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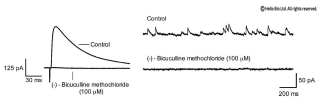
DATASHEET

(-)-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.

Freebase, methiodide and methobromide salts also available.

Application notes

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 µM and above. Bicuculline methochloride from Hello Bio reduces both spontaneous inhibitory post synaptic currents

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(IPSC) and evoked IPSCs (see Fig 1 above). It was effective at 1 µM with complete receptor blockade at 100 µM.

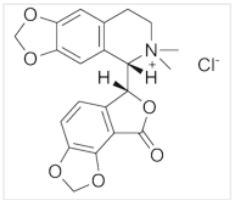
#Protocol 1: Evoked and spontaneous inhibitory post synaptic currents (IPSCs)

- 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 inhibition.
- 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

Storage instructions	Room temperature
Solubility overview	Soluble in water (100mM)
Important	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	$C_{21}H_{20}ClNO_6$
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-]

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
Source	Synthetic
InChi	InChI=1S/C21H20NO6.ClH/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
Appearance	Green solid

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)
PubMedID 16442250

[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)
PubMedID 20423862