Development and characterization of enteric-coated immediate-release pellets of aceclofenac by extrusion/spheronization technique using kappa-carrageenan as a pelletizing agen...

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In the present study, an attempt was made to prepare immediate-release enteric-coated pellets of aceclofenac, a poorly soluble nonsteroidal anti-inflammatory drug that has a gastrointestinal intolerance as its serious side effect. Formulation of enteric-coated pellets with improved solubility of aceclofenac could address both of these problems. To achieve these goals, pellets were prepared by extrusion-spheronization method using pelletizing agents that can contribute to the faster disintegration and thereby improve the solubility of the drug. Different disintegrants like beta-cyclodextrin, kollidon CL, Ac-Di-Sol, and sodium starch glycolate were tried in order to further improve disintegration time. The pellets were characterized for drug content, particle size distribution, flow properties, infrared spectroscopy, surface morphology, disintegration rate, and dissolution profile. The formulations, which showed best disintegration and dissolution profiles, were coated with Eudragit L100-55, an enteric-coated polymer which does not dissolve at gastric pH but dissolves at intestinal pH, releasing the drug immediately in the dissolution medium. The optimized enteric-coated formulation containing 20% kappa-carrageenan, lactose, and sodium starch glycolate as a disintegrant did inhibit the release of the drug for 2 h in 0.1 N HCl, whereas 87% of the drug was released within 45 min. The improvement was substantial when it was compared with solubility of pure drug under the same conditions. Thus, dissolution profiles suggested that combination of kappa-carrageenan and sodium starch glycolate resulted into fast-disintegrating, immediate-release pellets, overcoming the bioavailability problem of the poorly soluble drug, aceclofenac, and enteric coating of these pellets avoids the exposure of aceclofenac to ulcer-prone areas of the gastrointestinal tract.

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