OS02-1 Highly sensitive and intraoperative detection of tiny tumors with novel fast-responding "activatable" fluorescence probes

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Tumor imaging techniques based on several modalities such as PET, MRI and fluorescence have been extensively investigated so far. One of the central problems associated with these conventional tumor-targeted imaging methods, however, is the fact that the signal contrast between tumor and surrounding tissues relies on the efficient targeting to the tumor and the rapid sequestration or excretion of unbound agent. Here, we will present a novel technique of fluorescence cancer imaging based on highly activatable strategies with using precisely designed novel fluorescence probes.

Recently, we found that hydroxymethyl-Rhodamine Green (HMRG), a novel rhodamine derivative, was strongly fluorescent in aqueous solution at pH7.4, while mono-amidated HMRG derivatives were colorless and non-fluorescent due to the preferred spirocyclized structure. Based on these findings, we could develop novel sensitive and fast-responding fluorescence probes which were reactive to cancer-related proteases to yield highly fluorescent products in higher rate than so far developed various probes. With using these probes, we have succeeded in detecting tiny tumors quite sensitively and rapidly, i.e. within 1 min after the drug administration. We believe these probes are practically useful and would realize intraoperative diagnosis of tiny tumors in vivo.