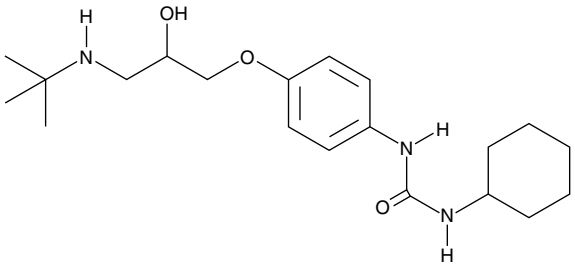
Product Information

(±)-Talinolol

Item No. 16116

CAS Registry No.: 57460-41-0
Formal Name: N-cyclohexyl-N'-[4-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]phenyl]-urea
Synonym: Cordanum
MF: $C_{20}H_{33}N_3O_3$
FW: 363.5
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 203, 245, 292 nm



Laboratory Procedures

For long term storage, we suggest that (±)-talinolol be stored as supplied at -20°C. It should be stable for at least two years.

(±)-Talinolol is supplied as a crystalline solid. A stock solution may be made by dissolving the (±)-talinolol in the solvent of choice. (±)-Talinolol is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of (±)-talinolol in these solvents is approximately 10, 15, and 30 mg/ml, respectively.

(±)-Talinolol is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, (±)-talinolol should first be dissolved in DMF and then diluted with the aqueous buffer of choice. (±)-Talinolol has a solubility of approximately 0.05 mg/ml in a 1:20 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

(±)-Talinolol is a β_1-selective adrenoceptor antagonist well known for its cardioprotective and antihypertensive activity.[1] By blocking β_1-adrenergic receptors, talinolol delays the conduction of stimuli in the AV node, reduces the sino-atrial conduction time, and impedes the sinus node automaticity.[1] Because its metabolism in human liver microsomes is well understood, (±)-talinolol is useful for examining the activity of the drug-transporting MDR1 gene product P-glycoprotein.[2-4]

References

1. Abmann, I. The actions of talinolol, a β_1-selective beta blocker, in cardiac arrhythmia and acute myocardial infarction. *Curr. Med. Res. Opin.* **13(6)**, 325-342 (1995).
2. Trausch, B., Oertel, R., Richter, K., *et al.* Disposition and bioavailability of the β_1-adrenoceptor antagonist talinolol in man. *Biopharm. Drug Dispos.* **16(5)**, 403-414 (1995).
3. Zschiesche, M., Lemma, G.L., Klebingat, K.J., *et al.* Stereoselective disposition of talinolol in man. *J. Pharm. Sci.* **91(2)**, 303-311 (2002).
4. Schwarz, U.I., Seemann, D., Oertel, R., *et al.* Grapefruit juice ingestion significantly reduces talinolol bioavailability. *Clin. Pharmacol. Ther.* **77(4)**, 291-301 (2005).

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