Synthesis of Carbohydrate Components of Antibiotics

Structure-activity studies across diverse classes of antibiotics have revealed large effects of glycosidation on antibiotic activity. Our laboratory has been interested in the synthesis of unusual amino and nitro sugar components found in antibiotics and in other natural products for several years. Our synthesis of callipeltose was one of the first published, and it was adapted by another laboratory in their synthesis of callipeltoside A, a rare marine natural product that has interesting biological properties.

We recently completed the synthesis of a derivative of lemonose, a carbohydrate found in lemonomycin, which is a member of the tetrahydroisoquinoline family of natural products active against methicillin-resistant strains of *Staphylococcus aureus* (MRSA).

Three approaches were investigated in the synthesis of lemonose from carbohydrate precursors. An approach based on the deoxygenation of an intermediate that was used in the synthesis of the amino sugar callipeltose was successful and it provided the target ompound, which was then converted to a phenyl thioglycoside for use as a donor in glycosidation.

Relevant publications from our lab:

C. Briegel, A. K. Cummings, G. R. Smith, M. D. Doroski, W. J. Boyko, N. A. Piro, W. S. Kassel, and R. M. Giuliano, "Synthesis of Lemonose Deriatives: Methyl 4-Amino-3-*O*, *N*-Carbonyl-2,4,6-Trideoxy-3-*C*-Methyl-α-L-*lyxo*-Pyranoside" *Carbohydrate Research* **2015**, *409*, 63-68.

G. R. Smith, J. J. Finley IV, and R. M. Giuliano, "Synthesis of Methyl α -L-Callipeltoside," *Carbohydrate Research* **1998**, *308*, 223-227.