Eltoprazine hydrochloride

Cat. No.: HY-16687A

CAS No.: 98206-09-8

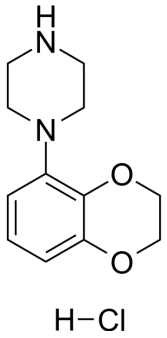
Molecular Formula: $C_{12}H_{17}ClN_2O_2$

Molecular Weight: 256.73

Target: 5-HT Receptor

Pathway: GPCR/G Protein; 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

H_2O : ≥ 100 mg/mL (389.51 mM)
DMSO : ≥ 31 mg/mL (120.75 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.8951 mL	19.4757 mL	38.9514 mL
5 mM	0.7790 mL	3.8951 mL	7.7903 mL
10 mM	0.3895 mL	1.9476 mL	3.8951 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (389.51 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (9.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.74 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Eltoprazine (DU 28853) hydrochloride is a 5-HT1A/5-HT1B receptors agonist and a 5-HT2C receptor antagonist. Eltoprazine hydrochloride shows antiaggressive and anxiogenic effects[1][2].

IC_{50} & Target	$5\text{-}HT_{1A}$ Receptor	$5\text{-}HT_{1B}$ Receptor	$5\text{-}HT_{2C}$ Receptor

In Vivo	Rats are chronically treated with Mianserin (10 mg/kg i.p.) or Eltoprazine (1 mg/kg i.p.) and are tested in the elevated plus-maze test for anxiety. Mianserin and Eltoprazine display opposite effects in the elevated plus-maze: Mianserin induces anxiolytic-like effects, while Eltoprazine shows anxiogenic-like ones[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Sijbesma H, et al. Eltoprazine, a drug which reduces aggressive behaviour, binds selectively to 5-HT1 receptor sites in the rat brain: an autoradiographic study. Eur J Pharmacol. 1990 Feb 20;177(1-2):55-66.

[2]. Rocha B, et al. Chronic mianserin or eltoprazine treatment in rats: effects on the elevated plus-maze test and on limbic 5-HT2C receptor levels. Eur J Pharmacol. 1994 Sep 1;262(1-2):125-31.

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