Drug delivery systems (DDSs) provide an important tool for increasing the efficacy of pharmaceuticals through improved pharmacokinetics and biodistribution. A wide variety of nanoscale materials such as liposomes, polymeric micelles, and dendrimers have been employed as drug carriers. We have demonstrated that hydrophobic dyes/drugs can be stably entrapped in a hydrophobic pocket of AuNPs and released into the cell by membrane-mediated diffusion without uptake of the carrier nanoparticle. Importantly, the small size of these nanocarriers coupled with their biocompatible surface functionality should provide long circulation lifetimes and preferential accumulation in tumor tissues by the enhanced permeability and retention (EPR) effect.