

October Program
TUESDAY, OCTOBER 15, 2002

SPEAKER: Christopher A. Lipinski, Ph.D.
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TOPIC: Physicochemical Properties and the Discovery of Orally Active Drugs:
Technical and People Issues

Oral absorption depends on adequate solubility and intestinal permeability. Globally, in the current era, poor aqueous solubility is the single largest physicochemical problem hindering oral drug activity. The relative importance of poor solubility vs. poor permeability as a source of poor oral activity is very dependent on the method by which new drug leads are generated, as can be seen by an examination of time wise trends in Merck vs. Pfizer, Groton clinical candidates.

At this program, Dr. Christopher Lipinski, who originated the “rule of five,” a methodology for the selection of potentially “druggable” drug candidates at the discovery stage, will explain that dealing with solubility or permeability problems in an early discovery setting is not purely a technical issue of assay design or computational prediction, but it also greatly involves people and organizational issues. Assay or computational results must be communicated to medicinal chemists in a manner that allows chemists to decide how to modify chemical structure. Dr. Lipinski’s presentation will include Pfizer’s experience with High-Throughput Screening, how Pfizer uses the rule of five, and how to reduce the investment made in likely failures.