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Abstract

Review Article

Colonic Drug Delivery of New Approaches

*Rajendra Jangde**.....241

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ABSTRACT:

Article reviews the surge of research focus, potential opportunities and challenges available in new area of colon targeted drug delivery system. Most of drugs are absorbed from upper part of GIT tract. Lack of digestive enzymes and long transit time, has been provided to design colon specific drug delivery system and review is aimed at understanding recent advancements made in multiparticulate formulation approaches, matrix, multilayer and compression coated tablets to reach colon intact to be investigated by approaches for targeting through pH sensitive system, Microbially triggered system i.e., prodrugs and polysaccharide based system, Timed release system, osmotically controlled drug system, pressure dependent release system, programmed pulsatile release system etc., Although oral delivery has become a widely acceptable route of administration, GI tracts presents several formidable barrier to drug delivery. In addition life cycle management, patient compliance, improved stability and optimization of drug absorption process are some key drivers for developing alternative delivery system of drugs.

KEYWORDS: Colon targeted drug delivery, pH sensitive, Time controlled dependent, Pressure controlled, osmotically controlled

Process Validation: An Overview

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ABSTRACT:

Validation is an act of demonstrating a procedure, process, and activity which will consistently lead to the expected results. In pharmaceuticals there are wide varieties of procedures and processes which need to be validated. The validation process consists of identifying and testing all aspects of a process that could affect the final test or product. Prior to the testing of a process, the system must be properly qualified. A properly designed system will provide a high degree of assurance in order to evaluate every step, process and change before its implementation. In this paper, statistical issues, regulatory requirements required for process validation options in drug development are discussed.

KEYWORDS: process validation, cGMPs, pilot scale-up, validation options, statistical issues

Drug Dissolution Enhancement by Salt Formation: Current Prospects

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ABSTRACT:

Salt formation is the most common and effective method of increasing solubility and dissolution rates of acidic and basic drugs. The physicochemical principles of salt solubility and the influence of P^H solubility profiles of acidic and basic drugs on salt formation and dissolution are discussed. The solubility of salts of acidic or basic drugs depends on how easily they dissociate into their free acid or base forms and on interrelationships of several factors, such as intrinsic solubility, P^H, P^{ka}, solubility product and maximum solubility in different dissolution media of varying P^H. The interrelationships of these factors are elaborated and their influence on salt screening and the selection of

optimal salt forms for development are explained. Salt screening is increasingly being adapted to high throughput experimentation, to shortlist the potential salt(s) for a comprehensive biopharmaceutical characterization at the scale up stage. The suitable salt form is then processed to the next stage of drug development.

KEYWORDS: salt formation, counterion, pka, solubility, pH solubility, salt screening.

Research Article

Development of Controlled Release Floating Beads of Ibuprofen using Ionotropic Gelation Technique

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ABSTRACT:

The floating beads of ibuprofen were developed to provide controlled release in stomach. The beads were developed by ionotropic gelation of low methoxy pectin with calcium ions. The drug loading was kept at 25, 50 and 75 %w/w of low methoxy pectin (LMP). Sesame oil was used to provide floating characteristic in 10, 20 and 30 %w/w of (LMP). The beads were cross-linked with 2, 4 and 10 %w/v CaCl₂ solution and further coated with 1 %w/v solution of deacetylated chitosan in 3 %w/v acetic acid. The interaction of low methoxy pectin with calcium ions and deacetylated chitosan was studied by differential scanning calorimetry, x-ray diffraction spectroscopy and FT-IR spectroscopy. The *in-vitro* buoyancy studies were carried in pH 1.2 buffer. The polymeric beads containing 20 and 30 %w/v oil showed excellent floating while beads containing 10 %w/v oil were found to be non-floating. The dissolution studies were carried in pH 1.2 buffer, pH 1.2 buffer containing 1% SLS and pH 6.8 phosphate buffer. A significantly low amount of drug release was observed in pH 1.2 buffer due to limited solubility of ibuprofen in acidic media and faster drug release was observed in pH 6.8 phosphate buffer. A faster drug release was observed in pH 1.2 buffer containing sodium lauryl sulphate.

KEYWORDS: Ionotropic gelation, floating, controlled release, polymeric beads.

