Ex vivo mucoadhesion and in vivo bioavailability assessment and correlation of ketoprofen tablet dosage forms containing bioadhesives.

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The purposes of this study were to assess the mucoadhesion and bioavailability and their correlation for ketoprofen tablet dosage forms (F1-F6) containing polycarbophil (PC), sodium carboxymethylcellulose (Na CMC) as bioadhesives, Avicel pH 101 as direct compressible tablet vehicle or mixtures of these, and non compressible vehicles such as lactose and starch. For mucoadhesion assessment, we used sheep gastric mucosa and for bioavailability we used six human volunteers in an open randomized seven-way crossover study. Young's modulus (YM) and relative bioavailability (RB) parameters were used for evaluation of mucoadhesion and bioavailability, respectively. The results indicated that F2 containing Na CMC (72.5%) showed the highest value of YM (7.6 +/- 0.76 pascals) and 119.4 +/- 3.2% for RB. Decreasing the amount of Na CMC to 10% in F3 and F6 decreased the values of YM and RB to 1.4 +/- 0.08 and 84 +/- 2.05 in F3, 4.6 +/- 0.43 and 114.7 +/- 2.46 in F6, respectively. The highest RB (152.3 +/- 2.56) was observed in F5 containing starch and Avicel pH 101. This formulation showed 6 +/- 0.87 for YM. F4 containing PC (10%) showed 5.1 +/- 0.43 and 74.15 +/- 1.98 for YM and RB respectively. The lowest value of YM was observed in F1 containing Avicel pH 101 (0.27 +/- 0.01) which also showed low RB (93.3 +/- 2.3). In conclusion, formulations containing bioadhesives and/or starch in high concentration showed high values of YM and RB which indicate good correlation between mucoadhesion and bioavailability. Bioadhesives may show a high potential to improve bioavailability and therapeutic efficacy of ketoprofen in tablet dosage forms.