上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：ONO-7300243
产品别名：ONO-7300243

生物活性：

Description	ONO-7300243 is a novel, potent lysophosphatidic acid receptor 1 (LPA1) antagonist with IC50 of 0.16 μM.
IC_{50} & 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 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 LPAI 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].
Solvent&Solubility	***In Vitro:*** **DMSO : 100 mg/mL (216.66 mM;** Need ultrasonic) **H_2O : < 0.1 mg/mL** (insoluble)

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 3 mg/mL (6.50 mM); Clear solution

此方案可获得 ≥ 3 mg/mL (6.50 mM，饱和度未知) 的澄清溶液。

	以 1 mL 工作液为例，取 100 μL 30.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 3 mg/mL (6.50 mM); Clear solution 此方案可获得 ≥ 3 mg/mL (6.50 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 30.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Animal Administration	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.
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.