

Imepitoin

Catalog No: tcsc1733



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

188116-07-6

Formula:

$C_{13}H_{14}ClN_3O_2$

Pathway:

Neuronal Signaling;Membrane Transporter/Ion Channel

Target:

GABA Receptor;GABA Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

AWD 131-138

Observed Molecular Weight:

279.72

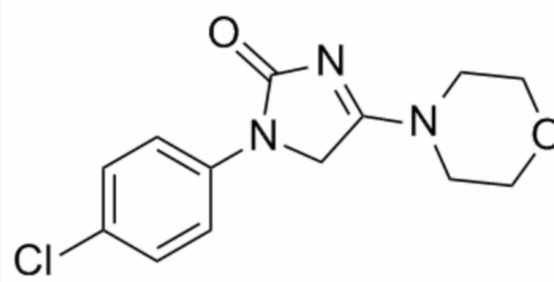
Product Description

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].

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].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!