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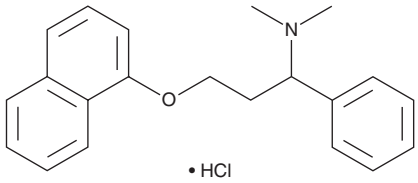
PRODUCT INFORMATION

DL-Dapoxetine (hydrochloride)

Item No. 37915

CAS Registry No.: 1071929-03-7
Formal Name: N,N-dimethyl-α-[2-(1-naphthalenyloxy) ethyl]-benzenemethanamine, monohydrochloride
Synonym: (±)-Dapoxetine
MF: $C_{21}H_{23}NO \bullet HCl$
FW: 341.9
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

DL-Dapoxetine (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the DL-dapoxetine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. DL-Dapoxetine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of DL-dapoxetine (hydrochloride) in DMSO is approximately 16 mg/ml and approximately 25 mg/ml in ethanol and 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 DL-dapoxetine (hydrochloride) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of DL-dapoxetine (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

DL-Dapoxetine is a racemic mixture of the serotonin (5-HT) reuptake inhibitors (R)-dapoxetine and (S)-dapoxetine.[1] (S)-Dapoxetine is more potent than (R)-dapoxetine in a serotonin reuptake assay using isolated rat cerebral cortex membranes (IC_{50}s = 10 and 35 nM, respectively).[2] (S)-Dapoxetine also inhibits the reuptake of norepinephrine and dopamine in cells expressing the human transporters (IC_{50}s = 202 and 1,720 nM, respectively).[3] Formulations containing (S)-dapoxetine have been used in the treatment of premature ejaculation.

References

1. Kang, S., and Lee, H.-K. Highly efficient, enantioselective syntheses of (S)-(+)- and (R)-(-)-dapoxetine starting with 3-phenyl-1-propanol. *J. Org. Chem.* **75(1)**, 237-240 (2010).
2. Robertson, D.W., Wong, D.T., and Thompson, D.C. 1-Phenyl-3-naphthalenyloxypropanamines and their use as selective serotonin reuptake inhibitors. *APBI Holdings LLC*. **US5135947A** (1990).
3. Gengo, P.J., François, G., McKenna, K.E., *et al.* Monoaminergic transporter binding and inhibition profile of dapoxetine, a medication for the treatment of premature ejaculation. *J. Urol.* **173(4)**, 239 (2005).

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