Keywords: gene therapy, supramolecular aggregate, host-guest interaction

Acknowledgements

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Synthesis of poly(fluorenyl-*alt*-p-phenyleneethynylene) with pendent carboxyl acid group and the sensing application

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Fluorescent conjugated polymers with pendent functional groups have attracted great interest as sensing materials [1, 2]. A new fluorescent conjugated polymer with pendent carboxyl acid groups and poly[fluorenyl-*alt*-p-phenyleneethynylene] (PFPE) backbone was synthesized *via* Sonogashira coupling reaction followed by hydrolysis (Fig. 1a). The polymer could be well dissolved in some organic solvents, such as DMF, THF and DMSO. The photophysical study showed the polymer had the absorption between 350-450 nm with a peak around 392 nm and emission between 425-500 nm with a peak around 440 nm.

The initial study for the sensing application demonstrated that the fluorescence of PFPE in the organic solvent could be enhanced by aliphatic amines in aqueous solution but little response upon these amines in DMF. However, aniline as an aromatic amine quenched the fluorescence when it was in DMF but had little effect in water (Fig. 1b). Therefore, such fluorescent system can be used to sense the amine compound and discriminate the aromatic amine and non-aromatic amine. The preliminary mechanism investigation was on-going in our lab.

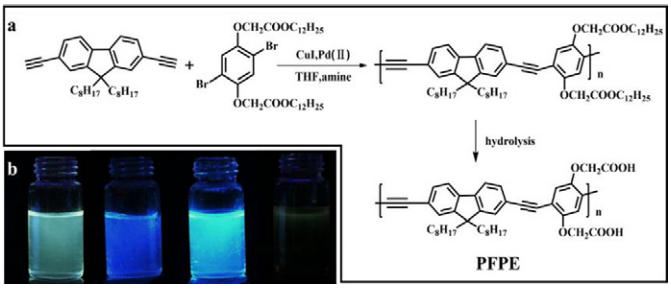

Fig. 1. (a) Synthetic route of conjugated polymer PFPE; (b) Images of PFPE solutions under UV-light (from left to right: original solution, H_2O added, $NH_3 \cdot H_2O$ added, and aniline in DMF added).

Keywords: conjugated polymer, fluorescence, sensing, fluorenyl, building block

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In vitro bioavailability of resveratrol encapsulated in liposomes: influence of chitosan coating and liposome compositions

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Resveratrol (3,5,4'-trihydroxystilbene), a plant stilbenpolyphenol, represents various health benefits, such as anti-oxidant, anti-inflammatory, cardioprotectiveand anti-tumor activities. However, the biological effects and the therapeutic applications of resveratrol have been greatly hampered by its poor bioavailability in human bodies [1]. Liposome is a kind of popular vesicles used for delivering bioactive components but its application is limited since the liposome structures could be disrupted during the processing procedure. Coating the liposomes with biopolymers such as polysaccharides can help improving the stability of liposome structures [2]. In this study, we employed an *in-vitro* digestion procedure coupled with a Caco-2 cell model system to investigate the bioaccessibilty and cellular uptake of resveratrol encapsulated in various liposomes (Fig. 1). Uncoated and chitosan-coated liposomes containing trans-resveratrol were prepared with either long chain FFA (C18:0) or medium chain FFA (C8:0) as one main composition of liposomes. Our results demonstrated that chitosan coating helped protecting the liposome structures and also decreased the releasing rate of resveratrol from liposomes during the *in vitro* digestion procedure while it could help facilitating the absorption of resveratrol by Caco-2 cell monolayer. In addition, our research also indicated the presence of long chain FFA in liposomes improved the encapsulation efficiency of resveratrol in liposomes (≥ 92.63%) and also the secretion of resveratrol by Caco-2 cell monolayer into the basolateral medium. Overall, this research provides important implication about the design and fabrication of effective delivery systems for bioactive components.

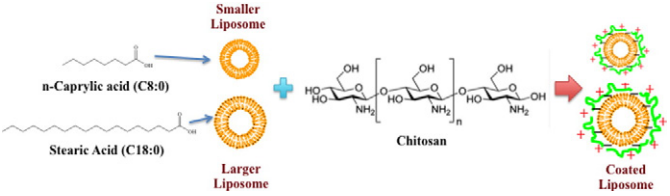

Fig. 1. Schematic representation of chitosan-coated liposomes formed with long or medium chain FFA.

