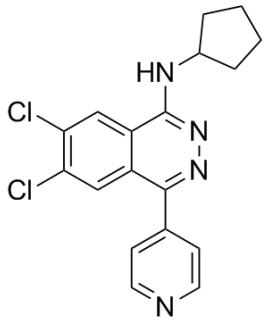
A-196

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 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 31 mg/mL (**86.29 mM**)
H_2O : < 0.1 mg/mL (insoluble)
* "≥" means soluble, but saturation unknown.

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]. **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.

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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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