APTO-253

Cat. No.: HY-16291
CAS No.: 916151-99-0
Molecular Formula: \( \text{C}_{22}\text{H}_{14}\text{FN}_{5} \)
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 : 33.33 mg/mL (90.72 mM; Need ultrasonic)
H₂O : < 0.1 mg/mL (insoluble)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mg</td>
<td>5 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>2.7220 mL</td>
<td>13.6099 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5444 mL</td>
<td>2.7220 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2722 mL</td>
<td>1.3610 mL</td>
</tr>
</tbody>
</table>

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: 3.03 mg/mL (8.25 mM); Suspended solution; Need ultrasonic and warming

BIOLOGICAL ACTIVITY

Description
APTO-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[1][2].

IC₅₀ & Target
c-Myc[1]; KLF4[2]

In Vitro
APTO-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\(^1\).

APTO-253 is cytotoxic to Raji and Raji/253R cell lines, with IC\(_{50}\)s of 105 ± 2.4 nM and 1387 ± 94 nM, respectively. APTO-253 (0.5 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 IC\(_{50}\)s 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\(^3\).

**PROTOCOL**

**Cell Assay\(^3\)**

Cells are plated and treated with vehicle DMSO or APTO-253 (10 concentrations) in 96 well plates for 5 days at 37°C and 5% CO\(_2\). Cell viability is measured using CellTiter 96® AQeous one solution cell proliferation assay, and IC\(_{50}\) values are calculated using GraphPad Prism 7 software\(^3\).

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

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**REFERENCES**
