Investigating Privileged Isatin-based Heterocycles

Carolina S. Marques,[a] Anthony J. Burke,[a,b]

[a] LAQV-REQUIMTE, University of Évora, Institute for Research and Advanced Studies, Rua Romão Ramalho, 59, 7000-671 Évora, Portugal. [b] Chemistry Department, School of Science and Technology, University of Évora, Rua Romão Ramalho 59, 7000-671 Évora, Portugal.

Email: carolsmarq@uevora.pt

Tremendous efforts have been made by the scientific community to transform the world in a better place. End poverty, hunger, protecting the planet from degradation and ensure healthier lives are the main goals in a long-term timeline. Particularly concerning healthcare, scientists are committed to fight against complex and mortal diseases, study their behavior and intervening in the discover of new drugs, treatments, or best ways to provide patients long (and quality!) lives.

With our mind focused on uncurable and mortal complex diseases (like cancer and neurodegenerative ones[1]), we strongly believe that development of new targeting drugs is definitively an advantage in improving therapeutic efficacy, safety and even resistance profiles.

Heterocyclic units are common in many commercial drugs.[2] These structures are quite modular and can be easily manipulated to improve pharmacological, pharmacokinetic, toxicological, and other important drug properties. In the last ten years our group has been active in the synthesis of new privileged isatin-based heterocyclic scaffolds, focused on the search of promising new compounds with significative bioactivity. New synthetic routes were adapted considering atom efficiency, time, and energy saving.

Special effort was made for the synthesis of new families of 3,3-disubstituted oxindole derivatives.

In this presentation we would like to reveal our latest findings concerning the synthesis of such privileged frameworks, like new innovative synthetic methodologies and their “baby steps” as potential cholinesterase inhibitors and tumour anti-proliferation agents in lymphoma cell lines.

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References:

1. https://www.who.int/en/news-room/fact-sheets/detail/the-top-10-causes-of-death.

2. A. J. Burke, C. S. Marques, N. Turner, G. J. Hermann, *Active Pharmaceutical Ingredients in Synthesis: Catalytic Processes in Research and Development*, 1st Ed., Wiley-VCH, Weinheim, **2018**.