

产品名称：盐酸环苯扎林  
产品别名：**Cyclobenzaprine hydrochloride**

生物活性：

Description

Cyclobenzaprine hydrochloride (MK130 hydrochloride) is a skeletal muscle relaxant and a central nervous system (CNS) depressant. Target: 5-HT Receptor 2A Cyclobenzaprine hydrochloride is a skeletal muscle relaxant and a central nervous system (CNS) depressant. Cyclobenzaprine hydrochloride was thought to be an alpha 2-adrenoceptor agonist that reduced muscle tone by decreasing the activity of descending noradrenergic neurons. Cyclobenzaprine hydrochloride reduced the monosynaptic reflex amplitude dose dependently and this effect was not inhibited by the alpha 2-adrenoceptor antagonists idazoxan and yohimbine. Cyclobenzaprine-induced monosynaptic reflex depression was not attenuated by noradrenergic neuronal lesions produced by 6-hydroxydopamine. Cyclobenzaprine hydrochloride is a 5-HT<sub>2</sub> receptor antagonist and that its muscle relaxant effect is due to inhibition of serotonergic, not noradrenergic, descending systems in the spinal cord [1]. The inhibitory effects of Cyclobenzaprine hydrochloride on mono- and polysynaptic reflex potentials are due to the inhibition of descending serotonergic systems through 5-HT<sub>2</sub> receptors in the spinal cord [2].

Solvent&Solubility

***In Vitro:***

H<sub>2</sub>O : ≥ 100 mg/mL (320.67 mM)

DMSO : 50 mg/mL (160.33 mM; Need ultrasonic)

\* "≥" means soluble, but saturation unknown.

Preparing  Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
		1 mM	3.2067 mL	16.0333 mL	32.0667 mL
		5 mM	0.6413 mL	3.2067 mL	6.4133 mL
	10 mM	0.3207 mL	1.6033 mL	3.2067 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℃，6 months；-20℃，1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 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 (8.02 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.02 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 (8.02 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.02 mM，饱和度未知) 的澄清溶液。

	<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 (8.02 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.5 mg/mL (8.02 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]. Kobayashi, H., Y. Hasegawa, and H. Ono, Cyclobenzaprine, a centrally acting muscle relaxant, acts on descending serotonergic systems. Eur J Pharmacol, 1996. 311(1): p. 29-35.</p> <p>[2]. Honda, M., T. Nishida, and H. Ono, Tricyclic analogs cyclobenzaprine, amitriptyline and cyproheptadine inhibit the spinal reflex transmission through 5-HT(2) receptors. Eur J Pharmacol. 2003. 458(1-2): p. 91-9.</p>



源叶生物