AZD3514

Cat. No.: HY-16079
CAS No.: 1240299-33-5
Molecular Formula: \( \text{C}_{25}\text{H}_{32}\text{F}_{3}\text{N}_{7}\text{O}_{2} \)
Molecular Weight: 519.56
Target: Androgen Receptor
Pathway: Others
Storage: Powder -20°C 3 years

<table>
<thead>
<tr>
<th>In solvent</th>
<th>-80°C</th>
<th>6 months</th>
</tr>
</thead>
<tbody>
<tr>
<td>-20°C</td>
<td>1 month</td>
<td></td>
</tr>
</tbody>
</table>

SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 100 mg/mL (192.47 mM)

* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>1.9247 mL</td>
<td>9.6235 mL</td>
<td>19.2471 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3849 mL</td>
<td>1.9247 mL</td>
<td>3.8494 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1925 mL</td>
<td>0.9624 mL</td>
<td>1.9247 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: ≥ 2.5 mg/mL (4.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.81 mM); Clear solution

BIOLOGICAL ACTIVITY

AZD3514 is a potent and oral androgen receptor downregulator with Ki of 2.2 μM and has ability of reducing AR protein expression. IC50 Value: 2.2 μM (Ki) Target: androgen receptor AZD3514 binds to the AR ligand binding domain and has selectivity for binding to AR over other nuclear hormone receptors [1]. In vitro: AZD3514 inhibits cell growth in prostate cancer cells expressing wild-type (VCaP) and mutated (T877A) AR (LNCaP), but is inactive in AR-negative prostate cancer cells, indicating a dependency on AR for efficacy [2]. In vivo: We assessed activity initially in the...
Hershberger castrated rat assay in which oral dosing of AZD3514 (100mg/kg once-daily for 7 days) significantly inhibited testosterone-induced growth of sexual accessory organs [2]. Clinical trial: Open-label Prostate Cancer Study. Phase 1.

REFERENCES
