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Obtainment of Systems with Efavirenz and Lamellar Double Hydroxide as an Alternative for Solubility Improvement of the Drug

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Abstract : Efavirenz (EFV) is a first-choice drug in antiretroviral therapy with high efficacy in the treatment of infection by Human Immunodeficiency Virus, which causes Acquired Immune Deficiency Syndrome (AIDS). EFV has low solubility in water resulting in a decrease in the dissolution rate and, consequently, in its bioavailability. Among the technological alternatives to increase solubility, the Lamellar Double Hydroxides (LDH) have been applied in the development of systems with poorly water-soluble drugs. The use of analytical techniques such as X-Ray Diffraction (XRD), Infrared Spectroscopy (IR) and Differential Scanning Calorimetry (DSC) allowed the elucidation of drug interaction with the lamellar compounds. The objective of this work was to characterize and develop the binary systems with EFV and LDH in order to increase the solubility of the drug. The LDH-CaAl was synthesized by the method of co-precipitation from salt solutions of calcium nitrate and aluminum nitrate in basic medium. The systems EFV-LDH and their physical mixtures (PM) were obtained at different concentrations (5-60% of EFV) using the solvent technique described by Takahashi & Yamaguchi (1991). The characterization of the systems and the PM's was performed by XRD techniques, IR, DSC and dissolution test under non-sink conditions. The results showed improvements in the solubility of EFV when associated with LDH, due to a possible change in its crystal structure and formation of an amorphous material. From the DSC results, one could see that the endothermic peak at 173°C, temperature that correspond to the melting process of EFZ in the crystal form, was present in the PM results. For the EFZ-LDH systems (with 5, 10 and 30% of drug loading), this peak was not observed. XRD profiles of the PM showed well-defined peaks for EFV. Analyzing the XRD patterns of the systems, it was found that the XRD profiles of all the systems showed complete attenuation of the characteristic peaks of the crystalline form of EFZ. The IR technique showed that, in the results of the PM, there was the appearance of one band and overlap of other bands, while the IR results of the systems with 5, 10 and 30% drug loading showed the disappearance of bands and a few others with reduced intensity. The dissolution test under non-sink conditions showed that systems with 5, 10 and 30% drug loading promoted a great increase in the solubility of EFV, but the system with 10% of drug loading was the only one that could keep substantial amount of drug in solution at different pHs.

Keywords : Efavirenz, Lamellar Double Hydroxides, Pharmaceutical Techonology, Solubility
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