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LITHIUM TETRAFLUOROBORATE: A MILD AND EFFICIENT REAGENT FOR THE CLEAVAGE OF DIMETHOXYTRITYL ETHERS

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Abstract: A mild and efficient method for the cleavage of dimethoxytrityl ethers using lithium tetrafluoroborate is reported.

4, 4'-Dimethoxytrityl (DMTr) is widely used for the protection of primary hydroxy group, particularly in nucleotide chemistry[1]. Organic protic acids and Lewis acids are the commonly used reagents for the cleavage of DMTr ethers[2]. Herein we wish to report a novel and efficient method for the deprotection of DMTr ethers using lithium tetrafluoroborate.

We have found that in the presence of methanol, $LiBF_4$ is a mild and highly efficient reagent for the cleavage of DMTr ethers. The reaction is fast (< 15 min) and gives excellent yields of the alcohols (**Table**). Functional groups such as TBS, isopropylidene, ester, epoxide were not effected, and cleavage of the

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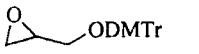
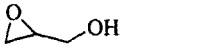
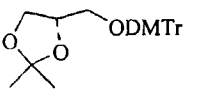
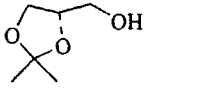
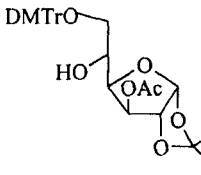
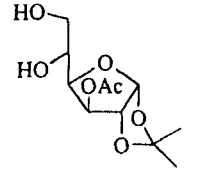
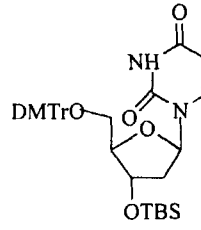
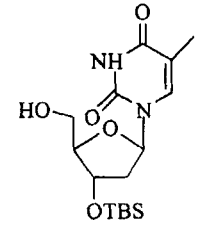
Table Results of deprotection of DMTr ethers[a]

Entry	Substrate	Product[b, c]	Yield (%)[d]
1	$CH_3(CH_2)_7ODMTr$	$CH_3(CH_2)_7OH$	94
2	$TBSO(CH_2)_{10}ODMTr$	$TBSO(CH_2)_{10}OH$	90
3	$AcO(CH_2)_{10}ODMTr$	$AcO(CH_2)_{10}OH$	90
4			92
5			94
6			90
7			86

a: All reactions were carried out on a 1.0 mmol scale.b: DMTrOMe was also isolated.
c: All Products gave satisfactory spectroscopic data. d: Isolated yields after column chromatography.

nucleotide bond[2] and reverse tritylation[3] were not observed. Replace of $LiBF_4$ with lithium chloride or bromide did not effect the detritylation.

Typical procedure: To a solution of DMTr ether (1.0 mmol) in 10% methanol in dichloromethane (v/v, 10 ml) was added a solution of lithium

tetrafluoroborate in acetonitrile (1.1 ml of a 1.0 M solution, 1.1 mmol) at ambient temperature. The reaction mixture was stirred for ca 15 min. Conventional work-up and purification by column chromatography on silica gel afforded DMTr methyl ether and the alcohol.

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