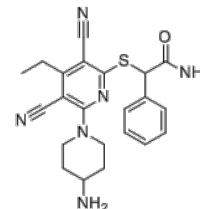


Product Name : GSK3685032
Cat. No. : PC-72244
CAS No. : 2170137-61-6
Molecular Formula : C₂₂H₂₄N₆OS
Molecular Weight : 420.535
Target : DNA Methyltransferase (DNMT)
Solubility : 10 mM in DMSO



Biological Activity

GSK3685032 (GSK-3685032) is a potent, first-in-class, highly selective **DNMT1** inhibitor with IC50 of 36 nM, >2,500-fold over DNMT3A/3L and DNMT3B/3L.

GSK3685032 exhibited IC₅₀ >10 uM against broad panels of other methyltransferases.

GSK3685032 displayed a >350-fold reduction in the inhibition of recombinant H1507Y mutant protein when compared with wild-type DNMT1.

GSK3685032 showed potent anti-proliferative effect in a panel of 51 hematologic cancer cell lines with median growth IC50 (qIC50) of 0.64 uM.

GSK3685032 induces changes in DNA methylation and gene expression in treated cells, activates immune response pathways.

GSK3685032 reveals improved in vivo efficacy and tolerability in AML models compared with decitabine.

References

Melissa B Pappalardi, et al. **Nat Cancer**. 2021 Oct;2(10):1002-1017.

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

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