Formulation of oral microparticulate drug delivery system for cancer

Duc P. Do, Gerald Enriquez and Keane Soluade
Chicago State University, USA

The development of oral controlled-release cancer drug formulations with enhanced bioavailability and efficacy is highly desired. Our research aim to develop controlled-release capsule formulations containing sulforaphane-encapsulated in a biodegradable polymeric microparticulate system. Sulforaphane, a histone deacetylase inhibitor (HDAC), was investigated as this therapeutic agent reverses aberrant epigenetic changes in cancer cells and the effects of this drug are reversible. Biodegradable polymeric microspheres were prepared by a microencapsulation method, and prepared microspheres were characterized for various properties, including encapsulation efficiency, percent yield, particle size, size distribution, surface morphology, and Zeta potential. Dissolution studies were carried out to analyze the release profiles of the microspheres and capsule-containing microsphere formulations. Data indicated that microspheres were less than 2 µm in diameter size. It has been shown that particles within this size range are effectively taken in by the cells. The prepared microsphere formulations had Zeta potential values of about -30 mV, which suggest that they are stable. Furthermore, microsphere formulations were shown to provide controlled-release of the drug over 36 hours. Capsule formulations containing microspheres exhibited similar drug release characteristics; however, the lag time for drug release was longer. Thus, oral gelatin capsules containing sulforaphane-encapsulated biodegradable microspheres show potential for effective delivery of epigenetic agents.

ddo@csu.edu