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产品名称: 1-(2,3-二氢-1,4-苯并二烷-5-基)哌嗪盐酸盐  
产品别名: Eltoprazine; 依托拉嗪; DU 28853

| 生物活性:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                          |           |            |            |
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| Description                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  | Eltoprazine(DU28853) 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]. |                          |           |            |            |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | <b>In Vitro:</b><br><b>DMSO : ≥ 25 mg/mL (113.50 mM)</b><br><br>* "≥" means soluble, but saturation unknown.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                          |           |            |            |
| Solvent&Solubility                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           | Preparing<br>Stock Solutions                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           | Solvent<br>Concentration | Mass      |            |            |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                          | 1 mg      | 5 mg       | 10 mg      |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | 1 mM                     | 4.5399 mL | 22.6994 mL | 45.3988 mL |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | 5 mM                     | 0.9080 mL | 4.5399 mL  | 9.0798 mL  |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | 10 mM                    | 0.4540 mL | 2.2699 mL  | 4.5399 mL  |
| <b>*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。</b><br><br>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。<br><br><b>In Vivo:</b><br><br>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：<br><br>——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<br><br>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline<br><br>Solubility: ≥ 2.5 mg/mL (11.35 mM); Clear solution<br><br>此方案可获得 ≥ 2.5 mg/mL (11.35 mM, 饱和度未知) 的澄清溶液。<br><br>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。 |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                          |           |            |            |



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|            | <p>向上述体系中加入 50 <math>\mu</math>L Tween-80, 混合均匀; 然后继续加入 450 <math>\mu</math>L 生理盐水定容至 1 mL。</p> <p>2.请依序添加每种溶剂: 10% DMSO<math>\rightarrow</math> 90% (20% SBE-<math>\beta</math>-CD in saline)</p> <p>Solubility: <math>\geq</math> 2.5 mg/mL (11.35 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.5 mg/mL (11.35 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-CD 生理盐水水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO <math>\rightarrow</math> 90% corn oil</p> <p>Solubility: <math>\geq</math> 2.5 mg/mL (11.35 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.5 mg/mL (11.35 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。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中, 混合均匀。</p> |
| References | <p>[1]. Sijbesma H, et al. Eltoprazine, a drug which reduces aggressive behaviour, binds selectively to 5-HT<sub>1</sub> receptor sites in the rat brain: an autoradiographic study. Eur J Pharmacol. 1990 Feb 20;177(1-2):55-66.</p> <p>[2]. Joels M, et al. Eltoprazine suppresses hyperpolarizing responses to serotonin in rat hippocampus. J Pharmacol Exp Ther. 1990 Apr;253(1):284-9.</p> <p>[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.</p> <p>[4]. Rocha B, et al. Chronic mianserin or eltoprazine treatment in rats: effects on the elevated plus-maze test and on limbic 5-HT<sub>2C</sub> receptor levels. Eur J Pharmacol. 1994 Sep 1;262(1-2):125-31.</p>                                                   |

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