



Synthesis of a new class of 2-bromo-3-amino-1,4-naphthoquinone glycoconjugates

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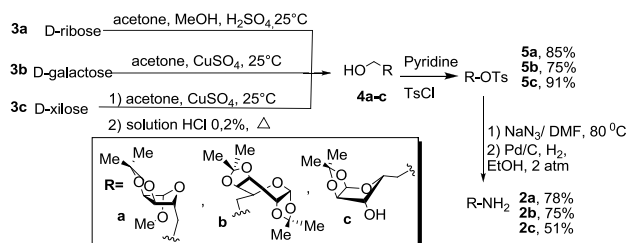
INTRODUCTION

Bromoquinones have been attracted considerable interest from the scientific community as versatile intermediates in organic synthesis and as antitumor agents¹. On the other hand, many sugar-containing naphthoquinones² or naphthoquinones having *N*-heterocyclic moiety also have been found to be compounds with antitumor activity.

In this context, we describe the synthesis of a new class of brominated sugar-based naphthoquinone derivatives **1a–c** (Scheme 2).

RESULTS AND DISCUSSION

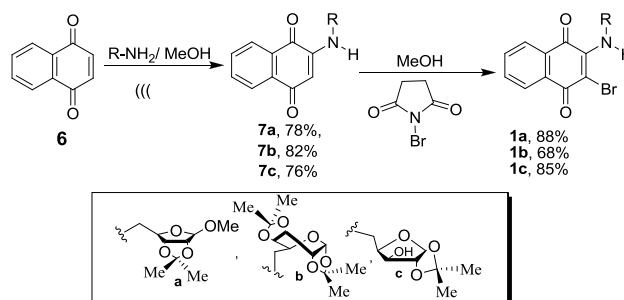
The synthesis of new aminonaphthoquinone derivatives **2a–c** is shown in the Scheme 1. 5-Amino-5-deoxy-1,2-O-isopropylidene-β-D-ribofuranoside (**2a**), 6-amino-1,2 :3,4-di-O-isopropylidene-α-D-galactopyranose (**2b**) and 5-amino-5-deoxy-1,2-O-isopropylidene-α-D-xilofuranose (**2c**) were prepared from their corresponding commercially reagents D-ribose, D-xylose, and D-galactose, using the literature methodology of carbohydrate protection and derivatization³.



Scheme 1: Preparation of compounds **2a–c**.

The ultrasound-accelerated 1,4-addition of aminocarbohydrates **2a–c** to 1,4-naphthoquinone (**6**) was first carried out at room temperature, following the procedure described in the literature.⁴ The reaction of **7a–c** with *N*-bromosuccinimide (NBS) led

to the corresponding brominated compounds **1a–c** in good yields (Scheme 2).



Scheme 2: Synthesis of 2-bromo-1,4-aminonaphthoquinone derivatives **1a–c**.

CONCLUSION

The reaction of 1,4-naphthoquinone derivatives **7a–c** with NBS provided ready access for the construction of brominated sugar-based naphthoquinones in good yields.

Further efforts are being dedicated towards the evaluating of the biological profiles of this novel class of 1,4-naphthoquinones.

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