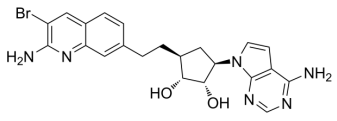
Onametostat

Cat. No.:	HY-101564
CAS No.:	2086772-26-9
Molecular Formula:	$C_{22}H_{23}BrN_6O_2$
Molecular Weight:	483.36
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 (258.61 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0689 mL	10.3443 mL	20.6885 mL
5 mM	0.4138 mL	2.0689 mL	4.1377 mL
10 mM	0.2069 mL	1.0344 mL	2.0689 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 (4.30 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.30 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Onametostat (JNJ-64619178) is a selective, orally active and pseudo-irreversible protein arginine methyltransferase 5 (PRMT5) inhibitor with an IC_{50} of 0.14 nM. Onametostat has potent activity in lung cancer[1][2].

IC_{50} & Target

PRMT5

In Vitro

Onametostat binds simultaneously to the S-adenosylmethionine (SAM)- and protein substrate- binding pockets of the

	PRMT5/MEP50 complex with a pseudo-irreversible mode-of-action. Onametostat shows potent and broad inhibition of cellular growth[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oral administration of Onametostat results in efficient inhibition of dimethylation of SMD1/3 proteins, components of the splicing machinery and direct substrates of the methylosome, in several non-small cell lung cancer and small cell lung cancer? cancer mouse xenograft models[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.
- Oncogene. 2023 Dec 4.
- University of Munich. Fakultät für Medizin. 2022 Oct.

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REFERENCES

[1]. Tongfei Wu, et al. Abstract 4859: JNJ-64619178, a selective and pseudo-irreversible PRMT5 inhibitor with potent in vitro and in vivo activity, demonstrated in several lung cancer models.

[2]. Tao H, et al. Discovery of Novel PRMT5 Inhibitors by Virtual Screening and Biological Evaluations. Chem Pharm Bull (Tokyo). 2019;67(4):382-388.

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