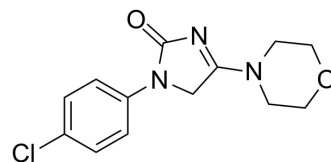


## Imepitoin

|                           |   |       |         |
|---------------------------|---|-------|---------|
| <b>Cat. No.:</b>          | HY-14953  |       |         |
| <b>CAS No.:</b>           | 188116-07-6   |       |         |
| <b>Molecular Formula:</b> | C <sub>13</sub> H <sub>14</sub> ClN <sub>3</sub> O <sub>2</sub> |       |         |
| <b>Molecular Weight:</b>  | 279.72  |       |         |
| <b>Target:</b>            | GABA Receptor   |       |         |
| <b>Pathway:</b>           | Membrane Transporter/Ion Channel; Neuronal Signaling            |       |         |
| <b>Storage:</b>           | Powder  | -20°C | 3 years |
|                           |   | 4°C   | 2 years |
|                           | In solvent  | -80°C | 2 years |
|                           |   | -20°C | 1 year  |



### SOLVENT & SOLUBILITY

|   |   |                          |              |            |            |
|---|---|--------------------------|--------------|------------|------------|
| <b>In Vitro</b>   | DMSO : 12.5 mg/mL (44.69 mM; Need ultrasonic)   |                          |              |            |            |
|   |   | Solvent<br>Concentration | Mass<br>1 mg | 5 mg       | 10 mg      |
|   | <b>Preparing Stock Solutions</b>  | 1 mM                     | 3.5750 mL    | 17.8750 mL | 35.7500 mL |
|   |   | 5 mM                     | 0.7150 mL    | 3.5750 mL  | 7.1500 mL  |
| 10 mM   |   | 0.3575 mL                | 1.7875 mL    | 3.5750 mL  |            |
| Please refer to the solubility information to select the appropriate solvent. |   |                          |              |            |            |
| <b>In Vivo</b>  | <ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline<br/>Solubility: ≥ 1.25 mg/mL (4.47 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline)<br/>Solubility: ≥ 1.25 mg/mL (4.47 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil<br/>Solubility: ≥ 1.25 mg/mL (4.47 mM); Clear solution</li> </ol> |                          |              |            |            |

### BIOLOGICAL ACTIVITY

|                                     |  |
|-------------------------------------|--|
| <b>Description</b>                  | Imepitoin (AWD 131-138) is a new low-affinity partial benzodiazepine receptor agonist with potent anticonvulsant and anxiolytic properties in rodent models.   |
| <b>IC<sub>50</sub> &amp; Target</b> | GABA receptor  |
| <b>In Vitro</b>                     | 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 |

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

**In Vivo**

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

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## REFERENCES

- [1]. Sigel E, et al. The antiepileptic drug AWD 131-138 stimulates different recombinant isoforms of the rat GABA(A) receptor through the benzodiazepine binding site. *Neurosci Lett.* 1998 Apr 3;245(2):85-8.
- [2]. Yasar S, et al. Evaluation of the novel antiepileptic drug, AWD 131-138, for benzodiazepine-like discriminative stimulus and reinforcing effects in squirrel monkeys. *Eur J Pharmacol.* 2003 Apr 4;465(3):257-65.
- [3]. Loscher W, et al. Anticonvulsant efficacy of the low-affinity partial benzodiazepine receptor agonist ELB 138 in a dog seizure model and in epileptic dogs with spontaneously recurrent seizures. *Epilepsia.* 2004 Oct;45(10):1228-39.
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**Caution: Product has not been fully validated for medical applications. For research use only.**

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