Product Data Sheet

GSK-3484862

Cat. No.:HY-135146CAS No.:2170136-65-7Molecular 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 1 year

-20°C 6 months

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]}.

IC₅₀ & Target DNMT1

In Vitro GSK-3484862 (0-10 μ M, 6 or 14 days) induces dramatic DNA methylation loss^[2].

?GSK-3484862 (0-10 μ M, 4 days) results in a modest reduction in DNMT1 protein level^[2].

 $\label{eq:mce} \mbox{MCE has not independently confirmed the accuracy of these methods. They are for reference only.}$

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 $\underline{www.MedChemExpress.com}$

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.

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

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