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Feature presentation on the crystal structure of the **β_2-adrenergic receptor in complex with Gs** direct from Roger K. Sunahara, University of Michigan USA

10th Annual

G Protein-Coupled Receptors in Drug Discovery

Meet world renowned experts and examine the latest scientific and technical breakthroughs at Europe's leading GPCRs congress

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75% NEW Speakers

20-21 March 2012 • Andel's Hotel Berlin, Berlin, Germany

Why is G Protein-Coupled Receptors a MUST ATTEND for 2012?

- ✔ Uncover **new breakthroughs in GPCR structures** and their impact on drug discovery; including structural determination details of the **β_2 adrenergic receptor in complex with Gs** and the **human histamine H_1 receptor complex with doxepin**
- ✔ **Identify and understand the role of biased signalling** and downstream signalling pathways activated by GPCRs - Discover how to translate results into drug discovery programmes
- ✔ Explore novel approaches to **understand allosterism in GPCRs**; plus gain critical case study insights from **Anchor Therapeutics** and **Addex Pharma** into how they are overcoming the challenges of translating allosteric modulators into later phase drug development
- ✔ Discuss the **application of novel screening methodologies for GPCRs** – Uncover how label free screening can be applied for primary cell analysis, innovative beta arrestin screening strategies and screening methodologies for the identification of allosteric modulators to aid drug discovery
- ✔ **Set your work in context:** Utilise the latest discoveries in GPCR science and technology to develop new drug candidates

Pre-Conference Symposium W: Monday 19 March 2012

New Directions in GPCR Small Molecule Drug Discovery

Workshop Chairman: **Ad P. IJzerman, Leiden/Amsterdam Center for Drug Research, Leiden University,** The Netherlands

Choice of 2 Evening Seminars, Discussion & Dinners: Tuesday 20 March 2012

1. Targeting GPCRs with Antibodies

New for 2012

Seminar Leaders:
Sergej Kiprijanov, *Vice President of Research and Preclinical Development,* **Affitech Research AS,** Norway
Christoph Ullmer, *Senior Principle Scientist,* **F. Hoffmann-La Roche, Ltd.,** Switzerland

2. Exploring Protein-Protein Interactions as Drug Targets

Seminar Leaders:
Enno Klussmann, *Co-Head of the Group Anchored Signalling,* **Max-Delbrück Centrum für Molekulare Medizin Berlin Buch (MDC),** Germany
Ulrich Stelzl, *Max-Planck Research Group Leader, Otto-Warburg Laboratory,* **Max Planck Institute for Molecular Genetics (MPI-MG),** Germany
Rainer Niedenthal, *Group Leader at the Institute for Physiological Chemistry,* **Medical School Hannover,** Germany

Post-Conference Symposium X: Thursday 22 March 2012

Application of Novel Screening Methodologies for GPCRs

Workshop Chairman: **Rochdi Bouhelal,** *Senior Scientist I, Center for Proteomic Chemistry,* **Novartis Institutes for Biomedical Research,** Switzerland

2012 Keynote Presentations from:

Graeme Milligan, *Professor of Molecular Pharmacology,* **University of Glasgow,** UK

Ad P. IJzerman, Leiden/Amsterdam Center for Drug Research, Leiden University, The Netherlands

Roger K. Sunahara, *Associate Professor of Pharmacology,* **University of Michigan Medical School,** USA

Plus **over 30 top speakers** from industry and academia experts discussing the next wave of commercially viable GPCR research including:

- **Mark R Dowling,** *Investigator III, Receptor Biology, Respiratory Disease Area,* **Novartis,** UK
- **Stephen Hunt III,** *Chief Scientific Officer,* **Anchor Therapeutics, Inc.** USA
- **Sonia Poli,** *Head of Non Clinical Development,* **Addex Pharma SA,** Switzerland
- **Christopher J. Langmead,** *Head of Pharmacology,* **Heptares Therapeutics Ltd,** UK
- **Thomas P. Sakmar,** *Laboratory of Molecular Biology & Biochemistry,* **The Rockefeller University,** USA
- **So Iwata,** *David Blow Chair of Biophysics,* **Imperial College London,** UK
- **Gayathri Swaminath,** *Senior Scientist,* **Amgen, Inc.** USA
- **Steffen Reedtz-Runge,** *Research Scientist,* **Novo Nordisk A/S,** Denmark
- **Andrew B. Tobin,** *Department of Cell Physiology and Pharmacology,* **University of Leicester,** UK
- **Teresa Bennett,** *VP Research,* **Vivia Biotech,** Spain
- **Christopher A Reynolds,** *Department of Biological Sciences,* **University of Essex,** UK
- **Mark Wheatley,** *Chair of Biochemical Pharmacology,* **University of Birmingham,** UK

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10th Annual Congress: G Protein-Coupled Receptors in Drug Discovery • Featuring Over 30 Leading Expert Speakers • Cutting Edge Developments in GPCR Science • New GPCR Structures • The Latest Developments in Understanding Biased Agonism and G Protein Dependant Signalling • Structural Data and Computational Modelling Developments • Developing Allosteric Modulators for GPCRs • Understanding Modes of Action and Receptor Binding Kinetics • Receptor Heterodimerisation

Pre-Conference Symposium W: Monday 19 March 2012

New Directions in GPCR Small Molecule Drug Discovery

CQ2245W

Registration 09:00 • Start 09:30 • End no later than 17:00. Lunch, morning and afternoon refreshments and documentation will be provided

