Abstract

Thesis: Optimized Synthesis of a Glucosyl Trichloroacetimidate Donor from D-glucose with Single Column Purification

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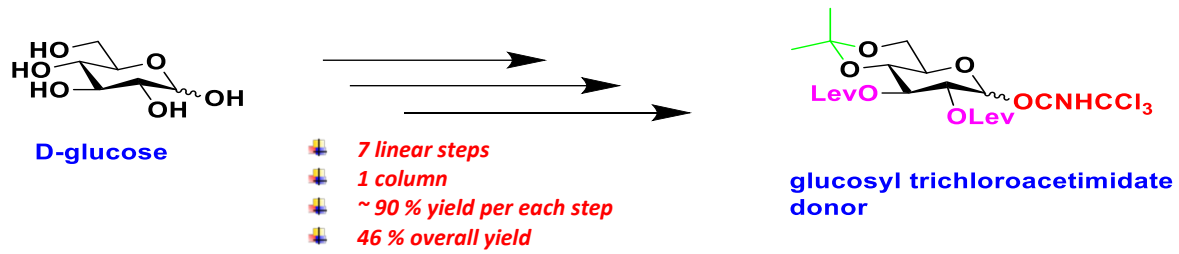
Glucosyl trichloroacetimidate donors are highly reactive building blocks that cannot be recovered when activated during glycosylation reactions for the synthesis of not only complex but also biologically relevant macromolecules containing glucose moieties. The preparation of this key unit usually follows several steps that require long hours of intensive column chromatographic purifications.



In this study, an efficient synthetic route for the preparation of 2,3-O-dilevulinoyl-4,6-O-isopropylidene-D-glucopyranose glucosyl trichloroacetimidate donor from D-glucose has been reported. This route featured protection of the anomeric center with thiotoluene (STol) group, isopropylidenation of free 4- and 6- OH (hydroxyl) groups and protection of free 2- and 3- OH groups with levulinoyl (Lev) functional groups. Although, two solvent systems were studied, better results of desired hemiacetal were obtained when the anomeric center STol group was selectively removed on treatment with N-bromosuccinimide/ calcium carbonate in 90 % wet dichloromethane solvent. Upon treatment with trichloroacetonitrile in the presence of 1,8-Diazabicyclo[5.4.0]undec-7-ene catalyst, the resulting hemiacetal product afforded the corresponding glucosyl trichloroacetimidate donor in seven linear steps with only one column purification, and 46 % overall yield (~ 90 % yield per each step).