

*IPORS'98*



**POSTER PRESENTATIONS  
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PDD SESSION**

## Formulating A Modified – Release Dosage Form By Using A Novel Aqueous Dispersion Coating System, Surelease

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Diltiazem HCl has gained acceptance in the treatment of various cardiovascular diseases. None of the conventional formulations are able to keep the plasma concentration of diltiazem in the therapeutic range for a long time because of its short biological half-life, and repeated administration of conventional formulations will produce too many peaks and valleys in the plasma concentration versus time profile, as well. Hence, the initial focus of our research was to formulate an extended release dosage form by using an aqueous colloidal dispersion which has advantages over the organic solvent-based systems. Pellet, multiparticulate dosage form, was manufactured by a bottom spray coating process in a Wurster column. Active ingredient was layered onto the non-pareil seeds and coated with aqueous ethyl cellulose dispersion. Formulation parameters; coating level and incorporation of a pore-forming agent were investigated. Low coating levels and increased amount of HPMC in the formulation, increased the release rate of the drug. Particle size distribution of the coated pellets was determined by sieve analysis. The narrow size distribution of the pellets showed the high fluid-bed process efficiency. The effect of the pH of the dissolution medium and the particle size of the pellets on the release rate of drug was also evaluated. Pellet morphology before and after dissolution was investigated with SEM and optical microscope.

## Prolonged Release of Hydrochlorothiazide From A New Swellable Polymer Matrix

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The release of hydrochlorothiazide, a thiazide diuretic from 8 or 10% (w/w) of a new water soluble cellulose derivative (SCD-LVG) was investigated in vitro in 0.1 N HCl (pH 1.2) and in simulated intestinal fluid without pancreatin (SIF, pH 7.59). THA systems investigated was granules of hydrochlorothiazide of size fractions 0.425 to 1.0 mm prepared with SCD-LVG and encapsulated in hard gelatin capsules No. 2. The performance of SCD-LVG as a prolonged release matrix for hydrochlorothiazide was compared with methylcellulose and carboxymethylcellulose (low viscosity grade) in the same experimental conditions. The newly deriyed water soluble cellulose derivative was superior to methylcellulose but slightly inferior to carboxymethylcellulose in prolonging the release of hydrochlorothiazide from the SCD-LVG matrices was of mixed order with the diffusion controlled release kinetics occurring to a greater extent.

## Preparation And Evaluation of Pectin beads

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Multiparticulate drug delivery systems containing ketoprofen and propranolol hydrochloride were prepared by dropping drug containing solutions of pectin into zinc acetate solutions. The droplets instantaneously formed gelled spheres by ionotropic gelation method. Ketoprofen (water insoluble drug) and propranolol hydrochloride (water soluble drug) were used as model drugs. The influence of formulation variables (drug concentration, zinc acetate concentration, and inner phase/outer phase ratio) on the physical characteristics and release behavior of the beads were also investigated. Different pectin beads containing ketoprofen were spherical, the mean particle sizes of different formulations were between 0.869-1.034 mm and the encapsulation efficiencies were between 51-78%. Pectin beads containing propranolol hydrochloride were also spherical, with mean particle sizes between 0.810-0.852 mm and encapsulation efficiencies of 12-29%.

## Some Preliminary Studies On A Drug For Herpes Labialis

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The present announcement concerns to antiviral drug Antitherpin cream (NIHFI Co.) being a combination of active substances. It posses wide spectrum of action at diseases caused by Herpes Simplex Virus (type 1 and 2) and Varicella Zoster Virus, effectively inhibiting the viral replication and decreasing the risk of viral resistance creation.

The synergetic effect due to momensin and acyclovir or their derivative, basilica oil and conventional cream excipients is discussed.

Pharmaceutical, toxicological preclinical studies done show that the therapeutical effect depends on the early start of the therapy.

It is found that no herpes lesions are developed if the therapy is started in the prodromal period of the disease.

The preliminary clinical and laboratory data could be concluded that due to the combined specific mechanism of action of the active compounds monensin, acyclovir and basilica oil are influenced the process of the viral replication. Therefore, the antiviral drug could ensure strong and therapeutical effect without risk of resistance creation when administered in such dosage form.

# Acetophthalate Cellulose Aqueous Dispersions As Carriers For Long Acting Pilocarpine

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The aim of this work is to include the anti-glaucoma drug pilocarpine in dispersions of acetophthalate cellulose (CAP) in order to prolong the action of ophthalmic formulations. The aqueous "pH-dependent" dispersions of CAP, forming "in situ" gel in the eye (pH 7.2 – 7.4) were investigated. The influence of poly(oxyethylene), poly(oxypropylene) co-polymers (Pluronic R) on the stability of the CAP dispersions, the particle size and the viscosity was studied.

The pharmacological and toxicological investigations were carried out on rabbit eyes. The dispersions of CAP were estimated as non-irritating and showed good bio-compatibility. They could be applied in eye drops.

The dispersions, containing 2% pilocarpine showed substantial miotic response and reduced intra-ocular pression for a period of 24 hours compared to conventional eye drops.

## PREPARATION OF DICLOFENAC SODIUM MATRIX TABLETS

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Diclofenac sodium (DS) is an anti-inflammatory, analgesic agent. 75-150 mg of DS is used daily in two or four divided doses. Whereas sustained release matrix tablets containing 100 mg DS is used once a day. In this study, matrix tablets containing 100 mg DS with 20 % and 30 % hydroxyethylcellulose (HEC) as the polymer were prepared by direct pressure technique. In order to prevent the gastric disturbance of DS to stomach, tablets were coated with Eudragit L100 solution and physical characteristics were determined. In vitro dissolution tests in pH 6.8±0.05 phosphate buffer were performed according to USP and results obtained were compared with sustained release DS tablets marketed in Turkey.

Results have shown that formulation prepared with 20 % HEC (Formula A) released 46.72 % DS whereas formulation prepared with 30 % HEC (Formula B) released 45.85 % DS in 8 hours which indicated that usage of 20 % polymer were sufficient. These results showed similarities with sustained release market preparations containing 100 mg.