Radiprodil

Cat. No.: HY-14777  
CAS No.: 496054-87-6  
Molecular Formula: C₂₁H₂₀FN₃O₄  
Molecular Weight: 397.4  
Target: iGluR  
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  
DMSO: 250 mg/mL (629.09 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.5164 mL</td>
<td>12.5818 mL</td>
<td>25.1636 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5033 mL</td>
<td>2.5164 mL</td>
<td>5.0327 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2516 mL</td>
<td>1.2582 mL</td>
<td>2.5164 mL</td>
</tr>
</tbody>
</table>

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

In Vivo  
1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
   Solubility: ≥ 2.08 mg/mL (5.23 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.08 mg/mL (5.23 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.08 mg/mL (5.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description  
Radiprodil (RGH-896) is an orally active and selective NMDA NR2B antagonist. A potential therapeutic agent in treatment of neuropathic pain and possibly other chronic pain conditions[1].

IC₅₀ & Target  
NMDA NR2B[1].

In Vitro  
Preincubation with Radiprodil (10 nM) restores long-term potentiation (LTP) in the presence of Aβ₁₋₄₂; 3NTyr10-Aβ
and Aβ₁₋₄₀, but not AβpE₃[²].
As for LTP, Radiprodil (10 nM) reverses the synaptic toxicity of 3NTyr-AβAβ₁₋₄₀ and Aβ₁₋₄₂ but not that AβpE₃₋₄₂[²].

In Vivo
Radiprodil could block NMDA currents in Mg²⁺ insensitive variants, with potencies similar to those obtained without Mg²⁺[³].
Radiprodil’s potency is higher at pH 7.0 than at pH 7.6, suggesting that radiprodil may retain its ability to block glutamate-induced NMDA currents even under acidic conditions that manifest under long term seizures[³].

REFERENCES
