ONO-7300243

Cat. No.: HY-100882
CAS No.: 638132-34-0
Molecular Formula: C_{28}H_{31}NO_{5}
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 2 years, -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent</th>
<th>Mass</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Concentration</td>
<td>1 mg</td>
</tr>
<tr>
<td></td>
<td>1 mM</td>
<td>2.1666 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4333 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2167 mL</td>
</tr>
</tbody>
</table>

DMSO: 100 mg/mL (216.66 mM; Need ultrasonic)

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 IC_{50} of 0.16 μM.

IC_{50} & Target
IC50: 0.19-0.13 μM (LPA1)\textsuperscript{[1]}

In Vitro
ONO-7300243 shows modest in vitro activity (IC_{50}=0.16 μM). ONO-7300243 exhibits almost identical levels of antagonist activity in vitro\textsuperscript{[1]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo
ONO-7300243 shows good efficacy in vivo. The oral dosing of 17a at 30 mg/kg leads to reduced intraurethral pressure in rats. ONO-7300243 shows stronge effects in vivo (88% inhibition at 10 mg/kg i.d., 62% inhibition at 3 mg/kg i.d.) compared with...
compound 12g. The results reveal that ONO-7300243 shows good membrane permeability and good metabolic stability against rat liver microsomes (MS). ONO-7300243 exhibits good selectivity towards LPA1 over LPA2, most likely because low molecular weight and low lipophilicity lead to reduced compound promiscuity and increased selectivity. ONO-7300243 inhibits the LPA-induced IUP increase in a dose dependent manner (ID$_{50}$=11.6 mg/kg p.o.) up to 1 h after dosing. Significant effects are observed at 10 and 30 mg/kg (p<0.05 vs. vehicle). ONO-7300243 (30 mg/kg, p.o.) leads to a significant decrease in the IUP in conscious rats without LPA stimulation compared with the vehicle without affecting the mean blood pressure (MBP). The results of a rat pharmacokinetic study of ONO-7300243 show that this material had a rapid clearance (CL$_{tot}$=15.9 mL/min/kg at 3 mg/kg i.v.) and a short half-life (0.3 h)$^{[1]}$. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**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.

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