

Product Data Sheet

Product Name: GSK-3685032

Cat. No.: GC63483

Chemical Properties

Cas. No. 2170137-61-6

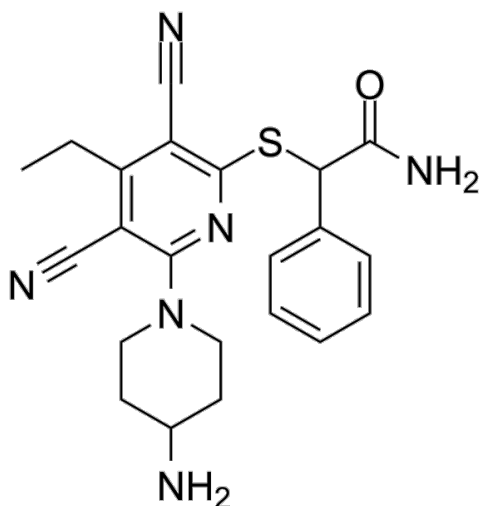
Formula $C_{22}H_{24}N_6OS$ M.Wt 420.53

Solubility DMSO : 25 mg/mL (59.45 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Caution: Product has not been fully validated for medical applications. For research use only.

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GSK-3685032 is a non-time-dependent, noncovalently, first-in-class reversible DNMT1-selective inhibitor, with an IC₅₀ of 0.036 μ M. GSK-3685032 induces robust loss of DNA methylation, transcriptional activation, and cancer cell growth inhibition[1].

GSK-3685032 (6 days) has cell growth inhibition of majority cancer cell lines, with a median growth IC₅₀ value of 0.64 μ M[1]. GSK-3685032 (0.1-1000 nM, 1-6 days) exhibits growth inhibition after 3 days, with decreasing growth IC₅₀ throughout a 6 d time course[1]. GSK3685032 (10-10000 nM, day 4) dose-dependently increases the immune-related gene transcription[1]. GSK3685032 (3.2-10,000 nM, 2 days) inhibits DNMT1 protein expression[1]. GSK3685032 induces DNA hypomethylation and gene activation[1].

GSK-3685032 (1-45 mg/kg; subcutaneous twice daily for 28 days) inhibits tumor growth in the subcutaneous MV4-11 or SKM-1 xenograft models[1]. Summary of mouse pharmacokinetic parameters for GSK-3685032[1]

Dose, Route	C _{max} (ng/mL)	AUC _{0-8hr} (h*ng/mL)	DNAUC(h*kg*ng/mL/mg)	Clearance(mL/min/kg)	Volumedss(L/kg)	T _{1/2} (h)
2 mg/kg, IV	5103	2418	1209	13	1.3	1.8
2 mg/kg, SC	252	921	461	NA	NA	2.8
2 mg/kg, SC	5473	15400	513	NA	NA	ND

[1]. 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.

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