### 4th RSC/SCI Symposium on GPCRs in Medicinal Chemistry

Monday-Wednesday 17th-19th September 2012 Lilly UK, Erl Wood, Windlesham Surrey UK

#### **APPLICATION FORM**

This form should be completed clearly and return Maggi Churchouse, 3 East Barn, Market Weston Fe-mail: maggi@maggichurchouseevents.co.uk	ned with you	r remittan			ecretariat,	
Title (Prof, Dr, etc): First Nar	ne:					
Last (Family) Name:						
Job Title:						
Organisation:						
Address:		> /	Me			na
E-mail (for correspondence):						
Special dietary or other needs:						
Registration Fees (including conference di Please tick as appropriate.  RSC - SCI member*  Non-members  RSC - SCI student member*  Non-member student  Trade stand (including 1 delegate)  Trade stand (including 2 delegates)  Add late booking fee for registration payments re I confirm that I will / will not attend the conferent RSC membership number:	ceived by th	e Secretar		<sup>h</sup> August	3 <sup>rd</sup> Jul	y to 8 <sup>th</sup> Aug £350 £440 £170 £200 £620 £790 £50
Transport: I plan to use the free transport between: Ascot railway station and the venue Hilton Bracknell hotel and the venue Transport offered subject to availability I require a car parking space at Lily	Mon am	Mon pm □	Tue am	Tue pm	Wed am	Wed pm □
Payment Methods  1. Cheque: I enclose a cheque payable to Ma  2. Bank Transfer: Payments may be made b					tariat for d	letails. All

- charges must be paid by the sender. Please include the delegate name and invoice number as the in the bank instruction and send a copy with the application form.
- Card: Card payments may be made online at www.maggichurchouseevents.co.uk/bmcs

DATA PROTECTION: The information you supply will be used for the administration of the meeting. A list of participants' names and e-mail addresses will be distributed at the conference. If you DO NOT wish your address to be included, please tick the box -  $\square$ 

# **Additional Information**

#### Venue and Travel

Lilly Research Centre, Erl Wood Manor is a 50-minute train journey from London Waterloo to Ascot railway station, a 30-minute taxi ride from Heathrow airport, and is easily accessible from the M3 (J3).

During the conference, we will provide free-of-charge transport between Lilly, Ascot railway station and the conference hotel. Please book your requirements when registering.

#### Accommodation

Hilton Bracknell Hotel, Bagshot Road, Bracknell, RG12 0QJ

The conference hotel is the Hilton Bracknell where a preferential rate has been secured, namely £109 per double room per night for single occupancy, including breakfast and VAT. Bookings may be made by telephone, e-mail and online, quoting the reference "AGPCR", and further information may be found on our website. This offer is available until 17th August only.

#### Gala Dinner

The Gala Dinner will be held on site at Lilly from 7.30 pm, and attendance is included in the registration fee. Please inform the Secretariat if you will not attend.

### **Organising Committee**

GlaxoSmithKline **James Bailey** 

**Stephen East** Evotec Adrian Hall Eisai

**David Leese** Peakdale Molecular Imperial College London **Caroline Low** Jon Mason Heptares/Lundbeck

Martin Owton (chair) Lilly **Owen Wallace** Lilly

# Contact/Secretariat and to register an interest

Maggi Churchouse, 3 East Barn, Market Weston Road, Thelnetham, Diss IP22 **1**JJ

Website: www.maggichurchouseevents.co.uk/bmcs

# **Final Announcement and Programme**



# 4th RSC/SCI Symposium on GPCRs in Medicinal Chemistry

Monday-Wednesday 17<sup>th</sup>-19<sup>th</sup> September 2012

Lilly UK, Erl Wood, Windlesham, Surrey UK







**Organised by: RSC's Biological & Medicinal Chemistry Sector and SCI's Fine Chemicals Group** 

# **Introduction and Programme**

#### Introduction

17.00 Close

G-protein-coupled receptors (GPCRs) play a crucial role in transmitting chemical signals from hormones and neurotransmitters across cell membranes and converting them into cellular responses. As such, they are involved in many diseases and continue to represent the target of a large proportion of all modern medicinal drugs. Building on the success of the previous three meetings, the next meeting in this series will be supported by Lilly UK.

