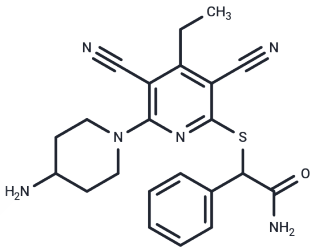
Data Sheet (Cat.No.T9573)

TargetMol

GSK3685032

Chemical Properties



CAS No. : 2170137-61-6

Formula: C22H24N6OS

Molecular Weight: 420.53

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GSK3685032 is a time-independent, non-covalent, selective, and reversible DNMT1 inhibitor with an IC_{50} of 0.036 μM. GSK3685032 induces loss of DNA methylation, transcriptional activation, and inhibition of cancer cell growth, and can be used in cancer therapy research.
Targets(IC50)	DNA Methyltransferase
In vitro	**Methods**: HCT-116 cells were treated with GSK3685032 at gradient concentrations (0.1 μM, 1 μM, 10 μM) for 72 hours, followed by DNA methylation level detection via sulfite sequencing. **Results**: GSK3685032 dose-dependently reduced global and promoter region DNA methylation. [1] **Methods**: Wild-type mESCs were treated with 2 μM and 10 μM GSK3685032 for 2, 4, 6, 8, 10, 12, and 14 days. RT-qPCR was used to detect genes known to be regulated by methylation. **Results**: Significant upregulation of methylation-regulated genes was observed after just 2 days of GSK3685032 treatment. [2]
In vivo	**Methods**: MV-4-11 AML cells were subcutaneously implanted into nude mice. After tumors became palpable, mice were randomly assigned to treatment groups. GSK3685032 was administered orally once daily at doses of 25, 50, and 100 mg/kg for 21 days. **Results**: GSK3685032 exhibited dose-dependent inhibition of tumor growth and induced tumor regression at the 100 mg/kg dose. [1]

Solubility Information

Solubility	DMSO: 26.4 mg/mL (62.78 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.76 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.378 mL	11.8898 mL	23.7795 mL
5 mM	0.4756 mL	2.378 mL	4.7559 mL
10 mM	0.2378 mL	1.189 mL	2.378 mL
50 mM	0.0476 mL	0.2378 mL	0.4756 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Pappalardi MB, et al. Discovery of a first-in-class reversible DNMT1-selective inhibitor with improved tolerability and efficacy in acute myeloid leukemia. Nat Cancer. 2021 Oct;2(10):1002-1017.
Azevedo Portilho N, Saini D, Hossain I, Sirois J, Moraes C, Pastor WA. The DNMT1 inhibitor GSK-3484862 mediates global demethylation in murine embryonic stem cells. Epigenetics Chromatin. 2021 Dec 15;14(1):56.