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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
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Filgotinib maleate

Cat. No.: HY-18300A

CAS No.: 1802998-75-9

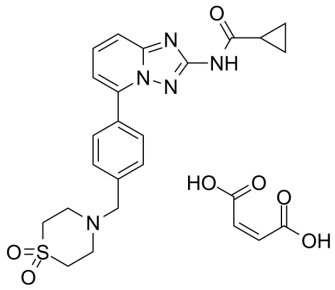
Molecular Formula: $C_{25}H_{27}N_5O_7S$

Molecular Weight: 541.58

Target: JAK; HIV

Pathway: Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt; Anti-infection

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 35 mg/mL (64.63 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8464 mL	9.2322 mL	18.4645 mL
	5 mM	0.3693 mL	1.8464 mL	3.6929 mL
	10 mM	0.1846 mL	0.9232 mL	1.8464 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Filgotinib maleate (GLPG0634 maleate) is a selective, orally available JAK1 inhibitor with anti-inflammatory and antiviral activities. Filgotinib maleate can effectively inhibit the activities of JAK1, JAK2, JAK3 and TYK2 with IC_{50} values of 10 nM, 28 nM, 810 nM and 116 nM, respectively. Filgotinib maleate also inhibits HIV-1 driven gene transcription and reduces proliferation of HIV-1 infected cells. Filgotinib maleate can be used in the study of rheumatoid arthritis and inflammatory bowel disease[1][2][3].

IC_{50} & Target	JAK1	JAK2	JAK3	Tyk2
	10 nM (IC_{50})	28 nM (IC_{50})	810 nM (IC_{50})	116 nM (IC_{50})

In Vitro

Filgotinib maleate (0.1, 1 and 10 μM) inhibits the differentiation of Th2 cells and Th1 cells in a dose-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Filgotinib maleate (0.1, 0.3, 1, 3, 10 and 30 mg/kg, i.g.; once daily for 15 days) produces dose-dependent bone damage protection and prevents the development of inflammation in a rat model of collagen-induced arthritis[1].

Pharmacokinetic analysis of GLPG0634 in mice[1]

Route	Dose (mg/kg)	C_0 or C_0 (ng/mL)	T_{max} (h)	$T_{1/2}$ (h)	Cl (L•h/kg)	V_{ss} (L/kg)	F (%)
i.v.	1	637	/	2.5	2.9	6	/
p.o.	5	920	0.5	1.7	/	/	100

Pharmacokinetic analysis of GLPG0634 in rats[1]

Route	Dose (mg/kg)	C_0 or C_0 (ng/mL)	T_{max} (h)	$T_{1/2}$ (h)	Cl (L•h/kg)	V_{ss} (L/kg)	F (%)
i.v.	1	1407	/	1.6	1.4	1.8	/
p.o.	5	310	2.2	3.9	/	/	45

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	therapeutic rat CIA model[1]
Dosage:	0.1, 0.3, 1, 3, 10 and 30 mg/kg
Administration:	i.g. 1 time per day for 15 days
Result:	Reduced inflammatory cell infiltration while protecting articular cartilage and bone at a dose of 1 mg/kg. Reduced serum levels of inflammatory cytokines and chemokines including IL-6, IP-10, XCL1, and MCP-1.

CUSTOMER VALIDATION

- Nature. 2022 Sep;609(7928):785-792.
- Science. 2017 Dec 1;358(6367):eaan4368.
- Nat Cancer. 2022 Sep;3(9):1071-1087.
- Nat Commun. 2024 Jun 21;15(1):5292.
- Leukemia. 2019 Aug;33(8):1964-1977.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Van Rompaey L, et, al. Preclinical characterization of GLPG0634, a selective inhibitor of JAK1, for the treatment of inflammatory diseases. J Immunol. 2013 Oct 1;191(7):3568-77.

[2]. Labetoulle R, et al. Filgotinib for the treatment of Crohn's disease. Expert Opin Investig Drugs. 2018 Mar;27(3):295-300.

[3]. Yeh, et al. "Filgotinib suppresses HIV-1–driven gene transcription by inhibiting HIV-1 splicing and T cell activation." The Journal of Clinical Investigation 130.9 (2020): 4969-4984.

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