The Development of hot melt-injection moulding technique buccal patches for enhanced delivery of felodipine

ABSTRACT SUMMARY

The aim of the study was to design, characterise, and evaluate novel buccal patches produced by hot melt-injection moulding (HME-IM) as an approach to improve the systemic bioavailability of felodipine. Up to 30% (w/w) felodipine was incorporated into a hydrophilic ternary carrier mixture composed of PEG 4000, PEO WSR 1105 and TPGS inorder to achieve the balance between mechanical flexibility, mucoadhesion and penetration enhancement. The physicochemical characterization revealed the significantly changes in the interior micro-structure of the patches with increasing the drug loading. The patches with 10% w/w drug loadings were molecular dispersions of polymers and drug; whereas the patches with 30% w/w showed heterogeneous distribution of amorphous and crystalline forms of drug. The uni-directional release profile in simulated salivary fluid showed approximately 10 times improvement in drug dissolution in comparison to the crystalline drug indicating the excellent potential of HME-IM as a novel single-step processing for the preparation of transbuccal patches with defined dimensions and shape.

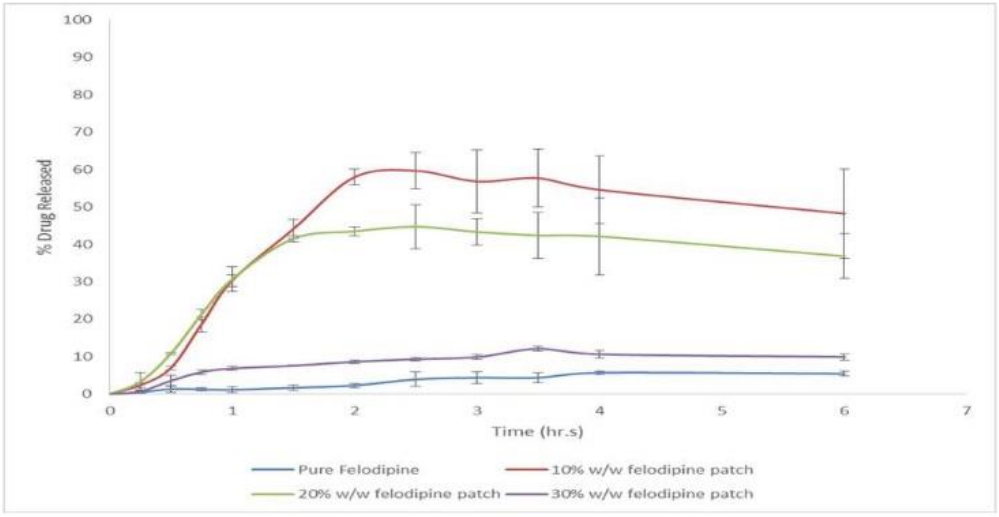

Fig. 1: The release profile of felodipine from patches prepared by hot melt-injection moulding.

injection moulding apparatus (HAAKE MiniJet System, Thermo Electron Corporation, Karlsruhe, Germany). The physical mixtures of excipients and the model drug were fed into the extruder at 65°C for 5 minutes. After processing the mixture in the extruder, the semi-solid extrudates were directly flushed into the pre-heated reservoir of the injection moulding machine where they were injected into the mould to produce the final dosage form (buccal patches). The designed delivery systems were thoroughly investigated using attenuated total reflectance-Fourier transform infrared (ATR-FTIR) spectroscopy, scanning electron microscopy (SEM), powder X-ray diffraction (PXRD), polarized light-hot stage microscopy (PL-HSM), differential scanning calorimetry (DSC) and Micro-CT imaging