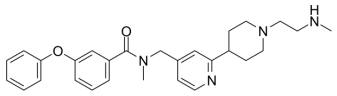
TP-064

Cat. No.:	HY-114965
CAS No.:	2080306-20-1
Molecular Formula:	$C_{28}H_{34}N_4O_2$
Molecular Weight:	458.6
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 : 125 mg/mL (272.57 mM; ultrasonic and warming and heat to 60°C)

H_2O : ≥ 50 mg/mL (109.03 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1805 mL	10.9027 mL	21.8055 mL
	5 mM	0.4361 mL	2.1805 mL	4.3611 mL
	10 mM	0.2181 mL	1.0903 mL	2.1805 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: ≥ 2.08 mg/mL (4.54 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.54 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TP-064 is a potent and selective proteinarginine methyltransferase 4 (PRMT4; CARM1) inhibitor (IC_{50} <10 nM). TP-064 inhibits dimethylation of BAF155 (IC_{50} of 340 nM) and MED12 (IC_{50} of 43 nM). TP-064 is inactive against the other family members except for PRMT6 (IC_{50} of 1.3 μM). TP-064 has anticancer activities[1].

IC_{50} & Target	PRMT4	PRMT6

	<10 nM (IC_{50})	1300 μM (IC_{50})

In Vitro

TP-064 (1 μM; 72 hours) treatment reduces the proportion of NCI-H929 cells in S and G2/M phases while increasing the G1 phase fraction[1].

TP-064 (0.03-3 μM; 72 hours) treatment reduces dimethyl-BAF155 level in a dose-dependent manner in both TP-064-sensitive and -insensitive cells[1].

TP-064 (10 nM-10 μM; 6 days) treatment inhibits the growth of NCI-H929, RPMI8226, and MM.1R cells in a dose-dependent manner, but had no effect on acute myeloid leukemia, colon cancer, or lung cancer cell lines[1].

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

Cell Cycle Analysis[1]

Cell Line:	NCI-H929 cells
Concentration:	1 μM
Incubation Time:	72 hours
Result:	Induced G1 cell cycle arrest in NCI-H929 cells.

Western Blot Analysis[1]

Cell Line:	NCI-H929, KMS-27 and U266B1 cells
Concentration:	0.03 μM, 0.1 μM, 0.3 μM, 1 μM, 3 μM
Incubation Time:	72 hours
Result:	Dimethyl-BAF155 level was reduced.

In Vivo

TP-064 (10 mg/kg; i.p.; 3 times in 5 days) induces peritonitis-associated neutrophilia in C57BL/6 mice[2].

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

CUSTOMER VALIDATION

- Cell Death Differ. 2022 Oct;29(10):1982-1995.

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REFERENCES

[1]. Kazuhide Nakayama, et al. TP-064, a potent and selective small molecule inhibitor of PRMT4 for multiple myeloma. Oncotarget. 2018 Apr 6;9(26):18480-18493.

[2]. Yiheng Zhang, et al. PRMT4 inhibitor TP-064 inhibits the pro-inflammatory macrophage lipopolysaccharide response in vitro and ex vivo and induces peritonitis-associated neutrophilia in vivo. Biochim Biophys Acta Mol Basis Dis. 2021 Jul 24;1867(11):166212.

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