Filgotinib maleate

Cat. No.: HY-18300A

CAS No.: 1802998-75-9

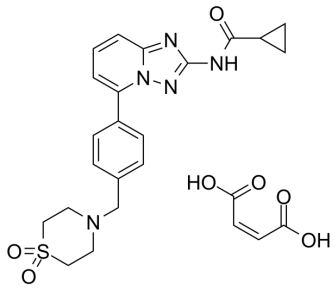
Molecular Formula: $C_{25}H_{27}N_5O_7S$

Molecular Weight: 541.58

Target: JAK

Pathway: Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description Filgotinib (maleate) is a selective and orally active JAK1 inhibitor with IC_{50} of 10 nM, 28 nM, 810 nM and 116 nM for JAK1, JAK2, JAK3 and TYK2, resp can be used for rheumatoid arthritis (RA) and Crohn's disease research[1][2].

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

In Vitro Filgotinib (maleate) (1-10 μM) inhibits Th1 and Th2 differentiation 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) (1-10 mg/mL; p.o. and i.v.; Rat collagen-induced arthritis) exhibits good pharmacokinetic profiles and reduces paw swelling, bo and levels ofinflammatory cytokines[1].

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

Animal Model:	Rat collagen-induced arthritis (CIA)[1]
Dosage:	1 and 10 mg/kg
Administration:	Oral administration; for 4 days
Result:	Reduced infiltration of inflammatory cells while protecting the articular cartilage and bone.

Animal Model:	Rat collagen-induced arthritis (CIA)[1]
Dosage:	1 and 5 mg/kg
Administration:	Oral administration and intravenous injection
Result:	

Parameter (Unit)	Mouse 1 mg/kg i.v.	Mouse 5 mg/kg Orally	Rat 1 mg/kg i.v.	Rat 5 mg/kg Orally
C_{max} or C_0 (ng/mL)	637	920	1407 (28)	310 (33)

T_{max} (h)		0.5		22 (0.5-5)
Area under curve:0-24 h (ng/h/mL)	347	1893	739 (2)	1681 (8)
$T_{1/2}$ (h)	2.5	1.7	1.6	3.9
Cl (L/h/kg)	2.9		1.4	
V_{ss} (L/kg)	6		1.8	
F (%)				

CUSTOMER VALIDATION

- Nature. 2022 Aug 3.
- Science. 2017 Dec 1;358(6367):eaan4368.
- Leukemia. 2019 Aug;33(8):1964-1977.
- Oncogene. 2016 Nov 17;35(46):6001-6014.
- PLoS Genet. 2015 Mar 27;11(3):e1005120.

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REFERENCES

[1]. Van Rompaey L, et, al. Preclinical characterization of GLPG0634, a selective inhibitor of JAK1, for the treatment of inflammatory diseases. J 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.

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