Pharmaceutical Applications of Nanoparticle Carriers

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24.1 Introduction to Drug Delivery in Pharmaceutics

Once it has been administered, an active principle still has to face many physiological barriers on the way to its target, and this may significantly affect its efficiency. These different barriers depend to a great extent on the active ingredient itself and on the way it is administered. They may be constituted by enzymes, an acidic or basic pH, or cell membranes that must be crossed. As a consequence, the active principle may be degraded or distributed to organs other than the therapeutic target. This can reduce the efficiency of the administered dose, or even lead to toxicity with regard to organs other than the target. For example, this situation is observed in trials for the oral administration of insulin (for treating type I diabetes). One point is that this molecule is weakly absorbed by the digestive epithelium (first barrier). Secondly, it undergoes enzymatic degradation by gastric proteases (second barrier). As a consequence, the free form of the molecule cannot be administered orally. This is why insulin is mainly administered subcutaneously, so that it attains the blood circulation directly. However, such a means of administration requires specific training of the patient. This example shows that lack of efficiency and/or difficulties in using certain molecules are not necessarily due to their pharmacology, but rather in some cases to their physicochemical properties.

Drug delivery involves the use of a vehicle whose role is to transport the molecule to the target, while protecting it and masking its physicochemical properties so that it may pass through physiological barriers. The distribution of the carrier is governed entirely by its own physicochemical properties. This is why active principles that are only weakly soluble in water are particularly relevant to drug delivery. Returning to the insulin example, protecting it by carriers like polymer nanoparticles has led to encouraging results. This may mean that it could be administered by much simpler means, e.g., orally or intranasally [1–4].

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The aim of drug delivery is thus to improve the efficiency of a molecule, while limiting its toxicity. Having encapsulated or bound the active molecule, the main characteristics of the ideal carrier are:

- to protect the active molecule, e.g., from enzyme attack or acid pH,
- to transport the active molecule through cell membranes in cases where its physicochemical properties prevent it from doing so alone,
- to target the region to be treated and concentrate the active molecule there,
- to release the active principle in a controlled way.

To favour the diffusion of carriers through the organism and in the relevant cases to favour their passage through cell membranes, small dimensions are a major asset. This is why we shall be concerned in this chapter with nanoparticle carriers, bearing in mind however that microparticle carriers are also used, in the form of implants, for example. In addition, given the desired pharmaceutical application, the carrier must not exhibit any intrinsic toxicity, whatever means of administration is chosen. And in the field of drug delivery, all administrative routes remain suitable, i.e., cutaneous, oral, ocular, nasal, injection, etc.

Nanoparticle carriers in pharmaceutics belong to the fast-developing field of nanotechnology. For this reason, the delivery of molecules for therapeutic, diagnostic, or control purposes is subsumed under what has recently been called nanomedicine by the National Institutes of Health [5].

In the following, we begin by presenting the various types of nanoparticle carriers and their classification, characteristics, and ability to encapsulate active molecules. Then, in Sect. 24.3, we discuss surface modifications involved in the preparation of the so-called stealth particles and carriers able to deliver an active principle in a specific manner. Finally, in Sect. 24.4, we describe the applications of these carriers, classifying them in terms of the relevant medical speciality.

24.2 Nanoparticle Carriers

24.2.1 The Main Nanoparticle Carriers

Particle carriers are organised assemblies of molecules. These carriers can be classified in terms of their size, from nanoparticles (sizes less than 1 μm) to microparticles (sizes greater than 1 μm). Microparticles with their large dimensions are generally used as implants, or administered via channels other than the veins to avoid any risk of embolisation. These ‘large’ carriers will not be discussed in this chapter. For their part, nanoparticles have the advantage that they can be administered by any desired route.

But size is not the only criterion used to distinguish these particles. They can also be classified in terms of their structure: matrix structure for spheres