Design, preparation and characterization of lactoferrin-loaded sulfobutylether-β-cyclodextrin/chitosan nanoparticles as a therapeutic alternative for keratoconus treatment

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Introduction and objectives

Lactoferrin has shown potential as a good therapeutic alternative in the treatment of Keratoconus [1]. Chitosan/Cyclodextrin nanoparticles as novel drug delivery systems (DDS) could successfully encapsulate hydrophobic drugs [2]. The aim of this work was based on the design, preparation, and characterization of lactoferrin-loaded CS/SBE-β-CD nanoparticles as topical ophthalmic DDS for the keratoconus treatment.

Methodology

Preparation of lactoferrin CS/SBE-β-CD NPs

Nanoparticles were spontaneously obtained via isonitropic gelation. 1 ml lactoferrin/SBE-β-CD aqueous solution was added to 3 ml CS acidic aqueous solution under magnetic stirring at room temperature.

Characterisation of lactoferrin CS/SBE-β-CD NPs

Sample preparation

Freeze-drying

Production yield (PY)

Encapsulation efficiency (EE)

Loading capacity (%) 0

SEM and TEM analysis

Size and Potential

Imaging analysis

Results

Phase diagram of nanoparticle's formation and physicochemical characterization

Phase diagram reveals that only CS/SBE-β-CD specific ratios lead to nanoparticle's formation. The appearance of opalescence was used as an indicator of nanoparticle formation, also confirmed by Dynamic Light Scattering (DLS). Low amounts of initial CS/SBE-β-CD give rise to no nanoparticle’s formation, while precipitation occurred when high amounts of initial compounds were used.

Morphological characterisation of nanoparticles

Scanning Electron Microscopy (SEM)

Transmission Electron Microscopy (TEM)

Long-term stability to storage study

Long-term Stability to storage at -4°C

Long-term Stability to storage at 20°C

Long-term Stability to storage at -37°C

Conclusion

Lactoferrin-loaded CS/SBE-β-CD nanoparticles were proposed as a novel ocular drug delivery system with the virtue of easy administration, prolonged drug release time, improved ocular bioavailability and reduced dosing frequency. Lactoferrin CS/SBE-β-CD nanoparticles show considerable potential as hydrophilic drug carrier and have the capacity to deliver drugs to specific target sites, possibly revolutionizing the Keratoconus therapy.

Bibliography