

Product Data Sheet

Product Name: (±)-Baclofen

Cat. No.: GC12927

Chemical Properties

Cas. No. 1134-47-0

Chemical Name β -(aminomethyl)-4-chloro-benzenepropanoic acid

SMILES C1C=CC=C(C(CN)CC(=O)O)C=C1

Formula $C_{10}H_{12}ClNO_2$ M.Wt 213.7

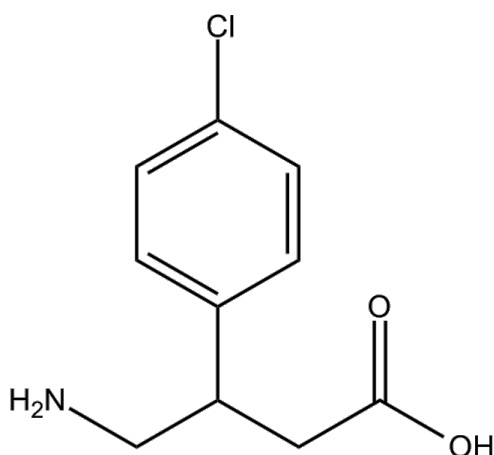
Solubility 0.1 M HCl : 10 mg/mL (46.80 mM; Need ultrasonic); DMSO : 4.81 mg/mL (22.51 mM; ultrasonic and warming and adjust pH to 4 with HCl and heat to 60°C); H_2O : 2 mg/mL (9.36 mM; Need ultrasonic)

Storage Store at 2-8°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

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

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Cell experiment [1]:

Cell lines HepG2 cells

Preparation Method HepG2 cells were seeded into 96-well plates (10,000 per well) in a final volume of 200µl medium. After a 24-hour attachment, cells were incubated with (±)-Baclofen (25, 50 and 100µM) in the absence or presence of Pha (100µM) for indicated time intervals. During this time, the culture medium was replaced with fresh medium every 24h. After treatment, cell proliferation was evaluated by 3-(4, 5-dimethylthiazol-2-yl)-5-(3-carboxymethoxyphenyl)-2-(4-sulfophenyl)-2H-tetrazolium, inner salt (MTS) assay. The OD values were measured at 490nm with a microplate spectrophotometer (Molecular Devices).

Reaction Conditions 25, 50 and 100µM; 24, 48, 72, and 96h

Applications (±)-Baclofen inhibited the proliferation of HepG2 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models C57BL/6J mice

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Preparation Method	(±)-Baclofen was dissolved in sterile 0.9% saline and injected intraperitoneally (i.p.) 30min before testing. BHF177 was suspended in 0.5% methylcellulose and administered orally (p.o.) 60min before testing. The convulsant drug PTZ was dissolved in sterile 0.9% saline and injected i.p. immediately before testing. The doses of (±)-Baclofen (0.5, 1.5, and 2.5mg/kg) and route of administration (i.p.) were chosen based on previously published studies that all reported a narrow effective dose range of this compound before sedative effects were manifested. The doses of BHF177 (10, 20, and 40mg/kg) and route of administration (p.o.) were also chosen based on previous studies. All of the drugs were administered in volumes of 0.1ml/10g body weight in mice. The effects of (±)-baclofen and BHF177 were studied by using the "between-group design". Behavioral tests were designed, and the sample size for each group was 12.
Dosage form	0.5, 1.5, and 2.5mg/kg/d; Single-dose; i.p.
Applications	(±)-Baclofen treatment increased the alcohol consumption behavior of mice in the Vogel conflict test. This phenomenon might be due to the analgesic effect of (±)-Baclofen. Mice treated with (±)-Baclofen also showed similar sedative effects in other tests (i.e., reduced activity).

References:

- [1] Wang T, Huang W, Chen F. Baclofen, a GABAB receptor agonist, inhibits human hepatocellular carcinoma cell growth in vitro and in vivo. *Life Sci.* 2008;82(9-10):536-541.
- [2] Li X, Risbrough VB, Cates-Gatto C, et al. Comparison of the effects of the GABAB receptor positive modulator BHF177 and the GABAB receptor agonist baclofen on anxiety-like behavior, learning, and memory in mice. *Neuropharmacology.* 2013;70:156-167.

Background

(±)-Baclofen is a lipophilic derivative of γ-aminobutyric acid (GABA), and it is an orally effective and selective agonist of the metabotropic GABAB receptor with an IC₅₀ of 200nM [1-2]. GABA is an inhibitory neurotransmitter that functions through heteromeric ligand-gated ion channels GABAA and GABAC as well as G protein-coupled receptors GABAB [3]. (±)-Baclofen can be used as a relaxant

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for muscle spasms and a central nervous system inhibitor [4].

In vitro, (±)-Baclofen (25, 50, and 100μM; 24, 48, 72, and 96h) inhibited the proliferation of HepG2 cells in a dose-dependent manner, downregulated intracellular cAMP levels, and upregulated the expression and phosphorylation of p21WAF1 protein. (±)-Baclofen also caused cell cycle arrest in the G0/G1 phase without inducing cell death [3]. (±)-Baclofen (1, 10μM; 24h) significantly reduced the activity of lactate dehydrogenase (LDH) in high-density model (HD) striatal cells (HD19 and HD43), enhanced the activity of cathepsin-like proteasome in the cells and cell viability [5].

In vivo, 30 minutes before behavioral tests, intraperitoneal injection of (±)-Baclofen (0.5, 1.5, and 2.5mg/kg/d; single-dose) enhanced the alcohol consumption behavior of mice in the Vogel conflict test, which might be due to the analgesic effect of Baclofen. Mice treated with (±)-Baclofen showed similar sedative effects in other tests (i.e., reduced activity) [6]. (±)-Baclofen (4mg/kg/d; 4d; i.p.) reversed the increase in the frequency of voluntary mouth-chewing movements (VCM) induced by reserpine in mice. After repeated treatment, (±)-Baclofen reduced the spontaneous systemic activity in mice induced by reserpine. [7].

References:

- [1] Lin F, Cao Z, Hosford D A. Increased number of GABAB receptors in the lethargic (lh/lh) mouse model of absence epilepsy[J]. Brain research, 1993, 608(1): 101-106.
- [2] Farokhnia M, Deschaine SL, Sadighi A, et al. A deeper insight into how GABA-B receptor agonism via baclofen may affect alcohol seeking and consumption: lessons learned from a human laboratory investigation. Mol Psychiatry. 2021;26(2):545-555.
- [3] Wang T, Huang W, Chen F. Baclofen, a GABAB receptor agonist, inhibits human hepatocellular carcinoma cell growth in vitro and in vivo. Life Sci. 2008;82(9-10):536-541.
- [4] DeFalco A P. Neuromuscular blocking agents and skeletal muscle relaxants[M]//Side Effects of Drugs Annual. Elsevier, 2024, 46: 171-180.
- [5] Kim W, Seo H. Baclofen, a GABAB receptor agonist, enhances ubiquitin-proteasome system functioning and neuronal survival in Huntington's disease model mice. Biochem Biophys Res Commun. 2014;443(2):706-711.
- [6] Li X, Risbrough VB, Cates-Gatto C, et al. Comparison of the effects of the GABAB receptor positive modulator BHF177 and the GABAB receptor agonist baclofen on anxiety-like behavior, learning, and memory in mice. Neuropharmacology. 2013; 70:156-167.
- [7] Castro JP, Frussa-Filho R, Fukushima DF, et al. Effects of baclofen on reserpine-induced vacuosis

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