09:30 **Opening Remarks from the Morning Chairperson**
Ad P. IJzerman, Leiden/Amsterdam Center for Drug Research, Leiden University, The Netherlands

Novel Concepts in GPCR Drug Discovery

09:40 **Biophysical Mapping of the Adenosine A_{2A} Receptor**
A new approach to generating information on ligand receptor interactions within the binding pocket of G protein-coupled receptors has been developed, called Biophysical MappingTM (BPM). Starting from a StaR®, a receptor construct minimally engineered for thermostability, additional single mutations are then added at positions that could be involved in small molecule interactions. The StaR and a panel of binding site mutants are captured onto Biacore chips to enable characterisation of the binding of small molecule ligands using surface plasmon resonance (SPR) measurement. A matrix of binding data for a set of ligands versus each active site mutation is then generated, providing specific affinity and kinetic information (K_D, k_{on}, and k_{off}) of receptor ligand interactions. This data set, in combination with molecular modelling and docking, is used to map the small molecule binding site for each class of compounds. Taken together, the many constraints provided by these data identify key protein ligand interactions and allow the shape of the site to be refined to produce a high quality three-dimensional picture of ligand binding, thereby facilitating structure based drug design. Results of biophysical mapping of the adenosine A_{2A} receptor are presented.
Christopher J. Langmead, *Head of Pharmacology,* **Heptares Therapeutics Ltd,** UK

10:20 ***In Silico* Veritas: The Crude, the Best, and the Lucky in Computer-Aided Prediction of GPCR-Ligand Interactions**
The recent crystal structure determinations of druggable GPCRs has opened up excellent opportunities in structure-based ligand discovery for this pharmaceutically important protein family. This presentation will illustrate the problems and possibilities of *in silico* prediction of GPCR-ligand interactions using three recent, challenging studies:
i) The prediction of the binding mode of a small ligand in the CXCR4 chemokine receptor prior to the release of the experimentally determined co-crystal structure complex (GPCR DOCK 2010).
ii) The development of a customised structure-based virtual fragment screening method against the recently determined human histamine H_1 receptor (H_1R) crystal structure to identify a diverse set of novel fragment-like H_1R ligands
iii) The first successful structure-based virtual screening study to discover small allosteric modulators of class B GPCRs.
Chris de Graaf, *Assistant Professor, Medicinal Chemistry,* **VU University Amsterdam,** The Netherlands

11:00 **Morning Coffee**

11:30 **Drug-Target Residence Time: An Overlooked Parameter in Drug Design and Discovery**
In early drug discovery, pharmaceutical companies optimise the properties of drug candidates for a given therapeutic target, focusing on standard pharmacological parameters of affinity, potency and intrinsic activity. Despite these intensive efforts, the success rate of a candidate drug moving to the pre-clinical development phase is disappointingly low. A few examples of marketed drugs acting on GPCRs indicate that their beneficial effects in patients result from a prolonged receptor occupancy, i.e. a long residence time. However, the molecular mechanism is as yet poorly understood. Hence, we have recently started to establish so-called structure-residence time relationships, as an extension to the more traditional structure-affinity relationships. This will yield paramount information to predict and propose novel compounds with longer residence time and improved *in vivo* efficacy.
Laura H. Heitman, *Department of Medicinal Chemistry,* **Leiden University / Amsterdam Centre for Drug Research,** The Netherlands

12:10 **Molecular Properties Affecting Fast Dissociation from the D2 Receptor**
Antipsychotic agents vary in how fast they dissociate from the D2 receptors. It is understood that long residence time may be associated with side effects such as extra pyramidal symptoms. The relative affinity and dissociation rates of 1800 D2 antagonists will be shown. Subsequent statistical analysis of the dataset reveals the molecular properties which differentiate fast and slow dissociating molecules.
Gary Tresadern, *Senior Scientist, Research Informatics Integrative Genomics,* **Janssen R&D,** Spain

12:50 **Lunch**

14:00 **Opening Remarks from the Afternoon Chairperson**

14:10 **Biomimetic Screening of Class B GPCRs**
The Corticotropin Releasing Factor Receptor 1 (CRF1R) is a typical member of the class-B GPCRs and a prime target for mood disorders. To chemically probe the molecular interaction of CRF with the transmembrane domain of CRF1R we developed a high-throughput conjugation approach that mimics the natural activation mechanism of class B GPCRs. Conjugation of a peptide library to a high-affinity carrier peptide specific for the extracellular domain of CRF1R reconstituted potent agonists and allowed *in situ* testing of otherwise very weak CRF1 agonists in cell-based assays. Importantly, the active peptide conjugates could be directly used to probe the endogenous receptor in animal models.
Felix Hausch, *Group Leader, Chemical Genomics,* **Max-Planck-Institute of Psychiatry,** Germany

