APTO-253

**Cat. No.:** HY-16291  
**CAS No.:** 916151-99-0  
**Molecular Formula:** $C_{22}H_{14}FN_5$  
**Molecular Weight:** 367.38  
**Target:** KLF; G-quadruplex  
**Pathway:** MAPK/ERK Pathway; Cell Cycle/DNA Damage  
**Storage:**  
- Powder: -20°C 3 years
- Powder: 4°C 2 years
- In solvent: -80°C 6 months
- In solvent: -20°C 1 month

### SOLVENT & SOLUBILITY

#### In Vitro

<table>
<thead>
<tr>
<th>Solvent</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO : 33.33 mg/mL (90.72 mM; Need ultrasonic)</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>H$_2$O : &lt; 0.1 mg/mL (insoluble)</td>
<td></td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mM</th>
<th>5 mM</th>
<th>10 mM</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.722 mL</td>
<td>13.6099 mL</td>
<td>27.2198 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5444 mL</td>
<td>2.722 mL</td>
<td>5.4440 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2722 mL</td>
<td>1.3610 mL</td>
<td>2.7220 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: 2.3 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 an inducer of Kruppel-like factor 4 (KLF4), and also stabilizes Gquadruplex, with anti-proliferative activity.

**IC$_{50}$ & Target:** KLF4$^{[1]}$, Gquadruplex$^{[3]}$

**In Vitro**

APTO-253 is an inducer of KLF4. APTO-253 (5 μM) induces KLF4 expression, and enhances apoptosis induced by cisplatin 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\textsubscript{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\textsubscript{2}. Cell viability is measured using CellTiter 96® AQueous one solution cell proliferation assay, and IC\textsubscript{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.

**CUSTOMER VALIDATION**


See more customer validations on www.MedChemExpress.com

**REFERENCES**


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