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# EPZ-5676

产品编号: D50793

CAS: 1380288-87-8

分子式: C30H42N8O3

纯度: ≥98%

InChi: InChI=1S/C30H42N8O3/c1-16(2)37(13-22-25(39)26(40)29(41-22)38-15-34-24-27(31)32-14-33-28(24)38)19-10-17(11-19)6-9-23-35-20-8-7-18(30(3,4)5)12-21(20)36-23/h7-8,12,14-17,19,22,25-26,29,39-40H,6,9-11,13H2,1-5H3,(H,35,36)(H2,31,32,33)/t17?,19?,22-,25-,26-,29-/m1/s1

InChi Key: LXFOLMYKSYSZQS-XKHGBIBOSA-N

Smiles: CC(C)N(C[C@H]1O[C@H]([C@H](O)[C@@H]1O)N1C=NC2C1=NC=NC=2N)C1CC(C1)CCC1NC2=CC=C(C=C2N=1)C(C)(C)C

外观: 固体粉末

作用通路: Histone Methyltransferase

溶解性: DMSO up to 50 mM

保存条件: Store in dry, dark place for one year.

产品介绍: EPZ-5676 is a highly potent and selective inhibitor of DOT1L methyltransferase with Ki of 70 pM, selectively blocking the binding of the cofactor, S-adenosylmethionine. It inhibits proliferation of MLL-AF4 rearranged cell line MV4-11 with an IC50 of 9 nM. It reduces H3K79 dimethylation with a cellular IC50 of 2.6 nM in MV4-11 cells. EPZ-5676 has over 10, 000-fold selectivity against other HMTs. Its superior potency is associated with its increased enzyme residence time and prolonged cellular effects after washout. It can reduce H3K79 methylation in all cells, but only kill cells with MLL rearrangement, making it a good drug candidate for cancer therapy. Now EPZ-5676 is in phase I clinical trials for advanced hematologic malignancies, including acute Leukemia with rearrangement of the MLL gene.