

# Gabapentin (hydrochloride)

Catalog No: tcsc1636



## Available Sizes

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

60142-95-2

**Formula:**

$C_9H_{18}ClNO_2$

**Pathway:**

Membrane Transporter/Ion Channel

**Target:**

Calcium Channel

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Observed Molecular Weight:**

207.7

## Product 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 ( $\alpha 2\delta$  subunit of calcium channel) [1]

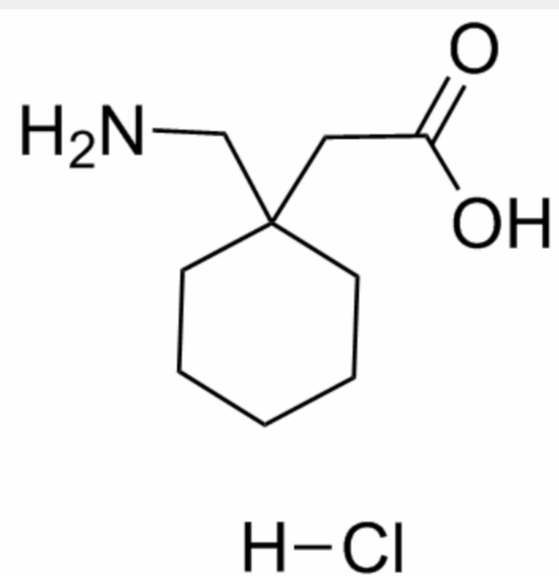
Target: Calcium Channel

in vitro: Gabapentin, baclofen and CGP 44532 all reduced the electrically stimulated release of [3H]glutamic acid (IC<sub>50</sub>=20  $\mu$ M, 0.8  $\mu$ M and 2  $\mu$ M, respectively). Gabapentin was without effect on the release of [3H]GABA, whilst baclofen (IC<sub>50</sub>=8  $\mu$ M) and CGP 44532 (IC<sub>50</sub>=1  $\mu$ M) 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  $\mu$ M), at least in neocortical neurons (IC<sub>50</sub> about 4  $\mu$ M) [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- $\alpha$ , 316.0  $\pm$  69.7 pg/mL vs 88.8  $\pm$  24.4 pg/mL; IL-1 $\beta$ , 1,212.9  $\pm$  104.5 vs 577.4  $\pm$  97.1 pg/mL; IL-6, 254.0  $\pm$  64.8 pg/mL vs 125.5  $\pm$  44.1 pg/mL; IL-10, 532.1  $\pm$  78.7 pg/mL vs 918.9  $\pm$  63.1 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 [5].

Clinical trial: N/A



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