ABSTRACT

Over 85% of active pharmaceutical ingredients have been reported to possess more than one polymorphic form in the solid state. Different polymorphs of an active pharmaceutical ingredient have different physical and chemical properties such as compressibility, melting point, crystal habit, colour, density, solubility, dissolution rate, stability, hygroscopicity, filtration, and bioavailability finally change in the efficacy of drugs. Therefore, it is important to evaluate each active pharmaceutical ingredient with regard to polymorphs and select most stable polymorph. Hence the study was beginning with the polymorphs of Amlodipine besylate, Entacapone and Lomefloxacin hydrochloride by using change their polarity of solvents and characterized by scanning electron microscopy, differential scanning calorimeter, FT-IR and X-ray studies. All the micromeritic, compressional properties and solubility studies were evaluated. In-vitro dissolution study was conducted for all polymorphic forms of tablets. In these Amlodipine besylate Amlo-I (distilled water), for Entacapone i.e. Entacapone-IV (ethyl acetate) and in Lomefloxacin hydrochloride Lome-III (ethanol) was offered better release profile than the pure formulations. There after accelerated stability studies were conducted at temperature of 40±2 °C & 75±5% RH for six months. The results indicating that, there were no changes in the characteristics of the formulations. The anti-microbial activity of Lomefloxacin hydrochloride (Lome-III) was determined with different strains of microorganism using agar well diffusion method. The zone of inhibition with Lome-III was highly inhibited the growth of micro-organism, which was compared with standard drugs zone of inhibition. Finally the In-vivo bioavailability study was conducted for optimized test product of polymorphic form Lome-III with respect to the pure product i.e. Pure Lomefloxacin hydrochloride tablets under fasting conditions. The results observed that 90% confidence interval was meeting the bioavailability criteria i.e. 80-125 with respect to the rate and extent of absorption. Hence it had concluded that test product bioavailability was enhanced with pure product. So, a polymorphism phenomenon of Lomefloxacin hydrochloride is good candidate for enhancement of micromeritic, compressional properties and bioavailability of some insoluble drugs by polymorphism.