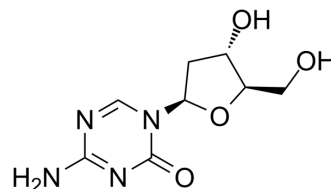


Decitabine

Cat. No.:	HY-A0004
CAS No.:	2353-33-5
Molecular Formula:	C ₈ H ₁₂ N ₄ O ₄
Molecular Weight:	228.21
Target:	DNA Methyltransferase; Apoptosis; Nucleoside Antimetabolite/Analog
Pathway:	Epigenetics; Apoptosis; Cell Cycle/DNA Damage
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (219.10 mM)
 H₂O : 20 mg/mL (87.64 mM; Need ultrasonic)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	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	2.1910 mL	4.3819 mL

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

In Vivo

- Add each solvent one by one: PBS
Solubility: 10 mg/mL (43.82 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (10.95 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (10.95 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (10.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Decitabine (NSC 127716) is an orally active deoxycytidine analogue antimetabolite and a DNA methyltransferase inhibitor. Decitabine incorporates into DNA in place of cytosine can covalently trap DNA methyltransferase to DNA causing irreversible inhibition of the enzyme. Decitabine induces cell G2/M arrest and cell apoptosis. Decitabine has potent anticancer activity^[1] [2].

IC ₅₀ & Target	DNMT1	DNMT3A	DNMT3B
In Vitro	<p>Decitabine treatment significantly inhibits cell growth of SNU719, NCC24 and KATOIII 96 hours after exposure to decitabine. Decitabine induces G2/M arrest and apoptosis in EBVaGC, inhibits invasion ability, and up-regulates E-cadherin expression for EBVaGC^[1].</p> <p>Only high concentrations (10 μM) Decitabine (0.1-1 μM; 24-72 hours) results in a G2 phase arrest, which is accompanied by a reduction of cells in G1 phase^[3].</p> <p>Decitabine up-regulates DCTPP1 and dUTPase expression in HeLa cells^[4].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Cycle Analysis^[1]</p>		
	Cell Line:	HCT116 cells	
	Concentration:	0.1, 1, 10 μM	
	Incubation Time:	24, 48, 72 hours	
	Result:	Only high drug concentrations (10 μM) resulted in a G2 phase arrest, which was accompanied by a reduction of cells in G1 phase.	
In Vivo	<p>Decitabine (1.0 mg/kg, p.o.) in combination with tetrahydrouridine (THU) causes severe toxicity occurs in female CD-1 mice, and results in an increased sensitivity to decitabine toxicity correlating with decitabine plasma levels^[5].</p> <p>Decitabine (1.0 mg/kg; i.p.; once daily for 5 consecutive days) leads to regression of EL4 tumors established in C57BL/6 Mice [7].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>		
	Animal Model:	C57BL/6 mice (bearing EL4 cells) ^[6]	
	Dosage:	1.0 mg/kg	
	Administration:	Intraperitoneal injection; once daily for 5 consecutive days	
	Result:	Caused continuous tumor regression even after Decitabine treatment was stopped.	

CUSTOMER VALIDATION

- Ann Rheum Dis. 2019 Oct;78(10):1420-1429.
- Neuro Oncol. 2022 Jun 21;noac157.
- J Allergy Clin Immunol. 2019 Jun;143(6):2038-2051.e12.
- Prog Neurobiol. 2021 Feb 23;102028.
- Theranostics. 2021 Mar 20;11(11):5605-5619.

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REFERENCES

- [1]. Nakamura M, et al. Decitabine inhibits tumor cell proliferation and up-regulates E-cadherin expression in Epstein-Barr virus-associated gastric cancer. J Med Virol. 2016 Jul 19.
- [2]. Hagemann S, et al. Azacytidine and decitabine induce gene-specific and non-random DNA demethylation in human cancer cell lines. PLoS One. 2011 Mar 7;6(3):e17388.

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- [3]. Requena CE, et al. The nucleotidohydrolases DCTPP1 and dUTPase are involved in the cellular response to decitabine. *Biochem J.* 2016 Jun 20.
- [4]. Terse P, et al. Subchronic oral toxicity study of decitabine in combination with tetrahydrouridine in CD-1 mice. *Int J Toxicol.* 2014 Mar-Apr;33(2):75-85.
- [5]. Yu J, et al. DNA methyltransferase expression in triple-negative breast cancer predicts sensitivity to decitabine. *J Clin Invest.* 2018 Jun 1;128(6):2376-2388.
- [6]. Wang LX, et al. Low dose decitabine treatment induces CD80 expression in cancer cells and stimulates tumorspecific cytotoxic T lymphocyte responses. *PLoS One.* 2013 May 9;8(5):e62924.
- [7]. Parker WB. Enzymology of purine and pyrimidine antimetabolites used in the treatment of cancer. *Chem Rev.* 2009 Jul;109(7):2880-93.
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