DDO-2093 dihydrochloride

Cat. No.: HY-132233A

Molecular Formula: $C_{29}H_{39}Cl_3FN_9O_3$

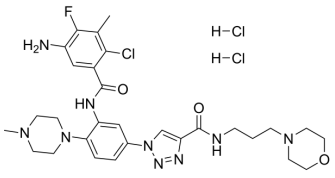
Molecular Weight: 687.04

Target: Histone Methyltransferase

Pathway: Epigenetics

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (145.55 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4555 mL	7.2776 mL	14.5552 mL
	5 mM	0.2911 mL	1.4555 mL	2.9110 mL
	10 mM	0.1456 mL	0.7278 mL	1.4555 mL

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

BIOLOGICAL ACTIVITY

Description

DDO-2093 dihydrochloride is a potent MLL1-WDR5 protein-protein interaction inhibitor (IC_{50}=8.6 nM; K_d=11.6 nM) with antitumor activity. DDO-2093 dihydrochloride selectively inhibits the catalytic activity of MLL complex[1].

In Vitro

DDO-2093 (5 μM; pretreated 7 days) dihydrochloride inhibits MLL-fusion protein dependent genes expression (HOXA9 and Meis1)[1].

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

Western Blot Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	1, 2.5, 5, and 10 μM
Incubation Time:	7 days
Result:	Dose-dependently reduced the mono-, di-, and trimethylation of H3K4.

In Vivo

DDO-2093 (20-80 mg/kg; i.p.; every other day for 21 days) dihydrochloride significantly suppresses the tumor size and weight

in a dose-dependent manner[1].

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Animal Model:	Female nude mice (MV4-11 human leukemia cancer xenografts)[1]
Dosage:	20, 40, and 80 mg/kg
Administration:	Intraperitoneal injection; every other day for 21 days
Result:	Had the tumor volume growth inhibition (GI) values were calculated to be 13.7%, 37.6% and 63.9% with doses of 20 mg/kg, 40 mg/kg and 80 mg/kg, respectively.

REFERENCES

[1]. Chen W, et al. Discovery of a potent MLL1 and WDR5 protein-protein interaction inhibitor with in vivo antitumor activity [published online ahead of print, 2021 Jun 28]. Eur J Med Chem. 2021;223:113677.

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