

Exemestane solid dispersions: Enhancement of solubility and permeability

Dr. Suresh Bandari

St Peter's Institute of Pharmaceutical Sciences, India

Abstract

Exemestane is an orally active steroidal irreversible aromatase inhibitor, which is clinically in use for the treatment of postmenopausal women with early or advanced breast cancer. Unfortunately exemestane suffers from low aqueous solubility (80µg/mL), leading to poor dissolution and incomplete oral absorption. Therefore, the enhanced dissolution of exemestane is an important concern for enhancing its bioavailability. Thus the present research was aimed to study the enhancement of dissolution and permeability of Exemestane, by solid dispersion technique using PEG 6000 as a carrier. The phase solubility studies were conducted with PEG 6000 and PEG 20000 to evaluate the effect of polymers on aqueous solubility of exemestane. The aqueous solubility of exemestane was favoured with PEG 6000 compared to PEG 20000. Solid dispersions of exemestane with polyethylene glycol 6000 (PEG 6000) were prepared in different drug-to-carrier ratios. The solid state of the dispersion was characterized by using differential scanning calorimetry, X-ray powder diffractometry, scanning electron microscopy and fourier transform infrared spectroscopy. In vitro dissolution studies were performed in distilled water and 0.5% SLS in distilled water as dissolution media. Further, the intestinal permeability of dispersions was investigated using single-pass intestinal perfusion (SPIP) technique in male wistar rats. Solid-state characterization indicated decrease in crystallinity of the drug. The *in vitro* dissolution rate of exemestane was enhanced from both solid dispersion (SD) and tablet formulations prepared using solid dispersion compared to pure exemestane. The in situ permeability studies in rats revealed increase in intestinal permeability.

Biography

Dr Suresh Bandari is a National Doctoral Fellow, has completed his Ph.D in 2009 from Kakatiya University. He is presently Principal, St Peter's Institute of Pharmaceutical Sciences. He has published more than 30 papers in reputed journals and presented over 10 papers at various International and National conferences. He is recipient of travel grants from Department of Science and Technology, India and International Pharmaceutical Federation (FIP), Netherlands.