5-Azacytidine

Cat. No.: HY-10586

CAS No.: 320-67-2

Molecular Formula: $C_8H_{12}N_4O_5$

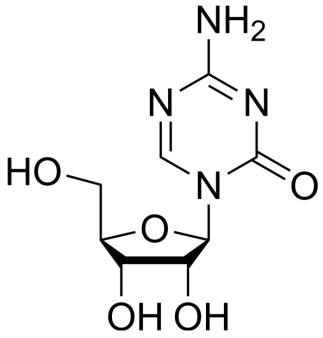
Molecular Weight: 244.2

Target: Autophagy; DNA Methyltransferase; Nucleoside Antimetabolite/Analog; Bacterial; Antibiotic; Organoid

Pathway: Autophagy; Epigenetics; Cell Cycle/DNA Damage; Anti-infection; Stem Cell/Wnt

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 31 mg/mL (126.95 mM)

H_2O : 25 mg/mL (102.38 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.0950 mL	20.4750 mL	40.9500 mL
5 mM	0.8190 mL	4.0950 mL	8.1900 mL
10 mM	0.4095 mL	2.0475 mL	4.0950 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 20 mg/mL (81.90 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (8.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.52 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

5-Azacytidine (Azacitidine; 5-AzaC; Ladakamycin) is a nucleoside analogue of cytidine that specifically inhibits DNA methylation. 5-Azacytidine is incorporated into DNA to covalently trap DNA methyltransferases and contributes to reverse

	epigenetic changes[1][2]. 5-Azacytidine induces cell autophagy[4].		
IC_{50} & Target	DNMT1	Nucleoside Antimetabolite/Analog	Autophagy
In Vitro	Unmethylated CpG islands associated with a variety of genes become partially or fully methylated in tumors and can be reactivated by 5-Azacytidine[1]. 5-Azacytidine acts as weak inducers of erythroid differentiation of Friend erythroleukemia cells in the same concentration range where they affect DNA methyltransferase activity[2]. 5-Azacytidine inhibits L1210 cells with ID_{50} and ID_{90} values of 0.019 and circa 0.15 μg/mL, respectively[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
In Vivo	TdR-^{3}H incorporation is significantly inhibited when the animals are exposed to 5-Azacitidine (100 mg/kg, i.p.) for 2 hr or longer[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		

PROTOCOL

Kinase Assay [3]	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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [3]	Twenty mL of cells (circa 1×10^4 cells/mL) are pipetted into sterilized culture tubes with screw caps and incubated at 37°C overnight. The experiment is initiated by the addition of 1 mL of 5-Azacytidine (5-azaCR) or medium for a given period (from 0 to 240 min) prior to the addition of 1 mL of metabolite (or medium). Cell growth is determined twice a day for 3 days by means of a Model A Coulter counter. To determine IDSO and ID90 values, 5 mL of L1210 cells (5×10^3 cells/mL) are incubated with the drug at 37°C for 3 days, and cell growth is determined. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	For the in vivo experiments, leukemic mice (bearing circa 1×10^3 cells/animal) are given injections i.p. with 0.2 mL of 5-Azacytidine (5-azaCR) of a given concentration. Two hr later, the reaction is started by injecting 0.5 mL of labeled metabolite (TdR-^{3}H or UR-^{3}H, 10 /μCi/12.5 μg). After 1 hr, animals (3 mice/group) are killed by cervical fracture. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Cancer. 2023 Dec 4;22(1):195.
- Adv Mater. 2023 Sep 8;e2302503.
- Nat Commun. 2023 Sep 19;14(1):5709.
- Nat Commun. 2022 May 13;13(1):2672.
- Mol Cell. 2022 Sep 29;S1097-2765(22)00896-6.

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REFERENCES

[1]. Christman JK. 5-Azacytidine and 5-aza-2'-deoxycytidine as inhibitors of DNA methylation: mechanistic studies and their implications for cancer therapy. Oncogene. 2002 Aug 12;21(35):5483-95.

[2]. Creusot F, et al. Inhibition of DNA methyltransferase and induction of Friend erythroleukemia cell differentiation by 5-azacytidineand 5-aza-2'-deoxycytidine. J Biol Chem. 1982 Feb 25;257(4):2041-8.

[3]. Li LH,et al. Cytotoxicity and mode of action of 5-azacytidine on L1210 leukemia. Cancer Res. 1970 Nov;30(11):2760-9.

[4]. Marycz K, et al. 5-Azacytidine and Resveratrol Enhance Chondrogenic Differentiation of Metabolic Syndrome-Derived Mesenchymal Stem Cells by Modulating Autophagy.Oxid Med Cell Longev. 2019 May 12;2019:1523140.

Caution: Product has not been fully validated for medical applications. For research use only.

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