Studies Toward 1,2-*trans* Selective Mannosylation Using 2-*O*-Alkoxyalkyl Donors

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Keywords: Glycosylation; stereoselectivity; 1,2-*trans*; alkoxyalkyl; tetrahydropyranyl

To offer a novel 1,2-*trans* selective glycosylation method with high reactivity, we recently introduced a strategy using 2-*O*-alkoxymethyl (AM) donors tentatively through the neighboring group participation (Fig. 1a).[1] In contrast to successful equatorial 2-*O*-AM donors, axial 2-*O*-AM (e.g., mannosyl) derivatives did not exhibit satisfactory stereoselectivity (Fig. 1b). To expand the scope of application of our developed strategy to the axial 2-OH derivatives, 2-*O*-tetrahydropyranyl (THP) donors were explored.

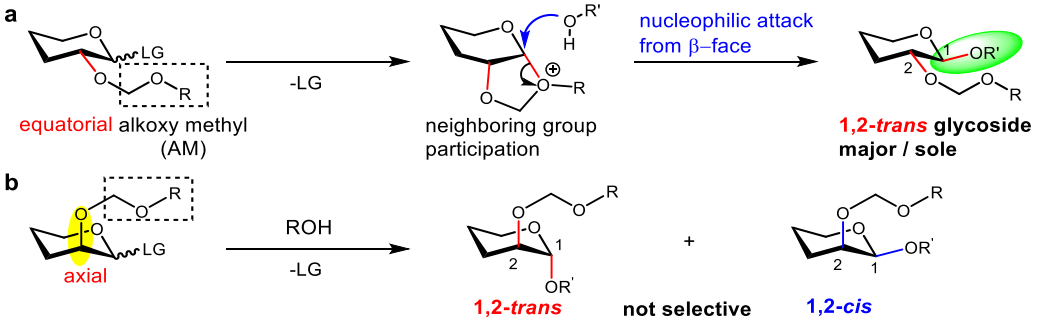

Fig. 1. a) 1,2-*trans* Selective glycosylation using equatorial 2-*O*-AM donors.
b) Relatively low 1,2-*trans* selective glycosylation using axial 2-*O*-AM donors.

Thioglycoside donor **1** was subjected to a glycosylation reaction with an acceptor (ROH), *N*-iodosuccinimide (NIS), and $In(OTf)_3$ in CH_2Cl_2 at −60 °C (Fig. 2). After removing THP to facilitate NMR analysis, the reaction was found to have given **2α** and **2β** (4.6 : 1) with a total yield of 73%. This result indicated that an axial 2-*O*-THP moiety rendered 1,2-*trans* selectivity to mannosylation. In our presentation, detailed results using various donors and acceptors would also be discussed.

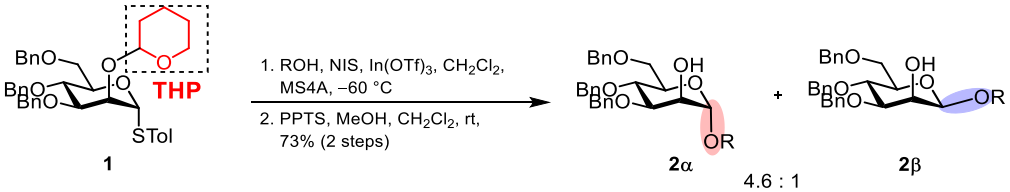

Fig. 2. 1,2-*trans* selective glycosylation using 2-*O*-THP mannosyl donors.

1) M. Karak, Y. Joh, M. Seunaga, T. Oishi, K. Torikai, *Org. Lett.* **2019**, *21*, 1221.