Houk-Jung **Organic Colloquium**

"New Strategies for the Efficient Preparation of Bioactive Compounds"

Abstract: Novel technologies, preparative methods and synthetic strategies often represent a critical part of the investigation of new design ideas for bioactive compounds. Traditionally, natural products were considered to be the most challenging targets, but frequently medicinal chemistry structure-activity relationship (SAR) studies are also limited in practice by synthetic tractability. This presentation will select two to three topics from currently ongoing projects in our group that have benefited from key contributions from technological, methodological, and strategic innovations.

For example, we have recently reported the synthesis of iminothienopyridinediones through photooxygenation reactions. Our lead structure in this series was found to be a potent inhibitor of the oncogenic, dual-specific phosphatase PTP4A3 (*in vitro* IC_{50} ~35 nM), as well as its family members PTP4A1 and PTP4A2. The SAR analysis as well as the scale-up of the iminothienopyridinedione chemotype were greatly facilitated by in-flow techniques, first using fluoroelastomer tubing and a compact fluorescent lamp (CFL), and then a 3-D printed polypropylene cartridge system under LED light irradiation.

Another case study to be presented focuses on strategic innovations in the total synthesis and the investigation of the CNS/GPCR effects of Ergot Alkaloids. In particular, the influence of scaffold rearrangement and stereochemistry on the serotonin (5-HT) receptor modulation of Ergot Alkaloid analogs will be highlighted, a biological property that is significant for their potential for future development as anti-depression and anti-anxiety pharmaceuticals.

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