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4-Allylpyrocatechol Loaded Polymeric Micelles for Solubility Enhancing and Effects on Streptococcus mutans Biofilms

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Abstract : Piper betle has been extensively reported for various pharmacological effects including antimicrobial activity. 4-Allylpyrocatechol (AC) is a principle active compound found in P. betle. However, AC has a problem of solubility in water. The aims of the present study were to prepare AC loaded polymeric micelles for enhancing its water solubility and to evaluate its anti-biofilm activity against oral phathogenic bacteria. AC was loaded in polymeric micelles (PM) of Pluronic F127 by using thin film hydration method to obtain AC loaded PM (PMAC). The results revealed that AC in the form of PMAC possessed high water solubility. PMAC particles were characterized using a transmission electron microscope and photon correlation spectroscopy. Determination of entrapment efficiency (EE) and loading capacity (LC) of PMAC was done by using high-performance liquid chromatography. The highest EE (86.33 ± 14.27 %) and LC (19.25 ± 3.18 %) of PMAC were found when the weight ratio of polymer to AC was 4 to 1. At this ratio, the particles showed spherical in shape with the size of 38.83 ± 1.36 nm and polydispersity index of 0.28 ± 0.10. Zeta potential of the particles is negative with the value of 16.43 ± 0.55 mV. Crystal violet assay and confocal microscopy were applied to evaluate the effects of PMAC on Streptococcus mutans biofilms using chlorhexidine (CHX) as a positive control. PMAC contained 1.5 mg/mL AC could potentially inhibit (102.01 ± 9.18%) and significantly eradicate (85.05 ± 2.03 %) these biofilms ($p < 0.05$). Comparison with CHX, PMAC showed slightly similar biofilm inhibition but significantly stronger biofilm eradication ($p < 0.05$) than CHX. It is concluded that PMAC can enhance water solubility and anti-biofilm activity of AC.

Keywords : pluronic, polymeric micelles, solubility, 4-allylpyrocathecol, Streptococcus mutans, anti-biofilm
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