### Who should attend?

Medicinal and computational chemists from academia and industry involved in the research of GPCR function and activity

resear	rch of GPCR function and activity
Prog	ramme - Monday 17 <sup>th</sup> September
09.00	Registration and refreshments
09:55	Opening remarks
10.10	GPCR bias and allostery: from the outside looking in
	Patrick Sexton, Monash Institute of Pharmaceutical Sciences, Monash University
10.55	A drug discovery journey of allosteric modulators of mGluRs within class C GPCRs
	Guillaume Duvey, Addex Pharmaceuticals
11:35	The use of GPCR structures and homology models for lead optimization
	Christofer Tauterman, Boehringer Ingelheim
12:15	Lunch
13.15	Biophysical screening and multi-target design for GPCR drug discovery  Andrew Hopkins, University of Dundee
13.55	Adventures in the discovery and development of a GPR119 agonist  Paul Feldman, GlaxoSmithKline
14.35	From receptor structural information and stabilised conformations to
	candidates targeting muscarinic M1, adenosine A2a and orexin
	Malcolm Weir, Heptares
15:15	Refreshments
15:45	Discovery of orexin antagonists for the treatment of sleep disorders  Paul Coleman, Merck
16.20	Intranasally and orally administered CGRP (calcitonin gene-related peptide) receptor antagonists for the treatment of migraine: discovery and developme <b>John Macor</b> , Bristol-Myers Squibb

# **Programme**

# Programme - Tuesday 18th September

09:05	Potent and selective, orally active GPBAR1 agonists as chemical biology probe
	Patrizio Mattei, F Hoffmann-La Roche AG
09:45	Discovery of novel CRTh2 receptor antagonists for treatment of allergic
	diseases
	David Sandham, Novartis
10:25	Refreshments
10.55	Discovery of selective OX2 antagonists and study of Orexin 1 and 2 GPCR
	receptors
	Jonathan Bentley and Alexander Heifetz, Evotec
11:35	Discovery of APD811: an orally available prostacyclin receptor agonist for the
	treatment of Pulmonary Arterial Hypertension (PAH)
	Graeme Semple, Arena Pharmaceuticals
12:15	Lunch
13.15	Novel mGlu5 antagonists for Parkinson's disease
	Magnus Walter, Lilly
13.55	Discovery of novel, negative allosteric modulators of mGlu5 for treatment of
	GERD
<b>N</b>	Kenneth Granberg, AstraZeneca
14.35	Amido-1,2,3-thiadiazole derivatives as novel S1P1 selective agonists
	Nuria Aguilar, Almirall
15:15	Refreshments
15.45	A journey around H3 inverse agonists: the discovery of UCB2892
	Laurent Provins, UCB
16:20	The design of pyrimidino-azepines as potent, subtype selective 5-HT2C partial
	agonists
17.00	lan Storer, Pfizer
17:00	Poster and exhibition session with aperitif
D	way was a March and a state of the Court and have

### Programme - Wednesday 19<sup>th</sup> September

Phil Hipskind, Lilly US
12:15 Closing remarks and depart

09:00	Structures of active and inactive G protein coupled receptors: implications for
	the activation mechanism and pharmacology
	Gebhard Schertler, Paul Scherrer Institute / ETH Zurich
09.45	GPCR fragment-based design and a novel structure-based perspective on
	druggability
	Jonathan Mason and John Christopher, Heptares Theraputics
10:25	Refreshments
10:55	Drug design for G-protein-coupled receptors by a ligand-based NMR method
	Peter Monecke, Sanofi-Aventis Deutschland
11.35	Glucagon receptor antagonists: from the laboratory to the patient

# **Abstract & Registration Information**

### Call for Posters

Posters are invited for display throughout the meeting and for presentation on Tuesday, 18th September. Please download a template and send a one-page abstract to the secretariat by 30th July.

### **Exhibition Opportunities**

A limited number of trade stands can be accommodated, charged at £620 (with one delegate) and £790 (with two delegates).

# Registration

Website: www.maggichurchouseevents.co.uk/bmcs

Registration: for card payment, please register online via the website above.

Cheque and bank transfer payment: please complete and return the form overleaf or download a registration form.

Charges: please refer to the registration form overleaf

Discounts: We offer discounts to RSC and SCI members and student members who quote their membership number. Early-bird discounts apply to payments received by 2nd July. A late fee of £50 will be charged on registration payment received after 8th August.

#### **Bursaries**

The organisers have a number of bursaries available from the RSC-BMCS for students, preference being given to those offering posters and to student members of the RSC, SCI and EFMC. The bursaries are worth £250 each which will be used for the registration fee with the balance available for travel and accommodation expenses against receipts. To apply, please complete a bursary application form and arrange for the research supervisor to endorse the application. Please send by e-mail to the secretariat by 30th July.

### Acknowledgements

Special thanks to Lilly UK for hosting this event

