Liposomes for the oral delivery of antioxidant molecules

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Liposomes are vesicles deriving from the spontaneous self-assembly of phospholipids in aqueous media. They have a great similarity with the biological membranes, and they are composed both of hydrophilic and hydrophobic regions. For these reasons, they are good candidates as drug delivery systems. However, liposomes themselves are not suitable for oral delivery because they are degraded by enzymes and bile salts in the stomach, and they are not stable in acid conditions[1]. Therefore, in this study a protocol for the preparation of liposomes suitable for the oral delivery of antioxidant molecules was developed. As a model compound was chosen the curcumin, a natural hydrophobic compound with several beneficial properties but with problems of poor absorption and poor bioavailability *in vivo*[2].

To obtain a nanostructured drug-delivery system for oral-delivery of curcumin, liposomes with a double polymer shell were prepared. First, curcumin embedded liposomes were prepared by the sonication-extrusion method, using membranes with a defined porosity of 80 nm. In this step, to gain mucus penetrating and bile salts resistant features[3], liposomes were covered with a first polymer shell of PEG-2000 in a brush-like configuration. Then, they were coated with a second shell of Eudragit-S100, a gastro-resistant polymer with pH-dependent solubility which protects vesicles from the acidic gastric pH and intestinal enzymes and releases them at neutral-alkaline pH conditions, near the absorption site in the colon region. In this step, a previously developed pH-jump method was used[4, 5].

Hence, liposomes have been morphologically characterized through TEM and DLS at different pH values, demonstrating the presence of coverage with Eudragit-S100 in acid conditions and the dissolution of the polymer at neutral pH.

Finally, an *in silico* optimization of the encapsulation efficiency (EE%) and loading capacity (LC%) of the curcumin was conducted. Specifically, a second-degree polynomial interpolation with two variables was applied to the experimental data, in order to predict the values of lipidic and curcumin concentration which maximize both the EE% and the LC%.

References

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