NEWSLETTER

N°01 NOVEMBER 2021

i-GPCRnet
International Research Network (IRN) on GPCRs

Welcome to the iGPCRnet network!

Dear colleagues, dear friends, dear GPCR-ists,

We are happy to share with you our excitement about the first successful year of the i-GPCRnet International Research Network (IRN) and the first edition of our i-GPCRnet-NEWSLETTER, now on your screen. The i-GPCRnet IRN is born out of a partnership of German, British, Chinese and French labs interested in GPCRs and opens its doors to all other labs working in the field.

Many scientists already approached us wishing to become members of the i-GPCRnet IRN. We are happy to announce that we have now opened an International membership status for those labs who want to play an active role in our network. Please contact us to discuss more about that.

As you can see in this NEWSLETTER, the i-GPCRnet IRN is young and dynamic with a dedicated Early Career Committee. The i-GPCRnet IRN is not only young and but also experienced at the same time as it is built on the foundations of the previous GDR-3545 "GPCR-Physio-Med" network founded in 2012 by the French GPCR community,

We are excited and looking forward to our Annual 2021 meeting and WORKSHOP at the end of this month and the 10th anniversary of the network in 2022.

Enjoy the reading of the i-GPCRnet-NEWSLETTER

Martin Lohse **Steve Hill** **Ralf Jockers**



Editorial

This is the first issue of the i-GPCRnet Newsletter.

This newsletter will provide you a brief history of how the iGPCRnet was created, as well as all the information you need to be up-to-date on GPCR world (next important meetings, latest VIP (Very Important Publications) on GPCRs, inclusing COVID-19-related publications, and news from our Industrial partners).
We would like to remind you that we are willing to take into account any comments or suggestions regarding the newsletter sections, to accept contributions from everybody and to hear any criticisms you might have to improve the quality of the newsletter. Furthermore, anyone from each of the participating teams of the i-GPCRnet is more than welcome to contribute by using the address
i-gpcrnet@services.cnrs.fr

by ECS Committee

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History of the IRN

GPCRnet International Research Network (IRN) was founded in 2021 for five years by the CNRS (www.cnrs.fr), the National Centre for Scientific Research of France, an interdisciplinary public research organization that is among the world's leading research institutions.

The mission of the i-GPCRnet IRN is to promote science in the field of G protein-coupled receptors (GPCRs). The i-GPCRnet IRN is based on two solid pillars. The first pillar is the result of the very robust French GPCR community that was built around the GDR-3545 "RCPG-Physio-Med" (www.gdr3545.com) over the last 9 years (2012-2020).

Out of the 65 French GDR-3545 teams, four took the lead in the new i-GPCRnet:

Dr. Ralf Jockers (Institut Cochin, Paris);
Dr. Jean-Philippe Pin (IGF, Montpellier);
Dr. Didier Rognan (Strasbourg);
Drs. Lucie Pellissier/ Romain Yvinec (INRAE, Nouzilly).

The second pillar is based on the longstanding interactions between French GDR members and other internationally renowned labs working on GPCRs with attested capacity to federate research activities in their respective countries:

Dr. Martin Lohse (Munich, Germany);
Dr. Stephen Hill (Nottingham, UK);
Dr. Jianfeng LIU (Wuhan, China).

Each team contributes to the consortium its scientific networks and its contacts with biotech and pharmaceutical companies and associations.

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Objectives of the IRN

G protein-coupled receptors (GPCRs) are among the most important protein families in sensing environmental changes at the cellular level providing adequate response and adaptation to them. Seminal discoveries elucidated over the last 20 years the basic signaling modules and the structural basis of the signal transmission of GPCRs. Their enormous potential as drug targets has been recognized with 30-40% of currently marketed drugs targeting GPCRs and many others remaining to explored. Emerging evidences highlight the fact that GPCRs are not functioning as isolated entities but are part of a defined microenvironment. This microenvironment is defined by the tissues and cell types in which receptors are expressed, by the localization of receptors in subcellular compartments and membrane microdomains and by the formation of molecular complexes with other receptors. All these parameters have an important impact on receptor function and are essential for their therapeutic applications.

The ambition of the i-GPCRnet is to tackle the largely unexplored question of the impact of the microenvironments on GPCR function and how perturbation of this microenvironment impacts on common diseases such as cancer, metabolic and neurodegenerative diseases as well as their therapies. A better understanding of the GPCR microenvironment holds the promise of unprecedented therapeutic opportunities in terms of tissue specificity and specific pharmacological targeting that will eventually lead to the design of innovative and tailored drugs for precision medicine. To reach this goal the i-GPCRnet consortium will bring together chemists, biophysicists, bioinformaticians, mathematicians, systems and cellular biologists and pharmacologists.

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The Early Career Scientist Committee

is composed by young scientists from all levels, including PhD students, post-doctoral fellows and permanent researchers, from lab members of the i-GPCRnet IRN. The ECS committee aims to promote and support activities directed to young scientists of the i-GPCRnet IRN. Some of our actions include: active participation in the organisation of the i-GPCRnet IRN annual meeting; selection of oral short talks and poster prizes at the annual meeting; organisation and promotion of the annual i-GPCRnet WORKSHOP dedicated to ECS of the i-GPCRnet IRN; editing of the i-GPCRnet NEWSLETTER dedicated to all i-GPCRnet IRN members highlighting the latest news of the GPCR field and our industrial partners.

Rym BEN BOUBAKER

Andreas BOCK

Erika CECON

Xavier ITURRIOZ

Frédéric JEAN-ALPHONSE

Julie KARPENKO

Bernard MASRI

Katarina NEMEC

Laura LEMEL

Julie SANCHEZ

Franck VANDERMOEERE

Clémentine PHILIBERT

Romy THOMAS

Chanjuan XU

Ping YI

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The first annual meeting

We are pleased to announce the opening of the registration for the 1st meeting of the
International Research Network on GPCRs.
This fully virtual event will be held from **30th November to 3rd December 2021 (form 2 tp 7 p.m CET).**

The i-GPCRnet consortium aims to build upon the successes of the GDR 3545 on 'G protein-coupled receptors – from physiology to drugs'; bringing together chemists, pharmacologists, structural biologists, bioinformaticians, and systems biologists to study the GPCR microenvironment (http://www.i-gpcrnet.com).
To register, go to : http://www.i-gpcrnet.com/registration-amp-abstract-submission--71-16.html

1RST WORKSHOP OF THE I-GPCRNET

the ECS committee is happy to announce you the **1rst Workshop** of the i-GPCR*net* in collaboration with the Dr. Antoni Esteve Foundation (Spain) on the following topic :

"How to improve your scientific presentations"

It will be held on **November 22, 23 25, 26, and 29, 2021**, from **9:30 to 12:15 CET**.
The course is intense and would require the attendees to prepare them beforehand. They will have the weekend to prepare their final presentation.

