Proteins

Product Data Sheet

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

 $4^{\circ}C$ 2 years

-80°C In solvent 2 years

> -20°C 1 year

| H ₂ N N | \sim | >- N | NH |
|--------------------|--------|----------------|----|
| ŀ | HOW | МÔ | N |

SOLVENT & SOLUBILITY

In Vitro

DMSO: 125 mg/mL (258.61 mM; Need ultrasonic)

H₂O: < 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.
- bioRxiv. 2024 Feb 21.
- 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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