Stable core crosslinked poly(amino-acid) based particles as biodegradable delivery materials

RCSI and DCU have developed a scalable emulsion polymerisation process for the synthesis of cross-linked nanoparticles based on α-amino acids. The nanoparticles are expected to be fully biodegradable, non-toxic and amenable to a wide range of functionalisation via standard peptide chemistry.

VALUE PROPOSITION

Degradable particles are used for the delivery of active ingredients in pharmaceutical, cosmetic and personal care applications. The size of the particles varies depending on the application area, ranging from < 200 nm (cellular delivery, cosmetics) up to about 500 micrometer size in other pharmaceutical and cosmetic applications.

While in some sectors natural materials such as carbohydrates are preferred, in the personal care sector a broad range of materials is used (Nylons, polyesters, etc.). The use of particulates has now come under intense scrutiny in the personal care sector due to their accumulation in the marine environment and negative impact on marine life.

Biodegradable nanoparticles are almost exclusively obtained from preformed polymers using an emulsion-solvent evaporation method. However, the present invention allows for nanoparticle formation via emulsion polymerisation which for the first time incorporates the advantages of natural polypeptides (biodegradability and biocompatibility) with the advantages of synthetic polymer chemistry (molecular weight and composition control).

THE TECHNOLOGY

The present invention discloses a novel class of poly(amino acid) derived nanoparticles. The nanoparticles are obtained via a process of ring-opening emulsion polymerization of N-carboxyanhydrides developed at RCSI/DCU. This process avoids the degradation issues with NCA hydrolysis in aqueous media to give a controllable and scalable synthesis of poly (amino acid) nanoparticles. Standard peptide chemistry allows further bespoke functionalisation of the particles to allow conjugation to other moieties or crosslinking to produce stable, homogeneous amino acid nanoparticles with a narrow size distribution.

The RCSI/DCU process is adaptable to a broad range of amino acids thereby permitting the introduction of useful functional groups (amines, carboxylic acids, thiols) throughout the particles to accommodate different cargoes and conjugation approaches.

Moreover, the nanoparticles can be tuned from hydrophobic to fully hydrophilic inside (basically forming poly (amino acid) hydrogel particles). This materials platform is thus highly adaptable for various applications in the pharmaceutical, cosmetic and personal care sector.

FEATURES AND BENEFITS

Features	Benefits
Amino acid structure	Biodegradable
	Non-toxic degradation products
	Able to crosslink and further functionalise by standard chemistries
Emulsion Polymerisation process	Control over particle size and dispersion

APPLICATIONS

- Formulations for cosmetics and personal care products
- Formulations for pharmaceutical APIs

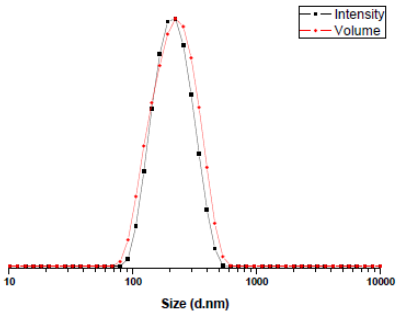

Fig1: Dynamic light scattering traces of the intensity and volume distributions of the polypeptide nanoparticles

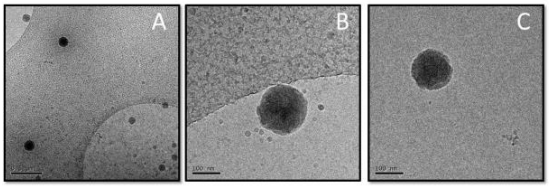

Fig2: Cryo-TEM images of the poly (amino acid) nanoparticles

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