

SYNTHESIS OF SEVERAL PRECURSORS OF GLYCOCONJUGATES CONTAINING A 1,2,3-TRIAZOLE UNIT

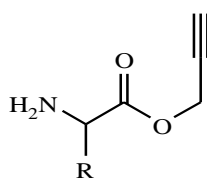
CUNHA S., PEREIRA S., MALHEIRO M., RODRIGUES L., ESTEVES A.

Department of Chemistry & Centre of Chemistry, University of Minho, Braga, Portugal.

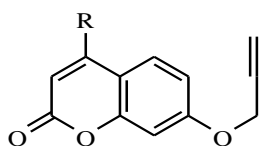
E-mail: silvia_danicunha@hotmail.com

The glycoconjugates have an enormous potential in drug design¹. Between them, glycopeptides are particularly important as they combine the structural features of amino acids and carbohydrates in the same molecule. Glycoconjugates containing the 1,2,3-triazole unit find application in medicinal chemistry, particularly in those cases where this unit acts as a bridge between an amino acid/peptide and the sugar moiety.

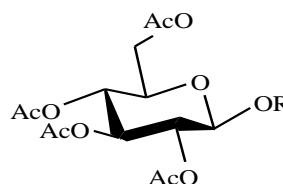
In this communication we report the preparation of some alkynyl amino acids (Gly, Phe, Tyr, Ala, Ser)² **1**, alkynyl derivatives of hydroxycoumarins **2** and acetylenic carbohydrate derivatives **3**. All these compounds were used in click reaction with an azido sugar³.



1



2 [R=H, CH₃]



3

[R=CH₂CCH; CH₂CH₂CCH; CH(CH₃)CCH]

Acknowledgement

We acknowledge the financial support from Fundação para a Ciência e Tecnologia and FEDER, for National NMR Network (Bruker Avance III 400).

References

- [1] Böttcher C., Spengler J., Essawy S. A., Burger K. (2004) Hexafluoroacetone as protecting and activating reagent. A new approach to *N*-glycosides. *Monatshefte für Chemie*, 135, 853-863.
- [2] Li C., Tang J., Xie J., (2009) Synthesis of crosslinking amino acids by click chemistry. *Tetrahedron*, 65, 7935-7941.
- [3] Baron A., Blériot V., Sollogoub M., Vauzeilles B. (2008) Phenylendiamine catalysis of “click glycosylations” in water: practical and direct access to unprotected neoglycoconjugates. *Org. Biomol.Chem.*, 6, 1898-1901.