

LECTURE

LIPASE CATALYZED SYNTHESIS OF ENANTIOPURE PRECURSORS AND DERIVATIVES FOR β -BLOCKERS PRACTOLOL, PINDOLOL AND CARTEOLOL

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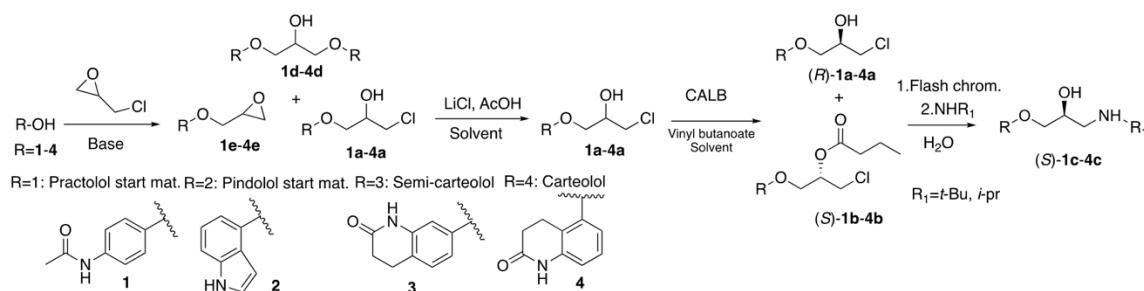
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High blood pressure (hypertension) and heart failure are severe global health problems. In Norway, approximately 30% of all deaths are caused by cardiovascular diseases [1]. Approximately 26 million people worldwide live with heart failure, and approximately seven million deaths are caused by hypertension annually [2]. Heart failure cannot be cured, but may be treated by medications in order to increase quality of life for the patients. Both heritage (genetic) and lifestyle may lead to increased risk of cardiovascular diseases. Risk factors for cardiovascular diseases, such as reduced activity, eating more sugar and salt, increased stress, obesity and overweight, may be reasons for the increasing health problems worldwide [3].

Chlorohydrins as building blocks for several β -blockers have been synthesized in high enantiomeric purity by chemo-enzymatic methods. The yield of the chlorohydrins increased by the use of catalytic amount of base. The reason for this was found to be the reduced formation of the dimeric by-products compared to the use of higher concentration of the base. An overall reduction of reagents and reaction time was also obtained compared to our previously reported data of similar compounds.



Scheme 1. Building blocks (*R*)-**1a-4a** synthesized in 92–97% *ee* for use in synthesis of the *S*-enantiomers of the β -blockers practolol, pindolol and derivatives of carteolol ((*S*)-**1c-4c**).

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