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产品名称: **Eltoprazine Hydrochloride**
产品别名: 依托拉嗪盐酸盐; **DU 28853 hydrochloride**

生物活性:					
Description	Eltoprazine hydrochloride (DU 28853 hydrochloride) is a serenic or antiaggressive agent which as an agonist at the 5-HT1A and 5-HT1B receptors and as an antagonist at the 5-HT2C receptor. IC50 value: Target: 5-HT1A/1B agonist; 5-HT2C antagonist in vitro: The binding of [3H]eltoprazine to whole tissue sections was saturable and revealed an apparent dissociation constant (Kd) of 11 nM. Specific [3H]eltoprazine binding was completely displaced by 5-HT; conversely, unlabelled eltoprazine reduced [3H]5-HT binding to the levels of non-specific binding [1]. Eltoprazine evoked membrane changes that were similar to but much weaker than those induced by 5HT. Both the 5HT- and eltoprazine-evoked membrane hyperpolarizations were largely suppressed in the presence of spiperone [2]. in vivo: eltoprazine is extremely effective in suppressing dyskinesia in experimental models, although this effect was accompanied by a partial worsening of the therapeutic effect of l-dopa. Interestingly, eltoprazine was found to (synergistically) potentiate the antidyskinetic effect of amantadine. The current data indicated that eltoprazine is highly effective in counteracting dyskinesia in preclinical models [3]. Rats were chronically treated with mianserin (10 mg/kg i.p.) or eltoprazine (1 mg/kg i.p.) and were tested in the elevated plus-maze test for anxiety. Mianserin and eltoprazine displayed opposite effects in the elevated plus-maze: mianserin induced anxiolytic-like effects, while eltoprazine showed anxiogenic-like ones [4].				
	In Vitro: H2O : ≥ 100 mg/mL (389.51 mM) DMSO : ≥ 31 mg/mL (120.75 mM) * "≥" means soluble, but saturation unknown.				
Solvent&Solubility	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	3.8951 mL	19.4757 mL	38.9514 mL
		5 mM	0.7790 mL	3.8951 mL	7.7903 mL
		10 mM	0.3895 mL	1.9476 mL	3.8951 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 (9.74 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (9.74 mM, 饱和度未知) 的澄清溶液。				



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	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 $\rightarrow$ 90% (20% SBE-β-CD in saline) Solubility: $\geq$ 2.5 mg/mL (9.74 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (9.74 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 $\rightarrow$ 90% corn oil Solubility: $\geq$ 2.5 mg/mL (9.74 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (9.74 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]. Sijbesma H, et al. Eltoprazine, a drug which reduces aggressive behaviour, binds selectively to 5-HT₁ receptor sites in the rat brain: an autoradiographic study. Eur J Pharmacol. 1990 Feb 20;177(1-2):55-66. [2]. Joels M, et al. Eltoprazine suppresses hyperpolarizing responses to serotonin in rat hippocampus. J Pharmacol Exp Ther. 1990 Apr;253(1):284-9. [3]. Bezard E, et al. Study of the antidyskinetic effect of eltoprazine in animal models of levodopa-induced dyskinesia. Mov Disord. 2013 Jul;28(8):1088-96. [4]. Rocha B, et al. Chronic mianserin or eltoprazine treatment in rats: effects on the elevated plus-maze test and on limbic 5-HT_{2C} receptor levels. Eur J Pharmacol. 1994 Sep 1;262(1-2):125-31.

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