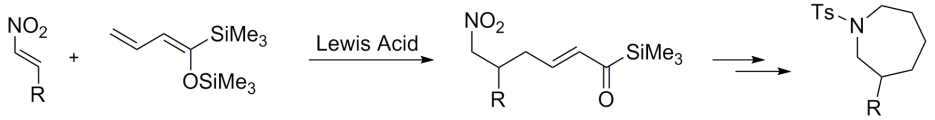
Discovery and Application of the Reactivities of Nitroalkenes: Synthesis of Azepanes and Azoniapropellanes

Min Xie and Scott E. Denmark

Seven-memembered N-heterocycles, known as azepanes, are important building blocks for pharmaceutically active compounds. A general method to synthesize azepanes has been developed by utilizing a novel vinylogous conjugate addition of dienol ether to nitroalkenes. This synthetic route allows for a general convenient construction of 3-substituted azepanes.



The tandem inter [4+2]/inter [3+2] cycloaddition of nitroalkenes has been utilized to construct fused N-heterocycles with excellent stereochemical control. Employing this strategy, an efficient method has been developed to access stereochemically well-defined quaternary ammonium salts possessing an azoniapropellane scaffold. This convergent synthetic route allows for introduction of variable substituents. A library of azoniapropellanes can be applied as catalysts for the study of structure-activity/selectivity relationships in phase-transfer catalysis.