Dot1L-IN-1 TFA

Cat. No.: HY-101520A

Molecular Formula: $C_{34}H_{37}ClF_3N_9O_4S$

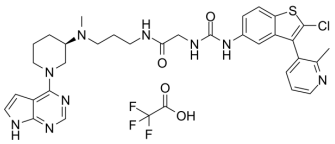
Molecular Weight: 760.23

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 (65.77 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3154 mL	6.5770 mL	13.1539 mL
	5 mM	0.2631 mL	1.3154 mL	2.6308 mL
	10 mM	0.1315 mL	0.6577 mL	1.3154 mL

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

BIOLOGICAL ACTIVITY

Description

Dot1L-IN-1 TFA is a highly potent and selective Dot1L inhibitor with a K_i of 2 pM and an IC_{50} of <0.1 nM. Dot1L-IN-1 TFA potently suppresses H3K79 dimethylation (IC_{50}=3 nM), as well as the activity of the HoxA9 promoter (IC_{50}=17 nM) in HeLa and Molm-13 cells, respectively[1].

IC_{50} & Target

DOT1L

In Vitro

Dot1L-IN-1 (analogue 7) TFA effectively inhibits proliferation of the human MLL-rearranged leukemia cell line MV4-11 carrying the oncogenic MLL-AF4 fusion (IC_{50}=5 nM)[1].

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

REFERENCES

[1]. Möbitz H, et al. Discovery of Potent, Selective, and Structurally Novel Dot1L Inhibitors by a Fragment Linking Approach. ACS Med Chem Lett. 2017 Feb 14;8(3):338-343.

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

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