

General and Advanced Receptor Theory Workshop

4th - 5th July 2019

Venue: Horizon Leeds Conference Centre, UK

DAY 1: THURSDAY 4 July 2019

- 13:00 -13.30 Registration
- 13:30 – 14.00 **Welcome and Introduction to the GART workshop**
Ice-breaker activities. *Alasdair Gibb*
- 14.00 – 14.45 **Lecture 1. Classical approaches to the study of drug-receptor interactions:** historical perspective on the dose-response relation, relation between drug concentration, receptor occupancy, agonist efficacy and response. *Elliot Lilley & Alasdair Gibb*
- 14:45 – 15:15 **Discussion + Problem solving exercise I:** Calculating receptor occupancy – how does this vary with changes in ligand affinity or concentration or number of binding sites on the receptor? *Alasdair Gibb, David Hall, Guy Moss, Elliot Lilley*
- 15:15 – 15:45 *Refreshment break and Networking*
- 15:45 – 16:30: **Lecture 2. Introduction to the principles of radioligand binding:** Saturation and competition binding assays, kinetics of drug-receptor binding, Hill coefficients, effect of agonist efficacy or desensitization on binding. *Alasdair Gibb & David Hall*
- 16:30 – 17:30 **Discussion + Problem solving exercise II:** Excel spreadsheet tutorial exercise-Non-linear least-squares curve fitting and modelling receptor occupancy data. *Alasdair Gibb, David Hall, Guy Moss, Elliot Lilley*
- 18:00 **Optional Workshop Dinner**

DAY 2: FRIDAY 5 JULY 2019

- 9:00 – 9:45 **Lecture 3. Competitive and non-competitive antagonism:**
Schild analysis + problems with equilibrium. *Guy Moss & Alasdair Gibb*
- 9:45 – 10:30 **Discussion + Problem solving exercise III:** Competitive antagonism. *Guy Moss, Elliot Lilley, Alasdair Gibb, David Hall*
- 10.30 – 11.00 *Refreshment break and networking*
- 11:00 – 11:45 **Lecture 4. Introduction to allosteric modulation** *David Hall & Guy Moss*
- 11:45 – 12:30 **Discussion + Problem solving exercise IV:** Derivation of simple Law of Mass Action equations for equilibrium systems. *Alasdair Gibb, David Hall, Guy Moss, Elliot Lilley*
- 12:30 – 13:30 **Lunch**
- 13:30 - 14:30 **Discussion and tutorial exercise V:**
- Either** A: Excel spreadsheet tutorial exercise – Analysis of affinity and efficacy for ion channel receptors. *Alasdair Gibb & Elliot Lilley*
- Or** B: Voltage-gated ion channels as drug targets – analysis of the action of the positive allosteric modulator, retigabine. *David Hall & Guy Moss*
- 14:30 - 15:30 **Discussion and tutorial exercise VI:** Receptor theory and drug discovery: partial agonists, agonist efficacy and the development of β agonists. *David Hall & Elliot Lilley*
- 15:30 - 16:00 *Refreshment break and networking*
- 16:00 - 16:30 Workshop wrap up, Initial Feedback and Discussion of Reflective Account. *Alasdair Gibb, David Hall, Guy Moss, Elliot Lilley*