OTS186935 hydrochloride

Cat. No.: HY-122181B

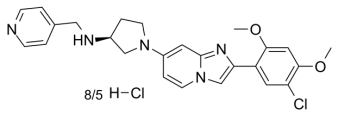
Molecular Formula: $C_{25}H_{26}ClN_5O_2 \cdot {}^{8}/_{5}HCl$

Molecular Weight: 522.31

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

H_2O : 50 mg/mL (95.73 mM; Need ultrasonic)
DMSO : 25 mg/mL (47.86 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9146 mL	9.5729 mL	19.1457 mL
5 mM	0.3829 mL	1.9146 mL	3.8291 mL
10 mM	0.1915 mL	0.9573 mL	1.9146 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (191.46 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.08 mg/mL (3.98 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.98 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

OTS186935 hydrochloride is a potent protein methyltransferase SUV39H2 inhibitor with an IC_{50} of 6.49 nM. OTS186935 hydrochloride shows significant inhibition of tumor growth in mouse xenograft models without any detectable toxicity. OTS193320 hydrochloride regulates the production of γ-H2AX in cancer cells[1].

IC_{50} & Target

IC50: 6.49 nM (SUV39H2)[1]

In Vitro	OTS186935 hydrochloride inhibits A549 cell growth with an IC_{50} of 0.67 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	OTS186935 hydrochloride (10 mg/kg or 25 mg/kg; intravenously; once daily for 14 days) exhibits growth suppressive effects in human cancer cell line derived xenograft models[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female NOD.CB17-Prkdcscid/J mice (bearing MDA-MB-231 cells)[1]
Dosage:	10 mg/kg
Administration:	Intravenously; once daily for 14 days
Result:	Tumor growth inhibition of 42.6% on day 14.

Animal Model:	Female BALB/cAJcl-nu/nu mice (bearing A549 cells)[1]
Dosage:	25 mg/kg
Administration:	Intravenously; once daily for 14 days
Result:	Yielded a tumor growth inhibition of 60.8% without significant body weight loss or toxicity.

CUSTOMER VALIDATION

- Acta Neuropathol. 2022 Sep 7.

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REFERENCES

[1]. Vougiouklakis T, et al. Development of novel SUV39H2 inhibitors that exhibit growth suppressive effects in mouse xenograft models and regulate the phosphorylation of H2AX. Oncotarget. 2018 Aug 7;9(61):31820-31831.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA