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Protamine conjugated fluorochromes: A new photosensitizer for photodynamic tumor therapy

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The photodynamic therapy (PDT) is a promising alternative therapy that could be used adjunct to chemotherapy and surgery for curing cancer causing tissue destruction by visible light in the presence of a photosensitizer (PS) and oxygen. The high arginine peptides like the cell-penetrating peptide have membrane translocating and nuclear localizing activities that have led to their use in a wide range of drug delivery applications. Protamine is a high arginine peptide with membrane translocating and nuclear localizing properties. The reaction of an NHS-ester of methylene Blue (MB) and clinical protamine (Pro), to yield MB-Pro, was described in this context and demonstration of phototoxicity which clinical protamine improved PDT effect was performed. The reaction between clinical protamine (Pro) an NHS ester of MB is a solution phase reaction with the complete modification of the protamine peptides which feature a single reactive amine at the N-terminal proline and single carboxyl group at the C-terminal arginine. The aim of this study was to find a new type of photosensitizer (PS) for PDT on *in vitro* and *in vivo* experiments and to assess the anti-tumor effect of PDT using the protamine conjugated-PS on the cancer cell line. Photodynamic cell death studies show that the MB-Pro produced has more efficient photodynamic activities than MB alone, causing rapid light induced cell death. The attachment of MB to clinical Pro, yielding MB-Pro, confers the membrane internalizing activity of its high arginine content on methylene blue and can induce a rapid photodynamic cell death, presumably due to cell membrane rupture induced by light. The PDT using MB-Pro for HT-29 cells was very effective and those findings suggest that MB-Pro is one of candidate for photosensitizer in solid tumors.

Biography

Hoonsung Cho is an Assistant Professor at Chonnam National University, South Korea. He has earned his PhD degree from University of Cincinnati in 2010. He has joined Center for Advanced Medical Imaging Sciences at Massachusetts General Hospital in Boston, Massachusetts and extended his research into the field of multifunctional nanocarrier systems for imaging, targeting and therapy. His current research interests include imaging cell death with multimodal vital fluorochromes and detecting extracellular DNA and RNA using fluorochrome-functionalized nanoparticles as probes for detection and manipulation of these nucleic acids.

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