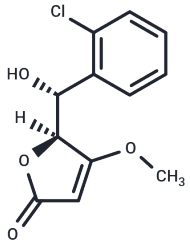
Data Sheet (Cat.No.T27845)

TargetMol

Losigamone

Chemical Properties



CAS No. : 112856-44-7

Formula: C12H11ClO4

Molecular Weight: 254.67

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Losigamone (AO-33), an agonist of the GABA receptor, can be utilized in studies on the treatment of partial seizures.
Targets(IC50)	GABA Receptor,Chloride channel,Sodium Channel
In vivo	Losigamone (AO-33) was tested in 52 healthy male volunteers in 4 placebo-controlled phase I studies. In the study 1 single dose of 100, 200, 300, 500, 700, and 1,000 mg losigamone was given as a fast-releasing capsule to 12 subjects. The pharmacokinetics of losigamone measured after administration of 100, 300, and 700 mg was linear. Clearance and t1/2 were about 350 ml/min and 4 h, respectively, the Cmax values of 0.7, 1.7, and 4.4 micrograms/ml were reached after 2.5 h. In study, 2,500 mg losigamone was given as a fast-release capsule for 6 days (t.i.d.) to 12 subjects. There was a small but statistically significant decrease for the AUC but no change in t1/2, Cmax, or tmax comparing single dose kinetics on days 1 and 8. There appeared to be no change in caffeine clearance on days 1 and 9. Study 2 was repeated in 20 volunteers with a film-coated tablet. Pharmacokinetic parameters appeared to be unaffected by this change in galenical formulation. In the study 4 daily doses of 400, 1,200, and 1,800 mg losigamone were given 28 days to 24 subjects. The kinetics of caffeine and antipyrine were compared on days 1 and 29. With the exception of t1/2 for antipyrine in the 400 mg group there was no statistically significant change in pharmacokinetic parameters. Generally, losigamone was well tolerated and no serious adverse side effects occurred. In some subjects, a reversible increase in transaminases was observed. [1]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.9267 mL	19.6333 mL	39.2665 mL
5 mM	0.7853 mL	3.9267 mL	7.8533 mL
10 mM	0.3927 mL	1.9633 mL	3.9267 mL
50 mM	0.0785 mL	0.3927 mL	0.7853 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Biber A, et al. Pharmacokinetics of losigamone, a new antiepileptic drug, in healthy male volunteers. Int J Clin Pharmacol Ther. 1996;34(1):6-11.
Xiao Y, et al. Losigamone add-on therapy for partial epilepsy. Cochrane Database Syst Rev. 2012;(6):CD009324.
Dimpfel W, et al. Effects of the anticonvulsant losigamone and its isomers on the GABAA receptor system. Epilepsia. 1995;36(10):983-989.
Gebhardt C, et al. The antiepileptic drug losigamone decreases the persistent Na+ current in rat hippocampal neurons. Brain Res. 2001;920(1-2):27-31.
Xiao Y, et al. Losigamone add-on therapy for partial epilepsy. Cochrane Database Syst Rev. 2015;(12):CD009324.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481