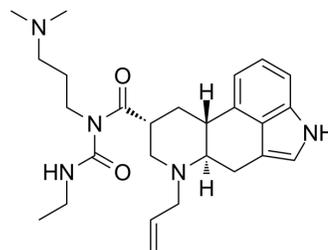


## Cabergoline

<b>Cat. No.:</b>	HY-15296		
<b>CAS No.:</b>	81409-90-7		
<b>Molecular Formula:</b>	C <sub>26</sub> H <sub>37</sub> N <sub>5</sub> O <sub>2</sub>		
<b>Molecular Weight:</b>	451.6		
<b>Target:</b>	Dopamine Receptor; Autophagy		
<b>Pathway:</b>	GPCR/G Protein; Neuronal Signaling; Autophagy		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 250 mg/mL (553.59 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	<b>Preparing Stock Solutions</b>		10 mg	
	<b>1 mM</b>	2.2143 mL	11.0717 mL	22.1435 mL
	<b>5 mM</b>	0.4429 mL	2.2143 mL	4.4287 mL
	<b>10 mM</b>	0.2214 mL	1.1072 mL	2.2143 mL
Please refer to the solubility information to select the appropriate solvent.				
<b>In Vivo</b>	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (4.61 mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (4.61 mM); Clear solution 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.61 mM); Clear solution			

### BIOLOGICAL ACTIVITY

<b>Description</b>	Cabergoline is an ergot derived-dopamine D <sub>2</sub> -like receptor agonist that has high affinity for D <sub>2</sub> , D <sub>3</sub> , and 5-HT <sub>2B</sub> receptors (K <sub>i</sub> = 0.7, 1.5, and 1.2, respectively).	
<b>IC<sub>50</sub> &amp; Target</b>	D <sub>3</sub> Receptor	D <sub>2</sub> Receptor
<b>In Vitro</b>	Cabergoline acts as a potent agonist of D <sub>2</sub> , D <sub>3</sub> and 5-HT <sub>2B</sub> receptors. Pretreatment with Cabergoline inhibits H <sub>2</sub> O <sub>2</sub> -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<sub>2</sub>O<sub>2</sub> incubation. The detection of apoptotic nuclear condensation suggested that Cabergoline prevents apoptotic cell death following H<sub>2</sub>O<sub>2</sub> exposure<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

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 ( $F_{(1,11)}=12.892$ ,  $P=0.004$ ), although the greatest number in reduction of REM sleep bouts occur 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  $5.8\pm 1.3$  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 ( $5.0\pm 0.60$  ng/mL;  $F_{(1,6)}=0.715$ ,  $P=0.43$ )<sup>[2]</sup>.

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## PROTOCOL

#### Cell Assay <sup>[1]</sup>

Primary cortical neurons are prepared. Cabergoline (10  $\mu$ M; 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<sub>2</sub>O<sub>2</sub> (50  $\mu$ M; except for the dose-dependency of H<sub>2</sub>O<sub>2</sub>) is added. All inhibitors and antagonists, including spiperone, U0126, SB203580, SP600125, AP5, and nifedipine are applied 20 min before Cabergoline or H<sub>2</sub>O<sub>2</sub> 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  $\mu$ L fresh medium containing 40  $\mu$ L MTT solution (2.5 mg/mL, diluted in PBS) and cells are incubated at 37°C for 1.5-2.5 hours. Then, 200  $\mu$ L 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 reader. Cell survival rate is quantitated by absorbance measurement, because MTT (yellow) is deoxidized to formazan (violet) in proportion to mitochondrial activity<sup>[1]</sup>.

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#### Animal Administration <sup>[2]</sup>

Mice<sup>[2]</sup>

Female and male C57BL/6J mice are used. Cabergoline is dissolved in 100% pharماسolve and then diluted with 20%  $\beta$ -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.

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## CUSTOMER VALIDATION

- Nat Commun. 2020 Feb 18;11(1):941.
- Acta Pharmacol Sin. 2021 Jan;42(1):108-114.
- Phytother Res. 2023 Mar 8.
- J Endocrinol Invest. 2023 Feb 28.
- Exp Cell Res. 2023 Apr 11;427(1):113598.

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## 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

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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.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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