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Data Sheet

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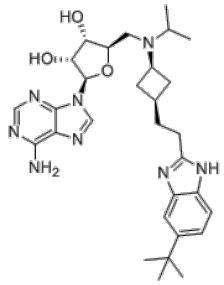
Product Name	:	Pinometostat
Cat. No.	:	PC-21618
CAS No.	:	1380288-87-8
Molecular Formula	:	$C_{30}H_{42}N_8O_3$
Molecular Weight	:	562.72
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

Pinometostat (EPZ-5676) is a potent and selective inhibitor of DOT1L histone methyltransferase activity with Ki of 0.08 nM, 37000-fold selectivity over other methyltransferases.
EPZ-5676 occupies the S-adenosyl methionine (SAM) binding pocket and induces conformational changes in DOT1L.
Pinometostat (EPZ-5676) potently inhibits cellular H3K79 methylation with IC50 of 3 nM and 5 nM in MV4-11 and HL60 (non–MLL-rearranged) cells, respectively, inhibits MLL-fusion target gene expression.
Pinometostat (EPZ-5676) selectively inhibits proliferation of MLL-rearranged leukemia cells with IC50 of 3.5 nM (MV4-11 proliferation), demonstrates nanomolar antiproliferative activity against most of the MLL-rearranged cell lines, but not non-MLL-rearranged cell lines.
EPZ-5676 (70 mg/kg) causes complete and sustained regression in rodent subcutaneous (SC) MV4-11 xenograft models.

References

Daigle SR, et al. Blood. 2013 Aug 8;122(6):1017-25.

Klaus CR, et al. J Pharmacol Exp Ther. 2014 Sep;350(3):646-56.

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