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产品名称：AWD-131-138
产品别名：伊匹妥英 ；Imepitoin

生物活性：

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].
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].
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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 1.25 mg/mL (4.47 mM); Clear solution

此方案可获得 ≥ 1.25 mg/mL (4.47 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 12.5 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；

	向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 1.25 mg/mL (4.47 mM); Clear solution 此方案可获得 ≥ 1.25 mg/mL (4.47 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 12.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 1.25 mg/mL (4.47 mM); Clear solution 此方案可获得 ≥ 1.25 mg/mL (4.47 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 12.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.