

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

Sunil K. Singh,<sup>1</sup> L. Chandrashekar Reddy,<sup>1</sup> Smriti Srivastava,<sup>1</sup>  
Carl E. Olsen,<sup>2</sup> Yogesh S. Sanghvi,<sup>3</sup> Niels Langkjær,<sup>4</sup> Jesper Wengel,<sup>4</sup>  
Virinder S. Parmar,<sup>1</sup> and Ashok K. Prasad<sup>1</sup>

<sup>1</sup>Bioorganic Laboratory, Department of Chemistry, University of Delhi, Delhi, India

<sup>2</sup>Department of Natural Sciences, University of Copenhagen, Frederiksberg C, Denmark

<sup>3</sup>Rasayan Inc., Encinitas, CA, USA

<sup>4</sup>Department of Physics, Chemistry and Pharmacy, Nucleic Acid Center, University of Southern Denmark, Odense M, Denmark

□ *Lipozyme*<sup>®</sup> 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 C<sub>1</sub> to C<sub>5</sub> acid anhydrides; except in the case of butanoic anhydride. The 2'-O-benzoyl-5'-O-DMT-2',3'-secouridine obtained by *Lipozyme*<sup>®</sup> 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*<sup>®</sup> 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.<sup>[1–3]</sup> The

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Address correspondence to Ashok K. Prasad, Bioorganic Laboratory, Department of Chemistry, University of Delhi, Delhi 110007, India. E-mail: ashokenzyme@yahoo.com