Data Sheet (Cat.No.T4334)



EPZ020411 2HCl (1700663-41-7(free base))

Chemical Properties

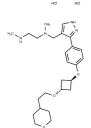
CAS No.:

Formula: C25H40Cl2N4O3

Molecular Weight: 515.51

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	EPZ020411 is an effective and specific small molecule PRMT6 inhibitor (IC50=10 nM).				
Targets(IC50)	Histone Methyltransferase				
In vitro	In A375 human melanoma cells exogenously overexpressing PRMT6, treatment with EPZ020411IC50=0.637 \pm 0.241 μ M) results in a dose-dependent decrease in H3R2 methylation.				
In vivo	Male Sprague-Dawley rats administered a single dose of EPZ020411 (1 mg/kg by i.v. ,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. At dose of EPZ020411(5 mg/kg s.c.) a good bioavailability of 65.6 ± 4.3% observed, resulting on EPZ020411 unbound blood concentration remaining above the PRMT6 biochemical IC50 value for more than 12 h.				
Cell Research	A375 (CRL-1619) cells are incubated in the presence of increasing concentrations of EPZ020411 up to 20 μ M for 48 hours.				
Animal Research	Sprague-Dawley rats are treated with EPZ020411(1 mg/kg i.v.) prepared in saline, pH 6.5.				

Solubility Information

Solubility	Ethanol: 93 mg/mL (180.4 mM),	
	DMSO: 93 mg/mL (180.4 mM),	
	(< 1 mg/ml refers to the product slightly soluble or insoluble)	

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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9398 mL	9.6991 mL	19.3983 mL
5 mM	0.388 mL	1.9398 mL	3.8797 mL
10 mM	0.194 mL	0.9699 mL	1.9398 mL
50 mM	0.0388 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. Please use it as soon as possible.

Reference

Mitchell LH, et al. Aryl Pyrazoles as Potent Inhibitors of Arginine Methyltransferases: Identification of the First PRMT6 ToolCompound. ACS Med Chem Lett. 2015 Apr 6;6(6):655-659.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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