Cabergoline

Cat. No.: HY-15296

CAS No.: 81409-90-7

Molecular Formula: $C_{26}H_{37}N_5O_2$

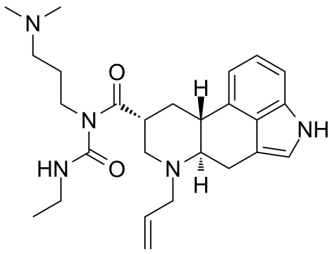
Molecular Weight: 451.6

Target: Dopamine Receptor; Autophagy

Pathway: GPCR/G Protein; Neuronal Signaling; Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (553.59 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / 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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

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

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

PROTOCOL

Cell Assay [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2020 Feb 18;11(1):941.
- Acta Pharmacol Sin. 2021 Jan;42(1):108-114.

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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 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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