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EPZ-6438

产品编号: D50792

CAS: 1403254-99-8

分子式: C34H44N4O4

纯度: ≥98%

InChi: InChI=1S/C34H44N4O4/c1-5-38(29-10-14-41-15-11-29)32-20-28(27-8-6-26(7-9-27)22-37-12-16-42-17-13-37)19-30(25(32)4)33(39)35-21-31-23(2)18-24(3)36-34(31)40/h6-9,18-20,29H,5,10-17,21-22H2,1-4H3,(H,35,39)(H,36,40)

InChi Key: NSQSAUGJQHDYNO-UHFFFAOYSA-N

Smiles: CCN(C1CCOCC1)C1=CC(=CC(C(=O)NCC2C(=O)NC(C)=CC=2C)=C1C)C1=CC=C(CN2CCOCC2)C=C1

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: EPZ-6438 (E7438) is a potent and selective small molecule inhibitor of histone methyltransferase EZH2. It inhibited the activity of human PRC2-containing wild-type EZH2 with an inhibition constant (Ki) value of 2.5 ± 0.5 nM, and similar potency was observed for EZH2 proteins bearing all known lymphoma change-of-function mutations. EPZ-6438 inhibits EZH2 in a manner competitive with the substrate S-adenosylmethionine (SAM). EPZ-6438 displayed a 35-fold selectivity versus EZH1 and >4, 500-fold selectivity relative to 14 other HMTs (encompassing both lysine and arginine HMTs) tested. It specifically inhibits cellular H3K27 methylation leading to selective apoptotic killing of SMARCB1 mutant MRT Cells. It also induced genes of neuronal differentiation and cell cycle inhibition while suppressing expression of Hedgehog pathway genes, MYC and EZH2. Moreover EPZ-6438 leads to complete and sustained regression of SMARCB1 mutant MRT xenografts, and sevral EZH2 mutant xenografts including WSU-DLCL2 (Y614F), Pfeiffer (Y677G), KARPAS-422 (Y641N) etc. Epizyme has initiated a Phase 1/2 clinical trials targeting the treatment of non-Hodgkin lymphoma.