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SGC0946

产品编号: D50774

CAS: 1561178-17-3

分子式: C28H40BrN7O4

纯度: ≥98%

InChi: InChI=1S/C28H40BrN7O4/c1-16(2)35(12-6-11-31-27(39)34-18-9-7-17(8-10-18)28(3,4)5)14-20-22(37)23(38)26(40-20)36-13-19(29)21-24(30)32-15-33-25(21)36/h7-10,13,15-16,20,22-23,26,37-38H,6,11-12,14H2,1-5H3,(H2,30,32,33)(H2,31,34,39)/t20-,22-,23-,26-/m1/s1

InChi Key: IQCKJUKAQJINMK-HUBRGWSESA-N

Smiles: CC(C)N(C[C@H]1O[C@H]([C@H](O)[C@@H]1O)N1C=C(Br)C2=C1N=CN=C2N)CCCNC(=O)NC1C=CC(=CC=1)C(C)(C)C

外观: 固体粉末

作用通路: Histone Methyltransferase

溶解性: DMSO up to 100 mM

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

产品介绍: SGC0946 is a highly potent and selective inhibitor of DOT1L methyltransferase. It selectively blocks binding of the cofactor, S-adenosylmethionine, to DOT1L, and inhibits the enzyme with an IC50 of 0.3 nM in a radioactive enzyme assay and is over 100-fold selective for other histone methyltransferases/HMTs. SGC0946 potently reduces H3K79 dimethylation with cellular IC50 of 2.6 nM in A431 cells, and 8.8 nM in MCF10A cells, which potently and selectively kills cells containing an MLL translocation. SGC0946 is much more potent than its close analog EPZ004777, and serves as an excellent chemical probe for investigating DOT1L and further development of DOT1L inhibitors for cancer therapy.