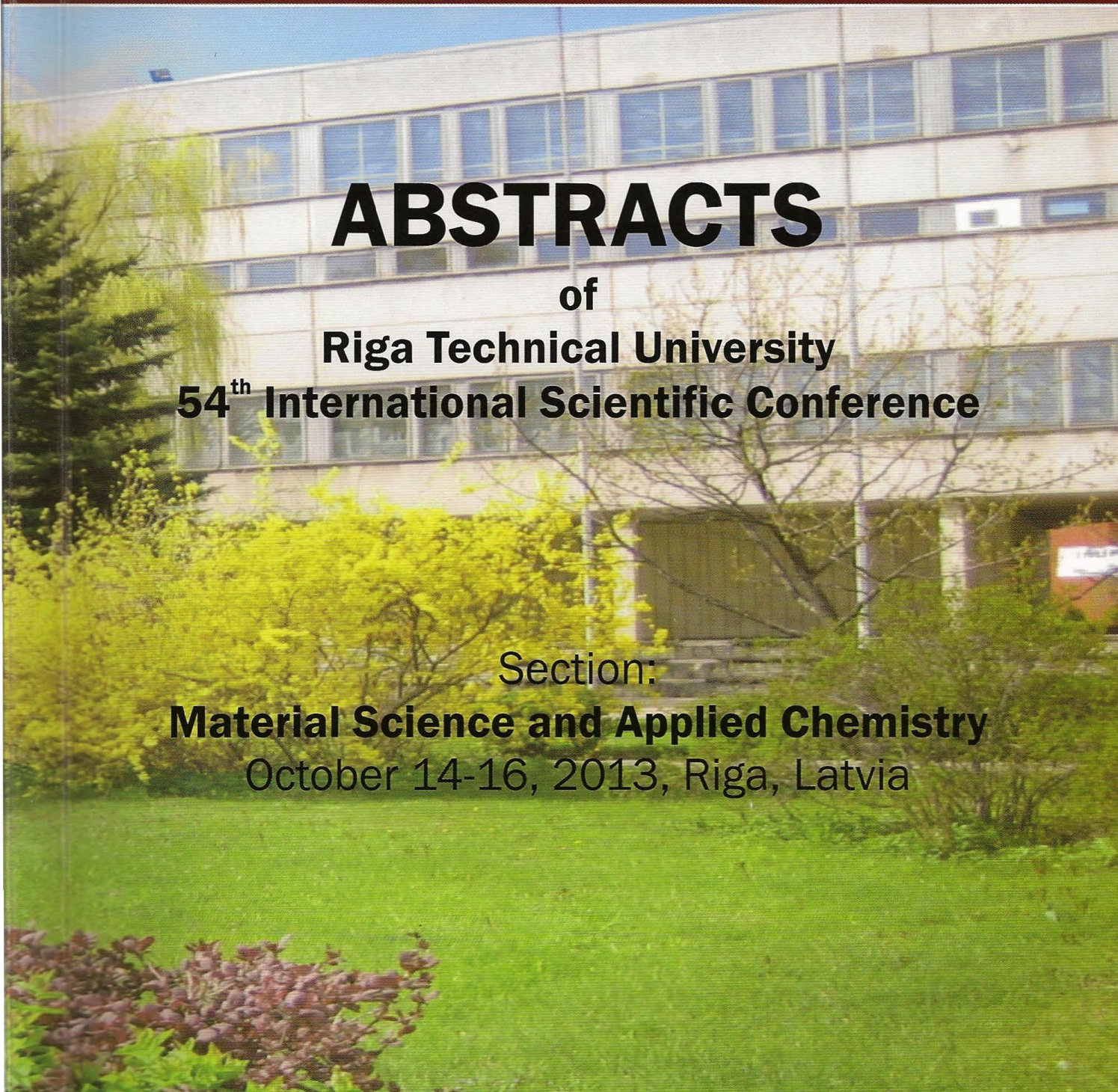


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ABSTRACTS
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Synthesis and Spectroscopic Studies of Novel Carbopeptoids

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INTRODUCTION

Oligopeptides arising from synthetic sugar amino acids exhibit interesting self-assembling structures in a solution, and have been intensively studied as peptidomimetics [1]. After the discovery of click synthesis of 1,2,3-triazoles [2], the latter have been studied as amide bond isosteres as they exhibit a similar spatial arrangement and geometry [3].