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iGPCRnet Conference Program

Day 1: Tuesday, November 30, 2021

14.00-14.20 : Introduction
Hosts: Ralf Jockers/ Martin Lohse/ Stephen Hill

Session 1: Structural dynamics of GPCR activation and signaling
Chairs: Andreas Bock; Chanjuan Xu

14.20-14.40 **Jianfeng Liu** (Huazhong University of Science and Technology, China)
Activation mechanism of neurotransmitter GPCR
14.40-15.00 **Fei Xu** (ShanghaiTech University, China)
A self-activated orphan GPCR
15.00-15.20 **Chris Tate** (MRC Laboratory of Molecular Biology, UK)
Structure and activation of a Class D GPCR
15.20-15.40 **Tracy Handel** (University of California, San Diego, US)
Structure and activation mechanisms of G protein-coupled and atypical chemokine receptors
15.40-15.55 Discussion
5 min break
16.00-16.20 Flash presentations 1

Session 2: Computational biology in GPCR research
Chairs: Nicolas Floquet and Marcel Bermudez

16.30-16.50 **Masha Niv** (The Hebrew University, Israel)
Molecular match-making for taste GPCRs
16.50-17.10 **Patrick Barth** (Swiss Federal Institute of Technology, Switzerland)
Uncovering and reprogramming GPCR signaling by computational design
17.10-17.30 **Peter Kolb** (Philipps-University Marburg, Germany)
The pocketome of GPCRs reveals previously untargeted allosteric sites
17.30-17.50 **Xavier Deupi** (Paul Scherrer Institute, Switzerland)
Structural basis of the activation of the CC chemokine receptor 5 by a chemokine agonist
17.50-18.05 Discussion
5 min break
18.10-18.30 Flash presentations 2
10 min break
18.40-19.30 Keynote Lecture 1: **Jin Zhang** (University of California, San Diego, US)
Illuminating the Biochemical Activity Architecture of the Cell

Chair: Martin Lohse

Day 2: Wednesday, December 1, 2021

Session 3: Compartmentalisation of GPCR signaling
Chairs: Andreas Bock and Charlotte Kayser

14.00-14.20 **Viacheslav Nikolaev** (University Medical Center Hamburg, Germany)
Nanodomains of cardiac beta-adrenoceptor/cAMP signalling visualised by live cell imaging
14.20-14.40 **Manuela Zaccolo** (University of Oxford, Oxford, UK)
Mapping beta-adrenergic nanodomains in the heart using proteomics
14.40-15.00 **Meritxell Canals** (University of Nottingham, Nottingham, UK)
Modulation of the mu-opioid receptor signalling
15.00-15.20 **John Scott** (University of Washington, Seattle, US)
Exploring and exploiting the spatial constraints of cAMP signaling
15.20-15.35 Discussion
5 min break
15.40-16.00 Flash presentations 3
10 min break

Session 4: GPCR Physiology and Pathology
Chairs: Françoise Bachelerie; Charlotte Kayser

16.10-16.30 **Aylin Hanyaloglu** (Imperial College London, UK)
Endosomal programming of gonadotropin hormone receptor signalling; new pharmacological targets and models of disease
16.30-16.50 **Torsten Schöneberg** (University of Leipzig, Germany)
GPCR dysfunctions as causes of human pathologies
16.50-17.10 **Julie Perroy** (Institut de Génomique Fonctionnelle, FR)
Restoring glutamate receptosome dynamics at synapses rescues autism-like deficits in Shank3-deficient mice
17.10-17.30 **Paul Insel** (University of California San Diego, US)
GPCRs as novel therapeutic targets in cancers
17.30-17.45 Discussion
5 min break
17.50-18.10 Flash presentations 4
10 min break

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18.20-19.10 Keynote Lecture 2: **Jean-Philippe Pin** (Institut de Génomique Fonctionnelle, FR)
Structure and dynamics of the asymmetric activation of class C GPCR dimers
Chair: Stephen Hill

Day 3: Thursday, December 2, 2021

Session 5: Up and coming technologies to target GPCRs

Chairs: Laura Kilpatrick and Laura Lemel

14.00-14.20 **Carl White** (University of Western Australia, Australia)
CRISPR-tagging of chemokine receptors with Nanoluciferase to probe endogenous receptor function
14.20-14.40 **Eleonora Comeo** (University of Nottingham, UK)
Illuminating the Life of the Adenosine Receptors: Development and Application of Fluorescent Probes for the Study of the Adenosine A1 Receptor in Living Cells
14.40-15.00 **Mark Wheatley** (Coventry University, UK)
GPCR-Lipid Particles: the shape of things to come
15.00-15.20 **Mikel Garcia-Marcos** (Boston University, USA)
Versatile optical biosensors of heterotrimeric G protein activity
15.20-15.35 Discussion
5 min break
15.40-16.00 Flash presentations 5
10 min break

Session 6: GPCR crosstalk with microbe

Chair: Françoise Bachelerie

16.10-16.30 **Tim Lämmermann** (Max Planck Institute of Immunobiology and Epigenetics, Germany)
GPCR desensitization self-limits neutrophil swarms and control bacterial containment
16.30-16.50 **Martine Smit** (Vrije Universiteit Amsterdam, Netherlands)
Modulating viral GPCR function by nanobodies
16.50-17.10 **Nathalie Vergnolle** (INSERM U1220, FR)
Thrombin at the crossroads of GPCR and microbiota signalling
17.10-17.30 **Stefano Marullo** (Institut Cochin, FR)
Meningococcal/beta2 adrenergic interactions
17.30-17.45 Discussion
5 min break
17.50-18.10 Flash presentations 6
10 min break
18.20-19.10 Keynote Lecture 3: **Stefan Offermanns** (Max Planck Institute for Heart and Lung Research, Germany)
Novel GPCR functions in the cardiovascular and metabolic system
Chair: Ralf Jockers

Day 4: Friday, December 3, 2021

Session 7: Frizzled and adhesion GPCRs

Chairs: Laura Kilpatrick and Denis Servent

14.00-14.20 **Ines Liebscher** (University of Leipzig, Germany)
Translating the force – pharmacology and physiology of mechano-sensing adhesion GPCRs
14.20-14.40 **JinPeng Sun** (Shandong University School of Medicine, China)
Structural basis of recognizing steroid hormones by aGPCRs
14.40-15.00 **Hannes Schihada** (Karolinska Institute, Sweden)
Dissecting WNT-induced Frizzled activation and signalling with conformational sensors
15.00-15.20 **Xianhua Piao** (University of California San Francisco, USA)
Title TBD
15.20-15.35 Discussion
5 min break
15.40-16.00 Flash presentations 7
10 min break

Session 8: GPCRs and drug development

Chairs: Julie Sanchez and Denis Servent

16.10-16.30 **Mark Soave** (University of Nottingham, UK)
Monitoring Allosteric Interactions at CXCR4 using NanoBiT-conjugated Nanobodies
16.30-17.00 **Sadashiva S Karnik** (Cleveland Clinic Lerner College of Medicine, USA)
A Novel Allosteric Pocket in the Major Receptor for Angiotensin II
17.00-17.20 **Christa Müller** (University of Bonn, Germany)
Title TBD

