JAK2/FLT3-IN-1

Cat. No.: HY-130247

CAS No.: 2387765-27-5

Molecular Formula: $C_{25}H_{34}FN_7O$

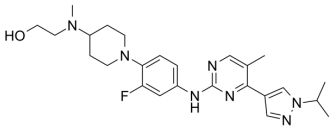
Molecular Weight: 467.58

Target: JAK; FLT3; Apoptosis

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

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 : 35 mg/mL (74.85 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1387 mL	10.6934 mL	21.3867 mL
	5 mM	0.4277 mL	2.1387 mL	4.2773 mL
	10 mM	0.2139 mL	1.0693 mL	2.1387 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 (4.45 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JAK2/FLT3-IN-1 is a potent and orally active dual JAK2/FLT3 inhibitor with IC_{50} values of 0.7 nM, 4 nM, 26 nM and 39 nM for JAK2, FLT3, JAK1 and JAK3, respectively. JAK2/FLT3-IN-1 has anti-cancer activity[1].

IC_{50} & Target

JAK2	FLT3	JAK1	JAK3
0.7 nM (IC_{50})	4 nM (IC_{50})	26 nM (IC_{50})	39 nM (IC_{50})

In Vitro

JAK2/FLT3-IN-1 (0.008-1 μM; for 2 hours) down-regulates p-FLT3 in a dose-dependent manner[1].
JAK2/FLT3-IN-1 (5-100 nM; for 2 hours) has a dose-dependent effect on the induction of apoptosis in the MV4-11 cells[1].
JAK2/FLT3-IN-1 (5-100 nM; for 2 hours) strongly induces cell cycle arrest with a G1/G0 percentage of 85% at 100 nM in the

MV4-11 cells[1].

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

Western Blot Analysis[1]

Cell Line:	MV4-11 and SET-2 cells
Concentration:	0.008, 0.04, 0.2, 1 μM
Incubation Time:	For 2 hours
Result:	Down-regulated p-FLT3 in a dose-dependent manner from 0.008 to 1 μM.

Apoptosis Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	5, 10, 50, 100 nM
Incubation Time:	For 2 hours
Result:	Had a dose-dependent effect on the induction of apoptosis in the MV4-11 cells.

Cell Cycle Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	5, 10, 50, 100 nM
Incubation Time:	For 2 hours
Result:	Induced cell cycle arrest with a G1/G0 percentage of 85% at 100 nM.

In Vivo

JAK2/FLT3-IN-1 (30 and 60 mg/kg/day; p.o.; for 14 days) exhibits significant antitumor effects[1].

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

Animal Model:	NOD/SCID mouse models[1]
Dosage:	30 and 60 mg/kg
Administration:	Oral administration; daily; for 14 days
Result:	Exhibited significant antitumor effects. The tumor growth inhibitory rates (TGI) were respective 58% and 93% in the MV4-11-bearing mice model.

CUSTOMER VALIDATION

- J Immunol. 2022 Aug 29;ji2200195.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Yang T, et al. Discovery of Potent and Orally Effective Dual JAK2/FLT3 Inhibitors for the Treatment of AcuteMyelogenous Leukemia and Myeloproliferative Neoplasms. J Med Chem. 2019 Oct 31.

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