JAK-IN-20

Cat. No.: HY-143444

CAS No.: 1654776-91-6

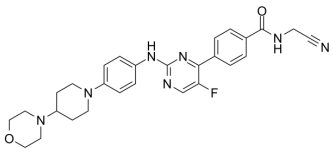
Molecular Formula: $C_{28}H_{30}FN_7O_2$

Molecular Weight: 515.58

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

JAK-IN-20 is a potent, pan and orally active JAK inhibitor with an IC_{50}s of 7 nM, 5 nM, 14 nM for JAK1, JAK2, JAK3, respectively. JAK-IN-20 shows excellent pharmacokinetics and displays anti-inflammatory efficacy in vivo[1].

IC_{50} & Target

JAK1	JAK2	JAK3
7 nM (IC_{50})	5 nM (IC_{50})	14 nM (IC_{50})

In Vivo

JAK-IN-20 (compound 32) (3 mg/kg for p.o.; 1 mg/kg for i.v.) shows excellent pharmacokinetics in rats[1].
JAK-IN-20 (10 mg/kg; p.o.; once a day for 3 days) shows anti-inflammatory effect[1].
Pharmacokinetic Parameters of JAK-IN-20 in female Sprague Dawley rats[1].

parameter	32
PO Dose (mg/kg)	3
T_{max} (h)	0.63(0.25-6)
C_{max} (μg/mL)	7.82±3.68
AUC_{0-t} (μg.h/mL)	80.18±35.44
$T^{1/2}$,po (h)	4.77±1.84
MRT (h)	7.54±2.52
IV Dose (mg/kg)	1
C_0 (μg/mL)	4.70±2.50
AUC_{0-t} (μg.h/mL)	18.89±1.76

V_{ss} (L/kg)	0.32±0.14
CL (mL/min/kg)	0.85±0.13
$T^{1/2}$,iv (h)	5.16±3.83
MRT (h)	6.69±4.03
%F	100

Wistar rats; 3 mg/kg; p.o. (1% Tween 80 + 99% (0.5%) methyl cellulose in water); 1 mg/kg; i.v. (5% NMP + 5% solutol + 90% normal saline)[1]

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

Animal Model:	7-10 weeks, 250-300g, male wistar rats[1]
Dosage:	
Administration:	3 mg/kg for p.o.; 1 mg/kg for i.v.
Result:	Showed fast oral absorption, higher plasma exposure, extended oral half-life and excellent oral bioavailability of 100%.

Animal Model:	Female Sprague Dawley rats (PGPS rat model)[1]
Dosage:	10 mg/kg
Administration:	P.o.; once a day; 3 days
Result:	Showed anti-inflammatory effect.

REFERENCES

[1]. Desai J, et al. Optimisation of momelotinib with improved potency and efficacy as pan-JAK inhibitor. Bioorg Med Chem Lett. 2022; 66:128728.

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