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E-BABE-Formulation and evaluation of optimized microemulsion for improvement of oral bioavailability

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The main objective of the study was to develop a microemulsion (ME) formulation of felodipine for the treatment of hypertension. The oil phase was selected on the basis of drug solubility whereas the surfactant and cosurfactant were screened on the basis of their oil solubilizing capacity as well as their efficiency to form ME from pseudo-ternary phase diagrams. The optimized microemulsion formulation consisting of (10mg/ml) felodipine, 15% (w/w) linolenic acid (ω-3 fatty acid) as oil phase, 45% (w/w) Smix (1:1, Tween 80 and isopropyl alcohol). Optimized MEs possessed droplet sizes about 235.0 nm and showed good stability against heating cooling cycle, freeze thaw cycle and centrifugation test. Microemulsion improved the drug solubility up to 1400-fold than in water. In Fel-ME, drug content, in vitro drug release at the end of 2 hours and ex-vivo permeation at the end of 6 hours were found 97.35±1.28%, 98.21± 3.14% and 76.81±3.42% respectively. TEM results revealed formation of globules. Cytotoxicity studies revealed limited toxicity to macrophage-like cells that may allow the formulations to be considered as suitable carriers for Felodipine. The results of the pharmacokinetic study showed about 2.2-fold increase in concentration of felodipine upon oral administration of Fel-ME and sustained release profile when compared with pure drug suspension. By analysing the findings of the present investigations based on drug content, thermodynamic stability study, ex-vivo permeability and pharmacokinetic profile evaluations indicated that microemulsion consisting felodipine enhance the oral bioavailability of low water soluble felodipine.

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Development and validation of a HPLC-FL method for fluorescein quantification in buccal permeability studies

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Permeability is an extremely important factor for absorption of drugs. However, the investigation of permeability using buccal transmucosal route has grown because few studies are known about the mechanisms that influence. Drugs administered by the buccal transmucosal route do not suffer the first pass effect and thus may increase the bioavailability. The aim of this study was to develop and validate a chromatographic method (HPLC-FL) with fluorescent detection for quantification of fluorescein in ex-vivo permeability studies using buccal mucosa of pigs. This method was developed and validated according to ICH guideline considering parameters as selectivity/specificity, linearity, precision, accuracy, limit of detection and limit of quantification. The chromatography conditions were: Gemini Phenomenex® column C18 (250 mm x 4.6 mm i.d., 5 µm particle size), isocratic mobile phase consisting of a mixture of acetonitrile 20 mM and monobasic sodium phosphate buffer (40:60 v/v) and 1.0% triethylamine, pH 4.5 adjusted with orthophosphoric acid. The flow rate was 1.0 mL/min, oven temperature set at 35ºC and volume injection of 30 µL. The excitation wavelength of FL detector was set at 485 nm and emission wavelength of 515 nm. The method presented linearity in a range of 10- 600 ng/mL (r^2= 0.9983). Precision and accuracy was also adequate with intraday and interday variations at maximum of 10%. Selectivity and specificity of method was adequate since no interferences were noticed in chromatograms. The chromatographic method was developed and validated for fluorescein quantification in samples in ex-vivo permeability studies using buccal mucosa of pigs.

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