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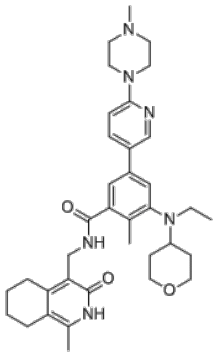
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	ZLD1039
Cat. No.	:	PC-72288
CAS No.	:	1826865-46-6
Molecular Formula	:	$C_{36}H_{48}N_6O_3$
Molecular Weight	:	612.819
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

ZLD1039 (ZLD 1039) is a potent, highly selective, and orally bioavailable small molecule inhibitor of **EZH2** with IC50 of 5.6, 15, 4.0 nM against EZH2 WT, Y641F, and A677G mutations respectively.
ZLD1039 displays high selectivity against a panel of HMTs, including EZH1, SETD7, SUV39H1, G9a, DOT1L, SUV39H2, SMYD2, PRDM9, SETD8, NSD3 and MLL1.
ZLD1039 inhibited the H3K27me3 and H3K27me2 levels in MCF-7 (IC50=0.29 uM) and MDA-MB-231 cells in a dose-dependent manner.
ZLD1039 showed antiproliferative activities against breast cancer cells in vitro (MCF-7 cell GI50=0.0.99 uM), induced G0/G1 phase arrest, apoptosis and transcription activation. ZLD1039 demonstrated anti-tumor and anti-tumor metastasis activity in breast xenograft animal models.

References

Song X, et al. ***Sci Rep***. 2016 Feb 12;6:20864.

Song X, et al. ***Sci Rep***. 2016 Apr 29;6:24893.

E-mail: tech@probechem.com