Decitabine

Cat. No.: HY-A0004
CAS No.: 2353-33-5
Molecular Formula: \( \text{C}_8\text{H}_{12}\text{N}_4\text{O}_4 \)
Molecular Weight: 228.21
Target: DNA Methyltransferase
Pathway: Epigenetics
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)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td></td>
<td>4.3819 mL</td>
<td>21.9096 mL</td>
<td>43.8193 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td></td>
<td>0.8764 mL</td>
<td>4.3819 mL</td>
<td>8.7639 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td></td>
<td>0.4382 mL</td>
<td>2.1910 mL</td>
<td>4.3819 mL</td>
</tr>
</tbody>
</table>

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

In Vivo

1. 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
2. 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
3. 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 a DNA methyltransferase inhibitor commonly used to treat myelodysplastic syndromes (MDS) and acute myeloid leukemia (AML).

IC50 & Target

<table>
<thead>
<tr>
<th>IC50 &amp; Target</th>
<th>DNMT1</th>
<th>DNMT3A</th>
<th>DNMT3B</th>
</tr>
</thead>
</table>

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\(^2\).

Decitabine up-regulates DCTPP1 and dUTPase expression in HeLa cells\(^3\).

**Cell Cycle Analysis\(^1\)**

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>HCT116 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration:</td>
<td>0.1, 1, 10 µM</td>
</tr>
<tr>
<td>Incubation Time:</td>
<td>24, 48, 72 hours</td>
</tr>
<tr>
<td>Result:</td>
<td>Only high drug concentrations (10 µM) resulted in a G2 phase arrest, which was accompanied by a reduction of cells in G1 phase.</td>
</tr>
</tbody>
</table>

**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\(^4\).

Decitabine (1.0 mg/kg; i.p.; once daily for 5 consecutive days) leads to regression of EL4 tumors established in C57BL/6 Mice\(^6\).

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>C57BL/6 mice (bearing EL4 cells)(^6)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>1.0 mg/kg</td>
</tr>
<tr>
<td>Administration:</td>
<td>Intraperitoneal injection; once daily for 5 consecutive days</td>
</tr>
<tr>
<td>Result:</td>
<td>Caused continuous tumor regression even after Decitabine treatment was stopped.</td>
</tr>
</tbody>
</table>

**REFERENCES**


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