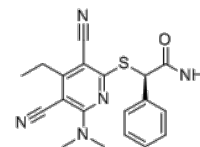


Product Name : GSK3484862
Cat. No. : PC-72245
CAS No. : 2170136-65-7
Molecular Formula : C₁₉H₁₉N₅OS
Molecular Weight : 365.455
Target : DNA Methyltransferase (DNMT)
Solubility : 10 mM in DMSO



Biological Activity

GSK3484862 (GSK-3484862) is a selective, non-covalent inhibitor of **DNMT1**, potently inhibits DNMT1 enzymatic activity with IC₅₀ of 0.23 μM.

GSK3484862 showed no inhibition of the family members DNMT3A/3L and DNMT3B/3L, or the assay coupling enzyme Glα1 at 50 μM.

GSK3484862 is chemically stable, did not bind DNA nonspecifically, and resulted in rapidly reversible inhibition of DNMT1. GSK-3484862 mediates striking demethylation in murine embryonic stem cells (mESCs) with minimal non-specific toxicity. Cellular engagement of DNMT1 by GSK3484862 resulted in a dose-dependent loss of promoter DNA methylation and marked transcriptional up-regulation of vimentin in HCT-116 cells.

GSK3484862 reprogrammed mouse embryonic fibroblast C3H/10T1/2 cells into functional muscle or fat cells by expression of the Myod1 or Adipoq differentiation marker genes.

References

Nathalia Azevedo Portilho, et al. *Epigenetics Chromatin*. 2021 Dec 15;14(1):56.

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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