PRMT5-IN-33

Cat. No.: HY-162230

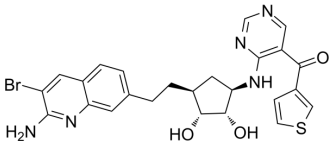
Molecular Formula: $C_{25}H_{24}BrN_5O_3S$

Molecular Weight: 554.46

Target: Apoptosis; Histone Methyltransferase

Pathway: Apoptosis; Epigenetics

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PRMT5-IN-33 (compound A8) is a selective, SAM-competitve PRMT5 inhibitors with IC_{50} of 10.9 nM. PRMT5-IN-33 induces apoptosis and inhibits proliferation of cells Z-138 and MOLM-13. PRMT5-IN-33 exhibits an antitumor activity[1].

IC_{50} & Target

PRMT5	PRMT1
10.9 nM (IC_{50})	6.89 μM (IC_{50})

In Vitro

PRMT5-IN-33 inhibits proliferation of cells Z-138 and MOLM-13, with IC_{50}s of 123.2 nM and 248.6 nM, respectively[1].
PRMT5-IN-33 decreases levels of arginine symmetrical dimethylation (sDMA) in a dose-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Z-138 and MOLM-13
Concentration:	50-500 nM
Incubation Time:	48 h
Result:	Inhibited expression of sDMA.

In Vivo

PRMT5-IN-33 (60-120 mg/kg, i.g.,twice a day for 13 days) inhibits the MOLM-13 xenograft tumor growth in a dose-dependent manner in BALB/c mice without a body weight loss[1].
PRMT5-IN-33 (p.o., 10 mg/kg, single dosage) reveals a pharmacokinetic profils in ICR mice[1]:

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Pharmacokinetic Analysis of PRMT5-IN-33 in ICR mice[1]
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

route	Dose (mg/kg)	T_{max} (h)	C_{max} (ng/mL)	AUC_{0-t} (ng·h/mL)	AUC_{0-inf} (ng·h/mL)	$T_{1/2}$ (h)
po	10	0.25	6870	6730	6760	1.17

Animal Model:	MOLM-13 xenograft tumor in nude BALB/c mice[1]
Dosage:	60-120 mg/kg
Administration:	intragastrical administration (i.g.), twice a day for 13 days
Result:	Exhibited a tumor growth inhibition rate (TGI) of 33% (60 mg/kg) and 52% (120 mg/kg)

REFERENCES

[1]. Chen Y, et al., Structure-based discovery of a new series of nucleoside-derived ring-opening PRMT5 inhibitors. Eur J Med Chem. 2024 Jan 28;267:116171.

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