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Nano-enabled liposomal mucoadhesive films for enhanced efavirenz buccal drug delivery

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ABSTRACT

Buccal films (BFs) were prepared using a solvent casting method using the liposomal suspension as the dispersing medium. Optimization of some physical properties of the films containing different amounts of polymers was done using digital Vernier calliper and digital weighing balance. The physiochemical properties of the best optimized properties were characterized using Differential scanning calorimetry (DSC), X-ray diffraction (XRD), Fourier transfer infrared spectroscopy (FTIR), Transmission Electron Microscopy (TEM), Energy dispersive X-ray spectroscopy (EDS), and Scanning Electron Microscopy (SEM). Permeation study of the BFs composed of Carbopol (CP) alone and CP to Pluronic 127 (PF127) demonstrated better bio-adhesive properties than the films made of other polymers such as HPMC (hydroxyl propyl methyl cellulose) and HPMC-PF127. These CP based BFs (without and with PF127) exhibited good film thickness 0.88 ± 0.10 and 0.76 ± 0.14 mm, with weight uniformity 68.22 ± 1.04 and 86.28 ± 2.16 mg, satisfactory flexibility values 258 and 321, and slightly acidic pH 6.43 ± 0.76 and 6.32 ± 0.01. The swelling percentage was found to be 50% for CP and 78% for CP-PF127. The cumulative amount of drug that permeated through the buccal epithelium after 24 h was about 66% from CP and 75% from CP-PF127.

1. Introduction

The buccal mucosa has been identified as an attractive and favourable site for both local and systemic delivery of therapeutic agents since the mucosal lining of the buccal tissues provides a milder environment for drug absorption, unlike oral delivery which presents hostile environment due to acid hydrolysis and hepatic first-pass effect [1–3]. The emergence of the buccal film (polymeric matrices) is not a recent formulation, this was introduced in late 1970s to overcome the swallowing difficulties exhibited by tablets and capsules, and is regarded as an ideal candidate for targeting sensitive sites of the central nervous system such as the CD4T lymphocytes, dendritic cells, monocytes and the macrophages that are not possible with tablets or liquid formulation in the oral delivery [4,5].

The use of buccal films has shown the potential to improve the onset of drug action, minimize the dose frequency of the drugs and enhance their efficacy. However, the accidental swallowing of the delivery system and the continuous dilution of the released drug by the saliva could cause the low resident time of the formulation in the buccal cavity and consequently, a low bioavailability [6,7]. EFV in combination with other antiretroviral drugs has shown to undoubtedly reduce greater than 50% HIV viral load, retarding and preventing damage to the immune system. However, it suffers significantly from the problem of bioavailability, due to the first-pass metabolism, and poor solubility thereby resulting to severe side effects [8,24].

Hydrophobic drugs like EFV, are poorly water soluble and cannot be successfully delivered via the buccal delivery unless they are modified first (Q [9]. Hence, encapsulating the drug in a hydrophilic lipid-based system (like liposomes), within which the drug will be dispersed at the molecular level and further incorporate the drug-loaded liposomes into the polymeric matrices using bio-adhesive polymers. Like this, the drug though hydrophobic could easily get absorbed since dispersed at the molecular level as it is dissolved in the lipid system (Chen et al., 2014). Few similar strategies have been adopted in the assessment of tenofovir-loaded nanoparticles in films [10], and monolayered multi-polymeric films embedded with didanosine-loaded solid lipid nanoparticles for potential buccal drug delivery system for ARV therapy [11].

Therefore, the aim of this study was to formulate and evaluate EFV loaded liposomes incorporated in polymeric mucoadhesive films (PMFs), using bio-adhesive polymers (BAP) for the easy and effective

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