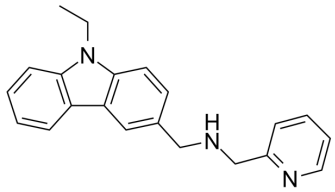
CMP-5

Cat. No.:	HY-120137
CAS No.:	880813-42-3
Molecular Formula:	$C_{21}H_{21}N_3$
Molecular Weight:	315.41
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Pure form -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (396.31 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1705 mL	15.8524 mL	31.7048 mL
5 mM	0.6341 mL	3.1705 mL	6.3410 mL
10 mM	0.3170 mL	1.5852 mL	3.1705 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: ≥ 6.25 mg/mL (19.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6.25 mg/mL (19.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CMP-5 is a potent, specific, and selective PRMT5 inhibitor, while displays no activity against PRMT1, PRMT4, and PRMT7 enzymes. CMP-5 selectively blocks S2Me-H4R3 by inhibiting PRMT5 methyltransferase activity on histone preparations. CMP-5 prevents Epstein-Barr virus (EBV)-driven B-lymphocyte transformation but leaving normal B cells unaffected[1][2].

IC_{50} & Target

IC50: 3.7 μM (mTh1 cells), 9.2 μM (mTh2 cells)
IC50: 26.9 μM (hTh1 cells), 31.6 μM (hTh2 cells)[1]

In Vitro

CMP-5 (0-100 μM; 24-72 hours) is selectively toxic to lymphoma cells, but shows a limited toxicity to normal resting B lymphocytes even after prolonged incubation[1].
CMP-5 (40 μM; 24 hours) decreases p-BTK and pY(416)SRC expression in 60A cells when it compares to the DMSO-treated

group[1].

CMP-5 (0-40 μM; 24 hours) preferentially suppresses the proliferation of human Th1 cells over Th2 cells (43 versus 9% inhibition, respectively). The sensitivity of Th1 cells over Th2 cells to PRMT5 inhibition is different, the IC_{50} values are 26.9 μM and 31.6 μM in human Th1 cells and Th2 cells, respectively[1].

CMP-5 (25 μM; 24 hours) alone inhibits mouse Th1 cell proliferation by 91%, when added different doses IL-2, IL-2 enhances proliferation and reaches a peak at 5 ng/ml[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	60A cells
Concentration:	40 μM
Incubation Time:	24 hours
Result:	Inhibited p-BTK and pY(416)SRC protein level.

Cell Viability Assay[1]

Cell Line:	Human Th1 cells and Th2 cells
Concentration:	25 μM
Incubation Time:	24 hours
Result:	Inhibited mouse Th1 cell proliferation, but addition of IL-2 dose-dependently increased cell proliferation.

REFERENCES

[1]. Alinari L, et al. Selective inhibition of protein arginine methyltransferase 5 blocks initiation and maintenance of B-cell transformation.Blood. 2015 Apr 16;125(16):2530-43.

[2]. Webb LM, et al. PRMT5-Selective Inhibitors Suppress Inflammatory T Cell Responses and Experimental Autoimmune Encephalomyelitis. J Immunol. 2017 Feb 15;198(4):1439-1451.

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