Formulation and Evaluation of Oro-dispersible tablets of an Antihypertensive drug using Superdisintegrants

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ABSTRACT:

The purpose of present investigation was to develop fast dissolving tablets of an oral antihypertensive drug Ramipril. Solid dispersion of Ramipril with PEG-6000 was prepared by solvent evaporation technique and oro-dispersible tablets were prepared by direct compression technique. Sodium starch glycolate, Crosspovidone and Crosscarmellose sodium were used as superdisintegrants and Camphor as subliming agent. The prepared tablets were exposed to vacuum drying to produce highly porous tablets. The blends were evaluated for pre-compressive parameters and the prepared tablets were analyzed for post-compressive parameters such as; weight variation, hardness, and friability, drug content, wetting time, disintegration time, *in-vitro* dissolution studies, short term accelerated stability study and drug-excipient compatibility studies.

All formulation showed weight variation and drug content within the acceptable limits. The results revealed that sublimation of camphor from the tablets resulted in highly porous tablets with dispersion time less than 30 seconds and rapid *in-vitro* dissolution. The optimized formulation (F6) showed desired disintegration time and good release profile with maximum drug being released at different time intervals.

It was concluded that oro-dispersible tablets of Ramipril with improved drug dissolution can be prepared by solid dispersions of the drug with PEG-6000 and a combination of superdisintegrants was proved more optimized compare to single superdisintegrant. Camphor can be used to produce highly porous tablets for the ease of quicker disintegration and dissolution. The present work helped in understanding the effect of formulation variables

especially combination of superdisintegrants on the drug release profile, potentials for rapid dispersion, quicker absorption, improved bioavailability, effective therapy and improved patient compliance.

KEYWORDS: Oro-dispersible tablets, Ramipril, PEG-6000, Solid dispersion, Subliming agent, Superdisintegrants.

Development and Evaluation of Propranolol Hydrochloride Floating Matrix Tablets Using Combination of Natural and Synthetic Polymers

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ABSTRACT:

In the present investigation, an attempt was made to formulate Propranolol hydrochloride sustained release floating matrix tablets using dried *Hibiscus rosa-sinensis* leaves mucilage and to study its release retardant activity in combination with hydroxypropyl methyl cellulose grades. Different floating matrix tablets of Propranolol HCl were formulated. The floating matrix tablets found to have better uniformity of weight, hardness, friability and drug content. The swelling behavior, release rate characteristics and the *in-vitro* dissolution study proved that the dried *Hibiscus rosa-sinensis* leaves mucilage can be used as a matrix forming material for preparing sustained release floating matrix tablets. The release rate followed zero-order release kinetics and the data was fitted in the Peppas plots. The exponential coefficient from the Peppas plots was found to be in between 0.55 to 0.64, indicating non-fickian mechanism of drug release.

KEYWORDS: *Hibiscus rosa-sinensis* leaves mucilage, Gastric residence time, Propranolol hydrochloride, Floating drug delivery, Hydroxypropyl methyl cellulose.

Enhancement of Bioavailability of Diazepam IP by Using Different Surfactants

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ABSTRACT:

Surface active agents are most widely used in Pharma industry and are having multiple roles due to its versatile nature. In the present study, Drug surfactant delivery systems (DSDS) are prepared of the diazepam. Four different surfactants are used for preparing drug surfactant delivery systems at the two different concentrations of each, brij - 30 (0.01%, 0.05%), Cetomacragol-1000 (0.01%, 0.05%), Ethoxylated cardanol-C25 (0.01%, 0.05%) and Ethoxylated cardanol-C30 (0.01%, 0.05%). Tablets are prepared with these DSDS. Evaluation tests of the tablets were done like hardness, weight variation, friability, content uniformity, disintegration test, dissolution test and stability studies.

Evaluation tests showed that the formulations having drug along with Ethoxylated cardanol-C25 and Ethoxylated cardanol-C30, were found to be the best formulations with more than 90% release data due to higher hydrophilicity and good surface tension lowering ability of the surfactants.

