Protecting-Group-Free Synthesis of Selenoglycoconjugates in Water

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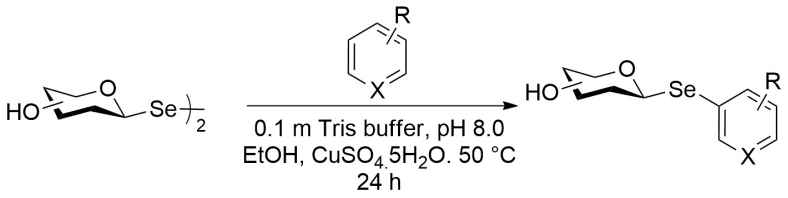
The synthesis of biologically active glycoconjugates is one of the cornerstones of Glycoscience. However, traditional methods typically involve multi-step synthesis, employing complex and protracted protecting group strategies. These methods are generally technically demanding, inefficient, expensive, and logistically difficult to achieve. The development of methodologies which allow direct aqueous conversion of unprotected sugars into glycosides is therefore an ambitious goal.

Herein, we present a broadly applicable method for the synthesis of selenoglycosides in water. We show the ease of direct conjugation of unprotected glycosyl diselenides with various biomolecules, including resorcinol, resveratrol, and the antitumor agent, gimeracil, furnishing the corresponding selenoglycoconjugates in up to 63% yield.



We also demonstrate the oxidatively-triggered release of the bioactive drug from the sugar, priming these molecules for medicinal applications. The generality and broad substrate scope of this novel transformation will provide access to various selenium-containing glycomimetics and glycoconjugates.