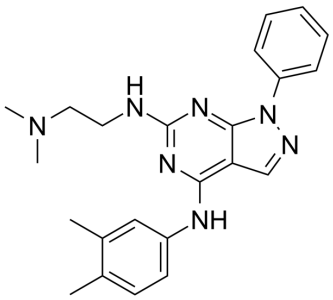
PR5-LL-CM01

Cat. No.:	HY-109963
CAS No.:	1005307-86-7
Molecular Formula:	$C_{23}H_{27}N_7$
Molecular Weight:	401.51
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 11.11 mg/mL (27.67 mM; ultrasonic and warming and adjust pH to 3 with HCl and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4906 mL	12.4530 mL	24.9060 mL
	5 mM	0.4981 mL	2.4906 mL	4.9812 mL
	10 mM	0.2491 mL	1.2453 mL	2.4906 mL

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

BIOLOGICAL ACTIVITY

Description

PR5-LL-CM01 is a potent protein arginine methyltransferase 5 (PRMT5) inhibitor (IC_{50}= 7.5 μM). Anti-tumor activies[1].

In Vitro

PR5-LL-CM01 has a range of IC_{50} at 2-4 μM in PDAC cells (PANC1, MiaPaCa2 and AsPC1, and a range of IC_{50} at 10-11 μM in CRC cells (HT29, HCT116 and DLD1). PR5-LL-CM01 has higher efficacy to specifically inhibit cancer cells and demonstrated low toxicity in normal cells. PR5-LL-CM01 strongly inhibited colony forming ability in both PANC1 and HT29 cells[1].
PR5-LL-CM01 inhibits NF-κB activation and its target gene expression in PDAC and CRC cells[1].
PR5-LL-CM01 (0-15 μM) dramatically decreases TNFα and IL8 expression in both PANC1 and HT29 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PR5-LL-CM01 (20mg/kg; i.p.; 3 times per week) displays significant anti-tumor effect[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-8 weeks old Male NSG mice (bearing PANC1 or HT29 cells)[1]
Dosage:	20 mg/kg (drug stock dissolved in 1:1 Cremophor:ethanol solution)

Administration:	Intraperitoneally 3 times per week; 32 days (PANC1 model); 10 days (HT29 model)
Result:	Led to significant tumor inhibition in both PANC1 and HT29 xenografted mice. Did not visibly affect the mice body weight.

REFERENCES

[1]. Prabhu L, et al. Adapting AlphaLISA high throughput screen to discover a novel small-molecule inhibitor targeting protein arginine methyltransferase 5 in pancreatic and colorectal cancers. Oncotarget. 2017;8(25):39963-39977.

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