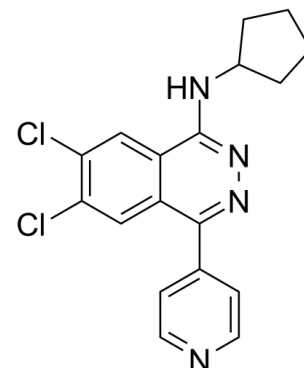


Data Sheet

Product Name:	A-196
Cat. No.:	CS-5613
CAS No.:	1982372-88-2
Molecular Formula:	C ₁₈ H ₁₆ Cl ₂ N ₄
Molecular Weight:	359.25
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Solubility:	DMSO : ≥ 31 mg/mL (86.29 mM); H ₂ O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

A-196 is a potent and selective inhibitor of **SUV420H1** and **SUV420H2** with **IC₅₀** 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]. **IC₅₀ & 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 (**EC₅₀** value of 735 nM) and a decrease in both H4K20me2 and H4K20me3 (**EC₅₀** values of 262 and 370 nM, respectively)^[1].

A-196 (10 μM; 72 hours; Wild-type, Suv4-20h double knockout and inhibitor-treated mouse embryonic fibroblast cells) inhibits both SUV4-20 enzymes in cells in multiple tissue types without overt toxicity^[1].

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.

CAIndexNames:

6,7-dichloro-N-cyclopentyl-4-(pyridin-4-yl)phthalazin-1-amine

SMILES:

C1C=C(C)C=C(C(C2=CC=NC=C2)=NN=C3NC4CCCC4)C3=C1

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

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