Supramolecular Host-Guest Complexes as Fluorescent Markers in Tumor Diagnostics

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Fluorescence detection has had a broad application in the area of tumor diagnostics for many years. The new approach described in this work involves the intense illumination of tumor tissue by using macrocycles for the fluorescence enhancement of suitable dyes. In this way, the quantum yield of the established endogenous fluorophore protoporphyrinIX could be increased by 43% in the presence of sulfated ß-cyclodextrin and serum. I found many stronger effects with exogenous fluorophores such as berberine, thiazole orange, and thioflavin T. Emission increases with enhancement factors between 40 and 600 were measured when the dye formed a host–guest complex with cucurbit[7]uril or sulfated ß-cyclodextrin. A system based on biotin– avidin technology was developed for the targeted linking of the host–guest complexes with tumor cells. For this purpose, it was necessary to synthesise sulfated biotinylated ß-cyclodextrin. Fluorescence microscopic studies using a confocal laser scanning microscope to study epithelial cells from cervical and liver carcinomas show the cellular structures that the fluorophores and their host–guest complexes bind to. As an example, the berberine fluorescence could be used to show that the biotin residue is bound through streptavidin in the cell interiors. The fluorescence of berberine in cell nuclei could be switched off by addition of CB7, which is of interest for new biological applications.

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Third Award of $1,000