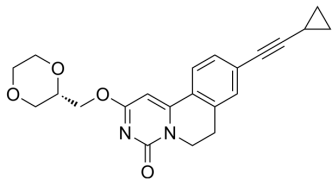
GLPG1205

Cat. No.:	HY-135303
CAS No.:	1445847-37-9
Molecular Formula:	$C_{22}H_{22}N_2O_4$
Molecular Weight:	378.42
Target:	GPR84
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 : 250 mg/mL (660.64 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

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: 6.25 mg/mL (16.52 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6.25 mg/mL (16.52 mM); Clear solution
3. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 5 mg/mL (13.21 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

GLPG1205 is potent, selective and orally active GPR84 (a G-protein-coupled receptor) antagonist with a favorable PK/PD profile. GLPG1205 has anti-inflammatory activity and is used for the treatment of pulmonary fibrosis[1][2]. GLPG1205 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

In Vitro

GLPG1205 (0.5?μM) completely inhibits the ZQ16-induced [Ca^{2+}]i response in neutrophils[1].
?GLPG1205 (1?μM; for 5?min) completely blocks the ROS-response induced by the GPR84-agonist[1].

	?GLPG1205 can potently antagonizes ZQ16-induced ROS with an IC_{50} value of 15?nM in TNF-α primed neutrophils[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GLPG1250 (orally adminstation; 30mg/kg; twice daily) for 2 weeks, starts from 7 days post-challenge,greatly reduces the Ashcroft score, in idiopathic pulmonary fibrosis model[3]. ?GLPG1250 (orally adminstation; 30mg/kg; once daily) starts from 18 weeks post irradition, significantly reduces college deposition in the mouse lung. Additionlly, GLPG1250 inhibits the increase in MnSOD in lung bronchial epithelial cells and parenchymal macrophages, in the irradiation model[3]. ?GLPG1205 dose dependently decreases disease activity, histological activity, neutrophil influx and colonic MPO content,in a mouse IBD model[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2022 Feb 23.

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REFERENCES

[1]. 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.

[2]. F. Vanhoutte, et al. Human safety, pharmacokinetics and pharmacodynamics of the GPR84 antagonist GLPG1205, a potential new approach to treat IBD.

[3]. 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

[4]. F. Vanhoutte, et al. Human safety, pharmacokinetics and pharmacodynamics

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