

Synthesis of Fluorine-Containing Unsymmetrically Substituted Trehalose Derivatives and their Moisture-Holding Ability and Affinity for Skin

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α,α -Trehalose derivatives are known to have a moisture-holding ability and has already been used as an additive for cosmetics. As a trial to enhance the moisturizing effect of α,α -trehalose without introducing any hydrophilic functional groups, substitution of hydroxyl groups with fluorine atoms and/or introduction of one or two glucopyranosyl residues to α,α -trehalose were performed to give the following oligosaccharides: 1) 6,6'-Difluoro-2-O- α - and β -D-glucopyranosyl- α,α -trehaloses were synthesized through the reductive cleavage of 2,2';4,6;4',6'-tri-O-benzylidene- α,α -trehalose giving the 2,3,4,3',4'-penta-O-benzyl derivative, against which selective fluorination at the 6- and 6'-positions with DAST, and then α - or β -glycosylation. 2) 3-Deoxy- α -D-mannopyranosyl 3-deoxy- α -D-glucopyranoside was synthesized from 2,2',3-tri-O-tosyl- α,α -trehalose with epoxidation at 2'- and 3'-positions followed by the simultaneous ring-opening and reduction. 3) Tri- and tetrasaccharides including α,α -trehalose moiety were prepared with the glycosylation of partially benzylated derivatives of 4,6;4',6'-di-O-benzylidene- α,α -trehalose. Remarkable increase in moisture content after being exposed in wet atmosphere was observed for some trisaccharides.