Keywords: resveratrol, liposome, chitosan coating, bioavailability

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Oxidized hyaluronic acid modified by l-Argine for siRNA delivery

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Small interfering RNA (siRNA) delivery is considered as a promising tool for tumor ablation. Among so many carriers used in siRNA delivery, non-viral carriers possess significant potential due to their low immunogenicity, improved safety, and facile preparation [1]. For non-viral carriers, endogenous substances components are desired for the biosecurity, and the negatively charge could contribute to their long circulation, as well as easy preparation is required. Hence, we developed an "easy to fabrication" system to deliver siRNA into cells by simply reaction between oxidized hyaluronic acid (OHA) with l-Argine. OHA was obtained through oxidation of hyaluronic acid by sodium periodate to provide aldehyde groups, which would react with the amino groups on argines to form schiff base bonds [2]. OHA served as the skeleton of the carriers and it showed excellent CD44 receptor targeting ability. The guanidino groups on the side chains of argines would complex with siRNA and interact with cell membranes. The in-situ obtained OHA-Arg carriers could complex with siRNA to form nanoparticles with about 400 nm and negatively charges. More inspiring, OHA/Arg/siRNA with weight ratio 30/20/1 showed more than 40% siRNA silencing efficiency even though negatively charged. These results suggested that the negatively charged carriers formed by endogenous substances are highly promising gene carriers for cancer therapy.

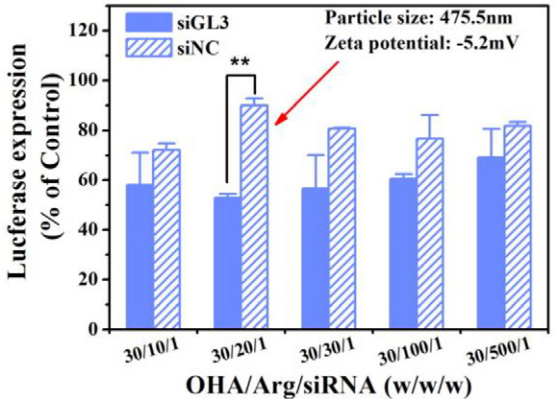

Fig. 1. The siRNA silencing efficiencies of OHA/Arg/siRNA with different weight ratios in Huh7/Luc cells and the particle size and Zeta potential of OHA/Arg/siRNA with weight ratio 30/20/1.

Keywords: oxidized hyaluronic acid, l-Argine, siRNA delivery, negatively charged

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Poly(iron(III)-catecholate) micellar nanoparticles as MRI contrast agents

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Current Gd-based MRI contrast agents suffer from toxicity spurring a resurgence of interest in Gd-free MRI agents [1]. Towards this goal, we have developed a new class of efficient and biocompatible MRI contrast agents based on micellar nanoparticles formed from amphiphilic poly(Fe^{III}-catecholate)-based copolymers [2]. Compared with recently reported natural or synthetic melanin-based T_1 agents, our approach utilizes well-defined tri-block copolymers prepared via a controlled living polymerization method. This synthetic route gives access to a tunable polymer system and hence, differently shaped self-assembled nanoparticles with controlled physical parameters (Fig. 1). These nanoparticles have the potential to be used for various applications in diagnostic radiology and imaging, due to their enhanced relaxivity, and long-term stability in biological media. Moreover, we further demonstrate that the resulting nanoparticles provide enhanced positive contrast for MR imaging in HeLa cells. Notably, we observed shape-dependent behavior in terms of cellular uptake with cylindrical micelles exhibiting brighter contrast and shorter relaxation times than the

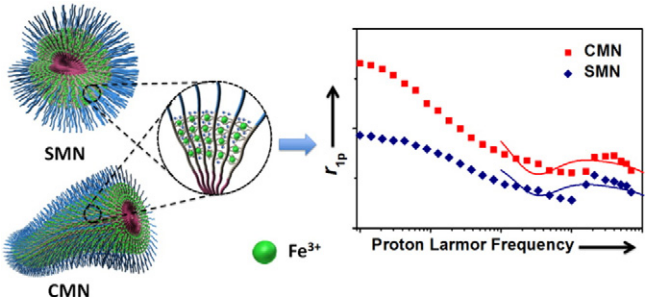

Fig. 1. Illustration of polycatechol nanoparticle MRI contrast agents with enhanced relaxivity.