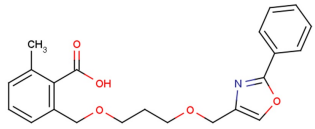
Data Sheet (Cat.No.T14356)

TargetMol
A DRUG SCREENING EXPERT

AVE-8134

Chemical Properties



CAS No.:	304025-09-0
Formula:	C22H23NO5
Molecular Weight:	381.42
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	AVE-8134 is an agonist of PPARα. For human and rodent PPARα receptor, the EC50 values are 100 and 3000 nM, respectively.
Targets(IC_{50})	PPARα: 100 nM (EC50) (Human PPARα)
In vitro	AVE8134 is a full PPARα dominated PPAR agonist, but not active on PPARδ[1]. In monocytes, AVE8134 (10 μM) increases the expression of CD36 and the macrophage scavenger receptor 1, resulting in enhanced uptake of oxidized LDL[2]. In HUVEC, AVE8134 (1 μM) increases Ser-1177-eNOS phosphorylation but not eNOS expression.
In vivo	AVE8134 reduces phenylephrine-induced hypertrophy in adult rat cardiomyocytes[2]. Treatment at AVE8134 decreases plasma proBNP and arginine and increases plasma citrulline and urinary NOx/creatinine ratio. In female ZDF rats, AVE8134 (3-30 mg/kg/d for 2 weeks) improves insulin-sensitivity index. In post-MI rats, AVE8134 (3 mg/kg and 10 mg/kg) dose-dependently improves cardiac output, myocardial contractility and relaxation and reduces lung and left ventricular weight and fibrosis. In DOCA rats, AVE8134 (3 mg/kg/d) prevents development of high blood pressure, myocardial hypertrophy and cardiac fibrosis, and ameliorates endothelial dysfunction. In pre-diabetic male ZDF rats, AVE8134 (10 mg/kg/d for 8 weeks) produces an anti-diabetic action comparable to rosiglitazone, without the PPARγ mediated adverse effects on body weight and heart weight. In male ZDF rats, AVE8134 (20 mg/kg/d for 12 weeks) increases mRNA levels of the target genes LPL and PDK4 about 20 fold in the liver, and there is no relevant effect with rosiglitazone[1]. AVE8134 (0.3 mg/kg/d) improves cardiac and vascular function and increases life expectancy without lowering blood pressure. In old SHR, treatment with a low dose of In female hApo A1 mice, AVE8134 (130 mg/kg/d, po for 12 d) dose-dependently loweres the plasma triglycerides, and increases the serum HDL-cholesterol, hApo A1 and mouse Apo E levels.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.622 mL	13.109 mL	26.218 mL
5 mM	0.524 mL	2.622 mL	5.244 mL
10 mM	0.262 mL	1.311 mL	2.622 mL
50 mM	0.052 mL	0.262 mL	0.524 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

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