For Research Use Only

3-deazaneplanocin A HCl

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Catalog Number: CM04543

产品信息

Catalog Number:
CM04543

CAS号:
120964-45-6

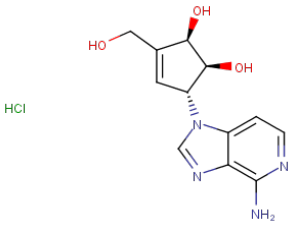
分子式:
$C_{12}H_{15}ClN_4O_3$

主要靶点:
Others|Histone Methyltransferase

主要通路:
表观遗传|其他

分子量:
298.73

溶解度:
H2O:50 mg/mL (167.38 mM),Sonification is recommended.



体外活性

EZH2 expression was detected by quantitative PCR in 15 PDAC cells, including seven primary cell cultures, showing that expression values correlated with their originator tumors (Spearman R(2) = 0.89, P = 0.01). EZH2 expression in cancer cells was significantly higher than in normal ductal pancreatic cells and fibroblasts. The 3-deazaneplanocin A HCl(5 μ mol/L, 72-hour exposure) modulated EZH2 and H3K27me3 protein expression and synergistically enhanced the antiproliferative activity of gemcitabine, with combination index values of 0.2 (PANC-1), 0.3 (MIA-PaCa-2), and 0.7 (LPC006). The drug combination reduced the percentages of cells in G(2)-M phase (e.g., from 27% to 19% in PANC-1, P < 0.05) and significantly increased apoptosis compared with gemcitabine alone. Moreover, 3-deazaneplanocin A HCl enhanced the mRNA and protein expression of the nucleoside transporters hENT1/hCNT1. 3-deazaneplanocin A HCl decreased cell migration, which was additionally reduced by 3-deazaneplanocin A HCl/gemcitabine combination (-20% in LPC006, after 8-hour exposure, P < 0.05) and associated with increased E-cadherin mRNA and protein expression. Furthermore, 3-deazaneplanocin A HCl and 3-deazaneplanocin A HCl/gemcitabine combination significantly reduced the volume of PDAC spheroids growing in CSC-selective medium and decreased the proportion of CD133+ cells[2].

体内活性

The survival of NOD/SCID mice with AML due to HL-60 cells was significantly higher, if treated with 3-deazaneplanocin A HCl and PS compared to treatment with PS, 3-deazaneplanocin A HCl, or vehicle alone (P < .05). Cotreatment with 3-deazaneplanocin A HCl and PS also did not increase the weight loss in the mice. Median survival was as follows: control, 36 days; PS, 42 days; 3-deazaneplanocin A HCl, 43 days; and 3-deazaneplanocin A HCl plus PS, 52 days.Compared with treatment with each agent alone, combined treatment with 3-deazaneplanocin A HCl and PS improved survival of NOD/SCID mice with leukemia caused by the AML HL-60 cells[3].

描述

3-deazaneplanocin A HCl is both an S-adenosyl-l-homocysteine hydrolase inhibitor and an enhancer of zeste homolog 2(EZH2) inhibitor.

储存

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