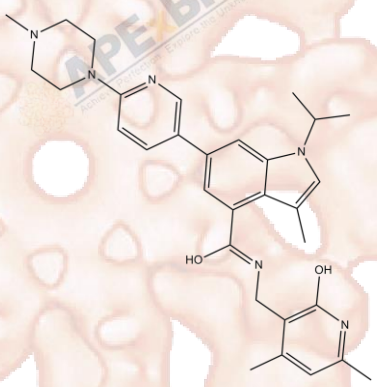
Product Data Sheet

GSK503



Cat. No.: B5833
CAS No.: 1346572-63-1
Formula: C31H38N6O2
M.Wt: 526.67
Synonyms:
Target: Stem Cell
Pathway: EZH2
Storage: Store at -20°C

Solvent & Solubility

In Vitro

⩾21.65 mg/mL in DMSO; insoluble in H2O; ⩾26.85 mg/mL in EtOH with gentle warming

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	1.8987 mL	9.4936 mL	18.9872 mL
5 mM	0.3797 mL	1.8987 mL	3.7974 mL
10 mM	0.1899 mL	0.9494 mL	1.8987 mL

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

Biological Activity

Shortsummary	EZH2 inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	Human melanoma cells
Preparation method:	The solubility of this compound in DMSO is >21.65mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	1 μM; 8 days; 37 ℃

	Applications:	GSK503 significantly reduced H3K27me3 levels, induced G1 cell cycle arrest and slowed down cell growth.
In Vivo	**Animal experiment**	
	Animal models:	Mice engrafted subcutaneously with melanoma cells, C57Bl/6 mice xenografted murine B16-F10 melanoma cells
	Dosage form:	Intraperitoneal injections; 150 mg/kg; 35 consecutive days
	Applications:	GSK503 treatment drastically reduced the emergence of new skin melanomas over time after treatment start. GSK503 treatment prevented murine melanoma growth. GSK503 significantly inhibited the proliferation of tumour cells. In C57Bl/6 mice xenografted murine B16-F10 melanoma cells, GSK503 significantly reduced H3K27me3 levels and inhibited tumor growth. GSK503 inhibited lymph node and lung metastases of melanoma and reduced lung nodule counts.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. R.Martin Mateos, T.M.De Assuncao, et al. "Enhancer of Zeste Homologue 2 inhibition attenuates TGF-β dependent hepatic stellate cell activation and liver fibrosis." Cellular and Molecular Gastroenterology and Hepatology Available online 15 September 2018.
2. Sarmento OF, Svingen PA, et al. "The Role of the Histone Methyltransferase Enhancer of Zeste Homolog 2 (EZH2) in the Pathobiological Mechanisms Underlying Inflammatory Bowel Disease (IBD)." J Biol Chem. 2017 Jan 13;292(2):706-722.PMID:27909059

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References

[1]. Zingg D, Debbache J, Schaefer SM, et al. The epigenetic modifier EZH2 controls melanoma growth and metastasis through silencing of distinct tumour suppressors. Nat Commun, 2015, 6: 6051.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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