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Data Sheet

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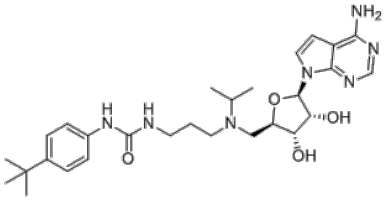
Product Name	:	EPZ004777
Cat. No.	:	PC-21619
CAS No.	:	1338466-77-5
Molecular Formula	:	$C_{28}H_{41}N_7O_4$
Molecular Weight	:	539.68
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

EPZ004777 is a potent, selective inhibitor of **DOT1L** with Ki of 0.3 nM and IC50 of 0.4 nM, selectively inhibits H3K79 methylation and blocks expression of leukemogenic genes.
EPZ004777 displays remarkable selectivity (>1000-fold) for inhibition of DOT1L over other histone methyltransferases (HMTs).
EPZ004777 selectively inhibits cellular H3K79 methylation, does not change the methylation state of residues targeted by PRDM and SMYD family members (H3K9 and H3K4, respectively)
EPZ004777 inhibits expression of key MLL fusion target genes, HOXA9 and MEIS1.
EPZ004777 selectively inhibits proliferation of MLL-Rearranged cell lines and MLL-AF9-transformed murine hematopoietic cells (RS4;11, MLL-AF4, IC50=6.47 nM).
EPZ004777 significantly decrease H3K79me2 levels and exhibits antitumor efficacy in mouse xenograft model of MLL.

References

Daigle SR, et al. ***Cancer Cell.*** 2011 Jul 12;20(1):53-65.

Chen L, et al. ***Leukemia.*** 2013 Apr;27(4):813-22.

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