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Increase of bioavailability for poorly water soluble and/or poorly permeable drugs by *in situ* self-assembly nanoparticles

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Oral dosage forms are the most common for medications. Optimization of bioavailability of orally administered drugs is one of the most important aims for pharmaceutical research. Solubility and permeability are two keys to achieve adequate oral bioavailability. The current target-based drug discovery approaches have tendency to drug-like compounds with poor solubility and/or poor permeability. Low bioavailability and inter- and intra-subject variability is often associated with these drugs because of their poor intestinal permeation and absorption. Thus, it is critical to develop novel formulation technologies to improve bioavailability for these drugs. Lipid nanoparticles or formulations have great potential to improve bioavailability of poorly water-soluble drugs by solving solubility issues. However, oral delivery of lipid formulations is limited because of the issues on stability, manufacturing and storage attributed to their liquid nature. Recently, we successfully developed the novel nanotechnology platform that applies the benefit of lipid nanoparticle for oral solid dosage forms. In this nanotechnology, we prepare solid granules that produces *in situ* self-assembly nanoparticles (ISNPs) when the granules are introduced to water with gentle agitation. The ISNP nanotechnology is not only scientifically novel, but also behaviorally superior to other existing technologies. The manufacturing of ISNP granules is very simple and scalable. We dramatically increased drug loading to 16% and bioavailability over 2.3-fold compared to commercial tablets by using the ISNP nanotechnology. Furthermore, the ISNP granules masked the bad taste of drugs. Thus, this novel ISNP nanotechnology has great potential for widespread applications to formulate poorly water-soluble and/or poorly permeable drugs in oral solid dosage forms. All these advances of the ISNP nanotechnology could significantly inspire and contribute to other novel applications of lipid-based excipients and/or formulations in the field.

Biography

Xiaowei Dong received a BS in Industrial Analysis and a MS in Applied Chemistry from the universities in China, and a PhD in Pharmaceutical Sciences from the University of Kentucky. She was selected as one of six students nationwide to participate in the 2008 AAPS Graduate Student Symposium in Drug Delivery and Pharmaceutical Technology. She has worked as a Lead Formulator for drug development at Novartis Pharmaceutical Corporation for four years. In 2013, she has joined UNT Health Science Center as an Assistant Professor in the Department of Pharmaceutical Sciences at the College of Pharmacy. Her research has focused on drug delivery and formulation development.

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