SOLVENT-FREE SYNTHESIS OF GLYCOSIDIC, ESTER AND PEPTIDE BONDS: STREAMLINED ACCESS TO VALUABLE GLYCOCONJUGATES

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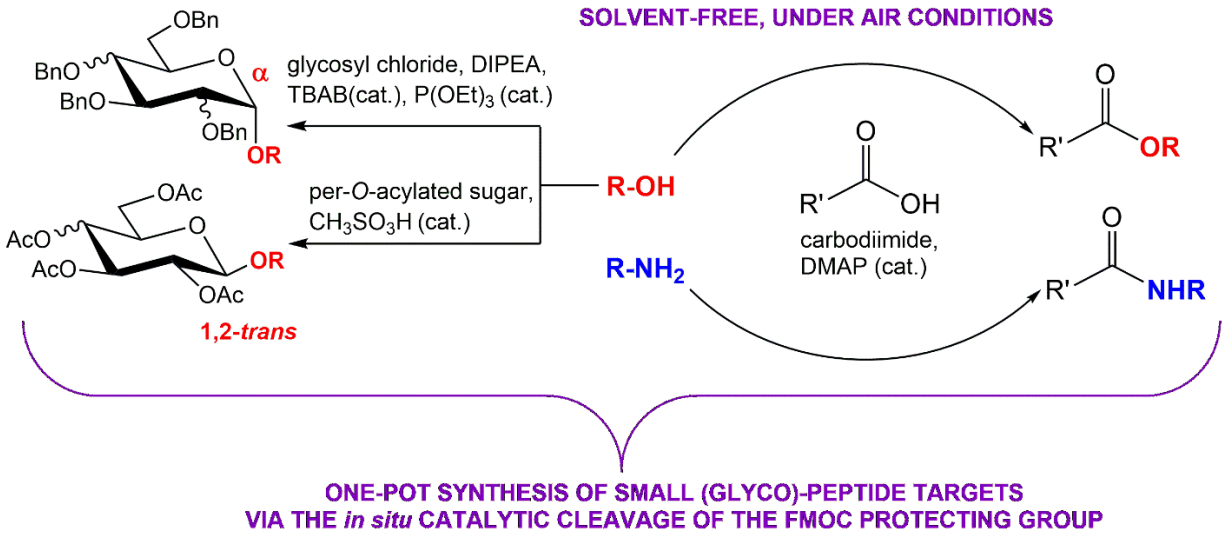
The need for more sustainable methods in organic synthesis calls for the ongoing search of novel approaches relying on greener and safer alternatives to classic organic solvents. In this frame, solvent-free protocols featuring enhanced reaction efficiency and a low economic/environmental impact, have also been addressed to several organic transformations [1], but their target scope is often limited by their hard applicability to complex compounds. Our research has been recently aimed at revisiting the chemistry of carbohydrates, highly functionalized and abundant natural compounds, through the development of streamlined solvent-free synthetic methodologies [2]; these turned out to be more practical and convenient alternatives to routinely adopted protocols for a large number of glyco-synthetic transformations, which spurred the extension of this research-line to further organic compounds. Herein is presented the application of original solvent-free, under air procedures to the synthesis of key structural motifs embedded in natural organic products, namely the glycosidic, ester and amide bonds. Two solvent-free glycosylation methods, respectively addressing the construction of α and 1,2-*trans* glycosides under air, are firstly discussed; on the other hand, it is presented the first solvent-free revisiting of the carbodiimide coupling chemistry [3] and its versatile targeting to both ester and amide conjugation of non-trivial precursors, including the synthesis of small model peptides. Lastly, it is also shown the first catalytic, solvent-free protocol for the cleavage of the widely popular Fmoc protecting group [4], and the overall merging of these approaches to the one-pot synthesis of carbohydrate and glyco-peptide targets.



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