

研究简报

经济实用的Boc保护氨基酸键合氯甲基树脂的方法研究

韩香, 龚喜, 杨潇骁, 王德心*

(中国医学科学院中国协和医科大学药物研究所 北京 100050)

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摘要 在固相多肽合成中, 探索一种经济有效的Boc保护氨基酸键合氯甲基树脂的方法.

采用 K_2CO_3/KI 作为键合试剂, 一步合成产物, 反应条件为: Boc保护氨基酸2.5倍量, K_2CO_3 2.5倍量, KI 0.01倍量,

氯甲基树脂1.0倍量, 普通DMF作反应溶剂, 70 °C空气浴中旋转反应25 h. 考察了28

种不同结构的氨基酸底物在此条件下与氯甲基树脂的键合收率, 大多数氨基酸都能得到几乎定量的酯化产率.

并选取了不同空间位阻的4种Boc保护氨基酸, 比较了KOH, Cs_2CO_3 , TEA/KI, DCHA/KI, DIPA/KI,

Cs_2CO_3/KI 和 K_2CO_3/KI 等不同键合条件对收率的影响. 结果表明, 除Boc-Asn-OH外,

K_2CO_3/KI 条件与 Cs_2CO_3/KI 的效果大体相同, 但 K_2CO_3/KI 更为经济、可行.

关键词 [Boc保护氨基酸](#) [氯甲基树脂\(Merrifield树脂\)](#) [固相合成](#) [多肽](#)

分类号

An Efficient and Economical Procedure for the Attachment of Boc-Protected Amino Acids to Chloromethyl Resin

HAN Xiang, GONG Xi, YANG Xiao-Xiao, WANG De-Xin*

(Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050)

Abstract An efficient and economical technology for the anchoring of Boc-protected amino acids via the carboxy terminal to chloromethyl Merrifield resin, using K_2CO_3/KI as the base reagent, was investigated. 2.5 equiv. Boc-AA-OH, 2.5 equiv. K_2CO_3 , 0.01 equiv. KI and 1.0 equiv. resin were mixed in DMF. The reaction was completed after 25 h at 70 °C.

Each of the twenty-eight *N*-blocked amino acids was attached to Merrifield chloromethyl resin to give the *N*-Boc-esterified resin preparations. The mean values of yields were based on both weight gain of the resin and the nitrogen content respectively. Most yields of the desired derivatized resin esters were close to quantitative level. Four amino acids with different bulk of side chain were compared in the resin loading experiment under seven different conditions, such as KOH, Cs_2CO_3 , TEA/KI, DCHA/KI, DIPA/KI, Cs_2CO_3/KI and K_2CO_3/KI . The efficiency of K_2CO_3/KI in related reaction was the same as that of Cs_2CO_3/KI , except for Boc-Asn-OH. Considering the facility and economy, K_2CO_3/KI promoted process would be more suitable for large scale production of chloromethyl resin bound Boc-amino acids.

Key words [Boc-protected amino acid](#) [chloromethyl resin \(Merrifield resin\)](#) [solid-phase synthesis](#) [peptide](#)

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通讯作者 王德心 wangdx@imm.ac.cn

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