**Dizocilpine maleate**

**Cat. No.:** HY-15084  
**CAS No.:** 77086-22-7  
**Molecular Formula:** C₂₀H₁₉NO₄  
**Molecular Weight:** 337.37  
**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: 100 mg/mL (296.41 mM; Need ultrasonic)  
Ethanol: 25 mg/mL (74.10 mM; Need ultrasonic)  
H₂O: < 0.1 mg/mL (insoluble)

**Preparing Stock Solutions**

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.9641 mL</td>
<td>14.8205 mL</td>
<td>29.6410 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5928 mL</td>
<td>2.9641 mL</td>
<td>5.9282 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2964 mL</td>
<td>1.4821 mL</td>
<td>2.9641 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: ≥ 2.5 mg/mL (7.41 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution

4. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
   Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution

5. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution

### BIOLOGICAL ACTIVITY

**Product Data Sheet**

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**Description**
Dizocilpine maleate (MK-801 maleate) is a potent, selective and non-competitive NMDA receptor antagonist with \( K_d \) of 37.2 nM in rat brain membranes.

**IC\textsubscript{50} & Target**
Ki: 37.2 nM (NMDA receptor, in rat brain membrane)[1]

**In Vitro**
\( [^3\text{H}] \)Dizocilpine maleate binds with NMDA receptor with a \( K_d \) of 37.2 ±2.7 nM in rat cerebral cortical membranes[1]. Dizocilpine maleate causes a progressive, long-lasting blockade of current induced by N-methyl-D-aspartate (N-Me-D-Asp)[3]. Dizocilpine maleate progressively suppresses of current induced by NMDA. Mg\textsuperscript{2+} (10 mM) prevents Dizocilpine from blocking the N-Me-D-Asp-induced current, even when Dizocilpine (MK-801) is applied for a long time in the presence of NMDA. Dizocilpine blocks NMDA-activated single-channel activity in outside-out patches[3]. Dizocilpine maleate (< 500 \( \mu \)M) inhibits activation of microglia induced by LPS with increased Cox-2 protein expression in BV-2 cells. Dizocilpine (MK-801; <500 \( \mu \)M) reduces microglial TNF-\( \alpha \) output with an EC\textsubscript{50} of 400 \( \mu \)M in BV-2 cells[4].

**In Vivo**
Dizocilpine maleate (MK 801 maleate) (1 mg/kg) treatment before each METH injection reduces the extent of DA depletion by 55% in striatal of mice. Dizocilpine (MK 801) (1 mg/kg) also attenuates the effects of METH on microglial activation in striatal of mice[4]. Dizocilpine maleate (0.05, 0.2 mg/kg, i.p.) attenuates subsequent cocaine-primed reinstatement without disruption in rats. Dizocilpine maleate (0.2 mg/kg, i.p.) prior to two reactivation sessions in the home cage shows no suppression on subsequent cocaine-primed reinstatement[5]. Dizocilpine maleate (0.03, 0.1, 0.3 and 1 mg/kg, i.p.) significantly increases the ambulation of mice at 0.3 and 1 mg/kg, but not at 0.03 and 0.1 mg/kg[6].

### PROTOCOL

**Animal Administration** [5]

Animals are given saline or Dizocilpine ((+)-MK 801) followed by cocaine 30 min later in the home cage instead of in the CPP apparatus for the two days of “reactivation.” This is done to determine whether reactivation of the memory for the cocaine-associated context by cocaine in the CPP context is necessary for the ability of Dizocilpine ((+)-MK 801) to disrupt reconsolidation. Animals undergo preconditioning, conditioning, testing, and extinction but animals are injected with saline or Dizocilpine ((+)-MK 801) (0.20 mg/kg, i.p.) 30 min prior to a cocaine injection (10 mg/kg, i.p.) in the home cage. Animals remain in the home cages, and the next day, the procedure from the first day of reactivation is repeated. The following day, animals are tested for cocaine-primed reinstatement in their CPP box without any prior microinjection of saline or Dizocilpine ((+)-MK 801).

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### CUSTOMER VALIDATION

- Front Neurosci. 2019 Nov.
- Eur J Pharmacol. 2019 May 29;172427.

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REFERENCES


