Medical diagnosis and therapy are essential for providing patients with proper care, although inefficient diagnosis and therapy are usually associated with either improper detection of the diseases, unsatisfactory therapeutic outcomes, and/or serious adverse reactions. Advances in the design of various diagnostic and therapeutic agents, and the recent trend of utilizing molecules for both therapeutic and diagnostic applications (i.e., theranostics), still have not achieved the maximum benefits of controlling the navigation and biodistribution of these molecules within the biological system.