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Synopsis of Original Research Paper

Synthetic study of flipped cyclodextrin, a cyclic hexamer of D-glucose in the $^1C_4$ ring conformation

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Ring conformations of glucose derivatives bearing with bulky trialkyl or alkyldiaryl silyl protecting groups at the C-2 and C-3 oxygen functionalities have investigated with the aim of synthetic approach to flipped α-cyclodextrin, a cyclic hexamer of D-glucose in the $^1C_4$ ring conformation. To the same purpose, synthetic route of a glucose derivative flipped by introduction of a bridged protecting group tethering two oxygens at C-3 and C-6 of glucose.

Among 8 glucose derivatives that have bulky silyl protecting groups at the C-2 and C-3 oxygens, the ring conformation of the following 3 compounds flipped to be $^1C_4$ form; 2,3-di-*O*-tert-butyldiphenylsilyl-α, and β-D-glucopyranose, and 2,3-di-*O*-tert-butyldimethylsilyl-β-D-glucopyranose. These compounds demonstrated the first ring flip due to introduction of bulky silyl protecting group on C-2 and C-3 oxygens. The ring conformations were determined based on the coupling constants of the ^1H NMR spectra.

Composition of the flipped derivative of glucose to the flipped cyclodextrin requires a high α-selective glycosylation reaction. However, a preliminary glycosylation of a flipped sugar with tert-butyldiphenylsilyl group on the C-2 oxygen showed moderate β-selectivity. This result indicates the inadequacy of the application of such silyl-based flipped sugars; we then designed and prepared a glucose derivative flipped by introduction of a bridged protecting group tethering two oxygens at C-3 and C-6 of glucose.