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Nanoparticle-mediated delivery of siRNA targeting the HIV-1 coreceptor CXCR4 in HeLa-derived cells

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The development of non-viral DNA delivery systems using nanomaterials has attracted much research interest for its potential in biomedicine. However, for these new nanocarriers to be successfully used in therapeutic applications they have to overcome many barriers. Here, we report the development and characterization of two distinct nanosystems for the delivery of anti-HIV therapeutic agents in the presence of serum. We have evaluated and compared the efficiency of polyethylene-modified tetramethylrhodamine-doped silica nanoparticles and the lipid-based nanovector Neutraplex to deliver siRNA in HeLa-derived cells, with the commercially available formulation Lipofectamine RNAiMAX. We have demonstrated that both nanoformulations bind and protect siRNA against nuclease degradation and facilitate cellular uptake and intracellular delivery of an siRNA targeting the coreceptor CXCR4 for HIV-1. We showed that following incubation in serum-containing medium, active siRNAs were released from the nanoparticles into the cytoplasm of the cells, leading to a reduction in the expression of the targeted mRNA and protein. Low cytotoxicity was observed using these nanosystems.