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DNA Methyltransferase Inhibitor – 5-Azacytidine

Chemical Name: 4-amino-1-((2R,3R,4S,5R)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydrofuran-2-yl)-1,3,5-triazin-2(1H)-one

Molecular Weight:	244.20
Formula:	$C_8H_{12}N_4O_5$
Purity:	≥98%
CAS#:	320-67-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year
	DMSO: 4 °C 3 months
	-20 °C 1 year

Biological Activity:

5-Azacytidine is a classic DNA methyltransferase inhibitor. It incorporates into DNA forming covalent adducts with cellular DNMT, depleting enzyme activity. Azacitidine is widely used to demonstrate the correlation between loss of methylation in specific gene regions and activation of the associated genes. It can also improve the efficiency of reprogramming of stem cells, induce differentiation of mesenchymal stem cells into cardiomyocytes.

How to Use:

In vitro: 5-Azacytidine was used at 3-10 μM final concentration in various in vitro assays.

In vivo: 5-Azacytidine was intraperitoneally (IP) dosed to mice at 3 mg/kg once per day. It is dissolved in 0.85% NaCl solution immediately prior to use.

Reference:

- 1. Qian Q, et al. 5-Azacytidine induces cardiac differentiation of human umbilical cord-derived mesenchymal stem cells by activating extracellular regulated kinase. (2012) Stem Cells Dev. 21(1):67-75.
- 2. Mikkelsen TS, et al. Dissecting direct reprogramming through integrative genomic analysis. (2008) Nature. 454(7200):49-55.
- 3. Schneider-Stock R, et al. 5-Aza-cytidine is a potent inhibitor of DNA methyltransferase 3a and induces apoptosis in HCT-116 colon cancer cells via Gadd45- and p53-dependent mechanisms. (2005) J Pharmacol Exp Ther. 312(2):525-36.
- 4. Christman JK, et al. 5-Azacytidine and 5-aza-2'-deoxycytidine as inhibitors of DNA methylation: mechanistic studies and their implications for cancer therapy. (2002) Oncogene. 21(35):5483-95.

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