Product Data Sheet

GSK591

Cat. No.: HY-100235 CAS No.: 1616391-87-7 Molecular Formula: $C_{22}H_{28}N_4O_2$ Molecular Weight: 380.48

Target: Histone Methyltransferase

Pathway: **Epigenetics**

Storage: Powder -20°C 3 years

> 4°C 2 years

In solvent -80°C 2 years

> -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO: 50 mg/mL (131.41 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.6283 mL	13.1413 mL	26.2826 mL
	5 mM	0.5257 mL	2.6283 mL	5.2565 mL
	10 mM	0.2628 mL	1.3141 mL	2.6283 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: ≥ 2.25 mg/mL (5.91 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- β -CD in saline) Solubility: ≥ 2.25 mg/mL (5.91 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.25 mg/mL (5.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	GSK591 (EPZ015866) is a potent and selective inhibitor of protein methyltransferase 5 (PRMT5) with an IC ₅₀ of 4 nM ^[1] .
IC ₅₀ & Target	IC50: 4 nM (PRMT5) ^[1]
In Vitro	GSK591 (5 μM; MCF7, T47D, and MCF10A cells) treatment suppresses breast cancer stem cells (BCSCs) proliferation and self-renewal. GSK591 reduces BCSCs numbers in vitro ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay ^{[2}	2]	
Cell Line:	MCF7, T47D, and MCF10A cells	
Concentration:	5 μΜ	
Incubation Time:		
Result:	Suppressed BCSCs proliferation and self-renewal.	

CUSTOMER VALIDATION

- Nat Commun. 2019 Aug 21;10(1):3761.
- Theranostics. 2021 Jan 27;11(8):3742-3759.
- Proc Natl Acad Sci U S A. 2019 Feb 19;116(8):2961-2966.
- J Biol Chem. 2022 Aug 27;102434.
- Mol Carcinog. 2023 May 5.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. [1] Kenneth W. Duncan et al. Structure and Property Guided Design in the Identification of PRMT5 Tool Compound EPZ015666. ACS Med. Chem. Lett., 2016, 7 (2), pp 162-166.

[2]. Chiang K, et al. PRMT5 Is a Critical Regulator of Breast Cancer Stem Cell Function via Histone Methylation and FOXP1 Expression. Cell Rep. 2017 Dec 19;21(12):3498-3513.

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

Tel: 609-228-6898

Fax: 609-228-5909

 $\hbox{E-mail: } tech@MedChemExpress.com\\$

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA