EPZ011989 trifluoroacetate

Cat. No.: HY-16986A

CAS No.: 1598383-41-5

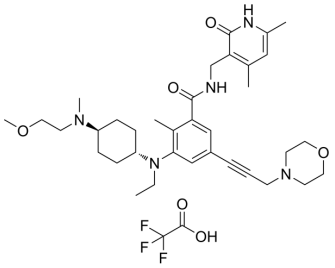
Molecular Formula: $C_{37}H_{52}F_3N_5O_6$

Molecular Weight: 719.83

Target: Histone Methyltransferase

Pathway: Epigenetics

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

DMSO : 100 mg/mL (138.92 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3892 mL	6.9461 mL	13.8922 mL
	5 mM	0.2778 mL	1.3892 mL	2.7784 mL
	10 mM	0.1389 mL	0.6946 mL	1.3892 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: ≥ 2.5 mg/mL (3.47 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.47 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.47 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EPZ-011989 trifluoroacetate is a potent and orally active Zeste Homolog 2 (EZH2) inhibitor with metabolic stability. EPZ-011989 trifluoroacetate has inhibitory inhibition for EZH2 with a K_i value of <3 nM. EPZ-011989 trifluoroacetate shows robust methyl mark inhibition and anti-tumor activity. EPZ-011989 trifluoroacetate can be used for the research of various cancers [1]. EPZ011989 (trifluoroacetate) is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

EZH2

In Vitro

EPZ-011989 trifluoroacetate inhibits mutant and wild-type EZH2 with an K_i value of <3 nM[1].

EPZ-011989 trifluoroacetate reduces cellular H3K27 methylation with an IC_{50} value of 94 nM[1].
EPZ-011989 trifluoroacetate (0-10 μM; 11 days) has anti-proliferation effect in WSU-DLCL2 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	WSU-DLCL2 cells
Concentration:	0-10 μM
Incubation Time:	11 days
Result:	Demonstrated an average lowest cytotoxic concentration (LCC) in WSU-DLCL2 cells of 208 nM.

In Vivo

EPZ-011989 trifluoroacetate (oral; 30-1000 mg/kg; single or bid; for 7 days or 21 days) can elicit robust methyl mark inhibition and antitumor activity[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SCID mice[1]
Dosage:	125, 250, 500, and 1000 mg/kg
Administration:	Oral; single, twice-daily (BID)for 7 days or twice-daily (BID)for 21 days
Result:	Provided coverage over the LCC for 24 h (1000 mg/kg), while the 250 and 500 mg/kg doses provided coverage over this value for approximately 8 h. Observed complete ablation of the methyl mark by the end of day 7. Showed robust tumor growth inhibition, methyl mark reduction and extended total and free plasma exposure time.

Animal Model:	Rat[1]
Dosage:	30, 100, and 300 mg/kg
Administration:	Oral, single
Result:	

dose (mg/kg)	route	$t_{1/2}$ (h)	t_{max} (h)	C_{max} (ng/mL)	AUC_{inf} (h*ng/mL)	time above LCC (h)
30	p.o.	4.7	2	240	970	4
100	p.o.	3.9	2.7	1600	5600	8
300	p.o.	3.7	2.7	2900	10000	10

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2019 Feb 19;116(8):2961-2966.

- J Immunother Cancer. 2021 May;9(5):e001335.

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REFERENCES

[1]. Campbell JE, et al. EPZ011989, A Potent, Orally-Available EZH2 Inhibitor with Robust in Vivo Activity. ACS Med Chem Lett. 2015 Mar 4;6(5):491-495.

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