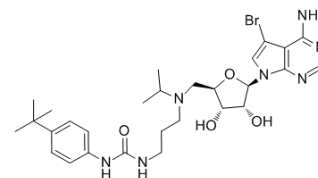


SGC0946

Cat. No.:	HY-15650		
CAS No.:	1561178-17-3		
Molecular Formula:	C ₂₈ H ₄₀ BrN ₇ O ₄		
Molecular Weight:	618.57		
Target:	Histone Methyltransferase		
Pathway:	Epigenetics		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (80.83 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
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.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (4.04 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (4.04 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (4.04 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SGC0946 is a highly potent and selective DOT1L methyltransferase inhibitor with IC₅₀ of 0.3 nM; selectively kill mixed lineage leukaemia cells. IC₅₀ value: 0.3 nM (DOT1L) [1] Target: selective DOT1L inhibitor SGC 0946 is over 100-fold selective for other histone methyltransferases/HMTs. SGC 0946 potently reduces H3K79 dimethylation with IC₅₀ of 2.6 nM in A431 cells, and 8.8 nM in MCF10A cells, which potently and selectively kills cells containing an MLL translocation. SGC 0946 is much more potent than its close analog EPZ004777, and serves as an excellent chemical probe for investigating DOT1L and further development of DOT1L inhibitors for cancer therapy.

CUSTOMER VALIDATION

- Elife. 2020 Oct 1;9:e57858.
- Patent. US20180263995A1.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Yu W, et al. Catalytic site remodelling of the DOT1L methyltransferase by selective inhibitors. Nat Commun. 2012;3:1288. doi: 10.1038/ncomms2304.

Caution: Product has not been fully validated for medical applications. For research use only.

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