研究快报

硅胶催化的选择性去除N-Boc保护基

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发现了在回流的甲苯中, 以硅胶为催化剂, 多种N-Boc保护的伯胺、仲胺、氨基酸的氨基都可以迅速脱除B oc. 该方法具有条件温和、操作简便、反应时间短和产率高等优点. 同时, 其它常用的保护基Cbz和Fmoc等在同样的 ▶ Email Alert 条件下不受影响.

N-Boc脱保护 硅胶 选择性脱保护

分类号 0621

Selective Deprotection of N-Boc Catalyzed by Silica Gel

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Abstract As an important protective group of amines and amino acids, tert-butoxycarbonyl(Boc) group was extensively us ed in organic synthesis. Though many mild and selective reagents could be used, there is still a need for the development of a more simple and convenient method for deprotection. In this paper, a new method for the deprotection of N-Boc group w ith silica gel in refluxing toluene was reported. The reactions were mostly achieved in 5 h with high yields (75%—98%). N-Boc protected indoline and benzylamine, which are not deprotected with other mild method, could be deprotected with our method in good yields (89% and 95%, respectively). Additionally the deprotection of other carbamates such as Cbz, Fmoc a nd ethyl carbamate wasn't observed under same conditions.

Key words N-Boc deprotection Silica gel Selective deprotection

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扩展功能

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