



Image courtesy of Mi Ra Chang.

■ MI RA CHANG

Current position: Research Associate with Dr. Patrick Griffin

Education: Chungnam National University, B.S. in Biochemistry, 1995; M.S. in Biochemistry, 1998; Ph.D. in Biochemistry, 2005

Nonscientific interests: Health food, knitting, music, snorkeling

My Ph.D. studies focused on tumor therapy using membrane-bound forms of IL-2 and IL-4. Cytokine therapy can have severe side effects by triggering systemic immune cell activation. The goal of my research was to trigger local immune cell activation of tumor-specific cytotoxic T cells. For my Master's degree, my research focused on the mechanism of antigen presentation, where I evaluated whether the GPI-linked Qa-2 MHC can present self-antigen to cytotoxic T lymphocytes (CTL). To test this, I generated a transmembrane Qa-2 chimera (Qa2/TNF) and tested it for CTL activity. These studies sparked my interests in molecular pharmacology and chemical biology. Therefore I joined the Griffin laboratory, which focuses on mechanistic and structure–function analysis of small molecule modulators of nuclear receptors. Recently our lab discovered a series of synthetic modulators of the orphan nuclear receptors RORA and RORG. Using iterative synthetic chemistry approaches, we developed potent and selective inverse agonists of RORG; one such compound is SR2211. Since RORG is the master regulator of Th17 cell development, we demonstrated that compounds like SR1001 and SR2211 could potentially inhibit Th17 cell differentiation. Subsequently we demonstrated that these compounds provide protection to disease in animal models of such as the CIA model of arthritis and the EAE model of multiple sclerosis. Optimization of the drug like properties of these compounds is ongoing. These molecules may have therapeutic potential for autoimmune diseases such as rheumatoid arthritis and multiple sclerosis. (Read Chang's article, DOI: 10.1021/cb200496y)



Image courtesy Varun Dewan.

■ VARUN DEWAN

Current position: Ph.D. candidate in Biochemistry, Department of Chemistry and Biochemistry, The Ohio State University, Advisor: Dr. Karin Musier-Forsyth (2006–present)

Education: Panjab University, Chandigarh, India, M.Sc (Hons) in Biochemistry, 2006, Thesis Advisor: Dr. Sanjeev Puri; Panjab University, Chandigarh, India, B.Sc (Hons) in Biochemistry, 2004, Thesis Advisor: Dr. Sanjeev Puri

Nonscientific interests: Badminton, sports, and movies

My Ph.D. work is focused on understanding the molecular mechanisms of various virus–host interaction pathways. Identifying these interactions and developing inhibitors by utilizing combinatorially developed libraries or molecules selected from *in silico* screens is always of great interest to me. In this paper, we targeted the interaction of host Lysyl-tRNA Synthetase (LysRS) protein, which the virus picks up during its infectious cycle via interactions with Capsid (CA) for primer tRNA^{Lys,3} packaging, by employing cyclic peptide molecules against CA. These inhibitors showed inhibitory activity *in vitro* by preventing the interaction between the host-viral proteins. NMR analysis suggested that the cyclic peptides bound at the same site where LysRS interacts with CA. My long-term goals are to work in the field of translational research of HIV-1. (Read Dewan's article, DOI: 10.1021/cb200450w)



Image courtesy of Johandie Gildenhuyis.

■ JOHANDIE GILDENHUYIS

Current position: University of Stellenbosch (US), Department of Chemistry and Polymer Science. Graduate student pursuing Ph.D. under the supervision of Dr Katherine de Villiers and Dr Tanya le Roex.

Education: University of Stellenbosch, B.Sc. in Chemistry and Polymer Science, 2008; BSc. Hons. in Chemistry, 2009.

Nonscientific interests: Hiking, theater, dancing, traveling, and reading.

