APTO-253

**Cat. No.:** HY-16291  
**CAS No.:** 916151-99-0  
**Molecular Formula:** C₂₂H₁₄FN₅  
**Molecular Weight:** 367.38  
**Target:** KLF; G-quadruplex  
**Pathway:** MAPK/ERK Pathway; Cell Cycle/DNA Damage  
**Storage:** Powder  
-20°C 3 years  
4°C 2 years  
In solvent -80°C 6 months  
-20°C 1 month  
**Solubility:** DMSO: ≥ 26 mg/mL  
*"<1 mg/mL" means slightly soluble or insoluble. "≥" means soluble, but saturation unknown.*

### PREPARING STOCK SOLUTIONS

<table>
<thead>
<tr>
<th>Volume Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.7220 mL</td>
<td>13.6099 mL</td>
<td>27.2198 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5444 mL</td>
<td>2.7220 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.

### BIOLOGICAL ACTIVITY

**Description**  
APTO-253 is an inducer of **Kruppel-like factor 4 (KLF4)**, and also stabilizes **G-quadruplex**, with anti-proliferative activity.

**IC₅₀ & Target**  
KLF4[1], G-quadruplex[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 IC₅₀s 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 IC₅₀s 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% CO₂. Cell viability is measured using CellTiter 96® AQueous one solution cell proliferation assay, and IC₅₀ 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

