Milnacipran hydrochloride

Cat. No.: HY-B0168A

CAS No.: 101152-94-7

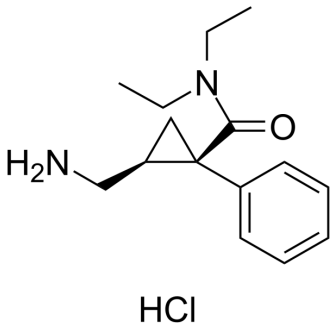
Molecular Formula: $C_{15}H_{23}ClN_2O$

Molecular Weight: 282.81

Target: Serotonin Transporter

Pathway: 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 (353.59 mM)
DMSO : ≥ 48 mg/mL (169.73 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.5359 mL	17.6797 mL	35.3594 mL
5 mM	0.7072 mL	3.5359 mL	7.0719 mL
10 mM	0.3536 mL	1.7680 mL	3.5359 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 110 mg/mL (388.95 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 (8.84 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.84 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Milnacipran hydrochloride is a serotonin-norepinephrine reuptake inhibitor (SNRI) used in the clinical treatment of fibromyalgia.Target: SNRIMilnacipran (Ixel, Savella, Dalcipran, Toledomin) is a serotonin-norepinephrine reuptake inhibitor (SNRI) used in the clinical treatment of fibromyalgia. It is not approved for the clinical treatment of major depressive disorder in the USA, but it is in other countries.Milnacipran inhibits the reuptake of serotonin and norepinephrine in an approximately 1:3 ratio, respectively; in practical use this means a relatively balanced action upon bothneurotransmitters.

Increasing both neurotransmitters concentration simultaneously works synergistically to treat both depression and fibromyalgia. Milnacipran exerts no significant actions onH1, α1, D1, D2, and mACh receptors, as well as on benzodiazepine and opioid binding sites. Milnacipran is well absorbed after oral dosing and has a bioavailability of 85%. Meals do not have an influence on the rapidity and extent of absorption. Peak plasma concentrations are reached 2 hours after oral dosing. The elimination half-life of 8 hours is not increased by liver impairment and old age, but by significant renal disease. Milnacipran is conjugated to the inactive glucuronide and excreted in the urine as unchanged drug and conjugate. Only traces of active metabolites are found.

REFERENCES

[1]. Moret C, et al. Biochemical profile of midalcipran (F 2207), 1-phenyl-1-diethyl-aminocarbonyl-2-aminomethyl-cyclopropane (Z) hydrochloride, a potential fourth generation antidepressant drug. Neuropharmacology. 1985 Dec;24(12):1211-9.

[2]. Briley M, et al. Preclinical pharmacology of milnacipran. Int Clin Psychopharmacol. 1996 Sep;11 Suppl 4:9-14.

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