

Molecular Diversity and Bioprobes for Chemical Biology

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The importance of molecular diversity has been clearly recognized to identify specific bioactive small molecules for the elucidation of mysterious biological processes. The concept of diversity-oriented synthesis (DOS) was introduced for efficient population of molecular diversity in untapped chemical space using complexity-generating synthetic route. To address these unmet needs for maximizing molecular diversity with high relevance in biological space, we pursued privileged-substructure-based DOS (pDOS) strategy to emphasize the importance of maximized skeletal diversity through the creative reconstruction of core skeletons containing privileged substructures for the construction of a drug-like polyheterocycle library. Our divergent pDOS strategy can provide an efficient approach for the discovery of novel small-molecule modulators with excellent specificity in chemical biology and drug discovery.

Through screening chemical libraries, we have been discovering unique drug-like molecules that modulate or detect fundamental characteristics of human cells useful for cell therapy. Some of such molecules may serve as tools for cell engineering or cell therapy as well as basic cell biological research. We also discovered the several novel fluorescent bioprobes to monitor the specific biological events and subsequently applied them for phenotype assay via image-based high-content screening. This presentation provides a quick overview of our recent research programs with a special emphasis of pDOS strategy and application of novel fluorescent bioprobes.

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