Highly Emissive Hydrophobic Fluorophores Consisting of Alkynylpyrene Cores

○Kazuhisa Fujimoto, Hisao Shimizu, and Masahiko Inouye
(Graduate School of Pharmaceutical Sciences, University of Toyama)

Alkynylpyrene derivatives show several photophysical properties favorable as a fluorescence probe for using in chemical biology and molecular biology. The photophysical properties of alkynylpyrenes are as follows: 1) their absorption maxima shift to longer wavelengths compared with that of unsubstituted parent pyrene, 2) their fluorescence quantum yields dramatically increase up to >0.99 in EtOH, and 3) only slight quenching of their fluorescence occurs even under aerated conditions. Taking advantage of the above merits, we have developed alkynylpyrene-based probes for biological applications. The alkynylpyrene-based probes are 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. For instance, we developed an alkynylpyrene-based probe that possesses a maleimide group for labeling thiol side chains on Cys residues of peptides and proteins. The inherent fluorescence of this probe is quenched by photoinduced electron transfer (PET) before the labeling reaction, but fluorescence is then restored by the formation of thiol adducts at the carbon-carbon double bond of the maleimide. When glutathione is labeled with each of alkynylpyrene- and pyrene-based probes, the Φ_f value (0.48) of the alkynylpyrene-labeled gulutathione is higher than that of the pyrene-labeled one (0.02).

Recently, we have developed a new class of highly emissive π–conjugated oligomers and solvatochromic molecules on the basis of alkynylpyrene skeletons. Here, we will report our recent results and the motivation for developing the alkynylpyrene-based probes.