ONO-7300243

Cat. No.: HY-100882
CAS No.: 638132-34-0
Molecular Formula: C₂₈H₃₁NO₅
Molecular Weight: 461.55
Target: LPL Receptor
Pathway: GPCR/G Protein
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 (216.66 mM; Need ultrasonic)
H₂O: < 0.1 mg/mL (insoluble)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>2.1666 mL</td>
<td>10.8331 mL</td>
<td>21.6661 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.4333 mL</td>
<td>2.1666 mL</td>
<td>4.3332 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2167 mL</td>
<td>1.0833 mL</td>
<td>2.1666 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: ≥ 3 mg/mL (6.50 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (6.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
ONO-7300243 is a novel, potent lysophosphatidic acid receptor 1 (LPA1) antagonist with IC₅₀ of 0.16 μM.

IC₅₀ & Target
IC₅₀: 0.19-0.13 μM (LPA1)[1]

In Vitro
ONO-7300243 shows modest in vitro activity (IC₅₀=0.16 μM). ONO-7300243 exhibits almost identical levels of antagonist activity in vitro[1].

In Vivo
ONO-7300243 shows good efficacy in vivo. The oral dosing of 17a at 30 mg/kg leads to reduced intraurethral
压力在大鼠中。ONO-7300243显示显著的活体效果（10 mg/kg i.d.时88%抑制，3 mg/kg i.d.时62%抑制）与化合物12g相比。结果表明，ONO-7300243显示良好的膜透性和良好的对大鼠肝微粒体（MS）的代谢稳定性。ONO-7300243对LPAl表现出良好的选择性，最有可能是因为低分子量和低疏水性导致减少了化合物的多效性和增加了选择性。ONO-7300243以剂量依赖性方式抑制LPA诱导的IUP增加（ID$_{50}$=11.6 mg/kg p.o.）至1小时后。在10和30 mg/kg (p<0.05 vs.车辆)时观察到显著效果。ONO-7300243（30 mg/kg, p.o.)在清醒大鼠中显著降低了IUP而没有LPA刺激，但没有影响平均血压（MBP）。rats的药代动力学研究显示ONO-7300243具有快速清除（CL$_{tot}$=15.9 mL/min/kg at 3 mg/kg i.v.)和较短的半衰期（0.3 h）[1]。

PROTOCOL

Animal Administration [1]

Rats[1]
The oral administration of ONO-7300243 (30 mg/kg, p.o.) is investigated to determine its effect on rat IUP. ONO-7300243 is studied in an LPA-induced rat intraurethral pressure (IUP) model. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES


Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA