Gabapentin

Cat. No.: HY-A0057
CAS No.: 60142-96-3
Molecular Formula: C₉H₁₇NO₂
Molecular Weight: 171.24
Target: Calcium Channel
Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:
- Powder: -20°C, 3 years; 4°C, 2 years
- In solvent: -80°C, 6 months; -20°C, 1 month

SOLVENT & SOLUBILITY

In Vitro

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass (mL)</th>
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</thead>
<tbody>
<tr>
<td>H₂O : 50 mg/mL (291.99 mM)</td>
<td>5.8398 mL</td>
</tr>
<tr>
<td>DMSO : 1 mg/mL (5.84 mM)</td>
<td>1.1680 mL</td>
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</tbody>
</table>

Preparing Stock Solutions

- 1 mM: 5.8398 mL, 29.1988 mL, 58.3976 mL
- 5 mM: 1.1680 mL, 5.8398 mL, 11.6795 mL
- 10 mM: 0.5840 mL, 2.9199 mL, 5.8398 mL

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

BIOLOGICAL ACTIVITY

Description

Gabapentin (Neurontin) is a pharmaceutical drug, specifically a GABA analog. It was originally developed to treat epilepsy, and currently is also used to relieve neuropathic pain. IC₅₀ Value: 140 nM (α₂δ subunit of calcium channel) [1].

Target: Calcium Channel

in vitro: Gabapentin, baclofen and CGP 44532 all reduced the electrically stimulated release of [3H]glutamic acid (IC₅₀ = 20 μM, 0.8 μM and 2 μM, respectively). Gabapentin was without effect on the release of [3H]GABA, whilst baclofen (IC₅₀ = 8 μM) and CGP 44532 (IC₅₀ = 1 μM) inhibited [3H]GABA release [2]. A large inhibition of calcium currents by gabapentin was observed in pyramidal neocortical cells (up to 34%). Significantly, the gabapentin-mediated inhibition of calcium currents saturated at particularly low concentrations (around 10 μM), at least in neocortical neurons (IC₅₀ about 4 μM) [3].

in vivo: Gabapentin produced an anti-allodynic effect over the 7-day period, reducing the expression of pro-inflammatory cytokines but increasing the expression of IL-10 (TNF-α, $316.0 \pm 69.7 \text{ pg/mL vs } 88.8 \pm 24.4 \text{ pg/mL}$; IL-1β, $1,212.9 \pm 104.5 \text{ vs } 577.4 \pm 97.1 \text{ pg/mL}$; IL-6, $254.0 \pm 64.8 \text{ pg/mL vs } 125.5 \pm 44.1 \text{ pg/mL}$; IL-10, $532.1 \pm 78.7 \text{ pg/mL vs } 918.9 \pm 63.1 \text{ pg/mL}$). The suppressive effect of gabapentin on pro-inflammatory cytokine expression was partially blocked by the anti-IL-10 antibody [4].

Toxicity: No new safety signals or adverse event trends relating to GEn exposure were identified.
REFERENCES


