

SELECTIVE BIOCATALYTIC ACYLATION STUDIES ON 5'-O-(4,4'-DIMETHOXYTRITYL)-2',3'-SECOURIDINE: AN EFFICIENT SYNTHESIS OF UNA MONOMER

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□ *Lipozyme*[®] TL IM (*Thermomyces lanuginosus* lipase immobilized on silica) in toluene catalyzes the acylation of the 2'-OH over the 3'-OH group in 5'-O-(4,4'-dimethoxytrityl)-2',3'-secouridine (5'-O-DMT-2',3'-secouridine) in a highly selective fashion in moderate to almost quantitative yields. The turn over during benzoyl transfer reactions mediated by vinyl benzoate or benzoic anhydride was faster than in acyl transfer reactions with vinyl acetate or C₁ to C₅ acid anhydrides; except in the case of butanoic anhydride. The 2'-O-benzoyl-5'-O-DMT-2',3'-secouridine obtained by *Lipozyme*[®] TL IM catalyzed benzoylation of 5'-O-DMT-2',3'-secouridine was successfully converted into its 3'-O-phosphoramidite derivative in satisfactory yield, which is a building block for the preparation of oligonucleotides containing the uracil monomer of UNA (unlocked nucleic acid).

Keywords UNA; *Lipozyme*[®] TL IM; toluene; regioselective acylation; UNA monomer

INTRODUCTION

Unlocked nucleic acid (UNA) has an incomplete ribose ring open between the 2'- and 3'-carbon atoms and is an acyclic analog of RNA (Figure 1). Thymine UNA was first introduced in 1995 and it has been shown that the structural flexibility of UNA monomers destabilizes the duplexes.^[1–3] The

Received 29 August 2012; accepted 24 September 2012.

We are thankful to the University of Delhi and DBT, New Delhi for providing financial support under the DU-DST Purse Grant and under Indo-Danish Collaboration program in Biotechnology. Sunil K. Singh, L. Chandrashekhara Reddy, and Smriti Srivastava thank CSIR, DBT, and UGC, New Delhi, respectively, for providing junior/senior research fellowships. Jesper Wengel thanks the Danish National Research Foundation for funding the Nucleic Acid Center.

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