

GSK3685032

Chemical Properties

CAS No. : 2170137-61-6

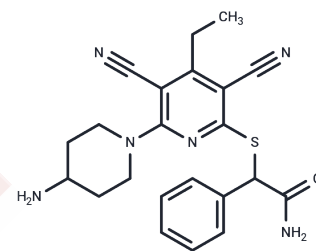
Formula: C₂₂H₂₄N₆O₅

Molecular Weight: 420.53

Store at low temperature

Storage: 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 non-covalent and selective DNMT1 inhibitor (IC ₅₀ = 36 nM). The inhibitory effect is time-independent and reversible. GSK3685032 induces loss of DNA methylation and transcriptional activation and inhibits cancer cell growth.
Targets (IC ₅₀)	DNA Methyltransferase
In vitro	In MV4-11 cells, GSK3685032 (0.1-1000 nM, 1-6 days) exhibited growth inhibition after 3 days, with decreasing growth IC ₅₀ throughout a 6 d time course. GSK3685032 (10-10000 nM, day 4) increased the immune-related gene transcription in a dose dependent manner[1]. GSK3685032 (3.2-10,000 nM, 2 days) inhibited DNMT1 protein expression. In 15 leukemia, 29 lymphoma and 7 multiple myeloma cell lines, e.g., EOL-1, Ki-JK, MM.1R cells, GSK3685032 (6 days) showed cell growth inhibition of majority cancer cell lines, with a median growth IC ₅₀ value of 0.64 μM. GSK3685032 induced DNA hypomethylation and gene activation[1].
In vivo	In MV4-11 xenograft models or SKM-1 xenograft models, GSK-3685032 (1-45 mg/kg; s.c.) inhibited dose-dependent tumor growth with clear regression at ≥30 mg/kg[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. <i>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 vary and should be modified based on specific experimental conditions.</i>

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;2(10):1002-1017.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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