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17.20-17.40 **Eric Trinquet** (Perkin Elmer, France)
Title TBD
17.40-18.00 Discussion
10 min break
18.10-19.00 **Keynote Lecture 4: Laura Bohn** (The Scripps Research Institute, USA)
Imparting biased agonism and allostery at the mu opioid receptor to improve the therapeutic index
Chair: Phillipe Marin
19.00-19.20 Awards & Conclusions
Chairs: Ralf Jockers & Denis Servent

End of Conference

The i-GPCRnet meeting organizing committee
Françoise Bachelerie (Université Paris-Saclay, France)
Denis Servent (Université Paris-Saclay, France)
Andreas Bock (MDC Berlin, Germany)
Charlotte Kayser (MDC Berlin, Germany)
Laura Kilpatrick (University of Nottingham, UK)
Laura Lemel (University of Nottingham, UK)
Julie Sanchez (University of Nottingham, UK)
Chanjuan Xu (Huazhong University of Science and Technology, China)
Pin Yi (Huazhong University of Science and Technology, China)

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Sponsors / Partners corner

The newly launched MultiScreen™ β-Arrestin Sensor technology is uniquely designed to examine β-arrestin activation via untagged, endogenous or orphan GPCRs. It overcomes receptor tagging drawbacks intrinsic to conventional technologies while meeting the requirements for high throughput screening. Upon receptor activation, β-Arrestin is recruited to the plasma membrane and two NanoLuc fragments complement to reconstitute functional luciferase. The signal is quantified by the resulting luminescence readout. This innovative assay promises a new generic method for measuring arrestin recruitment to diverse types of GPCRs in native cells.

Key benefits:

- Assess GPCRs in their native form for true pharmacology in vitro and in vivo
- Assay endogeously expressed GPCRs for more relevant data
- Characterize orphan GPCRs to expand your target pool
- Enable use of a single cell line for multiple GPCR assays for accelerated drug development
- 30-minute protocol for fast robust results

Learn more on how our MultiScreen™ β-Arrestin Sensor can uniquely empower your program: https://multispaninc.com

MULTISPAN
Assay Experts in GPCRs and Beyond

CALIXAR – 1st NEWSLETTER of the IRN i-GPCRnet

CALIXAR is happy to attend the IRN i-GPCRnet where Vincent CORVEST, Senior Scientist & Business manager, will introduce our platform for native membrane protein target isolation illustrated with a collaborative work on the discovery of new allosteric modulators targeting native A2A GPCR target : **don't miss It !**

On December 7th, you could also join the team during the CliniSciences Webinar, in which we will present our catalogue of high-quality purified membrane proteins and reagents. Let us know if you are interested to attend by sending a message to contact@calixar.com.

CALIXAR is a specialized in native membrane protein isolation. From extraction to stabilization including expression, purification, characterization, and crystallization, we offer high quality services for academics, biotech and pharmaceuticals companies.

CALIXAR
FUNCTIONAL MEMBRANE PROTEINS FOR LIFE

Sponsors / Partners corner

Synthelis part of one of the "Grand Défi" projects !
We are very proud to be part of the "improved Cell-Free" (iCFree) program lead by the Micalis institute in close collaboration with the I2BC and CarMen institutes. The main objective of the project is to develop and optimize different cell-free systems (CFPS) to produce almost any type of proteins. Machine learning tools will be applied to find an optimum for cell-free productivity and cost-effectiveness. Synthelis brings in this project its over 10 years of experience in protein production using cell-free technology and will be mainly in charge of the industrial aspects of the program.
This project is funded by one of the 5 grand challenges launched by the PIA program of the French government.
To learn more about Synthelis, please visit our website:
https://www.synthelis.com/

"This iCFree project is a great opportunity for SYNTHELIS to strengthen our position of cell-free expert. As the objective of the program is to reduce costs and increase productivity, it will strongly accelerate the industrialization of our cell-free technology and give us the possibility to extend our range of products and expression systems".

Bruno Tillier, CEO.

Synthelis
Your Cell-Free Partner

Upcoming GPCR meetings

7th German Pharm-Tox Summit 88th Annual Meeting of the German Society for Experimental and Clinical Pharmacology and Toxicology (DGPT)
March 07-10, 2022
Location: Bonn, Germany
Abstract Deadline: 28 November 2021
https://www.gpts-kongress.de/

Gordon Research Conference Ligand Recognition and Molecular Gating Linking Structure, Mechanism and Physiology of Ion Channels, GPCRs and Transporters
March 20 - 25, 2022
Location: Lucca (Barga), Italy
Abstract Deadline: February 20, 2022
https://www.grc.org/ligand-recognition-and-molecular-gating-conference/2022/

6th ERNEST General Meeting: Building a Comprehensive Map of GPCR Signal Transduction
March 28 – 30, 2022
Location: online
Abstract deadline: January 2022

Experimental Biology 2022
April 2 – 5, 2022
Location: Philadelphia, PA, US
Abstract deadline: November 30, 2021
https://www.experimentalbiology.org/

GPCRs: An Odyssey from Structure, Signaling and Regulation to Therapeutics
April 06 - 09, 2022
Location: Snowbird Resort, Snowbird, UT, US
Abstract deadline: January 5 2022, Discounted Registration Deadline: February 7 2022
https://www.keystonesymposia.org/ks/Online/Events/2022T6/GPCR-Signaling-Drug-Discovery.aspx?EventKey=2022T6

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Upcoming GPCR meetings

CGRP 2022: 10th International CGRP Family Peptides Conference 2022
April 11–12, 2022
Location: St John's College, Cambridge, UK
Abstracts deadline: 24 Jan 2022
https://meetings.bps.ac.uk/bpsevents/frontend/reg/thome.csp?pageID=44166&eventID=73&traceRedir=4

Adhesion GPCR workshop 2022
May 6 – 8, 2022
Location: Copenhagen, Denmark
Abstract submission deadline: January 31st, 2022, registration is free of charge
https://agpcr2020.ku.dk/

16th EFMC Short Course on Medicinal Chemistry: New Opportunities in GPCR Drug Discovery
May 8-11, 2022
Location: Oegstgeest (near Leiden), The Netherland
https://www.efmcshortcourses.org/

Gordon Research Conference Phosphorylation and G-Protein Mediated Signaling Networks. Molecular Mechanisms of Signal Integration in Cellular and Organismal Regulation
June 12-17, 2022
Location: Waterville Valley, NH, US
Abstract Deadline: May 15, 2022
https://www.grc.org/phosphorylation-and-g-protein-mediated-signaling-networks-conference/2022/

Gordon Research Conference Signaling by Adhesion Receptors. Adhesion Across Scales: From Molecules to Morphogenesis
July 17 - 22, 2022
Location: Manchester, NH, US
Abstract Deadline: June 19, 2022
https://www.grc.org/signaling-by-adhesion-receptors-conference/2022/

The G Protein-coupled Receptor Kinases and Arrestins Conference: Key Modulators of Signal Transduction
August 21-26, 2022
Location: Jupiter, Florida, US
https://meetings.bps.ac.uk/bpsevents/frontend/reg/thome.csp?pageID=44166&eventID=73&traceRedir=4

Workshop Understanding function of G-Protein Coupled Receptors by atomistic and multiscale simulations
September 12, 2022 - September 14, 2022
Location: CECAM-Lugano, Lugano, Switzerland
https://www.cecam.org/workshop-details/39

