분류번호 IV-P-16

ENHANCED BIOAVAILABILITY OF NIFEDIPINE USING COATED DRY ELIXIR

Jae-Yoon Choi^o and Chong-Kook Kim

College of Pharmacy, Seoul National University, Seoul 151-742, Korea

The purpose of this study was to prepare the nifedipine dry elixir (NDE) and coated nifedipine dry elixir (CNDE) containing nifedipine ethanol solution for improving the dissolution rate and bioavailability of nifedipine. NDE containing nifedipine and ethanol in wall materials of dextrin was prepared using a spraydryer and then NDE was coated with eudragit acrylic resin to make CNDE. Shape and size of the NDE and CNDE were monitored by scanning electron micrograph and laser particle size analyzer. In vitro dissolution tests were performed in simulated gastric and intestinal fluid. Bioavailability of NDE and CNDE were compared with drug powder suspension and commercial soft capsule after oral administration of the preparations to rats. NDE and CNDE are spherical in shape. Cross-sectional view of dry elixirs indicates the large inter cavity containing ethanolic drug solution in shell. Geometric mean diameter of NDE and CNDE is about 6.64 and 8.70 µm, respectively. Drug dissolution rate within first 5 min from NDE increased dramatically irrespective of dissolution medium. However, CNDE showed a particularly retarded dissolution rate in pH 1.2 simulated gastric fluid compared with NDE. The bioavailability of nifedipine in the NDE was increased dramatically compared with drug powder suspension. CNDE reduced initial burst-out plasma peak compared with NDE. CNDE as a sustained release delivery system could reduce the initial burst-out plasma peak due to controlling the release rate of nifedipine from NDE and maintain the effective plasma level over a longer period within therapeutic window with enhanced bioavailability of nifedipine.