

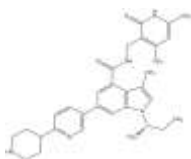
PRODUCT: GSK126

ALTERNATE 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

CATALOG #: 2282-1, 5

AMOUNT: 1 mg, 5 mg

STRUCTURE:



MOLECULAR FORMULA: C₃₁H₃₈N₆O₂

MOLECULAR WEIGHT: 526.67

CAS NUMBER: 1346574-57-9

APPEARANCE: Off-white to light tan solid

SOLUBILITY: DMSO (~50 mg/ml)

PURITY: 100% by chiral HPLC and >99% by HPLC

STORAGE: At -20° C. Protect from light and moisture

DESCRIPTION: A potent, highly selective, S-adenosyl-methionine(SAM)-competitive, small-molecule inhibitor of EZH2 methyltransferase activity. GSK126 is highly selective against other methyltransferases and multiple other protein classes, even 150-fold more selective for the closest EZH1 (K_i_{app} = 89 nM) and more than 1,000-fold selective for 20 other human methyltransferases, including both SET-domain-containing and non-SET domain-containing methyltransferases. It decreases global H3K27me3 levels and reactivates silenced polycomb repressive complex 2 (PRC2) target genes.

REFERENCE: McCabe, M.T., *et al.* (2012). *Nature* **492**, 108-112.

HANDLING: Do not take internally. Wear gloves and mask when handling the product! Avoid contact by all modes of exposure.

RELATED PRODUCTS:

AMI-1 (Cat. No. 1943-5, 25)

Aza-2'-deoxycytidine (Cat. No. 1754-10, 50)

5- BIX01294 (Cat. No. 1678-5, 25)

Bromodomain Inhibitor, (+)-JQ1 (Cat. No. 2070-1, 5)

EZSolution™ (+)-JQ1 (Cat. No. 2091-1)

3-Deazaneplanocin (Cat. No. 2060-250, 1000)

Lomeguatrib (Cat. No. 2224-5, 25)

RG108 (Cat. No. 1679-10, 30)

UNC0638 (Cat. No. 1933-1,

Zebularine (Cat. No. 2225-1, 5)

USAGE:

FOR RESEARCH CH USE ONLY! Not to be used in humans