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Development of Orthogonally Protected 2,1':4,6-Di-O-Diisopropylidene Sucrose as the Versatile Intermediate for Diverse Synthesis of Phenylpropanoid Sucrose Esters

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Abstract : Phenylpropanoid sucrose esters (PSEs) are natural compounds found in various medicinal plants which exhibit important biological activities such as antiproliferation and α- and β-glucosidase inhibitory activities. Despite their potential as new therapeutics, total synthesis of PSEs has been very limited as their inherent structures contain one or more (substituted) cinnamoyl groups randomly allocated on the sucrose core via ester linkage. Since direct acylation of unprotected sucrose would be complex and tedious due to the presence of eight free hydroxyl groups, partially protected 2,1’:4,6-di-O-diisopropylidene sucrose was used as the starting material instead. However, similar reactivity between the remaining four hydroxyl groups still pose a challenge in the total synthesis of PSEs as the lack of selectivity can restrict customisation where acylation at specific OH is desired. To overcome this problem, a 4-step orthogonal protection scheme was developed. In this scheme, the remaining four hydroxyl groups on 2,1’:4,6-di-O-diisopropylidene sucrose, 6’-OH, 3’-OH, 4’-OH, and 3-OH, were protected with different protecting groups with an overall yield of > 40%. This orthogonally protected intermediate would provide a convenient and divergent access to a wider range of natural and synthetic PSEs as (substituted) cinnamoyl groups can be selectively introduced at desired positions. Using this scheme, three different series of monosubstituted PSEs were successfully synthesized where (substituted) cinnamoyl groups were introduced selectively at O-3, O-3’, and O-4’ positions, respectively. The expanded library of PSEs would aid in structural-activity relationship study of PSEs for identifying key components responsible for their biological activities.

Keywords : orthogonal protection, phenylpropanoid sucrose esters, selectivity, sucrose
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