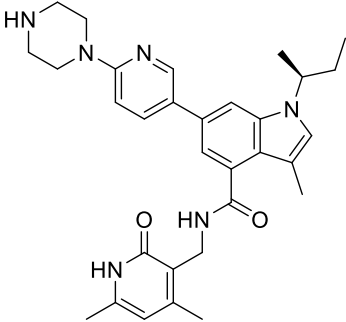


# Product data sheet



MedKoo Cat#: 406228 Name: GSK126 CAS#: 1346574-57-9 Chemical Formula: C <sub>31</sub> H <sub>38</sub> N <sub>6</sub> O <sub>2</sub> Exact Mass: 526.30562 Molecular Weight: 526.67	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

## 1. Product description:

GSK126, also known as GSK2816126 is a potent, highly selective, S-adenosyl-methionine-competitive, small-molecule inhibitor of EZH2 methyltransferase activity. GSK126 decreases global H3K27me3 levels and reactivates silenced PRC2 target genes. GSK126 effectively inhibits the proliferation of EZH2 mutant DLBCL cell lines and markedly inhibits the growth of EZH2 mutant DLBCL xenografts in mice. Together, these data demonstrate that pharmacological inhibition of EZH2 activity may provide a promising treatment for EZH2 mutant lymphoma.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

## 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	10	18.99
Ethanol	10	18.99

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.90 mL	9.49 mL	18.99 mL
5 mM	0.38 mL	1.90 mL	3.80 mL
10 mM	0.19 mL	0.95 mL	1.90 mL
50 mM	0.04 mL	0.19 mL	0.38 mL

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

## 6. Recommended literature which reported protocols for in vitro and in vivo study

### In vitro study

1. McCabe MT, Ott HM, Ganji G, Korenchuk S, Thompson C, Van Aller GS, Liu Y, Graves AP, Della Pietra A 3rd, Diaz E, LaFrance LV, Mellinger M, Duquenne C, Tian X, Kruger RG, McHugh CF, Brandt M, Miller WH, Dhanak D, Verma SK, Tummino PJ, Creasy CL. EZH2 inhibition as a therapeutic strategy for lymphoma with EZH2-activating mutations. *Nature*. 2012 Dec 6;492(7427):108-12. doi: 10.1038/nature11606. Epub 2012 Oct 10. PMID: 23051747.

2. Sato T, Kaneda A, Tsuji S, Isagawa T, Yamamoto S, Fujita T, Yamanaka R, Tanaka Y, Nukiwa T, Marquez VE, Ishikawa Y, Ichinose M, Aburatani H. PRC2 overexpression and PRC2-target gene repression relating to poorer prognosis in small cell lung cancer. *Sci Rep*. 2013;3:1911. doi: 10.1038/srep01911. PMID: 23714854; PMCID: PMC3665955.

### In vivo study

1. McCabe MT, Ott HM, Ganji G, Korenchuk S, Thompson C, Van Aller GS, Liu Y, Graves AP, Della Pietra A 3rd, Diaz E, LaFrance LV, Mellinger M, Duquenne C, Tian X, Kruger RG, McHugh CF, Brandt M, Miller WH, Dhanak D, Verma SK, Tummino PJ, Creasy

# Product data sheet



CL. EZH2 inhibition as a therapeutic strategy for lymphoma with EZH2-activating mutations. Nature. 2012 Dec 6;492(7427):108-12. doi: 10.1038/nature11606. Epub 2012 Oct 10. PMID: 23051747.

## 7. Bioactivity

Biological target:

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GSK126 (GSK2816126A) is a potent, highly selective inhibitor of EZH2 methyltransferase with an IC50 of 9.9 nM.

### In vitro activity

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GSK126 potently inhibits both wild-type and mutant EZH2 methyltransferase activity with similar potencies ( $K_i=0.5-3$  nM) independent of substrate used, and is competitive with S-adenosyl-methionine (SAM) and non-competitive with peptide substrates. GSK126 is highly selective against other methyltransferases and multiple other protein classes (EZH1, IC50=680 nM).

Reference: Nature. 2012 Dec 6;492(7427):108-12. <https://www.nature.com/articles/nature11606>

### In vivo activity

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GSK126 is administered intraperitoneally at a dose volume of 0.2 mL per 20 g body weight in female beige SCID mice. GSK126 effectively inhibits the proliferation of EZH2 mutant DLBCL cell lines and markedly inhibits the growth of EZH2 mutant DLBCL xenografts in mice.

Reference: Nature. 2012 Dec 6;492(7427):108-12. <https://www.nature.com/articles/nature11606>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*