
MS023

产品编号: D50970

CAS: 1831110-54-3

分子式: C₁₇H₂₅N₃O

纯度: ≥98%

InChi: InChI=1S/C₁₇H₂₅N₃O/c1-13(2)21-16-6-4-14(5-7-16)17-11-19-10-15(17)12-20(3)9-8-18/h4-7,10-11,13,19H,8-9,12,18H2,1-3H3

InChi Key: FMTVWAGUJRUAKE-UHFFFAOYSA-N

Smiles: CC(C)OC1C=CC(=CC=1)C1=CNC=C1CN(C)CCN

外观: 固体粉末

作用通路: Histone Methyltransferase

溶解性: DMSO up to 100 mM; EtOH up to 100 mM

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

产品介绍: MS023 is a potent, selective and cell permeable type I PRMT inhibitor (catalyzes mono- and asymmetric dimethylation of arginine residues) with IC₅₀ of 30 nM, 119 nM, 83 nM, 4 nM and 5 nM for PRMT1, PRMT3, PRMT4, PRMT6 and PRMT8, respectively. It is completely inactive against type II and type III PRMTs, protein lysine methyltransferases and DNA methyltransferases. A crystal structure of PRMT6 in complex with MS023 revealed that MS023 binds the substrate binding site. MS023 potently reduces cellular levels of H4R3me_{2a} in MCF7 and HEK293 cells by inhibiting PRMT1/6 methyltransferase activity with IC₅₀ of 9 nM and 56 nM, respectively. It potently decreased cellular levels of histone arginine asymmetric dimethylation. It also reduced global levels of arginine asymmetric dimethylation and concurrently increased levels of arginine monomethylation and symmetric dimethylation in cells.