Rapid Commun Mass Spectrom. 2013 Apr 15;27(7):773-83. doi: 10.1002/rcm.6509.

Structural characterization of biocompatible lipoic acid-oligo-(3-hydroxybutyrate) conjugates by electrospray ionization mass spectrometry.

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Abstract

RATIONALE:

Currently, most of the antioxidants and free radical neutralizers used in cosmetic compositions are absorbed quickly into deeper layers of skin, and then carried away by the blood stream. It would be beneficial to delay the penetration of antioxidants to the deeper layers of skin to control their delivery and release.

METHODS:

Recently, growing attention has been paid to the attachment of cosmetics to specific polymer carriers. Biodegradable and biocompatible conjugates of oligo-3-hydroxybutyrate with lipoic acid were obtained via the anionic ring-opening oligomerization of (R,S)-β-butyrolactone initiated by lipoic acid potassium salt. The structure of the resulting conjugates as well as their water-soluble hydrolytic degradation products were established at the molecular level by electrospray ionization mass spectrometry (ESI-MS(n)) supported by (1)H NMR analyses.

RESULTS:

The structural studies, performed with the aid of ESI-MS(n), confirmed that the lipoic acid was 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. Cytotoxicity tests demonstrated that the conjugates were non-toxic.

CONCLUSIONS:

Detailed molecular structural studies of new polymeric delivery systems of lipoic acid 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. The results obtained contribute significantly to the characterization of biocompatible LA-OHB conjugates with potential applications in cosmetology.