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产品名称：卡麦角林
产品别名：Cabergoline

生物活性：

Description	Cabergoline is an ergot derived-dopamine D_2-like receptor agonist that has high affinity for D_2, D_3, and 5-HT_{2B}receptors (K_i=0.7, 1.5, and 1.2, respectively).
IC_{50} & Target	Ki: 0.7 (Dopamine D_2 receptor), 1.5 (Dopamine D_3 receptor), 1.2 (5-HT_{2B} receptor)[1]
In Vitro	Cabergoline acts as a potent agonist of D_2, D_3 and 5-HT_{2B} receptors. Pretreatment with Cabergoline inhibits H_2O_2-induced neuronal cell death in a dose-dependent manner. In the following experiments, 10 μM of Cabergoline is used to investigate its neuroprotective effects. MAP2 staining reveals that Cabergoline significantly suppresses the loss of neurons caused by H_2O_2 incubation. The detection of apoptotic nuclear condensation suggested that Cabergoline prevents apoptotic cell death following H_2O_2 exposure[1].
In Vivo	Cabergoline has a longer elimination half-life (63 to 109 h) compared with other D_2-like receptor agonists, both a long-lasting clinical effect following single-dose administration, and an improvement in the quality of life of patients with chronic diseases are expected[1]. The most significant reduction in rapid eye movement (REM) sleep bout number occurred during the light phase, in which Cabergoline-injected female handled mice has 67.3% less REM sleep bouts ($F_{(1,11)}$=12.892, P=0.004) than Cabergoline-injected females that are restrained, although the greatest number in reduction of REM sleep bouts occurr during the dark phase (82.3% fewer REM sleep bouts; $F_{(1,11)}$=3.667, P=0.082). In male mice, Cabergoline reduces baseline Prolactin (PRL) levels (98.5%; $F_{(1,6)}$=13.192, P=0.011) from 5.8±1.3 to 0.08 ng/mL within 2 hours of injection. After a 7-day recovery period, PRL levels return to values that are not different from baseline (5.0±0.60 ng/mL; $F_{(1,6)}$=0.715, P=0.43)[2].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 33 mg/mL (73.07 mM)** **H_2O : < 0.1 mg/mL** (insoluble) * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2143 mL	11.0717 mL	22.1435 mL
5 mM	0.4429 mL	2.2143 mL	4.4287 mL
10 mM	0.2214 mL	1.1072 mL	2.2143 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 (5.54 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.54 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 (5.54 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (5.54 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 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 (5.54 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.54 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 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]. Odaka H, et al. Cabergoline, dopamine D2 receptor agonist, prevents neuronal cell death under oxidative stress via reducing excitotoxicity. PLoS One. 2014 Jun 10;9(6):e99271. [2]. Jefferson F, et al. A dopamine receptor d2-type agonist attenuates the ability of stress to alter sleep in mice. Endocrinology. 2014 Nov;155(11):4411-21.

实验参考：

Cell Assay	Primary cortical neurons are prepared. Cabergoline (10 μM; except for experiments of dose-dependency) is applied to cortical cells at DIV 6-7. After 24-hour Cabergoline treatment (except for examination of pretreatment time-dependency of Cabergoline), H_2O_2 (50 μM; except for the dose-dependency of H_2O_2) is added. All inhibitors and antagonists, including spiperone, U0126, SB203580, SP600125, AP5, and nifedipine are applied 20 min before Cabergoline or H_2O_2 addition. L-glutamate is added at DIV 7-8 for cell death induction. Cell survival rate is measured by MTT assay. After the indicated treatment with drugs is completed, culture medium is replaced with 200 μL fresh medium containing 40 μl MTT solution (2.5 mg/mL, diluted in PBS) and cells are incubated at 37°C for 1.5-2.5 hours. Then, 200 μL lysis buffer containing isopropyl alcohol is applied to each well and mixed by pipetting. Each sample is moved to a 96-well plate and its absorbance at 570 nm is measured using an iMark Micro plate leader. Cell survival rate is quantitated by absorbance measurement, because MTT (yellow) is deoxidized to formazan (violet) in proportion to mitochondrial activity[1].
Animal Administration	Mice[2] Female and male C57BL/6J mice are used.Cabergoline is dissolved in 100% pharmasolve and then diluted with 20% β-cyclodextrin in water to yield a final concentration of 0.15-0.5 mg/mL Cabergoline. Mice received a 0.3-mg/kg ip injection of Cabergoline or vehicle. All drugs are

	prepared within 48 hours of experiment and stored at 4°C. Solutions are allowed to reach at room temperature before injection.
References	[1]. Odaka H, et al. Cabergoline, dopamine D2 receptor agonist, prevents neuronal cell death under oxidative stress via reducing excitotoxicity. PLoS One. 2014 Jun 10;9(6):e99271. [2]. Jefferson F, et al. A dopamine receptor d2-type agonist attenuates the ability of stress to alter sleep in mice. Endocrinology. 2014 Nov;155(11):4411-21.