Thiomers, a New Class of Polymeric Excipients

Andreas Bernkop-Schnürch

Institute of Pharmaceutical Technology, University of Vienna, Althanstr. 14, A-1090 Vienna, Austria

Within recent years a new class of polymeric excipients has been introduced to the pharmaceutical literature. Thiolated polymers or so-called thiomers are hydrophilic macromolecules exhibiting free thiol groups on the polymeric backbone. Due to these functional groups various features of well-established polymeric excipients such as poly(acrylic acid) and chitosan are strongly improved:

1. Cohesive Properties

   Thiomers are capable of forming intra- and interchain disulfide bonds within the polymeric network leading to strongly improved cohesive properties and stability of drug delivery systems such as matrix tablets.

2. Mucoadhesive Properties

   Due to the formation of disulfide bonds with mucus glycoproteins thiomers show the strongest mucoadhesive properties of all so far tested polymeric excipients.

3. Enzyme inhibitory properties

   Zinc-dependent proteases such as aminopeptidases and carboxypeptidases are inhibited by thiomers. The underlying mechanism is based on the capability of thiomers to bind zinc ions. This inhibitory effect seems to be highly beneficial for the oral administration of peptide and protein drugs.

4. Permeation enhancing properties

   Thiolated polymers exhibit also a permeation enhancing effect for the paracellular uptake of drugs. The effect is based on a glutathione mediated opening process of the tight junctions.

The efficacy of thiomers could meanwhile be shown in various in vivo studies. Examples are the strongly prolonged residence time of ocular inserts in the human eye utilizing a thiomer as drug carrier matrix [1], the improved oral bioavailability of low molecular weight heparin and calcitonin [2,3] and the increased nasal uptake of human growth hormone by using a drug delivery system containing a thiomer [4].

References

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