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产品名称：EPTAPIRONE
产品别名： F 11440

生物活性：

Description	Eptapirone (F11440) is a potent, selective, high efficacy 5-HT1A receptor agonist with marked anxiolytic and antidepressant potential.
In Vitro	The affinity of Eptapirone (F11440) for 5-HT1Abinding sites (pKi, 8.33) was higher than that of buspirone (pKi , 7.50), and somewhat lower than that of flesinoxan (pKi , 8.91).In vivo, Eptapirone (F11440) was 4- to 20-fold more potent than flesinoxan, and 30- to 60-fold more potent than buspirone, in exerting 5-HT1A agonist activity at pre- and postsynaptic receptors in rats (measured by, for example, its ability to decrease hippocampal extracellular serotonin (5-HT) levels and to increase plasma corticosterone levels, respectively). Eptapirone (F11440), shown here to be a potent, selective, high efficacy 5-HT1Areceptor agonist, appears to have the potential to exert marked anxiolytic and antidepressant activity in humans.[1]

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (144.76 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8952 mL	14.4760 mL	28.9519 mL
	5 mM	0.5790 mL	2.8952 mL	5.7904 mL
	10 mM	0.2895 mL	1.4476 mL	2.8952 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 (7.24 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.24 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 (7.24 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.24 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 (7.24 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.24 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]. Koek W, et al. F 11440, a potent, selective, high efficacy 5-HT1A receptor agonist with marked anxiolytic and antidepressant potential. J Pharmacol Exp Ther. 1998 Oct;287(1):266-83.

实验参考：

Cell Assay	Eptapirone (F11440) is dissolved in DMSO. The HeLa cell line permanently transfected with the human 5-HT1A receptor gene and permanently expressing the 5-HT1A receptor protein (HA7). In subsequent experiments, the maximum effect of Eptapirone (F11440) is compared with those of other compounds by repeated testing (n=9) at a concentration of 10^{-5} M (i.e., a concentration at which the reference compounds used here appeared to attain their maximal effects) in a first series of experiments and at 10^{-4} M in a second series. Data from each series were analyzed statistically by means of a one-way analysis of variance followed by sequential paired comparisons by means of Newman-Keuls tests[1].
Animal Administration	Rats[1] For in vivo studies, F 11440 was suspended in distilled water by adding Tween 80 (2 drops/10 ml). When injected i.v., F 11440 was dissolved in a mixture of 60% PEG and 40% physiological saline. Doses are expressed as the weight of the free base. Twenty-four hours before use in the experiments, rats were housed individually in a restricted area (accessible only to the experimenter) and received 15 g standard laboratory food (water continued to be available freely). Experiments, consisting of drug treatments after which animals were decapitated and trunk blood was collected, were conducted between 8:00 a.m. and 10:30 a.m. F 11440 (or vehicle) was administered 60 min before decapitation when given p.o., and 30 min before decapitation when given i.p.[1].
References	[1]. Koek W, et al. F 11440, a potent, selective, high efficacy 5-HT1A receptor agonist with marked anxiolytic and antidepressant potential. J Pharmacol Exp Ther. 1998 Oct;287(1):266-83.