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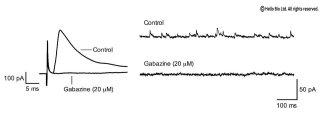
DATASHEET

SR 95531 hydrobromide (Gabazine)

Product overview

Name	SR 95531 hydrobromide (Gabazine)
Cat No	HB0901
Alternative names	Gabazine | GBZ
Biological action	Antagonist
Purity	>98%
Customer comments	*We regularly use Hello Bio Gabazine (SR 95531) in the lab.We especially like the formulation where you only need to add 1ml of water to make a 10mM stock solution.* **Verified customer, The University of Newcastle** *I am satisfied with the quality, quick delivery and follow-up of your product.* **Verified customer, Shimane University** *We used our first aliquot of SR95531 (Gabazine) last week. The experiment was a critical one for us and the SR95531 worked exactly as expected – 100% block of a GABAergic IPSP (inhibitory postsynaptic potential).* **Verified customer, University of Michigan** *Good compound. This compound is routinely used in our lab to isolate AMPA and NMDA currents. So we are using it a lot every day. There are no complaints about it!* **Verified customer, Karolinska Institutet**
Description	Selective, competitive $GABA_A$ receptor antagonist

Images



Biological Data

Biological description	SR 95531 hydrobromide (Gabazine) is a selective and competitive $GABA_A$ receptor antagonist (K_i = 150 nM for displacement of [^{3}H]-GABA from rat membranes). SR 95531 (Gabazine) displaces GABA from the $GABA_A$R agonist binding site to prevent receptor activation. It also acts as a negative allosteric inhibitor of channel opening to inhibit $GABA_A$ receptor activation by anaesthetic agents. It also displays low affinity glycine receptor inhibition. SR 95531 (Gabazine) inhibits GABA-induced Cl- currents to reduce GABA-mediated synaptic inhibition. SR 95531 additionally shows convulsive actions.

Application notes

Gabazine (SR 95531) is commonly used to reduce levels of inhibition by antagonising $GABA_A$ receptors. It is commonly used at concentrations between 10 – 200 µM.

Gabazine (SR 95531) from Hello Bio blocks spontaneous inhibitory post synaptic currents (IPSC) and evoked IPSCs (see Fig 1 above). It was effective at 1 µM and completely blocked $GABA_A$ receptors at 20 µM.

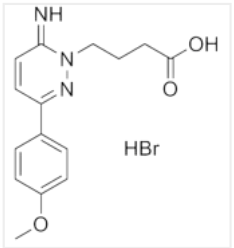
#Protocol 1: Evoked and spontaneous inhibitory post synaptic currents (IPSCs)

- 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 Gabazine until complete receptor inhibition.
- Spontaneous IPSCs were recorded before and after addition of Gabazine 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 (25mM) and in DMSO (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	6-Imino-3-(4-methoxyphenyl)-1(6*H*)-pyridazinebutanoic acid hydrobromide
Molecular Weight	368.23
Chemical structure	
Molecular Formula	$C_{15}H_{17}N_3O_3$.HBr
CAS Number	104104-50-9
PubChem identifier	107895
SMILES	COC1=CC=C(C=C1)C2=NN(C(=N)C=C2)CCCC(=O)O.Br
Source	Synthetic
InChi	InChI=1S/C15H17N3O3.BrH/c1-21-12-6-4-11(5-7-12)13-8-9-14(16)18(17-13)10-2-3-15(19)20;/h4-9,16H,2-3,10H2,1H3,(H,19,20);1H
InChiKey	GFZHNFOGCMEYTA-UHFFFAOYSA-N
MDL number	MFCD00055135
Appearance	White solid

References

Biochemical characterization of the interaction of three pyridazinyl-GABA derivatives with the GABAA receptor site.

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