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A tumor homing peptide conjugated zinc(II) phthalocyanine for targeted photodynamic therapy

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Photo Dynamic Therapy (PDT) is a novel therapeutic modality for the treatment of cancerous and non-cancerous diseases. However, the low selectivity of photosensitizers between normal and tumor tissues severely limits the clinical application of PDT. Zinc phthalocyanines based photosensitizers are promising candidates for PDT. To improve the biocompatibility and tumor selectivity, we employ an Epidermal Growth Factor Receptors (EGFRs) binding peptide (named GE11, a 12-amino-acid sequence) as a tumor directing vector for the delivery of zinc phthalocyanine. The GE11 conjugated zinc phthalocyanine (Pc-GE11) was easily prepared via solid phase synthesis based on our previous reported 1,4-bis (triethylene glycol)-substituted zinc phthalocyanine, which is a more efficient singlet oxygen generator. The photophysical properties, cellular uptake, *in vitro* toxicity and *in vivo* biodistribution of Pc-GE11 have been evaluated. The uptake of Pc-GE11 in A431 cells (high EGFRs expression) was higher than that in MCF7 cells (low EGFRs expression). Competitive assay also showed that free GE11 pretreatment inhibited the uptake of Pc-GE11. Besides, Pc-GE11 exhibited exclusive light-activated toxicity towards A431 cells. Furthermore, intravenous administration of Pc-GE11 showed the photosensitizer mainly accumulated in tumor and liver tissue in A431 tumor bearing mice. The *in vivo* PDT effect of Pc-GE11 is under evaluation currently. As such, Pc-GE11 is a promising EGFRs-targeted PDT agent.

Biography

Yu Ligang has completed his Master's degree in Organic Chemistry from East China Normal University. He is currently a PhD student (Biomedical Sciences) in City University of Hong Kong.

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