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：Laropiprant
产品别名：拉罗皮兰； MK-0524

生物活性：

Description	Laropiprant is a potent, selective DP receptor antagonist with Ki values of 0.57 nM and 2.95 nM for DP receptor and TP Receptor, respectively.	
IC_{50} & Target	DP/DP1 Receptor	TP Receptor
	0.57 nM (Ki)	2.95 nM (Ki)
In Vitro	Laropiprant is a potent, selective DP receptor antagonist with Ki values of 0.57 nM and 2.95 nM for DP receptor and TP Receptor, respectively.[1]. Laropiprant (1 μM) causes a significant inhibition of the aggregation but still counteractes the pronounced inhibition caused by PGD2 (30 nM) and BW245c (3 nM). Laropiprant blocks DP receptor-dependent increase in VASP phosphorylation, as well as inhibition of P-selectin expression, GPIIb/IIIa activation and in vitro thrombus formation. Laropiprant antagonizes the increased platelet aggregation by TP and EP3 receptor activation. Laropiprant (10 μM) and niacin inhibit in vitro thrombus formation[2].	

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (229.41 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.2941 mL	11.4705 mL	22.9410 mL
	5 mM	0.4588 mL	2.2941 mL	4.5882 mL
	10 mM	0.2294 mL	1.1471 mL	2.2941 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: ≥ 2.5 mg/mL (5.74 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.74 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 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: ≥ 2.5 mg/mL (5.74 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.74 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Sturino CF, et al. Discovery of a potent and selective prostaglandin D2 receptor antagonist, [(3R)-4-(4-chloro-benzyl)-7-fluoro-5-(methylsulfonyl)-1,2,3,4-tetrahydrocyclopenta[b]indol-3-yl]-acetic acid (MK-0524). J Med Chem. 2007 Feb 22;50(4):794-806. [2]. Philipose S, et al. Laropiprant Attenuates EP3 and TP Prostanoid Receptor-Mediated Thrombus Formation. PLoS One. 2012;7(8):e40222.
实验参考：	
Cell Assay	Vena8Fluoro+ Biochips are coated with collagen (200 μg/mL) at 4°C overnight and thereafter blocked with bovine serum albumin (10 μg/mL) for 30 minutes at room temperature followed by washing steps. Whole blood collected in sodium citrate is incubated with 3, 3-dihexyloxacarbocyanine iodide (1 μM) in the dark for 10 minutes. PGD2 (30 nM), BW245c (3 nM) are added 10 min before the start of perfusion, and the DP antagonist BWA868c or Laropiprant (1 μM) are added 10 min before the agonists. In another set of experiments whole blood is treated with niacin (3 mM), acetylsalicylic acid (1 mM) or Laropiprant (1 μM and 10 μM) for 30 min. $CaCl_2$ at a final concentration of 1 mM is added 2 minutes before the perfusion over the collagen-coated chip. Perfusion is carried out at a shear rate of 30 dynes cm^2. Thrombus formation is recorded. Computerized image analysis is performed by DucoCell analysis software, where the area covered by the thrombus is calculated. Data are expressed as percent of area covered in a control sample[2].
References	[1]. Sturino CF, et al. Discovery of a potent and selective prostaglandin D2 receptor antagonist, [(3R)-4-(4-chloro-benzyl)-7-fluoro-5-(methylsulfonyl)-1,2,3,4-tetrahydrocyclopenta[b]indol-3-yl]-acetic acid (MK-0524). J Med Chem. 2007 Feb 22;50(4):794-806. [2]. Philipose S, et al. Laropiprant Attenuates EP3 and TP Prostanoid Receptor-Mediated Thrombus Formation. PLoS One. 2012;7(8):e40222.