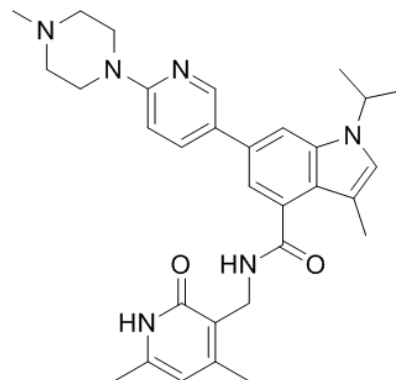


Product Name : GSK503
Cat. No. : PC-43259
CAS No. : 1346572-63-1
Molecular Formula : C₃₁H₃₈N₆O₂
Molecular Weight : 526.6724
Target : Histone Methyltransferase (HMTase)
Solubility : DMSO: ≥ 44 mg/mL



Biological Activity

GSK503 is a potent, specific **EZH2** methyltransferase inhibitor that inhibits the methyltransferase activity of WT and mutant EZH2 with similar potency (K_i app=3-27 nM).

GSK503 is highly selective for the SET domain of EZH2, >200 fold selective over EZH1 and > 4000 fold selective over other HMTases.

GSK503 inhibits GCB lymphoma cell lines with IC₅₀ of 0.5-20 μM; impairs proliferation and invasiveness, accompanied by re-expression of tumour suppressor in melanoma cells.

GSK503 stabilizes the disease through inhibition of growth and virtually abolishes metastases formation without affecting normal melanocyte biology in melanoma mouse model.

References

Zingg D, et al. *Nat Commun.* 2015 Jan 22;6:6051.

Béguelin W, et al. *Cancer Cell.* 2013 May 13;23(5):677-92.

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

E-mail: tech@probechem.com