Recent Highlights in GPCR Drug discovery

14:50 **Discovery of APD334, a Potent and Selective Sphingosine-1-Phosphate ($S1P_1$) Receptor Agonist**
Sequestration of T-lymphocytes into lymph nodes and other secondary lymphoid tissues by $S1P_1$ receptor agonists has been of therapeutic interest for the treatment of a variety of autoimmune diseases. In particular, the approved oral $S1P_1$ agonist Gilenya(fingolimod) has been shown to reduce the frequency of clinical exacerbations and to delay the accumulation of physical disability in RRMS. More selective $S1P_1$ agonists that may have reduced side effect profiles have thus become highly sought after. Herein, we will highlight the design and synthesis of a second generation series of orally efficacious small molecule $S1P_1$ agonists that culminated in the identification of the clinical candidate, APD334.
Robert M. Jones, *Senior Director, Medicinal Chemistry,* **Arena Pharmaceuticals,** USA

15:30 **Afternoon Tea**

16:00 **"Breaking News" Slot**
As the conference agenda is finalised 6 months prior to the event, we are reserving one slot for a presentation addressing the most recent developments in GPCR small molecule drug discovery. If you have some newly published data that you would like to present in this slot please contact **Catherine.Marshall@informa.com** or **Tel: 0044 (0) 203 377 3257** to submit your abstract for approval by the advisory board.

16:40 **Closing Remarks from the Afternoon Chairperson and End of Symposium**

Present a Poster at the Conference

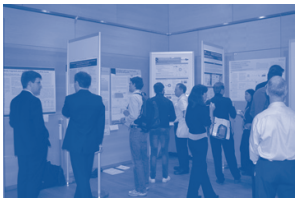
How to submit your poster application:

You must be booked on as a delegate to be able to present a poster. To apply please send your abstract of 200 words or less, written in English, listing the principle author and all contact details to **catherine.marshall@informa.com**.

· Posters submitted by academic institutions and industry will not be charged a fee

· Posters submitted by service providers/vendors are welcome and will be subject to evaluation by the scientific advisory board. Upon approval a fee of £399 + 19% VAT will apply

Poster application deadline: Friday 2nd March 2012



With Special Thanks to the G Protein-Coupled Receptors in Drug Discovery Advisory Board 2012:

· **Graeme Milligan**, *Professor of Molecular Pharmacology,* **University of Glasgow,** UK

· **Ad P. IJzerman, Leiden/Amsterdam Center for Drug Research, Leiden University,** The Netherlands

· **Fiona H. Marshall**, *Chief Scientific Officer,* **Heptares Therapeutics Limited,** UK

· **Rochdi Bouhelal,** *Senior Scientist I, Center for Proteomic Chemistry,* **Novartis Institutes for Biomedical Research,** Switzerland

"Exciting congress with many updated presentations"
(Executive Officer, Daiichi Sankyo Co Ltd, 2011)

Conference Day One: Tuesday 20 March 2012

08:00 **Registration**

08:50 **Opening Remarks from the Chairperson**

Cutting Edge Developments in GPCR Science and the Impact on Drug Discovery

09:00 **KEYNOTE PRESENTATION: The GPCR Observatory**
The recent insights in the three-dimensional structure of G protein-coupled receptors provide us with an unprecedented snapshot of the GPCR universe. We see small molecules surveying the GPCR landscape, discover water molecules on their surface, and observe encounters between GPCRs and G proteins. Together these images provide fuel for a further structural exploration of textbook pharmacology. However, we need more and other 'telescopes' to unravel the mysteries of the GPCR solar system, including ones that tell us about GPCR dynamics and mechanisms of activation. This presentation aims to address that: it is about recent achievements and the unexplored regions of GPCR space.

Ad P. IJzerman, Leiden/Amsterdam Center for Drug Research, Leiden University, The Netherlands

New GPCR Structures

09:45 **KEYNOTE PRESENTATION: The Structural Basis for G Protein Activation by GPCRs: Crystal Structure of the β_2-Adrenergic Receptor in Complex with Gs**
For decades efforts to obtain structural information of membrane proteins have been fraught with problems during crystallogenesis and G protein-coupled receptors (GPCRs) are no exception. However, the application of a combination of protein engineering, lipidic cubic phase technology, antibody stabilisation and micro-crystallography have had led to significant advances in the last three or four years. Here we present the latest major advance using similar technologies to solve the structure of a GPCR in a complex with a heterotrimeric G protein. An agonist-bound β_2-adrenergic receptor was isolated in a complex with its cognate heterotrimeric G protein, the stimulatory G protein, Gs, in its nucleotide-free form. The crystal structure, together with data from single particle reconstructions from electron microscopy and deuterium exchange mass spectroscopy analyses, reveal unprecedented changes in the nucleotide-free G protein α-subunit. These changes, taken together with delineation of the receptor-interacting domains on Gα, have provided a reasonable model for the mechanism for receptor-mediated nucleotide exchange.

Roger K. Sunahara, *Associate Professor of Pharmacology,* **University of Michigan Medical School,** USA

10:30 **Structure of Human Histamine H_1 Receptor with Doxepin**
H_1 blockers are very effective drugs inhibiting the action of histamine H_1 receptor (H_1R) and alleviating the symptoms of the allergic reactions. These compounds, particularly the first generation antihistamines, can also bind to other aminergic G protein coupled receptors and cardiac ion channels and cause considerable side reactions. The crystal structure of H_1R in complex with doxepin, a first generation antihistamine, allows us to characterise its ligand-binding pocket in detail. The site is associated with an anion-binding region, which is occupied by a phosphate molecule in the crystal structure. Docking of various second-generation antihistamines reveals the unique carboxyl group present in this class of antihistamines interacts with $Lys191^{5.39}$ and/or Lys179 (ECL2), both of which form part of the anion-binding pocket and are not conserved in other aminergenic receptors. This study explains the specificity improvements of second-generation antihistamines and outlines the future direction for development of more specific and safer antihistamines.
So Iwata, *David Blow Chair of Biophysics,* **Imperial College London,** UK

