



EPZ005687

Catalog No: tcsc1215

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Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1396772-26-1

Formula:

 $C_{32}H_{37}N_5O_3$

Pathway:

Epigenetics; Epigenetics

Target:

Histone Methyltransferase; Epigenetic Reader Domain

Purity / Grade:

>98%

Solubility:

DMSO: 9.4 mg/mL (17.42 mM; Need ultrasonic and warming)

Observed Molecular Weight:

539.67

Product 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.

IC50 & Target: Ki: 24 nM (EZH2)[1]

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^[2].

All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!