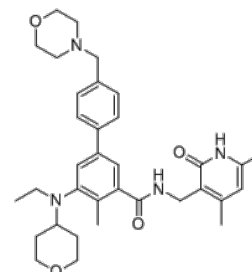


Product Name : Tazemetostat
Cat. No. : PC-21938
CAS No. : 1403254-99-8
Molecular Formula : C₃₄H₄₄N₄O₄
Molecular Weight : 572.75
Target : Histone Methyltransferase (HMTase)
Solubility : 10 mM in DMSO



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 K_i 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.

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

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