Etifoxine hydrochloride

Cat. No.: HY-16579

CAS No.: 56776-32-0

Molecular Formula: $C_{17}H_{18}Cl_2N_2O$

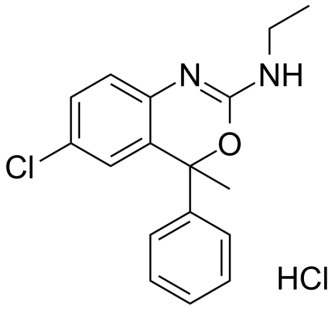
Molecular Weight: 337

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (148.37 mM; Need ultrasonic)

H_2O : 5 mg/mL (14.84 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9674 mL	14.8368 mL	29.6736 mL
5 mM	0.5935 mL	2.9674 mL	5.9347 mL
10 mM	0.2967 mL	1.4837 mL	2.9674 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: ≥ 2.5 mg/mL (7.42 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.42 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Etifoxine hydrochloride, a non-benzodiazepine GABAergic compound, is a positive allosteric modulator of α1β2γ2 and α1β3γ2 subunit-containing $GABA_A$ receptors. Etifoxine hydrochloride reveals anxiolytic and anticonvulsant properties in rodents[1][2][3].

In Vitro

Etifoxine (EFX), at concentrations ranging from 10 to 300 μM (higher concentrations limited its solubility), produces a dose-dependent increase in the [3H]muscimol binding at equilibrium, to 155±2% of its control value at 300 μM EFX[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Etifoxine competitively inhibits [^{35}S]TBPS binding with micromolar potency in rat brain[1].
Etifoxine (3.125-50 mg/kg) exhibits more pronounced anxiolytic and anticonvulsant effects in the BALB/cByJ mice compared to the C57BL/6J mice[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Six-week old BALB/cByJ and C57BL/6J mice (20-25 g)[3].
Dosage:	3.125-50 mg/kg.
Administration:	Intraperitoneal inhection.
Result:	Significantly increased the amount of time spent on the open arms at the 12.5 mg/kg dose when compared to vehicle ($p = 0.009$) in BALB/cByJ mice but produced no effect in C57B/6J mice. BALB/cByJ mice compared with C57BL/6J mice exhibited significantly ($p < 0.012$) lowerplasma levels of the compound at 15 and 30 min.

CUSTOMER VALIDATION

- Front Mol Neurosci. 24 May 2022

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REFERENCES

[1]. Marc Verleye, et al. Effects of etifoxine on ligand binding to GABA(A) receptors in rodents. Neurosci Res. 2002 Oct;44(2):167-72.

[2]. Alain Hamon, et al. The modulatory effects of the anxiolytic etifoxine on GABA(A) receptors are mediated by the beta subunit. Neuropharmacology. 2003 Sep;45(3):293-303.

[3]. Marc Verleye, et al. Differential effects of etifoxine on anxiety-like behaviour and convulsions in BALB/cByJ and C57BL/6J mice: any relation to overexpression of central GABAA receptor beta2 subunits? Eur Neuropsychopharmacol. 2011 Jun;21(6):457-70.

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