Highly Emissive Fluorescent Molecules Based on Pyrene: Their Application to Biomolecular Probes

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Pyrene and its derivatives have always been attracted from the viewpoints of their inherent chemical and photophysical properties. Indeed, their simple polyaromatic hydrocarbon skeleton does not require any protection when being incorporated into peptides and oligonucleotides. Also inspiring are their excimer formation and rather long fluorescence lifetime that encourage researchers to explore various biomolecular probes. At the same time, however, these fascinating photophysical properties of pyrene also result in serious drawbacks, i.e., the substantial quenching of its fluorescence by the presence of oxygen and by electron-donating and -accepting molecules existing in vivo and the low fluorescence quantum yield in protic solvents. Moreover, the relatively short absorption wavelengths of pyrene and its simple derivatives are unsatisfactory because several biomolecules and biomolecular segments will also be excited at the same wavelength upon irradiation. During the course of our study about pyrene-based biomolecular probes, we have noted the influence of alkynyl substituentes on the photochemical properties of pyrene nuclei.

Introduction of alkynyl groups directly to a pyrene core could bring several photophysical properties favorable as a fluorescence probe for biomolecules. As the number of substituted alkynyl groups increased, the fluorescence intensities of the alkynylpyrene derivatives were enhanced accompanying the bathochromic shifts in their absorption spectra. Taking advantage of the above merits, we developed alkynylpyrene-based probes for biological applications. These alkynylpyrene-based biomolecular probes were found to be more practical than conventional pyrene-based ones in terms of the excitation at the longer wavelengths and the high Φ_f values without rigorous exclusion of oxygen.