Pharmacology 2022
September 13-14, 2022
Location: Liverpool, UK
https://meetings.bps.ac.uk/bpsevents/frontend/reg/thome.csp?pageID=41018&eventID=68

4GPCRnet - International Symposium
September 26-29, 2022
Location: Leipzig, Germany
https://4gpcrnet.de/

23rd European Symposium on Quantitative Structure-Activity Relationship
September 26-30, 2022
Location: Heidelberg, Germany
https://4gpcrnet.de/

8th RSC / SCI symposium on GPCRs in Medicinal Chemistry
October 5-7, 2022
Location: Verona, Italy
Abstract deadline: 31st January (oral) and 11th August (poster)
https://www.rscbmcs.org/events/gpcrs/

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VIP List of recent GPCR-related publications

Research Articles

Acharya S, Kundu D, Kim KM. beta-Arrestin1 and GPCR kinase2 play permissive roles in Src-mediated endocytosis of alpha4beta2 nicotinic ACh receptors. Br J Pharmacol. 2021 Sep;178(17):3498-3516. doi: 10.1111/bph.15495.

Ågren R, Sahlholm K. G protein-coupled receptor kinase-2 confers isoform-specific calcium sensitivity to dopamine D(2) receptor desensitization. FASEB J. 2021 Nov; 35(11):e22013. doi: 10.1096/fj.202100704RR.

Al Zamel I, Palakkott A, Ayoub MA. Synergistic activation of thrombin and angiotensin II receptors revealed by bioluminescence resonance energy transfer. FEBS Lett. 2021 Oct; 595(20):2628-2637. doi: 10.1002/1873-3468.14187.

Arttamangkul S, Platt EJ, Carroll J, Farrens D. Functional independence of endogenous μ- and δ-opioid receptors co-expressed in cholinergic interneurons. Elife. 2021 Sep 3;10:e69740. doi: 10.7554/eLife.69740.

Cao AM, Quast RB, Fatemi F, Rondard P, Pin JP, Margeat E. Allosteric modulators enhance agonist efficacy by increasing the residence time of a GPCR in the active state. Nat Commun. 2021 Sep 14;12(1):5426. doi: 10.1038/s41467-021-25620-5.

Chen Q, Plasencia M, Li Z, Mukherjee S, Patra D, Chen C-L, Klose T, Yao X-Q, Kossiakoff A, Chang L, Andrews P, Tesmer J. Structures of rhodopsin in complex with G-protein-coupled receptor kinase 1. Nature. 595(7868):600-605. doi: 10.1038/s41586-021-03721-x.

Chen X, Wang L, Cui Q, Ding Z, Han L, Kou Y, Zhang W, Wang H, Jia X, Dai M, Shi Z, Li Y, Li X, Geng Y. Structural insights into the activation of human calcium-sensing receptor. Elife. 2021 10:e68578. doi: 10.7554/eLife.68578.

Chen Y, Fang S, Ding Q, Jiang R, He J, Wang Q, Jin Y, Huang X, Liu S, Capitano ML, Trinh T, Teng Y, Meng Q, Wan J, Broxmeyer HE, Guo B. ADGRG1 enriches for functional human hematopoietic stem cells following ex vivo expansion-induced mitochondrial oxidative stress. J Clin Invest. 2021 131(20):e148329. doi: 10.1172/JCI148329.

Chiu YH, Medina CB, Doyle CA, Zhou M, Narahari AK, Sandilos JK, Gonye EC, Gao HY, Guo SY, Parlak M, Lorenz UM, Conrads TP, Desai BN, Ravichandran KS, Bayliss DA. Deacetylation as a receptor-regulated direct activation switch for pannexin channels. Nat Commun. 2021 12(1):4482. doi: 10.1038/s41467-021-24825-y.

Choi KM, Haak AJ, Diaz Espinosa AM, Cummins KA, Link PA, Aravamudhan A, Wood DK, Tschumperlin DJ. GPCR-mediated YAP/TAZ inactivation in fibroblasts via EPAC1/2, RAP2C, and MAP4K7. J Cell Physiol. 2021 236(11):7759-7774. doi: 10.1002/jcp.30459.

Cong X, Maurel D, Déméné H, Vasiliauskaité-Brooks I, Hagelberger J, Peysson F, Saint-Paul J, Golebiowski J, Granier S, Sounier R. Molecular insights into the biased signaling mechanism of the μ-opioid receptor. Mol Cell. 2021 81(20):4165-4175.e6. doi: 10.1016/j.molcel.2021.07.033.

Cong Z, Zhou F, Zhang C, Zou X, Zhang H, Wang Y, Zhou Q, Cai X, Liu Q, Li J, Shao L, Mao C, Wang X, Wu J, Xia T, Zhao LH, Jiang H, Zhang Y, Xu HE, Cheng X, Yang D, Wang MW. Constitutive signal bias mediated by the human GHRHR splice variant 1. Proc Natl Acad Sci U S A. 2021 118(40):e2106606118. doi: 10.1073/pnas.2106606118.

Dasgupta S, Ghosh T, Dhar J, Bhuniya A, Nandi P, Das A, Saha A, Das J, Guha I, Banerjee S, Chakravarti M, Dasgupta PS, Alam N, Chakrabarti J, Majumdar S, Chakrabarti P, Storkus WJ, Baral R, Bose A. RGS5-TGFβ-Smad2/3 axis switches pro- to anti-apoptotic signaling in tumor-residing pericytes, assisting tumor growth. Cell Death Differ. 2021 28(11):3052-3076. doi: 10.1038/s41418-021-00801-3.

de la Fuente Revenga M, Zhu B, Guevara CA, Naler LB, Saunders JM, Zhou Z, Toneatti R, Sierra S, Wolstenholme JT, Beardsley PM, Huntley GW, Lu C, González-Maeso J. Prolonged epigenomic and synaptic plasticity alterations following single exposure to a psychedelic in mice. Cell Rep. 2021 37(3):109836. doi: 10.1016/j.celrep.2021.109836.

VIP List of recent GPCR-related publications

Research Articles

El Khamlichi C, Cobret L, Arrang JM, Morisset-Lopez S. BRET Analysis of GPCR Dimers in Neurons and Non-Neuronal Cells: Evidence for Inactive, Agonist, and Constitutive Conformations. Int J Mol Sci. 2021 22(19):10638. doi: 10.3390/ijms221910638.

Freitag H, Szklarski M, Lorenz S, Sotzny F, Bauer S, Philippe A, Kedor C, Grabowski P, Lange T, Riemekasten G, Heidecke H, Scheibenbogen C. Autoantibodies to Vasoregulative G-Protein-Coupled Receptors Correlate with Symptom Severity, Autonomic Dysfunction and Disability in Myalgic Encephalomyelitis/Chronic Fatigue Syndrome. J Clin Med. 2021 10(16):3675. doi: 10.3390/jcm10163675.

