For Research Use Only

Zebularine

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Catalog Number: CM00582

产品信息

Catalog Number:
CM00582

CAS号:
3690-10-6

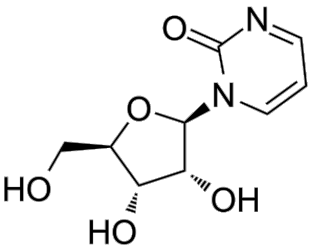
分子式:
$C_9H_{12}N_2O_5$

主要靶点:
Autophagy|DNA Methyltransferase

主要通路:
表观遗传|自噬

分子量:
228.2

溶解度:
DMSO:22.8 mg/mL (100 mM),H2O:22.8 mg/mL (100 mM)



靶点活性

Cytidine deaminase:2 μM(Ki)

体外活性

Zebularine（1000 mg/kg）对携带肿瘤的小鼠具有轻微细胞毒性,平均最大重量变化为11%（95%可信区间：4%-19%）.与对照组相比,高剂量Zebularine（i.p/p.o.）处理可显著减小小鼠的肿瘤体积.

体内活性

Zebularine可与细菌甲基转移酶形成紧密共价复合物。作用于N. crassa时,Zebularine使DNA甲基化受到抑制,并使甲基化导致的沉默基因被重新激活。作用于T24膀胱癌细胞时,Zebularine使沉默的p16基因被重新激活,且使其启动子区域去甲基化。Zebularine对T24细胞只有轻微的细胞毒性。与正常成纤维细胞相比,Zebularine会优先掺入癌细胞系的DNA,且更大程度抑制其细胞生长与基因表达。此外,与正常成纤维细胞相比,Zebularine会首先抑制癌细胞的DNA甲基转移酶1,并诱导癌症相关的抗原基因表达。

细胞实验

For methylation analysis, 10T1/2 cells and T24 cells are treated with the various concentrations of zebularine. For 10T1/2 cells, the medium is changed 24 hours after the initial drug treatment, whereas for T24 cells, the medium is changed 24 hours or 48 hours after the initial drug treatment. DNA and RNA are harvested from 10T1/2 cells 72 hours after initial drug treatment and from T24 cells 96 hours after initial drug treatment. The methylation status of the indicated DNA regions is measured in two separate and independent experiments, both of which are done in duplicate[2].

描述

Zebularine is a DNA methylation inhibitor. Acts as a transition state analog inhibitor of cytidine deaminase by binding to the active size as covalent hydrates. It also inhibits cytidine deaminase (Ki: 2 μM, in a cell-free assay).

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years

For technical support and original validation data for this product please contact
T: 027-87531629 E: Proteintech-CN@ptglab.com W: ptgcn.com