GSK3735967

Cat. No.: HY-150249

CAS No.: 2170136-86-2

Molecular Formula: $C_{25}H_{31}N_7OS$

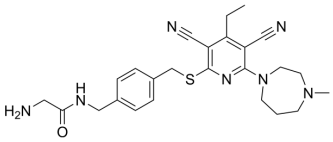
Molecular Weight: 477.62

Target: DNA Methyltransferase

Pathway: Epigenetics

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 31.25 mg/mL (65.43 mM; ultrasonic and warming and heat to 70°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0937 mL	10.4686 mL	20.9371 mL
	5 mM	0.4187 mL	2.0937 mL	4.1874 mL
	10 mM	0.2094 mL	1.0469 mL	2.0937 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.35 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK3735967 is an selective, reversible, non-nucleoside inhibitor of DNMT1 with an IC_{50} value of 40 nM. GSK3735967 contains a planar dicyanopyridine core that can specifically embed DNMT1 bound hemimethylated CpG dinucleotides. GSK3735967 has three binding sites, one of which can bind to histone H4K20me3[1][2].

In Vitro

GSK3735967 (0.1-10000 nM) inhibits the activity of DNMT1 in a dose dependent manner[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Horton JR, et al. Structural characterization of dicyanopyridine containing DNMT1-selective, non-nucleoside inhibitors. Structure. 2022 Jun 2;30(6):793-802.e5.

[2]. Horton J, et al. Structural Studies of DNMT1-DNA Complexes with a Reversible Series of Dicyanopyridine Containing Selective, Non-Nucleoside Inhibitors[J]. Foundations of Crystallography, 2022, 78: a246.

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