

# 4th EFMC Short Course on Medicinal Chemistry - Fall 2011

## Programme

### Wednesday December 7, 2011

**10:00**      **Welcome**

**11:00**      **Introduction to the Safety & Attrition Workshop**

**Including a review of attrition rates, causes of failure and cost to the industry. To include examples of clinical attrition due to tox findings, worked examples and tutorials.**

Esther SCHMID  
(EFS-SERVICES, Sandwich, United Kingdom)

**12:30**      **Lunch**

**13:30**      **General Overview of Current Safety and Toxicity Testing**

**A review of established pre-clinical and post FIH toxicity testing. To include invitro & invivo testing such as Gen Tox and functional observation; illustrated by examples and breakouts**

Dominic WILLIAMS  
(UNIVERSITY OF LIVERPOOL, Liverpool, United Kingdom)

**15:30**      **Coffee Break**

**16:00**      **Small Molecule Based Attrition (1)**

**The Safety Related Attrition Challenge, including Structural Alerts:  
A Medicinal Chemists Perspective of what can be controlled, including Structural Alerts; illustrated by examples and breakouts**

Steve SWALLOW (ASTRAZENECA, CHERSCHIRE, United Kingdom)  
Karl GIBSON (PFIZER GLOBAL RESERCH AND DEVELOPMENT, Kent, United Kingdom)

**18:00**      **Close**

**19:30**      **Dinner**

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**Thursday December 8, 2011**

**08:30      Small Molecule Based Attrition (2)**

**Molecular Properties**

**Physicochemical properties and toxicology outcome, including Med Chem risk; including worked examples and breakouts**

Steve SWALLOW (ASTRAZENECA, CHERSCHIRE, United Kingdom)

Karl GIBSON (PFIZER GLOBAL RESERCH AND DEVELOPMENT, Kent, United Kingdom)

**10:30      Coffee Break**

**11:00      Choosing The Right Target**

**Including druggability, linking the target to the disease and the importance of understanding Ceft**

Steve SWALLOW (ASTRAZENECA, CHERSCHIRE, United Kingdom)

Karl GIBSON (PFIZER GLOBAL RESERCH AND DEVELOPMENT, Kent, United Kingdom)

**12:30      Lunch**

**13:30      Off-target Based Attrition (1)**

**Ion Channel Safety:**

**Ion channel basics; their general roles in physiology; ion channel families and sub-types; their pharmacology in the context of drug safety; ion channel assays and screens; illustrated by case studies and worked examples**

Chris POLLARD

(ASTRAZENECA, Macclesfield, United Kingdom)

**15:30      Coffee Break**

**16:00      Off-target Based Attrition (2)**

**Role of transporter interactions in toxicology and attrition:**

**Transporter interactions that have been linked to toxicity, e.g. kidney, liver (BSEP). Mechanisms and consequences. In vitro tools and predictive strategies; illustrated by case studies and worked examples**

Gerry KENNA

(ASTRAZENECA, Macclesfield, United Kingdom)

**18:00      Close**

**19:30      Dinner**

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### Friday December 9, 2011

**08:30**      **ADMET or DMPK based Attrition**

**Focusing on Cyp inhibition, idiosyncratic toxicity, including reactive metabolites, time dependent inhibition; PK variability and can earlier and rapid PK assessment & insilico prediction methods reduce attrition**

Kevin BEAUMONT  
(PFIZER, Cambridge, United Kingdom)

**10:30**      **Coffee Break**

**11:00**      **Newer Methods of Predicting Toxicity (1)**

**Characterising Mechanisms of toxicity**  
**Including pathway mining and investigative pathology type approaches to elucidating mechanisms**

Mark M. GOSINK  
(PFIZER, Groton, United States)

**12:30**      **Lunch**

**13:30**      **The Safety & Attrition Game (includes Coffee break)**

**16:00**      **Course ends**