PHOTOCHEMICAL AND GREEN SYNTHESIS OF MOCLOBEMIDE

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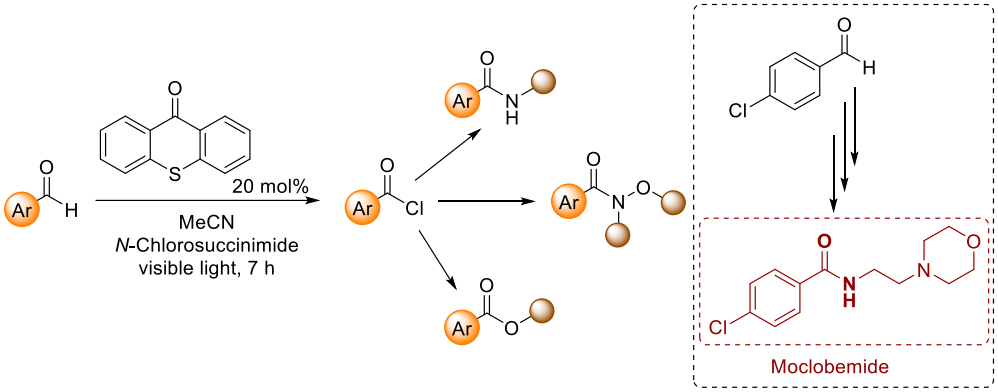
Acid chlorides, due to their versatility as reactive intermediates, are potentially useful scaffolds for organic synthesis and efficient intermediates for the synthesis of many organic moieties, such as amides, hydroxamic acids and esters. The amide bond is one of the most important bonds in biological macromolecules, exhibiting high stability, polarity and diversity. Hydroxamic acids and esters possess a wide spectrum of biological activities, such as antimicrobial and anti-inflammatory properties, as well as therapeutic activity as potential inhibitors of enzymes linked with a number of diseases. Moclobemide is a commercial drug that is used against depression and social anxiety and has a simple amide core, which can be reached through the corresponding acyl chloride. Photoorganocatalysis, the use of small organic molecules and light for the promotion of organic transformations, is an environmentally friendly approach in synthetic chemistry. Our group has developed a variety of catalytic methodologies that employ light as the energy source. Herein, we introduce an alternative, metal-free protocol for the one-pot synthesis of amides, hydroxamic acids and esters through the activation of aromatic aldehydes to acyl chlorides via photocatalysis. A variety of substrates were tested successfully, leading to products with potential pharmacological interest. The process was applied in the synthesis of the active pharmaceutical Moclobemide.



Acknowledgements

The authors gratefully acknowledge the Hellenic Foundation for Research and Innovation (HFRI) for financial support through a grant, which is financed by 1st Call for H.F.R.I. Research Projects to Support Faculty Members & Researchers and the procurement of high-cost research equipment grant (grant number 655).

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