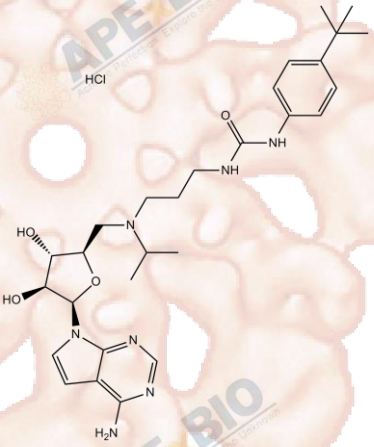
Product Data Sheet

EPZ004777 HCl



Cat. No.:	B1581
CAS No.:	1380316-03-9
Formula:	C28H41N7O4·HCl
M.Wt:	576.13
Synonyms:	
Target:	Chromatin/Epigenetics
Pathway:	Histone Methyltransferase
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾16.5 mg/mL in DMSO; ⩾26.35 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.7357 mL	8.6786 mL	17.3572 mL
5 mM	0.3471 mL	1.7357 mL	3.4714 mL
10 mM	0.1736 mL	0.8679 mL	1.7357 mL

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

Biological Activity

Shortsummary	DOT1L inhibitor,potent and selective
IC_{50} & Target	0.4 nM (DOT1L)

In Vitro

Cell Viability Assay

Cell Line:	MV4-11 cells, MOLM-13 cells, MLL–AF10 and CALM–AF10 transformed bone marrow cells
Preparation method：	This compound is soluble in DMSO. 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:	3, 10, 50 μM for 6-18 days;
	Applications:	EPZ004777 HCl selectively inhibited cellular H3K79 methylation, blocked leukemogenic gene expression, and selectively killed Mixed lineage leukemia (MLL)-rearranged leukemic cells (MV4-11 and MOLM-13 cells) [1]. Moreover, EPZ004777 HCl suppressed the expression of leukemogenic genes including Hoxa cluster genes and Meis1, and selectively inhibited MLL–AF10 and CALM–AF10 transformed cells proliferation [2].
In Vivo	**Animal experiment**	
	Animal models:	Mouse Mixed lineage leukemia (MLL) xenograft model
	Dosage form:	50, 100, or 150 mg/ml; osmotic pump for 14 days
	Applications:	EPZ004777 HCl administration showed antitumor activity and induced the extension of survival in a mouse MLL xenograft model [1]. Moreover, EPZ004777 HCl effectively decreased the spleen-colony-forming ability of MLL–AF10 or CALM–AF10 transformed bone marrow cells in vivo [2].
	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

1Daigle, S. R., Olhava, E. J., Therkelsen, C. A., Majer, C. R., Sneeringer, C. J., Song, J., Johnston, L. D., Scott, M. P., Smith, J. J., Xiao, Y., Jin, L., Kuntz, K. W., Chesworth, R., Moyer, M. P., Bernt, K. M., Tseng, J. C., Kung, A. L., Armstrong, S. A., Copeland, R. A., Richon, V. M. and Pollock, R. M. (2011) Selective killing of mixed lineage leukemia cells by a potent small-molecule DOT1L inhibitor. Cancer Cell. 20, 53-65

2Chen, L., Deshpande, A. J., Banka, D., Bernt, K. M., Dias, S., Buske, C., Olhava, E. J., Daigle, S. R., Richon, V. M., Pollock, R. M. and Armstrong, S. A. (2013) Abrogation of MLL-AF10 and CALM-AF10-mediated transformation through genetic inactivation or pharmacological inhibition of the H3K79 methyltransferase Dot1l. Leukemia. 27, 813-822

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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