Product Data Sheet

Product Name: DDP-38003 dihydrochloride
Cat. No.: GC33013

Chemical Properties

Cas. No.	1831167-98-6		
SMILES	[H]Cl.O=C(NC1=CC=C([C@@H]2C[C@H]2N)C=C1)C(C=C3)=CC=C3N4CCN(C)CC4.[H]Cl		
Formula	$C_{21}H_{28}Cl_2N_4O$	M.Wt	423.38
Solubility	DMSO : ≥ 29 mg/mL (68.50 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	DDP-38003 dihydrochloride		

Protocol

Animal experiment:

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].

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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.

Background

DDP-38003 dihydrochloride is an novel, orally available inhibitor of histone lysine-specific demethylase 1A (KDM1A/LSD1) with an IC50 of 84 nM.

DDP-38003 inhibits KDM1A with an IC50 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].

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].

[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.

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