

EUROPEAN JOURNAL OF
PHARMACEUTICAL
SCIENCES

Official Journal of the European Federation
for Pharmaceutical Sciences

Volume 11/Supplement 1 (2000)



Elsevier
Amsterdam — Lausanne — New York — Oxford — Shannon — Tokyo

phate buffer. Chitosan gel base (2%) was prepared by dispersing chitosan in 1% lactic acid. Liposome suspension was then blended homogeneously with chitosan gel. This mixture was poured into glass cells. Glass cells were incubated at 37°C and 1 ml of solution was removed at various time points. Released 5-FU was determined spectrophotometrically at 266 nm.

The release of liposomal 5-FU from the chitosan gel followed a time relationship with about 40% of 5-FU being released from the chitosan matrix in 24 h. In the same period, about 80% of 5-FU being released from the matrix.

PO-87 THE EFFECT OF RHEOLOGICAL BEHAVIOR OF THE FORMULATION ON THE RELEASE AND PERMEATION RATE OF THE ACTIVE SUBSTANCE

K. Welin-Berger¹, J. Neelissen¹, B. Bergenstahl²

¹AstraZeneca, SE-151 85 Södertälje, Sweden; ²Department of Food Technology, SE-221 00 Lund, Sweden

The objective of this study is to investigate how different types of polymers at different concentrations affect the release and permeation rate of the active substance through synthetic membrane and intact skin, respectively.

A submicron o/w emulsion containing a local anesthetic substance, was investigated in presence and absence of different polymers, CMC, Carbopol 934P, PEG400 or PEG4000. Various concentrations of the polymers were used in order to produce different rheological behavior. The amount of drug, which passes through the membrane, was measured as a function of time, using static diffusion cells with either Silastic® sheeting 500-1 or guinea pig skin as membrane. The emulsion without polymer was used as reference. Rheological measurements were performed giving the viscosity and yield value of the formulations. Finally, theoretical values for diffusion coefficient and diffusion pathways were estimated and compared with the experimental data to discuss different diffusion models.

Rheological behavior of the formulation, other than Newtonian, affected the release rate of the drug significantly. Topical formulations require a certain consistency in order to result in good patient compliance. Theoretical estimation indicates that in order for a topical formulation to stay in place, a yield value of about 50 Pa is necessary when it is applied as a 5 mm thick layer. On the other hand, yield values ≥ 40 mPa are sufficient to prevent convective movement of the droplets in the emulsion, and thus, decrease the release rate of the active substance. The permeation rate of the drug was not affected in the same level by the rheological behavior of the formulation. However, a significant decrease in permeation rate was measured at viscosity ranges suitable for topical administration. This may of course be a limitation where a fast onset of action is required.

PO-88 TEICOPLANIN A GLYCOPEPTIDE ANTIBIOTIC: STABILITY AND MICROBIOLOGICAL EVALUATION

İ. Yenice¹, S. Çaltı¹, S. Kaş¹, M. Özalp², M. Ekizoglu², A.A. Hıncal¹

Departments of ¹Pharmaceutical Technology and ²Pharmaceutical Microbiology, Hacettepe University, Faculty of Pharmacy, 06100 Ankara, Turkey

Teicoplanin is a recently introduced glycopeptide antibiotic used for the treatment of a variety of aerobic and anaerobic Gram positive infections. As the development of a biodegradable and implantable delivery system containing teicoplanin for the localized treatment of osteomyelitis which is an inflammatory bone disease was the aim, the stability of the antibiotic should be maintained during the implantation period. Advantages of localized biodegradable therapy can be stated as high local antibiotic concentration at the site of infection as well as preventing the need of the removal of the implant after the treatment. Therefore, in this study, the stability of teicoplanin was investigated by a short-term stability test. For this purpose, accelerated stability studies for a six month period were performed. During the stability studies, the temperature was kept at $40 \pm 2^\circ\text{C}$ and the relative humidity was $75 \pm 5\%$.

Antibacterial activity of the samples was determined by broth microdilution method according to the National Committee for Clinical Laboratory Standards. *Staphylococcus aureus* ATCC 25923 was used as a reference strain. Results were expressed as minimal inhibitory concentration (MIC, $\mu\text{g/ml}$) values. To determine the growth inhibition zones of the samples, agar diffusion method was used. The samples were pipetted into the wells cut in the agar plates. The diameters of the inhibition zones were measured in millimetres.

At the end of the 3rd month of the study, 93.10% of the activity of teicoplanin was determined to be lost. An increase in MIC values was observed due to the time beginning at the 6th week.

PO-89 EFFECTS OF STORAGE CONDITIONS ON THE PHYSICAL AGING OF POLYVINYLPIRROLIDONE: COMPARISON OF ENTHALPY RELAXATION AND POSITRON LIFETIME DATA WITH THE TENSILE STRENGTH OF TABLETS

R. Zeikó¹, F. Kiekens¹, J.P. Remon², K. Süvegh³

¹Pharmaceutical Institute, Semmelweis University, Högyes E. Street 7, H-1092 Budapest, Hungary; ²Laboratory of Pharmaceutical Technology, Ghent University, B-9000 Gent, Harelbekestraat 72, Belgium; ³Department of Nuclear Chemistry, Eötvös Loránd University, Hungary

Physical aging in polymeric systems is the term used to describe the time dependency of changes in the behaviour of an amorphous polymer held at temperatures below the glass transition. Volume relaxation and enthalpy relaxation are