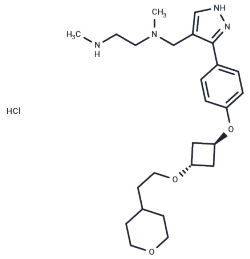
Data Sheet (Cat.No.T22325)

TargetMol

EPZ020411 hydrochloride

Chemical Properties



CAS No. : 2070015-25-5

Formula: C25H39ClN4O3

Molecular Weight: 479.05

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	EPZ020411 hydrochloride is a selective and potent small molecule PRMT6 inhibitor with an IC50 value of 10 nM.
Targets(IC50)	Apoptosis,Histone Methyltransferase
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	H2O: 18 mg/mL (37.57 mM),Sonication and heating to 60℃ are recommended. DMSO: 45 mg/mL (93.94 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.17 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0875 mL	10.4373 mL	20.8746 mL
5 mM	0.4175 mL	2.0875 mL	4.1749 mL
10 mM	0.2087 mL	1.0437 mL	2.0875 mL
50 mM	0.0417 mL	0.2087 mL	0.4175 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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