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# GSK126

产品编号: D50746
CAS: 1346574-57-9
分子式: C31H38N6O2
纯度: ≥98%
InChi: InChI=1S/C31H38N6O2/c1-6-22(5)37-18-20(3)29-25(30(38)34-17-26-19(2)13-21(4)35-31(26)39)14-24(15-27(29)37)23-7-8-28(33-16-23)36-11-9-32-10-12-36/h7-8,13-16,18,22,32H,6,9-12,17H2,1-5H3,(H,34,38)(H,35,39)/t22-/m0/s1
InChi Key: FKSFKBQGSFSOSM-QFIPXVFZSA-N
Smiles: CC[C@H](C)N1C=C(C)C2C(=CC(=CC1=2)C1=CN=C(C=C1)N1CCNCC1)C(=O)NCC1C(=O)NC(C)=CC=1C
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: GSK126 is a highly potent and selective small molecule inhibitor of histone methyltransferase EZH2. It potently inhibits both wild-type and mutant EZH2 methyltransferase activity with similar potencies (Kiapp 0.5–3nM), independent of substrate used, and is competitive with S-adenosylmethionine (SAM) and non-competitive with peptide substrates. GSK126 is highly selective against other methyltransferases and multiple other protein classes, even 150-fold more selective for the closest EZH1 (Kiapp89 nM) and more than 1, 000-fold selective for 20 other human methyltransferases, including both SET-domain-containing and non-SET domain-containing methyltransferases. It induced a 50% loss of H3K27me3 in both EZH2 wild-type and mutant DLBCL cell lines at nM concentrations independent of EZH2 mutation status. GSK126 can decrease global H3K27me3 levels and reactivate silenced PRC2 target genes. It effectively inhibits the proliferation of EZH2 mutant DLBCL cell lines, and markedly inhibits the growth of EZH2 mutant DLBCL xenografts in mice. Pharmacological inhibition of EZH2 activity may provide a promising treatment for EZH2 mutant lymphoma.