

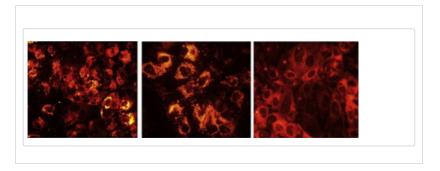
Abstract

Among various phospholipid-mediated drug delivery systems (DDS) suitable for topic and oral administration, phytosome technology represents an advanced innovation, widely used to incorporate standardized bioactive polyphenolic phytoconstituents into phospholipid molecular complexes. In order to extend their potential therapeutic efficiency also to other routes of administration, we proposed a novel phytosome carriermediated vesicular system (phyto-liposome) as DDS for the flavonolignan silybin (SIL), a natural compound with multiple biological activities related to its hepatoprotective, anticancer and antioxidant (radical scavenging) effects. We screened the optimum fraction of its phytosome, available in the market as Siliphos™, into liposomes prepared by extrusion, such that vesicle sizes and charges, monitored through dynamic light scattering and laser doppler velocimetry, satisfied several quality requirements. Special emphasis was placed on the study of host-guest interaction by performing UV-vis absorption, spectrofluorimetry and NMR experiments both in aqueous and non-polar solvents to probe the effect of the presence of phospholipids on the electronic properties of SIL and its propensity to engage H bonding with the lipid headpolar groups. Finally, fluorescence microscopy observations confirmed the ability of phyto-liposomes to be internalized in human hepatoma cells, which was promising for their potential application in the treatment of acute or chronic liver diseases.

Graphical abstract

Hepatoma Huh7.5 cells treated with fluorescent phyto-liposomes loaded with silybin (left), empty fluorescent liposomes (middle) and free fluorescent phospholipids (right).

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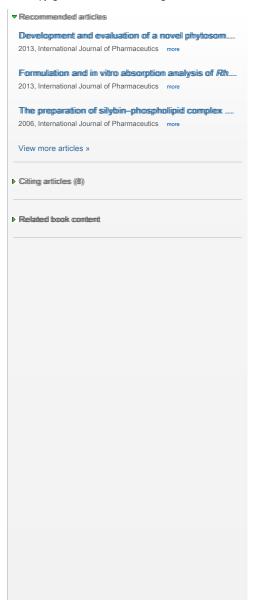


Keywords

Silybin; Phytosome; Phyto-liposome; Silybin–phospholipids interactions; Physicochemical properties

Corresponding author at: Department of Agricultural, Environmental and Food Sciences (DIAAA), University of Molise, via De Sanctis, Campobasso I-86100, Italy. Tel.: +39 0874 404649; fax: +39 0874 404652

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