# Phaclofen

**Cat. No.:** HY-100798

**CAS No.:** 114012-12-3

**Molecular Formula:** $C_9H_{13}ClNO_3P$

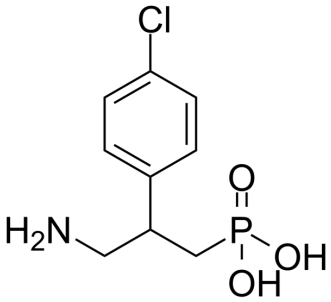
**Molecular Weight:** 249.63

**Target:** GABA Receptor

**Pathway:** Membrane Transporter/Ion Channel; Neuronal Signaling

**Storage:**

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




## SOLVENT & SOLUBILITY

**In Vitro**

1M NaOH : 50 mg/mL (200.30 mM; Need ultrasonic)

DMSO : < 1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble or slightly soluble)

**Preparing Stock Solutions**

| Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 4.0059 mL | 20.0296 mL | 40.0593 mL |
| 5 mM | 0.8012 mL | 4.0059 mL | 8.0119 mL |
| 10 mM | 0.4006 mL | 2.0030 mL | 4.0059 mL |

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

## BIOLOGICAL ACTIVITY

**Description**

Phaclofen is a selective $GABA_B$ receptor antagonist. Phaclofen is a peripheral and central baclofen antagonist. Phaclofen maybe a potential compound in determining the physiological significance of central and peripheral bicuculline-insensitive receptors with which GABA and (-)-baclofen interact[1][2].

**$IC_{50}$ & Target**

$GABA_B$ receptor[1]

**In Vitro**

The $GABA_B$ antagonist phaclofen (500 μM) partly prevents the effect of 1 μM of (R⊠) baclofen[5].

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

**In Vivo**

Phaclofen (2 mg/kg; i.p) shows that fewer neuropeptide Y-like immunoreactive fibers are detected in the stimulated cuneate nucleus[4].

Phaclofen (2 mg/kg; s.c) antagonizes the effects of 6 mg/kg R(⊠) baclofen in dorsal striatum[5].

Phaclofen (100 nmol; intrathecal injection) antagonizes the depressant effect of baclofen. Phaclofen (100 nmol) is devoid of stimulatory or depressant effects on spinal reflexes[3].

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

| | |
|---|---|
| Animal Model: | Sprague–Dawley rats (180–250 g)[4] |
| Dosage: | 2 mg/kg |
| Administration: | I.p. |
| Result: | Fewer neuropeptide Y-like immunoreactive fibers were detected in the stimulated cuneate nucleus. |

| | |
|---|---|
| Animal Model: | Male Wistar rats (280–320 g)[5] |
| Dosage: | 2 mg/kg |
| Administration: | S.c. |
| Result: | Antagonized the effects of 6 mg/kg R(−) baclofen in dorsal striatum. |

## REFERENCES


[1]. Johnson CM, et al. The antitussive cloperastine improves breathing abnormalities in a Rett Syndrome mouse model by blocking presynaptic GIRK channels and enhancing GABA release. Neuropharmacology. 2020;176:108214.

[2]. Kerr DI, et al. Phaclofen: a peripheral and central baclofen antagonist. Brain Res. 1987;405(1):150-154.

[3]. Wüllner U, et al. Phaclofen antagonizes the depressant effect of baclofen on spinal reflex transmission in rats. Brain Res. 1989;496(1-2):341-344.

[4]. Chen SH, et al. Changes in GABA and GABA(B) receptor expressions are involved in neuropathy in the rat cuneate nucleus following median nerve transection. Synapse.2012; 66(6):561-572.

[5]. Abellán MT, et al. Dual control of dorsal raphe serotonergic neurons by GABA(B) receptors. Electrophysiological and microdialysis studies. Synapse. 2000;36(1):21-34.





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