***Field:* Chemistry/Biochemistry**

Introductory Speakers:

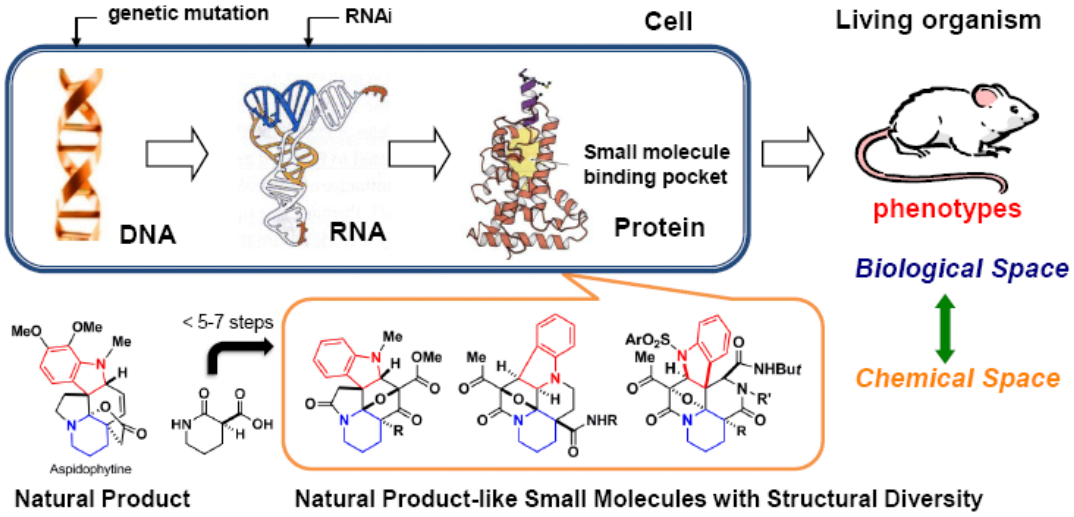
Hiroki Oguri, Hokkaido University

Session Topic:

Chemical biology- New approaches for drug discovery

Chemical Biology Using Small Molecules as Chemical Probes

Small molecules have played an important role in many basic discoveries in science and have provided medicinally useful agents. Currently, investigations to address biological questions through the use of small organic molecules as chemical probes are at the heart of the emerging field. Since cell-permeable small molecules can alter specifically protein function in biological systems, the chemical genetic approach, where small molecules are used to perturb and thereby understand protein function, is complementary to the genetic approaches. The features of the small-molecule-based investigations will be introduced.



In this field, there is currently a “target-rich and lead-poor” imbalance: knowledge of small-molecule modulators of protein function advances slowly, whereas our knowledge of the human genome has resulted in extensive structural information for biomacromolecules. Inspired by privileged structural motifs found in biologically active natural products, exemplified as indole alkaloids and anti-malarial artemisinin, we are developing synthetic processes which will generate a collection of natural product-like molecules with rich structural diversity. By administration of the synthetic molecules into cells and living organisms, we will attempt to elucidate the three-dimensional structural features of small-molecule modulators of cellular function. Chemical genetic investigations utilizing the high-quality synthetic small molecules in a systematic fashion are expected to offer exciting opportunities to explore lead candidates in drug discovery as a potential resource for the post-genomic century.