



Preface to this special issue on chemical biology and drug discovery

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Chemical biology is a type of interfacial science that involves the application of chemical techniques, tools, and analyses and the use of bioactive small molecules produced through synthetic chemistry or natural product chemistry to study and manipulate biological systems. It utilizes chemical principles to modulate biological systems to investigate their underlying biology or create new function in these systems. Over the past few decades, many fascinating outcomes have been generated using innovative chemical biology approaches in fields ranging from biology to drug discovery, which are nicely reviewed by leading scientists of the field in this special issue. This information provides new insights into the understanding and control of signaling traits involved in communication between bioactive small molecules and their partner proteins to express biological phenotypes related to human diseases, including cancer, diabetes, energy metabolism, and infectious diseases. Moreover, this approach opens a new gateway in drug discovery by providing both new or existing small molecules and target proteins relevant for treating diseases of interest. Accordingly, chemical biology has been highlighted as a new research engine for expediting drug discovery. In this sense, this special issue is an invaluable forum to correlate chemical biology with drug discovery. The contributions here will aid both disciplines in achieving scientific advances and developing practical applications in the pharmaceutical and medical industry.

This special issue of Archives of Pharmaceutical Research (APR) on chemical biology and drug discovery emphasizes the prominent role of chemical biology in drug discovery as a useful supplier of new small molecules and novel biologically relevant drug discovery targets. As such, it consists of two major sections, i.e., Part I: New or existing small molecules and their applications in chemical biology and drug discovery and Part II: Discovery and validation of new targets of bioactive small molecules toward drug discovery. In Part I, a number of cases involving new and existing synthetic or natural small molecules (particularly from microbial natural products) are highlighted as invaluable resources in chemical biology and drug discovery. Thienopyrimidine derivatives and LW6 are reviewed by Drs. Gyoonhee Han and Kyeong Lee, respectively. In addition, microbial natural products, biosynthetic microbial natural products, Hsp90 inhibitors, and existing drugs targeting cancer stem cells are introduced by Drs. Dong Chan Oh, Yeo Joon Yoon, Young Ho Seo, and Joong Sup Shim, respectively. Practical application of these small molecule resources is demonstrated with new research data on herboxidiene, a microbial natural product with anti-angiogenic activity, by Dr. Hye Jin Jung and myself in an original research article. Part II focuses on “Discovery and validation of new targets of small molecules toward drug discovery.” A number of approaches towards new target discovery and validation of bioactive small molecules for drug discovery are reviewed. One of the major contributions of chemical biology to basic science and drug discovery, and maybe the heart of chemical biology, is new target identification and validation of bioactive small molecules from phenotypic screening. New target discovery and identification of small molecules have been mainly achieved by affinity-based purification and other genomics-, proteomics-, metabolomics-, and informatics-based technologies. These approaches for target identification

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are nicely reviewed by Drs. Ming Wei Wang, Masaya Imoto, Xiaoyu Li, Sun Choi, and myself. Dr. Kevin Pethe reviews the study of next-generation antimicrobials using a chemical biology approach. Moreover, recent application of mass spectrometry based drug imaging for the validation of small molecule and target interaction in tissue is nicely introduced by Dr. Johan Malm.

It was my great privilege and pleasure to work with eminent scientists and specialists of all authors who contribute their invaluable knowledge and experience to this

special issue with the review and research article. On behalf of all contributors, I also would like to express my special appreciations to Drs. Seok-Yong Lee and Lak-Shin Jeong, the editors-in-chief, Joo Young Lee, the managing editor, and the editorial team of APR.

In summary, I sincerely trust that this special volume of the journal will provide a better understanding to scientists in the field as well as other relevant fields on the role of chemical biology in drug discovery and its application in the areas of biology and drug discovery.