DDP-38003 dihydrochloride

Cat. No.: HY-19612A

CAS No.: 1831167-98-6

Molecular Formula: $C_{21}H_{28}Cl_2N_4O$

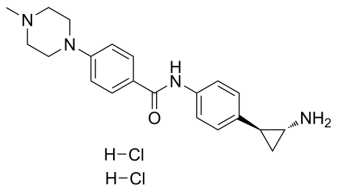
Molecular Weight: 423.38

Target: Histone Demethylase

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 : ≥ 29 mg/mL (68.50 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3619 mL	11.8097 mL	23.6194 mL
5 mM	0.4724 mL	2.3619 mL	4.7239 mL
10 mM	0.2362 mL	1.1810 mL	2.3619 mL

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

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

KDM1/LSD1

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