ONO-7300243

Cat. No.: HY-100882

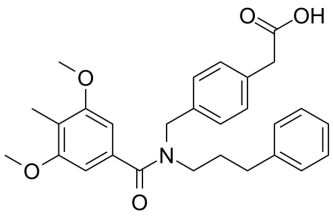
CAS No.: 638132-34-0

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

Molecular Weight: 461.55

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].

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.

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