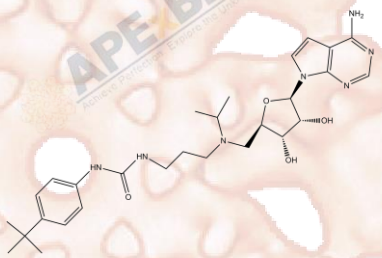
Product Name: EPZ004777
Revision Date: 01/10/2021

Product Data Sheet

EPZ004777



Cat. No.:	A4170
CAS No.:	1338466-77-5
Formula:	C28H41N7O4
M.Wt:	539.67
Synonyms:	
Target:	Chromatin/Epigenetics
Pathway:	Histone Methyltransferase
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾27 mg/mL in DMSO; insoluble in H2O; ⩾94.6 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.8530 mL	9.2649 mL	18.5298 mL
5 mM	0.3706 mL	1.8530 mL	3.7060 mL
10 mM	0.1853 mL	0.9265 mL	1.8530 mL

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

Biological Activity

Shortsummary	DOT1L inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	Human leukaemia cell line MV4;11 and Molm13 cells
Preparation method:	The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	96 h, 10 μM

	Applications:	EPZ004777 is a specific and potent inhibitor of DOT1L with IC50 values of 400 pM in a radionuclide homogeneous assay. It selectively kills mixed lineage leukaemia cells, in which DOT1L interacts with oncogenic MLL fusion protein and is unconventionally localized.
In Vivo	**Animal experiment**	
	Animal models:	Eight-week-old C57BL/6 mice
	Dosage form:	Mice were implanted with osmotic pumps loaded with vehicle(15% ethanol, 50% PEG300, 35% water) or EPZ004777 at 150 mg/ml. One week later, osmotic pumps were replaced and retained for another week.
	Applications:	EPZ004777 is well tolerated and no obvious toxicity is observed in mice. EPZ004777 has both antitumor and pharmacodynamic efficacy in the mouse xenograft model of MLL leukemia.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Yu W, Chory E J, Wernimont A K, et al. Catalytic site remodelling of the DOT1L methyltransferase by selective inhibitors[J]. Nature communications, 2012, 3: 1288.

[2]. Daigle S R, Olhava E J, Therkelsen C A, et al. Selective killing of mixed lineage leukemia cells by a potent small-molecule DOT1L inhibitor[J]. Cancer cell, 2011, 20(1): 53-65.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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