

1 a 3 Dezembro 2015

Congresso

química orgânica e
química terapêutica

PORTO • PORTUGAL

“Thinking Organic and Medicinal Chemistry in an inspiring atmosphere”

11º ENCONTRO NACIONAL DE QUÍMICA ORGÂNICA
4º ENCONTRO DE QUÍMICA TERAPÊUTICA
1 A 3 DEZEMBRO DE 2015

Teatro Municipal Campo Alegre
Rua das Estrelas, 4150-762 Porto
T.: 22 606 3017

Título: Livro de Resumos do Congresso de Química Orgânica e Química Terapêutica

Autor: Victor Freitas

Co-autor(es): Rui Moreira

Editor: Sociedade Portuguesa de Química

Lista de ISBNs: 978-989-98541-9-2

Recent developments in the synthesis of novel xanthone-1,2,3-triazole dyads

Hélio M. T. Albuquerque^{*a}, Clementina M. M. Santos,^{a,b} José A. S. Cavaleiro,^a Artur M. S. Silva ^a

^aDepartment of Chemistry & QOPNA, University of Aveiro, Campus de Santiago, 3810-193 Aveiro, Portugal; ^bSchool of Agriculture, Polytechnic Institute of Bragança, Campus de Santa Apolónia, 5301-855 Bragança, Portugal

* Email: helio.albuquerque@ua.pt

The development of multi-target drugs for treating complex multifactorial diseases constitutes an active research field. This kind of drugs has gained much importance as alternative strategy to combination therapy ("cocktail drugs").¹ A common way to design them brings together two different pharmacophores in one single molecule (so-called dyads). Following this idea and being aware that xanthenes² and 1,2,3-triazoles³ possess important pharmacological properties, we combined these two heterocycles in one molecule to create new dyads with improved therapeutic potential. In this work, new xanthone-1,2,3-triazole dyads were prepared from novel (E)-2-(4-arylbut-1-en-3-yn-1-yl)chromones by two different approaches to evaluate their efficiency and sustainability. Both methodologies involved Diels-Alder reactions to build the xanthone core, which were optimized using microwave irradiation as alternative heating method, and 1,3-dipolar cycloadditions to insert the 1,2,3-triazole moiety (**Figure 1**).⁴ All final and intermediate compounds were fully characterized by 1D and 2D NMR techniques.

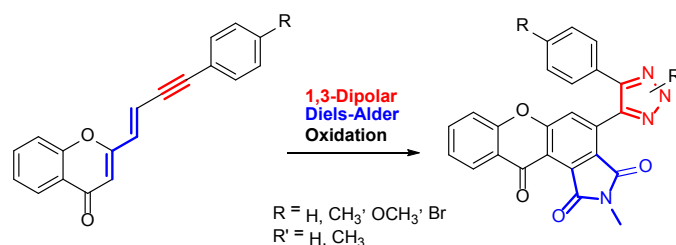


Figure 1: Synthesis of xanthone-1,2,3-triazole dyads.

Acknowledgements: Thanks are due to University of Aveiro, Polytechnic Institute of Bragança, FCT/MEC for the financial support to the QOPNA research Unit (FCT UID/QUI/00062/2013), through national funds and where applicable co-financed by the FEDER, within the PT2020 Partnership Agreement, and also to the Portuguese NMR Network. Hélio M. T. Albuquerque also thanks FCT for his PhD grant (SFRH/BD/86277/2012).

References:

1. Nepali K.; Sharma S.; Sharma M.; Bedi P. M. S.; Dhar K. L. *Eur. J. Med. Chem.* **2014**, *77*, 422.
2. Pinto, M. M.; Sousa, M. E.; Nascimento, M. S.; *Curr. Med. Chem.* **2005**, *12*, 2517.
3. Lauria A.; Delisi R.; Mingoia F.; Terenzi A.; Martorana A.; Barone G.; Almerico A. M. *Eur. J. Org. Chem.* **2014**, 3289.
4. Albuquerque H. M. T.; Santos C. M. M.; Cavaleiro J. A. S.; Silva A. M. S. *Eur. J. Org. Chem.* **2015**, 4732.