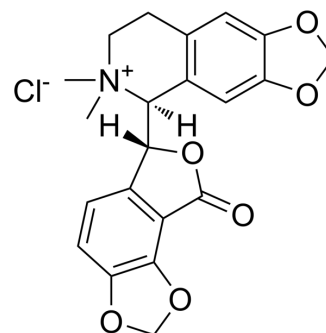


Bicuculline methochloride

Cat. No.:	HY-137790
CAS No.:	38641-83-7
Molecular Formula:	C ₂₁ H ₂₀ ClNO ₆
Molecular Weight:	417.84
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Bicuculline ((+)-Bicuculline; d-Bicuculline) methochloride is a selective GABA _A receptor antagonist with an IC ₅₀ value of 3 μM. Bicuculline methochloride induces clonic tonic convulsions in mammals and can also be used to block Ca ²⁺ activated potassium channels. Bicuculline methochloride can be used in studies of epilepsy and other related psychiatric disorders ^[1] ^[2] .
IC₅₀ & Target	IC ₅₀ : 3 μM (GABA _A) ^[3]
In Vitro	Bicuculline methochloride (1 μM and 3 μM) attains the maximal response of GABA. Bicuculline methochloride appears to shift the dose–response curves of GABA in parallel to the right without decreasing GABA maximal response, suggesting that it is a competitive antagonist at human α ₁ β ₂ γ ₂ L GABA _A receptors expressed in <i>Xenopus</i> oocytes ^[3] . Bicuculline methochloride (1-100 μM; 2 min; applied as outside-out patches) potently blocks both Apamin (HY-P0256)-sensitive small-conductance calcium-activated potassium channels (SK2) currents and Apamin-insensitive SK1 currents in <i>Xenopus</i> oocytes ^[4] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Bicuculline methochloride (1.25-3 mg/kg; s.c.) can cause clonus-tonic convulsions in a dose-dependent manner in mice and these convulsions are enhanced by injection of the μ-opioid agonist morphine ^[1] . Bicuculline methochloride (1.5-3.2 mg/kg; s.c.) induces male Swiss S mice generalized seizures with a CD ₅₀ (convulsant dose) of 2.2 mg/kg for clonus and CD ₅₀ of 2.4 mg/kg for tonus. Seizures induced by Bicuculline methochloride at the dose of 3.2 mg/kg can be blocked by pretreatment (i.p.) with the NMDA antagonists MK-801, CPP and CGS 19755 ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell. 2023 Mar 30;186(7):1352-1368.e18.
- Brain Behav Immun. 2023 Jun 5;S0889-1591(23)00141-1.
- Theranostics. 2022; 12(7):3057-3078.
- Cell Rep. 2021 Jul 20;36(3):109398.
- J Adv Res. 2023 Jun 21;S2090-1232(23)00171-6.

REFERENCES

- [1]. Y Yajima, et al. Effects of differential modulation of mu-, delta- and kappa-opioid systems on bicuculline-induced convulsions in the mouse. *Brain Res.* 2000 Apr 17;862(1-2):120-6.
- [2]. W A Turski, et al. Excitatory amino acid antagonists protect mice against seizures induced by bicuculline. *Brain Res.* 1990 Apr 23;514(1):131-4.
- [3]. Huang SH, et al. Bilobalide, a sesquiterpene trilactone from Ginkgo biloba, is an antagonist at recombinant alpha1beta2gamma2L GABA(A) receptors. *Eur J Pharmacol.* 2003;464(1):1-8.
- [4]. Khawaled R, et al. Bicuculline block of small-conductance calcium-activated potassium channels. *Pflugers Arch.* 1999 Aug;438(3):314-21.
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Caution: Product has not been fully validated for medical applications. For research use only.

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