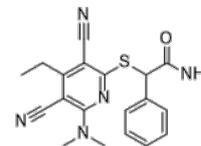


Product Name : GSK3482364
Cat. No. : PC-26177
CAS No. : 2170136-02-2
Molecular Formula : C₁₉H₁₉N₅OS
Molecular Weight : 365.455
Target : DNA Methyltransferase (DNMT)
Solubility : 10 mM in DMSO



Biological Activity

GSK3482364 (GSK34823642) is a selective, reversible, orally active inhibitor of DNMT1 with IC₅₀ of 0.4 μM, >375-fold selectivity over DNMT3A/3L and DNMT3B/3L (IC₅₀>150 μM).

GSK3482364 reduced 5-methylcytosine levels in a dose-dependent manner with IC₅₀ of 0.24 μM in erythroid progenitor cells (EPCs), decreased methylation of the -53 bp HBG1/ HBG2 cytosine residues with IC₅₀ of 0.33 μM.

GSK3482364 does not require DNA incorporation and is reversible, in contrast with cytidine analog DNMT inhibitor decitabine.

GSK3482364 (100 mg/kg, b.i.d. p.o.) caused significant increases in both HbF levels and in the percentage HbF-expressing erythrocytes in a transgenic mouse model of sickle cell disease.

References

Aidan G Gilmarin, et al. Haematologica. 2021 Jul 1;106(7):1979-1987.

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

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