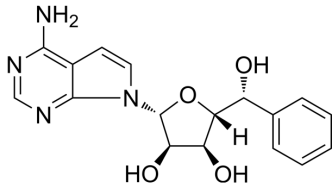
LLY-283

Cat. No.:	HY-107777
CAS No.:	2040291-27-6
Molecular Formula:	$C_{17}H_{18}N_4O_4$
Molecular Weight:	342.35
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 : 125 mg/mL (365.12 mM; Need ultrasonic)
H_2O : 3.57 mg/mL (10.43 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9210 mL	14.6049 mL	29.2099 mL
	5 mM	0.5842 mL	2.9210 mL	5.8420 mL
	10 mM	0.2921 mL	1.4605 mL	2.9210 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.08 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LLY-283 is a potent, selective and oral protein arginine methyltransferase 5 (PRMT5) inhibitor, with an IC_{50} of 22 nM and a K_d of 6 nM for PRMT5:MEP50 complex, and shows antitumor activity.

IC_{50} & Target

PRMT5

In Vitro

LLY-283 is a potent, oral and selective arginine methyltransferase 5 (PRMT5) inhibitor, with an IC_{50} of 22 nM in vitro and 25

	nM in cells, as well as a K_d of 6 nM for PRMT5:MEP50 complex in vitro; LLY-283 inhibits the proliferation of A375 cell with an IC_{50} of 46 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	LLY-283 (20 mg/kg; p.o., QD (once a day)) causes a significant inhibition on tumor growth in mice bearing A375 cells after treatment for 28 days[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2023 Jan 6;14(1):97.
- Neurosci Lett. 2022 Mar 9;136576.

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REFERENCES

[1]. Zahid Q. Bonday, et al. LLY-283, a Potent and Selective Inhibitor of Arginine Methyltransferase 5, PRMT5, with Antitumor Activity. ACS Med. Chem. Lett., 2018, 9 (7), pp 612-617.

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