BIODEGRADABLE AND BIOCOMPATIBLE CONTROLLED RELEASE SYSTEMS OF SELECTED BIOACTIVE COMPOUNDS FOR APPLICATION IN COSMETOLOGY - SYNTHESIS AND STRUCTURAL CHARACTERIZATION AT THE MOLECULAR LEVEL

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Keywords:
alpha-lipoic acid, phenolic acids, polyesters, cosmetic delivery systems, conjugates, tandem mass spectrometry

Background:

Recently, the strategy of attaching cosmetics to specific polymer carriers in order to increase the duration of their activity *via* slow release and specific targeting, especially in the skin layers, has attracted substantial attention. Most of the antioxidants and free radical neutralizers used in cosmetics are absorbed quickly into deeper layers of the skin and are then carried away by the bloodstream. It would be preferable for antioxidants and free radical neutralizers to be temporarily bound to specific carriers in order to retard their penetration into deeper layers of the skin and therefore promote intracellular antioxidant and free radical neutralizing activity.[1-2]

Objective:

Biodegradable and biocompatible conjugates of oligo([*R,S*]-3-hydroxybutyrate) with lipoic acid and selected phenolic acids were obtained *via* the anionic ring opening oligomerization of (*R,S*)-β-butyrolactone.

Methods:

The structure of individual macromolecules of the resulting conjugates (including the chemical structure of their end groups) was determined with the aid of electrospray tandem mass spectrometry technique (ESI-MS^n) supported by ^{1}H NMR spectroscopy. Moreover, hydrolytic degradation tests of selected bioconjugates were performed under laboratory conditions. Through the monitoring of the hydrolytic degradation process, identification of the degradation

products formed and the determination of their molecular structure using ESI-MS^n were achieved. In addition, preliminary cytotoxicity tests were performed on the synthesized conjugates.

Results:

The structural studies, performed with the aid of ESI-MS^n, confirmed that the lipoic acid and selected phenolic acids were covalently bound to oligo(3-hydroxybutyrate) chains through hydrolyzable ester bonds. Furthermore, hydrolytic degradation studies of the bioconjugates provided detailed insight into the hydrolysis process, allowing the identification of the degradation products and confirming the release of α-lipoic acid and selected phenolic acids. Cytotoxicity tests demonstrated that the conjugates were non-toxic.

Conclusions:

Detailed molecular structural studies of new polymeric delivery systems of selected bioactive compounds were performed by ESI-MS. ESI-MS proved to be an excellent technique for the evaluation of hydrolytic degradation products of the conjugates and for monitoring the release of lipoic acid and selected phenolic acids. The results obtained contribute significantly to the characterization of biodegradable and biocompatible conjugates with potential applications in cosmetology.

Acknowledgements:

This work was supported by the European Regional Development Fund: Contract no. POIG.01.03.01-00-018/08 “New generation packaging materials made from plastics subject to the organic recycling” in the framework of the Innovative Economy Operational Programme (IE OP). Author M.M. is a scholarship holder within the DoktoRIS project–scholarship program for the innovation of Silesia region supported by the European Community from the European Social Fund.

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Presentation type: oral

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