产品名称：甲磺酸培高利特
产品别名：Pergolide mesylate

生物活性：

Description

Pergolide mesylate is an antiparkinsonian agent which functions as a dopaminergic agonist. Target: Dopamine Receptor Pergolide mesylate (trade name Permax) is an ergoline-based dopamine receptor agonist used in some countries for the treatment of Parkinson's disease. Pergolide mesylate functions as an agonist at the dopamine D2, D1 and serotonin 5-HT1A, 5-HT1B, 5-HT2A, 5-HT2B, and 5-HT2C receptors. It may possess agonist activity at other dopamine receptor subtypes as well, similar to cabergoline [1, 2]. Pergolide mesylate decreases plasma prolactin concentrations [3]. The weak agonist activity of pergolide at D1 receptors somewhat alters its clinical and side effect profile in the treatment of Parkinson's disease. The drug is in decreasing use, as it is reported to be associated with a form of heart disease called cardiac fibrosis. The use of pergolide or cabergoline is associated with a significantly increased risk of newly diagnosed cardiac-valve regurgitation [4].

Solvent&Solubility

In Vitro:

DMSO： ≥ 25 mg/mL (60.89 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4355 mL	12.1776 mL	24.3552 mL
	5 mM	0.4871 mL	2.4355 mL	4.8710 mL
	10 mM	0.2436 mL	1.2178 mL	2.4355 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: ≥ 2.08 mg/mL (5.07 mM); Clear solution

此方案可获得 ≥ 2.08 mg/mL (5.07 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 20.8 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: ≥ 2.08 mg/mL (5.07 mM); Clear solution

此方案可获得 ≥ 2.08 mg/mL (5.07 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 20.8 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: ≥ 2.08 mg/mL (5.07 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (5.07 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 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Lemberger, L. and R.E. Crabtree, Pharmacologic effects in man of a potent, long-acting dopamine receptor agonist. Science, 1979. 205(4411): p. 1151-3. [2]. Koller, W.C., et al., The pharmacological evaluation of pergolide mesylate as a potential anti-parkinson agent. Neuropharmacology, 1980. 19(9): p. 831-7. [3]. Franks, S., et al., Effectiveness of pergolide mesylate in long term treatment of hyperprolactinaemia. British medical journal (Clinical research ed.), 1983. 286(6372): p. 1177. [4]. Schade, R., et al., Dopamine agonists and the risk of cardiac-valve regurgitation. N Engl J Med, 2007. 356(1): p. 29-38.