

Regioselective Preparation of Functionalized Arylboronic Acids toward Creation of New Saccharide Sensors

Akira TAKAGI

Department of Synthetic Organic Chemistry, Graduate School of Pharmaceutical Sciences

The arylboronic acids have been utilized in a variety of applications in saccharide sensing and medicinal chemistry. While arylboronic acid derivatives have been mainly prepared by the borylation of boron-free compounds, the construction of the carbon framework from boron-containing reactive species provides alternative syntheses of arylboronic acid derivatives.

In particular, the latter approach is useful for the preparation of polyfunctionalized compounds, some of which are otherwise difficult to prepare. The objective of this project is the synthesis of functionalized arylboronic acids (**1**) by the latter approach to create new, highly potent saccharide sensors with multi recognition sites.

At first, I have planned to synthesize the polycyclic compounds, which can be converted into **1**, via the Diels-Alder reaction of benzyne and furans. Although the Diels-Alder reactions of substituted benzyne and furans have been widely studied, their regioselectivities are not always satisfactory. Recently, our group has developed the regioselective Diels-Alder reactions of 3-silylbenzynes (*Angew. Chem. Int. Ed.* **2008**, *47*, 7673.). I have investigated the generation of unknown 3-borylbenzynes. After intensive studies, I could develop an effective preparation of borylbenzyne from the precursors under mild conditions. I also discovered the Diels-Alder reactions of borylbenzynes with furans and pyrroles took place to afford the adducts with good-to-excellent regioselectivities. This method enabled the preparation of aromatic compounds with various functional groups including ester, bromo, acyl, and nitrile groups (*Angew. Chem. Int. Ed.* **2010**, *49*, 5563.).

I am now applying this methodology to the synthesis of multi functionalized saccharide sensors **1**, whose ability to recognize various saccharides will also be evaluated.