Biologically active hybrid structures based on amino acid derivatives of fullerenes

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A new procedure for the design of biologically active hybrid structures based on fullerenes and endometallofullerenes has been developed, which consists in the selective addition of two different addends to the fullerene cage. One of the addends is either amino acid or peptide, which provide water solubility of fullerene derivatives, and the other one is biologically active group, which enhance physiological effect of derivatives including antioxidizing and photosensitizing ones, and make it possible to donate nitrogen monoxide (NO) or inhibit key enzymes.

Hybrid structures based on fullerenes C_{60} bearing biologically reactive groups, which are NO donors, have been developed, and an essential effect of such nanostructures was found in therapy of cancer. Water soluble hybrid structures were found to be efficient chemosensitizres, which provided the recovery of 67 % of animals with leucaemia P388 when they were introduced in a combination with clinical cytostatics. Hybrid molecules have been designed, which are well-pronounced inhibitors of metastatic disease when they are introduced in combination with cytostatics. In this process the therapeutic dose of cytostatics is 10 times lower to provide lower toxicity and prevent from drug resistance.

The procedures for the covalent addition of fullerenes to chromophore eosine, proteins and polynucleotides have been developed, which are important when testing immunological properties of hybrid structures and their photodynamic effect.

The methods and approaches developed allow the design of a new family of biologically active fullerene based compounds, which are promising in chemotherapy and photodynamic therapy of tumors, cardiology and MRI (tomography).