

PC 8 - Synthesis of Thio-Oligosaccharides and Glycosides

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Thio-oligosaccharides and related thio-glycosides are rarely described in the literature. Thio-analogues of oligosaccharides derived from N-acetylglucosamine are of interest as potential enzyme inhibitors. Here, we report the synthesis of thio-glycoside analogues of N-acetyl-chitooligosaccharides. The protected 4-O-Tf-galactopyranosyl thioglycosides **1** were prepared by a new method from the corresponding p-methoxyphenyl glycosides of N-acetylglucosamine. Coupling of **1** with 2-acetamido-3,4,6-tri-O-acetyl-2-deoxy-1-thio- β -D-glucopyranose **2** in the presence of sodium hydride and 15-crown-5 in THF, followed by deprotection, afforded the pseudo-trisaccharides **3**. A complex of 15-crown-5 with sodium triflate was isolated from the reaction mixture as a by-product, and its crystal structure was determined by X-ray diffraction analysis.

The determination of the inhibitory activity (IC₅₀) of these pseudo-oligosaccharides **3** towards different chitinases (A and B from *Serratia marcescens*, *Chironomus tentans* and Hevamin) and N-acetyl-glucosaminidase (*Chironomus tentans*) gave results in μ M range.