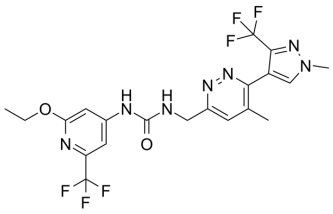
GLPG2938

Cat. No.:	HY-139310
CAS No.:	2130996-00-6
Molecular Formula:	$C_{20}H_{19}F_6N_7O_2$
Molecular Weight:	503.4
Target:	LPL Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (198.65 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9865 mL	9.9325 mL	19.8649 mL
	5 mM	0.3973 mL	1.9865 mL	3.9730 mL
	10 mM	0.1986 mL	0.9932 mL	1.9865 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.97 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.13 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GLPG2938 is a potent and selective S1P2 antagonist. GLPG2938 can be used for the research of idiopathic pulmonary fibrosis [1].

IC_{50} & Target

S1PR2

In Vitro

GLPG2938 (0.5~5 μM; HPF cells) significantly prevents the S1P-mediated contraction at all tested concentrations[1].

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

In Vivo

GLPG2938 (1~10 mg/kg; p.o.) displays a marked protective effect at all dosed tested, resulting in statistically significant reduction of the Ashcroft score[1].

GLPG2938 shows good pharmacokinetics, with long half-life, low clearance, and good bioavailability in all species, especially in dogs[1].

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

Animal Model:	Male C57BL/6 mice[1]
Dosage:	1~10 mg/kg
Administration:	P.o.
Result:	Displayed a marked protective effect at all dosed tested, resulting in statistically significant reduction of the Ashcroft score.

REFERENCES

[1]. Mammoliti O, et al. Discovery of the S1P2 Antagonist GLPG2938 (1-[2-Ethoxy-6-(trifluoromethyl)-4-pyridyl]-3-[[5-methyl-6-[1-methyl-3-(trifluoromethyl)pyrazol-4-yl]pyridazin-3-yl]methyl]urea), a Preclinical Candidate for the Treatment of Idiopathic Pulmonary Fibrosis. J Med Chem. 2021;64(9):6037-6058.

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