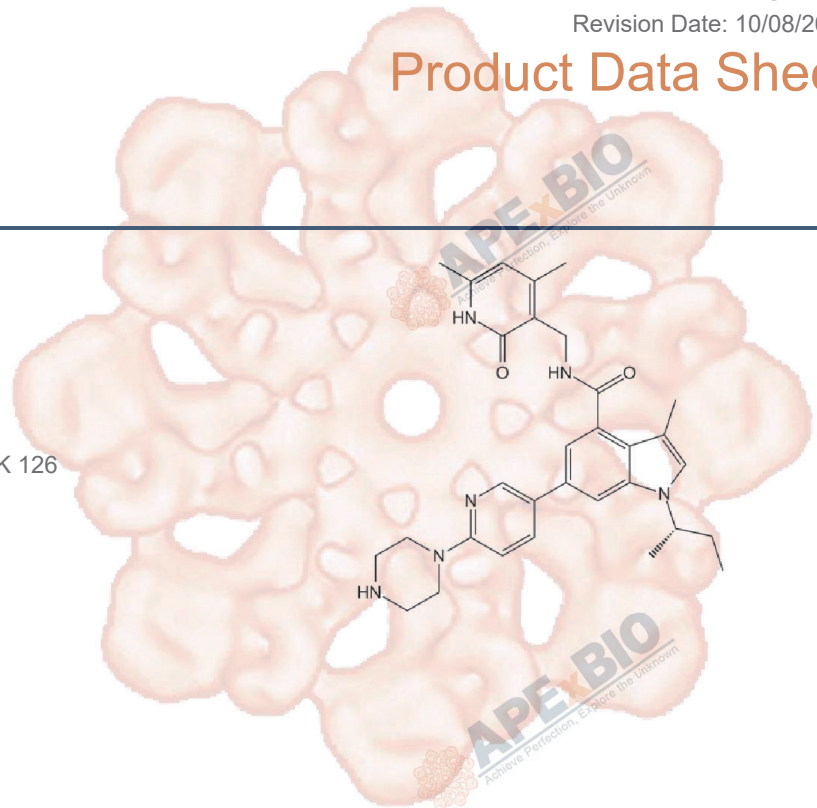


Product Data Sheet

GSK126

Cat. No.:	A3446
CAS No.:	1346574-57-9
Formula:	C31H38N6O2
M.Wt:	526.67
Synonyms:	EZH2 inhibitor;GSK-126;GSK 126
Target:	Stem Cell
Pathway:	EZH2
Storage:	Store at -20°C



Solvent & Solubility

insoluble in H₂O; insoluble in EtOH; ≥ 4.38 mg/mL in DMSO with gentle warming

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	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

IC₅₀ & Target

Cell Viability Assay

In Vitro

Cell Line: Lu130, H209, and DMS53 small cell lung cancer (SCLC) cell lines.

Preparation method:

Dissolved in DMSO. 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:

0.5, 2, and 8 μ M; 72, 144, 192 h.

	Applications:	GSK126 inhibited cell growth in all the three cell lines at 8 μ M.
In Vivo	Animal experiment	
	Animal models:	Mice using subcutaneous xenografts of KARPAS-422 and Pfeiffer cells.
	Dosage form:	15, 50, 150 mg/kg, 10 days of once daily; 300 mg/kg twice per week; administered intraperitoneally.
	Applications:	GSK126 decreases H3K27me3 and increases gene expression in a dose-dependent way. GSK126 completely inhibited tumour growth at 50 mg/kg and increases survival of mice bearing the more aggressive KARPAS-422 tumours. GSK126 was well tolerated at the doses and schedules examined as measured by little to no decrease in body weight.
	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

- Liu Y, Niu Y, et al. "Tat expression led to increased histone 3 tri-methylation at lysine 27 and contributed to HIV latency in astrocytes through regulation of MeCP2 and Ezh2 expression." J Neurovirol. 2019 Apr 24. PMID:31020497
- Hübner JM, Müller T, et al. "EZHIP / CXorf67 mimics K27M mutated oncohistones and functions as an intrinsic inhibitor of PRC2 function in aggressive posterior fossa ependymoma." Neuro Oncol. 2019 Mar 29. pii: noz058. PMID:30923826
- Anastassiia Vertii, Jianhong Ou, et al. "Two Contrasting Classes of Nucleolus-Associated Domains in Mouse Fibroblast Heterochromatin." bioRxiv. 2018 December 03.
- Agnieszka I. Laskowski, Danielle A. Fanslow, et al. "Clinical Epigenetic Therapies Disrupt Sex Chromosome Dosage Compensation in Human Female Cells." Gender and the Genome 2018, Vol. 2(1) 2-7
- Jalan-Sakrikar N, De Assuncao TM, et al. "Hedgehog Signaling Overcomes an EZH2-Dependent Epigenetic Barrier to Promote Cholangiocyte Expansion." PLoS One. 2016 Dec 9;11(12):e0168266. PMID:27936185

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References

- [1]. McCabe MT, Ott HM, Ganji G, et al. EZH2 inhibition as a therapeutic strategy for lymphoma with EZH2-activating mutations. Nature, 2012, 492(7427): 108-112.
- [2]. Sato T, Kaneda A, Tsuji S, et al. PRC2 overexpression and PRC2-target gene repression relating to poorer prognosis in small cell lung cancer. Sci Rep, 2013, 3: 1911.

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. Short-term 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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