# Small Molecules

#### Zebularine

Epigenetic modifier; Inhibits DNA methyltransferases (DNMT)

Catalog # 72902 10 mg



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# **Product Description**

Zebularine, a cytidine analog, is a DNA methylation inhibitor that acts by forming a covalent complex with DNA methyltransferases (DNMTs; Zhou et al.). By stabilizing the binding of DNMTs to DNA, Zebularine hinders methylation and decreases dissociation, trapping DNMT and preventing its turnover (Champion et al.). Zebularine is also a potent inhibitor of cytidine deaminase (Laliberté et al.).

 $\begin{tabular}{lll} Molecular Name: & Zebularine \\ Alternative Names: & NSC 309132 \\ CAS Number: & 3690-10-6 \\ Chemical Formula: & <math>C_9H_{12}N_2O_5 \\ Molecular Weight: & 228.2 \ g/mol \\ Purity: & $\geq 98\% \\ \end{tabular}$ 

Chemical Name: 1-[(2R,3R,4S,5R)-3,4-dihydroxy-5-(hydroxymethyl)oxolan-2-yl]pyrimidin-2-one

Structure:

## **Properties**

Physical Appearance: A crystalline solid

Storage: Product stable at -20°C as supplied. Protect from prolonged exposure to light.

Stable as supplied for 12 months from date of receipt.

Solubility:  $\cdot$  PBS (pH 7.2)  $\leq$  40 mM

 $\cdot$  DMSO  $\leq$  60 mM

· Absolute ethanol ≤ 1 mM

For example, to prepare a 10 mM stock solution in PBS, resuspend 10 mg in 4.38 mL of PBS (pH 7.2).

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

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### **Published Applications**

#### **DIFFERENTIATION**

· Induces cardiomyocyte differentiation from rat bone marrow mesenchymal stem cells (Naeem et al.).

#### CANCER RESEARCH

- · Reduces proliferation of the cancer cell lines TK6, Jurkat, KG-1, and HCT116 (Stresemann et al.).
- · Inhibits cell proliferation in T24 bladder carcinoma cells (Ben-Kasus et al.).
- · In combination with the histone deacetylase inhibitor SAHA (Catalog #73902), reduces cell proliferation and increases apoptosis in pancreatic cancer cell lines (Neureiter et al.).
- · Inhibits cell proliferation and induces apoptosis in human acute myeloid leukemia cells in vitro (Scott et al.).
- · Increases the proportion of cells with cancer stem cell properties in subpopulations of human cancer cells and liver cancer cell lines (Marquardt et al.).

### References

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Marquardt JU et al. (2011) Human hepatic cancer stem cells are characterized by common stemness traits and diverse oncogenic pathways. Hepatology 54(3): 1031–42.

Naeem N et al. (2013) DNA methylation inhibitors, 5-azacytidine and zebularine potentiate the transdifferentiation of rat bone marrow mesenchymal stem cells into cardiomyocytes. Cardiovasc Ther 31(4): 201–9.

Neureiter D et al. (2007) Apoptosis, proliferation and differentiation patterns are influenced by Zebularine and SAHA in pancreatic cancer models. Scand J Gastroenterol 42(1): 103–16.

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Stresemann C et al. (2006) Functional diversity of DNA methyltransferase inhibitors in human cancer cell lines. Cancer Res 66(5): 2794–800.

Zhou L et al. (2002) Zebularine: a novel DNA methylation inhibitor that forms a covalent complex with DNA methyltransferases. J Mol Biol 321(4): 591–9.

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