

Adducts of [60]fullerene with basic amino acids as delivery vectors

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Cationic molecules such as adducts fullerene C₆₀ with basic amino acids (FBAs) are capable of binding DNA or RNA molecules and condense to globular compact structures, and hence have a great potential as a vectors for gene delivery. In an earlier study, we reported the water-soluble fullerene-based amino acids are good penetrable into various cells [1]. After passing through cell membranes (by endocytosis) the vector is acylated and loses a charge, resulting in releasing of a nucleic acid molecule into the cytoplasm. We have developed a new variant of FBA synthesis where addition of amino acids to the [60]fullerene may conduct in a homogeneous aprotic medium previously modifying the amino acid by a trimethylsilylating agent. The purification of FBA is easily achieved by extraction and dialysis. The adducts C₆₀(Arg)_n and C₆₀(Lys)_n (as epoxides) contain 4-5 molecules of amino acid per fullerene core and are characterized by positive zeta potential (ξ about +30 mV). The size of FBA clusters determined by DLS analysis was varied from 20 to 200 nm depending on the pH and concentrations as. Acute toxicity data showed that FBAs at concentrations 2-250 $\mu\text{g/ml}$ have no effect on viability of 293 cells. In due to the lack of allergenicity such FBAs and low toxicity these studies allow us to consider these AF as potential vectors for delivery of DNA, RNA and short RNA in target cells. Due to the lack of immunogenicity and allergenicity such compounds can be considered as potential vectors for nucleic acid delivery into target cells.

- [1] Andreev I., Petrukhina A., Garmanova A., Andreev S., Romanova V., Troshin P., Troshina O., DuBuske L. *Fullerenes, Nanotubes, and Carbon Nanostructures* **16**, 89 (2008).