# PRODUCT INFORMATION

## Zebularine

*Item No. 10975*

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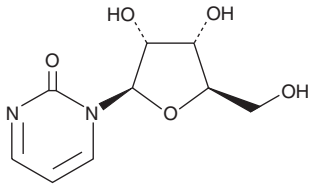
| **CAS Registry No.:** | 3690-10-6 |
| **Formal Name:** | 1H-β-D-ribofuranosyl-2-pyrimidinone |
| **MF:** | $C_9H_{12}N_2O_5$ |
| **FW:** | 228.2 |
| **Purity:** | 98% |
| **UV/Vis.:** | $\lambda_{max}$: 310 nm |
| **Supplied as:** | A crystalline solid |
| **Storage:** | -20°C |
| **Stability:** | ≥4 years |




*Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.*

### Laboratory Procedures

Zebularine is supplied as a crystalline solid. A stock solution may be made by dissolving the zebularine in the solvent of choice, which should be purged with an inert gas. Zebularine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of zebularine in these solvents is approximately 0.25, 14, and 5 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of zebularine can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of zebularine in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

### Description

Zebularine, a cytidine analog, is a DNA methylation inhibitor that acts by forming a covalent complex with DNA methyltransferases (DNMTs).[1] By stabilizing the binding of DNMTs to DNA, zebularine hinders methylation and decreases dissociation, trapping DNMT and preventing its turnover.[2] Zebularine preferentially targets cancer cells in various human carcinoma cell lines demonstrating $IC_{20}$ values of 20, 10, 20, and 5 µM for reducing proliferation of TK6, Jurkat, KG-1, and HCT116 cells, respectively.[3] Zebularine exhibits low toxicity in mice even after prolonged administration (0.2 mg/ml drinking water for 113 days in a mouse intestinal cancer model).[4]

### References


1. Zhou, L., Cheng, X., Connolly, B.A., *et al*. Zebularine: A novel DNA methylation inhibitor that forms a covalent complex with DNA methyltransferases. *J. Mol. Biol.* **321(4)**, 591-599 (2002).
2. Champion, C., Guianvarc'h, D., Sénamaud-Beaufort, C., *et al*. Mechanistic insights on the inhibition of c5 DNA methyltransferases by zebularine. *PLoS One* **5(8)**, e12388 (2010).
3. Stresemann, C., Brueckner, B., Musch, T., *et al*. Functional diversity of DNA methyltransferase inhibitors in human cancer cell lines. *Cancer Res.* **66(5)**, 2794-2800 (2006).
4. Yoo, C.B., Chuang, J.C., Byun, H.M., *et al*. Long-term epigenetic therapy with oral zebularine has minimal side effects and prevents intestinal tumors in mice. *Cancer Prev. Res.* **1(4)**, 233-240 (2008).





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