Gemfibrozil 1-O-β-glucuronide

Cat. No.: HY-129993

CAS No.: 91683-38-4

Molecular Formula: $C_{21}H_{30}O_9$

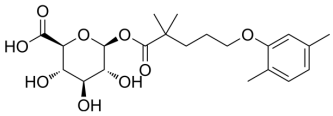
Molecular Weight: 426.46

Target: Cytochrome P450; PPAR; Drug Metabolite

Pathway: Metabolic Enzyme/Protease; Cell Cycle/DNA Damage; Vitamin D Related/Nuclear Receptor

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (234.49 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3449 mL	11.7244 mL	23.4489 mL
	5 mM	0.4690 mL	2.3449 mL	4.6898 mL
	10 mM	0.2345 mL	1.1724 mL	2.3449 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Gemfibrozil 1-O-β-Glucuronide, a metabolite of Gemfibrozil (CI-719; HY-B0258), is a potent and competitive P450 (CYP) isoform CYP2C8 inhibitor with an IC_{50} of 4.07 μM[1][2].

IC_{50} & Target

CYP3	CYP2C8 4.07 μM (IC_{50})

In Vitro

Gemfibrozil 1-O-β-Glucuronide significantly inhibits the OATP2 (OATP1B1)-mediated uptake of Cerivastatin (CER; HY-129458) with an IC_{50} of 24.3 μM[1].

?Gemfibrozil 1-O-β-Glucuronide inhibits CYP2C8-mediated M1, M23 formation with IC_{50}s of 5.38 μM, 4.30 μM, and has no effects for CYP2C8-mediated M3 formation[1].

?Gemfibrozil 1-O-β-Glucuronide has an IC_{50} of 243 μM for the CYP3A4- mediated metabolism[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Shitara Y, et al. Gemfibrozil and its glucuronide inhibit the organic anion transporting polypeptide 2(OATP2/OATP1B1:SLC21A6)-mediated hepatic uptake and CYP2C8-mediated metabolism of cerivastatin: analysis of the mechanism of the clinically relevant drug-drug interaction between cerivastatin and gemfibrozil. J Pharmacol Exp Ther. 2004 Oct;311(1):228-36.

[2]. Baer BR, et al. Benzylic oxidation of gemfibrozil-1-O-beta-glucuronide by P450 2C8 leads to heme alkylation and irreversible inhibition. Chem Res Toxicol. 2009 Jul;22(7):1298-309.

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