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Nanoparticle systems based on hydrophobically modified chitosan

Electrostatic interactions between the amino groups of chitosan and the carboxylic groups of fatty acids, such as oleic acid, result in hydrophobically modified polymers (HMC) that in aqueous medium undergo self-assembling in polymeric micelles. These nanostructures are characterized by the presence of hydrophobic domains in which poorly soluble drugs can be loaded to improve their colloidal dispersion in aqueous environment [1,2].

The amphiphilic properties of the HMC can be exploited to stabilize o/w nanoemulsions. In these cases the hydrophobic moieties of the polymer arrange at the interface between aqueous continuous phase and oil droplet surface while the hydrophilic chains form a shell around them. Positive charge and steric effect of the polysaccharide contribute to further emulsion stabilization. The peculiar stabilization efficiency is probably due to the high number of anchoring points of the HMC at the o/w interface [3]. It has been seen that the chitosan shell maintains the peculiar bioactive properties of chitosan, such as for example mucoadhesion, antibacterial effect, wound healing promotion. This has been verified by encapsulating essential oils, such as lemongrass, whose antimicrobial activity was not only maintained but even improved after encapsulation in chitosan oleate. This result can be attributed not only to improved distribution of the oil in water, but also to antimicrobial properties of chitosan and oleic acid. It was moreover observed that encapsulation of the antioxidant alpha tocopherol improves its activity in promoting wound healing [4,5].

The amphiphilic properties of HMC can be also exploited to prepare nanoparticles by methods involving an emulsification step. This is the case of polymeric nanoparticles based on hydrophobic biodegradable polymers, such as PLGA, or of lipid based systems, such as solid lipid nanoparticles (SLN) or nanostructured lipid carriers (NLC). In both cases the use of HMC to stabilize nanoemulsions during the preparation process results in chitosan coated nanoparticles, as it was confirmed by positive zeta potential values.
All these systems are especially suitable for topical administration, for example in ocular pathologies, mucosal lesions or inflammatory conditions and skin wounds. They represent quite versatile carriers that can be loaded with poorly soluble anti-infectives, antioxidant and anti-inflammatory drugs.

References

[1] Bonferoni MC et al, Eur J Pharm Biopharm. 87, 101 (2014)
[2] Dellera E et al. Eur J Pharm Biopharm. 88, 643 (2014).
[3] Tadros T., Adv. Colloid Interface Sci. 147–148 (2009) 281–299
[4] Bonferoni MC et al, Oil in water nanoemulsions. WO2016/063119 A1.
[5] Bonferoni MC et al, Colloids and Surfaces B: Biointerfaces 152, 385 (2017)

Figures

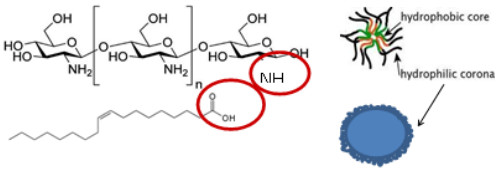

Figure 1: Interaction occurring between chitosan and oleic acid and a scheme of polymeric micelles or nanoparticles based on it.