CHAPTER I

INTRODUCTION

Cephalexin is a semisynthetic cephalosporin antibiotic. Cephalexin is commercially available as monohydrate. Based on its spectrum activity, cephalexin is classified as a first generation cephalosporin (Mc Evoy, 1992). Like other first generation cephalosporins, cephalexin is active against many gram positive aerobic cocci but has limited activity against gram negative bacteria. Cephalexin is administered orally. The usual adult dosage of cephalexin is 250 mg every 6 hours so the problem about compliance of patients also exists.

Cephalexin is fairly acid stable and much more susceptible to hydroxide ion catalysed degradation. Hydrolysis of cephalexin was measured by the loss of the characteristic ultraviolet absence at 261 nm due to the beta-lactam bond. First order plots were obtained and the pseudo-first order rate constant were determined (Yasuhara, 1977). The problem of its stability is shown.

Because of all the problems about cephalexin, a survey of microencapsulation of cephalexin was begun. There has been reported about microencapsulation of cephalexin by using various type of HPMCAS as the wall materials. Good sustained release of cephalexin were obtained both in vitro and in vivo studies (Nagai, Sekigawa and Hoshi, 1989).

In this study, the ethylcellulose (10 cps), Eudragit RL 100® and Eudragit RS 100® were used as wall materials. Three method of microencapsulation techniques, coacervation, spray-drying and fluidization, were done for preparing cephalexin microcapsules. The comparison of their release between those wall materials and between those of microencapsulation techniques have all been investigated.

A purpose of this study is to prepare sustained release cephalexin microcapsules from the appropriate membranes by using spray drying, fluidization and coacervation techniques. The appropriate membranes were selected from the results of the investigation of the release rate of cephalexin through ethylcellulose membranes and acrylate-methacrylate copolymer membranes. After preparing microcapsules, the characteristics of the release rate of cephalexin from microcapsules which prepared from various polymers, various core to wall ratios and the microencapsulation techniques were investigated.