产品名称：卡巴拉汀
产品别名：利凡斯的明；**Rivastigmine**

## 生物活性：

**Description**

Rivastigmine, an cholinesterase inhibitor(IC50= 5.5 uM), inhibits both butyrylcholinesterase and acetylcholinesterase IC50 value: 5.5 uM Target: AChE Rivastigmine is a parasympathomimetic or cholinergic agent for the treatment of mild to moderate dementia of the Alzheimer's type and dementia due to Parkinson's disease. The drug can be administered orally or via a transdermal patch; the latter form reduces the prevalence of side effects, which typically include nausea and vomiting. The drug is eliminated through the urine, and appears to have relatively few drug-drug interactions. Rivastigmine, a cholinesterase inhibitor, inhibits both butyrylcholinesterase and acetylcholinesterase. It is thought to work by inhibiting these cholinesterase enzymes, which would otherwise break down the brain chemical acetylcholine.

**Solvent&Solubility**

***In Vitro:***

**DMSO : ≥ 50 mg/mL (199.73 mM)**

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 3.9946 mL | 19.9728 mL | 39.9457 mL |
| | 5 mM | 0.7989 mL | 3.9946 mL | 7.9891 mL |
| | 10 mM | 0.3995 mL | 1.9973 mL | 3.9946 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 (9.99 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (9.99 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 (9.99 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (9.99 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 →90% corn oil

Solubility: ≥ 2.5 mg/mL (9.99 mM); Clear solution

| | |
|---|---|
| | 此方案可获得 ≥ 2.5 mg/mL (9.99 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。<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 900 μL 玉米油中，混合均匀。 |
| **References** | [1]. Kurz A, Farlow M, Lefèvre G. Pharmacokinetics of a novel transdermal rivastigmine patch for the treatment of Alzheimer's disease: a review. Int J Clin Pract. 2009 May;63(5):799-805.<br>[2]. Polinsky RJ. Clinical pharmacology of rivastigmine: a new-generation acetylcholinesterase inhibitor for the treatment of Alzheimer's disease. Clin Ther. 1998 Jul-Aug;20(4):634-47.<br>[3]. Stryjer R, Ophir D, Bar F et al. Rivastigmine treatment for the prevention of electroconvulsive therapy-induced memory deficits in patients with schizophrenia. Clin Neuropharmacol. 2012 Jul-Aug;35(4):161-4.<br>[4]. Han HJ, Lee JJ, Park SA et al. Efficacy and safety of switching from oral cholinesterase inhibitors to the rivastigmine transdermal patch in patients with probable Alzheimer's disease. J Clin Neurol. 2011 Sep;7(3):137-42. |