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Polymeric mixed micelles as an efficient strategy for meloxicam oral administration

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Purpose: The aim of this work was to demonstrate the advantages of using polymeric mixed micelles to encapsulate meloxicam, when compared to meloxicam alone and to characterize these micelles.

Methods: Morphology was studied by Transmission Electron Microscopy (TEM). 5 μ l of freshly prepared micellar dispersions were placed on Formvar and allowed to dry for 5 min. To unveil the usefulness of such formulations concerning physical stability, formulations FM1-FM5 and meloxicam were dissolved in enteric and gastric medium. After 1 and 2 h we quantified meloxicam in gastric medium and after 3 and 4 h we quantified meloxicam in enteric medium. Quantification was performed using an UV spectrophotometer and absorbance taken at 363 nm. To determine encapsulation efficiency, FM1-FM5 was quantified immediately after preparation. Later on, micellar suspensions were centrifuged at 3000 g for 15 min using Amicon® Ultra 4 Centrifugal filter units, the supernatant was quantified and EE calculated based on the following equation: Finally, cytotoxicity of formulations was assessed in Caco-2 cells by Alamar Blue assay, performing a screening of crescent concentrations (0.625%, 1.25%, 2.5%, 5% and 10%) for each formulation.

Results: Micelles were found to present in very small sizes and approximately spherical shape with meloxicam forming a circular line near to the micelle's surface. All formulations significantly increased meloxicam physical stability in enteric medium (meloxicam: 3h-62.563%, 4h-35.890; FM1, FM2, FM3, FM4, FM5: 100%) In gastric medium, despite of FM2 and FM3 showed the best results (meloxicam: 1h-1.59%, 2h-1.54%; FM2: 1h-80.339%, 2h-66.281%; FM3: 1h-75.397%, 2h-61.260), all the other formulations showed a significant increase on stability. With the exception of FM1, all formulations demonstrate high EE % (FM1: 35.544 \pm 3.919, FM2: 93.162 \pm 1.071, FM3: 90.663 \pm 1.805, FM4: 89.840 \pm 1.991, FM5: 86.607 \pm 2.134). Finally, FM3 revealed no cytotoxicity in concentrations ranging from 0.625 to 5% and FM2 revealed no cytotoxicity in concentrations ranging from 0.625 to 2.5%.

Conclusions: FM2 and FM3 seem to be promising formulations to efficiently encapsulate drugs with low water solubility, as meloxicam.

Biography

Francisco Veiga has completed his PhD from University of Coimbra, Portugal. He is the Dean of Faculty of Pharmacy in the University of Coimbra. He has published more than 200 papers in reputed journals.

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