Fujiwara Y, Torphy RJ, Sun Y, Miller EN, Ho F, Borcherding N, Wu T, Torres RM, Zhang W, Schulick RD, Zhu Y. The GPR171 pathway suppresses T cell activation and limits antitumor immunity. Nat Commun. 2021 12(1):5857. doi: 10.1038/s41467-021-26135-9.

Gellatly KJ, Strassner JP, Essien K, Refat MA, Murphy RL, Coffin-Schmitt A, Pandya AG, Tovar-Garza A, Frisoli ML, Fan X, Ding X, Kim EE, Abbas Z, McDonel P, Garber M, Harris JE. scRNA-seq of human vitiligo reveals complex networks of subclinical immune activation and a role for CCR5 in Treg function. Sci Transl Med. 2021 13(610):eabd8995. doi: 10.1126/scitranslmed.abd8995

Giubilaro J, Schuetz DA, Stepniewski TM, Namkung Y, Khoury E, Lara-Márquez M, Campbell S, Beautrait A, Armando S, Radresa O, Duchaine J, Lamarche-Vane N, Claing A, Selent J, Bouvier M, Marinier A, Laporte SA. Discovery of a dual Ras and ARF6 inhibitor from a GPCR endocytosis screen. Nat Commun. 2021 12(1):4688. doi: 10.1038/s41467-021-24968-y.

Gong S, Fayette N, Heinsbroek JA, Ford CP. Cocaine shifts dopamine D2 receptor sensitivity to gate conditioned behaviors. Neuron. 2021109(21):3421-3435.e5. doi: 10.1016/j.neuron.2021.08.012

Gorkhali R, Tian L, Dong B, Bagchi P, Deng X, Pawar S, Duong D, Fang N, Seyfried N, Yang J. Extracellular calcium alters calcium-sensing receptor network integrating intracellular calcium-signaling and related key pathway. Sci Rep. 2021 11(1):20576. doi: 10.1038/s41598-021-00067-2.

Grison CM, Lambey P, Jeannot S, Del Nero E, Fontanel S, Peysson F, Heuninck J, Sounier R, Durroux T, Leyrat C, Granier S, Bechara C. Molecular insights into mechanisms of GPCR hijacking by Staphylococcus aureus. Proc Natl Acad Sci U S A. 2021 Oct 19; 118(42):e2108856118. doi: 10.1073/pnas.2108856118.

Hegron A, Huh E, Deupi X, Sokrat B, Gao W, Le Gouill C, Canouil M, Boissel M, Charpentier G, Roussel R, Balkau B, Froguel P, Plouffe B, Bonnefond A, Lichtarge O, Jockers R, Bouvier M. Identification of Key Regions Mediating Human Melatonin Type 1 Receptor Functional Selectivity Revealed by Natural Variants. ACS Pharmacol Transl Sci. 2021 4(5):1614-1627. doi: 10.1021/acsptsci.1c00157.

Delpech JC, Pathak D, Varghese M, Kalavai SV, Hays EC, Hof PR, Johnson WE, Ikezu S, Medalla M, Luebke JI, Ikezu T. Wolframin-1-expressing neurons in the entorhinal cortex propagate tau to CA1 neurons and impair hippocampal memory in mice. Sci Transl Med. 2021 13(611):eabe8455. doi: 10.1126/scitranslmed.abe8455

Jia L, Li S, Dai W, Guo L, Xu Z, Scott AM, Zhang Z, Ren J, Zhang Q, Dexheimer TS, Chung-Davidson YW, Neubig RR, Li Q, Li W. Convergent olfactory trace amine-associated receptors detect biogenic polyamines with distinct motifs via a conserved binding site. J Biol Chem. 2021 297(5):101268. doi: 10.1016/j.jbc.2021.101268

Kern TS, Du Y, Tang J, Lee CA, Liu H, Dreffs A, Leinonen H, Antonetti DA, Palczewski K. Regulation of Adrenergic, Serotonin, and Dopamine Receptors to Inhibit Diabetic Retinopathy: Monotherapies versus Combination Therapies. Mol Pharmacol. 2021 100(5):470-479. doi: 10.1124/molpharm.121.000278.

Ko MJ, Chiang T, Mukadam AA, Mulia GE, Gutridge AM, Lin A, Chester JA, van Rijn RM. β-Arrestin-dependent ERK signaling reduces anxiety-like and conditioned fear-related behaviors in mice. Sci Signal. 2021 14(694):eaba0245. doi: 10.1126/scisignal.aba0245.

VIP List of recent GPCR-related publications

Research Articles

Kobayashi Y, Tomoshige S, Imakado K, Sekino Y, Koganezawa N, Shirao T, Diniz GB, Miyamoto T, Saito Y. Ciliary GPCR-based transcriptome as a key regulator of cilia length control. FASEB Bioadv. 2021 3(9):744-767. doi: 10.1096/fba.2021-00029.

Kuen DS, Park M, Ryu H, Choi G, Moon YH, Kim JO, Kang KW, Kim SG, Chung Y. Critical regulation of follicular helper T cell differentiation and function by Gα13 signaling. Proc Natl Acad Sci U S A. 2021 118(43):e2108376118. doi: 10.1073/pnas.2108376118.

Kumar GA, Sarkar P, Stepniewski TM, Jafurulla M, Singh SP, Selent J, Chattopadhyay A. A molecular sensor for cholesterol in the human serotonin1A receptor. Sci Adv. 2021 7(30):eabh2922. doi: 10.1126/sciadv.abh2922.

Lee JJ, Alzamil J, Rehman S, Pan W, Dimke H, Alexander RT. Activation of the calcium sensing receptor increases claudin-14 expression via a PLC - p38-Sp1 pathway. FASEB J. 2021 35(11):e21982. doi: 10.1096/fj.202002137RRR.

Li J, Ding Y, Liu H, He H, Yu D, Wang X, Wang X, Yu X, Ge B, Huang F. Oligomerization-Enhanced Receptor-Ligand Binding Revealed by Dual-Color Simultaneous Tracking on Living Cell Membranes. J Phys Chem Lett. 2021 12(34):8164-8169. doi: 10.1021/acs.jpclett.1c01844.

Li S, Luo H, Lou R, Tian C, Miao C, Xia L, Pan C, Duan X, Dang T, Li H, Fan C, Tang P, Zhang Z, Liu Y, Li Y, Xu F, Zhang Y, Zhong G, Hu J, Shui W. Multiregional profiling of the brain transmembrane proteome uncovers novel regulators of depression. Sci Adv. 2021 7(30):eabf0634. doi: 10.1126/sciadv.abf0634.

Li X, Fetter R, Schwabe T, Jung C, Liu L, Steller H, Gaul U. The cAMP effector PKA mediates Moody GPCR signaling in Drosophila blood-brain barrier formation and maturation. Elife. 2021 10:e68275. doi: 10.7554/eLife.68275

Li Y, Heng J, Sun D, Zhang B, Zhang X, Zheng Y, Shi WW, Wang TY, Li JY, Sun X, Liu X, Zheng JS, Kobilka BK, Liu L. Chemical Synthesis of a Full-Length G-Protein-Coupled Receptor β2-Adrenergic Receptor with Defined Modification Patterns at the C-Terminus. J Am Chem Soc. 2021 143(42):17566-17576. doi: 10.1021/jacs.1c07369.

