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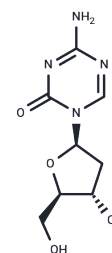
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Decitabine

Chemical Properties

CAS No. :	2353-33-5
Formula:	C ₈ H ₁₂ N ₄ O ₄
Molecular Weight:	228.21
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Decitabine (Deoxycytidine) is a deoxycytidine analog, a DNA methyltransferase inhibitor with oral activity. Decitabine has antitumor activity and antimetabolic activity. Decitabine induces cell cycle arrest and apoptosis.
Targets(IC50)	Apoptosis,Nucleoside Antimetabolite/Analog,DNA Methyltransferase
In vitro	<p>METHODS: Human acute leukemia cells molt4 were treated with Decitabine (0.00625-100 μM) for 24-96 h. Cell proliferation was detected by CCK-8.</p> <p>RESULTS: Decitabine inhibited the proliferation of molt4 cells in a dose- and time-dependent manner, with IC50s of 84.461 μM and 10.113 μM for 72 h and 96 h treatment, respectively. [1]</p> <p>METHODS: Human BCP-ALL cells SEM and RS4;11 were treated with Decitabine (1000 nM) for 72 h, and the cell cycle was detected by Flow Cytometry.</p> <p>RESULTS: Decitabine induced G0/G1 arrest in SEM cells, and the cell cycle of RS4;11 was not affected by Decitabine. [2]</p>
In vivo	<p>METHODS: To assay antitumor activity in vivo, Decitabine (0.4 mg/kg) was injected intraperitoneally into NSG mice harboring the ALL tumors SEM-ffluc-GFP or RS4;11-ffluc-GFP once daily for thirty days.</p> <p>RESULTS: Decitabine significantly delayed leukemia cell proliferation in SEM-ffluc-GFP and RS4-ffluc-derived xenograft models. [2]</p> <p>METHODS: To assay anti-tumor activity in vivo, Decitabine (0.8 mg/kg) was intraperitoneally injected into Balb-c nu/nu mice harboring the human cholangiocarcinoma tumor TFK-1 once a day for fourteen days.</p> <p>RESULTS: In TFK-1 mouse xenografts, Decitabine delayed tumor growth and increased survival in homozygous mice. [3]</p>
Kinase Assay	The rate of DNA synthesis was measured by the incorporation of radioactive thymidine into DNA. HL-60 (5 × 10 ³ cells/ml) and KG1a cells (10 ⁴ cells/ml) were suspended in 2 ml RPMI medium containing 10% fetal serum in 6-well (35 mm diameter) dishes and incubated with different concentrations of corresponding drugs for 48 h (drugs were added simultaneously). At 48 h, 0.5 μCi [³ H] thymidine (6.7 Ci/mmol) was added to each well and incubated for an additional 24 h. The cells were placed on GF/C glass fiber filters (2.4 cm diameter), washed with cold 0.9% NaCl, 5% cold trichloroacetic acid and ethanol. The filters containing the DNA were then dried, placed in EcoLite scintillation liquid (ICN) and the radioactivity measured using scintillation counter. The IC50 is defined as the concentration of drug that inhibits by 50% the DNA synthesis of the

leukemic cell lines from the dose-response curve [1].

Cell Research	For cell cycle analysis, KARPAS-299 cells were incubated for 24 h with 1 μ M of 5-aza-CdR in RPMI and grown for 4 days in fresh RPMI only. Then, 105-106 cells were suspended in 500 μ l PI-buffer (0.1% Na-citrate dihydrate, 0.1% Triton X-100, 0.1% RNase (DNAse free) in PBS). Propidium-iodide (ROTH, dissolved in PBS) was added to a concentration of 10 μ g/ml and the cells were incubated for 30 min at 37 °C. The analysis was performed on a flow cytometer using the BD FACS Diva Software. Three independent samples of 5-aza-CdR treated and PBS controls were analyzed. Descriptive statistics for analysis are reported as mean \pm SEM [4].
Animal Research	For xenografts, NOD.CB17-Prkdc ^{scid} /NCrHsd (NOD/SCID, Harlan Laboratories) mice were used. KARPAS-299 human cells were grown as described above, dissolved in sterile PBS to a concentration of 1 \times 10 ⁷ cells/ml and inoculated subcutaneously (1 \times 10 ⁶ cells/injection) into the right and left flanks of the mice. Tumor range was followed measuring tumor length and tumor width with a calliper. Mice weighed approximately 25 g at the beginning of the therapy. 5-Aza-CdR was dissolved in sterile PBS and was administered intraperitoneally (i.p.). Each mouse received 2.5 mg/kg/mouse per treatment. Control mice were administered 100 μ l of sterile PBS. Therapies were adjusted regarding start and duration of the treatment in order to obtain optimal treatment procedures. In schedule A, three mice were treated with 5-aza-CdR 11 days after inoculation, when tumor size was approximately 1 cm ² . The control group contained two mice. The mice received 5-aza-CdR or PBS every day for eight days. In schedule B, two mice were treated with 5-aza-CdR three days after inoculation and three mice five days after inoculation when tumors were not or just palpable. 5-Aza-CdR was administered every other day for five times to each mouse. The control group contained two mice [4].

Solubility Information

Solubility	H ₂ O: 11.4 mg/mL (50 mM), DMSO: 55 mg/mL (241.01 mM), ($<$ 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.3819 mL	21.9096 mL	43.8193 mL
5 mM	0.8764 mL	4.3819 mL	8.7639 mL
10 mM	0.4382 mL	2.191 mL	4.3819 mL
50 mM	0.0876 mL	0.4382 mL	0.8764 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.

Reference

Zhang G, et al. Decitabine inhibits the proliferation of human T-cell acute lymphoblastic leukemia molt4 cells and promotes apoptosis partly by regulating the PI3K/AKT/mTOR pathway. *Oncol Lett.* 2021 May;21(5):340.
Chen

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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