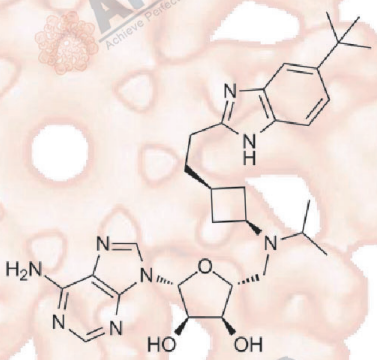
Product Data Sheet

EPZ5676

Cat. No.: A4166
CAS No.: 1380288-87-8
Formula: C30H42N8O3
M.Wt: 562.71
Synonyms:
Target: Chromatin/Epigenetics
Pathway: Histone Methyltransferase
Storage: Store at -20°C



Solvent & Solubility

In Vitro

≥28.15 mg/mL in DMSO; insoluble in H2O; ≥50.3 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	1.7771 mL	8.8856 mL	17.7711 mL
5 mM	0.3554 mL	1.7771 mL	3.5542 mL
10 mM	0.1777 mL	0.8886 mL	1.7771 mL

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

Biological Activity

Shortsummary	DOT1L inhibitor,potent and SAM competitive
IC_{50} & Target	80 pM (Ki) (DOT1L)

In Vitro

Cell Viability Assay

Cell Line:	MV4-11 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:	0.0005 ~ 10 μM; 14 days

	Applications:	EPZ5676 potently inhibited MV4-11 cell proliferation with an IC50 value of 3.5 nM. Antiproliferative activity was realized after 4 days and was most clear after 7 days of EPZ-5676 treatment.
In Vivo	**Animal experiment**	
	Animal models:	Nude rats bearing MV4-11 xenografts
	Dosage form:	35, 67 or 70 mg/kg/day; i.v.; for 21 days
	Applications:	In nude rats bearing MV4-11 xenografts, EPZ5676 caused complete tumor regressions that were sustained well beyond the compound infusion period with no significant weight loss or signs of toxicity.
	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

1. Kim MS, Cho HI, et al. "JIB-04, A Small Molecule Histone Demethylase Inhibitor, Selectively Targets Colorectal Cancer Stem Cells by Inhibiting the Wnt/β-Catenin Signaling Pathway." Sci Rep. 2018 Apr 26;8(1):6611.PMID:29700375

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References

[1]. Daigle SR, Olhava EJ, Therkelsen CA, Basavapathruni A, Jin L, Boriack-Sjodin PA, Allain CJ, Klaus CR, Raimondi A, Scott MP, Waters NJ, Chesworth R, Moyer MP, Copeland RA, Richon VM, Pollock RM. Potent inhibition of DOT1L as treatment of MLL-fusion leukemia. Blood. 2013 Aug 8;122(6):1017-1025.

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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