

Product Name: GSK 126

Catalog No.: 6790

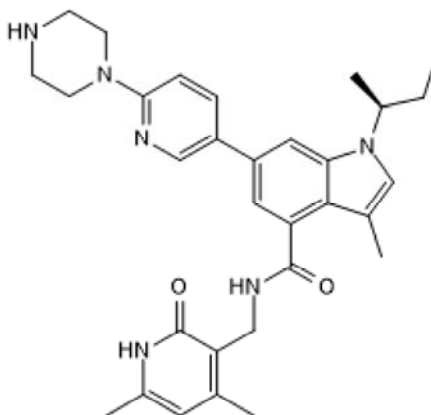
Batch No.: 1

CAS Number: 1346574-57-9

IUPAC Name: *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

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula:	C ₃₁ H ₃₈ N ₆ O ₂ ·¼H ₂ O
Batch Molecular Weight:	531.17
Physical Appearance:	Off White solid
Solubility:	DMSO to 5 mM with gentle warming
Storage:	Store at -20°C
Batch Molecular Structure:	



2. ANALYTICAL DATA

HPLC:	Shows 99.6% purity
¹H NMR:	Consistent with structure
Mass Spectrum:	Consistent with structure
Optical Rotation:	[α] _D = -10 (Concentration = 0.1, Solvent = Methanol)
Microanalysis:	
	Carbon Hydrogen Nitrogen
	Theoretical 70.1 7.31 15.82
	Found 69.71 7.31 15.75

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

Product Name: GSK 126

Catalog No.: 6790

Batch No.: 1

CAS Number: 1346574-57-9

IUPAC Name: *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

Description:

Very high affinity and selective EZH2 inhibitor ($K_i = 0.5 - 3$ nM). Exhibits >150-fold selectivity for EZH2 over EZH1 and >1000-fold selectivity over 20 other human methyltransferases. Inhibits proliferation of B-cell lymphoma cell lines in vitro. Also inhibits H3K27Me3 and tumor growth in mice bearing BCL xenografts. Targeting p53 and histone methyltransferases restores exhausted CD8+ T cells in HCV infection.

Physical and Chemical Properties:

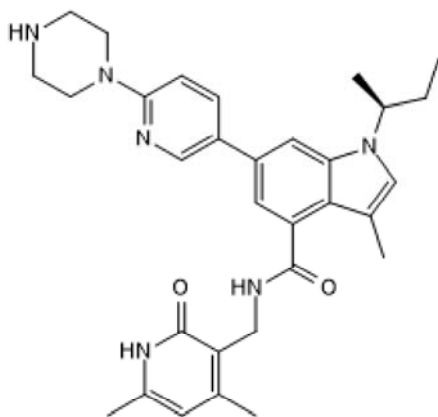
Batch Molecular Formula: $C_{31}H_{38}N_6O_2 \cdot \frac{1}{4}H_2O$

Batch Molecular Weight: 531.17

Physical Appearance: Off White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 5 mM with gentle warming

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Barili et al (2020) Targeting p53 and histone methyltransferases restores exhausted CD8+ T cells in HCV infection. *Nat.Commun.* **11** 604. PMID: 32001678.

McCabe et al (2012) EZH2 inhibition as a therapeutic strategy for lymphoma with EZH2-activating mutations. *Nature* **492** 108. PMID: 23051747.

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

bio-techne.com

info@bio-techne.com

techsupport@bio-techne.com

North America

Tel: (800) 343 7475

China

info.cn@bio-techne.com

Tel: +86 (21) 52380373

Europe Middle East Africa

Tel: +44 (0)1235 529449

Rest of World

www.tocris.com/distributors

Tel:+1 612 379 2956