GLPG0974

Cat. No.: HY-12940

CAS No.: 1391076-61-1

Molecular Formula: $C_{25}H_{25}ClN_2O_4S$

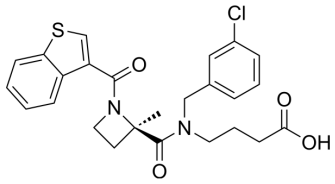
Molecular Weight: 485

Target: Free Fatty Acid Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (412.37 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0619 mL	10.3093 mL	20.6186 mL
	5 mM	0.4124 mL	2.0619 mL	4.1237 mL
	10 mM	0.2062 mL	1.0309 mL	2.0619 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 5 mg/mL (10.31 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (10.31 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (10.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GLPG0974 is a free fatty acid receptor-2 (FFA2/GPR43) antagonist with an IC_{50} of 9 nM.

IC_{50} & Target

IC50: 9 nM (GPR43)[1]

In Vitro

GLPG0974 is able to inhibit acetate-induced neutrophil migration strongly in vitro and demonstrates ability to inhibit a neutrophil-based pharmacodynamic (PD) marker, CD11b activation-specific epitope [AE], in a human whole blood assay[1].

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

In Vivo	GLPG0974 shows excellent pharmacokinetic properties in rat with a bioavailability of 47% and a linear increase of the plasma exposure after oral dosing at 5 and 30 mg/kg. The extended half-life observed following the increase of oral dose is consistent with the project objective to obtain long target coverage in human[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats[1] GLPG0974 is orally dosed as a single esophageal gavage at 5−10 mg/kg and intravenously dosed as a bolus via the caudal vein at 1 mg/kg to male Sprague−Dawley rats. Each group consisted of three rats. Blood samples are collected[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Adv Res. 2023 Mar 7;S2090-1232(23)00070-X.
- J Anim Sci Biotechnol. 2023 Aug 5;14(1):111.
- Biology (Basel). 2020 Aug 3;9(8):203.
- J Appl Microbiol. 2023 Jul 22;lxad153.

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REFERENCES

[1]. Pizzonero M, et al. Discovery and optimization of an azetidine chemical series as a free fatty acid receptor 2 (FFA2) antagonist: from hit to clinic. J Med Chem. 2014 Dec 11;57(23):10044-57.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA