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Polymeric Nanoparticles and Microparticles for the Delivery of Peptides, Biologics, and Soluble Therapeutics

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Abstract:
Biologically derived therapeutics, or biologics, are the most rapidly growing segment of the pharmaceutical marketplace. However, there are still unmet needs in improving the delivery of biologics. Injectable polymeric nanoparticles and microparticles capable of releasing proteins and peptides over time periods as long as weeks or months have been a major focus in the effort to decrease the frequency of administration. These particle systems fit broadly into two categories: those composed of hydrophilic and those composed of hydrophobic polymeric scaffolds. Here we review the factors that contribute to the slow and controlled release from each class of particle, as well as the effects of synthesis parameters and product design on the loading, encapsulation efficiency, biologic integrity, and release profile. Generally, hydrophilic scaffolds are ideal for large proteins while hydrophobic scaffolds are more appropriate for smaller biologics without secondary structure. Here we also introduce a Flash NanoPrecipitation method that has been adopted for encapsulating biologics in nanoparticles (40-200 nm) at high loadings (50-75 wt%) and high encapsulation efficiencies. The hydrophilic gel interior and hydrophobic shell provides an opportunity to combine the best of both classes of injectable polymeric depots.

Keywords:
depot delivery; PLGA; microparticle; Flash NanoPrecipitation; protein delivery; nanoparticle

Graphical Abstract: