

产品名称：吗氯贝胺
产品别名：Moclobemide

生物活性：

Description

Moclobemide(Ro111163) is a reversible monoamine oxidase inhibitor (MAOI) selective for isoform A (RIMA) used to treat major depressive disorder. Target: Monoamine Oxidase Moclobemide orally administered 2 hours before decapitation preferentially inhibits MAO-A and PEA in rat brain with ED50 of 7.6 $\mu\text{mol/kg}$ and 78 $\mu\text{mol/kg}$, respectively. Moclobemide orally administered 2 hours before decapitation preferentially inhibits MAO-A and PEA in rat liver with ED50 of 8.4 $\mu\text{mol/kg}$ and 6.6 $\mu\text{mol/kg}$, respectively. Moclobemide (0.1 mM), which inhibits brain MAO-A activity by over 80%, does not affect benzylamine oxidase (rat heart) and diamine oxidase (rat small intestine) activity in vitro [1]. Moclobemide (10 mM-100 mM) includes in the culture medium during anoxia or with glutamate significantly increases in a concentration-dependent manner the amount of surviving neurons compared to controls in neuronal-astroglial cultures from rat cerebral cortex [2]. Moclobemide (10 mg/kg p.o.) induces a significant decrease of all monoamine metabolites measured in rat brain [1]. Moclobemide, given via the drinking water (4.5 mg/kg/day), produces significant decreases in adrenal weight of rats after 5 (-23%) and 7 weeks (-16%) of treatment. Moclobemide upregulates hippocampal mineralocorticoid receptor (MR) levels in rats by 65%, 76% and 19% at 2 weeks, 5 weeks and 7 weeks of treatment, and upregulates Glucocorticoid receptor (GR) levels in this limbic brain structure by 10% at 5 weeks. Moclobemide treatment (5 weeks, 4.5 mg/kg/day) significantly attenuates stress (30 min novel environment)-induced plasma ACTH (-35%) and corticosterone (-29%) levels [3].

In Vitro:

DMSO : 100 mg/mL (372.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
	1 mM	3.7211 mL	18.6053 mL	37.2107 mL	
	5 mM	0.7442 mL	3.7211 mL	7.4421 mL	
	10 mM	0.3721 mL	1.8605 mL	3.7211 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 (9.30 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (9.30 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。

	<p>2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (9.30 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (9.30 mM, 饱和度未知) 的澄清溶液。</p> <p>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。</p> <p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (9.30 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (9.30 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 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。</p>
References	<p>[1]. <u>Da Prada, M., et al., Neurochemical profile of moclobemide, a short-acting and reversible inhibitor of monoamine oxidase type A. J Pharmacol Exp Ther, 1989, 248(1): p. 400-14.</u></p> <p>[2]. <u>Verleye, M., et al., Moclobemide attenuates anoxia and glutamate-induced neuronal damage in vitro independently of interaction with glutamate receptor subtypes. Brain Res, 2007, 1138: p. 30-8.</u></p> <p>[3]. <u>Reul, J.M., et al., Hypothalamic-pituitary-adrenocortical axis changes in the rat after long-term treatment with the reversible monoamine oxidase-A inhibitor moclobemide. Neuroendocrinology, 1994, 60(5): p. 509-19.</u></p>

源叶生物