**Product Name:** CAL-101 (Idelalisib, GS-1101)  
**Revision Date:** 01/10/2021

**Cat. No.:** A3005  
**CAS No.:** 870281-82-6  
**Formula:** C22H18FN7O  
**M.Wt.:** 415.43  
**Synonyms:** CAL-101, CAL101, Idelalisib, GS-1101, GS1101

**Target:** PI3K/Akt/mTOR Signaling  
**Pathway:** PI3K

**Storage:** Store at -20°C

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### Solvent & Solubility

insoluble in H2O; ≥ 2.1 mg/mL in EtOH with gentle warming and ultrasonic; ≥ 80.2 mg/mL in DMSO

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Concentration</strong></td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td></td>
<td>2.4071 mL</td>
<td>12.0357 mL</td>
<td>24.0714 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.4814 mL</td>
<td>2.4071 mL</td>
<td>4.8143 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2407 mL</td>
<td>1.2036 mL</td>
<td>2.4071 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

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### Biological Activity

**Shortsummary**  
PI3K inhibitor

**IC₅₀ & Target**  
2.5 nM (p110δ)

**Cell Viability Assay**

**Cell Line:** CD19/CD5-positive CLL cells (> 90%)

**Preparation method:** The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

**Reacting conditions:** < 5 μM: dose-dependently inhibits the pro-survival effect of anti-IgM 5 μM,
24h: inhibits 2.6% activity of anti-IgM; 5 μM, 72h: maximally reduces CLL cell viability

Applications: CAL-101 abrogated the pro-survival effect of anti-IgM in a dose-dependent fashion at lower dose levels (< 5 μM). CAL-101 treatment at concentrations of > 5 μM was maximally effective over the 72-hour time course in reducing CLL cell viability. At the 5 μM concentration, CAL-101 significantly decreased the mean (SEM) pro-survival effect of anti-IgM to 92.7% (2.6%) after 24 hours.

Animal experiment

Animal models: NOD-SCID-γ-null (NSG) mice well-engrafted with de novo (n = 3) or relapsed (n = 1) childhood Ph-like ALL specimens with JAK2 mutations and/or CRLF2 alterations.

Dosage form: 30 mg/kg/day, 3 days, oral gavage

Applications: CAL101 treatments demonstrated potent in vivo inhibition of relevant phosphoproteins, including phosphorylated (p) PI3K, mTOR, S6, and AktS473. Increased phosphorylation of other measured proteins was not observed, suggesting that proximal inhibition effectively abrogated aberrant PI3K pathway signal transduction with minimal compensatory signaling upregulation.

Other notes: Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations


References


Caution
FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.