KEYWORDS: Drug surfactant delivery system, diazepam, surfactant

Preparation of Diclofenac Diethylamine Nanoemulsions by Ultrasonication-Stability and Process Parameter Evaluation under Various Conditions

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ABSTRACT:

In this study, oil-in-water nanoemulsions of Diclofenac Diethylamine were produced by Ultrasonication. The influence of emulsifying conditions including emulsifier type and concentration, homogenization pressure, temperature, cycle, on time, off time and total time on the properties and stability of the nanoemulsions were investigated using a Zetasizer. The mean diameters (z-average) of the dispersed particles containing Diclofenac Diethylamine ranged from 50.57 to 154.9 nm and the polydispersity index ranged from 0.318 to 0.719 and the zeta potential ranged from 19.2 to 35.3. The nanoemulsions produced with Tween-80 had the smallest particle sizes and narrowest size distribution. The particle sizes decreased with increases in homogenization pressure and cycle, and also with temperature up to 40°C. The physical stability of the nanoemulsions increased with the elevation of temperature up to 40° C, with pressure up to 200 MPa and homogenization cycle (up to three cycles).

KEYWORDS: Nanoemulsion; Diclofenac Diethylamine, Ultrasonication; Particle size; Zetasizer;

Effect of Hydrotropes and Physical Properties on Solubility of Glibenclamide

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ABSTRACT:

The aim of present study was to increase the solubility of glibenclamide in water by hydrotropic solubilization. Sodium acetate, sodium citrate, sodium salicylate and sodium benzoate were used as the hydrotropic agents. In order to elucidate the probable mechanism of solubilization, the solution properties such as surface tension, viscosity, specific gravity were studied. Sodium salicylate was found to be the most suitable hydrotropic agent to increase the solubility of glibenclamide. The solubility of Glibenclamide by various hydrotropes was in decreasing order of sodium salicylate>sodium acetate>sodium benzoate> sodium citrate. Initial increase in solubility of Glibenclamide was due to the weak ionic interactions between the hydrotropes and glibenclamide molecules, multifold increase in solubility at higher concentration of hydrotrope was due to molecular aggregation.

KEYWORDS: Glibenclamide, solubility enhancement, hydrotropic agents.

Formulation and In Vitro Assessment of Controlled Release Matrix Tablets of Abacavir

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ABSTRACT:

The main objective of the present work was to develop controlled release matrix tablets of abacavir using different polymers namely hydroxy propyl methyl cellulose (HPMC), polyethylene oxide, pharmatose DCL 21, microcrystalline cellulose. Varying ratios of drug and polymer were selected for the study. The tablets were prepared by direct compression and wet granulation method. After evaluation of physical properties of tablet like hardness, friability, thickness, weight variation, the in vitro release study was performed by using USP type 1 dissolution apparatus in pH 6.8 phosphate buffer for 14 h. The effect of polymer concentration and polymer blend concentration were also studied. Release kinetics of abacavir matrix tablets were done by zero order, first order, Higuchi square root studies. The matrix tablets prepared with combination of HPMC K 100 M and PEO, showed slower release pattern when compared the matrix tablets prepared with HPMC K 100 M alone is clear indication of the drug release over a prolonged period. The DSC and FTIR study revealed that there was no chemical interaction between drug and excipients.

KEYWORDS: Abacavir, HPMC, polyox, pharmatose, aerosil, controlled release.

Design and Development of Bilayer Floating Tablets of Diltiazem Hydrochloride

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ABSTRACT:

Diltiazem hydrochloride is a calcium channel blocker which undergoes extensive hepatic first pass metabolism by liver; its absorption from upper part of GIT is very low and has poor oral bioavailability of 40% - 60%. In the present investigation Diltiazem Hydrochloride was formulated as a bi-layer floating tablets in order to achieve the Gastric residence time and to minimize the fluctuations in blood level i.e the drug was released from the SR layer. Bilayer floating tablets were prepared by wet granulation method. Immediate release layer was formulated by using various superdisintegrants such as sodium starch glycolate, croscarmellose sodium and crospovidone and sustained release layer was formulated by different grades hydrophilic polymers i.e. HPMCK4M, HPMCE5 and HPMCK100M. The drug- excipient compatibility studies were conducted by IR spectroscopy. The tablets were evaluated for weight variation hardness, friability, drug content, swelling index, *in-vitro* buoyancy studies and *in-vitro* dissolution studies. The drug was released from an immediate release layer was 20mins followed by sustained release layer for 12hrs. The dissolution data were fitted into zero order, first order, Higuchi and Peppas mechanism. The drug release from the formulation F₂₀ followed first order kinetics and exhibited Peppas transport mechanism.

KEYWORDS: Diltiazem Hydrochloride, SSG, Croscarmellose sodium, Crospovidone, HPMCK4M, HMCE5, HPMCK100M.
