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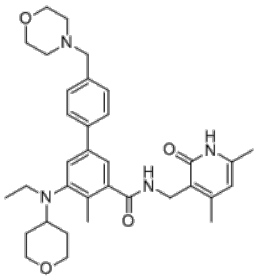
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Tazemetostat
Cat. No.	:	PC-21938
CAS No.	:	1403254-99-8
Molecular Formula	:	$C_{34}H_{44}N_4O_4$
Molecular Weight	:	572.75
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

Tazemetostat (EPZ-6438) is a potent, selective, SMA-competitive and orally available **EZH2** inhibitor with IC50 of 11 and 16 nM in peptide assay and nucleosome assay, respectively.
Tazemetostat (EPZ-6438) inhibits the activity of human polycomb repressive complex 2 (PRC2)-containing wild-type EZH2 with Ki of 2.5 nM, inhibits rat EZH2 with IC50 of 4 nM.
Tazemetostat (EPZ-6438) selectively inhibits intracellular lysine 27 of histone H3 (H3K27) methylation in a concentration- and time-dependent manner in both EZH2 wild-type and mutant lymphoma cells.
Tazemetostat (EPZ-6438) treatment causes dose-dependent tumor growth inhibition in EZH2-mutant NHL xenograft-bearing mice.

References

Knutson SK, et al. ***Mol Cancer Ther.*** 2014 Apr;13(4):842-54.

Knutson SK, et al. ***PLoS One.*** 2014 Dec 10;9(12):e111840.

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