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Boron trifluoride-methanol complex. Mild and powerful reagent for deprotection of acetylated amines. Scope and selectivity

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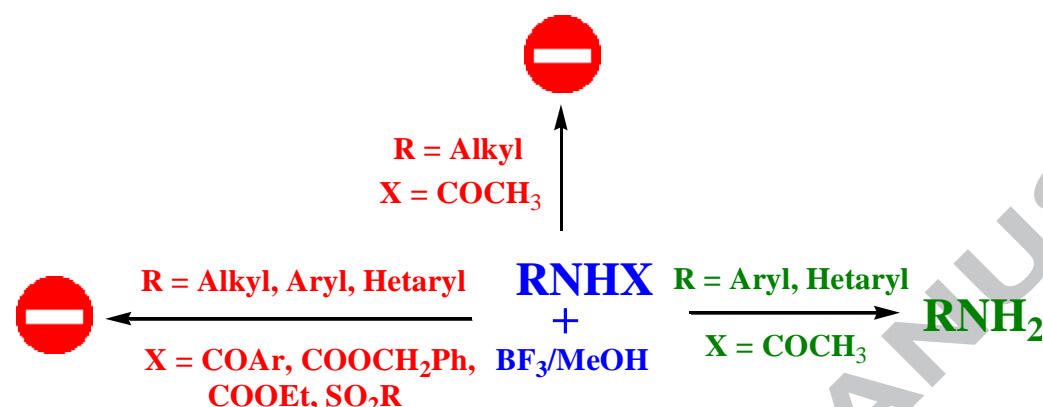


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Graphical Abstract:

Boron trifluoride-methanol complex - mild and powerful reagent for deprotection of acetylated amines. Scope and selectivity.

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Boron trifluoride-methanol complex. Mild and powerful reagent for deprotection of acetylated amines. Scope and selectivity.

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A boron trifluoride–methanol complex demonstrated remarkable deprotection selectivity against commonly used amino-protecting groups in the deacetylation of acetanilides and high sensitivity to the steric hindrance of substrates. The scope and limitations of the reaction were explored.

1. Introduction

Acetylation by the derivatives of acetic acid (acetic anhydride, acetyl chloride) can be considered as the most inexpensive and simple way to protect the amino group in multistep organic synthesis. The advantage of this approach is the ease of the protection step, that can be carried out at room temperature. Unfortunately the deprotection step often requires rather harsh conditions (highly acidic or basic media and elevated temperatures) causing side-reactions. It is therefore interesting to study mild deacetylation reactions to make this protecting group more attractive. For instance, Sihlbom¹ proposed a procedure consisting of heating acetanilides at reflux in methanol in the presence of boron trifluoride. During the course of our research regarding the synthesis of long-wave absorbing dyes we successfully used this procedure for the deprotection of highly labile acetylated aminocyanines.^{2,3} Later on it was also used in the synthesis of azidofluoresceins⁴ and in the final step for the preparation of nonnatural amino

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