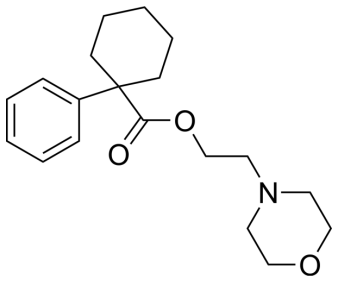
PRE-084

Cat. No.:	HY-18100
CAS No.:	138847-85-5
Molecular Formula:	$C_{19}H_{27}NO_3$
Molecular Weight:	317.42
Target:	Sigma Receptor; Akt; NO Synthase
Pathway:	Neuronal Signaling; PI3K/Akt/mTOR; Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PRE-084 is a highly selective σ1 receptor (S1R) agonist, with an IC_{50} of 44 nM. PRE-084 exhibits good neuroprotective effects, can improve motor function and motor neuron survival in mice. PRE-084 also can ameliorate myocardial ischemia-reperfusion injury in rats by activating the Akt-eNOS pathway[1][2][3][4].

In Vitro

PRE-084 (0.1-100 μM; 24 h) protects cultured cortical neurons against β-amyloid toxicity (maximally neuroprotective at 10 μM) and reduces the levels of proapoptotic protein Bax at 10 μM[1].

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

Cell Viability Assay[1]

Cell Line:	Cortical cells (βAP(25-35)-induced neurotoxicity model)
Concentration:	0.1-100 μM
Incubation Time:	24 h
Result:	Reduced neuronal toxicity in a bell shaped-manner and is maximally neuroprotective at 10 μM.

Western Blot Analysis[1]

Cell Line:	Cortical cells (βAP(25-35)-induced neurotoxicity model)
Concentration:	10 μM
Incubation Time:	24 h
Result:	Reduced the levels of proapoptotic protein Bax in cortical neurons induced by βAP(25-35).

In Vivo

PRE-084 (0.25 mg/kg; i.p.; 3 times a week for 8 weeks) displays beneficial effects on motor performance (improves motor neuron survival, ameliorates paw abnormality and grip strength performance) in wobbler mice, and shows neuroprotective effects (increases the levels of BDNF in the gray matter)[2].

PRE-084 (1 mg/kg; i.p.; single) protects the heart by activating the AktⅧeNOS pathway in myocardial infarction model[3].

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

Animal Model:	Wobbler mice (4-week-old)[2].
Dosage:	0.25 mg/kg
Administration:	Intraperitoneal injection; 3 times a week for 8 weeks.
Result:	Significantly improved ameliorated paw abnormality from week 4, and notably improved paw grip strength at week 5. Reduced the number of reactive astrocytes whereas increased the number of pan-macrophage marker CD68-positive cells and CD206+ cells involved in tissue restoration. Showed 26.5% increase in the mean number of large-size NISSL-positive motor neurons.

Animal Model:	Adult male Sprague□Dawley rats (220-250 g; myocardial infarction model)[3].
Dosage:	1 mg/kg
Administration:	Intraperitoneal injection; single.
Result:	Significantly decreased the degree of myocardial apoptosis. Led to significantly increased expression of p□Akt and p□eNOS.

CUSTOMER VALIDATION

- EMBO Mol Med. 2022 May 25;e15373.
- Acta Pharmacol Sin. 2020 Apr;41(4):499-507.
- Acta Pharmacol Sin. 2020 Apr;41(4):499-507.
- Aging. 2020 May 14;12(10):9041-9065.
- Exp Neurol. 2022 Mar 5;114034.

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REFERENCES

[1]. Marrazzo A, et al. Neuroprotective effects of sigma-1 receptor agonists against beta-amyloid-induced toxicity. Neuroreport. 2005 Aug 1;16(11):1223-6.

[2]. Peviani M, et al. Neuroprotective effects of the Sigma-1 receptor (S1R) agonist PRE-084, in a mouse model of motor neuron disease not linked to SOD1 mutation. Neurobiol Dis. 2014 Feb;62:218-32.

[3]. Gao QJ, et al. Sigma-1 Receptor Stimulation with PRE-084 Ameliorates Myocardial Ischemia-Reperfusion Injury in Rats. Chin Med J (Engl). 2018 Mar 5;131(5):539-543.

[4]. Su TP, et al. Sigma compounds derived from phencyclidine: identification of PRE-084, a new, selective sigma ligand. J Pharmacol Exp Ther. 1991 Nov;259(2):543-50.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA