UNIVERSITI TEKNOLOGI MARA

STUDY ON VARIOUS PARAMETERS ON THE IBUPROFEN AND ASPIRIN LOADING WITH PLGA MICROPARTICLES

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ABSTRACT

The purpose of incorporation PLGA (Poly lactic-co-glycolic acid) polymer is to prolong the action NSAIDs of choice (ibuprofen and aspirin) preparations in order to diminish the number of consumption for its analgesic effects and thus facilitate their usage. The purpose of this study was to investigate the effect of solution temperature, PVA concentration and PLGA: drug ratio on drug loading and drug entrapment efficiency. Other than that, this study also was conducted to investigate the difference in morphology of hydrophilic drug and hydrophobic drug entrap in microparticles and determine the thermal properties of microparticles with drug. The drug loading of ibuprofen and aspirin in the samples was determined through calculation of drug content percentage and drug entrapment percentage calculation. The concentration of ibuprofen and aspirin was crosscheck with UV analysis using UV spectrophotometer. The surface morphology of the samples was determined using scanning electron microscope (SEM). Thermal analysis of the PLGA microparticles and PLGA drug loaded microparticles were determined by using differential scanning calorimetry (DSC). Drug loading results show high drug loading with high ratio of PLGA used, lower solution temperature and high polyvinyl alcohol percentage as the surfactant in the microparticles preparation. Glass transition temperature of PLGA is around 52.3°C and incorporation of drug in the PLGA microparticles shifts the glass transition temperature to the right or higher temperature. Morphology of the PLGA ibuprofen loaded show spherical shape but with much lower size than PLGA drug free microparticles.

CHAPTER 1

INTRODUCTION

1.1 Background of the study

Rheumatoid arthritis (RA) is one of the most common chronic diseases, affecting around 0.5–1% of the population. The medication used for its treatment includes non-steroidal anti-inflammatory drugs (NSAIDs), slow-acting anti-rheumatic drugs (hydroxychloroquine, gold and methotrexate) and corticosteroids (Cohen et al., 2001). These medications are not always sufficiently effective. For this reason, in several cases, they must be administered for long term and multiple doses. Nevertheless, the long term administration of NSAIDs such as ibuprofen and aspirin may generate serious adverse effects such as stomach ulceration and risk of anaphylactic reaction (Steinmeyer, 2001 and Karsh and Yang, 2003).

Taking into account that ibuprofen and aspirin are NSAID agent commonly used in the management of chronic rheumatoid arthritis, the development of a biodegradable ibuprofen system, based on microparticles, and should be of great interest. Nevertheless, previous studies indicates that it shows a high initial burst when formulated as microspheres (Fernandez- Carballido et al., 2004)

The poly (lactide-co-glycolide) (PLGA) has been studied extensively as a polymeric carrier for biodegradable microparticles. By encapsulating the drug in a PLGA matrix