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DATASHEET

(-)-Bicuculline methochloride

Product overview

Name (-)-Bicuculline methochloride

Cat No HB0895
Alternative names BIC
Biological action Antagonist
Purity >98%

Description Prototypic, competitive GABA_A receptor antagonist

Images







Biological Data

Biological description

Methochloride salt form of (+)-bicuculline.

Prototypic, competitive $GABA_A$ receptor antagonist which displaces GABA from the agonist binding site to prevent receptor activation.

Also acts as a negative allosteric inhibitor of channel opening to inhibit GABA_A receptor activation by anaesthetic agents.

Additionally shows activity at SK calcium-activated potassium channels, nicotinic acetylcholine receptors and acetylcholinesterase.

Reversibly and competitively blocks $GABA_A$ receptor mediated currents. Widely used to isolate glutamate receptor mediated EPSCs (excitatory postsynaptic potentials).

Shows convulsant action and induces epilepsy.

Application notes

Freebase, methiodide and methobromide salts also available.

The GABA_A receptor antagonist bicuculline is commonly used to reduce levels of inhibition by blocking the actions of the neurotransmitter GABA. It is commonly used at concentrations of 100 μ M and above. Bicuculline methochloride from Hello Bio reduces both spontaneous inhibitory post synaptic currents (IPSC) and evoked IPSCs (see Fig 1 above). It was effective at 1 μ M with complete receptor blockade at 100 μ M.

- Whole cell voltage clamp recordings were obtained from layer V neurons of the mouse prelimbic cortex brain slice.
- A stimulating electrode was placed in layers II/III and IPSCs were evoked by a single square (150 µs) pulse every 10 sec at a stimulus intensity that gave a reliable IPSC.
- · IPSCs were evoked at a range of neuron holding voltages to measure the reversal potential of the current to ensure it was GABAergic.
- Neurons were held at 0mV and IPSCs continuously stimulated and recorded in response to 5 min applications of varying concentrations of Bicuculline methochloride until complete receptor
- Spontaneous IPSCs were recorded before and after addition of Bicuculline methochloride by holding the neuron at 0mV and recording for 10 sec.
- All recordings for IPSCs were made in the presence of AMPAR antagonists.

Solubility & Handling

Solubility overview Storage instructions

Important

Soluble in water (100mM)

Room temperature Storage of solutions Prepare and use solutions on the same day if possible. Store solutions at -20 °C for up to one month if

storage is required. Equilibrate to RT and ensure the solution is precipitate free before use.

Shipping Conditions Stable for ambient temperature shipping. Follow storage instructions on receipt.

This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not

for human or veterinary use.

Chemical Data

Chemical name $[R-(R^*,S^*)]-5-(6,8-Dihydro-8-oxofuro[3,4-e]-1,3-benzodioxol-6-yl)-5,6,7,8-tetrahydro-$

6,6-dimethyl-1,3-dioxolo[4,5-g]isoquinolinium chloride

Molecular Weight 417.85

Chemical structure

Molecular Formula C₂₁H₂₀CINO₆ **CAS Number** 38641-83-7 **PubChem identifier** 44134574

SMILES C[N+]1(CCC2=CC3=C(C=C2C1C4C5=C(C6=C(C=C5)OCO6)C(=O)O4)OCO3)C.[Cl-]

Source Synthetic

InChi InChI=1S/C21H20NO6.CIH/c1-22(2)6-5-11-7-15-16(26-9-25-15)8-13(11)18(22)19-12-3-4-14-20(27-

10-24-14)17(12)21(23)28-19;/h3-4,7-8,18-19H,5-6,9-10H2,1-2H3;1H/q+1;/p-1

InChiKey RLJKFAMYSYWMND-UHFFFAOYSA-M

MDL number MFCD00055233 Green solid **Appearance**

References

Advantages of an antagonist: bicuculline and other GABA antagonists.

Johnston GA (2013) Br J Pharmacol 169(2) **PubMedID** 23425285

Differential effects of iontophoretic in vivo application of the GABA(A)-antagonists bicuculline and gabazine in sensory cortex.

Kurt S et al (2006) Hear Res 212(1-2)

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[Bicuculline inhibits airway remodeling in a murine model of chronic asthma].

Zhu T et al (2010) Nan Fang Yi Ke Da Xue Xue Bao 30(4)

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