Chemical Properties

**Product Name:** AM966

**Cas No.:** 1228690-19-4

**M.Wt:** 490.93

**Formula:** C27H23ClN2O5

**Synonyms:** AM 966; AM-966

**Chemical Name:** 2-[4-[4-[4-[[1R]-1-(2-chlorophenyl)ethoxy]carbonylamino]-3-methyl-1,2-oxazol-5-yl]phenyl]phenyl]acetic acid

**Canonical SMILES:** CC1=NOC(=C1NC(=O)OC(C)C2=CC=CC=C2Cl)C3=CC=C(C=C3)C4=CC=C(C=C4)CC(=O)O

**Solubility:** >24.6mg/mL in DMSO

**Storage:** Store at -20°C

**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

**Shopping Condition:** Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

**Targets:** LPA Receptor

**Pathways:** GPCR/G protein >> LPA Receptor

**Description:** AM966 is a selective, potent and orally bioavailable antagonist of lysophosphatidic acid type 1
receptor (LPA1) with IC50 values of 17 and 19 nM for human or mouse LPA1, respectively [1]. Relative to LPA2, 3, 4, 5 receptors, AM966 shows 10-fold and 100-fold more selective in mouse and human cell lines for LPA1, respectively [1].

In vitro, AM966 shows to inhibit LPA-induced chemotaxis in IMR-90 human lung fibroblasts, A2058 human melanoma cells and CHO cells expressing LPA1 receptors [1]. In vivo, AM966 has been demonstrated to reduce total BALF cells, LDH activity, BALF collagen and total TGFβ concentrations in bleomycin-induced mice. Moreover, AM966 has been reported to block lung fibrosis, reduce lung inflammation and maintain body weight in mice induced by bleomycin [1].

**Reference:**


### Protocol

**Cell experiment:**

<table>
<thead>
<tr>
<th>Cell lines</th>
<th>CHO cells (stably expressing human LPA1 or mouse LPA1)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparation method</td>
<td>The solubility of this compound in DMSO is &gt;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.</td>
</tr>
<tr>
<td>Reacting conditions</td>
<td>100 nM, 30 min for 100% calcium release inhibition; 469 nM, 15 min for chemotaxis inhibition (IC50)</td>
</tr>
<tr>
<td>Applications</td>
<td>CHO cells were pre-treated with increasing concentrations of AM966 for 30 min and then stimulated with LPA (10–30 nM) and calcium release was measured. AM966 inhibited LPA-stimulated intracellular calcium release from CHO cells stably expressing human and mouse LPA1 receptors. AM966 was also evaluated for inhibition of LPA-induced chemotaxis in CHO cells stably expressing mouse LPA1 receptors. The IC50 value was 469±54 nM.</td>
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</tbody>
</table>

### Animal experiment [3]:

<table>
<thead>
<tr>
<th>Animal models</th>
<th>Female C57BL/6 mice</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage form</td>
<td>Oral administration, 30 or 60 mg/kg, twice daily</td>
</tr>
<tr>
<td>Applications</td>
<td>No reduction in lung fibrosis was observed in response to low dose</td>
</tr>
</tbody>
</table>
AM966 (10 mg·kg-1). However, AM966 at 30 and 60 mg·kg-1 dramatically reduced lung tissue remodelling and fibrosis so that lung architecture in these groups was similar to that of the vehicle group.

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.

Reference:

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.