11:05 **Morning Coffee and Exhibition Viewing Time**

Structural Data and Computational Modelling Developments to Improve GPCR Drug Design

11:35 **Using StaRs and Structural Data to Improve GPCR Drug Design**
GPCRs represent attractive drug targets, but biophysical and structural studies are limited by their inherent instability when removed from the plasma membrane. Using a mutagenesis-based approach, we have produced GPCRs stabilised in both active and inactive conformations which are suitable for biophysical and structural studies. Herein we present data for the adenosine A_{2A} receptor and orexin-2 receptor to demonstrate the utility of StaRs for fragment screening, Biophysical Mapping and X-ray structure determination; these advances have led to preclinical candidates for the treatment of Parkinson's disease and insomnia, respectively. Furthermore we highlight the utility of isolated receptor conformations to the understanding of the molecular pharmacology of ligand-receptor interactions and receptor activation.
Christopher J. Langmead, *Head of Pharmacology,* **Heptares Therapeutics Ltd,** UK

12:10 **Development of Novel Computational Modelling Approaches for Predicting GPCR Structure and Drug Design**
We have used a range of techniques based on MD simulations of the inactive and active receptors to understand the thermostabilising effect of mutations that were used to stabilise the beta(1)-adrenergic receptor to enhance crystallisation. For stability, the rigid core moves moved onto regions involved in activation, shifting equilibrium towards the inactive state. Stabilised receptors show distinctly different correlated movements, higher connectivity in key regions and the loop regions are primed for crystal packing. We discuss the use of active GPCR models in drug design, insights from the novel active X-ray structures and new approaches to more accurate virtual screening.
Christopher A Reynolds, *Department of Biological Sciences,* **University of Essex,** UK

12:45 **Spotlight Session**
Spotlight sessions are hosted by leading companies within the GPCRs field. These sessions offer an opportunity to learn about the latest developments and technologies within industry. For more information about hosting a spotlight session please contact:
Chamatkar Sandhu, *Business Development Executive,*
Tel: +44 (20) 7017 7278
Email: chamatkar.sandhu@informa.com

13:15 **Lunch and Exhibition Viewing Time**

14:30 **Title to be announced**
For further details of this presentation please refer to the event website at www.informa-ls.com/gpcr2012
Steffen Reedtz-Runge, *Research Scientist,* **Novo Nordisk A/S,** Denmark

Biased Agonism and G Protein Dependant Signalling

15:05 **Defining the Physiological Impact of GPCR Phosphorylation: Can this Inform GPCR Ligand Design?**
In this talk I will present studies designed to define the impact of biased signalling on functional responses in whole animals. In this way we aim to correlate our understanding of the role of GPCR phosphorylation in directing signalling, as defined from *in vitro* studies, with the functional role of phosphorylation/arrestin dependent signalling *in vivo*. In doing we hope to present approaches that will ultimately determine the nature of the bias that is desirable in a given therapeutic ligand that targets a

Conference Day One: Tuesday 20 March 2012

particular GPCR subtype.
Thus, there is now a growing body of evidence in support for the phosphorylation barcode being employed in a tissue specific manner to drive tissue specific signalling.
These observations have become increasingly important in light of the fact that pharmacological ligands can drive the signalling of the receptor towards one signalling modality in preference to the other. This characteristic of ligands has been variously called ligand directed trafficking, functional selectivity or ligand bias and is a characteristic that offers the prospect of designing ligands with a particular bias so that they drive GPCR signalling down pathways that mediate therapeutic efficacy and away from pathways that lead to toxicity.
The question, however, is in defining the signalling pathways that lead to a particular physiological (or therapeutic) outcome downstream of GPCR activation. If this were known then one would know the biased properties that would be needed to be designed into GPCR ligands that would result in a desired therapeutic outcome. This presentation will focus on how we might determine the physiological role of the dual signalling modality of GPCRs and how we might dissect which signalling arm drives a particular physiological response. There will be a particular emphasis on the use of transgenic mouse models and the *in vivo* responses to well defined biased ligands.
Andrew B. Tobin, *Department of Cell Physiology and Pharmacology,* **University of Leicester,** UK

15:35 **Title to be announced**
For further information on this presentation please refer to the event website at www.informa-ls.com/gpcr2012
A representative from **DiscoveRx Corporation**

16:05 **Afternoon Tea and Exhibition Viewing Time**

16:35 **Novel Signalling Properties of GPCRs**
This presentation will discuss recent findings suggesting that the capacity of certain hormones (ie. PTH, vasopressin) to stabilise a high affinity GPCR conformation able to sustain G-protein signalling from intracellular domain is a key determinant that differentiates the action of long vs. short signalling acting hormones.
Jean-Pierre Vilardaga, *Laboratory for GPCR Biology, Department of Pharmacology & Chemical Biology,* **University of Pittsburgh,** *and Endocrine Unit,* **Massachusetts General Hospital** and **Harvard Medical School,** USA

