diabetic male ZDF rats, a dose of 10 mg/kg/d for eight weeks shows anti-diabetic effects comparable to rosiglitazone but without adverse impacts on body and heart weight linked to PPAR γ activation. At a dosage of 20 mg/kg/d for twelve weeks in male ZDF rats, AVE8134 significantly raises mRNA levels of LPL and PDK4 genes in the liver, a response not observed with rosiglitazone. Additionally, a minimal dosage of 0.3 mg/kg/d enhances cardiac and vascular functions and extends life expectancy without affecting blood pressure. In elderly SHR rats, a low dose improves cardiovascular health, and in female hApo A1 mice, 130 mg/kg/d administered orally for 12 days lowers plasma triglycerides and increases serum HDL-cholesterol, hApo A1, and mouse Apo E levels in a dose-dependent manner.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6218 mL	13.1089 mL	26.2178 mL
5 mM	0.5244 mL	2.6218 mL	5.2436 mL
10 mM	0.2622 mL	1.3109 mL	2.6218 mL
50 mM	0.0524 mL	0.2622 mL	0.5244 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Schafer HL, et al. AVE8134, a novel potent PPAR α agonist, improves lipid profile and glucose metabolism in dyslipidemic mice and type 2 diabetic rats. *Acta Pharmacol Sin.* 2012 Jan;33(1):82-90.

Linz W, et al. The peroxisome proliferator-activated receptor-alpha (PPAR-alpha) agonist, AVE8134, attenuates the progression of heart failure and increases survival in rats. *Acta Pharmacol Sin.* 2009 Jul;30(7):935-46.

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