产品名称： **[5-氟-2-甲基-3-(喹啉-2-基甲基)吲哚-1-基]乙酸**
产品别名：**OC000459； Timapiprant**

生物活性：

Description	Timapiprant (OC000459) is a potent, selective, and orally active D prostanoid receptor 2 (DP_2, also known as CRTH2) antagonist. Timapiprant (OC000459) potently displaces [^{3}H] PGD2 from human recombinant DP_2 (K_i=13 nM), rat recombinant DP_2 (K_i=3 nM), and human native DP_2 (K_i=4 nM). Timapiprant (OC000459) inhibits mast cell activation of Th2 lymphocytes and eosinophils [1].
IC_{50} & Target	Ki: 0.013 μM (human recombinant DP2); 0.003 μM (rat recombinant DP2) and 0.004 μM (human native DP2)[1]
In Vitro	Timapiprant (OC000459) (0.0001 μM-10 μM; 5 hours) inhibits chemotaxis (IC50=0.028 μM) of human Th2 lymphocytes and cytokine production (IC50=0.019 μM) by human Th2 lymphocytes[1]. Timapiprant (OC000459) (1 μM) inhibits the activation of Th2 cells and eosinophils in response to supernatants from IgE/anti-IgE-activated human mast cells[1]. Timapiprant (OC000459) (1 nM–1000 nM; 16 hours) inhibits the anti-apoptotic effect of PGD2 on Th2 cells with an IC50 of 0.035 uM[1].

Apoptosis Analysis[1]

Cell Line:	Th2 Cells
Concentration:	0.0001 μM-10 μM
Incubation Time:	16 hours
Result:	Inhibited the antiapoptotic effect of PGD2.

In Vivo	Timapiprant (OC000459) (gavage; 2 mg/kg, 10 mg/kg) inhibits blood eosinophilia induced by 13,14-dihydro-15-keto-PGD2 (DK-PGD2) in Rat (ED50=0.04 mg/kg)[1]. Timapiprant (OC000459) (gavage; 0.01 mg/kg, 0.1 mg/kg, 1.0 mg/kg) inhibits airway eosinophilia in response to an aerosol of DK-PGD2 in guinea pigs (ED50=0.01 mg/kg)[1].

Solvent&Solubility

In Vitro:

DMSO : 10 mg/mL (28.71 mM; ultrasonic and warming and heat to 60°C)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8705 mL	14.3526 mL	28.7051 mL
	5 mM	0.5741 mL	2.8705 mL	5.7410 mL
	10 mM	0.2871 mL	1.4353 mL	2.8705 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: ≥ 0.4 mg/mL (1.15 mM); Clear solution 此方案可获得 ≥ 0.4 mg/mL (1.15 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 4.0 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。
References	[1]. Pettipher R, Vinall SL, Xue L, Pharmacologic profile of OC000459, a potent, selective, and orally active D prostanoid receptor 2 antagonist that inhibits mast cell-dependent activation of T helper 2 lymphocytes and eosinophils. J Pharmacol Exp Ther. 2012 Feb;340(2):473-82.