产品名称：依匹斯汀
产品别名：Epinastine；WAL801

生物活性：

Description

Epinastine(WAL801) is an antihistamine and mast cell stabilizer that is used in eye drops to treat allergic conjunctivitis. Target: Histamine Receptor Epinastine shows a high affinity to H1-receptors in receptor binding studies in the guinea pig ileum. Epinastine inhibits histamine-induced reactions in the skin or the lung of rats, dogs and guinea pigs [1]. Epinastine is able to displace specific [3H]NC-5Z binding at low concentrations in the locust nervous tissue. Epinastine binds to the honey bees neuronal octopamine receptor with Ki of 1.1 nM. Epinastine antagonises octopamine-induced cAMP formation in the insect brain [2]. Epinastine causes an inhibition of histamine release from rat peritoneal mast cells induced by both antigen-antibody reaction and compound 48/80. Epinastine is similarly effective in inhibiting compound 48/80-induced histamine release not only from isolated rat peritoneal mast cells but also from rat mesenterial pieces. Epinastine is effective in inhibiting not only Ca2+ uptake into lung mast cells in actively sensitized guinea pigs but also Ca2+ release from the intracellular Ca store of rat peritoneal mast cells exposed to both compound 48/80 and substance P [3]. Epinastine shows a dose- and time-dependent suppressive effect on IL-8, one of the chemokines for eosinophils, released from eosinophils isolated from atopic diseases [4].

Solvent&Solubility

In Vitro:

DMSO : ≥ 50 mg/mL (200.55 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.0111 mL	20.0554 mL	40.1107 mL
	5 mM	0.8022 mL	4.0111 mL	8.0221 mL
	10 mM	0.4011 mL	2.0055 mL	4.0111 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 (10.03 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (10.03 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 (10.03 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (10.03 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。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (10.03 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (10.03 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]. Fugner, A., et al., In vitro and in vivo studies of the non-sedating antihistamine epinastine. Arzneimittelforschung, 1988. 38(10): p. 1446-53. [2]. Roeder, T., J. Degen, and M. Gewecke, Epinastine, a highly specific antagonist of insect neuronal octopamine receptors. Eur J Pharmacol, 1998. 349(2-3): p. 171-7. [3]. Kamei, C., et al., Antiallergic effect of epinastine (WAL 801 CL) on immediate hypersensitivity reactions: (I). Elucidation of the mechanism for histamine release inhibition. Immunopharmacol Immunotoxicol, 1992. 14(1-2): p. 191-205. [4]. Kohyama, T., et al., A novel antiallergic drug epinastine inhibits IL-8 release from human eosinophils. Biochem Biophys Res Commun, 1997. 230(1): p. 125-8.