



COLLEGE OF PHARMACY
PHARMACEUTICAL SCIENCES
UNIVERSITY OF MICHIGAN

Pharmaceutical Sciences Special Seminar

Friday, March 31, 2017

2548 C. C. Little Building

10:00-11:00 am

“Oral Absorption Facilitated Through Creating and Maintaining Supersaturation”

Presented by:



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Abstract: Obtaining adequate bioperformance of poorly soluble drugs continues to limit the explorable chemical space for new therapeutic molecules. The limitations typically manifest themselves through poor dissolution and/or inadequate solubility (chemical potential) to drive necessary membrane permeation and drug absorption. While approaches to enhance dissolution can include solubilization techniques, such techniques can also be fraught with the potential to actually reduce free drug concentrations and hence flux. Alternatively, approaches can be taken to enhance the energy of the solid state and the rate of dissolution, which when coupled with stabilization of supersaturated drug levels in solution, has the possibility of increasing flux. These approaches are all in competition kinetically with the propensity for the drug to seek a lower energy state and precipitate out of solution in solid-forms of varying properties. The ability to sustain supersaturated concentrations in solution over the duration of relevance to membrane permeation and GI transit time can define success or failure in bioperformance. The interrelationship of amorphous solid forms, solid dispersion formulations, impact of precipitation inhibitors and the apparent solubility resulting from supersaturation will all be discussed in their relationship to facilitating dissolution and maintaining a metastable state for enhanced oral exposure.

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