Li Y, Wang X, Lu L, Wang M, Zhai Y, Tai X, Dilimulati D, Lei X, Xu J, Zhang C, Fu Y, Qu S, Li Q, Zhang C. Identification of novel GPCR partners of the central melanocortin signaling. Mol Metab. 2021 53:101317. doi: 10.1016/j.molmet.2021.101317.

Lin S, Han S, Cai X, Tan Q, Zhou K, Wang D, Wang X, Du J, Yi C, Chu X, Dai A, Zhou Y, Chen Y, Zhou Y, Liu H, Liu J, Yang D, Wang MW, Zhao Q, Wu B. Structures of Gi-bound metabotropic glutamate receptors mGlu2 and mGlu4. Nature. 594(7864):583-588. doi: 10.1038/s41586-021-03495-2.

Liu H, Deepak RNVK, Shiriaeva A, Gati C, Batyuk A, Hu H, Weierstall U, Liu W, Wang L, Cherezov V, Fan H, Zhang C. Molecular basis for lipid recognition by the prostaglandin D2 receptor CRTH2. Proc Natl Acad Sci U S A. 2021 118(32):e2102813118. doi: 10.1073/pnas.2102813118.

Liu Q, Yang D, Zhuang Y, Croll TI, Cai X, Dai A, He X, Duan J, Yin W, Ye C, Zhou F, Wu B, Zhao Q, Xu HE, Wang MW, Jiang Y. Ligand recognition and G-protein coupling selectivity of cholecystokinin A receptor. Nat Chem Biol. 2021 doi: 10.1038/s41589-021-00841-3.

Louet M, Casiraghi M, Damian M, Costa MG, Renault P, Gomes AA, Batista PR, M'Kadmi C, Mary S, Cantel S, Denoyelle S, Ben Haj Salah K, Perahia D, Bisch PM, Fehrentz JA, Catoire LJ, Floquet N, Banères JL. Concerted conformational dynamics and water movements in the ghrelin G protein-coupled receptor. Elife. 2021 10:e63201. doi: 10.7554/eLife.63201.

Lu S, He X, Yang Z, Chai Z, Zhou S, Wang J, Rehman AU, Ni D, Pu J, Sun J, Zhang J. Activation pathway of a G protein-coupled receptor uncovers conformational intermediates as targets for allosteric drug design. Nat Commun. 2021 Aug 5;12(1):4721. doi: 10.1038/s41467-021-25020-9.

Lyu C, Ye Y, Lensing MM, Wagner KU, Weigel RJ, Chen S. Targeting Gi/o protein-coupled receptor signaling blocks HER2-induced breast cancer development and enhances HER2-targeted therapy. JCI Insight. 2021 6(18):e150532. doi: 10.1172/jci.insight.150532.

VIP List of recent GPCR-related publications

Research Articles

Markova V, Hejnova L, Benda A, Novotny J, Melkes B. β-Arrestin 1 and 2 similarly influence μ-opioid receptor mobility and distinctly modulate adenylyl cyclase activity. Cell Signal. 2021 87:110124. doi: 10.1016/j.cellsig.2021.110124.

Martynowycz MW, Shiriaeva A, Ge X, Hattne J, Nannenga BL, Cherezov V, Gonen T. MicroED structure of the human adenosine receptor determined from a single nanocrystal in LCP. Proc Natl Acad Sci U S A. 2021 118(36):e2106041118. doi: 10.1073/pnas.2106041118.

Mendes de Oliveira E, Keogh JM, Talbot F, Henning E, Ahmed R, Perdikari A, Bounds R, Wasiluk N, Ayinampudi V, Barroso I, Mokrosiński J, Jyothish D, Lim S, Gupta S, Kershaw M, Matei C, Partha P, Randell T, McAulay A, Wilson LC, Cheetham T, Crowne EC, Clayton P, Farooqi IS. Obesity-Associated GNAS Mutations and the Melanocortin Pathway. N Engl J Med. 2021 385(17):1581-1592. doi: 10.1056/NEJMoa2103329.

Mori D, Tsujikawa T, Sugiyama Y, Kotani SI, Fuse S, Ohmura G, Arai A, Kawaguchi T, Hirano S, Mazda O, Kishida T. Extracellular acidity in tumor tissue upregulates programmed cell death protein 1 expression on tumor cells via proton-sensing G protein-coupled receptors. Int J Cancer. 2021149(12):2116-2124. doi: 10.1002/ijc.33786.

Pandey S, Kumari P, Baidya M, Kise R, Cao Y, Dwivedi-Agnihotri H, Banerjee R, Li XX, Cui CS, Lee JD, Kawakami K, Maharana J, Ranjan A, Chaturvedi M, Jhingan GD, Laporte SA, Woodruff TM, Inoue A, Shukla AK. Intrinsic bias at non-canonical, β-arrestin-coupled seven transmembrane receptors. Mol Cell. 2021 S1097-2765(21)00741-3. doi: 10.1016/j.molcel.2021.09.007.

Pani B, Ahn S, Rambarat PK, Vege S, Kahsai AW, Liu A, Valan BN, Staus DP, Costa T, Lefkowitz RJ. Unique Positive Cooperativity Between the β-Arrestin-Biased β-Blocker Carvedilol and a Small Molecule Positive Allosteric Modulator of the β2-Adrenergic Receptor. Mol Pharmacol. 2021 100(5):513-525. doi: 10.1124/molpharm.121.000363.

Pillaiyar T, Rosato F, Wozniak M, Blavier J, Charles M, Laschet C, Kronenberger T, Müller CE, Hanson J. Structure-activity relationships of agonists for the orphan G protein-coupled receptor GPR27. Eur J Med Chem. 2021 225:113777. doi: 10.1016/j.ejmech.2021.113777.

Ping Y, Mao C, Xiao P, Zhao R, Jiang Y, Yang Z, An W, Shen D, Yang F, Zhang H, Qu C, Shen Q, Tian C, Li Z, Li S, Wang G, Tao X, Wen X, Zhong Y, Yang J, Yi F, Yu X, Xu H, Zhang Y, Sun J. Structures of the glucocorticoid-bound adhesion receptor GPR97-Go complex. Nature. 589(7843):620-626. doi: 10.1038/s41586-020-03083-w.

Qing J, Ren Y, Zhang Y, Yan M, Zhang H, Wu D, Ma Y, Chen Y, Huang X, Wu Q, Mazhar M, Wang L, Liu J, Ding BS, Cao Z. Dopamine receptor D2 antagonization normalizes profibrotic macrophage-endothelial crosstalk in non-alcoholic steatohepatitis. J Hepatol. 2021: S0168-8278(21)02097-3. doi: 10.1016/j.jhep.2021.09.032.

Rada CC, Mejia-Pena H, Grimsey NJ, Canto Cordova I, Olson J, Wozniak JM, Gonzalez DJ, Nizet V, Trejo J. Heat shock protein 27 activity is linked to endothelial barrier recovery after proinflammatory GPCR-induced disruption. Sci Signal. 2021 14(698):eabc1044. doi: 10.1126/scisignal.abc1044.

