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Design of mucoadhesive drug delivery systems

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The mucosal barrier is present at the level of several organs and represents the pathway that limits drug absorption at the level of the underlying epithelial membranes. Many polymers, both natural and synthetic, are known to exhibit mucoadhesive properties and recently it has also been shown that the presence of free thiol groups in the polymer backbone further increases mucoadhesion. (Perrone et al., 2018). The increased capacity of thiolate polymers, called thiomers, is due to the formation of disulfide bonds with the cysteine-rich mucin subdomains of the mucus lining the mucosa. This allows for more pronounced adhesion of the polymer to biological surfaces. Thus, the use of a suitable drug delivery system based on the use of thiolated mucoadhesive polymers can improve the bioavailability of drugs through the mucosal route. Indeed, by increasing the effectiveness of adhesion, and thus the residence time of this drug delivery system on the mucosal layer, the drug concentration at the site of absorption is correspondingly increased. The functionality and efficiency of thiomers can be significantly reduced by the oxidation phenomena of thiol groups, which can influence the interaction with cysteine rich subdomains present on the mucosa, thus limiting their mucoadhesive characteristics. To prevent this phenomenon, S-protected thiomers, characterized by the presence of pyridilic disulphides, have been studied. S-protected thiomers present the advantage that they do not cross-link during storage even in aqueous solution, so they increase the stability of thiols over a large pH range. Moreover, pre-activated thiomers are more reactive than simple thiolated polymers. In a recent study Racaniello et al. used 2-mercaptonicotinic acid, in the dimeric form, to protect thiol groups of thiolated cyclodextrin and obtain a novel nasal mucoadhesive drug delivery system of corticosteroid drug Budesonide. Moreover, it is reported in the literature that the combination of thiol groups and nanoparticulate (NPs) systems offers promising permeation enhancing effects in relation to mucoadhesive and mucus diffusion features, reason why many of the thiolated polymers are used for the formulation of NPs drug delivery systems. Preparation of NPs starting with thiolated polymers include different methods such as nanoprecipitation, spray drying, self-assembly and emulsification techniques (Hock et al., 2021). Once obtained, the thiomer based drug delivery systems must be tested for their mucoadhesive properties. A number of methods have been outlined; mostly commonly used in vitro methods include the use of a rotating cylinder method, rheology, tensile strength tests and flow-through tests.

1) Eur J Pharm Biopharm. 2018 Nov;132:103-111.
2) Int J Pharm. 2021 Jun 15;603:120728.
3) Adv Sci (Weinh). 2022 Jan;9(1):e2102451.

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