产品名称：**Rupatadine Fumarate**
产品别名：富马酸卢帕他定

生物活性：

Description

Rupatadine Fumarate (UR-12592 Fumarate) is a potent dual PAF/H1 antagonist with Ki of 0.55/0.1 uM(rabbit platelet membranes/guinea pig cerebellum membranes). IC50 value: Target: PAF/H1 antagonist in vitro: Rupatadine competitively inhibited histamine-induced guinea pig ileum contraction (pA2 = 9.29 +/- 0.06) without affecting contraction induced by ACh, serotonin or leukotriene D4 (LTD4). It also competitively inhibited PAF-induced platelet aggregation in washed rabbit platelets (WRP) (pA2 = 6.68 +/- 0.08) and in human platelet-rich plasma (HPRP) (IC50 = 0.68 microM), while not affecting ADP- or arachidonic acid-induced platelet aggregation [1]. The IC50 for rupatadine in A23187, concanavalin A and anti-IgE induced histamine release was 0.7+/-0.4 microM, 3.2+/-0.7 microM and 1.5+/-0.4 microM, respectively whereas for loratadine the IC50 was 2.1+/-0.9 microM, 4.0+/-1.3 M and 1.7+/-0.5 microM. SR-27417A exhibited no inhibitory effect [2]. in vivo: Rupatadine blocked histamine- and PAF-induced effects in vivo, such as hypotension in rats (ID50 = 1.4 and 0.44 mg/kg i.v., respectively) and bronchoconstriction in guinea pigs (ID50 = 113 and 9.6 micrograms/kg i.v.). Moreover, it potently inhibited PAF-induced mortality in mice (ID50 = 0.31 and 3.0 mg/kg i.v. and p.o., respectively) and endotoxin-induced mortality in mice and rats (ID50 = 1.6 and 0.66 mg/kg i.v.) [1]. rupatadine treatment improved the declined lung function and significantly decreased animal death. Moreover, rupatadine was able not only to attenuate silica-induced silicosis but also to produce a superior therapeutic efficacy compared to pirfenidone, histamine H1 antagonist loratadine, or PAF antagonist CV-3988 [3].

Solvent&Solubility

In Vitro:

DMSO : 30 mg/mL (56.39 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8796 mL	9.3980 mL	18.7959 mL
	5 mM	0.3759 mL	1.8796 mL	3.7592 mL
	10 mM	0.1880 mL	0.9398 mL	1.8796 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 (4.70 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.70 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% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.70 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。
References	[1]. Merlos M, et al. Rupatadine, a new potent, orally active dual antagonist of histamine and platelet-activating factor (PAF). J Pharmacol Exp Ther. 1997 Jan;280(1):114-21. [2]. Queralt M, et al. In vitro inhibitory effect of rupatadine on histamine and TNF-alpha release from dispersed canine skin mast cells and the human mast cell line HMC-1. Inflamm Res. 2000 Jul;49(7):355-60. [3]. Lv XX, et al. Rupatadine protects against pulmonary fibrosis by attenuating PAF-mediated senescence in rodents. PLoS One. 2013 Jul 15;8(7):e68631.