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Micellar systems for oral drug delivery

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The Biopharmaceutical Drug Classification Scheme proposed by Amidon et al., (1995), and recently adapted by the US Food and Drug Administration for immediate release products, is based on recognising that drug solubility and gastrointestinal membrane permeability are fundamental parameters controlling the rate and extent of drug absorption.

The presence of food and the co-administration of lipid based vehicles have been shown to enhance oral absorption of many drugs, including peptides. The physiological process involved in the digestion and absorption of fats may be relevant to the absorption enhancement of drugs contained in these lipid vehicles. Bile salts and fatty acids are known to play a role in the absorption of fats by solubilizing the water insoluble products of fat digestion into water soluble aggregates or micelles. Micellar systems have therefore been exploited as vehicles for oral drug delivery.

The mechanisms of absorption enhancement observed with micellar systems are complex and depend on a combination of the physicochemical properties of the drug, the type of surfactant, and, the interaction of the drug and the vehicle with the physiological environment in the gut.

The advantages of micellar systems as drug delivery systems include; increased solubilization of poorly soluble lipophilic drugs (O'Driscoll 1996); potential protection against enzymatic degradation (O'Donnell et al., 1997); and enhanced membrane permeability via increased fluidity, an effect on the paracellular route (Lane et al., 1996), or inhibition of an efflux system (Nerurkar et al., 1996).

Case studies using different model compounds will be reviewed to illustrate the advantages and challenges associated with micellar systems as oral drug delivery formulations.

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