Malaria remains one of the top five infectious diseases in terms of mortality. Owing to increasing parasitic resistance toward chloroquine and other quinoline antimalarials, insight into the molecular mechanism(s) of action of these historically important drugs is imperative for the design of new antimalarials. My research at the University of Stellenbosch is focused on understanding the inhibition of synthetic malaria pigment

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(β -hematin) formation by quinoline antimalarial drugs. This includes analysis and characterization of their solution- and solid-state complexes with their proposed target, iron(III) protoporphyrin IX, as well as studies on the kinetics of β -hematin inhibition under biomimetic conditions. (Read Gildenhuis' article, DOI: 10.1021/cb200528z)

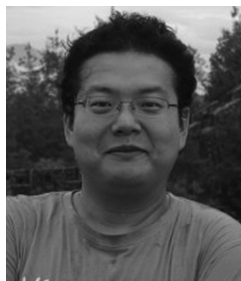


Image courtesy of Bi-Hai Huang.

■ BI-HAI HUANG

Current position: Wuhan University, China, Ph.D. candidate under the supervision of Prof. Dai-Wen Pang at Key Laboratory of Analytical Chemistry for Biology and Medicine (Ministry of Education), College of Chemistry and Molecular Sciences.

Education: Hubei University, China, B.S. in Chemical Biology, 2005

Nonscientific interests: Art, traveling, reading, and chess

My main research interests focus on the surface modification and functionalization of nanoparticles with biomolecules to create technology platforms for diagnostics and imaging analysis of biosystems. We constructed a QDs modification and functionalization platform based on amphiphilic polymer and put forward a new modified PCR strategy for constructing one-to-one QD-modified long DNA probes. In this work, a host cell-assisted surface labeling strategy for enveloped viruses with high efficiency, good versatility, simple procedures and low technical barriers was proposed, offering a good example for solving problems with biological systems. Taking the advantages of natural assembly process of viruses inside host cells, the infectivity of functionalized viruses can be preserved to the largest extent. Then, after binding with streptavidin-X, the viruses will acquire new functions because of the characteristics of the X. (Read Huang's article, DOI: 10.1021/cb2001878)



Image courtesy of Xiaohong Jian.

■ XIAOHONG JIAN

Current position: State Key Laboratory of Bioorganic and Natural Products Chemistry, Shanghai Institute of Organic Chemistry, Research Associate with Dr. Gong-Li Tang,

Education: Xinjiang University, B.S. in Biology, 1997; M.S. in Molecular Biology, with Prof. Fuchun Zhang, 2002; Ph.D. in Microbiology, Shanghai Jiaotong University, China, with Prof.

Zixin Deng, 2008; Postdoctoral Researcher, Shanghai Institute of Organic Chemistry with Gong-Li Tang, 2008–2010

Nonscientific interests: Reading, movies

My Ph.D. research focused on the molecular mechanisms for jinggangmycin biosynthesis. I cloned three gene clusters during the period as a postdoctoral researcher. Now as a research associate with Dr. Gong-Li Tang, we are exploring the biosynthesis of cyclopeptide containing a polyoxazole-thiazole moiety. By studying the pathway involved in cyclopeptide, we hope to enlighten novel enzymatic reaction and produce new structural analogues by combinatorial biosynthesis. This work involved the biosynthesis gene cluster of YM-216391, one member of cyclopeptide with antitumor activity. The biosynthetic gene cluster of YM-216391 was expressed heterologously. The yield increased about 20-fold higher by deletion of a putative transcriptional regulator. (Read Jian's article, DOI: 10.1021/cb200479f)

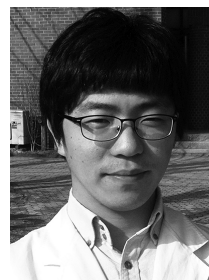


Image courtesy of Woong-Hee Kim.

■ WOONG-HEE KIM

Current position: Graduate student with Prof. Darren R. Williams in the New Drug Targets Laboratory, Gwangju Institute of Science and Technology, School of Life Science, Republic Of Korea

Education: Chonbuk National University, Republic of Korea, B.S. in Biological Education, 2010

Nonscientific interests: Fishing and enjoying coffee and tea

My research focus is discovering small molecules that may treat degenerative diseases, such as cancer and diabetes. This could be achieved by promoting tissue regeneration. In addition, chemical approaches to modulate cell fate are an important area of chemical biology research. Thus, I have spent the past year of my research searching for molecules that induce mammalian muscle dedifferentiation, which should be of interest to the wider chemical biology community. In the future, I am interested in furthering my research using regeneration models, such as the zebrafish tail fin and salamander limb. I am also interested in adapting my work to the discovery of novel anticancer drugs. (Read Kim's article, DOI: 10.1021/cb200532v)



Image courtesy of André Koch.

