

Product Datasheet

EPZ005687

Catalog No. **BP-02303** · CAS **1396772-26-1** · Form **free base** · Physical state **solid** · Color **off-white to yellow** · Version **1.0** · Revision **2026-09-10**

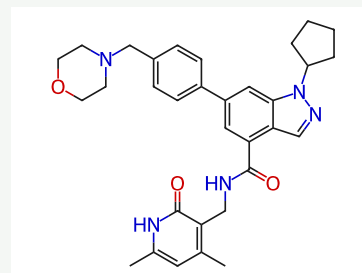
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Target Histone Methyltransferase	Research area Cancer
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Molecular formula **C₃₂H₃₇N₅O₃**

Molecular weight **539.6679**

STRUCTURE



DESCRIPTION

EPZ005687 is a potent and selective inhibitor of EZH2 with K_i of 24 nM, and has 50-fold selectivity against EZH1 and 500-fold selectivity against 15 other protein methyltransferases.

BIOLOGICAL ACTIVITY

In Vitro

EPZ005687 shows concentration-dependent inhibition of PRC2 enzymatic activity with an IC_{50} value of 54 ± 5 nM. EPZ005687 specifically inhibits H3K27 methylation in lymphoma cells. EPZ005687 has a notable effect on proliferation of the EZH2Y641F-bearing cell line. EPZ005687 decreases proliferation in mutant but not wild-type EZH2 lymphoma cells[1]. EPZ005687 (0.5, 1, 5 and 10 μ M) induces an obvious apoptosis of U937 cells in a dose-dependent manner. EPZ005687 inhibits obviously the proliferation of U937 cells but has weak effect on the proliferation of NBMCD34+ cells. EPZ005687 induces G1 phase blocking and decreases the percentage of cells in S phase in U937 cells. In addition, EPZ005687 produces obviously depletion of H3K27 methylation in U937 cells, but hardly has effect on the H3K27 methylation of NBMCD34+ cells.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Knutson SK, et al. A selective inhibitor of EZH2 blocks H3K27 methylation and kills mutant lymphoma cells. Nat Chem Biol. 2012;8(11):890-6.

[2]. Tang SH, et al. Effects of H3K27 methylation inhibitor EPZ005687 on apoptosis, proliferation and cell cycle of U937 cells and normal CD34 positive cells. Zhongguo Shi Yan Xue Ye Xue Za Zhi. 2014 Dec;22(6):1561-6.

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