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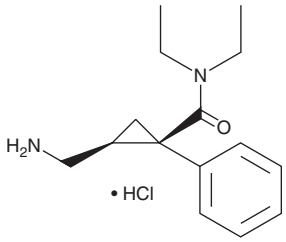
PRODUCT INFORMATION

Milnacipran (hydrochloride)

Item No. 23837

CAS Registry No.: 101152-94-7
Formal Name: (1R,2S)-*rel*-2-(aminomethyl)-N,N-diethyl-1-phenyl-cyclopropanecarboxamide, monohydrochloride
Synonym: F 2207
MF: $C_{15}H_{22}N_2O \bullet HCl$
FW: 282.8
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Milnacipran (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the milnacipran (hydrochloride) in the solvent of choice. Milnacipran (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of milnacipran (hydrochloride) is approximately 33 mg/ml in ethanol and DMSO and approximately 20 mg/ml in DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of milnacipran (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of milnacipran (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Milnacipran is an orally bioavailable serotonin and norepinephrine reuptake inhibitor (SNRI).[1] It selectively inhibits the human serotonin transporter and norepinephrine transporter over the dopamine transporter (IC_{50}s = 420, 77, and 6,100 nM, respectively). It also selectively inhibits sodium-dependent serotonin (Item No. 14332) and norepinephrine (Item No. 16673) uptake over dopamine (Item No. 21992) uptake in rat cerebral cortical synaptosomes (IC_{50}s = 28.0, 29.6, and >10,000 nM, respectively).[2] Milnacipran is an antagonist of the serotonin (5-HT) receptor subtype 5-HT_{3A} as well as nicotinic acetylcholine receptors (nAChRs; IC_{50}s = 63.5 and 14.3 µM, respectively) but does not inhibit other 5-HT, adrenergic, dopamine, muscarinic acetylcholine (mACh), histamine, NMDA, sigma, opioid, or GABA receptors (K_is = >10,000 nM).[2,3] *In vivo*, milnacipran (30 mg/kg) increases withdrawal threshold and latency in response to tactile and heat stimulation, respectively, in nerve-ligated mice.[4] Formulations containing milnacipran have been used to treat fibromyalgia pain.

References

1. Chen, C., Dyck, B., Fleck, B.A., *et al. Bioorg. Med. Chem.* **18(4)**, 1346-1349 (2008).
2. Mochizuki, D., Tsujita, R., Yamada, S., *et al. Psychopharmacology (Berl)* **162(3)**, 323-332 (2002).
3. Ueta, K., Suzuki, T., Uchida, I., *et al. Psychopharmacology (Berl)* **175(2)**, 241-246 (2004).
4. Suzuki, T., Ueta, K., Tamagaki, S., *et al. Anesth. Analg.* **106(4)**, 1309-1315 (2008).

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