

Scientific Update | Training Courses 2011



ADME and hERG in Medicinal Chemistry

"Succeeding Through the Literature"



A 2 day course given by Dr Corinne Kay



4 & 5 October 2011
The Radisson Blu Hotel
Edinburgh, Scotland

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ADME and hERG in Medicinal Chemistry

“Succeeding Through the Literature”

4 & 5 October 2011 | The Radisson Blu Hotel, Edinburgh, Scotland

Topics Covered

Overview of the human digestive system and its relevance to ADME issues

Diagnosing ADME issues in a medicinal chemistry programme

Working solutions to ADME issues taken from the literature

Introduction to all key pharmacokinetics terms and their associated assay methodologies

Overview of hERG and its impact on the drug discovery process

Up to date hERG ‘wisdom’ on diluting out IKr activity from lead compounds

Fee

£1050.00 (+VAT @ 20%) including lunch & refreshments, the course dinner on Tuesday 4 October and comprehensive course manual.

Introduction

ADME (Absorption, Distribution, Metabolism and Excretion) has been and still is a significant reason for attrition in drug discovery. Paradoxically, medicinal chemists have to solve complex ADME issues with a solid organic chemistry background but scant anatomy or physiology training. In addition, much of the medicinal chemistry wisdom required to progress projects takes years to acquire and many ‘tricks of the trade’ are often concealed within a profusion of literature.

‘ADME - hERG - Succeeding through the Literature’ is a new, interactive approach to learning medicinal chemistry. It teaches participants to distil practical help and solutions from past and current publications thus empowering them to become effective and productive readers of the literature and promoting innovation.

The course is divided into self-contained blocks that require no prior knowledge of the topic. The foundations of ADME are uniquely explained using the logical steps of food digestion, an approach which demystifies many drug metabolism reactions. It also spans relevant pharmacokinetics terms, permeability and P-gp. The hERG course includes an overview of the structure and function of the hERG channel and focuses on success stories from the literature providing participants with practical ideas to design out hERG activity from their lead molecule.

New concepts are applied in realistic and interactive workshops which are designed to mimic real situations. The uncluttered and highly practical teaching style has been tried and tested in a number of pharmaceutical companies as well as in academia and has received much positive feedback.

Course Outline

Day 1: Introduction to ADME

ADME Made Simple

A colourful voyage through the digestive system outlining the elements of ADME, absorption, permeability, P-gp, CYP's, phase 1&2, enteropathic cycling, renal excretion, glomerular filtration, oral absorption, first pass.

Workshop 1

A productive group session that explores the advantages and drawbacks of all major routes of administration and covers unusual combinations.

Pharmacokinetics - Definitions and Assays

A useful, easy to follow and practical guide to key concepts such as half-life, clearance and volume of distribution with real-life applications.

Permeability and P-gp

A dynamic review of both topics which includes assays (Caco-2, PAMPA) and practical ideas to address such issues in a project.

Liver metabolism

The lecture presents an overview of drug metabolism reactions that occur in the liver, CYP's as well as biological assays (microsome, hepatocytes and whole liver).

Day 2: hERG

hERG – An Introduction

hERG and IKr, ECG, TDP, QTc. The hERG channel structure, in silico model. Assay methodologies. Regulatory issues, current issues.

hERG – The 4 Commandments – Designing out hERG Activity

An up to date overview of the literature and summary of key approaches employed by the major players in the field. Lecture illustrated with numerous worked examples on designing out hERG activity.

Workshop 2. ADME Issues - Solutions that Work

A step by step guide to correctly diagnosing the correct ADME issue in ‘problem projects’ selected from a broad spectrum of pharmaceutical companies. The workshop then focuses on abstracting working solutions to recurrent ADME issues from a range of key medicinal chemistry papers.

Workshop 3. Strategies for Diluting out hERG

A round-up group session aimed at working through real life projects designing out hERG activity. The hERG ‘4 Commandments’ will be evaluated in parallel and discussed.

“Excellent course.”
GSK

Tutor



Dr Corinne Kay, Med-Simple

Corinne Kay read Organic Chemistry at Lyon University, France (1984). She then joined Roche (1984-1990) as a medicinal chemist where she was involved in the Trocade and Saquinavir projects. In addition, she had a key role in establishing a Solid Phase Peptide and Oligonucleotide synthesis facility in house. She joined Glaxo in 1990, where she has worked on a number of Protease and GPCR drug Discovery projects at various stages of lead discovery and lead optimisation. Corinne then obtained a GlaxoSmithKline sponsored PhD at the University of Cambridge (2000) having worked with Prof SV Ley, FRS on the discovery of novel solid phase amine linkers. She moved to Organon in 2001 where she became responsible for Medicinal Chemistry training of staff and more recently founded Med-Simple, a company specialising in applied Medicinal Chemistry training. She is the author of over 35 publications, book chapters and reviews in these areas. Her research interests include the design of chemical libraries, peptide synthesis, solid phase synthesis and cancer chemotherapy.

Who Should Attend?

The course is most suitable for academics, experienced graduates, PhD and post-doctoral fellows with less than 3 years in the pharmaceutical industry who are currently involved with, or intending to become active in the area.

Course Objectives

An applied knowledge of ADME (Absorption, Distribution, Metabolism and Elimination) and an understanding of its relevance to drug design

An overview of pharmacokinetics, biological assays and a grasp of biological results interpretation

An understanding of major issues associated with hERG and QT prolongation

A good working knowledge of tackling hERG related issues in a lead compound

A method for productive reading of the literature

Venue



The Radisson Blu Hotel
80 High Street, The Royal Mile
Edinburgh EH1 1TH
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The Radisson Blu Hotel is located halfway between Edinburgh Castle and Holyrood Palace and within a few minutes walk from the designer shops on Princes Street. Waverley Station is only a 5 minute walk away.

A limited number of rooms have been reserved at the hotel for the special rate of £113 per night including VAT and a full Scottish breakfast. A hotel booking form will be sent when you register.

General Information

The course begins with registration at 8.30am on Tuesday 4 October and finishes at approximately 5pm on Wednesday 5 October.

The organisers reserve the right to change the published programme of events and course content as circumstances dictate.

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