Summary

Bile acids are steroids biosynthesized in the hepatocytes of the liver acting as surfactants. In this thesis, photophysical techniques such as fluorescence and laser flash photolysis have been applied to new photoactive derivatives of bile acids and cholesterol, to investigate the properties of different supramolecular systems such as aggregates or mixed micelles.

First of all new derivatives of cholic acid and cholesterol were prepared using different fluorophores such as dansyl, naproxen or tryptophan. Covalent attachment of the dansyl fluorophore at positions 3α-, 3β- or 7α- of cholic acid gave fluorescent probes, whose properties depended strongly on the environment. An increase of the emission quantum yields and lifetimes of more than three times, compared to the values obtained in solution, was observed for 3α- and 3β- derivatives. The combined analysis of steady-state and time-resolved experiments allowed investigation of the aggregation behavior of the most abundant SBs, providing key information to build the corresponding speciation diagrams.

The use of dansyl or naproxen derivatives of cholic acid or cholesterol has demonstrated the incorporation of both building blocks in the supramolecular architecture provided by the mixed micelles using photophysical techniques. Resulted into a huge increase of the fluorescence intensity as well as of the singlet lifetime. The triplet lifetime of the naproxen labelled compounds increased by an order of magnitude in mixed micelles.

Next, the usefulness of the photophysical techniques to evaluate the potential of bile acids aggregates as drug carriers was demonstrated. Thus, the different distribution of the probes between the solution and the aggregates was evaluated by quenching of singlet and triplet excited states by iodide and nitrite, respectively. For this purpose, the two enantiomers of the anti-inflammatory drugs (R)- or (S)-NPX, as well as their methyl esters (R)- and (S)-NPXMe were used. It was observed that the most hydrophobic probes were fully incorporated at the aggregates already at 50 mM sodium cholate, while hydrophilic probes were only incorporated substantially (> 95%) to 250 mM of CA.

Finally, different supramolecular systems (various bile salts aggregates and mixed micelles) were used to study fluorescene quenching processes. Quenching of the singlet excited state by iodide in different tryptophan or dansyl probes was very effective in solution in all cases and gradually decreased as the fluorophores were incorporated inside the aggregates. To ensure the location of the two probes in the same type of environment, dyads containing both chromophores linked to the same molecule of cholic acid were prepared.