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# Preparation, Solid State Characterization of Etraverine Co-Crystals with Improved Solubility for the Treatment of Human Immunodeficiency Virus

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**Abstract :** Introduction: Preparation of binary cocrystals of Etraverine (ETR) by using Tartaric Acid (TAR) as a conformer was the main focus of this study. Etravirine is a Class IV drug, as per the BCS classification system. Methods: Cocrystals were prepared by slow evaporation technique. A mixture of total 500mg of ETR: TAR was weighed in molar ratios of 1:1 (371.72mg of ETR and 128.27mg of TAR). Saturated solution of Etravirine was prepared in Acetone: Methanol (50:50) mixture in which tartaric acid is dissolved by sonication and then this solution was stirred using a magnetic stirrer until the solvent got evaporated. Shimadzu FTIR – 8300 system was used to acquire the FTIR spectra of the cocrystals prepared. Shimadzu thermal analyzer was used to achieve DSC measurements. X-ray diffractometer was used to obtain the X-ray powder diffraction pattern. Shake flask method was used to determine the equilibrium dynamic solubility of pure, physical mixture and cocrystals of ETR. USP buffer (pH 6.8) containing 1% of Tween 80 was used as the medium. The pure, physical mixture and the optimized cocrystal of ETR were accurately weighed sufficient to maintain the sink condition and were filled in hard gelatine capsules (size 4). Electrolab-Tablet Dissolution tester using basket apparatus at a rotational speed of 50 rpm and USP phosphate buffer (900 mL, pH = 6.8, 37 ˚C) + 1% Tween80 as a media, was used to carry out dissolution. Shimadzu LC-10 series chromatographic system was used to perform the analysis with PDA detector. An Hypersil BDS C18 (150mm ×4.6 mm ×5 µm) column was used for separation with mobile phase comprising of a mixture of ace¬tonitrile and phosphate buffer 20mM, pH 3.2 in the ratio 60:40 v/v. The flow rate was 1.0mL/min and column temperature was set to 30°C. The detection was carried out at 304 nm for ETR. Results and discussions: The cocrystals were subjected to various solid state characterization and the results confirmed the formation of cocrystals. The C=O stretching vibration (1741cm-1) in tartaric acid was disappeared in the cocrystal and the peak broadening of primary amine indicates hydrogen bond formation. The difference in the melting point of cocrystals when compared to pure Etravirine (265 °C) indicates interaction between the drug and the coformer which proves that first ordered transformation i.e. melting endotherm has disappeared. The difference in 2θ values of pure drug and cocrystals indicates the interaction between the drug and the coformer. Dynamic solubility and dissolution studies were also conducted by shake flask method and USP apparatus one respectively and 3.6 fold increase in the dynamic solubility were observed and in-vitro dissolution study shows four fold increase in the solubility for the ETR: TAR (1:1) cocrystals. The ETR: TAR (1:1) cocrystals shows improved solubility and dissolution as compared to the pure drug which was clearly showed by solid state characterization and dissolution studies.

**Keywords :** dynamic solubility, Etraverine, in vitro dissolution, slurry method
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