

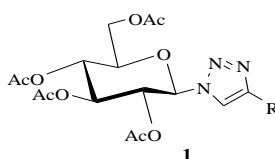
APPLICATION OF CLICK CHEMISTRY TO THE SYNTHESIS OF GLYCOSYL-COUPLED TRIAZOLES BEARING AMINO ACIDS AND HETEROAROMATIC COMPOUNDS

ESTEVEES A.

Department of Chemistry & Centre of Chemistry, University of Minho, Braga, Portugal.
E-mail: aesteves@quimica.uminho.pt

The growing interest in the synthesis of glycoconjugates is related to the importance of such compounds in various biological processes, including cell-cell recognition and cell-protein interactions. Glycoconjugates may also form the basis of cyclic macromolecules important in molecular recognition^[1]. Due to the advances in the Cu(I) 1,3-azide-alkyne cycloaddition (CuAAC), this reaction becomes a useful synthetic process for 1,4-disubstituted 1,2,3-triazole-based glycoconjugates. Known as "click reaction", this regioselective synthetic approach proved to be particularly useful, resulting in generally good yields and harmless byproducts. Furthermore, it can be performed in various solvents, including aqueous solvents^[2] which is particularly important as it provides an alternative to "classical" toxic organic solvents. *N*-Heterocyclic compounds, such as [1,2,3]-triazoles, were reported as important heterocyclic pharmacophores^[3] for developing anti-viral, anti-cancer and antibiotic agents. Compounds containing the indole type or tetrahydro- γ -carboline systems frequently display biological activity of some sort, namely neuroleptic.^[4]

In this lecture the synthetic methodology and experimental results concerning to the preparation of glycoconjugates of type **1**, bearing an amino acid unit or a heteroaromatic moiety will be presented.



References

- [1] Davis B. G. (1999) Recent developments in glycoconjugates. *J. Chem. Soc., Perkin Trans. 1*, 3215-3237.
- [2] Kolb H. C., Sharpless K. B. (2003) The growing impact of click chemistry on drug discovery. *Drug Discovery Today*, 8, 1128-1137.
- [3] Wilkinson B. L., Long H., Sim E., Fairbanks A. J. (2008) Synthesis of arabino glycosyl triazoles as potential inhibitors of mycobacterial cell wall biosynthesis. *Bioorgan. Med. Chem. Lett.*, 18, 6265-6267.
- [4] Harbert C. A., Plattner J. J., Welch W. M. (1980) Neuroleptic activity in 5-aryltetrahydro- γ -carbolines. *J. Med. Chem.*, 23, 635-643.