Development and Application of Boron-Mediated Aglycon Delivery Method

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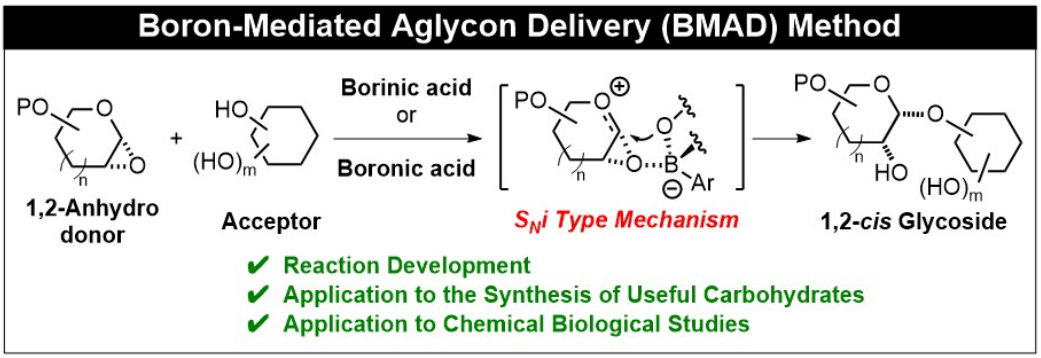
1,2-*cis* Glycosides are found in a variety of biologically active natural products, pharmaceuticals, and highly functional materials. Therefore, there is an urgent need to develop efficient 1,2-*cis*-glycosylation methods to elucidate the precise biological roles and structure-activity relationships of these glycosides, as well as to create new lead compounds for pharmaceutical and/or functional materials by derivatization of these glycosides.

In this context, we have developed a novel 1,2-*cis* stereoselective glycosylation method, named the boron-mediated aglycon delivery (BMAD) method, using organoboron compounds and 1,2-anhydro donors.[1,2] Specifically, we have been working on the development of 1,2-*cis*-stereoselective glycosylations using arylborinic acids and their applications to the synthesis of useful glycosides. In addition, we have been working on the development of boronic acid-catalyzed regio- and 1,2-*cis*-stereoselective glycosylations which simultaneously control not only 1,2-*cis* stereoselectivity but also regioselectivity of the hydroxyl groups in the glycosyl acceptor, and their applications to the synthesis of useful glycosides. Furthermore, recently, we are also working on the application of this method to chemical biological research utilizing this method as a late-stage glycosylation of biologically active natural glycosides.[3] In this talk, I would like to introduce the development and application of the BMAD method, including the latest research.[4]



Bibliographic references:

*[1] Review: *D. Takahashi, M. Tanaka, N. Nishi, *K. Toshima (2017), Carbohydr. Res. (452) 64-77.*
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*[3] K. Kimura, T. Yasunaga, T. Makikawa, *D. Takahashi, *K. Toshima (2022), Bull. Chem. Soc. Jpn. (95), 1075-1082.*
[4] Submitted.