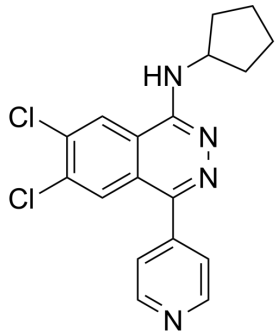
A-196

Cat. No.:	HY-100201
CAS No.:	1982372-88-2
Molecular Formula:	$C_{18}H_{16}Cl_2N_4$
Molecular Weight:	359.25
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 : 12.5 mg/mL (34.79 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	2.7836 mL	13.9179 mL	27.8358 mL
5 mM	0.5567 mL	2.7836 mL	5.5672 mL
10 mM	0.2784 mL	1.3918 mL	2.7836 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: ≥ 1 mg/mL (2.78 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1 mg/mL (2.78 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (2.78 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-196 is a potent and selective inhibitor of SUV420H1 and SUV420H2 with IC_{50} values of 25 nM and 144 nM, respectively. A-196 inhibits SUV4-20 biochemically in a substrate-competitive manner. A-196 represents a first-in-class chemical probe of SUV4-20 to investigate the role of histone methyltransferases in genomic integrity[1].

IC_{50} & Target

IC50: 25 nM (SUV420H1) and 144 nM (SUV420H2)[1].

In Vitro

A-196 (0-5 μM; 48 hours; U2OS cells) treatment results in an increase in H4K20me1 (EC_{50} value of 735 nM) and a decrease in both H4K20me2 and H4K20me3 (EC_{50} values of 262 and 370 nM, respectively)[1].
A-196 (10 μM; 72 hours; Wild-type, Suv4-20h double knockout and inhibitortreated mouse embryonic fibroblast cells) inhibits both SUV4-20 enzymes in cells in multiple tissue types without overt toxicity[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	U2OS cells
Concentration:	0 μM, 0.075 μM, 0.15 μM, 0.3 μM, 0.6 μM, 1.25 μM, 2.5 μM, 5 μM
Incubation Time:	48 hours
Result:	Increased in H4K20me1 and decreased in both H4K20me2 and H4K20me3.

CUSTOMER VALIDATION

- PLoS Pathog. 2020 Mar 24;16(3):e1008429.
- Mol Carcinog. 2023 May 5.
- Biochem Biophys Res Commun. 2023 Apr 23.
- bioRxiv. 2023 Apr 3.

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REFERENCES

[1]. Bromberg KD, et al. The SUV4-20 inhibitor A-196 verifies a role for epigenetics in genomic integrity. Nat Chem Biol. 2017 Mar;13(3):317-324.

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