JAK2/FLT3-IN-1 TFA

Cat. No.: HY-130247A

CAS No.: 2928093-29-0

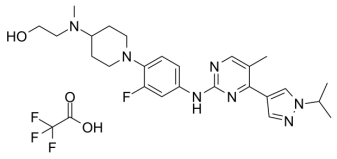
Molecular Formula: $C_{27}H_{35}F_4N_7O_3$

Molecular Weight: 581.61

Target: FLT3; JAK; Apoptosis

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

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 33.33 mg/mL (57.31 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7194 mL	8.5968 mL	17.1937 mL
	5 mM	0.3439 mL	1.7194 mL	3.4387 mL
	10 mM	0.1719 mL	0.8597 mL	1.7194 mL

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

BIOLOGICAL ACTIVITY

Description

JAK2/FLT3-IN-1 (TFA) 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 (TFA) has anti-cancer activity[1].

IC_{50} & Target

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

In Vitro

JAK2/FLT3-IN-1 (0.008-1 μM; for 2 hours) (TFA) down-regulates p-FLT3 in a dose-dependent manner[1].
JAK2/FLT3-IN-1 (5-100 nM; for 2 hours) (TFA) has a dose-dependent effect on the induction of apoptosis in the MV4-11 cells[1].
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JAK2/FLT3-IN-1 (5-100 nM; for 2 hours) (TFA) 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) (TFA) 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.

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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.

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

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