Carbohydrate Medicinal Chemistry -Novel Multicomponent Cyclizations on Carbohydrate Templates

Hans Peter Wessel

F. Hoffmann-La Roche Ltd., Pharma Research Basel, Discovery Chemistry CH-4070 Basel, Switzerland

Carbohydrates have their place in pharmaceutical chemistry, in bio-pharmaceuticals as well as in "small molecule" chemistry. Carbohydrates are being employed in bioactive carbohydrate mimetics, as synthetic building blocks or as scaffolds to construct more elaborated molecules or compound libraries. The main part of the lecture will deal with the application of carbohydrate scaffolds to prepare compound libraries with the help of novel multicomponent reactions (MCRs).

The screening process for new active principles critically depends on the availability of versatile compound libraries. Multicomponent reactions are well suited to prepare libraries by variation of the individual components. Aiming at hydroxylated target compounds, we departed from activated carbohydrate components and explored new MCRs. Cyclizing reactions were preferred to achieve some rigidity in the final products.

Synthetic approaches to hydroxylated and/or pyrano-anellated dihydroquinolines,[1] quinolines, dihydropyridines, benzodiazepins, tetrahydropyrimidines, and other compound classes will be discussed. One example is represented in the scheme below:

[1] G. Scheffler, F. Montavon, M. Hennig and H. P. Wessel, *J. Chem. Soc. Perkin Trans. 1*, **2000**, 753-762. "Carbohydrate α -Oxoketene *N*,*O*-Acetals in the Synthesis of Dihydroquinolines"