

XVI Encontro Luso-Galego de Química



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Studies towards the synthesis of polyhydroxyxanthenes with potential antioxidant activity

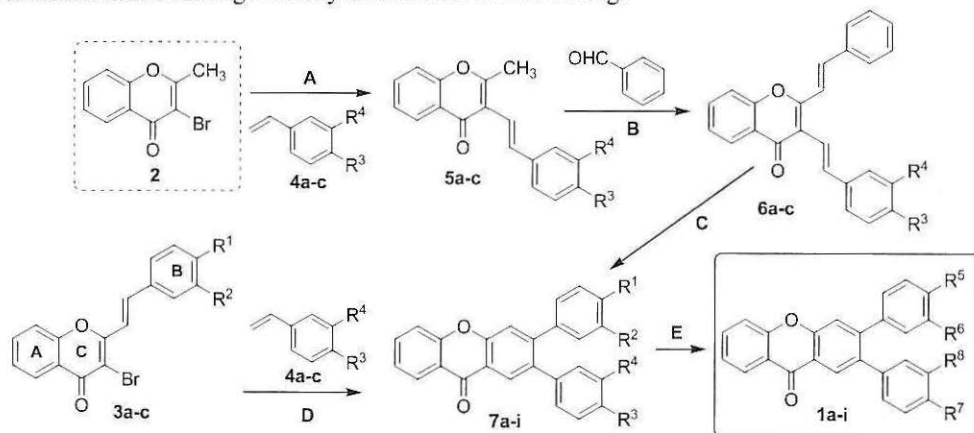
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Xanthenes are a class of aromatic oxygen-containing compounds commonly occurring in a few higher plant families such as the *Guttiferae* and *Gentianaceae*.¹ The significance of these compounds is due to the important biological functions they play in Nature, but also because certain derivatives have been used as drugs, biocides or food additives. In this broad spectrum of biological applications special mention deserves the antioxidant activity being exemplified for several derivatives by their action as free radical scavengers, inhibitors of lipid peroxidation and metal chelators.² Considering the promising studies in this area we are interested in the design of new and effective radical scavengers using a xanthone scaffold.

In this context, a large number of hydroxy-2,3-diaryl-9*H*-xanthen-9-ones **1a-i** have been synthesized by two different approaches, starting from 3-bromo-2-methyl-4*H*-chromen-4-one **2** and from (*E*)-3-bromo-2-styryl-4*H*-chromen-4-ones **3a-c**.³ The former method involves Heck reactions of bromo derivative **2** and styrenes **4a-c**, leading to (*E*)-2-methyl-3-styryl-4*H*-chromen-4-ones **5a-c**; these condensed with benzaldehyde give (*E,E*)-2,3-distyryl-4*H*-chromen-4-ones **6a-c**, which led to the desired 2,3-diaryl-9*H*-xanthen-9-ones **7a-c** in refluxing 1,2,4-trichlorobenzene. An alternative and more general method involves the Heck reaction of (*E*)-3-bromo-2-styryl-4*H*-chromen-4-ones **3a-c** as aryl halides and styrenes **4a-c** as alkenes. This method was extended to 3-bromochromones bearing methoxy-substituents in their A ring.



A: NMP, PdCl₂, PPh₃, Et₃N, 160°C, 9 h

B: MeOH, Na, room temp., 48 h

C: 1,2,4-Trichlorobenzene, reflux, 18 h

D: NMP, Pd(PPh₃)₄, PPh₃, Et₃N, 160°C to reflux

E: CH₂Cl₂, BBr₃, -78°C to room temp., N₂

R¹, R², R³, R⁴ = H, OMe

R⁵, R⁶, R⁷, R⁸ = H, OH

Acknowledgments

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References

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- (3) Santos, C. M. M.; Silva, A. M. S.; Cavaleiro, J. A. S. *Eur. J. Org. Chem.* **2009**, 2642.



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Certificado

Certifica-se que Clementina M. M. Santos, apresentou a comunicação oral “Studies towards the synthesis of polyhydroxyxanthenes with potential antioxidant activity”, durante o XVI Encontro Luso-Galego de Química, que decorreu de 10 a 12 de Novembro de 2010, na Universidade de Aveiro.

Pela Comissão Científica

Artur Silva

