Endosomal Escape of Bioactives Deployed *via* Nanocarriers: Insights Into the Design of Polymeric Micelles

Expert Review Published: 26 May 2022 **39**, 1047–1064 (2022)

Pharmaceutical Research

Aims and scope

Submit manuscript

Adeel Masood Butt, Nabiha Abdullah, Nur Najihah Izzati Mat Rani, Naveed Ahmad & Mohd Cairul Iqbal Mohd Amin

Abstract

Cytoplasmic delivery of bioactives requires the use of strategies such as active transport, electroporation, or the use of nanocarriers such as polymeric nanoparticles, liposomes, micelles, and dendrimers. It is essential to deliver bioactive molecules in the cytoplasm to achieve targeted effects by enabling organelle targeting. One of the biggest bottlenecks in the successful cytoplasmic delivery of bioactives through nanocarriers is their sequestration in the endosomes that leads to the degradation of drugs by progressing to lysosomes. In this review, we discussed mechanisms by which nanocarriers are endocytosed, the mechanisms of endosomal escape, and more importantly, the strategies

that can be and have been employed for their escape from the endosomes are summarized. Like other nanocarriers, polymeric micelles can be designed for endosomal escape, however, a careful control is needed in their design to balance between the possible toxicity and endosomal escape efficiency. Keeping this in view, polyion complex micelles, and polymers that have the ability to escape the endosome, are fully discussed. Finally, we provided some perspectives for designing the polymeric micelles for efficient cytoplasmic delivery of bioactive agents through endosomal escape.

References

1. Pei D, Buyanova M. Overcoming endosomal entrapment in drug delivery. Bioconjug Chem. 2019;30:273–83.

Article CAS PubMed Google Scholar