UNIVERSIDAD DE LA RIOJA

XI CARBOHYDRATE SYMPOSIUM

Trifluoromethylation of 2-iodoglycals with fluoroform derived $CuCF_3$

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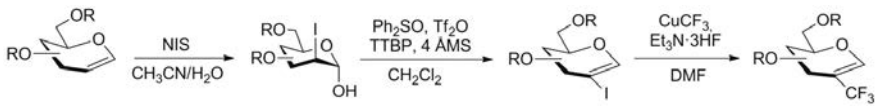
Fluorinated carbohydrates are used in many medical applications since they play important roles as enzyme inhibitors, non-invasive diagnostic agents, antiviral and antitumoral agents.[1] More recently they have been identified as ligands in proteincarbohydrate interactions and molecular recognition processes.

Despite recent efforts for the preparation of advanced fluoro sugars probes the incorporation of important CF_3 units is still scarce. Only few examples of trifluoromethylated carbohydrates are known and were prepared either starting from trifluoromethylated synthons (building block approach) or by the nucleophilic trifluoromethylation of oxosugars with the Ruppert's reagent.[2]

Here we describe a short and simple late-stage cross-coupling methodology for the synthesis of 2-trifluoromethyl-glycals with the $CuCF_3$ reagent derived from fluoroform developed by Grushin *et al*.[3] The method operates under mild conditions and has proven regioselective and tolerant to a wide range of protecting groups.



[1] Akiyama, Y.; Hiramatsu, C.; Fukuhara, T.; Hara, S. *Journal of Fluorine Chemistry*. **2006**, *7*, 920.
[2] Plantier-Royon, R.; Portella, C. *Carbohydr. Res.* **2000**, *327*, 119.
[3] Zanardi, A.; Novikov, M. A.; Martin, E.; Benet-Buchholz, J.; Grushin, V. V. *J. Am. Chem. Soc.* **2011**, *133,* 20901.