Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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.

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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 microM, 0.8 microM and 2 microM, respectively). Gabapentin was without effect on the release of [3H]GABA, whilst baclofen (IC50=8 microM) and CGP 44532 (IC50=1 microM) 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 microM), at least in neocortical neurons (IC50 about 4 microM) [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 ± 69.7 pg/mL vs 88.8 ± 24.4 pg/mL; IL-1β, 1,212.9 ± 104.5 vs 577.4 ± 97.1 pg/mL; IL-6, 254.0 ± 64.8 pg/mL vs 125.5 ± 44.1 pg/mL; IL-10, 532.1 ± 78.7 pg/mL vs 918.9 ± 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