

Product Specification Sheet

Product Name: GSK126

Catalog Number: C4126

Technical information:

Chemical Formula: $C_{31}H_{38}N_6O_2$

CAS #: 1346574-57-9

Molecular Weight: 526.67

Purity: > 99%

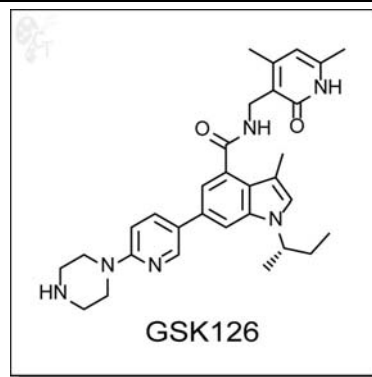
Appearance: White solid

Solubility: Soluble in DMSO up to 50 mM

Chemical Name: (S)-1-(sec-butyl)-N-((4,6-dimethyl-2-oxo-1,2-dihydropyridin-3-yl)methyl)-3-methyl-6-(6-(piperazin-1-yl)pyridin-3-yl)-1H-indole-4-carboxamide

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.19mL of DMSO for each mg of GSK126.
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: GSK126 is an indole-based inhibitor of EZH2 methyltransferase activity, with an K_i -app of 0.5-3 nM independent of substrate used. It is competitive with S-adenosyl methionine (SAM) and non-competitive with peptide substrates. GSK126 is highly selective against many other protein classes and methyltransferases, including both SET-domain-containing and non-SET-domain-containing classes. GSK126 decreases global H3K27me3 levels and reactivates silenced PRC2 target genes, as well as inhibits the proliferation of EZH2 mutant DLBCL cell lines and corresponding xenografts in mice. The collection of pharmacological data suggests that GSK126 is a potential treatment for EZH2 mutant lymphoma. [1]

Reference: 1. McCabe et al., EZH2 inhibition as a therapeutic strategy for lymphoma with EZH2-activating mutations. Nature, 2012, 492, 108-114. Pubmed ID: 23051747

To reorder: <http://www.cellagentech.com/GSK126/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.