STEREOCONTROLLED DEBENZYLATING CYCLOETHERIFICATION DEPENDED ON SUBSTRATE REACTIVITY

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We are engaged in the synthesis of synthetically important tetrahydrofuran derivatives with an amino substituent in the side chain, from glucose and mannose. We have decided to expand the application of debenzylation cyclization reaction for the synthesis of C-furanosides with the nitrogen groups in the side chain, due to the biologically important nature of such type of compounds [1].

We have observed the S_N2 rearrangement of polybenzylated linear carbohydrate derivatives containing the leaving group(s). The oxygen function protected by benzyl group demonstrates high nucleophilic ability and attacks the sp^3-carbon atom, which is connected with the effective leaving group (e.g. halogen, mesylate, etc.). This method was successfully used for the synthesis of the C-vinyl and C-ethyl furanosides (Scheme 1b) [2]. This reactions proceeds via the S_N2 mechanism which secures the stereospecific formation of the product. Previously, we also observed the similar S_{N2}' rearrangement for the allylic analogs.

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We used derivatives of mannose and glucose. In both cases, cyclisation occurred with good (87%) yields.

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