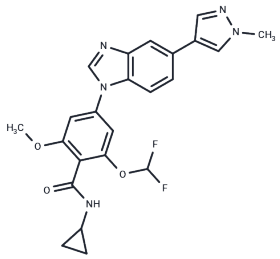
# Data Sheet (Cat.No.T86509)

TargetMol

GLPG3312

## Chemical Properties



CAS No. : 2340388-72-7

Formula: C23H21F2N5O3

Molecular Weight: 453.44

Appearance: Solid

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

## Biological Description

| | |
|---|---|
| Description | GLPG3312 is a selective and potent pan-SIK inhibitor with the advantage of oral activity, with IC50=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(IC50) | 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 TNFα release in monocytes($IC_{50}$ 17 nM) and in MdMs($IC_{50}$ 34 nM). At a concentration of 20 μM, 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 TNFα, 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 (100μg) 15min later. Plasma cytokines measured at 1.5h post-LPS showed TNFα 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

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

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.

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.