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# Book of Abstracts

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# SYNTHESIS AND IN VITRO α-GLUCOSIDASE INHIBITORY ACTIVITY OF POLYHYDROXYLATED 2-STYRYLCHROMONES

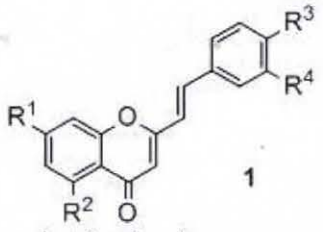
**Clementina M. M. Santos (1,2), Carina Proença (3), Marisa Freitas (3), Alberto N. Araújo (3), Artur M. S. Silva (2), Eduarda Fernandes (3)**

*1) Centro de Investigação de Montanha (CIMO), Instituto Politécnico de Bragança, Campus de Santa Apolónia, 5300-253 Bragança, Portugal. clems@ipb.pt*
*2) QOPNA & LAQV-REQUIMTE, Department of Chemistry, University of Aveiro, 3810-193 Aveiro, Portugal*
*3) LAQV, REQUIMTE, Laboratory of Applied Chemistry, Department of Chemical Sciences, Faculty of Pharmacy, University of Porto, 4050-313 Porto, Portugal*

2-Styrylchromones (2-SC) are a small class of naturally-occurring oxygen-containing heterocycles. Although they are scarce in nature, a large number of 2-SC derivatives has been synthesized and their biological activity evaluated, namely as antiallergic, anti-inflammatory, antimicrobial, antioxidant and antitumor agents [1]. As far as we know, the antidiabetic activity of 2-SC is still unexplored. With this rational in mind, a series of 12 polyhydroxylated derivatives of 2-SC (**1**) were synthethized and used as inhibitors of the carbohydrate hydrolyzing enzyme α-glucosidase. This enzyme catalyzes the final step of the digestive process of starch and break down oligosaccharides to monosaccharides being one of the most currently used therapeutic approaches to decrease postprandial hyperglycemia and consequently to control type 2 diabetes *mellitus* [2].

The synthesis of polyhydroxylated 2-SC involves a multi-step strategy starting with the condensation of the appropriate 2'-hydroxyacetophenones with cinnamic acid derivatives, base-promoted Baker–Venkataraman rearrangement of the esters formed, cyclodehydration and finnaly cleavage of the protecting groups to afford the desired polyhydroxylated 2-SC [3]. The *in vitro* assay to evaluate the inhibitory activity of the compounds under study and the positive control, acarbose, against α-glucosidase was performed by monitoring the hydrolysis of the substrate *p*-nitrophenyl glucopyranoside into the product *p*-nitrophenol at 405 nm. In addition, the study of the inhibition type was carried out through nonlinear regression Michaelis-Menton enzymatic kinetics and the corresponding Lineweaver-Burk plot [4].

In this communication, we will describe not only the synthetic details but also present and discuss the inhibitory effects of a group of polyhydroxylated 2-SC (**1**) against α-glucosidase activity.




**1**

$R^1$, $R^2$, $R^3$, $R^4$ = H, OH

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