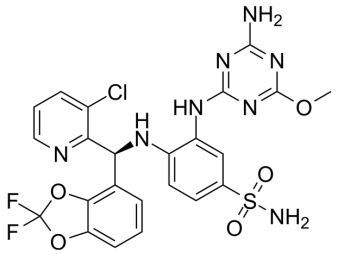
Dot1L-IN-5

Cat. No.:	HY-135128
CAS No.:	2565705-03-3
Molecular Formula:	$C_{23}H_{19}ClF_2N_8O_5S$
Molecular Weight:	592.96
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (421.61 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6865 mL	8.4323 mL	16.8645 mL
	5 mM	0.3373 mL	1.6865 mL	3.3729 mL
	10 mM	0.1686 mL	0.8432 mL	1.6865 mL

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

BIOLOGICAL ACTIVITY

Description

Dot1L-IN-5 is a potent disruptor of telomeric silencing 1-like protein (DOT1L) inhibitor with an IC_{50} of 0.17 nM[1].

IC_{50} & Target

DOT1L
0.17 nM (IC_{50})

In Vitro

Dot1L-IN-5 (Compound 11) is tested in cellular assays to assess the ability to inhibit the dimethylation of H3K79 in HeLa cells ($ED_{50\ H3K79me2\ Elisa}$=2.9 nM) and HOXA9 gene expression in Molm-13 cells ($ED_{50\ HOXA9\ RGA}$=30 nM)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Dot1L-IN-5 (Compound 11; subcutaneous injection; at 75 mg/kg once daily for 20 days) does not lead to tumor growth inhibition in NOD-SCID mice. Dot1L-IN-5 (subcutaneous injection; at 75 mg/kg twice daily for 20 days) leads 73% growth inhibition[1].
While both treatment regimens result in very strong inhibition of global H3K79 dimethylation level in tumor, the efficacious regimen is superior in reducing the mRNA expression of the target genes HOXA9 and MEIS1[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NOD-SCID mice bearing subcutaneous MV4-11 tumor xenografts[1]
Dosage:	75 mg/kg
Administration:	Subcutaneous injection; at 75 mg/kg once or twice daily for 20 days
Result:	Once daily administration did not lead to tumor growth inhibition, 73% growth inhibition was measured in the twice daily treated group.

REFERENCES

[1]. Frédéric Stauffer, et al. New Potent DOT1L Inhibitors for in Vivo Evaluation in Mouse. ACS Med. Chem. Lett. 2019, 10, 12, 1655-1660.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA