Strategies for the nanoencapsulation of hydrophilic molecules in polymer-based nanoparticles

Titre	Strategies for the nanoencapsulation of hydrophilic molecules in polymer-based nanoparticles
Type de publication	Article de revue
Auteur	Vrignaud, Sandy [1], Benoît, Jean-Pierre [2], Saulnier, Patrick [3]
Editeur	Elsevier
Type	Article scientifique dans une revue à comité de lecture
Année	2011
Langue	Anglais
Date	08/08/2011
Numéro	33
Pagination	8593-604
Volume	32
Titre de la revue	Biomaterials
ISSN	0142-9612
Résumé en anglais	Hydrophilic drug delivery still remains a challenge; this either being attributed to the fragility and poor cellular penetration of macromolecules, or to the unsuitable pharmacokinetics and toxicity of small drugs, for instance anticancer agents. By offering more favourable pharmacokinetics and protection of the drug, encapsulation in polymer nanoparticles constitutes an attractive possibility to overcome these problems. This review provides an overview of the strategies that have been developed for encapsulating hydrophilic molecules in polymer-containing nanoparticles, e.g. nanospheres and nanocapsules. Polymer nanospheres are loaded either by drug entrapment (by pH modification, use of reverse micelles or the addition of a polyanion) and generally produce a poor level of entrapment efficiency, or molecule sorption onto the nanosphere surface (by pH modification, use of high drug concentration, or ion-pair formation) with the drawbacks of a less-well protected drug from degradation and a faster drug release. Another strategy consists of the use of aqueous-core nanocapsules, generally surrounded by a thin polymer layer, in which hydrophilic molecules are directly solubilised in internal water, and are thus entrapped within the nanocapsule core, assuring drug protection and sustained release. Nanocapsules require less polymer compared to nanospheres; on the other hand, when the drug is entrapped, it has to be added before or during the formulation process, and is thus likely to be degraded. Overall, drug encapsulation in polymer nanoparticles provides a better pharmacokinetic profile and bioavailability, enhanced anticancer activity, reduced drug toxicity and modified drug distribution as compared to free drugs.
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DOI	10.1016/j.biomaterials.2011.07.057 [5]

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[5] http://dx.doi.org/10.1016/j.biomaterials.2011.07.057