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Drug-induced morphology transition of self-assembled glycopolymers: Insight into the drug-polymer interaction

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It is often assumed that a hydrophobic drug will be entrapped in the hydrophobic environment of a micelle. Little attention is usually drawn to the actual location of the drug and the effect of the drug on properties. In this publication, we show how the chosen drug curcumin is not only unexpectedly located in the shell of the micelle, but that the accumulation in the hydrophilic block can lead to changes in morphology during self-assembly. A block copolymer poly(1-O-methacryloyl - β -D-fructopyranose)-b-poly(methyl methacrylate), Poly(1-O-MAFru)36-b-PMMA192, was loaded with different amounts of curcumin. The resulting selfassembled nanoparticles were analyzed using TEM, SAXS, and SANS. Initial microscopy evidence revealed that the presence of the drug induces morphology changes from cylindrical micelles (no drug) to polymersomes, which decreased in size with increasing amount of drug (Figure 1). SAXS and SANS analysis, supported by fluorescence studies, revealed that the drug is interacting with the glycopolymer block. The drug did not only influence the shape of the drug carrier, but also the level of hydration of the shell. Increasing the amount of drug dehydrated the nanoparticle shell, which coincided with a lower nanoparticle uptake by MCF-7 breast cancer cells and non-cancerous Raw-264.7 cells. As a result, we showed that the drug can influence the behaviour of the nanoparticle in terms of shape and shell hydration, which could influence the performance in a biological setting (Figure 1). Although the depicted scenario may not apply to every drug carrier, it is worth evaluation if the drug will interfere in unexpected ways, for example, when the drug locates on the surface and affects the internal structure of the nanocarrier.

Topic

Chemistry

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