# ONO-7300243

**Cat. No.:** HY-100882

**CAS No.:** 638132-34-0

**Molecular Formula:** $C_{28}H_{31}NO_5$

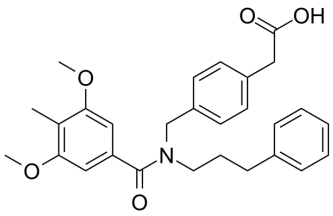
**Molecular Weight:** 461.55

**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**

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

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.1666 mL | 10.8331 mL | 21.6661 mL |
| | 5 mM | 0.4333 mL | 2.1666 mL | 4.3332 mL |
| | 10 mM | 0.2167 mL | 1.0833 mL | 2.1666 mL |

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)[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[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 LPAl 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 (CLtot=15.9 mL/min/kg at 3 mg/kg i.v.) and a short half-life (0.3 h)[1].

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## 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

[1]. Terakado M, et al. Discovery of ONO-7300243 from a Novel Class of Lysophosphatidic Acid Receptor 1 Antagonists: From Hit to Lead. ACS Med Chem Lett. 2016 Aug 19;7(10):913-918.



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