Pharmacological Careers in Drug Discovery: Terry Kenakin Ph.D.

This course is designed for biologists and chemists who might wish to pursue a career in drug discovery either through industry or academia. While therapeutic pharmacology is taught in medical schools, the application of pharmacology to new drug discovery is a unique application of biochemistry, mathematics, genetics, and physiology. This course outlines the various disciplines needed to advance molecules from chemical libraries to drug candidacy for human testing.

A drug molecule must have primary activity at the drug target, be able to enter the body, distribute to the target compartment and stay there long enough to elicit therapeutic activity (A.D.M.E. properties), and cause no harm (Safety Pharmacology). The structure-activity relationships for these activities can be quite independent making complete success in the drug discovery process an elusive goal.

Webinar - 3 x 1 hour sessions

Session I: Discovery Programs
- Drug Targets / Target Validation / Critical Paths / Drug Candidate Criteria
- Target vs System-based research / predictive vs descriptive data
- Binding and Functional assays / design of screening systems
- Pharmacodynamics I: Receptor Agonism / Efficacy / Affinity

Session II: Pharmacodynamics (cont’d) + Safety Pharmacology
- Receptor Antagonism- Orthosteric: Competitive, Non-Competitive
- Partial & Inverse Agonism / Allosteric Receptor Modulation
- In vivo Drug Effects / Kinetics
- Safety Pharmacology: Early tox assays / Risk vs Hazard assessment
- Hepatic tox

Session III: Pharmacokinetics
- Absorption / Distribution
- Hepatic Metabolism / Clearance / drug-drug interactions
- Renal Clearance / In vivo PK / Allometric scaling
- Oral absorption revisited (+ metabolism)
- Overview of Drug Discovery and Development Process

Instructor: Terry Kenakin presently is a Professor of Pharmacology in the Dept of Pharmacology, University of North Carolina School of Medicine. The course is taught from the perspective of industrial drug discovery; Dr. Kenakin has worked in drug industry for 32 years (7 at Burroughs-Wellcome, RTP, NC and 25 at GlaxoSmithKline, RTP, NC). He is Editor-in-Chief of the Journal of Receptors and Signal Transduction and Co-Editor-in-Chief of Current Opinion in Pharmacology and is on numerous journal Editorial Boards. In addition, he has authored over 200 peer reviewed papers and reviews and has written 10 books on Pharmacology.

Course Material: Summary sheets, exercises with answers, relevant papers are included as well as a pdf of all slides. The course is based on the book A Pharmacology Primer: Techniques for More Effective and Strategic Drug Discovery. 4th Edition, Elsevier/Academic Press, 2014. An electronic copy of this book comes with the course. All topics in course will be annotated to this book for further reading on each topic,