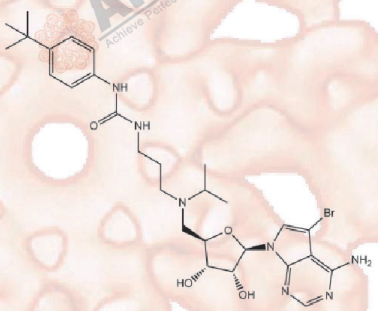
Product Data Sheet

SGC 0946

Cat. No.: A4167
CAS No.: 1561178-17-3
Formula: C28H40BrN7O4
M.Wt: 618.57
Synonyms:
Target: Chromatin/Epigenetics
Pathway: Histone Methyltransferase
Storage: Store at -20°C



Solvent & Solubility

In Vitro

⩾30.95 mg/mL in DMSO; insoluble in H2O; ⩾97.6 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	1.6166 mL	8.0832 mL	16.1663 mL
5 mM	0.3233 mL	1.6166 mL	3.2333 mL
10 mM	0.1617 mL	0.8083 mL	1.6166 mL

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

Biological Activity

Shortsummary	DOT1L inhibitor,highly potent and selective
IC_{50} & Target	0.3 nM (DOT1L)

In Vitro

Cell Viability Assay

Cell Line:	Molm13 MLL and A431 cell lines
Preparation method:	The solubility of this compound in DMSO is >31 mg/ml. 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:	0-10 μM

	Applications:	SGC0946 showed time- and dose-dependent reductions in the H3K79me2 mark in the Molm13 MLL cell line that has the MLL/AF9 translocation. Quantitative assessment of H3K79me2 levels as measured by automated epifluorescence microscopy in MCF10A cells showed a substantially improved DOT1L inhibitory potency of SGC0946 (IC50 8.8±1.6 nM) compared with EPZ004777 (IC50 84±20nM). A similar observation was made in A431 cells, with IC50s of 2.65 nM and 264nM for SGC0946 and EPZ004777, respectively.
In Vivo	**Animal experiment**	
	Applications:	

Product Citations

See more customer validations on www.apexbt.com.

References

[1] Yu W, Chory EJ, Wernimont AK, Tempel W, Scopton A, Federation A, Marineau JJ, Qi J, Barsyte-Lovejoy D, Yi J, Marcellus R, Iacob RE, Engen JR, Griffin C, Aman A, Wienholds E, Li F, Pineda J, Estiu G, Shatseva T, Hajian T, Al-Awar R, Dick JE, Vedadi M, Brown PJ, Arrowsmith CH, Bradner JE, Schapira M. Catalytic site remodelling of the DOT1L methyltransferase by selective inhibitors. Nat Commun. 2012;3:1288.

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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