SYNTHESIS OF NEW 1,8-DIHYDROXY-9*H*-XANTEN-9-ONES

university of aveiro
department of chemistry

Stéphanie B. Leal,[1] Ana M. L. Seca,[1,2] Diana C. G. A. Pinto,[1] Artur M. S. Silva,[1] José A. S. Cavaleiro[1]

[1]Department of Chemistry & QOPNA, University of Aveiro, 3810-193 Aveiro, Portugal; [2]DCTD, University of Azores, Rua Mãe de Deus, 9501-801 Ponta Delgada;

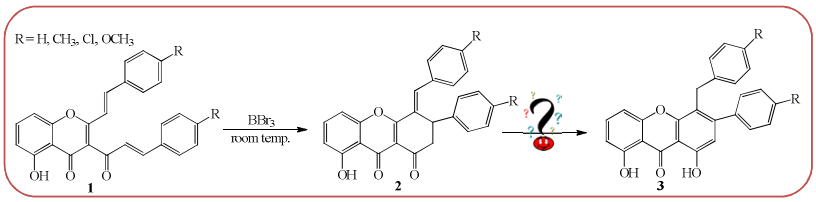
INTRODUCTION

Xanthones have a rather restricted occurrence among higher plants, being found almost exclusively in *Guttiferae* and *Gentianaceae*. Xanthones are sometimes found as the polyhydroxylated compounds but more often with a varying degree of substitution at the phenyl rings. However, many xanthones bearing hydroxyl substituents exhibit valuable biological activity.[1]

Guttiferae

Gentianaceae

Xanthones are well known for their interesting phytochemical properties, which make them attractive to the pharmaceutical industry. Isolated or synthetic ones have been reported to exhibit several important biological activities, such as anti-tumor,[2] anti-inflammatory,[3] antioxidant[4] and anticoagulant/antiplatelet agents.[5]



Owing to our continuing interest in the synthesis of novel oxygen heterocycles we have recently developed unique synthetic procedure was towards the synthesis of new (*E*)-4-benzylidene-8-hydroxyl-3-phenyl-3,4-dihydro-1*H*-xanthene-1,9(2*H*)-dione **2** derivatives.[6]

AIM

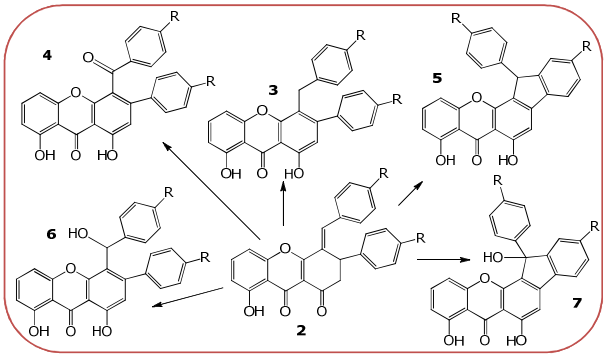
We set up a program aiming the *transformation* of the xanthenedione derivatives **2** into new 1,8-dihydroxy-9*H*-xanthen-9-one **3** derivatives.

RESULTS and DISCUSSION

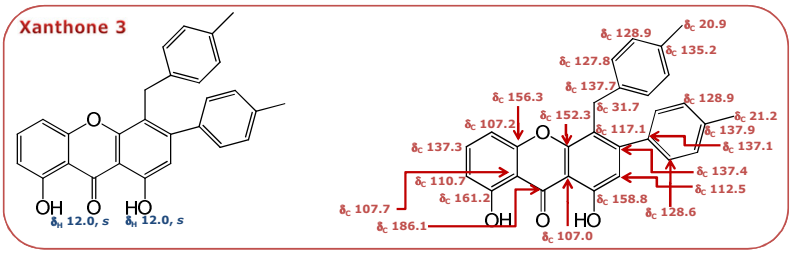
The use of acid (H_2SO_4, *p*-TSA) or base (DBU, LiHMDS) catalysis or even in oxidant conditions (DMSO/I_2) at room temperature, with different amounts of catalyst and trying different reaction times, didn't perform the desired aromatization. Decomposition products and mainly started reagent **2** were obtained.

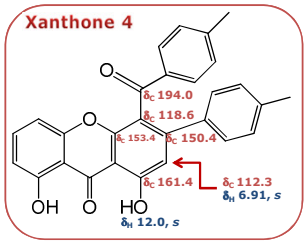
Next several conditions using microwave irradiation and classic heating conditions were tested (Table). The desired product was obtained in low yields and the best results were obtained when DBU was used (Table, entry 5).

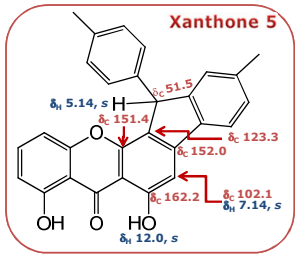
Instead several other new derivatives were isolated (structures **4**-**7**) and their structures confirmed mainly by NMR experiments.

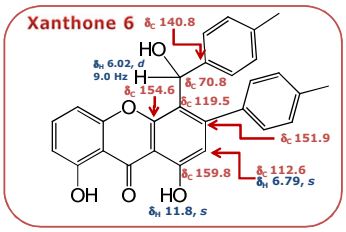


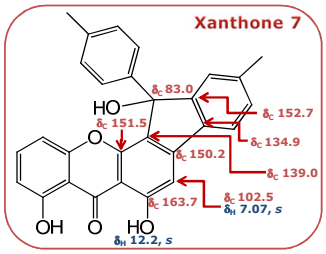
Entry	Catalyst	Experimental condition	Obtained compound (%)
1	2 eq DDQ	MW (170º, 30 min) TCB acid	**4** (28%)
2	1.5 eq DDQ	MW (170º, 30 min) dry TCB acid, molecular sieves	**5** (52 %)
3	1.3 eq DDQ	MW (100º, 30 min) dry TCB acid, molecular sieves	**4** (15 %) **6** (30 %)
4	2 eq ChA	MW (170º, 30 min) dry TCB acid, molecular sieves	**4** (10 %) **7** (34 %)
5	1.2 eq DBU	MW (100º, 10 min) DMSO	**3** (18 %)
6	LiHMDS	Classical heating (80º)	**3** (< 5%)











CONCLUSIONS

Xanthenedione **2** revealed to be an interesting key compound to obtain new xanthone derivatives **3**-**5** that can possess special biological and medicinal properties;

Currently we are trying to improve the yields in order to obtained these new derivatives in amounts that allowed biological assessments.

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