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Communication

Synthesis of *N*-alkyl substituted iminosugars from D-ribose

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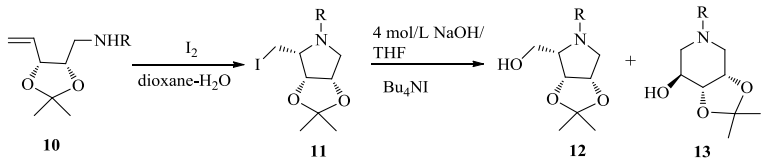
Graphical abstract



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ABSTRACT

An effective and facile method for the synthesis of *N*-alkylated hydroxylpyrrolidine and hydroxylpiperidine is described. A number of *N*-alkyl substituted iminosugars were prepared using iodine-induced intramolecular cyclization of acyclic alkenylamines as key step.

Since the discovery of nojirimycin **1** (Fig. 1) as the first glucose mimetic with nitrogen instead of the ring oxygen [1], saturated nitrogen heterocycles mimicking carbohydrate structures, also called iminosugars, are gaining widespread interest because of their properties as potent glycosidase inhibitors [2]. Recently, the scope of biological activities of iminosugars has been extended to the inhibition of various carbohydrate-processing enzymes, such as glycosyl transferases [3], sugar nucleotide mutase [4], nucleoside and glycogen phosphorylases [5], all of which are involved in a number of essential physiological processes. These remarkable properties promise a new generation of iminosugar-based medicines in a wide range of diseases, such as diabetes, tumor metastasis, viral infections and lysosomal storage disorders. For example, 1-deoxynojirimycin (DNJ (**2**, Fig. 1) is a potent inhibitor of many glycosidases, which was also reported to have antiviral activity against both moloney murine leucamia virus and HIV-I [6].