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Fabrication and Characterization of Azithromycin-loaded Niosomes for Periodontitis Treatment

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Abstract. Azithromycin (AZM) is a potential drug for periodontitis treatment, but its poor water solubility could be problematic for local delivery to periodontal tissues. Entrapping AZM, which is a hydrophobic drug into niosomes, could effectively deliver drugs to the target site. This study aimed to design and fabricate azithromycin-loaded niosomes (NAZ) with desirable properties for intra-periodontal pocket administration. Span 60 and cholesterol were used to prepare niosomes with modified reverse phase evaporation method. NAZ were characterized and the effects of niosome composition were investigated. *In vitro* release and cell viability were evaluated. The results of this study indicated that with the specific ratio of Span 60 and cholesterol, the particle sizes of niosomes were in nano-sized (319 nm) with optimal zeta potential (-39.57 mV). Controlled release of AZM was achieved with release kinetic followed zero order model. NAZ exhibited low toxicity as cell viability was comparable to negative control.

Introduction

Periodontitis is a bacterial infection disease of tooth supporting structure or the periodontium. The invasion of periodontal pathogens triggers defensive responses of host immunity. Although the host aims to eliminate pathogens, it also causes collateral damage to adjacent periodontal tissues such as connective tissue of gingiva and alveolar bone [1]. Destruction of periodontium initiates periodontal pocket formation. Periodontal pocket is deepened of gingival sulcus due to alveolar bone loss. This unique pathologic feature is unable to maintain health by the patient's self-cleaning leads to disease progression [2]. Severe stage of periodontitis results in multiple teeth loss which affects patient's quality of life.

Several antibiotics have been formulated as the local drug delivery for adjunctive periodontitis treatment. Direct application of drugs to the pocket would reduce undesirable side effects of systemic antibiotics [3]. Azithromycin (AZM) has been used as the adjunctive drug for periodontitis treatment because of its high susceptibility against major periodontal pathogens such as *Aggregatibactor actinomycetemcomitans, Porphyromonas gingivalis, Prevotella intermedia* [4]. However, local delivery of AZM could be problematic because of poor water solubility [5]. This would negatively affect bioavailability of drugs in the periodontal tissues.

Niosomes are bilayer vesicles self-assembled by the nonionic surfactant. Vesicular systems of niosomes are useful to entrap hydrophobic drugs and send to the target site [6]. Loading azithromycin into niosomal vesicles could efficiently deliver drugs to the periodontal tissues. It was discovered that pathogens not only colonize in periodontal pocket but also infiltrate into the connective tissue of gingiva [2]. However, there have been no studies aimed to develop niosomal formulation which deliver AZM to eliminate bacteria residing in the periodontal tissues. Therefore, the objective of this study was to design and fabricate azithromycin-loaded niosomes (NAZ) to achieve desirable properties for periodontitis treatment, evaluate the effect of surfactant and cholesterol to the particle size, zeta potential, entrapment efficiency. Release kinetic and cell viability were investigated by *in vitro* models.