

DZNep

Catalog No: tcsc0357



Available Sizes

Size: 5mg

Size: 10mg



Specifications

CAS No:

102052-95-9

Formula:

$C_{12}H_{14}N_4O_3$

Pathway:

Epigenetics;Epigenetics

Target:

Histone Methyltransferase;Epigenetic Reader Domain

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

3-Deazaneplanocin A;3-Deazaneplanocin

Observed Molecular Weight:

262.26

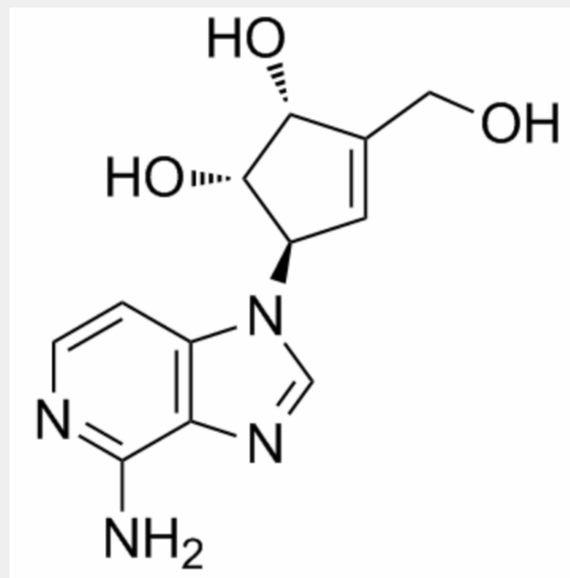
Product Description

DZNep (3-Deazaneplanocin A) is a potent **histone methyltransferase EZH2** inhibitor.

IC50 & Target: EZH2^[1]

In Vitro: DZNep (3-Deazaneplanocin A) is a potent histone methyltransferase EZH2 inhibitor. Treatment of OCI-AML3 cells with DZNep (1.0 μM) results in a significant increase in accumulation of cells in the G_0/G_1 phase (58.5%) with a concomitant decrease in the number of cells in S phase (35.2%) and G_2/M phases (6.3%) of the cell cycle (P[1]. DZNep (3-Deazaneplanocin A) reduces the expression of EZH2, especially after 72 hours (e.g. 48%, 32% and 36% reduction of EZH2 in PANC-1, MIA-PaCa-2 and LPc006 cells, respectively)^[2]. DZNep (3-Deazaneplanocin A) shows minimal growth inhibition in PANC-1 cells. More than 50% of these cells are still growing after exposure at the highest concentration (20 μM). MIA-PaCa-2 and LPc006 cells are much more sensitive, with IC_0 values of 1 ± 0.3 and 0.1 ± 0.03 μM , respectively^[2]. DZNep (3-Deazaneplanocin A) causes dose-dependent inhibition of cell proliferation of NSCLC cell lines, and the IC_0 values range from 0.08 to 0.24 μM ^[3].

In Vivo: The survival of NOD/SCID mice with acute myeloid leukemia (AML) due to HL-60 cells is significantly higher, if treated with DZNep and Panobinostat (PS) compare to treatment with PS, DZNep (3-Deazaneplanocin A), or vehicle alone (P[1]. There is a progressive increase in weight of rats treated with physiological saline in a time-dependent manner (the mean growth rate=3.19% per day). Administration of 20 mg/kg DZNep (3-Deazaneplanocin A) not only markedly reduces the relative weight of the rats compare to the initial weight (-2.0%, -4.9% and -1.2%) in the first three days post-treatment, but also suppresses the weight growth rate to 2.6% per day from the fourth day onwards post-dose^[4].



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