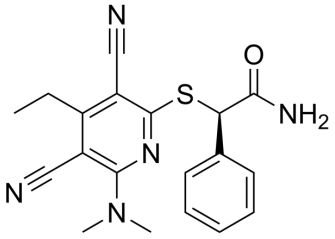
GSK-3484862

Cat. No.:	HY-135146
CAS No.:	2170136-65-7
Molecular Formula:	$C_{19}H_{19}N_5OS$
Molecular Weight:	365.45
Target:	DNA Methyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20.83 mg/mL (57.00 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7364 mL	13.6818 mL	27.3635 mL
	5 mM	0.5473 mL	2.7364 mL	5.4727 mL
	10 mM	0.2736 mL	1.3682 mL	2.7364 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 (5.69 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (5.69 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

GSK-3484862 is a non-covalent inhibitor for DNA methyltransferase (Dnmt1). GSK-3484862 induces DNA hypomethylation to against cancer. GSK-3484862 mediates dramatic demethylation in murine embryonic stem cells with minimal non-specific toxicity[1][2].

IC_{50} & Target

DNMT1

In Vitro

GSK-3484862 (0-10 μM, 6 or 14 days) induces dramatic DNA methylation loss[2].
?GSK-3484862 (0-10 μM, 4 days) results in a modest reduction in DNMT1 protein level[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	Murine embryonic stem cells (mESC, wild-type (WT) or Dnmt1/3a/3b triple knockout (TKO))
Concentration:	2 μM and 10 μM
Incubation Time:	6 or 14 days
Result:	Induced dramatic DNA methylation loss, with global CpG methylation levels falling from near 70% in WT mESC to less than 18% after 6 days.

Western Blot Analysis[2]

Cell Line:	Murine embryonic stem cells (mESC, wild-type (WT) or Dnmt1/3a/3b triple knockout (TKO))
Concentration:	2 μM and 10 μM
Incubation Time:	4 days
Result:	Resulted in a modest reduction in DNMT1 protein level.

CUSTOMER VALIDATION

- Nat Genet. 2022 Nov 4.
- Nat Commun. 2023 Apr 14;14(1):2122.
- J Clin Invest. 2023 Feb 28;e167953.
- Mol Cancer Res. 2022 Aug 4;MCR-22-0182.
- bioRxiv. September 13, 2021.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Keystone Symposia 2019 - Epigenetics and Human Disease

[2]. Nathalia Azevedo Portilho, et al. The DNMT1 inhibitor GSK-3484862 mediates global demethylation in murine embryonic stem cells.

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