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Studies on floating dosage forms of Aspirin

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It is known that the shortness of the staying duration of the active material released from oral preparations, in the region that they are absorbed specifically in the gastrointestinal(GI) tract leads to bioavailability problems. Thus in order to prolonge the passage time of the preparations from gastrointestinal tract development of floating dosage forms it may remain on the content of stomach because of their lower density then that of stomach. Aspirin is active material having analgesic, antipyretic, anti-inflammatory and antithrombotic effects and causes gastric irritation. In this study, it has been aimed at floating dosage forms of aspirin in the dose of 100 mg for the purpose of antithrombotic use, thus, to prevent gastrointestinal irritations arisen from aspirin and to increase bioavailability through extending the time remain it in the stomach. For this purpose, it has been prepared the floating aspirin tablets consisting of two layers. The first layer provides floating and contains effervescent mixture (sodium bicarbonate and citric acid) and hydroxypropylmethyl cellulose 400 while the second one, the release layer, provides controlled release of active material and contains aspirin and hydroxymethyl cellulose 100 as hydrophilic matrix material. In this study, first of all, the appropriate disolution test method has been determined and then, the effects of the type and the amounts of polymers and additives used in the release layer and the compression forces applied to the tablets, on the release of active material have been examined.

Sustained Release Formulation of Dipyridamole- Alginate Microspheres and Tabletted Microspheres

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Preparation of dipyridamole(DIP) alginate microspheres with different methods or incorporation of tragacanth, pectin, or Eudragit L-100 55 in alginate microsphere formulations not provide a prolonged release of DIP in pH 1.2. But tabletted microsphere formulations containing alginate, tragacanth, pectin, carrageenan, sodium carboxymethyl cellulose, sodium starch glycolate or Eudragit L-100 55 as diluent in different ratios, produced tablets with good physical properties and could prolong DIP release in pH 1.2. The type, viscosity and ratio of diluent polymer were found as important factors for the release of DIP in 0.1 N HCl.

Diffusion studies from the rabbit skin ex vivo technique on the ketoprofen transdermal preparations based on carrageenan

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Carrageenan has the common structural feature of being linear polysaccharides built up of alternating 1,3-linked β -galactopyranosyl and 1,4-linked α -D-galactopyranosyl and 1,4-linked α -D-Galactopyranosyl units. It is used commercially as thickening, suspending and gelling agents.

The main purpose of this study was to investigate the suitability of κ -carrageenan, a natural polymer with gellation property, for the preparation of matrix type transdermal formulations. Ketoprofen; a nonsteroidal anti-inflammatory agent, was used as a model drug. PEG 400 and alcohol as penetration enhancer, Tween 80 as a surface active agent and TiO_2 and formaldehyde as the agent retarding the release of the drug were chosen.

In our previous study, thickness and physical properties of the formulations were examined by macroscopic control, these structure features were investigated by the SEM technique. Ketoprofen assay was carried out spectrophotometrically. In vitro release of ketoprofen were made by using the Apparatus 3 suggested for transdermal therapeutic systems in USP XXII. In this study, the diffusion of ketoprofen from the formulations was investigated by ex vivo technique. The release data were evaluated kinetically, and zero order, first order and Higuchi kinetics were applied. The best fit was found with Higuchi model. The results obtained through in vitro and ex vivo release studies were in agreement.

Microemulsions and Liquid Crystals Containing BRIJ 96

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The aim of our investigation is to develop skin compliant microemulsions and liquid crystals for controlled drug delivery systems. Our microemulsions and liquid crystals contain a specific non-ionic surfactant which may act as a penetration enhancer, and which is the least irritating type.

Our work was based on systems containing Brij 96 (ICI surfactant)- glycerol- oil and water. The structures of samples were investigated with polarized light using Leica Q 500 MC Image Processing and Analysis System and simultaneously rheological measurement was carried out by Paar Physica Programmable Rheometer. Thermoanalytical observation was done by Derivatograph-PC with Paulik System. We wanted to determine how the phase behavior and phase stability are influenced by the ratio of microemulsion and liquid crystal components.

We have studied drug release Hanson cell. Our specific aim has been to study the effect of different microemulsion and liquid crystal compositions and drug solubility on the process of drug release. Summarizing our experimental work we can claim that microemulsions and liquid crystals we have investigated can serve as possible transdermal controlled drug delivery systems.

Albumin Microspheres as a Drug Delivery System for Dexamethasone: Preparation and In vitro Evaluation

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Microspheres containing Dexamethasone (DXM) were prepared from bovine serum albumin using an emulsion method with thermal crosslinking of the protein. Numerous variables which could affect the size of albumin microspheres (AM) were evaluated and an optimal method microsphere preparation presented. AM are used as a drug delivery system to provide sustained release of DXM in vitro. Four samples of AM with DXM prepared by varying crosslinking time - 30, 60, 120 and 180 min were tested to examine the influence of heat crosslinking degree on the in vitro drug release and the location of DXM in the carrier - absorption onto the particle surface or inclusion in the microsphere matrix. Release rate of DXM was slower in the samples with a longer time of the high temperature denaturation.

Formulation of Controlled-Release Dosage Form with ISDN.

1. Physicomechanical Properties of Pellets Containing ISDN.

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Pellets are contemporary oral medicinal form, consisting of a number of small spherical particles which ensures creating of controlled - release systems.

The present communication aimed to study the influence of some technological factors on the formulation of a pellet nucleus containing ISDN. The following physicomechanical characteristics were studied: form, size, particle size distribution, specific surface, and pellet-nucleus porosity, depending on the rate of extrusion and spheronization. These characteristics are important for the formulation of pellet dosage form.

Development and Evaluation of Oral Mucosal Adhesive Paste

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The present study is intended to develop a new oral mucosal adhesive paste aimed at solving some problems in treatment of ulcero-erosive processes. The paste has a number of advantages, including: very good adhesion to oral mucosa ensuring a prolonged local action of the healing ingredients; prevention from mechanical irritation of ulcer-erosive lesions that makes for faster mucosa epithelization; local analgesic effect; handy application.

We developed the adhesive paste on the base of carboxyvinyl polymer dispersed into oleogel, combined with other polymers, such as: hydroxypropylmethyl cellulose, hydroxypropyl cellulose, pectin, etc. As a carboxyvinyl polymer we used Carbopol 934 P (3 000 000 Dalton average molecule weight).

After application to a lesion in the oral cavity, the adhesive paste immediately absorbs water, swells and sticks tightly to the oral mucosa forming a thick uniform protective film.

In vitro and in vivo evaluation of the muco-adhesive properties of the paste was carried out by assessing the time for complete wash-away of a definite quantity of the paste, compared to Solcoceryl (Solco Basle Ltd, Switzerland) dental adhesive paste.

In vitro investigations were performed using original test with an artificial lipid membrane. It was shown that the wash-out time was 150 ± 5 min for our paste, and 80 ± 3 min for the Solcoceryl paste.

In in-vivo experiments on healthy volunteers the adhesive paste retention time on oral mucosa was proved to be more than an hour (65 ± 6 min). The respective value for Solcoceryl paste was 40 ± 7 min.

So developed adhesive base can incorporate various types of therapeutic agents having anti-inflammatory, anti-allergic and analgesic effects.

Our subsequent investigations showed a definite therapeutic effect of sodium cromoglycate and benzocaine incorporated into the adhesive base. Sodium cromoglycate had expressive anti-allergic and antiseptic actions. Incorporated benzocaine ensured fast local anesthetic effect. Their therapeutic actions continued up to complete wash-out of the paste from the oral mucosa.