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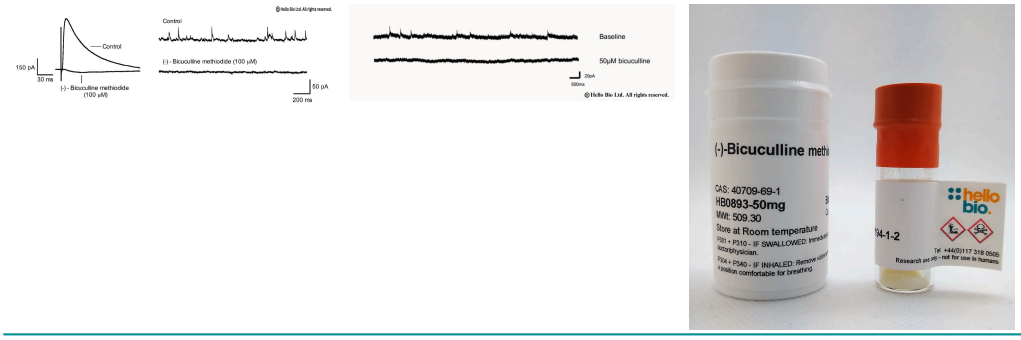
DATASHEET

(-)-Bicuculline methiodide

Product overview

Name	(-)-Bicuculline methiodide
Cat No	HB0893
Alternative names	BIC, BMI
Biological action	Antagonist
Purity	>98%
Customer comments	*Good quality product: (-)-Bicuculline methiodide is used routinely in our lab for a number of experiments. It is shipped quickly, packaged well, dissolves without problem, and blocks GABAA-receptor activity as it should!* **Verified customer, Sickkids foundation** *We routinely use this compound from Hello Bio to inhibit GABA-A receptors in electrophysiological recordings from rodent brain slices.* **Verified customer, University of Montana**
Description	Prototypic, competitive $GABA_A$ receptor antagonist

Images



Biological Data

Biological description	Methiodide 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, methochloride 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. Bicuculline is commonly used at concentrations of 100 µM and above.

Bicuculline methiodide from Hello Bio reduces both spontaneous inhibitory post synaptic currents (IPSC) and evoked IPSCs (see Fig 1 above). It was effective at concentrations of 1 mM with complete receptor blockade at 100 µM.

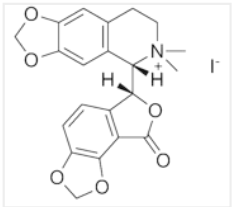
#Protocol 1: Evoked and spontaneous inhibitory post synaptic currents (IPSCs)

- 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 methiodide until complete receptor inhibition.
- Spontaneous IPSCs were recorded before and after addition of Bicuculline methiodide 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	Soluble in water (20mM) or DMSO (50mM)
Storage instructions	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.
Handling	This compound is light sensitive; exposure to light may affect compound performance. We therefore recommend storing the solid material and any solutions in the dark and protecting from light.
Shipping Conditions	Stable for ambient temperature shipping. Follow storage instructions on receipt.
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 iodide
Molecular Weight	509.3
Chemical structure	
Molecular Formula	$C_{21}H_{20}INO_6$
CAS Number	40709-69-1
PubChem identifier	104871
SMILES	C[N+]1(CCC2=CC3=C(C=C2C1C4C5=C(C6=C(C=C5)OCO6)C(=O)O4)OCO3)C.[I-]
Source	Synthetic
InChi	InChI=1S/C21H20NO6.HI/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/t18-,19+;/m0./s1
InChiKey	HKJKCPKPSSVUHY-GRTNUQQKSA-M
MDL number	MFCD00078966
Appearance	Yellow solid

References

Advantages of an antagonist: bicuculline and other GABA antagonists.

Johnston GA (2013) Br J Pharmacol 169(2)

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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