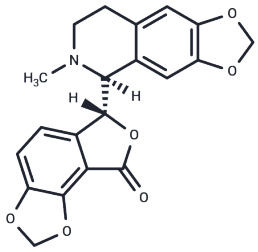
Data Sheet (Cat.No.T2850)

TargetMol

Bicuculline

Chemical Properties



CAS No. : 485-49-4

Formula: C20H17NO6

Molecular Weight: 367.35

Storage: 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 is an alkaloid extracted from Corydalis decumbens, acting as a competitive antagonist of the neurotransmitter GABAA receptor (IC50 = 2 μM). Bicuculline also blocks Ca2+-activated potassium (SK) channels and inhibits slow afterhyperpolarization (slow AHP). Bicuculline has anticonvulsant effects and is commonly used to establish mouse seizure models.
Targets(IC50)	GABA Receptor
In vitro	**Methods**: In hippocampal CA1 pyramidal neurons from WT and PGC-1α−/− mice, Bicuculline (1 μM) was applied via bath-dialysis. Following stable perfusion, the I/E ratio was calculated from whole-cell voltage-clamp recordings. **Results**: PGC-1α−/− mice exhibited approximately double the amplitude of double-synaptic IPSCs compared to WT mice, with a significantly elevated I/E ratio. Bicuculline restored both IPSCs and the I/E ratio in PGC-1α−/− mice to WT levels without affecting EPSCs. [1] **Methods**: Bicuculline (100 μM) and GABA (50 μM) were added to neonatal mouse (P5) cerebellar cultured astrocytes. GABA was applied for 30 s at 7-minute intervals, and whole-cell patch-clamp recordings were performed. **Results**: Bicuculline (100 μM) blocked (90.5 ± 3.7%) the currents induced by 50 μM GABA. [2]
In vivo	**Methods**: Male SD rats received subcutaneous injections of Bicuculline (1, 4 mg/kg). Blood and brain tissue samples were collected at 10, 30, and 110 min post-administration. Plasma and brain tissue drug concentrations were measured by LC-MS/MS. Seizure grades were observed and recorded. **Results**: Bicuculline exhibited dose-dependent brain penetration. Tmax in brain tissue was 10 min in the 1 mg/kg group and 30 min in the 4 mg/kg group. Seizures (≥ Grade III) were induced at brain concentrations >880 ng/g.[2]

Solubility Information

Solubility	DMSO: 16.67 mg/mL (45.38 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.44 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7222 mL	13.611 mL	27.222 mL
5 mM	0.5444 mL	2.7222 mL	5.4444 mL
10 mM	0.2722 mL	1.3611 mL	2.7222 mL
50 mM	0.0544 mL	0.2722 mL	0.5444 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

Bhattacharya D, et al. Bicuculline restores frequency-dependent hippocampal I/E ratio and circuit function in PGC-1 null mice. Neurosci Res. 2022 Nov;184:9-18.

Huang C, Dong C, Zhu Y, et al. Duhaldea pterocaula (Franch.) Anderb. Attenuates Nociception and Inflammation via GABAA Receptors. Frontiers in Pharmacology. 2021: 3086.

Li L, Kang Y, Cheng R, et al.The de novo synthesis of GABA and its gene regulatory function control hepatocellular carcinoma metastasis.Developmental Cell.2024

Pétriz A, et al. GABAρ subunits confer a bicuculline-insensitive component to GFAP+ cells of cerebellum. Proc Natl Acad Sci U S A. 2014 Dec 9;111(49):17522-7.

Yamazaki M, et al. Effects of (+)-bicuculline, a GABAa receptor antagonist, on auditory steady state response in free-moving rats. PLoS One. 2020 Jul 24;15(7):e0236363.