Imepitoin

Cat. No.: HY-14953

CAS No.: 188116-07-6

Molecular Formula: $C_{13}H_{14}ClN_3O_2$

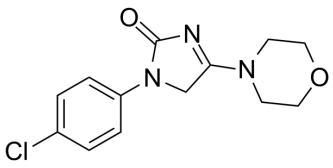
Molecular Weight: 279.72

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (44.69 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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.

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.

IC_{50} & 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]. 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.

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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA