

Multiparticulate drug delivery system: Formulation of esmaprazole magnesium oral delayed release pellets

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Multiparticulate drug delivery system creates tremendous opportunity for designing new controlled and delayed release oral formulations with low risk of dose dumping, flexibility of blending to attain different release patterns as well as reproducible and short gastric residence time hence extending the frontier of future pharmaceutical development. The present work was conceived with an objective to study multiparticulate of Esmoprazole magnesium and to study its invitro behavior. The pellets of Esmoprazole magnesium were prepared by drug loading process by using conventional coating pan technique using sugar as base and HPMCE5 as binder solution. The pellets were coated with HPMC E5 and mannitol as barrier coating, mannitol was used as solubliser to give burst release. The pellets were coated with Eudragit L30 D55 or L55100 in order to provide delayed release of drug in intestine. The prepared pellets were evaluated for drug content, friability, particle size analysis, in-vitro disintegration time and drug release study. The pellets were evaluated by differential scanning calorimeter (DSC), powder X-ray diffraction (PXRD) and scanning electron microscopy (SEM) to study the stability of drug in the carrier system. The invitro release studies of developed pellets indicated the delayed release as there was NMT 5% release in acid to ensure or avoid loss of drug in stomach. The result was analyzed by applying factorial design and the formulated pellet release it's all drug in intestine within 1 hour. In conclusion, Faster dissolution was achieved in 6.8 phosphate buffer as like innovator (from literature) to give bioequivalent product and the multiparticulates of Esmoprazole magnesium coated with eudragits were improving bioavailability successfully and can be beneficial for gastritis.

A novel synthesis of hybrid antimicrobial agents containing quinoline-2-pyrazoline based thiazolone core structures

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Emergence of resistant microbial strains towards existing antimicrobial agents is one of the major reasons for search and development of new heterocyclic molecules. In view of this, the synthesis of novel series of structurally related quinoline-2-pyrazoline and 2-thiazolone derivatives was described. A series of novel compounds 2-(5-(2-chloro-8-methylquinolin-3-yl)-3-(aryl)-4,5-dihydro-1H-pyrazol-1-yl)thiazol-4(5H)ones were synthesized by a series of multistep reactions. All compounds were characterized by IR, ¹H-NMR, ¹³C-NMR and mass spectra. Newly synthesized bio-active molecules were tested for their in vitro antimicrobial activity by bioassay namely serial broth dilution, and compounds were evaluated for their in vitro activity against *Escherichia coli* and *Pseudomonas aeruginosa* as Gram-negative bacteria. *Staphylococcus aureus* and *Streptococcus pyogenes* as Gram-positive bacteria. The same compounds were screened for their antifungal activity against *Candida albicans*, *Aspergillus niger* and *Aspergillus clavatus*. Several molecules identified in present study were the most distinctive derivatives because of their remarkable in vitro antimicrobial potency. On basis of statistical analysis, it was observed that these compounds showed significant co-relation. Therefore, such compounds would represent a fruitful matrix for development of antimicrobial candidates.