JAK3-IN-12

Cat. No.: HY-147975

CAS No.: 1430095-86-5

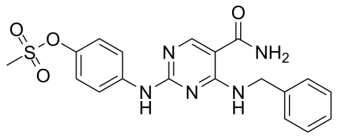
Molecular Formula: $C_{19}H_{19}N_5O_4S$

Molecular Weight: 413.45

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

JAK3-IN-12 (compound 5k) is a highly potent JAK3 inhibitor with IC_{50} values of 9.5 nM, 18 nM and 42 nM for JAK3, JAK1 and JAK2, respectively. JAK3-IN-12 can be used for researching rheumatoid arthritis[1].

IC_{50} & Target

JAK3	JAK1	JAK2
9.5 nM (IC_{50})	18 nM (IC_{50})	42 nM (IC_{50})

In Vivo

JAK3-IN-12 (compound 5k) shows moderate AUC, due to its high clearance, which resulted into overall low bioavailability[1].

Pharmacokinetic Parameters of JAK3-IN-12 in male C57BL/6J mice[1].

	T_{max} (h)	C_{max} (ng/ml)	t_{max} (h)	CL (mL/min/kg), iv	$AUC_{0-\alpha}$ (μg/mL·h)	F (%)
IV (1 mg/kg); PO (3 mg/kg)	0.5	146±48	1.85±0.43	40.37 ± 3.61	192 ± 56	15

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

Animal Model:	Male C57BL/6J mice[1]
Dosage:	1 mg/kg for IV; 3 mg/kg for PO
Administration:	IV or PO; single dosage
Result:	Showed moderate AUC, due to its high clearance, which resulted into overall low bioavailability (15%).

REFERENCES

[1]. Bahekar R, et al. Discovery of diaminopyrimidine-carboxamide derivatives as JAK3 inhibitors. Bioorg Chem. 2020 Jun;99:103851.

Caution: Product has not been fully validated for medical applications. For research use only.

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