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Direct esterification of sucrose: novel lead-compounds against cancer

Luís Filipe & Krasimira Petrova

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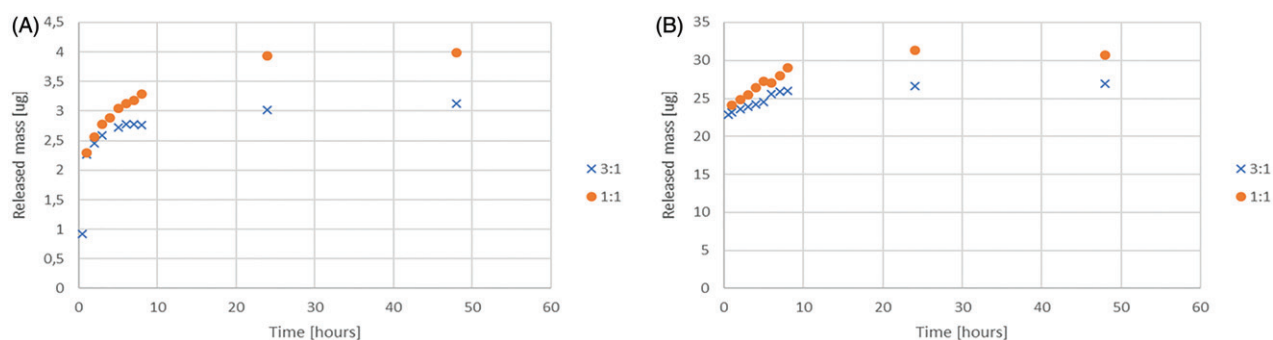


Figure 1. Cumulative drug release curves of octenidine dihydrochlorate (A) and 2-phenoxyethanol (B).

References

- [1] Mukherjee D, Azamthulla M, Santhosh S, et al. Development and characterization of chitosan-based hydrogels as wound dressing materials. *J Drug Deliv Sci Technol.* 2018;46:498–510.
- [2] Sung JH, Hwang MR, Kim JO, et al. Gel characterisation and in vivo evaluation of minocycline-loaded wound dressing with enhanced wound healing using polyvinyl alcohol and chitosan. *Int J Pharm.* 2010;392(1–2):232–240.

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Direct esterification of sucrose: novel lead-compounds against cancer

Luís Filipe and Krasimira Petrova

Departamento de Química da Faculdade de Ciências e Tecnologia, Universidade Nova de Lisboa, Caparica, Portugal

ABSTRACT

Introduction: Phenylpropanoic sugar esters are a class of naturally occurring active substances, mainly found in ancient oriental medicinal plants (Figure 1), with a scope of activity ranging from antioxidant, antibacterial as well as anti-tumoral [1]. Though relatively simple in structure – owing to their resemblance to natural carbohydrates – few have been the successful attempts to obtain them in the laboratory, hampered mainly by the fact that the high similarity between functional groups in sugars restrains the feasibility of current methods and their reproducibility in industrial scales [2]. Our study aims for the development of reliable and effective methods for the synthesis of a library of phenylpropanoic esters derived from sucrose.

Materials and methods: The lead-compounds are obtained by treating sucrose with a vigorous basic medium. The preferential esterification in the sugar hydroxyls is catalysed by a metal halide salt. The different compounds obtained are meant to be tested and screened for their biological applications, with particular emphasis on their action against cancer cells.

Results: Our most appealing discovery is the possibility of directly enhancing the regioselectivity of the 2, 3', 6 and 6'-hydroxyl positions in the sugar moiety induced by transition-metal salts as catalysts [3] (Scheme 1). Different metal salts induce the different hydroxyls mentioned for a direct esterification with the acylating agent, which reflects the specificity and versatility of this novel class of reactions.

Discussion and conclusions: We can conclude, so far, that cobalt and copper chloride salts give the best results in terms of yield (more than 30% conversion) and decreased reaction time (less than one hour). To further extend our knowledge about the metal-chelate directed acylation method, we are also experimenting with other halide salts, namely zinc, calcium, nickel and iron, as well as with derivatized molecules of sucrose. Thus, our work can certainly lead the development of novel drugs and resourceful cancer therapies.

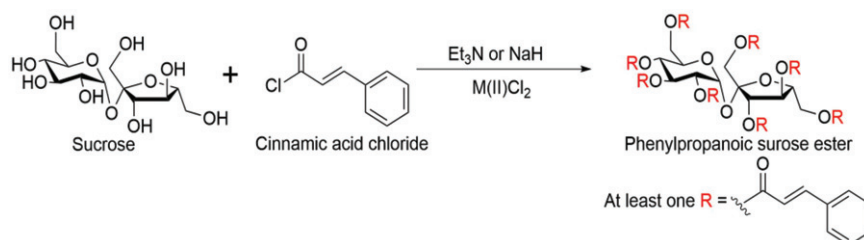
CONTACT Luís Filipe  lm.filipe@campus.fct.unl.pt

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Figure 1. *Veronicastrum Sibiricum*, one of the plants containing phenylpropanoic sucrose esters as the active substance.



Scheme 1. General route for the metal-chelate direct esterification of sucrose molecule.

References

- [1] Panda P, Appalashetti M, Judeh ZMA, et al. Phenylpropanoid sucrose esters: plant-derived natural products as potential leads for new therapeutics. CMC. 2011;18(21):3234–3251.
- [2] Barros MT, Petrova KT, Correia-da-Silva P, et al. Library of mild and economic protocols for the selective derivatization of sucrose under microwave irradiation. Green Chem. 2011;13(7):1897–1906.
- [3] Avela S, Aspelund B, Holmbom B, Melander B, Jalonen H, Peltonen C, et al. Selective Substitution of Sucrose Hydroxyl Groups via Chelates In Sucrochemistry; Hickson, J.; ACS Symposium Series; American Chemical Society: Washington, DC 1977;41:62–79.

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Gold(III) bisdithiolate complexes: molecular conductors that also exhibit anticancer and antimicrobial activities

Fernanda Marques^a, Sílvia A. Sousa^b, Jorge H. Leitão^b, Tânia S. Morais^{c,d}, Yann Le Gal^e and Dominique Lorcy^e

^aCentro de Ciências e Tecnologias Nucleares, Instituto Superior Técnico, Universidade de Lisboa, Bobadela, Portugal; ^biBB-Institute for Bioengineering and Biosciences, Departamento de Bioengenharia, Instituto Superior Técnico, Universidade de Lisboa, Lisboa, Portugal; ^cCentro de Química Estrutural, Faculdade de Ciências, Universidade de Lisboa, Lisboa, Portugal; ^dDepartamento de Química e Bioquímica, Faculdade de Ciências, Universidade de Lisboa, Lisboa, Portugal; ^eInstitut des Sciences Chimiques de Rennes UMR 6226, Université de Rennes 1, Rennes, France

ABSTRACT

Introduction: Au(III) complexes with dithiolene ligands have been used as molecular conductors and magnetic materials [1]. These compounds feature square planar geometries, as that for cisplatin the Pt(II)-based compound in clinical use as chemotherapeutic drug. Based on the molecular structure, a similar mechanism of action, e.g. antitumor activity and