SYNTHESIS OF MULTISUBSITUTED 2'-HYDROXY CHALCONES AND EVALUATION OF THEIR PHOTOPHYSICAL PROPERTIES

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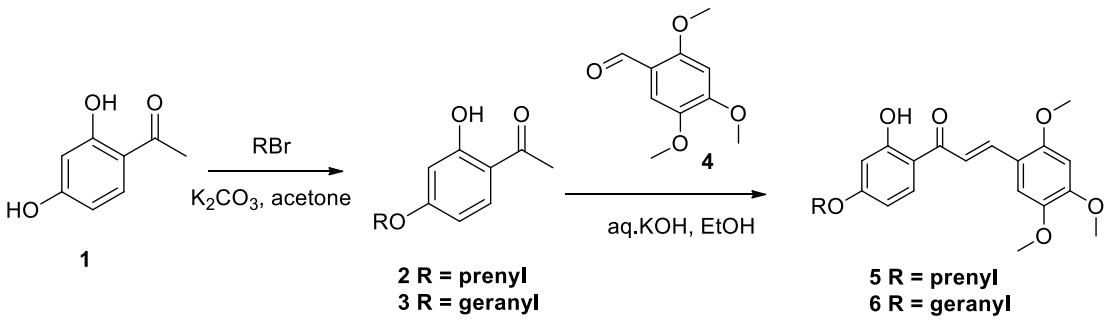
Photodynamic therapy is a process in which certain organic molecules (photosensitizers) are triggered by illumination, leading to the formation of Reactive Oxygen Species (ROS) which in turn kill cancer cells. The aim of this study is the synthesis of novel photosensitizers based on naturally occurring molecular scaffolds, such as chalcones, the evaluation of their photophysical properties (i.e., their ability to produce sufficient concentrations of ROS upon irradiation) and their cytotoxicity against cancer cell lines.

In order to synthesize the novel chalcones, a two – step process was followed. Initially, 2,4-dihydroxy-acetophenone (**1**) was alkylated at position 4 using prenyl- or geranyl-bromide, via a Williamson ether synthesis. The produced acetophenones **2** and **3** were then reacted with 2,4,5-trimethoxy-benzaldehyde (**4**) under basic conditions via a Claisen-Schmidt reaction, to provide the desired chalcones **5** and **6** in good yields.



1

2 R = prenyl
3 R = geranyl

5 R = prenyl
6 R = geranyl

The new molecules' structures were elucidated using NMR and UV-Vis spectroscopy. Their photophysical properties were measured using three different parameters: Photobleaching for the evaluation of their stability, Fluorescence for the evaluation of the light's effect and ROS production for the evaluation of their suitability as photosensitizing compounds. After the close examination of the experimental data, it was concluded that chalcone **6**, possessing a geranyloxy-substituent at position 4' of the chalcone framework, showed more promising behaviour than chalcone **5**, due to its superior results in all three tests.

Acknowledgement: Maria-Anna Karadendrou gratefully acknowledges financial support from the Research Committee of the National Technical University of Athens (scholarship for postgraduate studies).