

论文

Boc-*L*-甲基苯丙氨酸的合成与拆分

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摘要:

利用Boc-2-氨基丙二酸二乙酯和甲基苄溴为原料, 合成邻位、间位、对位甲基取代的Boc-苯丙氨酸乙酯, 经枯草杆菌蛋白酶拆分得到对应的Boc-*L*-甲基苯丙氨酸. 通过红外光谱、核磁共振、质谱及旋光度分析对3种物质的结构进行了表征.

关键词: 非天然氨基酸 Boc-*L*-甲基苯丙氨酸 拆分

Synthesis and Resolution of Boc-*L*-Methylphenylalanines

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Abstract:

Synthetic chemists paid great attention on the synthesis of non-natural amino acids due to the improvement in the binding potency, chemical and biological stability and pharmacokinetic characteristics upon introduction of functional groups into peptide based compounds. The methylphenylalanines, the analogs of phenylalanine, play an important role in drug research, such as the drug of anti-hypertension, analogs of enkephalin, the endothelin peptide receptor antagonists and the analogs of hormone. In this paper, *o*-, *m*- and *p*-Boc-*L*-methylphenylalanines were synthesized using Boc-diethyl malonate and methyl benzylbromide as the starting materials. The racemic amino acids were separated into optical isomers Boc-*L*-amino acids and Boc-*D*-amino acid ester by subtilisin. The yields are 42.5%, 47.7% and 64.5%, respectively. In addition, *m*-*L*-methylphenylalanine was also prepared using diethyl acetamidomalonate and 2-methyl benzylbromide as the starting materials and the racemic amino acids were separated into optical isomers *L*-amino acids and *D*-amino acids by acylase. The yield is 34.8%. The chemical structures of Boc-*L*-methylphenylalanines were confirmed by IR, ¹H NMR, MS and optical rotation. In comparison of the two methods, the former is simpler, with a higher yield and lower cost. Therefore, it is suitable for industrial application and laboratory preparation.

Keywords: Non-natural amino acids Boc-*L*-methylphenylalanine Resolution

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