APTO-253

Cat. No.: HY-16291
CAS No.: 916151-99-0
Molecular Formula: C_{22}H_{14}FN_{5}
Molecular Weight: 367.38
Target: c-Myc; KLF; Apoptosis
Pathway: Apoptosis; MAPK/ERK Pathway
Storage: Powder -20°C 3 years
         4°C 2 years
         In solvent -80°C 6 months
                  -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO: 60 mg/mL (163.32 mM; Need ultrasonic)

<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>2.7220 mL</td>
<td>13.6099 mL</td>
<td>27.2198 mL</td>
<td></td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.5444 mL</td>
<td>2.7220 mL</td>
<td>5.4440 mL</td>
<td></td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2722 mL</td>
<td>1.3610 mL</td>
<td>2.7220 mL</td>
<td></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: 2.3 mg/mL (6.26 mM); Suspended solution; Need ultrasonic and warming
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.08 mg/mL (5.66 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

APTO-253 (LOR-253) is a small molecule that inhibits c-Myc expression, stabilizes G-quadruplex DNA, and induces cell cycle arrest and apoptosis in acute myeloid leukemia cells. APTO-253 mediates anticancer activity through induction of the Krüppel-like factor 4 (KLF4) tumor suppressor\textsuperscript{1}\textsuperscript{2}. APTO-253 has antiarthritic activity\textsuperscript{3}.

IC\textsubscript{50} & Target

c-Myc\textsuperscript{1}; KLF4\textsuperscript{2}

In Vitro

APTO-253 (LOR-253) is an inducer of KLF4. APTO-253 (5 μM) induces KLF4 expression, and enhances apoptosis induced by NSC 119875 in both SKOV3 and OVCAR3 cells. APTO-253 (5 μM) also leads to G1 phase arrest and reduces S and G2/M phase cells in SKOV3 and OVCAR3 cells\textsuperscript{1}.
APTO-253 is cytotoxic to Raji and Raji/253R cell lines, with IC\textsubscript{50}s of 105 ± 2.4 nM and 1387 ± 94 nM, respectively. APTO-253 (0.5

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μM) also causes DNA damage in Raji cells. BRCA1/2 deficient cells are hypersensitive to APTO-253. ABCG2 overexpressed HEK-293 cells are resistant to APTO-253 and inhibition of ABCG2 reverses resistance to APTO-253 in Raji/253R[2].

APTO-253 suppresses the proliferation of acute myeloid leukemia (AML) cell lines and various forms of lymphoma cell lines with IC₅₀ₐₚ ranging from 57 nM to 1.75 μM. APTO-253 (500 nM) also causes G0/G1 cell cycle arrest, induces apoptosis, and down regulates MYC RNA and protein expression in AML lines. APTO-253 (500 nM) leads to DNA damage response pathways in MV4-11 cells. Furthermore, APTO-253 is a potent stabilizer of G-quadruplex (G4) motifs, and demonstrates the greatest propensity for stabilizing the MYC G4 sequences[3].

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

### In Vivo

APTO-253 (LOR-253; 15 mg/kg; IV; twice per day for 2 consecutive days per week for 14 days) has antiarthritic activity in a CIA model[3].

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

<table>
<thead>
<tr>
<th>Animal Model</th>
<th>DBA/1J male mice (6 weeks) with collagen induced arthritis (CIA)[3]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage</td>
<td>15 mg/kg</td>
</tr>
<tr>
<td>Administration</td>
<td>IV; twice per day for 2 consecutive days per week for 14 days</td>
</tr>
<tr>
<td>Result</td>
<td>Demonstrated significant preventive and therapeutic activity on arthritis formation.</td>
</tr>
</tbody>
</table>

### CUSTOMER VALIDATION

- JCI Insight. 2022 Jul 19;e160688.
- Int Immunopharmacol. 2023 Jun 5;120:110425.

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### REFERENCES


Caution: Product has not been fully validated for medical applications. For research use only.

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