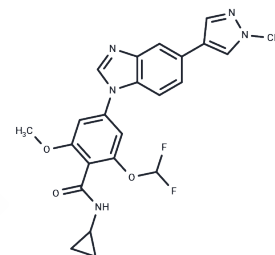


GLPG3312

Chemical Properties

CAS No. : 2340388-72-7
 Formula: C₂₃H₂₁F₂N₅O₃
 Molecular Weight: 453.44
 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	GLPG3312 is a selective and potent pan-SIK inhibitor with the advantage of oral activity, with IC ₅₀ =0.6-2.0 nM for SIK1, SIK2 and SIK3, and exhibits anti-inflammatory and immunomodulatory activity in both human primary myeloid cells and mouse models.
Targets(IC ₅₀)	Discoidin Domain Receptor (DDR),MAPK,Bcr-Abl,LIM Kinase,RIP kinase,SIK,Src,TGF-beta/Smad
In vitro	In LPS (100 ng/mL)-stimulated human primary CD14 ⁺ monocytes and monocyte-derived macrophages (MdmS),GLPG3312 inhibited TNF α release in monocytes(IC ₅₀ 17 nM) and in MdmS(IC ₅₀ 34 nM). At a concentration of 20 μ M, GLPG3312 enhanced IL-10 secretion by 14.8-fold in monocytes and 2.8-fold in MdmS. Cells were preincubated with the compound for 1 hour before LPS stimulation, and cytokine levels were measured at 4 hours for monocytes and at 2 and 20 hours for IL-10 and TNF α , respectively, in MdmS[1].
In vivo	In Balb/c mice, GLPG3312 was administered p.o. at 0.3, 1, or 3 mg/kg, followed by i.p. LPS (100 μ g) 15min later. Plasma cytokines measured at 1.5h post-LPS showed TNF α inhibition of 27.0%, 57.2%, and 77.5%, respectively, and IL-10 increases of 1.3-, 2.4-, and 3.1-fold at the same doses. GLPG3312 also exhibited favorable PK, with oral bioavailability of 60% in mice, 41.4% in rats (5mg/kg), and 45.5% in dogs (30mg/kg), along with low CL and acceptable t _{1/2} [1].

Solubility Information

Solubility	DMSO: 80 mg/mL (176.43 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (7.28 mM),Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2054 mL	11.0268 mL	22.0536 mL
5 mM	0.4411 mL	2.2054 mL	4.4107 mL
10 mM	0.2205 mL	1.1027 mL	2.2054 mL
50 mM	0.0441 mL	0.2205 mL	0.4411 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

Temal-Laib T, et al. Optimization of Selectivity and Pharmacokinetic Properties of Salt-Inducible Kinase Inhibitors that Led to the Discovery of Pan-SIK Inhibitor GLPG3312. J Med Chem. 2024 Jan 11;67(1):380-401.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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