■ ANDRÉ KOCH

Current position: Ph.D. student with Silke Hauf at the Friedrich Miescher Laboratory of the Max Planck Society, Tuebingen, Germany

Education: University of Bayreuth, Germany, Diploma in Biology, 2006

Nonscientific interests: Running, football, coffee, music

Since my diploma thesis with Christian Lehner, I am fascinated about the process and regulation of mitotic division. In my Ph.D. project, I wanted to get a more detailed understanding of the function of an essential player in the process, the Aurora kinase. This kinase is crucial for the proper execution of mitosis, influencing chromosome compaction and segregation as well as cytokinesis. The primary research goal of my project was the identification of Aurora kinase substrates. In order to identify the substrates we created an analogue-sensitive version of the fission yeast Aurora kinase susceptible to a derivative of the Src-inhibitor PP1 (1NM-PP1). In a phosphoproteomic screen we then examined the differences in phosphorylation site abundance on proteins between active and inhibited Aurora kinase.

The project we are presenting in this issue (in collaboration with Daniel Rauh's Group at the Technical University in Dortmund, Germany) dealt with the further engineering of Aurora kinase to achieve covalent inhibition with anilinoquinazoline-based compounds. We were able to show that this is successful as well as highly specific for the targeted kinase. (Read Koch's article, DOI: 10.1021/cb200465c)



Image courtesy of Yuxin Zhang.

■ TAO LIU

Current position: The Scripps Research Institute, Department of Chemistry, Postdoctoral Research Associate with Prof. Peter Schultz.

Education: Nankai University, B.S. in Biological Science, 2005; The Ohio State University, Ph.D. in Biochemistry with Prof. Dehua Pei, 2011.

Nonscientific interests: Reading, movies, music, traveling, and casual video games

My graduate research focused on the development of combinatorial methodologies for the synthesis, screening and application of cyclic peptide (CP) libraries. CPs are widely produced in nature with a broad range of biological and pharmacological activities. With the library approaches, we were able to identify and develop novel CP ligands against many important protein targets. One example involves the identification of CPs that specifically target the HIV-1 capsid (CA), whose interaction with human lysyl-tRNA synthetase (hLysRS) plays a vital role in the viral life cycle. The CPs showed potent inhibition of hLysRS/CA interaction by binding to the helix 4 of the CA C-terminal domain. Our results represent a new class of CA-binding molecules targeting a novel site with potential for development into novel antiviral agents. (Read Liu's article, DOI: 10.1021/cb200450w)



Image courtesy of Iris Ou.

■ LI OU

Current position: St. Jude Children's Research Hospital, Department of Structural Biology, Postdoctoral Research Associate with Dr. Richard Kriwacki

Education: Hunan University of Traditional Chinese Medicine, B.S. in Traditional Chinese Medicine; China Pharmaceutical University, M.S. in Medicinal Chemistry with Dr. Lingyi Kong; Shanghai Institute of Organic Chemistry under the Chinese Academy of Sciences, Ph.D. in Structural Biology with Dr. Houming Wu.

Nonscientific interests: Music, movie, tea, playing with my daughter Iris

My research focuses on structural and functional studies of intrinsically disordered proteins (IDPs). p27^{kip1}, a prototypical IDP, is central to my current research. The role of p27 in the regulation of Cdk4 has been controversial for years. In this work, we illustrate how p27 integrates regulatory signals from several nonreceptor tyrosine kinases to activate Cdk4 and initiate cell cycle entry. Beyond cell cycle control, this work also illustrates the general role of phosphorylation in the regulation of IDPs and the general concepts regarding why IDPs are well-suited for roles in signaling and regulation in biological systems. (Read Ou's article, DOI: 10.1021/cb200487h)



Image courtesy of Prem Studio and Color Lab.

