Comparative pharmaceutical study on colon targeted micro-particles of celecoxib: in-vitro–in-vivo evaluation

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Abstract

In order to target celecoxib which is a COX2 inhibitor, with potentials in the prevention and treatment of colitis and colon cancer, it was formulated as microparticles using the solvent/evaporation method and various pH-dependent Eudragit polymers. The in-vitro evaluation of the prepared microparticles showed spherical and smooth morphology. The encapsulation efficiency and yield were high, indicating that the method used is simple and efficient at this scale. The in-vitro release study showed no release in the acidic medium for 2 h followed by the release of the drug in pH 6.8 in case of Eudragit L100-55 and L100 and pH 7.4 in case of Eudragit S100. The pharmacokinetic parameters were calculated and method validation was performed to insure that it is suitable and reliable. Pharmacokinetic parameters were investigated by determining the Cmax, Tmax, AUC0–t, Kel, and t1/2 of the drug as a suspension and as microparticles. There was a significant difference ($p < 0.05$) in Tmax between the drug as a suspension and as microparticles. The effect of celecoxib on the degree of inflammation was examined on acetic acid induced colitis rat model and the drug was given as a suspension and as microparticles. The evaluation was done using macroscopical, microscopical and biochemical examination. There was a significant difference between the acetic acid control group and the treatment groups regarding all examination criteria in the order microparticles formulated using Eudragit S100 followed by Eudragit L100-55 while microparticles using Eudragit L100 and drug suspension showed almost the same results.

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