Screening Libraries

Etifoxine

Cat. No.: HY-16579A CAS No.: 21715-46-8 Molecular Formula: C₁₇H₁₇ClN₂O Molecular Weight: 300.78

Target: **GABA Receptor**

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

-20°C Storage: Powder 3 years

4°C 2 years

-80°C In solvent 2 years

> -20°C 1 year

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (332.47 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.3247 mL	16.6234 mL	33.2469 mL
	5 mM	0.6649 mL	3.3247 mL	6.6494 mL
	10 mM	0.3325 mL	1.6623 mL	3.3247 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 (8.31 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (8.31 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (8.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Etifoxine, a non-benzodiazepine GABAergic compound, is a positive allosteric modulator of $\alpha 1\beta 2\gamma 2$ and $\alpha 1\beta 3\gamma 2$ subunitcontaining GABA_A receptors. Etifoxine 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 dosedependent 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[11]. 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].

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Animal Model:	Six-week old BALB/cByJ and C57BL/6J mice (20-25 g) ^[3] .	
Dosage:	3.125-50 mg/kg.	
Administration:	Intraperitoneal injection.	
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.

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

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