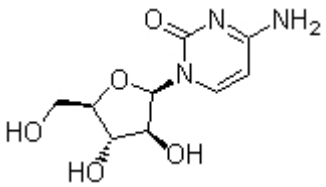
Product Introduction

Cytarabine

Cytarabine (Cytosine arabinoside, AraC) is an antimetabolic agent and **DNA synthesis** inhibitor with **IC50** of 16 nM in wild-type CCRF-CEM cells.

Technical Data:

Molecular Weight (MW):	243.22	
Formula:	$C_9H_{13}N_3O_5$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 1 mg/mL	
	Water 48 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	147-94-4	

Biological Activity

Cytarabine (AraC) is phosphorylated into a triphosphate form (Ara-CTP) involving deoxycytidine kinase (dCK), which competes with dCTP for incorporation into DNA, and then blocks DNA synthesis by inhibiting the function of DNA and RNA polymerases. Cytarabine displays a higher growth inhibitory activity towards wild-type CCRF-CEM cells compared to other acute myelogenous leukemia (AML) cells with IC50 of 16 nM. [1] Increasing concentrations of Cytarabine (IC50 of 0.69 μM) results in decreased metabolic activity of sensitive rat leukemic cell line RO/1, and the cell toxity can be highly enhanced by transfection with

human wt dCK (IC50 of 0.037 μM) but not the inactive, alternatively spliced dCK forms. [2] Cytarabine apparently induces apoptosis of rat sympathetic neurons at 10 μM, of which 100 μM shows the highest toxicity and kills over 80% of the neurons by 84 hours, involving the release of mitochondrial cytochrome-c and the activation of caspase-3, and the toxicity can be attenuated by p53 knockdown and delayed by bax deletion. [3]

Cytarabine is highly effective against acute leukaemias, which causes the characteristic G1/S blockage and synchronization, and increases the survival time for leukaemic Brown Norway rats in a weak dose-related fashion indicating that the use of higher dosages of Cytarabine does not contribute to its antileukaemic effectiveness in man. [4] Cytarabine (250 mg/kg) also causes placental growth retardation and increases placental trophoblastic cells apoptosis in the placental labyrinth zone of the pregnant Slc:Wistar rats, which increases from 3 hour after the treatment and peaks at 6 hour before returning to control levels at 48 hour, with remarkably enhanced p53 protein, p53 trancriptional target genes such as p21, cyclinG1 and fas and caspase-3 activity. [5]

The 1st of a series of cancer drugs that alters the sugar component of nucleosides.

References

[1] Tobias SC, et al. Mol Pharm, 2004, 1(2), 112-116.

[2] Veuger MJ, et al. Blood, 2002, 99(4), 1373-1380.

[3] Besirli CG, et al. Cell Death Differ, 2003, 10(9), 1045-1058.

[4] Richel DJ, Br J Cancer, 1988, 58(6), 730-733.

[5] Yamauchi H, et al. Biol Reprod, 2004, 70(6), 1762-1767.

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