PFA-PEG particles: A colloidal model system for the investigation of phase diagrams of PEGylated drug carrier systems

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Pegylated particles like proteins, peptides and lipid- or polymer-based nanoparticles are known as potential drug delivery systems (DDS) or as nano drug carriers (NDC) [1,2]. Their potential as therapeutic agents for highly specific while also highly vulnerable substances leads to an increased use of PEGylated particulate materials in modern pharmaceutical technology [3]. Current research deals with e.g. completely new systems [4], shape effects [5] or the influence of the PEG density on the biocompatibility [6]. However, the phase behaviour of the DDS is not really covered. This can pose severe problems for larger therapeutic molecules with a low carrying ratio of the DDS. Due to the unknown behaviour at higher concentrations most of the DDS are administered via injection into the blood stream in high dilution. As a consequence the potency of the drug shrinks with lower carrying ratios of the DDS [2]. As a result higher concentrations or different formylations are needed but difficult to achieve without detailed knowledge of the DDS phase behaviour.

In an attempt to close this gap we synthesised a new model system, consisting of a highly fluorinated core and a sterically stabilizing PEG-shell [7]. The main advantage of this model system over standard PEG-DDS model systems [8] is that their phase behaviour can be easily investigated via light scattering by using an aqueous solvent and thereby avoiding multiple scattering effects, i.e. sample turbidity, at high concentrations. Based on a simple emulsion polymerisation technique the overall size of the particles, the thickness of the PEG-layer and even the PEG-chains of the stabilizing layer can be easily varied.
With these particles and different light scattering techniques as well as other complementary techniques such as microscopy and rheology, we gained first insights into the phase behaviour of PEGylated particles. Our findings can lead to higher concentrated carrier systems without unwanted inter particle interference and new formylations e.g. gels or cremes.

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