Proxalutamide

**Cat. No.:** HY-103184  
**CAS No.:** 1398046-21-3  
**Molecular Formula:** C₂₄H₁₉F₄N₅O₂S  
**Molecular Weight:** 517.5  
**Target:** Androgen Receptor; SARS-CoV  
**Pathway:** Others; Anti-infection  
**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: 100 mg/mL (193.24 mM; Need ultrasonic)  

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent 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>1.9324 mL</td>
<td>9.6618 mL</td>
<td>19.3237 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3865 mL</td>
<td>1.9324 mL</td>
<td>3.8647 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1932 mL</td>
<td>0.9662 mL</td>
<td>1.9324 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 (4.83 mM); Suspended solution; Need ultrasonic  
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: 2.5 mg/mL (4.83 mM); Suspended solution; Need ultrasonic  
3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (4.83 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**  
Proxalutamide (GT0918) is an orally active potent androgen receptor (AR) antagonist. Proxalutamide (GT0918) can be used in the study for prostate cancer and COVID-19[1][2][3][4][5].

**IC₅₀ & Target**  
Androgen Receptor[1].

**In Vitro**  
Proxalutamide (GT0918) down-regulates AR protein level in prostate cancer cells[1].  
Proxalutamide can overcome the resistance of prostatic cancer cells by downregulating the expression of AR genes[4].
Proxalutamide (GT0918, 0-200 μM) dose-dependently inhibits cell viability in LNCaP and 22RV1[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Cell Viability Assay**[5].

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>Four human PCa cell lines, LNCaP, 22RV1, PC3 and DU145.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration:</td>
<td>1, 2, 5, 10, 20, 50, 100, and 200 μM.</td>
</tr>
<tr>
<td>Incubation Time:</td>
<td>Up to 72 h.</td>
</tr>
<tr>
<td>Result:</td>
<td>Preferentially affected AR-positive PCa cells (IC\textsubscript{50} values from 6.90 to 32.07 μM) over AR-negative cells (IC\textsubscript{50} &gt; 200 μM).</td>
</tr>
</tbody>
</table>

**In Vivo**

The elimination half-life (t\textsubscript{1/2}) of proxalutamide in rats is approximately 2 h regardless of whether it is administered by the intragastric or the intravenous route. The maximum plasma concentration of proxalutamide (C\textsubscript{max}) could reach 2 μg/mL or higher, and the oral absolute bioavailability (F) was approximately 80%[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>Rats[4].</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>20 mg/kg (Pharmacokinetic Analysis).</td>
</tr>
<tr>
<td>Administration:</td>
<td>Intragastrically.</td>
</tr>
<tr>
<td>Result:</td>
<td>T\textsubscript{1/2} = 2 h and F% = 80%</td>
</tr>
</tbody>
</table>

**REFERENCES**


