

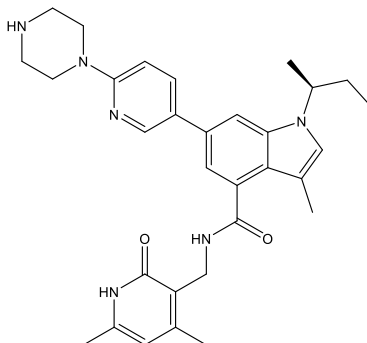
Catalog # 10-3394

GSK126

CAS# 1346574-57-9

N-[(1,2-Dihydro-4,6-dimethyl-2-oxo-3-pyridinyl)methyl]-3-methyl-1-[(1*S*)-1-methylpropyl]-6-[6-(1-piperazinyl)-3-pyridinyl]-1*H*-indole-4-carboxamide

Lot # X108722



GSK-126 is a potent, selective, *S*-adenosyl-methionine-competitive inhibitor of EZH2 methyltransferase, $K_i=0.5-3$ nM, >150-fold selective for EZH2 over EZH1 and >1000-fold selective over 20 methyltransferases.¹ Decreases global H3K27me3 levels and reactivates silenced PRC2 target genes. GSK-126 inhibits proliferation of diffuse large B-cell lymphoma (DLBCL) cell lines as well as DLBCL xenografts in mice.¹ Rescues exhausted CD8+ T cells in HCV infection.² Facilitates the transition of embryonic stem cells to feeder-free extended pluripotent stem cells in combination with a cocktail of other agents.³ Displays neuroprotective effects in ischemic brain injury in a rodent model.⁴

- 1) McCabe *et al.* (2012), *EZH2 inhibition as a therapeutic strategy for lymphoma with EZH2-activating mutations*; Nature **492** 108
- 2) Barili *et al.* (2020), *Targeting p53 and histone methyltransferases restores exhausted CD8+ T cells in HCV infection*; Nat. Commun. **11** 604
- 3) Zheng *et al.* (2021), *Derivation of feeder-free human extended pluripotent stem cells*; Stem Cell Rep. **16** 1686
- 4) Wang *et al.* (2022), *GSK-126 Protects CA1 Neurons from H3K27me3-mediated Apoptosis in Cerebral Ischemia*; Mol. Neurobiol. **59** 2552

PHYSICAL DATA

Molecular Weight: 526.69
Molecular Formula: C₃₁H₃₈N₆O₂
Purity: >98% by HPLC/TLC
NMR: (Conforms)
Solubility: DMSO (16 mg/ml)
Physical Description: Cream solid
Storage and Stability: Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.

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