JAK2-IN-7

Cat. No.: HY-131906

Molecular Formula: $C_{26}H_{33}N_7O$

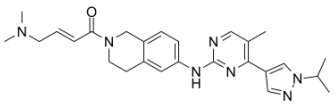
Molecular Weight: 459.59

Target: JAK; FLT3

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

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (543.96 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1759 mL	10.8793 mL	21.7585 mL
5 mM	0.4352 mL	2.1759 mL	4.3517 mL
10 mM	0.2176 mL	1.0879 mL	2.1759 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JAK2-IN-7 is a selective JAK2 inhibitor with IC_{50}s of 3, 11.7, and 41 nM for JAK2, SET-2, and Ba/F3^{V617F} cells, respectively. JAK2-IN-7 possesses >14-fold selectivity over JAK1, JAK3, FLT3. JAK2-IN-7 stimulates cell cycle arrest in the G0/G1 phase and induces tumor cellapoptosis. Antitumor activities[1].

IC_{50} & Target

JAK1	JAK2	JAK3	Tyk2
42 nM (IC_{50})	3 nM (IC_{50})	94 nM (IC_{50})	75 nM (IC_{50})

FLT3
62 nM (IC_{50})

In Vitro

JAK2-IN-7 (compound 13ac) (0-1000 nM; 2 hours) inhibits JAK2 and STAT5 phosphorylation in a dose-dependent manner in SET-2 and Ba/F3-JAK2^{V617F} cells[1].

JAK2-IN-7 (10-160 nM; 24 hours) induces cell arrest in the G0/G1 phase[1].

JAK2-IN-7 (0.05-1.6 μM; 2 hours) induces apoptosis in SET-2 cells[1].

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

Cell Cycle Analysis[1]

Cell Line:	SET-2 cells
Concentration:	10-160 nM
Incubation Time:	24 hours
Result:	Induced cell arrest in the G0/G1 phase in a concentration-dependent manner.

Apoptosis Analysis[1]

Cell Line:	SET-2 cells
Concentration:	0.05-1.6 μM
Incubation Time:	2 hours
Result:	Induced apoptosis in SET-2 cells.

In Vivo

JAK2-IN-7 (15-60 mg/kg; p.o.; daily for 16 days) shows potent in vivo antitumor efficacy with 82.3% tumor growth inhibition in the SET-2 xenograft model[1].

JAK2-IN-7 (30-60 mg/kg; p.o.; q.d. for 16 day) significantly ameliorates the disease symptoms in a Ba/F3-JAK2V617F allograft model, with 77.1% normalization of spleen weight, which was more potent than Ruxolitinib[1].

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

Animal Model:	SET-2 cell-inoculated xenograft NOD/SCID mouse model[1]
Dosage:	15, 30, and 60 mg/kg
Administration:	Orally daily for 16 days
Result:	Exhibited a significant tumor growth inhibition of 82.3% without obvious weight change.

REFERENCES

[1]. Yang T, et al. N-(Pyrimidin-2-yl)-1,2,3,4-tetrahydroisoquinolin-6-amine Derivatives as Selective Janus Kinase 2 Inhibitors for the Treatment of Myeloproliferative Neoplasms [published online ahead of print, 2020 Nov 30]. J Med Chem. 2020;10.1021/acs.jmedchem.0c01488.

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