Leniolisib

**Cat. No.:** HY-17635  
**CAS No.:** 1354690-24-6  
**Molecular Formula:** C_{21}H_{25}F_{3}N_{6}O_{2}  
**Molecular Weight:** 450.46  
**Target:** PI3K  
**Pathway:** PI3K/Akt/mTOR  
**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 (222.00 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td></td>
<td>2.2200 mL</td>
<td>11.0998 mL</td>
<td>22.1995 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td></td>
<td>0.4440 mL</td>
<td>2.2200 mL</td>
<td>4.4399 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td></td>
<td>0.2220 mL</td>
<td>1.1100 mL</td>
<td>2.2200 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 (5.55 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution

### BIOLOGICAL ACTIVITY

**Description**  
Leniolisib (CDZ173) is a potent and selective PI3Kδ inhibitor. Leniolisib has the potential for immunodeficiency disorders treatment.

<table>
<thead>
<tr>
<th>IC_{50} &amp; Target</th>
<th>PI3Kδ</th>
<th>PI3Kα</th>
<th>PI3Kβ</th>
<th>PI3Kγ</th>
</tr>
</thead>
<tbody>
<tr>
<td>11 nM (IC_{50})</td>
<td>280 nM (IC_{50})</td>
<td>480 nM (IC_{50})</td>
<td>2.57 μM (IC_{50})</td>
<td></td>
</tr>
<tr>
<td>DNA-PK</td>
<td>880 nM (IC₅₀)</td>
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</tbody>
</table>

**In Vitro**
Expression of APDS mutant p110δ in cell lines and patient-derived lymphocytes lead to increased pathway activity, measured as phosphorylation of AKT or S6, which is suppressed by leniolisib in a concentration dependent way\(^1\).

**In Vivo**
Oral leniolisib lead to a dose-dependent reduction in PI3K/AKT pathway activity and resolve the immune dysregulation with normalization of circulating transitional and naive B cells and reduction in PD-1+CD4+ and senescent CD57+CD8+ T cells. After 12 weeks of treatment, all patients show amelioration of lymphoproliferation with lymph node sizes and spleen volumes reduced by 39% (mean, range 26-57%) and 40% (mean, range: 13-65%), respectively\(^1\).

**REFERENCES**