17:10 **The Role of Extracellular Loops in GPCR Function**
GPCRs exhibit a common architecture of seven transmembrane helices (TMs) linked by intracellular loops and extracellular loops (ECLs). Given their peripheral location to the site of G-protein interaction, it might be assumed that ECL segments merely link the important TMs within the helical bundle of the receptor. However, compelling evidence has emerged in recent years revealing a critical role for ECLs in many fundamental aspects of GPCR function.
Mark Wheatley, *Chair of Biochemical Pharmacology,* **University of Birmingham,** UK

17:45 **Closing Remarks from the Chairperson**

17:50 **End of Conference Day One**
Join us for our evening seminars

1. Targeting GPCRs with Antibodies
OR
2. Exploring Protein-Protein Interactions as Drug Targets

Choice of 2 Evening Seminars, Discussion and Dinners: Tuesday 20 March 2012

Targeting GPCRs with Antibodies

CQ2245S

Registration 18.45 • Start 19.00 • Dinner 20:30

This interactive evening seminar will offer critical insights and discuss methods available for the development of antibody and antibody engineered products against GPCR targets.

Targeting GPCRs with Antibodies
Using antibody phage display and a proprietary Cell-Based Antibody Selection (CBAS™) technology, Affitech generated a panel of antagonistic antibodies against GPCR targets involved in cancer progression and inflammation. The generated antibodies effectively competed with ligand binding, were able to block ligand-induced signaling and cell migration, and demonstrated high cell killing activity via ADCC and/or CDC. Data showing applicability of the GPCR targeting antibodies for treatment of cancer, inflammatory and autoimmune diseases will be presented.
Sergej Kiprijanov, *Vice President of Research and Preclinical Development,* **Affitech Research AS,** Norway

A Functional Monoclonal Antibody Modulating mGlu7 Receptors
Immunisation with living cells that express recombinant mGlu/ receptors was used to generate a mGluR7-selective functional monoclonal antibody. MAB1/28 potently antagonises both orthosteric and allosteric agonist-induced mGlu7 activities. Here we provide evidence for a bias agonist activity by MAB1/28 triggering a receptor internalisation pathway and MAPK activation, which is not utilised by small molecule orthosteric or allosteric agonists suggesting an additional possibility for modulating GPCR activities in disease or therapy.
Christoph Ullmer, *Senior Principle Scientist,* **F. Hoffmann-La Roche, Ltd.,** Switzerland

OR

Exploring Protein-Protein Interactions as Drug Targets

CQ2245T

Registration 18.45 • Start 19.00 • Dinner 20:30

Through a series of presentations and discussions this interactive evening seminar will focus on exploring the latest findings for monitoring and exploiting protein-protein interactions as drug targets.

AKAP-Dependent Protein-Protein Interactions as Potential Drug Targets
A-kinase anchoring proteins (AKAPs) are a family of around 50 modular scaffolding proteins. Their unifying feature is the presence of a conserved binding domain for protein kinase A (PKA). AKAPs possess further domains for interactions with other signalling proteins, and anchoring domains that target the AKAP complexes to defined cellular compartments. Through their protein-protein interactions AKAPs facilitate spatio-temporal organisation of cellular signalling processes. AKAPs are essential for a variety of cellular processes including vasopressin-mediated water reabsorption in renal principal cells and the control of cardiac myocyte contractility. Moreover, AKAPs and their interactions are involved in human disease. This contribution will introduce AKAPs, illustrate their functions, discuss pharmacological approaches for interference with AKAP-dependent protein-protein interactions and provide evidence for the validity of AKAPs as novel drug targets in the treatment of cardiovascular diseases including chronic heart failure.
Enno Klussmann, *Co-Head of the Group Anchored Signalling,* **Max-Delbrück Centrum für Molekulare Medizin Berlin Buch (MDC),** Germany

Exploring Protein-Protein Interactions as Drug Targets
We are focusing on the analysis of molecular interaction networks with the aim to understand the dynamics of molecular networks underlying cellular processes related to human disease. Experimental functional genomics techniques, e.g. HTP Y2H screening, are utilised in combination with biochemical, cell biological and computational methods. Novel concepts to address the dynamics of cellular signalling processes will be presented. Network biology offers a more comprehensive understanding of biology concomitantly improving the practice of medicine.
Ulrich Stelzl, *Max-Planck Research Group Leader,* **Otto-Warburg Laboratory, Max Planck Institute for Molecular Genetics (MPI-MG),** Germany

Exploring Protein-Protein Interactions by Trans-SUMOylation
To characterise cellular processes it is necessary to study the protein-protein interactions involved in a specific cellular function. Therefore a number of methods have been developed that study protein-protein interactions *in vitro* and *in vivo*, but each of these methods has specific disadvantages. To study protein-protein interactions really *in vivo,* we have developed the trans-SUMOylation system. This method uses Ubc9 fusion proteins that SUMOylate interacting proteins in trans. This trans-SUMOylation takes place in the living cells and can be inhibited during the cell lysis. Thus trans-SUMOylation monitors real *in vivo* protein-protein interactions also at protein levels similar to that of endogenous proteins.
Rainer Niedenthal, *Group Leader at the Institute for Physiological Chemistry,* **Medical School Hannover,** Germany

"Nice focused conference with good opportunities for networking"
(Senior Director, Æterna Zentaris, 2011)

