ASTX660

Cat. No.: HY-109565
CAS No.: 1799328-86-1
Molecular Formula: C₃₀H₄₂FN₅O₃
Molecular Weight: 539.68
Target: IAP
Pathway: Apoptosis
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: ≥ 50 mg/mL (92.65 mM)
* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Mass (mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mg</td>
<td>5 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>1.8529 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3706 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1853 mL</td>
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</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 (4.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.63 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
ASTX660 is an orally bioavailable dual antagonist of cellular inhibitor of apoptosis protein (cIAP) and X-linked inhibitor of apoptosis protein (XIAP).

IC₅₀ & Target
cIAP, XIAP[1]
| In Vitro | ASTX660 is an orally bioavailable dual antagonist of cIAP and XIAP, currently being investigated in a single-agent Phase 1/2 clinical trial in patients with advanced solid tumors and lymphomas. Twenty-one triple-negative breast cancer (TNBC) cell lines are treated with ASTX660 in vitro and it is found that 43% are sensitive to ASTX660[1]. |
| In Vivo | In HCC1806 xenografts in mice, ASTX660 (daily oral treatment) causes moderate tumor growth inhibition but not regression[1]. |

REFERENCES