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TOPIC(s) : (Chemo)enzymatic strategies

Green Chemo-Enzymatic Synthesis of Pure Enantiomers of β -Antagonists Bisoprolol and Betaxolol

AUTHORS

Elisabeth JACOBSEN / NORWEGIAN UNIVERSITY OF SCIENCE & TECHNOLOGY, HØGSKOLERINGEN 5, TRONDHEIM

Susanne TROØYEN / NORWEGIAN UNIVERSITY OF SCIENCE & TECHNOLOGY, HOEGSKOLERINGEN 5, TRONDHEIM

Lucas BOCQUIN / UNIVERSITY OF BIELEFELD, UNIVERSITÄTSSTRASSE 25, BIELEFELD

PURPOSE OF THE ABSTRACT

β -Blockers are one of the classes of drugs which plays a relevant role in the treatment of various human diseases such as hypertension, angina pectoris, migraines and tremors. β -Blockers are β -adrenergic antagonists, which affects the β -adrenergic receptors found in a variety of places in the human body, with most efficiency in the heart and vascular system [1]. Only a few β -blockers are manufactured with the single enantiomer Active pharmaceutical ingredient (API), even though for most β -blockers the (S)-enantiomers are the more potent enantiomer [2]. Several negative side effects from the “wrong” enantiomer are also known. Due to this there is a need for effective and green methods for production of single enantiomers of these widely used drugs. We have for some time studied the synthesis mechanisms for production of single enantiomers of several β -blockers [3-5]. Here we present our results of producing the pure enantiomers of (S)-bisoprolol and (S)-betaxolol (Figure 1)[6-8].

FIGURES

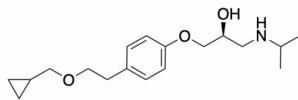
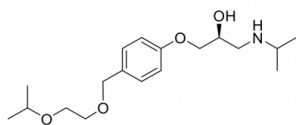


FIGURE 1

Figure 1

Figure 1. (S)-Bisoprolol (left) and (S)-betaxolol (right).

FIGURE 2

KEYWORDS

BIBLIOGRAPHY

- [1] Khan, M. Cardiac Drug Therapy, 8th ed., Humana Press: 2015, p 7.
- [2] Agustian, J. et al. Process Biochem. 2010, 45, 1597-1604.
- [3] Lund, I.T. et al. Tetrahedron 2016, 72, 7288-7292.
- [4] Blindheim, F.H. et al. Catalysts 2018, 8, 516-524
- [5] Gundersen, M.A et al. Catalysts 2021, 11, 503-518.
- [6] Trøen S. et al Catalysts 2022, 12, 980-992
- [7] Trøen S. et al. Catalysts 2022, 12, 1645-1657
- [8] Bocquin L. et al Catalysts 2023, 13, 54-63