# TP-064

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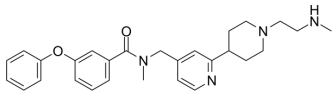
| **Cat. No.:** | HY-114965 |
| **CAS No.:** | 2080306-20-1 |
| **Molecular Formula:** | $C_{28}H_{34}N_4O_2$ |
| **Molecular Weight:** | 458.6 |
| **Target:** | Histone Methyltransferase |
| **Pathway:** | Epigenetics |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |



## 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]

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| 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]

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| 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].

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

## 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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