

Training Course

Discovery Lead Selection and Optimization

Who Should Attend

This course is specifically designed for personnel in the pharmaceutical and biotechnology industries and contract research organizations (CROs) who are involved in drug discovery and early (i.e., preclinical) drug development and who want to have a better understanding of the approaches and techniques available for the selection and optimization of the discovery lead for further development. Participants should have some knowledge of drug discovery and early drug development and desire to learn more about how to logically design, conduct, and interpret lead optimization experiments in order to select the optimal compound for preclinical development. Drug discovery and development scientists, managers, and project team leaders at pharmaceutical companies and related industries (i.e., universities laboratories and CROs) will gain a detailed understanding of the types of developability assessment research studies that can be conducted to determine the drug-like attributes and potential demerits of a discovery lead or group of leads.

Learning Objectives

Upon completing this course, participants will have gained the knowledge on how to design a logic plan of *in vitro* and *in vivo* research studies needed to evaluate the attributes and potential demerits of drug discovery leads, either small organic molecules (NCEs) or macromolecules (i.e., biologicals). Participants will learn about and understand the requirements for selecting a discovery lead with a better chance of successfully completing the preclinical development effort needed to support first-in-human clinical trials.

Course Description

The content of this course will assist pharmaceutical, biotechnology, and CRO researchers and managers in understanding the requirements for a logical, well designed, and successful developability assessment program. The various types of lead optimization experiments, which include *in vitro* and *in vivo* pharmacology, stability and solubility assessments, *in vitro* drug metabolism and delivery, preliminary animal pharmacokinetics, and *in vitro* and acute toxicology, will be described and discussed. Study designs and potential results, with possible interpretations, from each of the study types will be presented. Examples of developability assessment logic plans for various types of drug discovery programs will be presented and a workshop will provide participants with the opportunity to design and discuss other logic plan types.

COURSE AGENDA

DAY ONE

Session 1: (Day 1, 8:30 – 10:00 AM) **Introduction and Overview**

Purpose and Goals
Drug Discovery and Development Logic Plan
Where Developability Assessment Fits Into the Logic Plan
Overview of Developability Assessment Scientific Disciplines
Review of Drug Discovery

Session 2: (Day 1, 10:30 AM – noon) ***In Vitro* and *In Vivo* Pharmacology**

***In Vitro* Pharmacology**

Expansion of Drug Discovery Results
Determination of IC_{50} and IC_{90} Values
Example *In Vitro* Dose Response Curves

***In Vivo* Pharmacology**

Definition and Characterization of Animal Models
Determination of ED_{50} and ED_{10} Values and Therapeutic Ratio
Example *In Vivo* Dose Response Curves

Session 3: (Day 1, 1:00 – 2:30 PM) **Early Formulation Evaluations**

Analytical Chemistry Method Development and Characterization
Early Formulation Definition and Assessments
Stability and Solubility Requirements
Example Stability and Solubility Profiles

Session 4: (Day 1, 3:00 – 4:30 PM) ***In Vitro* Drug Metabolism and Delivery**

***In Vitro* Metabolism**

P-450 Isozymes, Microsomes, and/or Hepatocytes
Phase II Metabolism
Species-Species Comparisons
Example Metabolism Profiles

***In Vitro* Delivery**

GI Tract and Example Absorption Profiles
Blood Brain Barrier
Skin and Other Member Types

DAY TWO

Session 5: (Day 2, 8:30 – 10:00 AM) Preliminary Animal Pharmacokinetics

Bioanalytical Chemistry Method Development and Characterization
Preliminary Protein Binding
Pharmacokinetic Experiments and Example Profiles
Search for Metabolites in Plasma, Urine, Bile

Session 6: (Day 2, 10:30 AM – noon) Early Toxicology Assessments

***In Vitro* Toxicology**

Cell-based Systems
Microarrays
Acute or Single-Dose Toxicology
Safety Pharmacology
Genotoxicity

Session 7: (Day 2, 1:00 – 2:30 PM) Developability Assessment Logic Plan Generation Examples

Session 8: (Day 2, 3:00 – 4:30 PM) Workshop to Design and Discuss Participant Prepared Developability Assessment Logic Plans

For more information contact:

Cristina Lungu
Event Coordinator

Tel +44 20 8144 7170
Fax +40 21 223 6500
cristinah@mondialresearchgroup.com



www.mondialresearchgroup.com