■ HARIDAS B. RODE

Current position: Senior scientist at Council of Scientific and Industrial Research (CSIR) Head Quarters, New Delhi, India

Education: B. Pharm. in Pharmaceutical Sciences, University of Pune, India, 1997; M. Pharm. at University Department of Chemical Technology (UDCT), Mumbai, India, 1999; Ph.D. at Medicinal Chemistry department of Ernst-Moritz-Arndt University of Greifswald with Prof. Hans-Hartwig Otto, Germany, 2006; Postdoctoral fellow at Chemical Genomics Center (CGC) of the Max Planck Society with Prof. Daniel Rauh, Dortmund, Germany, 2006–2010

Nonscientific interests: Cricket, badminton, and traveling

My Ph.D. research focused on development of Elastase inhibitors. As a postdoctoral fellow, I was involved in projects focusing on protein kinases in chemical biology and medicinal chemistry research. During that stay structure based drug design

approach was used to develop kinase inhibitors, and different affinity probes were developed for kinases. My current research interest aims at developing inhibitors targeting *Mycobacterium tuberculosis* (MTB) and multidrug-resistant (MDR) tuberculosis, and identification of targets of MTB inhibitors. In this work, we report the use of a chemical-genetic approach, which is based on two selectivity filters for generating an orthogonal covalent kinase inhibitor pair for the Aurora kinase Ark1 in fission yeast. (Read Rode's article, DOI: 10.1021/cb200465c)



Image courtesy of Katsunori Tanaka.

■ KATSUNORI TANAKA

Current position: Assistant Professor at Osaka University, Department of Chemistry, Japan (with Prof. Koichi Fukase). He is starting his truly independent carrier at RIKEN, Advanced Science Institute, in April 2012, Japan

Education: Kwansei Gakuin University, B.S. in Chemistry, 1996; Kwansei Gakuin University, Ph.D. in Chemistry with Prof. Shigeo Katsumura, 2002; Columbia University, Post-doctoral Fellow with Prof. Koji Nakanishi, 2002–2005

Nonscientific interests: Playing a guitar in band (Technical Heavy Metal, Blues, etc.) and running

My research interests include exploring new methods for total synthesis, configurational analysis, biological evaluation, molecular imaging, and molecular recognition. While a number of researchers are now involved in the research category of chemical biology, where the various biologically important phenomena are studied using the small organic molecules, a biology-directed project originated from the advanced and truly practical organic reactions is very limited, although a number of new and efficient organic transformations have been developed in synthetic community. I focus on a program directed to make much advantage of my own reactions, developed as a useful synthetic transformation in natural products synthesis, in investigating the biological and clinical importance, such as cancer diagnosis. (Read Tanaka's article, DOI: 10.1021/cb2003175)



Image courtesy of Hisatsugu Yamada.

■ HISATSUGU YAMADA

Current position: Kyoto University, Advanced Biomedical Engineering Research Unit, Program-Specific Assistant Professor

Education: Kyoto University, B.Eng. in Industrial Chemistry, 2003; Kyoto University, Dept. of Energy and Hydrocarbon Chemistry, Ph.D. in Chemistry, 2008; Kyoto University, Nano-Medicine Merger Education Unit, Program-Specific Assistant Professor, 2008–2010

Nonscientific interests: Music, traveling, snowboarding, playing cue sports

My current research interest at Kyoto University is focused on the development of stable isotope-enriched molecular probes for minimally invasive and diagnostic imaging. NMR/MR spectroscopy has great potential for this purpose. In our projects, we aimed at the application of one-dimensional triple-resonance NMR to in situ monitoring of a particular cellular reaction. Triple-resonance NMR is a method that correlates three successive NMR-active nuclei with different Larmor frequencies (^1H – ^{13}C – ^{15}N in the present case). This method, which is applicable, in principle, to various HCN compounds, should markedly suppress background noise. As part of my research, in the present paper we report a practical use of stable-isotope enriched $^{13}\text{C}/^{15}\text{N}$ -labeled uracil to analyze the pyrimidine catabolism and its inhibition by a clinical drug. We believe that this high selectivity of triple-resonance signal is an essential requirement in application to molecule-targeted ^1H NMR imaging of a particular metabolite. Our final goal is the application of this strategy to molecule-targeted functional MRI. (Read Yamada's article, DOI: 10.1021/cb2003972)