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SYNTHESIS OF NITROGEN-CONTAINING POLYCYCLES BASED UPON NEW GENERATION OF o-QUINONE METHIDE IMINE

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A mild and efficient generation of o-quinone methide N-substituted imines, and their inter- and intra-molecular Diels-Alder reactions leading to N-containing polycycles are described. A 1,4-elimination of o-[N-(trimethylsilyl)-N-alkylamino]benzyltrimethylammonium halides is readily induced by fluoride anion such as tetrabutylammonium fluoride and cesium fluoride to generate in situ o-quinone methide N-alkylimines. Intermolecular Diels-Alder cycloaddition of o-quinone methide N-alkylimine with electron deficient olefins gives tetrahydroquinoline derivatives in good yields. Intramolecular Diels-Alder cycloaddition of o-quinone methide N-alkenylimines provides a new method for preparation of N-containing polycycles. For instance, o-quinone methide N-(5-hexenyl)imine generated in situ is intramolecularly cyclized to afford benzo[c]quinolizidine in moderate yield. Finally, we describe a new approach to the synthesis of biologically active alkaloid, gephyrotoxin, which has been performed on the basis of an intramolecular cycloaddition of o-quinone methide N-alkenylimine intermediate and the subsequent reductive elaboration of the resulting benzo[e]indolizidine framework.