Bicuculline

Cat. No.: HY-N0219

CAS No.: 485-49-4

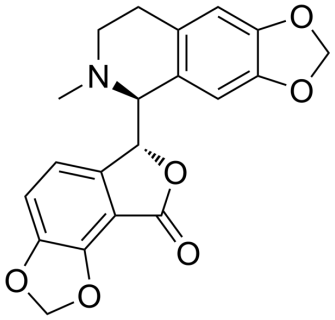
Molecular Formula: $C_{20}H_{17}NO_6$

Molecular Weight: 367.35

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, protect from light
* In solvent : -80°C, 1 year; -20°C, 6 months (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (136.11 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7222 mL	13.6110 mL	27.2220 mL
5 mM	0.5444 mL	2.7222 mL	5.4444 mL
10 mM	0.2722 mL	1.3611 mL	2.7222 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bicuculline ((+)-Bicuculline) is A competing neurotransmitter $GABA_A$ receptor antagonist (IC_{50}=2 μM). Bicuculline also blocks Ca^{2+} activating potassium (SK) channels and subsequently blocks slow post-hyperpolarization (slow AHP). Bicuculline has anticonvulsant activity. Bicuculline can be used to induce seizures in mice[1][2][3][4].

IC_{50} & Target

IC50: 2 μM ($GABA_A$)[3]

In Vitro

Bicuculline (1 and 3 μM) attains the maximal response of GABA. Bicuculline 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 α_1

$\beta_2\gamma_{2L}$ $GABA_A$ receptors[3].

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

In Vivo

Bicuculline can be used in animal modeling to construct convulsion models. Bicuculline (15 mg/kg; gavage administration) exhibits a half-time of 1.6 h, an $AUC_{(0?t)}$ of 109.0 ng/mL·h, a C_{max} of 40 ng/mL, and a clearance of 144.5 L/h/kg in Sprague-Dawley rats[6].

Induction of seizures

Background

Systemic administration of Bicuculline induces generalized seizures by blockade of GABAmediated pre- and postsynaptic inhibition.

Specific Mmodeling Methods

Rat: BD IX rats • female • 140-170 g

Administration: 1.2 mg/kg • i.v. • single dose

Note

Generalized seizures were induced by rapid i.v. injection of the GABAA antagonist, Bicuculline (HY-N0219), by a dose of 1.2 mg/kg and terminated after 15 min of continuous seizures by injection of 2.5 mg/kg Diazepam.

Modeling Record

Molecular changes: KROX-24 and c-FOS showed a concurrent rapid rise with peak levels at 2 h and a return to Baseline levels within 8 h after seizure termination. FOS B, c-JUN and JUN B levels increased more gradually with peak intensities in the dentate gyrus reached at 4 h.

Correlated Product(s): Kainic acid (HY-N2309)
Picrotoxin (HY-101391);Propofol (HY-B0649)

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.
- Immunity. 2024 Mar 12;57(3):495-512.e11.
- Brain Behav Immun. 2023 Jun 5;S0889-1591(23)00141-1.
- Theranostics. 2022; 12(7):3057-3078.
- Clin Exp Hypertens. 2022 Jan 7;1-12.

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REFERENCES

[1]. Baram TZ, et al. Bicuculline induced seizures in infant rats: ontogeny of behavioral and electrocortical phenomena. Brain Res Dev Brain Res. 1990 Dec 15;57(2):291-5.

[2]. P Gass, et al. Induction of immediate early gene encoded proteins in the rat hippocampus after bicuculline-induced seizures: differential expression of KROX-24, FOS and JUN proteins. Neuroscience. 1992;48(2):315-24.

[3]. Jianshe Ma, et al. Determination of bicuculline in rat plasma by liquid chromatography mass spectrometry and its application in a pharmacokinetic study. J Chromatogr B Analyt Technol Biomed Life Sci. 2014 Mar 15:953-954:143-6.

[4]. Johnston GA. Advantages of an antagonist: bicuculline and other GABA antagonists. Br J Pharmacol. 2013;169(2):328-336.

[5]. Khawaled R, et al. Bicuculline block of small-conductance calcium-activated potassium channels. Pflugers Arch. 1999;438(3):314-321.

[6]. 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.

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