BIOLOGICAL ACTIVITY:
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 pressure in rats. ONO-7300243 shows strong 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 (ID50=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].

PROTOCOL (Extracted from published papers and Only for reference)
Animal Administration: ONO-7300243 is prepared in 0.5% methylcellulose[1][1]Ra[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.

References:

Caution: Product has not been fully validated for medical applications. For research use only.
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Product Name: ONO-7300243
Cat. No.: HY-100882
CAS No.: 638132-34-0
Molecular Formula: C28H31NO5
Molecular Weight: 461.55
Target: LPL Receptor
Solubility: 10 mM in DMSO

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