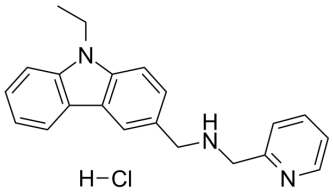
CMP-5 hydrochloride

Cat. No.:	HY-113846
CAS No.:	1030021-40-9
Molecular Formula:	$C_{21}H_{22}ClN_3$
Molecular Weight:	351.87
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CMP-5 hydrochloride is a potent, specific, and selective PRMT5 inhibitor, while displays no activity against PRMT1, PRMT4, and PRMT7 enzymes. CMP-5 hydrochloride selectively blocks S2Me-H4R3 by inhibiting PRMT5 methyltransferase activity on histone preparations. CMP-5 hydrochloride prevents 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) 26.9 μM (hTh1 cells), 36.1 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.

Cell Proliferation 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 increases cell proliferation.

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.

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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