产品名称：环丙基[4-[3-(1H-咪唑-4-基)丙氧基]苯基]甲酮马来酸盐
产品别名：Ciproxifan maleate

生物活性：

Description

Ciproxifan maleate(FUB-359 maleate) is a highly potent and selective histamin H3-receptor antagonist with IC50 of 9.2 nM, with low apparent affinity at other receptor subtypes. IC50 value: Target: H3 receptor In vitro, Ciproxifan behaved as a competitive antagonist at the H3 autoreceptor controlling 3H histamine release from synaptosomes and displayed similar Ki values (0.5-1.9 nM) at the H3 receptor controlling the electrically-induced contraction of guinea pig ileum or at the brain H3 receptor labeled with 125I-iodoproxyfan. This appears to be an orally bioavailable, extremely selective and potent H3-receptor antagonist whose vigilance- and attention-promoting effects are promising for therapeutic applications in aging disorders.

Solvent&Solubility

In Vitro:

DMSO： 100 mg/mL (258.80 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5880 mL	12.9400 mL	25.8799 mL
	5 mM	0.5176 mL	2.5880 mL	5.1760 mL
	10 mM	0.2588 mL	1.2940 mL	2.5880 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 (6.47 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.47 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 (6.47 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.47 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 (6.47 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (6.47 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]. Motawaj M, Arrang JM. Ciproxifan, a histamine H?-receptor antagonist?/?inverse agonist, modulates methamphetamine-induced sensitization in mice. Eur J Neurosci. 2011 Apr;33(7):1197-204. doi: 10.1111/j.1460-9568.2011.07618.x. [2]. Bardgett ME, Davis NN, Schultheis PJ, Griffith MS. Ciproxifan, an H3 receptor antagonist, alleviates hyperactivity and cognitive deficits in the APP Tg2576 mouse model of Alzheimer's disease. Neurobiol Learn Mem. 2011 Jan;95(1):64-72. [3]. Bardgett ME, Points M, Kleier J, Blankenship M, Griffith MS. The H3 antagonist, ciproxifan, alleviates the memory impairment but enhances the motor effects of MK-801 (dizocilpine) in rats. Neuropharmacology. 2010 Nov;59(6):492-502. [4]. Day M, et al . Differential effects of ciproxifan and nicotine on impulsivity and attention measures in the 5-choice serial reaction time test. Biochem Pharmacol. 2007 Apr 15;73(8):1123-34. [5]. Pillot C, Héron A, Schwartz JC, Arrang JM. Ciproxifan, a histamine H3-receptor antagonist/inverse agonist, modulates the effects of methamphetamine on neuropeptide mRNA expression in rat striatum. Eur J Neurosci. 2003 Jan;17(2):307-14.