Loreclezole

Cat. No.: HY-105272

CAS No.: 117857-45-1

Molecular Formula: $C_{10}H_6Cl_3N_3$

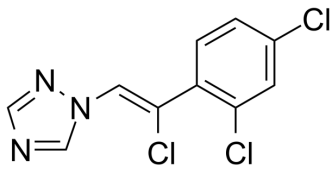
Molecular Weight: 274.53

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_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	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.

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