

GSK126, EZH2 Histone methyltransferase inhibitor

Catalog	Unit
TBI3394-5MG	5 mg
TBI3394-25MG	25 mg

Product Details

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

carboxamide

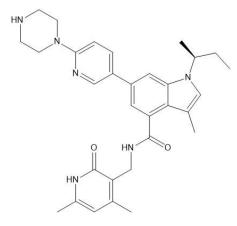
Alternate Names: GSK-2816126 **Molecular Formula:** C₃₁H₃₈N₆O₂ **Formula Weight:** 526.70 **CAS Number:** 1346574-57-9

Purity: >98%

Formulation: powder

Solubility: Soluble in DMSO (up to 16 mg/ml)

Storage: -20° C Stability: ≥ 2 years.



Applications

EZH2 Histone methyltransferase inhibitor

Functions

A potent, selective, S-adenosyl-methionine-competitive inhibitor of EZH2 methyltransferase, Ki=0.5-3 nM, >150-fold selective for EZH2 over EZH1 and >1000-fold selective over 20 methyltransferases. Decreases global H3K27me3 levels and reactivates silenced PRC2 target genes. GSK126 inhibits proliferation of diffuse large B-cell lymphoma (DLBCL) cell lines as well as DLBCL xenografts in mice. Rescues exhausted CD8+ T cells in HCV infection. Facilitates the transition of embryonic stem cells to feeder-free extended pluripotent stem cells in combination with a cocktail of other agents. Displays neuroprotective effects in ischemic brain injury in a rodent model.

Application Procedures

First dissolved in DMSO (up to 16 mg/ml), then diluted to aqueous buffer. Solutions in DMSO may be stored at -20°C for up to 3 months.

For research use only.