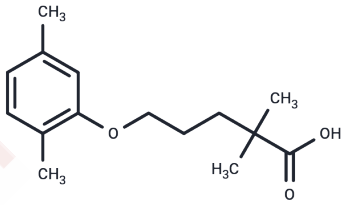
Data Sheet (Cat.No.T1415)

TargetMol

Gemfibrozil

Chemical Properties



CAS No. : 25812-30-0

Formula: C15H22O3

Molecular Weight: 250.33

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	Gemfibrozil (CI-719) interacts with peroxisome proliferator-activated receptors (PPARalpha) resulting in PPARalpha-mediated stimulation of fatty acid oxidation and an increase in lipoprotein lipase (LPL) synthesis. Gemfibrozil is a fibric acid derivative with hypolipidemic effects. This enhances triglyceride-rich lipoprotein clearance and reduces the expression of apolipoprotein C-III (apoC-III). The reduction in hepatic production of apoC-III result in the subsequent reduction of serum levels of very-low-density-lipoprotein cholesterol (VLDL-C). In addition, gemfibrozil-mediated PPARalpha stimulation of apoA-I and apoA-II expression results in an increase in high-density lipoprotein cholesterol (HDL-C).
Targets(IC50)	Adrenergic Receptor,Cytochromes P450,PPAR
In vitro	Gemfibrozil exerts a minimal inhibitory effect on CYP3A-mediated simvastatin hydroxy acid (SVA) oxidation, but does inhibit SVA glucuronidation in dog and human liver microsomes. [1] Gemfibrozil markedly inhibits M-23 formation, with a K(i) (IC(50)) value of 69 (95) mM, whereas inhibition of M-1 formation is weaker with a K(i) (IC(50)) value of 273 mM in human liver microsomes. [2] Gemfibrozil strongly and competitively inhibits CYP2C9 activity, with a K(i) (IC(50)) value of 5.8 (9.6) mM. Gemfibrozil exhibits somewhat smaller inhibitory effects on CYP2C19 and CYP1A2 activities, with K(i) (IC(50)) values of 24 (47) mM and 82 (136) mM, respectively. [3] Gemfibrozil, a lipid-lowering drug, inhibits cytokine-induced production of NO and the expression of inducible nitric-oxide synthase (iNOS) in human U373 mg astroglial cells and primary astrocytes. Gemfibrozil induces peroxisome proliferator-responsive element (PPRE)-dependent luciferase activity, which is inhibited by the expression of DeltahPPAR-alpha, the dominant-negative mutant of human PPAR-alpha. Gemfibrozil strongly inhibits the activation of NF-kappaB, AP-1, and C/EBPbeta but not that of gamma-activation site (GAS) in cytokine-stimulated astroglial cells. [4]
In vivo	Gemfibrozil treatment significantly reduces (2-3-fold) the plasma clearance of SVA and the biliary excretion of SVA glucuronide (together with its cyclization product SV), but not the excretion of a major oxidative metabolite of SVA in dogs. [1]

Solubility Information

Solubility	DMSO: 250 mg/mL (998.68 mM),Sonication is recommended. Ethanol: 47 mg/mL (187.75 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (7.99 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.9947 mL	19.9736 mL	39.9473 mL
5 mM	0.7989 mL	3.9947 mL	7.9895 mL
10 mM	0.3995 mL	1.9974 mL	3.9947 mL
50 mM	0.0799 mL	0.3995 mL	0.7989 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

Prueksaritanont T, et al. J Pharmacol Exp Ther,2002, 301(3), 1042-1051.
Wang JS, et al. Drug Metab Dispos,2002, 30(12), 1352-1356.
Wen X, et al. Drug Metab Dispos,2001, 29(11), 1359-1361.
Pahan K, et al. J Biol Chem,2002, 277(48), 45984-45991.