Cytarabine Datasheet

Technical Data

<table>
<thead>
<tr>
<th>Molecular Weight (MW)</th>
<th>243.22</th>
</tr>
</thead>
<tbody>
<tr>
<td>Formula</td>
<td>C9H13N3O5</td>
</tr>
<tr>
<td>CAS No.</td>
<td>147-94-4,69-74-9 (HCl)</td>
</tr>
<tr>
<td>Synonyms</td>
<td>N/A</td>
</tr>
<tr>
<td>Solubility (25°C)</td>
<td>DMF 48 mg/mL</td>
</tr>
<tr>
<td></td>
<td>Water 48 mg/mL</td>
</tr>
<tr>
<td></td>
<td>Ethanol &lt;1 mg/mL</td>
</tr>
<tr>
<td>Storage</td>
<td>2 years -20°C Powder</td>
</tr>
<tr>
<td></td>
<td>2 weeks 4°C in DMF</td>
</tr>
<tr>
<td></td>
<td>6 months -80°C in DMF</td>
</tr>
</tbody>
</table>

Biological Activity

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

**Targets**
DNA synthesis

**IC50**
16 nM [1] in wild-

**In vitro**
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 toxicity 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]

**In vivo**
Cytarabine is highly effective against acute leukemias, which causes the characteristic G1/S blockage and synchronization, and increases the survival time for leukemic 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 Sprague-Dawley 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. [5]

**Clinical Trials**
Phase I-II has been completed in the study of Oxaliplatin, Fludarabine, Cytarabine and Rituximab in patients with Richter's transformation, prolymphocytic leukemia or refractory/relapsed B-cell chronic lymphocytic leukemia.

**Features**
Cytarabine is the first of a series of cancer drugs that altered the sugar component of nucleosides.

Protocol (Only for Reference)

**Kinase Assay** [1]

**In Vitro Growth Inhibition Assay**
Stock solution of Cytarabine is prepared in absolute ethanol, and serial dilutions of Cytarabine are prepared. CCRF-CEM cells are suspended in RPMI medium supplemented with 10% FBS, 0.1% gentamicin, and 1% sodium pyruvate. The cells are suspended in their respective media to give 10 mL of volume per cell suspension at a final concentration of 3.6 x 10^4 cells/mL. Appropriate volumes of Cytarabine solution are transferred to the cell suspensions, and incubation is continued for 72 hours. The cells are spun down and resuspended in fresh Cytarabine-free medium, and final cell counts are determined. The data are analyzed by sigmoidal curve fitting of the cell count versus Cytarabine concentration, and the results are expressed as the IC50 (Cytarabine concentration that inhibits cell growth to 50% of the control value).

**Cell Assay** [2]

**Cell Lines**
Rat leukemic cell lines RCL/0, RO/1 and K7 and human myelomonocytic leukemic U937

**Concentrations**
~100 μM

**Incubation Time**
24, 48 and 72 hours

**Methods**
Cells are incubated in the presence of different concentrations of Cytarabine at 37 °C for 24, 48, and 72 hours. At the time of 20-, 44-, or 68-hour incubation in the presence of Cytarabine, 10 mL of cell proliferation reagent WST-1 solution is added. After 2- and 4-hour incubation with WST-1, cell metabolic activity is assessed with colorimetric changes quantified by measuring the absorbance in a spectrophotometer at 450 nm. And cell division times are calculated from eosin counting in parallel with viability assays.

Animal Study [4]

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Tech Support: +1-832-582-8158

Ext 3

Monday–Friday
9:00 AM–5:00 PM (Central Time)

tech@selleckchem.com

We will contact you within one business day.

Website: www.selleckchem.com

www.selleckchem.com/datasheet/Cytarabine(Cytosar-U)-DataSheet.html
<table>
<thead>
<tr>
<th>Animal Models</th>
<th>Brown Norway rat with myelocytic leukaemia</th>
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</thead>
<tbody>
<tr>
<td>Formulation</td>
<td>Dissolved in phosphate-buffered saline (pH 7.0) just before use.</td>
</tr>
<tr>
<td>Doses</td>
<td>5 - 1000 mg/kg</td>
</tr>
<tr>
<td>Administration</td>
<td>Injection i.v.</td>
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</tbody>
</table>

References


PLEASE KEEP THE PRODUCT UNDER -20°C FOR LONG-TERM STORAGE.

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