Proteins

Inhibitors

UNC0646

Cat. No.: HY-13807 CAS No.: 1320288-17-2 Molecular Formula: $C_{36}H_{59}N_{7}O_{2}$ Molecular Weight: 621.9

Target: Histone Methyltransferase

Pathway: **Epigenetics**

Powder -20°C Storage: 3 years

 $4^{\circ}C$ 2 years

In solvent -80°C 2 years

> -20°C 1 year

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Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DCM: \geq 50 mg/mL (80.40 mM)

DMSO: 33.33 mg/mL (53.59 mM; Need ultrasonic) * "≥" means soluble, but saturation unknown.

	Solvent Mass Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	1.6080 mL	8.0399 mL	16.0798 mL
	5 mM	0.3216 mL	1.6080 mL	3.2160 mL
	10 mM	0.1608 mL	0.8040 mL	1.6080 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: ≥ 4.55 mg/mL (7.32 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.02 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

UNC0646 is a potent and selective histone methyltransferase G9a inhibitor with an IC₅₀ of 6 nM. UNC0646 is also a potent GLP inhibitor (IC50 <15 nM) and highly selective for G9a/GLP over SETD7, SUV39H2, SETD8 and PRMT3. UNC0646 reduces H3K9me2 levels in MDA-MB-231 cells with an IC_{50} of 26 nM^[1].

IC₅₀ & Target

G9a

GLP

	6 nM (IC ₅₀)	<15 nM (IC ₅₀)
In Vitro	UNC0646 (Compound 6) has high cellular potency and excellent separation of functional potency versus cell toxicity in a variety of cell lines. UNC0646 is highly potent in reducing H3K9me2 levels and has low cell toxicity. UNC0646 reduces H3K9me2 levels with ICW IC ₅₀ values of 26 nM, 10 nM, 12 nM, 14 nM, 68 nM, 86 nM and 10 nM in MDA-MB-231, MCF7, PC3, 22RV1, HCT116 wt, HCT 116 p53 ^{-/-} and IMR90 cell lines, respectively ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

CUSTOMER VALIDATION

• Cell Death Dis. 2022 Aug 17;13(8):717.

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REFERENCES

[1]. Liu F, et al. Optimization of cellular activity of G9a inhibitors 7-aminoalkoxy-quinazolines. J Med Chem. 2011 Sep 8;54(17):6139-50.

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

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