生物催化在药物合成中的应用

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摘要 生物转化是生产单一对映体产品的有效方法。水解酶是最常用的一种酶,特别 是脂肪酶广泛使用于水解,酯化和氨解反应中。在有机合成中很少被使用的裂合酶 也开始引起人们的关注, 例如,用(R)-醇腈酶可以合成具有光学活性的氰醇,它

是一种重要的医药中间体。主要介绍了用于医药化学领域的四种生物催化反应:酶 法醇的转化、酶法胺的区域选择性乙酰化、天然产物的烷氧羰基化和以(R)-醇腈 酶为催化剂,化学-酶法合成高附加值的产品的反应。

关键词 脂肪酶 酯化 醇腈酶 羰基化作用 烷氧基 催化剂

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Applications of Biocatalyst in the Preparation of Pharmaceuticals

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Abstract Biotransformations are now accepted as a methodology for the preparation of fine chemicals. A majority of enzyme-catalysed reactions involve the use of hydrolases, especially lipases, in hydrolysis, esterification or aminolysis reactions. Lyases, the enzymes much less exploited in organic synthesis, especially, (R) oxynitrilases for the synthesis of optically active cyanohydrins which are intermediates of many compounds of pharmacological importance, are proving increasingly interesting. In this review, the utilities of four kinds of processes in the field of pharmaceutical chemistry have been reported. Enzymatic resolution of alcohols, enzymatic regioselective acylation of amines, alkoxycarbonylation of nature products, and chemoenzymatic synthesis of products of high added value using (R) -oxynitrilases as biocatalyst are described.

Key words LIPASE ESTERIFICATION oxynitrilase CARBONYLATION ALKOXYL GROUP CATALYST

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