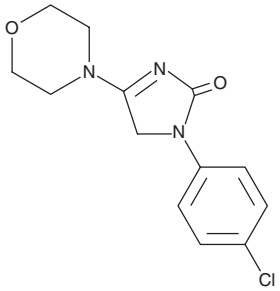
PRODUCT INFORMATION

AWD 131-138

Item No. 16235

CAS Registry No.:	188116-07-6
Formal Name:	1-(4-chlorophenyl)-1,5-dihydro-4-(4-morpholinyl)-2H-imidazol-2-one
Synonyms:	ELB138, Imepitoin
MF:	$C_{13}H_{14}ClN_3O_2$
FW:	279.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 229, 271 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AWD 131-138 is supplied as a crystalline solid. A stock solution may be made by dissolving the AWD 131-138 in the solvent of choice, which should be purged with an inert gas. AWD 131-138 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AWD 131-138 in ethanol is approximately 0.25 mg/ml and approximately 10 mg/ml in DMSO and DMF.

AWD 131-138 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AWD 131-138 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AWD 131-138 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AWD 131-138 is a partial agonist of the $GABA_A$ receptor that acts at the benzodiazepine binding site, dose-dependently stimulating GABA currents with a threshold of 0.3-1.0 µM.[1] This effect is blocked by the benzodiazepine antagonist flumazenil (Item No. 14252).[1] AWD 131-138 displays a broad spectrum of anticonvulsant activity in diverse seizure and epilepsy models without a tendency toward tolerance or abuse.[2-4] It has a superior pharmacokinetic profile in dogs *vs.* humans.[3,5]

References

1. Sigel, E., Baur, R., Netzer, R., *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.* **245(2)**, 85-88 (1998).
2. Rundfeldt, C., Gasparic, A., and Wlaz, P. Imepitoin as novel treatment option for canine idiopathic epilepsy: Pharmacokinetics, distribution, and metabolism in dogs. *J. Vet. Pharmacol. Therap.* **37**, 421-434 (2015).
3. Rundfeldt, C. and Löscher, W. The pharmacology of imepitoin: the first partial benzodiazepine receptor agonist developed for the treatment of epilepsy. *CNS Drugs* **28(1)**, 29-43 (2014).
4. Yasar, S., Bergman, J., Munzar, P., *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.* **465(3)**, 257-265 (2003).
5. Patterson, E.E. Canine epilepsy: An underutilized model. *ILAR J.* **55(1)**, 182-186 (2014).

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