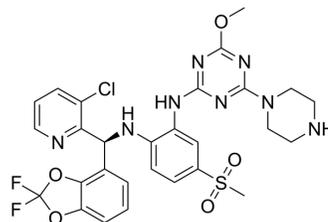


Dot1L-IN-4

Cat. No.:	HY-135127		
CAS No.:	2565705-02-2		
Molecular Formula:	C ₂₈ H ₂₇ ClF ₂ N ₈ O ₃ S		
Molecular Weight:	661.08		
Target:	Histone Methyltransferase		
Pathway:	Epigenetics		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 220 mg/mL (332.79 mM)
 * "≥" means soluble, but saturation unknown.

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	1.5127 mL	7.5634 mL	15.1268 mL
5 mM	0.3025 mL	1.5127 mL	3.0254 mL
10 mM	0.1513 mL	0.7563 mL	1.5127 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: ≥ 2.08 mg/mL (3.15 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: 2.08 mg/mL (3.15 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.08 mg/mL (3.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dot1L-IN-4 is a potent disruptor of telomeric silencing 1-like protein (DOT1L) inhibitor with an IC₅₀ SPA DOT1L of 0.11 nM^[1].

IC₅₀ & Target

DOT1L
 0.11 nM (IC₅₀)

In Vitro

Dot1L-IN-4 (Compound 10) is tested in cellular assays to assess the ability to inhibit the dimethylation of H3K79 in HeLa cells

(ED₅₀ H3K79me2 Elisa=1.7 nM) and HOXA9 gene expression in Molm-13 cells (ED₅₀ HOXA9 RGA=33 nM). Dot1L-IN-4 also inhibits mixed lineage leukemia (MLL) with an IC₅₀ of 99 μM^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Dot1L-IN-4 (Compound 10; 300 mg/kg; p.o.; qd) is not tolerated at such a high dose by tumor xenograft bearing mice, and at a 6-fold reduced dose, the tumor growth as well as the HOXA9 reporter gene mRNA are reduced only by less than half as compared to control animals^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male mice (C57BL/6) bearing subcutaneous MV4-11 tumor xenografts ^[1]
Dosage:	300 mg/kg (Pharmacokinetic Analysis)
Administration:	P.o.
Result:	Was not tolerated at such a high dose by tumor xenograft bearing mice, and at a 6-fold reduced dose, the tumor growth as well as the HOXA9 reporter gene mRNA were reduced only by less than half as compared to control animals.

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.

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

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