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[4+2] Cycloadditions of *N*-Alkenyl Iminium Ions: Structurally Complex Heterocycles from a Three-Component Diels–Alder Reaction Sequence

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Synthesis of Polysubstituted Piperidines by Diels-Alder Reaction of *N*-Alkenyl Iminiums

Significance: The synthesis of piperidine derivatives by the [4+2] cycloaddition of *N*-alkenyl iminium ion **2** with alkene dienophiles is reported. Treatment of methoxymethyl enamine **1** with TiCl₄ gave diene **2**, which was trapped in situ with a range of alkenes to give iminium cycloadducts **3**, **5**, **8**, and **10**. Addition of a nucleophile (allyl silane, indole) afforded piperidines **4**, **6**, **7**, and **9** in excellent yield with complete *endo* selectivity. Quenching iminium salt **10** with triethylamine generated the tetrahydropyridine **11** as a single isomer.

Comment: Piperidine structural motifs are found in a large number of natural products and pharmaceuticals. The hetero-Diels—Alder reaction is a powerful method for the synthesis of this class of compound. The current method generates an iminium cycloadduct which allows for further functionalization by addition of a nucleophile to afford highly substituted piperidine derivatives in one pot from readily available starting materials.

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Category

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