A radical strategy to the synthesis of bicyclo[1.1.1]pentyl *C*−glycosides

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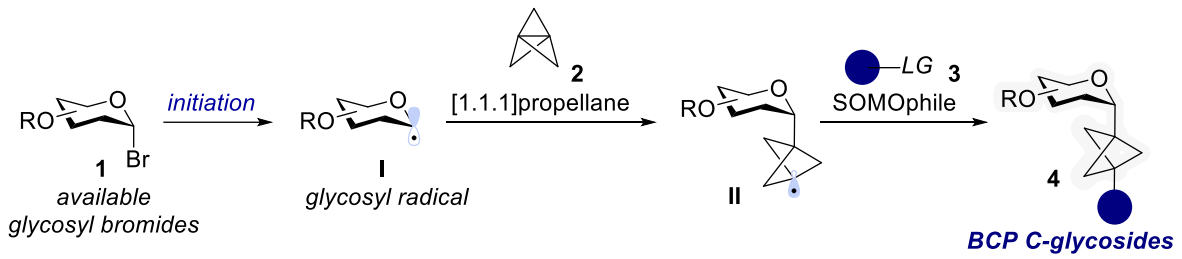
Aryl *C*-glycosides, in which carbohydrates are directly linked to aryl fragments through a C–C bond, constitute an important class of biologically active molecules widely found in nature.[1] These compounds exhibit resistance to enzymatic hydrolysis, a property that has been successfully leveraged in the development of metabolically stable drugs.[2] However, despite their potential, more three-dimensional analogues of aryl *C*-glycosides remain elusive.

Here, we present a three-component radical strategy that grants access to this underexplored chemical space.[3] Specifically, we found that glycosyl radicals **I**—readily generated from commercially available glycosyl bromides **1**—can react with [1.1.1]propellane **2** and a suitable SOMOphile **3** to afford bicyclopentyl (BCP) *C*-glycosides **4**. These C(sp^3)-rich analogues replace a planar *p*-disubstituted aryl ring with a three-dimensional BCP moiety, which is expected to enhance physicochemical properties.[4,5] Overall, this study paves the way for new developments in *C*-glycoside chemistry.



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2 *AstraZeneca Annual Report and Form 20-F Information* **2024**.

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