DDP-38003 trihydrochloride

Cat. No.: HY-19612B

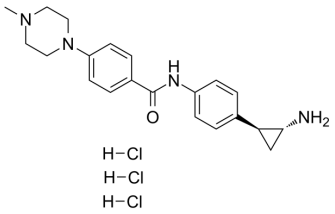
Molecular Formula: $C_{21}H_{29}Cl_3N_4O$

Molecular Weight: 459.84

Target: Histone Demethylase

Pathway: Epigenetics

Storage: 4°C, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (217.47 mM; Need ultrasonic)
H_2O : 50 mg/mL (108.73 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1747 mL	10.8733 mL	21.7467 mL
5 mM	0.4349 mL	2.1747 mL	4.3493 mL
10 mM	0.2175 mL	1.0873 mL	2.1747 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (108.73 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DDP-38003 trihydrochloride is an novel, orally available inhibitor of histone lysine-specific demethylase 1A (KDM1A/LSD1) with an IC_{50} of 84 nM.

IC_{50} & Target

IC50: 84 nM (KDM1A/LSD1)[1]

In Vitro

DDP-38003 inhibits KDM1A with an IC_{50} of 84 nM. DDP-38003 is more active in reducing the colony forming ability and in inducing thedifferentiation of THP-1 cells compared to the 1R, 2S analogue[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	DDP-38003 exhibits in vivo efficacy after oral administration, determining a 62% increased survival in mouse leukemia models with evidence of KDM1A inhibition. The half life of DDP-38003 is 8 h. A significant dose dependent increase of mice survival is obtained by DDP-38003 treatment. The survival rate increases 35% and 62% at the dose of 11.25 and 22.50 mg/kg, respectively. DDP-38003 is a potential oral anticancer agent[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice: CD-1 mice is used in the study. DDP-38003 is dissolved (40% PEG 400 in a 5% glucose solution) and orally administered 3 days per week (Monday, Tuesday and Wednesday) for 3 weeks at the doses of 11.25 mg/kg and 22.5 mg/kg. The treatment started once blast cells are detected in the recipients' peripheral blood (10 days after cell injection). The survival of mice of the different experimental groups is analyzed and represented by a Kaplan-Meier survival plot[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Vianello P, et al. Discovery of a Novel Inhibitor of Histone Lysine-Specific Demethylase 1A (KDM1A/LSD1) as Orally Active Antitumor Agent. J Med Chem. 2016 Feb 25;59(4):1501-17.

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