Exploring Indolidene Chemistry: New Opportunities for Complex Indole Synthesis

Ken Feldman, Department of Chemistry, Pennsylvania State University, University Park, PA 16802

Indole rings are constituents of numerous naturally occurring materials with documented or promising therapeutic properties against a range of human maladies such as cancer ,bacterial and other microbial infections, viral infections, etc. Consequently, efficient approaches to the synthesis of indoles, particularly complex indoles as are characteristic of these natural products, have value in medicinal chemistry. We have developed a new approach to this type of target that features allenyl azide photochemistry as an access point to labile indoles **2**. Under Lewis acid catalysis, these 2-(methoxymethylene)indoles serve as precursors to indolidenes **3**, reactive indole-derived electrophiles. Our exploration of indolidene addition chemistry has led to the formation of the C–C and C–N bonded addition products **4 – 6** upon reaction with appropriate nucleophiles. In addition, a variant of this transformation plays a pivotal role in the ongoing synthesis of the complex alkaloid gilbertine (**7**).