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Preparation and Solid State Characterisation of Co-Crystals of Etravirine Engineered by Fabricating with Flavonoids as Possible Conformers

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***SUMMARY*. The following study was done to establish that etravirine, which is an anti-human immuno deficiency virus drug, when produced as co-crystals helps in improving its solubility. The produced co-crystals were also characterized. According to the Biopharmaceutical Classification System, etravirine is categorised in class IV category; that is; a drug having low permeability and solubility. Structurally, pharmaceutical co-crystals are homogeneous crystalline materials involving an active pharmaceutical ingredient and the conformer in precise stoichiometric amounts. Physicochemically stable co-crystals of etravirine were formed with rutin and piperine when prepared in 1:1 and 1:2 molar ratio by solvent evaporation method. Analytical techniques like X-ray diffraction, DSC and Fourier transform infrared spectroscopy were utilised to confirm the co-crystal formation. The dynamic solubility of etravirine in the co-crystal of ratio 1:1 and 1:2 was improved by approximately 2-3 fold as compared to pure etravirine This study helps in demonstrating the capability of co-crystalization method to enhance the solubility of etravirine.**

RESUMEN. El siguiente estudio se realizó para establecer que la etravirina, que es un fármaco contra el virus de la inmunodeficiencia humana, cuando se produce como co-cristales ayuda a mejorar su solubilidad. Los co-cristales producidos también fueron caracterizados. De acuerdo con el Sistema de Clasificación Biofarmacéutica, etravirina se clasifica en la categoría de clase IV; es decir, un fármaco que tiene baja permeabilidad y solubilidad. Estructuralmente, los co-cristales son materiales cristalinos homogéneos que implican un ingrediente farmacéutico activo y el confórmero en cantidades estequiométricas precisas. Co-cristales fisicoquímicamente estables de etravirina se formaron con rutina y piperina cuando se prepararon en relación molar 1:1 y 1:2 por el método de evaporación del solvente. Se utilizaron técnicas analíticas como difracción de rayos X, DSC y espectroscopía infrarroja de transformada de Fourier para confirmar la formación de co-cristal. La solubilidad dinámica de etravirina en el co-cristal de relación 1:1 y 1:2 mejoró aproximadamente 2-3 veces en comparación con etravirina pura. Este estudio ayuda a demostrar la capacidad del método de co-cristalización para mejorar la solubilidad de etravirina.

KEY WORDS: co-crystal, etravirine, piperine, rutin, solubility.

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