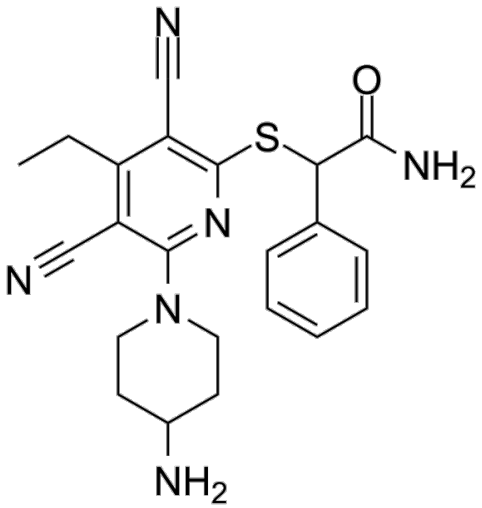
Product Data Sheet

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

Product Data Sheet

GSK-3685032 is a non-time-dependent, noncovalently, first-in-class reversible DNMT1-selective inhibitor, with an IC50 of 0.036 μM. 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 μM[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 Cmax(ng/mL) AUC0-8hr(h*ng/mL) DNAUC(h*kg*ng/mL/mg) Clearance(mL/min/kg) Volumedss(L/kg) T1/2(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.

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