Conference Day Two: Wednesday 21 March 2012

08:50 **Opening remarks from the Chairperson**

Identifying and Understanding Allosterism in GPCRs

09:00 **Identification of Novel Allosteric Agonists for FFA1 and FFA2 Receptors**
GPCRs are well known targets for drug discovery. Historically, the signalling of GPCRs are stimulated or inhibited by screening for compounds at the well conserved orthosteric site shifting the equilibrium between inactive to active states. Although this approach was useful in designing new drugs, the identification of drugs that can bind at site different from the orthosteric site opens new avenues in the area of therapeutic intervention. The current presentation will highlight the recent identification of novel allosteric agonists for fatty acid receptors (FFA1 and FFA2) which display different cooperativities and have potential to deliver therapeutic benefits.
Gayathri Swaminath, *Senior Scientist,* **Amgen, Inc.** USA

09:35 **New Approaches to Understand Allosterism in GPCRs**
We are interested in uncovering the principles that underlie ligand recognition in heptahelical G protein-coupled receptors (GPCRs) and to understand with chemical precision how receptors change conformation in the membrane bilayer when ligands bind. We have developed an interdisciplinary approach that employs a number of new converging technologies: i) all atom and coarse grain molecular dynamics (MD) computer simulations of GPCRs in membrane bilayers in concert with experimental validation, ii) unnatural amino acid mutagenesis of GPCRs using amber codon suppression technology, iii) targeted photocrosslinking and bioorthogonal labelling, iv) interrogation of receptor dynamics using advanced FTIR (Fourier-transform infrared spectroscopy) and solid state NMR methods, v) use of nanoscale apolipoprotein bound bilayers (NABBs) as membrane mimic support structures for GPCRs. Our near-term aim is to employ single-molecule detection (SMD) of GPCRs by TIRF (total-internal reflectance fluorescence) microscopy in self-assembling oriented tethered bilayers or in NABBs using microfluidics. This talk will focus on how these technologies can be applied to understanding allosterism in GPCRs and the mechanism of action of allosteric modulators.
Thomas P. Sakmar, *Laboratory of Molecular Biology & Biochemistry,* **The Rockefeller University,** USA

10.10 **Spotlight Session**
Spotlight sessions are hosted by leading companies within the GPCRs field. These sessions offer an opportunity to learn about the latest developments and technologies within industry. For more information about hosting a spotlight session please contact:
Chamatkar Sandhu, *Business Development Executive,*
Tel: +44 (20) 7017 7278
Email: chamatkar.sandhu@informa.com

10:40 **Morning Coffee and Exhibition Viewing Time**

11:10 **GPCR Allosteric Modulator Drug Discovery: Functional Cell-Based Assays in Endogenously Expressed Receptors**
Allosteric modulators of GPCR function have received increasing credibility and attention as candidates for drug therapy due to their unique mechanisms of action that may offer advantages, especially at "undrugable" targets. The focus of this discussion is the Glucagon-Like Peptide 1 (GLP1) Receptor, a Class B GPCR that is difficult to target with small-molecule drugs. GLP1 Receptors are expressed in pancreatic beta cells and receptor activation stimulates insulin synthesis and release, making the receptor an active target for the treatment of diabetes. An in depth study was conducted to compare the results of screening with endogenously expressed GLP1 receptors verses receptors transfected into host cell lines, and to compare activity in both human and rat GLP1 receptors.
Teresa Bennett, *VP Research,* **Vivia Biotech,** Spain

Allosteric Modulators: Progression into Later Stage Drug Development

11:45 **Interrogation of GPCR Pharmacology Using Pepducin Allosteric Modulators**
Pepducins are a novel class of allosteric modulators of GPCRs. We have demonstrated that these molecules exhibit a unique mechanism of action and pharmacology. In this presentation, we will describe the identification of pepducins against several GPCR targets and their pharmacological, mechanistic, and *in vivo* characterisation. We will highlight several examples of the progression of these allosteric compounds as therapeutics.
Stephen Hunt III, *Chief Scientific Officer,* **Anchor Therapeutics,** USA

12:20 **Overcoming the Challenges and Progress in Translating Allosteric Modulators into Later Phase Drug Development**
Allosteric modulators are an emerging class of small molecule drugs which have the potential to be more specific and confer significant therapeutic advantages over conventional "orthosteric" small molecule or biological drugs. Through allosteric modulation, we can address receptors and other proteins that are recognised as attractive targets for modulation of important diseases with unmet medical needs. Through examples taken from the company's pipeline, and focusing on the clinical products, we will show the translation from preclinical characterisation, to clinical evaluation and how the benefits of the allosteric modulation can potentially change well established treatment paradigms, for instance in Parkinson's disease and schizophrenia.
Sonia Poli, *Head of Non Clinical Development,* **Addex Pharma SA,** Switzerland

12.55 **Lunch and Exhibition Viewing Time**

Understanding Modes of Action and Receptor Binding Kinetics

14:15 **Understanding the Mechanism of Action and Binding Kinetics of GPCRs**
In traditional drug discovery antagonists are frequently identified and further optimised using functional assays designed to quantify affinity only. However it is becomingly increasingly appreciated that ligands which bind to sites other than the orthosteric binding pocket may have inherent clinical benefits different to those for competitive ligands. Additionally quantifying the residency time (kinetics) of an antagonist can help to increase the duration of clinical efficacy. This talk will discuss these aspects of antagonist-receptor interactions and demonstrate how they can be quantified in a drug discovery setting.
Mark R Dowling, *Investigator III, Receptor Biology, Respiratory Disease Area,* **Novartis,** UK

