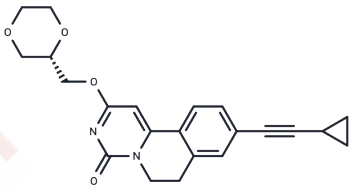
Data Sheet (Cat.No.T11411)

TargetMol

GLPG1205

Chemical Properties



CAS No. : 1445847-37-9

Formula: C22H22N2O4

Molecular Weight: 378.42

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	GLPG1205 is a potent, orally active GPR84 (G protein-coupled receptor) antagonist with anti-inflammatory activity. GLPG1205 shows good PK/PD characteristics and can be used to study pulmonary fibrosis.
Targets(IC50)	GPCR
In vitro	When GLPG1205 is administered at a concentration of 1 μM for a duration of 5 minutes, it effectively prevents the generation of reactive oxygen species (ROS) triggered by the GPR84 agonist. In TNF-α primed neutrophils, GLPG1205 exhibits strong antagonistic activity against ZQ16-induced ROS, with an IC50 value of 15 nM. Additionally, at a concentration of 0.5 μM, GLPG1205 completely suppresses the ZQ16-induced increase in intracellular calcium levels ([Ca2+]i) in neutrophils[1].
In vivo	GLPG1250 inhibits the increase in MnSOD in lung bronchial epithelial cells and parenchymal macrophages, in the irradiation model. GLPG1205 dose dependently decreases disease activity, histological activity, neutrophil influx and colonic MPO content,in a mouse IBD model. Oral administration of GLPG1250 (30mg/kg; twice daily for 2 weeks, starts from 7 days post-challenge) greatly reduces the Ashcroft score, in idiopathic pulmonary fibrosis model. Oral administration of GLPG1250 (30mg/kg; once daily starts from 18 weeks post irradition) significantly reduces college deposition in the mouse lung[1].

Solubility Information

Solubility	DMSO: 225 mg/mL (594.58 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 5 mg/mL (13.21 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	2.6426 mL	13.2128 mL	26.4257 mL
5 mM	0.5285 mL	2.6426 mL	5.2851 mL
10 mM	0.2643 mL	1.3213 mL	2.6426 mL
50 mM	0.0529 mL	0.2643 mL	0.5285 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

Sundqvist M, et al. Similarities and differences between the responses induced in human phagocytes through activation of the medium chain fatty acid receptor GPR84 and the short chain fatty acid receptor FFA2R. Biochim Biophys Acta Mol Cell Res. 2018 May;1865(5):695-708.
F. Vanhoutte, et al. Human safety, pharmacokinetics and pharmacodynamics of the GPR84 antagonist GLPG1205, a potential new approach to treat IBD. J Crohns Colitisournal of Crohns & Colitis, 2015;9(1):5387.
L.Saniere, et al. Characterization of GLPG1205 in Mouse Fibrosis Models: A Potent and Selective Antagonist of GPR84 for Treatment of Idiopathic Pulmonary Fibrosis. American Journal of Respiratory and Critical Care Medicine 2019;199:A1046
Desrivot J, et al. Effect of GLPG1205, a GPR84 Modulator, on CYP2C9, CYP2C19, and CYP1A2 Enzymes: In Vitro and Phase 1 Studies. Clin Pharmacol Drug Dev. 2021;10(9):1007-1017.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481