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NOVEL SYNTHESIS OF β-SUBSITUTED BENZOATES IN THE PRESENCE OF TRIETHYLAMINE

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Abstract:
Stereospecific synthesis of substituted benzoates has been performed by reacting commercially available acetobromoglucose with benzoic acid derivatives in the presence of triethylamine.

Keywords: Acetobromoglucose, stereospecific reaction, benzoates

Introduction:

Glycosides are very important building blocks in organic chemistry and drug delivery science.[1] In continuation of our research on the stereospecific synthesis of glycosides, we describe here an excellent method for the preparation of aromatic benzoates starting from acetobromoglucose and benzoic acid in the presence of triethylamine.[2,3]

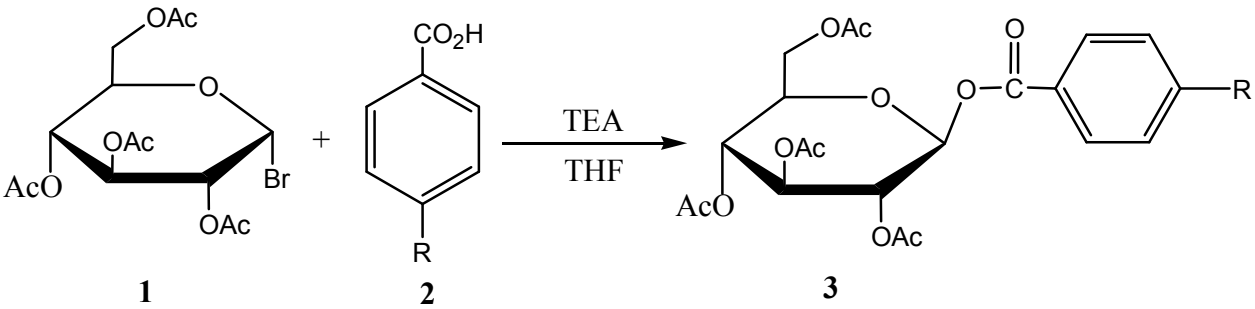
Results and Discussion:

The biological activity of glycosides has been widely recognized and therefore, their synthesis has also been extensively reported. We report here a simple reaction for the preparation of glucosyl benzoates using triethylamine. In our earlier studies, we have demonstrated a stereospecfic reaction of indium-induced and iodine-catalyzed preparation of O-glycosides.[4,5] Notably, indium-induced reaction produced β-glycosides and iodine-catalyzed reaction produced a-isomer via Ferrier rearrangement. The success of these two methods has been extended to use other types of donor (for example, an acid).

On this basis, a reaction was conducted with acetobromoglucose (**1)** with benzoic acid (**2)** in the presence of triethylamine in dry THF. Surprisingly, this reaction produced a single compound and this was detected as a β-glycoside **3** from the NMR analysis (**Scheme 1**). The formation of a single glycoside in this reaction is a fascinating observation. Encouraged by this result, a few other substituted benzoic acids **2** were then reacted with this sugar **1** and single β-glycoside **3** was isolated in each case in good yield. This reaction required molar proportion of all the reactants including triethylamine.

Although the mechanism of this reaction is not investigated, we can postulate a substitution bimolecular-type of reaction. As a result, a single β-glycoside is formed (**Scheme 2**).

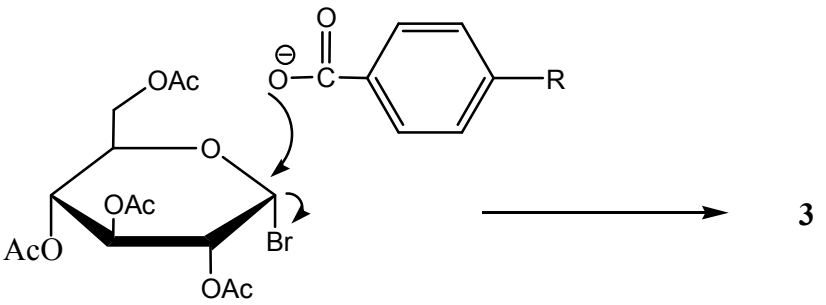
Scheme 1:



1 **2** **3**

R= H, CH_3, OCH_3

Scheme 2:



Conclusion:
An expeditious method for the preparation of β-benzoate glycosides has been demonstrated using acetobromoglucose and benzoic acid in the presence of triethylamine.

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