Nefiracetam(Translon) Datasheet

Technical Data

<table>
<thead>
<tr>
<th>Molecular Weight (MW)</th>
<th>246.3</th>
</tr>
</thead>
<tbody>
<tr>
<td>Formula</td>
<td>C14H16N2O2</td>
</tr>
<tr>
<td>CAS No.</td>
<td>77191-36-7</td>
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<tr>
<td>Synonyms</td>
<td>DM 9384, Translon, DZL-221</td>
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</tbody>
</table>

**Solubility (25°C)**

- DMSO 49 mg/mL
- Water 5 mg/mL
- Ethanol 49 mg/mL

**Storage**

- 2 years -20°C Powder
- 2 weeks 4°C in DMSO
- 6 months -80°C in DMSO

Biological Activity

**Description**
Nefiracetam (Translon) is a GABAergic, cholinergic, and monoaminergic neuronal systems enhancer for Ro 5-4864-induced convulsions.

**Targets**

**IC50**

**In vitro**
Nefiracetam at a concentration of 1 μM increases a long-lasting component of calcium channel currents two-fold without affecting a transient component. Nefiracetam induces a short-term depression of ACh-evoked currents at submicromolar concentrations (0.01–0.1 μM) and a long-term enhancement of the currents at micromolar concentrations (1–10 μM). Nefiracetam interacts with PKA and PKC pathways, which may explain a cellular mechanism for the action of cognition-enhancing agents. Lower (submicromolar) concentrations of the nootropic Nefiracetam reduces ACh-evoked currents to 30% (0.01 μM) and 38% (0.1 μM) of control after a 10-minute treatment. Primary cultures of rat hippocampal neurons, nefiracetam increases the rate of nicotine-sensitive miniature excitatory postsynaptic currents. Nefiracetam induces a long-lasting facilitation of synaptic transmission in both the CA1 area and the dentate gyrus of rat hippocampal slices, and the facilitation is inhibited by α-bungarotoxin (50 nM) or mecamylamine (3 μM). A 100 μL aliquot of the medium filtered with millipore filters (0.45 μm) is injected onto the cation-exchanger column of the autoanalyser to separate amino acids and the amount of glutamate released is calculated using known amino acid standard concentrations. Nefiracetam administered daily 1 hour before each training session facilitates the acquisition process of the avoidance response.

**In vivo**
Nefiracetam administered orally inhibits Ro 5-4864-induced convulsions in EL mice. Nefiracetam also efficiently inhibits Ro 5-4864-induced convulsions in DDY mice at doses higher than 10 mg/kg. Nefiracetam administered daily 1 hour before each training session facilitates the acquisition process of the avoidance response.

**Clinical Trials**

**Features**

**Protocol** (Only for Reference)

**Kinase Assay:**
Hippocampal slices (400 μM) are prepared from the guinea pig brain using standard techniques. A slice is fixed on a pair of silver wire electrodes (10 Hz, 5 V, 0.1 ms in duration) at 1-minutes intervals for 10 minutes and submerged in 1 mL standard artificial cerebrospinal fluid (ACSF) in mM: 125 mM NaCl, 5 mM KCl, 1.24 mMKH2PO4, 1.3 mM MgSO4, 2 mM CaCl2, 26 mM NaHCO3, and 10 mM glucose oxygenated with 95% O2 and 5% CO2 at 36 °C in the presence and absence of tetrodotoxin (TTX) (0.5 μM). In a different set of experiments, electrical stimulation is applied to slices treated with Nefiracetam (1 μM) in the presence and absence of α-bungarotoxin (50 nM) or mecamylamine (3 μM). A 100 μL aliquot of the medium filtered with millipore filters (0.45 μM) is injected onto the cation-exchanger column of the autoanalyser to separate amino acids and the amount of glutamate released is calculated using known amino acid standard concentrations.

**Cell Assay:**

**Cell Lines**: Oocytes

**Concentrations**: ~1 μM

**Incubation Time**: 24 hours - 48 hours

**Methods**
The injected oocytes are transferred to the recording chamber 24 to 48 hours after incubation and continuously superfused at room temperature (20 to 22 °C) in a standard frog Ringer’s solution (115 mM NaCl, 2 mM KCl, 1.8 mM CaCl2, and 5 mM HEPES, pH 7.0). Ca2+- free extracellular solution consisted of 115 mM NaCl, 2 mM KCl, 5 mM MgCl2, 5 mM HEPES, and 1 mM EGTA, pH 7.0. To remove the effect of the muscarinic ACh receptor, 1 μM atropine is added to the extracellular solution. ACh-activated currents are recorded using two-electrode, voltage-clamp techniques. The currents are analyzed on a microcomputer using pClamp software. ACh is bath-applied to oocytes. Nefiracetam is dissolved in distilled water at 1 mM for stock solution and diluted into concentrations required with the extracellular solution.

Animal Study:

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Animal Models

Adult male EL mice weighing 25–30 g and adult male DDY mice

Formulation

Doses

10 mg/kg

Administration

Administered via i.v. or p.o.

References


PLEASE KEEP THE PRODUCT UNDER -20°C FOR LONG-TERM STORAGE.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE

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