

# ML 03

## MATERIAL DESIGN FOR FUNCTIONAL BIONANOPARTICLES

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Studies on nanomaterials are receiving great interest and are widely extending into diverse fields of science and technology. Recently, we are focusing on preparation of pH-responsive core-shell type nanogel shown in Scheme 1, which consists of pH-responsive polyamine cross-linking core along with PEG tethered chains shell<sup>1</sup>. The size of the obtained nanogels was

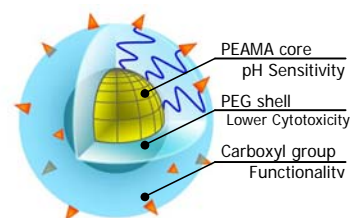


Figure 1. Schematic picture of the functionalized nanogel.

controllable in the range between 50 and 680 nm. The pH-sensitive swelling/deswelling behavior of the nanogels was studied by dynamic light scattering to confirm their volume phase transition at a pH around 7.0. The DOX loaded, pH-sensitive PEGylated nanogel showed almost no initial burst release of the DOX under physiological pH, whereas significant release of DOX from the pH-sensitive PEGylated nanogel was observed at the endosomal pH. The antitumor activity of the DOX-loaded, pH-sensitive, PEGylated nanogel against the human breast cancer cell line MCF-7 was lower than that of free DOX. On the other hand, the antitumor activity of the DOX-loaded, pH-sensitive, PEGylated nanogel against the human hepatoma cell line HuH-7, which is a natural drug-resistant tumor line, was superior to that of both free DOX and the DOX-loaded, pH-insensitive, PEGylated nanogel<sup>2</sup>. These findings suggest that the pH-sensitive PEGylated nanogel represents a promising nano-sized carrier for anticancer drug delivery systems *in vivo*.

1 H. Hayashi, M. Iijima, K. Kataoka, Y. Nagasaki, *Macromolecules*, **2004**, 37, 5389

2 M. Oishi, H. Hayashi, M. Iijima, Y. Nagasaki *J. Mat.Chem.* **2007**, 17, 3720