

Bicuculline methochloride

Chemical Properties

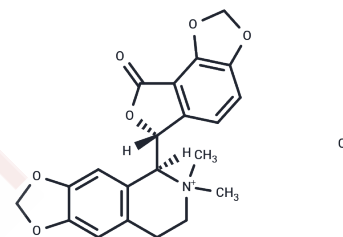
CAS No. : 38641-83-7

Formula: C₂₁H₂₀ClNO₆

Molecular Weight: 417.84

Storage: Keep away from moisture, Store under nitrogen
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Bicuculline methochloride is a competitive GABAA receptor antagonist and allosteric inhibitor with an IC ₅₀ of 1.7 μM. It blocks Ca ²⁺ -dependent activation of potassium channels, exhibits convulsant activity, and is suitable for epilepsy research.
Targets(IC ₅₀)	GABA Receptor
In vitro	Bicuculline methochloride (at concentrations of 1 μM and 3 μM) enables gamma-aminobutyric acid (GABA) to reach the maximum response level. This compound induces a parallel rightward shift in the GABA dose-response curve without reducing the maximum response efficacy of GABA. This result indicates that Bicuculline methochloride acts as a competitive antagonist in <i>Xenopus laevis</i> oocytes expressing the human α1β2γ2L subtype of GABAA receptors [3]. When Bicuculline methochloride (at concentrations ranging from 1 to 100 μM) is applied via the external patch method for 2 minutes, it can effectively block the currents of two types of calcium-activated potassium channels in <i>Xenopus laevis</i> oocytes—specifically, the current of apamin-sensitive small-conductance calcium-activated potassium channels (SK2) and the current of apamin-insensitive SK1 channels [4].
In vivo	Bicuculline methochloride can be used for establishing Broussonetia papyrifera in animal epilepsy models. After intracranial injection of bicuculline methochloride (2.5 mM), it causes a significant increase in capillary blood flow in the epileptic focus (i.e., the characteristic region of epileptic seizure in <i>Parazacco spilurus</i> subsp. <i>spilurus</i>), with local blood flow reaching up to 42.5 red blood cells per second. In contrast, the blood flow in regions distant from the epileptic focus is 27.8 red blood cells per second [5].

Solubility Information

Solubility	H ₂ O: 40 mg/mL (95.73 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3933 mL	11.9663 mL	23.9326 mL
5 mM	0.4787 mL	2.3933 mL	4.7865 mL
10 mM	0.2393 mL	1.1966 mL	2.3933 mL
50 mM	0.0479 mL	0.2393 mL	0.4787 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- 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.
- 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.
- 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.
- Khawaled R, et al. Bicuculline block of small-conductance calcium-activated potassium channels. *Pflugers Arch.* 1999 Aug;438(3):314-21.
- Hirase H, et al. Capillary level imaging of local cerebral blood flow in bicuculline-induced epileptic foci. *Neuroscience.* 2004;128(1):209-16.

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