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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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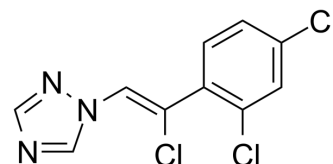
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Loreclezole

Cat. No.:	HY-105272
CAS No.:	117857-45-1
Molecular Formula:	C ₁₀ H ₆ Cl ₃ N ₃
Molecular Weight:	274.53
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 : 110 mg/mL (400.68 mM; Need ultrasonic)					
	H ₂ O : < 0.1 mg/mL (insoluble)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		3.6426 mL	18.2129 mL	36.4259 mL
		5 mM		0.7285 mL	3.6426 mL	7.2852 mL
		10 mM		0.3643 mL	1.8213 mL	3.6426 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: ≥ 2.08 mg/mL (7.58 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (7.58 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	Loreclezole, an antiepileptic compound, is a selective GABA _A receptor modulator and acts as a positive allosteric modulator of β2 or β3-subunit containing receptors ^{[1][2]} .
IC ₅₀ & Target	GABA _A receptor ^[1] .
In Vivo	Loreclezole (10, 25, 50 or 75 mg/kg, i.p. 60 min before measurement of seizure threshold) results in a dosedependent rise in seizure threshold as measured by the dose of pentylenetetrazolrequired to produce a convulsion 60 min later. Loreclezole also has the least effect on loss of muscle tone as measured by the “pull-up” test ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male Lister Hooded rats ^[3] .
Dosage:	10, 25, 50 or 75 mg/kg.
Administration:	IP, 60 min before measurement of seizure threshold.
Result:	Resulted in a dosedependent rise in seizure threshold as measured by the dose of pentylenetetrazolrequired to produce a convulsion 60 min later.

REFERENCES

- [1]. Wingrove PB, et al. The modulatory action of loreclezole at the gamma-aminobutyric acid type A receptor is determined by a single amino acid in the beta 2 and beta 3 subunit. *Proc Natl Acad Sci U S A*. 1994 May 10;91(10):4569-73.
- [2]. Sanna E, et al. Direct activation of GABAA receptors by loreclezole, an anticonvulsant drug with selectivity for the beta-subunit. *Neuropharmacology*. 1996;35(12):1753-60.
- [3]. Green AR, et al. A behavioural and neurochemical study in rats of the pharmacology of loreclezole, a novel allosteric modulator of the GABAA receptor. *Neuropharmacology*. 1996;35(9-10):1243-50.

Caution: Product has not been fully validated for medical applications. For research use only.

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