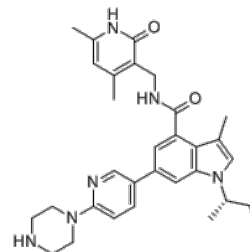


**Product Name** : GSK126  
**Cat. No.** : PC-21939  
**CAS No.** : 1346574-57-9  
**Molecular Formula** : C<sub>31</sub>H<sub>38</sub>N<sub>6</sub>O<sub>2</sub>  
**Molecular Weight** : 526.69  
**Target** : Histone Methyltransferase (HMTase)  
**Solubility** : 10 mM in DMSO



## Biological Activity

GSK126 (GSK2816126A) is a potent, highly selective, and SAM-competitive inhibitor of EZH2 methyltransferase with IC<sub>50</sub> of 9.9 nM.

GSK126 potently inhibits both wild-type and mutant EZH2 methyltransferase activity with similar potencies (Kiapp = 0.5-3 nM).

GSK126 is more than 1,000-fold selective for EZH2 versus 20 other human methyltransferases, including both SET-domain-containing and non-SET-domain-containing methyltransferases, 150-fold selective over EZH1.

GSK126 decreases global H3K27me3 levels and reactivates silenced PRC2 target genes. effectively inhibits the proliferation of EZH2 mutant DLBCL cell lines and markedly inhibits the growth of EZH2 mutant DLBCL xenografts in mice.

## References

McCabe MT, et al. Nature. 2012 Dec 6;492(7427):108-12.

De Martino M, et al. Cell Cycle. 2023 Dec-Dec;22(23-24):2552-2565.

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

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