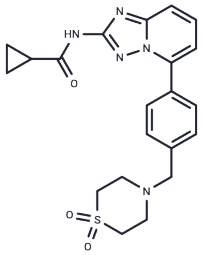
Data Sheet (Cat.No.T1929)

TargetMol

Filgotinib

Chemical Properties



CAS No. : 1206161-97-8

Formula: C21H23N5O3S

Molecular Weight: 425.5

Appearance: no data available

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

Biological Description

Description	Filgotinib (GLPG0634) is a selective JAK1 inhibitor with IC50 values of 10 nM for JAK1, 28 nM for JAK2, 810 nM for JAK3, and 116 nM for TYK2.
Targets(IC50)	JAK,Tyrosine Kinases
In vitro	In vivo studies on mice treated with DSS demonstrate that Filgotinib (GLPG0634), through the inhibition of JAK1, exhibits potent efficacy in preclinical mouse models, which is associated with the inhibition of STAT3 phosphorylation in inflamed colonic tissues. Oral administration of Filgotinib shows moderate absolute bioavailability in rats (45%) and high bioavailability in mice (~100%). In both rat and mouse CIA models, Filgotinib (30 mg/kg/day in rats; 50 mg/kg, twice per day in mice) demonstrates a dose-dependent reduction in cartilage damage, inflammation, and bone degeneration phenomena.
In vivo	In cell lines, GLPG0634 inhibits the signaling of JAK1/JAK3/γc induced by IL-2/4 and the signaling of JAK1/TYK2 Type II receptor induced by IFN-αB2, with an IC50 of 150-760 nM. Compared to JAK1 kinase in the JAK/STAT signaling pathway, GLPG0634 exhibits higher selectivity for JAK2 kinase at the cellular level. Additionally, GLPG0634 inhibits the differentiation of Th1/2/17 cells.
Kinase Assay	Recombinant JAK1, TYK2, JAK2, and JAK3 are used to develop activity assays in 50 mM HEPES (pH 7.5), 1 mM EGTA, 10 mM MgCl2, 2 mM DTT, and 0.01% Tween 20. The amount of JAK protein is determined per aliquot, maintaining initial velocity and linearity over time. The ATP concentration is equivalent to 4× the experimental?Km?value and the substrate concentration (ULight-conjugated JAK-1(Tyr1023) peptide) corresponds to the experimentally determined?Km?value. After 90 min incubation at room temperature (RT), the amount of phosphorylated substrate is measured by addition of 2 nM europium-anti-phosphotyrosine Ab and 10 mM EDTA in Lance detection buffer. Compound IC50?values are determined by preincubating the enzyme with compound at RT for 60 min, prior to the addition of ATP.

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 50 mg/mL (117.51 mM),Sonication is recommended.

(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3502 mL	11.7509 mL	23.5018 mL
5 mM	0.470 mL	2.3502 mL	4.7004 mL
10 mM	0.235 mL	1.1751 mL	2.3502 mL
50 mM	0.047 mL	0.235 mL	0.470 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

Van Rompaey L, et al. J Immunol. 2013, 191(7), 3568-3577.
Vogel A, Martin K, Soukup K, et al. JAK1 signaling in dendritic cells promotes peripheral tolerance in autoimmunity through PD-L1-mediated regulatory T cell induction. Cell Reports. 2022, 38(8): 110420.
Si H, Wang J, He R, et al. Identification of U937JAK3-M511I Acute Myeloid Leukemia Cells as a Sensitive Model to JAK3 Inhibitor. Frontiers in oncology. 2021, 11: 807200-807200.
Development and evaluation of two whole-blood flow cytometry protocols for monitoring patients treated with JAK inhibitors