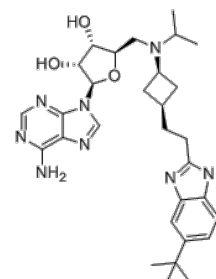


Product Name : Pinometostat
Cat. No. : PC-21618
CAS No. : 1380288-87-8
Molecular Formula : C₃₀H₄₂N₈O₃
Molecular Weight : 562.72
Target : Histone Methyltransferase (HMTase)
Solubility : 10 mM in DMSO



Biological Activity

Pinometostat (EPZ-5676) is a potent and selective inhibitor of **DOT1L** histone methyltransferase activity with K_i 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.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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