CGS 21680

Cat. No.: A3304
CAS No.: 120225-54-9
Formula: C23H29N7O6
M.Wt: 499.52
Synonyms: CGS-21680; CGS21680
Target: GPCR/G protein
Pathway: Adenosine Receptor
Storage: Store at -20°C

Solvent & Solubility

≥ 19.25 mg/mL in DMSO

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass Concentration</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Solvent</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td></td>
<td>1 mM</td>
<td>2.0019 mL</td>
<td>10.0096 mL</td>
<td>20.0192 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4004 mL</td>
<td>2.0019 mL</td>
<td>4.0038 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2002 mL</td>
<td>1.0010 mL</td>
<td>2.0019 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary: Adenosine A2 receptor agonists, potent and selective

IC₅₀ & Target: 27 nM (Ki) (A2A adenosine receptor)

Cell Viability Assay

Preparation method: The solubility of this compound in DMSO is > 19.3 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions: EC50: 110 nM

Applications: In hippocampal slices, CGS 21680 appeared to be a weak agonist on pre- and
postsynaptic measures of electrophysiological activity (putative A1 receptor mediated events) and was ineffective at stimulating the formation of cAMP (a putative A2b mediated response). In striatal slices, CGS 21680 potently stimulated the formation of cAMP with an EC50 of 110 nM but was ineffective at inhibiting electrically stimulated dopamine release. CGS21680 (10 nM) showed only small survival activity, but the activity was significantly enhanced by the addition of a phosphodiesterase inhibitor, IBMX. The survival activity of CGS21680 on cultured motoneurons was exerted by mixed effects of the adenylate cyclase-cAMP-PKA pathway and the transactivation of neurotrophin receptors.

### Animal experiment

<table>
<thead>
<tr>
<th>Animal models:</th>
<th>Female Lewis rats</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage form:</td>
<td>Intraperitoneal injection, 1 mg/kg, every two days</td>
</tr>
<tr>
<td>Applications:</td>
<td>In female Lewis rats, CGS21680 (1 mg/kg/i.p.) intervention promoted the development of EAN. CGS21680 intervention promoted inflammatory cell infiltration and demyelination of sciatic nerves. CGS21680 intervention elevated the levels of P0 peptide-specific antibodies in serum. CGS21680 intervention suppressed Th1 and Th17 cytokines, and powerfully inhibited lymphocyte proliferation and IL-2 secretion. CGS21680 intervention reduced the proportions CD4+Foxp3+ Treg cells while increased CD4+CXCR5+ Tfh cells, B cells and dendritic cells in draining lymph nodes. CGS21680 intervention increased the expressions of MHC class II and CD86. CGS21680 (0.1 mg/kg, i.p.) transiently increased heart frequency. Following transient MCAo, CGS21680 at both doses protected from neurological deficit from the first day up to 7 days thereafter. CGS21680 reduced microgliosis, astrogliosis and improved myelin organization in the striatum and cytoarchitecture of the ischemic cortex and striatum. Two days after transient MCAo, CGS21680 reduced the number of infiltrated granulocytes into the ischemic tissue.</td>
</tr>
</tbody>
</table>

### Other notes:

Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

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**Product Citations**


FOR RESEARCH PURPOSES ONLY.
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