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John D Higgins

Merck Research Labs, USA

A new and versatile method for preparing crystalline drug nanoparticle formulations

The birth of the nanoparticle (nanos) drug delivery field was seen over 20 years ago with the advent and application of simple oral crystalline nanoparticle formulations. This effective approach for enhancing oral absorption using aqueous suspensions of drug particles milled to sub-micron size is now employed in several marketed drug products. Originally, relatively large amounts of drug were required to make even prototype nano formulations. This made it difficult to investigate nanos in drug discovery or even early development space, where available drug quantities are limited. At Merck we have developed an innovative new method for generating nanos, using a LabRam® high-frequency resonant mixer. This unique application of a LabRam allows us to prepare nanos at a wide range of scales, from stabilizer high throughput screen quantities in a 96 well plate format to liters. With this capability, we can now test nanos during the drug discovery lead optimization stages all the way to the preparation of long-term toxicology supplies and even clinical supplies. This lecture describes this new preparation method, which also has further applications to other formulation methodologies such as liposomes and nanoemulsions.

Biography

John D Higgins, PhD, received a BS in biochemistry from Albright College and his PhD in synthetic organic chemistry from Brown University. After completing a Postdoctoral Fellowship at the Sloan-Kettering Cancer Institute in NYC in the departments of Positron Emission Tomography and Neurology, he joined the Medicinal Chemistry Discovery group at Johnson Matthey Biomedical. There he worked on Pt-based antitumor drugs and diagnostic radio-imaging agents. He later moved on to drug development, in positions of increasing responsibility at Johnson & Johnson and Sanofi Aventis. For the past 15 years he and his teams have specialized in the areas of drug delivery and solid state chemistry, focused at the interface of drug discovery and development. His specific expertise in drug delivery focuses in the area of enhancing the solubility of insoluble compounds, where he has successfully introduced a wide range of methods into drug discovery space. More recently, he has been active in the design of prodrugs for improving physicochemical properties or targeting for specific disease states. Dr Higgins currently is a Senior Director and Global Technology Lead in the Discovery Pharmaceutical Sciences department at Merck Research Labs as well as an Adjunct Professor at the University of Pennsylvania School of Medicine, Dept. of Systems Pharmacology and Translational Therapeutics. Spanning his 28 year career, he is co-inventor on 13 US Patents and author of numerous publications and book chapters in the fields of organic, solid state & medicinal chemistry and drug delivery.