Data Sheet (Cat.No.T22325)

EPZ020411 2HCl

Chemical Properties

CAS No.:	2070015-25-5
Formula:	C25H40Cl2N4O3
Molecular Weight:	515.52
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	EPZ020411 is a selective and potent small molecule PRMT6 inhibitor with an IC50 value of 10 nM.
Targets(IC_{50})	PRMT1: 119 nM PRMT6: 10 nM
In vitro	Treatment with EPZ020411 results in a dose-dependent decrease in H3R2 methylation in A375 human melanoma cells exogenously overexpressing PRMT6(IC50=0.637±0.241 μM). EPZ020411 is over 100-fold selective for PRMT6/8/1 compared to other histone methyltransferases including PRMT3, PRMT4, PRMT5, and PRMT7 in biochemical assays. The compound shows poor permeability in the parallel artificial membrane permeation assay[1].
In vivo	EPZ020411 shows good bioavailability following subcutaneous dosing in rats. Male Sprague-Dawley rats administered EPZ020411 at a single dose of 1 mg/kg by i.v. bolus show a moderate clearance (CL) of 19.7±1.0 mL/min/kg, with a volume of distribution at steady state (Vss) of 11.1±1.6 L/kg, translating to a mean terminal half-life (t1/2) of 8.54±1.43 h. A good bioavailability of 65.6 ± 4.3% is observed following 5 mg/kg s.c. dosing, leading to EPZ020411 unbound blood concentration remaining above the PRMT6 biochemical IC50 for more than 12 h[1].
Cell Research	Cell lines: A375 cells. Concentrations: 0-20 μM. Incubation Time: 48 h. Method: A375 (CRL-1619) cells are cultured in DMEM with 10% (vol/vol) FBS. PRMT6 is cloned into EcoRI and BamHI sites of a pcDNA4 HisMAX_A plasmid. According to procedures recommended by the manufacturer, transfection of his-tagged PRMT6 or vector control is carried out using Lipofectamine LTX and Plus reagent . Cells are seeded at 200,000 cells/well in 6-well plates. The second day, the cells are concurrently transfected and treated with compound in 0.25% DMSO. Cells are incubated in the presence compound at 20 μM and collected after 48 hours treatment.
Animal Research	Animal Models: SD rats. Dosages: 1 mg/kg. Administration: i.v..

Solubility Information

Solubility	DMSO: 100 mg/ml (193.98 mM) Ethanol: 100 mg/ml (193.98 mM) Water: 81 mg/ml (157.12 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.94 mL	9.699 mL	19.398 mL
5 mM	0.388 mL	1.94 mL	3.88 mL
10 mM	0.194 mL	0.97 mL	1.94 mL
50 mM	0.039 mL	0.194 mL	0.388 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Mitchell LH, et al. ACS Med Chem Lett. 2015, 6(6):655-9.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481