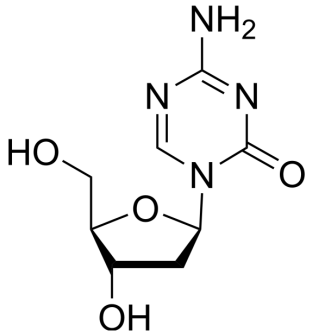
Decitabine

Cat. No.:	HY-A0004
CAS No.:	2353-33-5
Molecular Formula:	$C_8H_{12}N_4O_4$
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, 1 year; -20°C, 6 months (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (219.10 mM)

H_2O : 20 mg/mL (87.64 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	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

1. Add each solvent one by one: PBS
   Solubility: 10 mg/mL (43.82 mM); Clear solution; Need ultrasonic
2. 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
3. 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
4. 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

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].

?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].

?Decitabine up-regulates DCTPP1 and dUTPase expression in HeLa cells[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

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

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].

?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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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

- Cell. 2024 Apr 25;187(9):2288-2304.e27.
- Ann Rheum Dis. 2019 Oct;78(10):1420-1429.
- Nat Commun. 2024 May 21;15(1):4327.
- Nat Commun. 2023 Jan 10;14(1):18.
- Mol Cell. 2023 Nov 20:S1097-2765(23)00914-0.

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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.

[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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA