

3rd EFMC Short Course on Medicinal Chemistry

Programme

Sunday April 10, 2011

18:00 **Dinner**

19:30 **Introduction to course: why in vitro pharmacology is important!**

Mike TREVETHICK
(FORMERLY WITH PFIZER, Broadstairs, United Kingdom)

In this introductory session we will discuss the principle of how drugs interact with receptors, ion channels and enzymes and then discuss major reasons why drugs fail in clinical trials and why this highlights the importance of 'thorough ' pharmacology.

21:00 **Close**

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Monday April 11, 2011

Day 1: Data from the world of equilibrium: Antagonist Pharmacology

08:30 Introduction to day 1 - What Data is collected in Equilibrium Assays and what does it miss?

Mike TREVETHICK
(FORMERLY WITH PFIZER, Broadstairs, United Kingdom)

Assessing Drug binding to Receptors

09:00 What is a K_i and K_d - What do they mean, what is the Value of such Data in Drug Discovery Programmes

David WINPENNY
(PFIZER GLOBAL RESEARCH AND DEVELOPMENT, Sandwich, Kent, United Kingdom)

09:45 Breakout Session: Ligand Binding in Agonist v. Antagonist Programmes

David WINPENNY
(PFIZER GLOBAL RESEARCH AND DEVELOPMENT, Sandwich, Kent, United Kingdom)

11:00 Coffee Break

Antagonist/Inhibitor Pharmacology

11:15 Types and Antagonism, Assay used, Interpretation of Data

Jenny KOENIG
(UNIVERSITY OF CAMBRIDGE, Cambridge, United Kingdom)

12:00 Breakout: Types of Inhibition/Antagonism; Ligand Binding v. Function; Allosterism

Jenny KOENIG
(UNIVERSITY OF CAMBRIDGE, Cambridge, United Kingdom)

13:00 Lunch

Translating Antagonist Action from in vitro to in vivo Environment

14:00 How can you predict if your Antagonist/inhibitor is likely to have Efficacy in vivo

Simeon RAMSEY
(PFIZER, Sandwich, Kent, United Kingdom)

14:45 Breakout: can you explain why one Antagonist/inhibitor has worked but one hasn't

Simeon RAMSEY
(PFIZER, Sandwich, Kent, United Kingdom)

15:45 Coffee Break

16:00 Drug Case History Angiotensin 1 receptor antagonists for hypertension

Mike TREVETHICK
(FORMERLY WITH PFIZER, Broadstairs, United Kingdom)

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17:00 Round up of Day 1

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Tuesday April 12, 2011

Day 2: Data from the World of Equilibrium: Agonist Pharmacology

08:30 **Introduction to Day 2**

Agonist Pharmacology at GPCRs and Ion Channels

08:45 **Defining Agonist Action: Assays used to assess Potency and Efficacy at GPCRs and Ion Channels**
Elliot LILLEY
(INDEPENDENT PHARMACOLOGICAL CONSULTANT, United Kingdom)

09:30 **Breakout Session: Assessing Potency and Selectivity of Agonists in vitro**
Elliot LILLEY
(INDEPENDENT PHARMACOLOGICAL CONSULTANT, United Kingdom)

10:45 **Coffee Break**

Translating agonist Action from in vitro to the in vivo Environment

11:00 **The importance of defining Agonist Action in terms of Affinity driven and Efficacy**
Sarah NICKOLLS
(PFIZER, Sandwich, Kent, United Kingdom)

11:45 **Breakout Session: why doesn't your Agonist work in Natural Receptor Systems?**
Sarah NICKOLLS
(PFIZER, Sandwich, Kent, United Kingdom)

13:00 **Lunch**

14:00 **Breakout session: What do these PK-PD Profiles indicate about Drug Receptor Interactions?**
Elliot LILLEY (INDEPENDENT PHARMACOLOGICAL CONSULTANT, United Kingdom)
Sarah NICKOLLS (PFIZER, Sandwich, Kent, United Kingdom)

15:30 **Coffee Break**

16:00 **Drug Case History – The Transformation of Adrenaline into inhaled beta 2 Agonists to treat Asthma**
Mike TREVETHICK
(FORMERLY WITH PFIZER, Broadstairs, United Kingdom)

17:00 **Round Up of Day 2**

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Wednesday April 13, 2011

Day 3: Warning – Receptor Kinetics can seriously improve you Project!

08:45 Introduction

Mike TREVETHICK
(FORMERLY WITH PFIZER, Broadstairs, United Kingdom)

09:00 Principles of Receptor Kinetics and Assays

Yogesh SABNIS
(PFIZER, Braine-l'Alleud, Belgium)

09:45 Coffee Break

Breakout session: Improving your Project with Receptor Kinetics

11:00 What do these Kinetic Profiles indicate?

Yogesh SABNIS (PFIZER, Braine-l'Alleud, Belgium)
David WINPENNY (PFIZER GLOBAL RESEARCH AND DEVELOPMENT, Sandwich, Kent, United Kingdom)

11:45 Feedback from Breakout Session

Yogesh SABNIS (PFIZER, Braine-l'Alleud, Belgium)
David WINPENNY (PFIZER GLOBAL RESEARCH AND DEVELOPMENT, Sandwich, Kent, United Kingdom)

12:30 Summary

13:00 Lunch and depart