Product overview

Name: SR 95531 hydrobromide (Gabazine)
Cat No: HB0901
Short description: Selective, competitive GABA\(_A\) receptor antagonist

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.

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**
**Properties**

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Chemical name</td>
<td>6-Imino-3-(4-methoxyphenyl)-1(6H)-pyridazinobutanoic acid hydrobromide</td>
</tr>
<tr>
<td>Molecular Weight</td>
<td>368.23</td>
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<tr>
<td>Molecular Structure</td>
<td><img src="image" alt="Molecular Structure" /></td>
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<tr>
<td>Molecular Formula</td>
<td>C₁₅H₁₇N₃O₃.HBr</td>
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<tr>
<td>CAS Number</td>
<td>104104-50-9</td>
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<tr>
<td>PubChem identifier</td>
<td>107895</td>
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<tr>
<td>SMILES</td>
<td>COC1=CC=C(C=C1)C2=NN(C(N=)N)C=C2)CCCC(=O)O.Br</td>
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<tr>
<td>Source</td>
<td>Synthetic</td>
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<tr>
<td>InChI</td>
<td>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</td>
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<td>InChI Key</td>
<td>GFZHNFQFGCMJEYTA-UHFFFAOYSA-N</td>
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<td>MDL number</td>
<td>MFCDO0055135</td>
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<tr>
<td>Appearance</td>
<td>White solid</td>
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</table>

Gabazine is commonly used to reduce levels of inhibition by antagonizing GABA<sub>A</sub>-receptors. It is commonly used at concentrations between 10 – 200μM. Gabazine inhibits gabab receptors, inhibitory post synaptic currents (IPSC) and evoked IPSCs. It was observed at 1μM and completely blocked GABA<sub>A</sub>-receptors at 20μM.

For assay protocol, see InProcess 1 in Application notes below.
Applications

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.

Storing and Using Your Product

<table>
<thead>
<tr>
<th>Storage instructions</th>
<th>Room temperature</th>
</tr>
</thead>
<tbody>
<tr>
<td>Solubility overview</td>
<td>Soluble in water (25mM) and in DMSO (100mM)</td>
</tr>
<tr>
<td>Important</td>
<td>This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use.</td>
</tr>
</tbody>
</table>

References for SR 95531 hydrobromide (Gabazine)

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


PubMedID: 3022866

Sequential steps underlying neuronal plasticity induced by a transient exposure to gabazine.


PubMedID: 20027606

The kinetics of inhibition of rat recombinant heteromeric alpha1beta glycine receptors by the low-affinity antagonist SR-95531.


PubMedID: 17218350
The differential antagonism by bicuculline and SR95531 of pentobarbitone-induced currents in cultured hippocampal neurons.


PubMedID: 8831109

Tonically activated GABAA receptors in hippocampal neurons are high-affinity, low-conductance sensors for extracellular GABA.


PubMedID: 12488530