Data Sheet (Cat.No.T1339)

TargetMol

5-Azacytidine

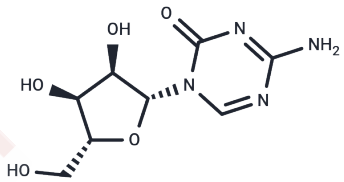
Chemical Properties

CAS No. : 320-67-2

Formula: C8H12N4O5

Molecular Weight: 244.2

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	5-Azacytidine (Ladakamycin) is a cytidine nucleoside analog, a DNA methylation inhibitor with specificity. 5-Azacytidine regulates gene expression by decreasing the level of DNA methylation. 5-Azacytidine induces autophagy and has antitumor activity.
Targets(IC50)	Nucleoside Antimetabolite/Analog,Antibacterial,Antibiotic,Autophagy,DNA Methyltransferase
In vitro	In leukemic BDF1 mice bearing L1210 ascitic tumor cells, intraperitoneal administration of Azacitidine (3 mg/kg) inhibits polynucleotide synthesis and prolongs survival time.
In vivo	In both regular eukaryotic cells and cancer cells, Azacitidine activates nucleoside triphosphates and inhibits the synthesis of DNA, RNA, and proteins, ultimately leading to cell death. Azacitidine induces cell differentiation and myotube formation in C3H10T1/2 cells. Additionally, Azacitidine suppresses cell growth in L1210 cells (IC50=0.019 μg/mL).
Kinase Assay	A crude cell-free extract is isolated from LI 210 cells in culture by suspension of the cells in a given volume of 0.05mol/LTris-HCl buffer, pH 7.4, and sonic extraction with a Biosonik at 70% maximal output for 30 sec. The supernatant is collected after centrifugation at 105,000 × g for 60 min (4°C) in a Model L Spinco ultracentrifuge. The final protein concentration of the cell-free extracts is approximately 3 mg/mL. The extracts are used as the source of enzymes. Ribonucleotide reductase activity is measured. A unit of enzyme is defined as the amount that catalyzed dCMP synthesis at a rate of 1 mμmole/hr. The assay systems for the measurement of pyrimidine nucleoside (CR) and deoxynucleoside (TdR, CdR) kinases are essentially those described by Chu and Fischer. However, reactions are terminated by heating for 2 min in a boiling water bath, and the phosphorylated derivatives are isolated according to the method of Bach. Fifty-jul aliquots are applied to 1-inch discs of diethylaminoethyl paper, which are then placed in counting vials and eluted with 0.5 mL of 0.5 mol/LPCA. After 1 hr, 12 mL of Diotol are added, and the radioactivity is determined.
Cell Research	5 mL of L1210 cells (5 × 103 cells/mL) are incubated with Azacitidine at 37 ℃ for 3 days. Cell number is determined twice a day for 3 days by means of a Model A Coulter counter.(Only for Reference)

Solubility Information

Solubility	DMSO: 262.5 mg/mL (1074.94 mM),Sonication is recommended. H2O: 10 mg/mL (40.95 mM),Sonication and heating are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	PBS: 10 mg/mL (40.95 mM),Sonication and heating are recommended. 5% DMSO+40% PEG300+5% Tween 80+50% Saline: 1 mg/mL (4.1 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.095 mL	20.475 mL	40.950 mL
5 mM	0.819 mL	4.095 mL	8.190 mL
10 mM	0.4095 mL	2.0475 mL	4.095 mL
50 mM	0.0819 mL	0.4095 mL	0.819 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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Wang W, Ren S, Lu Y, et al. Inhibition of Syk promotes chemical reprogramming of fibroblasts via metabolic rewiring and H2S production. The EMBO Journal. 2021 Jun 1;40(11):e106771. doi: 10.15252/embj.2020106771. Epub 2021 Apr 28.

Kiziltepe T, et al. 5-Azacytidine, a DNA methyltransferase inhibitor, induces ATR-mediated DNA double-strand break responses, apoptosis, and synergistic cytotoxicity with doxorubicin and bortezomib against multiple myeloma cells. Mol Cancer Ther. 2007 Jun;6(6):1718-27.

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Heby O, et al. Cancer Res. 1973, 33(1), 159-165.