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Zebularine

Catalog No: tcsc3403

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:
3690-10-6

Formula:
$C_9H_{12}N_2O_5$

Pathway:
Autophagy;Epigenetics

Target:
Autophagy;DNA Methyltransferase

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 29 mg/mL (127.08 mM)

Alternative Names:
NSC309132;4-Deoxyuridine

Observed Molecular Weight:
228.2

Product Description

Zebularine (NSC309132; 4-Deoxyuridine) is a **DNA methyltransferase** inhibitor; also an inhibitor of **cytidine deaminase** with a **K_i**

of 0.95 μM.

IC50 & Target: Ki: 0.95 μM (cytidine deaminase)[4]

In Vitro: Zebularine exerts its demethylation activity by stabilizing the binding of DNMTs to DNA, hindering the methylation and decreasing the dissociation, thereby trapping the enzyme and preventing turnover even at other sites. zebularine enhances tumor cell chemo- and radiosensitivity and has antimitogenic and angiostatic activities[1]. Zebularine inhibits DNA methylation and reactivates a gene previously silenced by methylation. Zebularine induces the myogenic phenotype in 10T1/2 cells, which is a phenomenon unique to DNA methylation inhibitors. Zebularine reactivates a silenced p16 gene and demethylated its promoter region in T24 bladder carcinoma cells[2]. Zebularine treatment inhibits cell growth in a dose and time dependent manner with an IC_{50} of ~100 μM and 150 μM in MDA-MB-231 and MCF-7 cells, respectively, on 96 h exposure. At high doses zebularine induced changes in apoptotic proteins in a cell line specific manner manifested by alteration in caspase-3, Bax, Bcl2 and PARP cleavage[3]. Zebularine is also a potent competitive inhibitor of the enzyme CR deaminase. The K_i for zebularine is 0.95μM[4].

In Vivo: Zebularine is only slightly cytotoxic to tumor-bearing mice. Compared with those in control mice, tumor volumes are statistically significantly reduced in mice treated with high-dose zebularine administered by intraperitoneal injection or by oral gavage[2]. Zebularine also enhances the survival time of mice with L1210 leukemia treated with 5-AZA-CdR. About 27% of the mice treated with this drug combination has a survival time longer than the mice treated with only 5-AZA-CdR[4].