Orphenadrine citrate

**Cat. No.:** HY-B0369A  
**CAS No.:** 4682-36-4  
**Molecular Formula:** C₂₄H₃₁NO₈  
**Molecular Weight:** 461.5  
**Target:** iGluR  
**Pathway:** Membrane Transporter/Ion Channel; Neuronal Signaling  
**Storage:** 4°C, protect from light  
* In solvent: -80°C, 6 months; -20°C, 1 month (protect from light)

**SOLVENT & SOLUBILITY**

**In Vitro**  
DMSO: 100 mg/mL (216.68 mM; Need ultrasonic)  
H₂O: 10 mg/mL (21.67 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Concentration</td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td></td>
<td>2.1668 mL</td>
<td>10.8342 mL</td>
<td>21.6685 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.4334 mL</td>
<td>2.1668 mL</td>
<td>4.3337 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2167 mL</td>
<td>1.0834 mL</td>
<td>2.1668 mL</td>
<td></td>
</tr>
</tbody>
</table>

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

**In Vivo**

1. Add each solvent one by one: PBS  
   Solubility: 36.67 mg/mL (79.46 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**

Orphenadrine citrate is a NMDA receptor antagonist with Ki of 6.0 +/- 0.7 μM, HERS potassium channel blocker. Target: NMDA Receptor
Orphenadrine has been used as an antiparkinsonian, antispastic and analgesic drug. Orphenadrine inhibits [3H]MK-801 binding to the phencyclidine (PCP) binding site of the N-methyl-D-aspartate (NMDA)-receptor in homogenates of postmortem human frontal cortex with a Ki-value of 6.0 +/- 0.7 microM. The NMDA receptor antagonistic effects of orphenadrine were assessed using concentration- and patch-clamp techniques on cultured superior colliculus neurones. Orphenadrine blocked open NMDA receptor channels with fast kinetics and in a strongly voltage-dependent manner. The
IC50-value against steady state currents at -70 mV was 16.2 +/- 1.6 microM (n = 6). Orphenadrine exhibited relatively fast, concentration-dependent open channel blocking kinetics (Kon 0.013 +/- 0.002 10^6 M^-1S^-1) whereas the offset rate was concentration-independent (Koff 0.230 +/- 0.004 S^-1) [1]. Orphenadrine competitively inhibited [3H]nisoxetine binding in rat vas deferens membranes (Ki = 1.05 +/- 0.20 microM). It can be concluded that orphenadrine, at low micromolar concentrations, interacts with the noradrenaline reuptake system inhibiting its functionality and thus potentiating the effect of noradrenaline [2].

REFERENCES