RESULTS AND DISCUSSION

We present here the hybrid building blocks that can be used to synthesise carbopeptoids with *trans*-orientated functional groups. Structural hybrid 1 (Figure 1) contains two carbohydrate-based bicyclic rings with defined molecular scaffold, and amide functionality that can participate in intramolecular hydrogen bond formation. It also contains C-terminal alkyne along with masked N-terminal azide that is foreseen for copper-catalyzed azide alkyne cycloadditions.

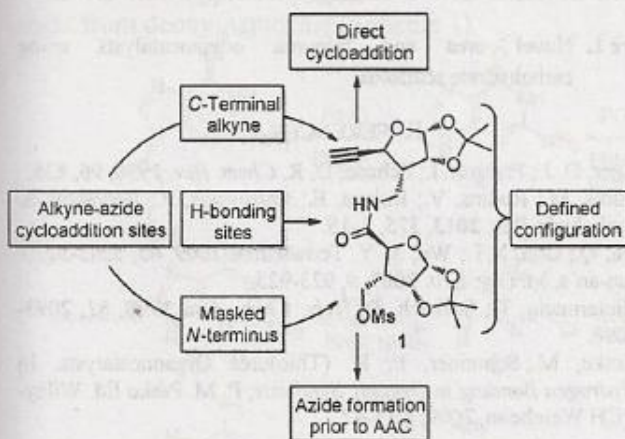


Figure 1. Dimeric building block

Iterative cycloaddition reaction/azidation sequence using this hybrid allows the synthesis of oligomeric carbopeptoids of type I (Figure 2), which has both the amide and triazole linkers between carbohydrate cores.

Substitution of the amide linker in dimeric hybrid with 1,2,3-triazole moiety brings another degree of rigidity

and opens the opportunity for the synthesis of type II saccharopeptides with all-triazole linkages.

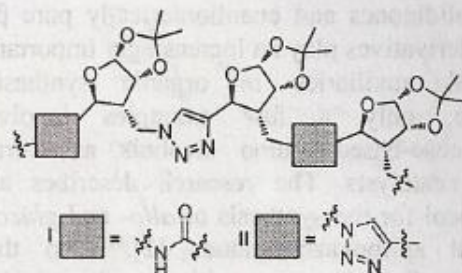


Figure 2.

Schematic representation of oligomers

Oligomers of type I and II as well as their synthetic precursors were studied by NMR and CD spectroscopies. ROESY spectra were acquired, and distances between adjacent protons were determined.

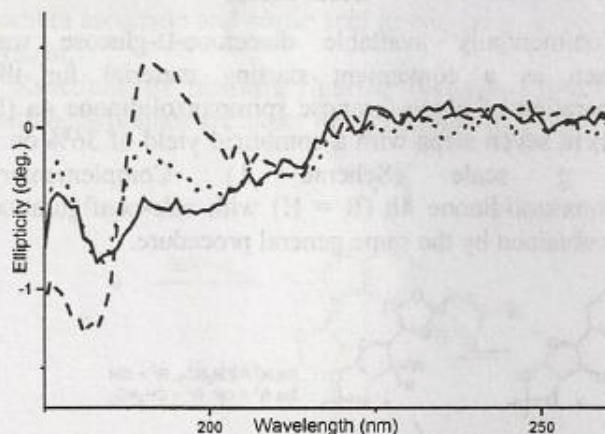


Figure 3. CD spectra of hybrid tetramer (dashed line), hexamer (dotted line), and octamer (solid line) in trifluoroethanol

Circular dichroism spectroscopy was used to determine influence of the solvent on the possible conformation distribution (Figure 3).

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