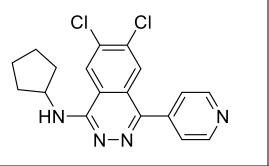
# **Product data sheet**



MedKoo Cat#: 407272			
Name: A-196			
CAS#: 1982372-88-2			
Chemical Formula: C <sub>18</sub> H <sub>16</sub> Cl <sub>2</sub> N <sub>4</sub>			
Exact Mass: 358.0752			
Molecular Weight: 359.25			
Product supplied as:	Powder		
Purity (by HPLC):	$\geq 98\%$		
Shipping conditions	Ambient temperature		
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.		
-	In solvent: -80°C 3 months; -20°C 2 weeks.	1	



# 1. Product description:

A-196 is the first potent and selective chemical probe for SUV420H1 and SUV420H2. The in vitro activity of A-196 includes inhibition of SUV420H1 with IC50 = 25 nM and SUV420H2 with IC50 = 144 nM for methylation of H4K20me and greater than 100-fold selectivity over other histone methyltransferases and non-epigenetic targets. In cell assays, A-196 inhibits the di- and trimethylation of H4K20me in multiple cell lines with IC50 < 1  $\mu$ M.

#### 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

#### 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	10	27.84
DMSO	10	27.84
Ethanol	2	5.57

# 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.78 mL	13.92 mL	27.84 mL
5 mM	0.56 mL	2.78 mL	5.57 mL
10 mM	0.28 mL	1.39 mL	2.78 mL
50 mM	0.06 mL	0.28 mL	0.56 mL

# 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

#### **6. Recommended literature which reported protocols for in vitro and in vivo study** In vitro study

 Bromberg KD, Mitchell TR, Upadhyay AK, Jakob CG, Jhala MA, Comess KM, Lasko LM, Li C, Tuzon CT, Dai Y, Li F, Eram MS, Nuber A, Soni NB, Manaves V, Algire MA, Sweis RF, Torrent M, Schotta G, Sun C, Michaelides MR, Shoemaker AR, Arrowsmith CH, Brown PJ, Santhakumar V, Martin A, Rice JC, Chiang GG, Vedadi M, Barsyte-Lovejoy D, Pappano WN. The SUV4-20 inhibitor A-196 verifies a role for epigenetics in genomic integrity. Nat Chem Biol. 2017 Mar;13(3):317-324. doi: 10.1038/nchembio.2282. Epub 2017 Jan 23. PMID: 28114273.

In vivo study

To be determined

# 7. Bioactivity

Biological target:

# **Product data sheet**



A-196 is a selective inhibitor of SUV420H1 and SUV420H2 (IC50s = 25 and 144 nM, respectively) that is more than 100-fold selective over other histone methyltransferases and non-epigenetic targets. A-196 has been shown to inhibit the di- and tri-methylation of lysine 20 of histone H4 (H4K20me) in multiple cell lines with IC50 values less than 1  $\mu$ M.

In vitro activity

A-196 represents a first-in-class chemical probe of SUV4-20 to investigate the role of histone methyltransferases in genomic integrity. A-196 induced a global decrease in H4K20me2 and H4K20me3 and a concomitant increase in H4K20me1. A-196 inhibited 53BP1 foci formation upon ionizing radiation and reduced NHEJ-mediated DNA-break repair but did not affect homology-directed repair. These results demonstrate the role of SUV4-20 enzymatic activity in H4K20 methylation and DNA repair.

Reference: Nat Chem Biol. 2017 Mar;13(3):317-324. https://pubmed.ncbi.nlm.nih.gov/28114273/

In vivo activity

To be determined

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.