Rathinaswamy MK, Dalwadi U, Fleming KD, Adams C, Stariha JTB, Pardon E, Baek M, Vadas O, DiMaio F, Steyaert J, Hansen SD, Yip CK, Burke JE. Structure of the phosphoinositide 3-kinase (PI3K) p110γ-p101 complex reveals molecular mechanism of GPCR activation. Sci Adv. 2021 7(35):eabj4282. doi: 10.1126/sciadv.abj4282.

Rich TC, Leavesley SJ, Brandon AP, Evans CA, Raju SV, Wagener BM. Phosphodiesterase 4 mediates interleukin-8-induced heterologous desensitization of the beta(2) -adrenergic receptor. FASEB J. 2021 35(10):e21946. doi: 10.1096/fj.202002712RR.

Sanchez JE, Kc GB, Franco J, Allen WJ, Garcia JD, Sirimulla S. BiasNet: A Model to Predict Ligand Bias Toward GPCR Signaling. J Chem Inf Model. 2021 61(9):4190-4199. doi: 10.1021/acs.jcim.1c00317.

VIP List of recent GPCR-related publications

Research Articles

Sánchez M, Els-Heindl S, Beck-Sickinger A, Wieneke R, Tampé R. Photoinduced receptor confinement drives ligand-independent GPCR signaling. Science. 371(6536):eabb7657. doi: 10.1126/science.abb7657.

Schihada H, Shekhani R, Schulte G. Quantitative assessment of constitutive G protein-coupled receptor activity with BRET-based G protein biosensors. Sci Signal. 2021 14(699):eabf1653. doi: 10.1126/scisignal.abf1653.

Seo Y, Heo Y, Jo S, Park SH, Lee C, Chang J, Jeon DK, Kim TG, Han G, Namkung W. Novel positive allosteric modulator of protease-activated receptor 1 promotes skin wound healing in hairless mice. Br J Pharmacol. 2021 178(17):3414-3427. doi: 10.1111/bph.15489.

Shen C, Mao C, Xu C, Jin N, Zhang H, Shen D, Shen Q, Wang X, Hou T, Chen Z, Rondard P, Pin J-P, Zhang Y, Liu J. Structural basis of GABAB receptr-Gi protein coupling. Nature. 594(7864):594-598. doi: 10.1038/s41586-021-03507-1.

Singh KD, Jara ZP, Harford T, Saha PP, Pardhi TR, Desnoyer R, Karnik SS. Novel allosteric ligands of the angiotensin receptor AT1R as autoantibody blockers. Proc Natl Acad Sci U S A. 2021 118(33):e2019126118. doi: 10.1073/pnas.2019126118.

Smith JS, Pack TF, Inoue A, Lee C, Zheng K, Choi I, Eiger DS, Warman A, Xiong X, Ma Z, Viswanathan G, Levitan IM, Rochelle LK, Staus DP, Snyder JC, Kahsai AW, Caron MG, Rajagopal S. Noncanonical scaffolding of Gαi and β-arrestin by G protein-coupled receptors. Science. 371(6534):eaay1833. doi: 10.1126/science.aay1833.

Szlenk CT, Gc JB, Natesan S. Membrane-Facilitated Receptor Access and Binding Mechanisms of Long-Acting β2-Adrenergic Receptor Agonists. Mol Pharmacol. 2021 100(4):406-427. doi: 10.1124/molpharm.121.000285

Toda Y, Hayakawa T, Itoigawa A, Kurihara Y, Nakagita T, Hayashi M, Ashino R, Melin AD, Ishimaru Y, Kawamura S, Imai H, Misaka T. Evolution of the primate glutamate taste sensor from a nucleotide sensor. Curr Biol. 2021 31(20):4641-4649.e5. doi: 10.1016/j.cub.2021.08.002.

Topin J, Bouysset C, Pacalon J, Kim Y, Rhyu MR, Fiorucci S, Golebiowski J. Functional molecular switches of mammalian G protein-coupled bitter-taste receptors. Cell Mol Life Sci. 2021 doi: 10.1007/s00018-021-03968-7.

Tsuchiya Y, Taneishi K, Yonezawa Y. Autoencoder-based detection of the residues involved in G protein-coupled receptor signaling. Sci Rep. 2021 11(1):19867. doi: 10.1038/s41598-021-99019-z.

Vadevoo SMP, Gunassekaran GR, Lee C, Lee N, Lee J, Chae S, Park JY, Koo J, Lee B. The macrophage odorant receptor Olfr78 mediates the lactate-induced M2 phenotype of tumor-associated macrophages. Proc Natl Acad Sci U S A. 2021 118(37):e2102434118. doi: 10.1073/pnas.2102434118.

Velazhahan V, Ma N, Pándy-Szekeres G, Kooistra A, Lee Y, Gloriam D, Vaidehi N, Tate C. Structure of the class D GPCR Ste2 dimer coupled to two G proteins. Nature. 589(7840):148-153. doi: 10.1038/s41586-020-2994-1.

Von Moo E, Harpsøe K, Hauser AS, Masuho I, Bräuner-Osborne H, Gloriam DE, Martemyanov KA. Ligand-directed bias of G protein signaling at the dopamine D2 receptor. Cell Chem Biol. 2021 S2451-9456(21)00314-7. doi: 10.1016/j.chembiol.2021.07.004.

Wan J, Wang J, Wagner LE 2nd, Wang OH, Gui F, Chen J, Zhu X, Haddock AN, Edenfield BH, Haight B, Mukhopadhyay D, Wang Y, Yule DI, Bi Y, Ji B. Pancreas-specific CHRM3 activation causes pancreatitis in mice. JCI Insight. 2021 6(17):e132585. doi: 10.1172/jci.insight.132585.

Wang X, Cheng X, Zhao L, Wang Y, Ye C, Zou X, Dai A, Cong Z, Chen J, Zhou Q, Xia T, Jiang H, Xu HE, Yang D, Wang MW. Molecular insights into differentiated ligand recognition of the human parathyroid hormone receptor 2. Proc Natl Acad Sci U S A. 2021 118(32):e2101279118. doi: 10.1073/pnas.2101279118.

VIP List of recent GPCR-related publications

Research Articles

Wang X, Liu D, Shen L, Li F, Li Y, Yang L, Xu T, Tao H, Yao D, Wu L, Hirata K, Bohn LM, Makriyannis A, Liu X, Hua T, Liu ZJ, Wang J. A Genetically Encoded F-19 NMR Probe Reveals the Allosteric Modulation Mechanism of Cannabinoid Receptor 1. J Am Chem Soc. 2021 143(40):16320-16325. doi: 10.1021/jacs.1c06847.

Wang Y, Cao Y, Hays CL, Laboute T, Ray TA, Guerrero-Given D, Ahuja AS, Patil D, Rivero O, Kamasawa N, Kay JN, Thoreson WB, Martemyanov KA. Adhesion GPCR Latrophilin 3 regulates synaptic function of cone photoreceptors in a trans-synaptic manner. Proc Natl Acad Sci U S A. 2021 118(45):e2106694118. doi: 10.1073/pnas.2106694118.

