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Carbon nanostructures (CNS), especially [60]fullerene and carbon nanotubes, are a very interesting subject for studies in many different fields. Among them, the biological applications are strongly investigated and present important possibilities. The translation into clinical applications cannot be envisaged in a near future but their nanomedicine applications have great potential.

The lack of solubility and the difficult manipulation in any solvents has imposed great limitations to the use of CNS. Indeed, as-produced CNS are insoluble in many organic solvents and aqueous solutions. They can be dispersed in some solvents by sonication, but precipitation immediately occurs when this process is interrupted. On the other hand, it has been demonstrated that CNS can interact with different classes of compounds. The formation of supramolecular complexes allows a better processing of CNS towards the fabrication of innovative nanodevices. In addition, CNS can undergo chemical reactions that make them more soluble for their integration into inorganic, organic and biological systems. The main approaches for the modification of these structures can be grouped into three categories: a) the covalent attachment of chemical groups through reactions onto the π -conjugated skeleton of CNS; b) the non covalent adsorption or wrapping of various functional molecules; and c) the endohedral filling of their inner empty cavity. Among the covalent attachments of chemical groups, the cycloaddition reaction and the functionalization of carboxylic residues (introduced by oxidation in the case of carbon nanotubes) play very important roles. Many examples of covalent functionalization of CNS have been reported and present great potentiality in medicinal chemistry.

An overview of the most recent advances in this field will be presented.