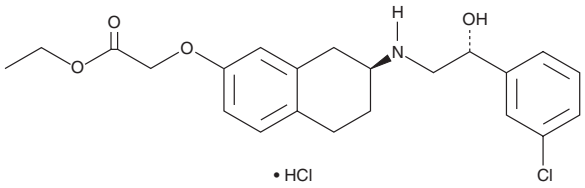
PRODUCT INFORMATION

SR 58611A (hydrochloride)

Item No. 11954

CAS Registry No.: 121524-09-2
Formal Name: [[(7S)-7-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl] amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-acetic acid, ethyl ester, monohydrochloride
Synonym: Amibegron
MF: $C_{22}H_{26}ClNO_4 \bullet HCl$
FW: 440.4
Purity: ≥98%
UV/Vis.: λ_{max}: 277 nm
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

SR 58611A (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the SR 58611A (hydrochloride) in the solvent of choice. SR 58611A (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of SR 58611A (hydrochloride) in these solvents is approximately 30 mg/ml.

Description

SR 58611A is a selective β_3-adrenergic receptor agonist (β_3-AR; EC_{50} = 3.5 nM in rat colon).[1] Its activity cannot be blocked by the β_1- and β_2-AR antagonists CGP 20712A and ICI 118551 (Item No. 15591), respectively. SR 58611A is minimally active against β_1-ARs in rat uterus (EC_{50} = 499 nM) and inactive against β_2-ARs in guinea pig trachea and atrium (EC_{50}s = >10,000 and >30,000 nM, respectively). SR 58611A activates brown fat metabolism through activation of adenylyl cyclase activity and glycerol release in brown adipocytes (EC_{50}s = 20 and 11 nM, respectively).[2] It also reduces hypothermia produced by apomorphine (Item No. 16094) and reserpine (Item No. 16474) and potentiates toxicity produced by yohimbine (Item No. 19869) in mice.[3] SR 58611A (0.6 to 2 mg/kg/day) also reduces the number of escape failures in a learned helplessness model of antidepressant-like activity in rats without changes in locomotor activity typically seen with β_2-AR agonists.

References

1. Bianchetti, A. and Manara, L. *In vitro* inhibition of intestinal motility by phenylethanolaminotetralines: Evidence of atypical β-adrenoceptors in rat colon. *Br. J. Pharmacol.* **100(4)**, 831-839 (1990).
2. Nisoli, E., Tonello, C., and Carruba, M.O. SR 58611A: A novel thermogenic β-adrenoceptor agonist. *Eur. J. Pharmacol.* **259(2)**, 181-186 (1994).
3. Simiand, J., Keane, P.E., Guitard, J., *et al.* Antidepressant profile in rodents of SR 58611A, a new selective agonist for atypical β-adrenoceptors. *Eur. J. Pharmacol.* **219(2)**, 193-201 (1992).

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