

**Product Name:** GSK 126

**Catalog No.:** 6790

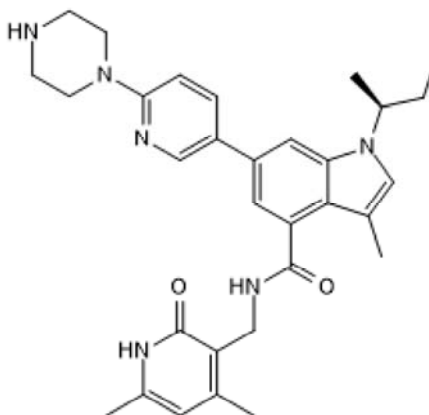
**Batch No.:** 1

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

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



## 2. ANALYTICAL DATA

<b>HPLC:</b>	Shows 99.6% purity
<b><sup>1</sup>H NMR:</b>	Consistent with structure
<b>Mass Spectrum:</b>	Consistent with structure
<b>Optical Rotation:</b>	[α] <sub>D</sub> = -10 (Concentration = 0.1, Solvent = Methanol)
<b>Microanalysis:</b>	
	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

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**Description:**

GSK 126 is a 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. Promotes the transition of ESCs to feeder-free extended pluripotent stem (EPS) cells in combination with LCDM cocktail. Please see product specific page on www.tocris.com for full description.

**Physical and Chemical Properties:**

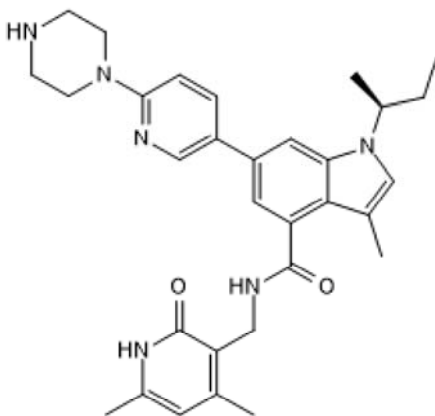
Batch Molecular Formula:  $C_{31}H_{38}N_6O_2 \cdot \frac{1}{4}H_2O$

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:**

**Zheng et al** (2021) Derivation of feeder-free human extended pluripotent stem cells. *Stem Cell Rep.* PMID: 34214484.

**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.

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**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