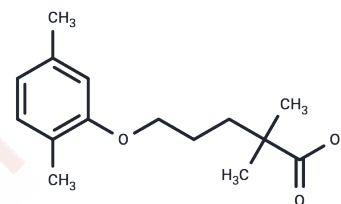


Gemfibrozil

Chemical Properties

CAS No. :	25812-30-0
Formula:	C ₁₅ H ₂₂ O ₃
Molecular Weight:	250.33
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



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 Delta hPPAR-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

A DRUG SCREENING EXPERT

Solubility	DMSO: 50 mg/mL (199.74 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)
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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.

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.

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Tel:781-999-4286 E_mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481