Imepitoin

Cat. No.: HY-14953
CAS No.: 188116-07-6
Molecular Formula: C₁₃H₁₄ClN₃O₂
Molecular Weight: 279.72
Target: GABA Receptor
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**

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass (mL)</th>
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<tbody>
<tr>
<td>DMSO : 12.5 mg/mL (44.69 mM; Need ultrasonic)</td>
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<tr>
<td>1 mM</td>
<td>3.5750 mL</td>
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<tr>
<td>5 mM</td>
<td>0.7150 mL</td>
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<tr>
<td>10 mM</td>
<td>0.3575 mL</td>
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Preparing Stock Solutions

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.25 mg/mL (4.47 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (4.47 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (4.47 mM); Clear solution

**BIOLOGICAL ACTIVITY**

Description
Imepitoin (AWD 131-138) is a new low-affinity partial benzodiazepine receptor agonist with potent anticonvulsant and anxiolytic properties in rodent models.

IC₅₀ & Target
GABA receptor

In Vitro
AWD 131-138 dose-dependently stimulated GABA currents (Recombinant gamma-aminobutyric acid A (GABA(A))
receptors of the subunit compositions alpha1beta2gamma2, alpha1beta3gamma2, alpha2beta2gamma2, alpha3beta2gamma2 and alpha5beta2gamma2). At 10 microM AWD 131-138, this allosteric stimulation amounted in average to about 12-21% of the maximal stimulation achieved using diazepam. The threshold of stimulation was about 0.3-1.0 microM [1].

<table>
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<th>In Vivo</th>
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| AWD 131-138 did not produce midazolam-like responding or alter response rates at cumulative doses up to 18.0 mg/kg i.m. (plasma levels over 2100 ng/ml). When AWD 131-138 (10-100 microg/kg/injection) was studied by substitution, responding declined to vehicle substitution levels within three sessions. At the dose of 100 microg/kg i.v. AWD 131-138, sufficient drug was self-administered during the first session (about 3.5 mg/kg) to produce plasma levels above 1000 ng/ml, yet responding over the next two sessions dropped to vehicle levels [2]. Prolonged oral administration with twice-daily dosing of ELB 138 with either 5 or 40 mg/kg over a 5-week period was not associated with loss of anticonvulsant efficacy in the PTZ dog model [3].

REFERENCES