Wang Y, Gai S, Zhang W, Huang X, Ma S, Huo Y, Wu Y, Tu H, Pin JP, Rondard P, Xu C, Liu J. The GABAB receptor mediates neuroprotection by coupling to G13. Sci Signal. 2021 14(705):eaaz4112. doi: 10.1126/scisignal.aaz4112.

Wu N, Olechwier AM, Brunner C, Edwards PC, Tsai CJ, Tate CG, Schertler GFX, Schneider G, Deupi X, Zenobi R, Ma P. High-mass MALDI-MS unravels ligand-mediated G protein-coupling selectivity to GPCRs. Proc Natl Acad Sci U S A. 2021 118(31):e2024146118. doi: 10.1073/pnas.2024146118.

Zhang X, Li N, Zhang J, Zhang Y, Yang X, Luo Y, Zhang B, Xu Z, Zhu Z, Yang X, Yan Y, Lin B, Wang S, Chen D, Ye C, Ding Y, Lou M, Wu Q, Hou Z, Zhang K, Liang Z, Wei A, Wang B, Wang C, Jiang N, Zhang W, Xiao G, Ma C, Ren Y, Qi X, Han W, Wang C, Rao F. 5-IP(7) is a GPCR messenger mediating neural control of synaptotagmin-dependent insulin exocytosis and glucose homeostasis. Nat Metab. 2021 3(10):1400-1414. doi: 10.1038/s42255-021-00468-7.

VIP List of recent GPCR-related publications

Reviews

Abd-Elrahman KS, Sarasija S, Ferguson SSG. The role of neuroglial metabotropic glutamate receptors in Alzheimer's disease. Curr Neuropharmacol. 2021. doi: 10.2174/1570159X19666210916102638.

Chen L, Jung HJ, Datta A, Park E, Poll BG, Kikuchi H, Leo KT, Mehta Y, Lewis S, Khundmiri SJ, Khan S, Chou CL, Raghuram V, Yang CR, Knepper MA. Systems Biology of the Vasopressin V2 Receptor: New Tools for Discovery of Molecular Actions of a GPCR. Annu Rev Pharmacol Toxicol. 2021. doi: 10.1146/annurev-pharmtox-052120-011012.

D'Urso O, Drago F. Pharmacological significance of extra-oral taste receptors. Eur J Pharmacol. 2021;910:174480. doi: 10.1016/j.ejphar.2021.174480.

Ferré S, Ciruela F, Dessauer CW, González-Maeso J, Hébert TE, Jockers R, Logothetis DE, Pardo L. G protein-coupled receptor-effector macromolecular membrane assemblies (GEMMAs). Pharmacol Ther. 2021 1:107977. doi: 10.1016/j.pharmthera.2021.107977

Hoare SRJ, Hughes TE. Biosensor Assays for Measuring the Kinetics of G-Protein and Arrestin-Mediated Signaling in Live Cells. 2021. In: Assay Guidance Manual [Internet]. Bethesda (MD): Eli Lilly & Company and the National Center for Advancing Translational Sciences; 2004–. PMID: 34606191.

Kalinkovich A, Livshits G.Biased and allosteric modulation of bone cell-expressing G protein-coupled receptors as a novel approach to osteoporosis therapy. Pharmacol Res. 2021 171:105794. doi: 10.1016/j.phrs.2021.105794.

Kilpatrick LE, Hill SJ. The use of fluorescence correlation spectroscopy to characterise the molecular mobility of G protein-coupled receptors in membrane microdomains: an update. Biochem Soc Trans. 2021 49(4):1547-1554. doi: 10.1042/BST20201001.

Kozielewicz P, Schihada H, Schulte G. Employing Genetically Encoded, Biophysical Sensors to Understand WNT/Frizzled Interaction and Receptor Complex Activation. Handb Exp Pharmacol. 2021 269:101-115. doi: 10.1007/164_2021_534.

Liebscher I, Cevheroğlu O, Hsiao CC, Maia AF, Schihada H, Scholz N, Soave M, Spiess K, Trajković K, Kosloff M, Prömel S. A Guide to Adhesion GPCR research. FEBS J. 2021 doi: 10.1111/febs.16258.

Mlyniec K, Siodłak D, Doboszewska U, Nowak G. GPCR oligomerization as a target for antidepressants: Focus on GPR39. Pharmacol Ther. 2021 225:107842. doi: 10.1016/j.pharmthera.2021.107842.

Olson KM, Traynor JR, Alt A. Allosteric Modulator Leads Hiding in Plain Site: Developing Peptide and Peptidomimetics as GPCR Allosteric Modulators. Front Chem. 2021 9:671483. doi: 10.3389/fchem.2021.671483.

Palanisamy S, Xue C, Ishiyama S, Prasad SVN, Gabrielson K. GPCR-ErbB transactivation pathways and clinical implications. Cell Signal. 2021 86: 110092. doi: 10.1016/j.cellsig.2021.110092.

Vilardaga JP, Sutkeviciute I, Peña KA. Shining light on location-biased cAMP signaling. J Biol Chem. 2021 297(3):101118. doi: 10.1016/j.jbc.2021.101118.

VIP List of recent GPCR-related publications

Special Publications: GPCR related to COVID-9

Cecon E, Izabelle C, Poder SL, Real F, Zhu A, Tu L, Ghigna MR, Klonjkowski B, Bomsel M, Jockers R, Dam J. Therapeutic potential of melatonin and melatonergic drugs on K18-hACE2 mice infected with SARS-CoV-2. J Pineal Res. 2021 Sep 29:e12772. doi: 10.1111/jpi.12772. Epub ahead of print. PMID: 34586649.

Zhang Q, Friedman PA. Receptor-Loaded Virion Endangers GPCR Signaling: Mechanistic Exploration of SARS-CoV-2 Infections and Pharmacological Implications. Int J Mol Sci. 2021 22(20):10963. doi: 10.3390/ijms222010963.

Xiao J, Zhang B, Su Z, Liu Y, Shelite TR, Chang Q, Qiu Y, Bei J, Wang P, Bukreyev A, Soong L, Jin Y, Ksiazek T, Gaitas A, Rossi SL, Zhou J, Laposata M, Saito TB, Gong B. Intracellular receptor EPAC regulates von Willebrand factor secretion from endothelial cells in a PI3K/eNOS-dependent manner during inflammation. J Biol Chem. 2021 19:101315. doi: 10.1016/j.jbc.2021.101315.

Birch CA, Molinar-Inglis O, Trejo J. Subcellular hot spots of GPCR signaling promote vascular inflammation. Curr Opin Endocr Metab Res. 2021 16:37-42. doi: 10.1016/j.coemr.2020.07.011.

Wallukat G, Hohberger B, Wenzel K, Fürst J, Schulze-Rothe S, Wallukat A, Hönicke AS, Müller J. Functional autoantibodies against G-protein coupled receptors in patients with persistent Long-COVID-19 symptoms. J Transl Autoimmun. 2021;4:100100. doi: 10.1016/j.jtauto.2021.100100. Epub 2021 Apr 16. PMID: 33880442; PMCID: PMC8049853.