Receptor Heterodimerisation

14:50 **KEYNOTE PRESENTATION: Title to be Announced**

For further details of this presentation please refer to the event website at **www.informa-ls.com/gpcr2012**
Graeme Milligan, *Professor of Molecular Pharmacology,* **University of Glasgow,** UK

15:35 **Afternoon Tea and Exhibition Viewing Time**

16:00 **GPCR Oligomerisation *In Vivo***
GPCR oligomers have been extensively observed in heterologous systems expressing chimeric receptors. However their existence *in vivo* remains a matter of controversy. The use of fluorescent ligands addresses this issue by enabling the specific labelling of GPCRs. An oligomer binding multiple fluorescent ligands can therefore generate a FRET signal. Indeed, this strategy has permitted the detection of oligomers in native tissues. First developed in multi-well plate formats, this strategy is now being adapted for microscopy to pursue the study of GPCR oligomerisation *in vivo*. The resulting improvements in our understanding of GPCR organisation *in vivo* is a stepping stone for the development of pertinent pharmacological tools and efficient drugs.
Martin Cottet, *PhD Student, Institute of Functional Genomics,* **CNRS,** France

16:35 **Closing Remarks from the Chairperson**

16:40 **End of Main Conference**

Why not stay on for a third day? Don't miss the post-conference symposium on the Application of Novel Screening Methodologies for GPCRs

Post-Conference Symposium X: Thursday 22 March 2012

Application of Novel Screening Methodologies for GPCRs

CQ2245X

Registration 09:00 • Start 09:30 • End 16:30 • Lunch, morning and afternoon refreshments and documentation will be provided

09:30 **Opening Remarks from the Chairperson**
Rochdi Bouhelal, *Senior Scientist I, Center for Proteomic Chemistry,* **Novartis Institutes for Biomedical Research,** Switzerland

09:40 **Label-Free Cellular Technologies for Primary Cell Analysis in Drug Discovery**
GPCRs represent one of the most important classes of drug targets and their signalling is monitored with a relatively small standard set of HTS compatible assay formats including real-time Ca^{2+}-release, cAMP and GTPγS assays or beta arrestin recruitment assays. New assay technologies are being developed, however most of them are still using recombinant cells in combination with dyes, antibodies or reporter gene constructs, i.e. they are working with the target in a non-physiological environment and an invasive endpoint readout. Non-invasive label-free technologies using therapeutically relevant cells offer a completely new approach to GPCR drug discovery. The presentation will summarise and exemplify recent developments, such as the introduction of automated microscopy, impedance and resonant waveguide grating technologies which allow HTS compatible, label-free GPCR assays in primary cells with the required accuracy and robustness to make them amenable to drug discovery.
John Gatfield, *Senior Lab Head Fibrosis and Cardiovascular Biology,* **Actelion Pharmaceuticals Ltd,** Switzerland

10:15 **Label-Free Technology to Unravel Molecular Mechanisms of Biased Signalling**
G protein-coupled receptors are heptahelical transmembrane proteins that mediate the majority of physiological responses to extracellular stimuli. There is a growing body of evidence that GPCRs activate multiple signalling pathways both in a G protein-dependent and independent manner. This functional versatility requires structural plasticity of the receptor protein and even subtle changes in a receptor's conformation may have a marked impact on the signalling behavior observed. Here, we use novel dualsteric compounds as tools to study receptor structural rearrangements underlying this functional versatility. Label-free technology proves to be a powerful approach to deconvolute whole-cell signalling and thereby attribute receptor's conformational changes to signalling pathway activation.
Andreas Bock, *PhD Student, Department of Pharmacology and Toxicology, Institute of Pharmacy,* **University of Bonn,** Germany

10:50 **Morning Coffee**

11:20 **A Beta-Arrestin Recruitment Assay in 1536-w Format to Identify Antagonists of a Chemokine Receptor**
Evaluating GPCR activation in a high throughput screening (HTS) environment can be achieved today using a diverse set of technologies. Most of them are addressing the specific mode of coupling of the GPCR target. For instance, cAMP accumulation monitors Gs- or Gi-coupled receptors activation, whereas calcium mobilisation and inositol-phosphate accumulation are mostly used with Gq and Galpha16-coupled receptors. New assay formats were recently developed that monitor beta-arrestin recruitment in a generic fashion regardless the GPCR coupling mode. In the case of the PathHunter (PH) approach, the GPCR of interest is linked to a small part of beta-galactosidase while beta-arrestin is fused to the complementary part of the enzyme. Upon activation by an agonist, beta-arrestin is recruited by the GPCR and both parts of the enzyme come to close proximity, allowing its functional complementation and leading to a detectable luminescent signal when applying the appropriate substrate. A PH assay based on CHOK1 cells expressing a chemokine receptor was developed in a 1536-well format with the objective of identifying antagonists. A full HTS campaign with more than 1.5 million compounds was completed including testing of active compounds in a calcium assay to check their activity in a different assay format. In this paper, the results of the screening campaign will be presented and the advantages and disadvantages of the beta-arrestin recruitment approach in HTS compared to more conventional ones will be discussed.
Rochdi Bouhelal, *Senior Scientist I, Center for Proteomic Chemistry,* **Novartis Institutes for Biomedical Research,** Switzerland

