Cytarabine

Cat. No.: HY-13605
CAS No.: 147-94-4
Molecular Formula: C₉H₁₃N₃O₅
Molecular Weight: 243.22
Target: DNA/RNA Synthesis; Nucleoside Antimetabolite/Analog; Autophagy; Apoptosis; HSV; Endogenous Metabolite; Orthopoxvirus
Pathway: Cell Cycle/DNA Damage; Autophagy; Apoptosis; Anti-infection; Metabolic Enzyme/Protease
Storage: Powder -20°C 3 years
        4°C 2 years
        In solvent -80°C 2 years
        -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro
H₂O : 48 mg/mL (197.35 mM; Need ultrasonic)
DMSO : 17.3 mg/mL (71.13 mM; Need ultrasonic and warming)

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Solvent Mass 1 mg</th>
<th>Solvent Mass 5 mg</th>
<th>Solvent Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>4.1115 mL</td>
<td>20.5575 mL</td>
<td>41.1150 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.8223 mL</td>
<td>4.1115 mL</td>
<td>8.2230 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.4112 mL</td>
<td>2.0558 mL</td>
<td>4.1115 mL</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

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

In Vivo
1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (411.15 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (8.55 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.55 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Cytarabine, a nucleoside analog, causes S phase cell cycle arrest and inhibits DNA polymerase. Cytarabine inhibits DNA synthesis with an IC₅₀ of 16 nM. Cytarabine has antiviral effects against HSV. Cytarabine shows anti-orthopoxvirus activity.
Cytarabine 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 IC\textsubscript{50} of 16 nM\textsuperscript{[1]}.

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\textsuperscript{[2]}.

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

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 transcriptional target genes such as p21, cyclinG1 and fas and caspase-3 activity\textsuperscript{[3]}.

Cytarabine is highly effective against acute leukaemias, which causes the Cytarabine teristic 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\textsuperscript{[4]}.

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Pregnant rats are injected intraperitoneally (i.p.) with 250 mg/kg of Cytarabine on Day 13 of gestation (GD13). Under the conditions of this experiment, congenital anomalies and growth retardation are detected at a high rate in perinatal fetuses, although the incidence of fetal death is not markedly increased. At 1, 3, 6, 9, 12, 24, and 48 h after the treatment, six dams each are killed by heart puncture under ether anesthesia, and the placentas are collected. As controls, six pregnant rats are injected i.p. with an equivalent volume of PBS on GD13 and killed at the same time point as Cytarabine-treated groups. Of the six dams obtained at each time point, three are used for histopathological analyses and three for reverse transcription-polymerase chain reaction (RT-PCR) analysis.

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- Cancer Cell. 2023 Nov 17:S1535-6108(23)00366-5.

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REFERENCES


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