11:55 **Application of Beta-Arrestin Screening Strategies to Aid Drug Discovery**
Among 360 different G-protein coupled receptors (GPCRs), more than 100 receptors have not been paired with their natural ligand and are known as "orphan receptors". Those, as potential targets to treat human diseases, constitute a challenge for drug development in the pharmaceutical industry environment. In the deorphanisation process a variety of compounds from different sources is tested in recombinant cell systems overexpressing the receptor of interest. However, the signalling pathway diversity downstream GPCRs and the coupling to different G-protein families need the development of an important number of cellular assays and make the deorphanisation process difficult. Beta-arrestin is a common partner for many GPCRs and participates to receptor- desensitisation, internalisation and recycling. We developed assays using the beta-arrestin complementation assay from DiscoveRx to scan the activity of orphan peptide/lipid on recombinant cell lines expressing different "orphan receptors". The assay is based on the beta-galactosidase complementation, where one fragment is fused to the C-terminal part of the receptor and the other part to the beta-arrestin. This technology allowed us to pair different "orphan receptors" with their natural ligand. Furthermore, we could after pairing in the beta-arrestin assay assess the coupling to G-protein signalling pathways and validate the ligand activity in different assays (binding, GTP-γ-S, cAMP, calcium,…). Interestingly, while some receptors were linked to G-protein coupling, others failed in any G-protein signalling assay and were only active in the beta-arrestin assay. Next, we validated the assay and screened the receptor activity with small molecule libraries to identify receptor modulators. The High Throughput Screening (HTS) allowed the identification of different modulators for receptors specifically signalling through beta-arrestin pathways with high assay stability, a weak number of false positive and a good correlation primary screen vs. hit confirmation. Overall, the beta-arrestin assay constitutes a novel approach to pair orphan receptors with natural ligands with a high selectivity, and might be the primary choice for the study of GPCR where prior study on G-protein signalling failed.
Xavier Leroy, Actelion Pharmaceuticals Ltd, Switzerland

12:10 **Lunch**

13:10 **HDL-Like Discs for Assaying GPCRs in Drug Discovery**
To investigate the pharmacology of GPCRs in nanolipid bilayers, the recombinant high-density lipoprotein (rHDL) approach has been adapted to enable the development of scintillation proximity binding assays (SPA) for the human β_2-adrenoceptor (β_2AR) and the C-X-C chemokine receptor type 2 (CXCR2). The results obtained clearly suggest that both receptors in rHDLs display the same pharmacology than in cell membranes and that rHDLs might be used to confirm direct binding to a GPCR target.
Cédric Fiez-Vandal, *Postdoctoral Fellow,* **Novartis Pharma AG,** Switzerland

13:45 **Allosteric Modulators of G Protein–Coupled Receptors: New Opportunities for Drug Discovery**
The identification of allosteric ligands, which bind to sites topographically as opposed to the classical orthosteric binding site, offers new opportunities for drug discovery. The concept is applicable to almost all types of biological targets and is well established as both research tools and therapeutic agents of ion channels but they have not been a traditional focus of drug discovery efforts for G protein-coupled receptors (GPCRs) until recent years. Allosteric molecules can modify how receptors relate to their respective binding partners in the cell and thus they can produce selective cell responses, referred to as 'biased effects'. These properties, if identified in a candidate molecule, can greatly assist in the identification of chemical scaffolds possessing unique attributes. In this article we show some examples of how simple changes in traditional screening assay formats can help identify unique profiles of activity; specifically a focus on allosteric modulators for different types of GPCR receptors (mGluR2, orexin 2 and dopamine 1 receptors).
Maite de los Frailes, *Director Molecular Discovery Technology,* **GSK,** Spain

14:20 **Adenosine Receptor Heterodimers Signal Using Two Different G-Proteins**
It is now well accepted that some GPCRs form heterodimers. However, the functional mechanisms and consequences are less well understood. Using energy transfer and label free assays we have examined the formation of heterodimers among Adenosine receptors and explored how heterodimer formation influences G-protein coupling. Our results show the formation of higher order oligomers as well as signalling through two different G-proteins.
Peter J. McCormick, *Assistant Professor,* **University of Barcelona,** Spain

14:55 **Afternoon Tea**

15:30 **Interactive Round Table Discussion: Overcoming Challenges and the Use of Novel Technologies for GPCR Drug Discovery**
For the remaining part of the afternoon the group will break out into roundtable discussion sessions for more focussed discussions and the opportunity to share strategies for screening GPCRs.
Discussion Leaders to Include:
Rochdi Bouhelal, *Senior Scientist I, Center for Proteomic Chemistry,* **Novartis Institutes for Biomedical Research,** Switzerland
Xavier Leroy, Actelion Pharmaceuticals Ltd, Switzerland
Cédric Fiez-Vandal, *Postdoctoral Fellow,* **Novartis Pharma AG,** Switzerland

16:30 **End of Symposium**

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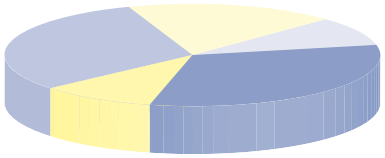

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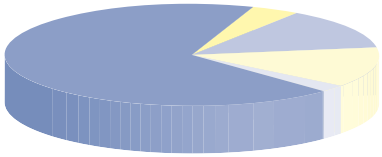

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