College of William and Mary
Technology Transfer Office

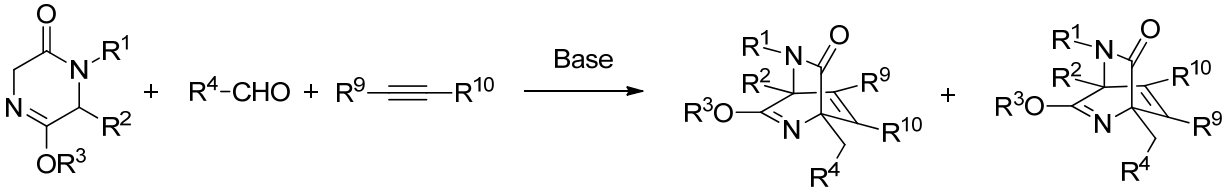
Title (and Case Number) of Invention

Synthesis of [2.2.2]-Diazabicyclic ring systems

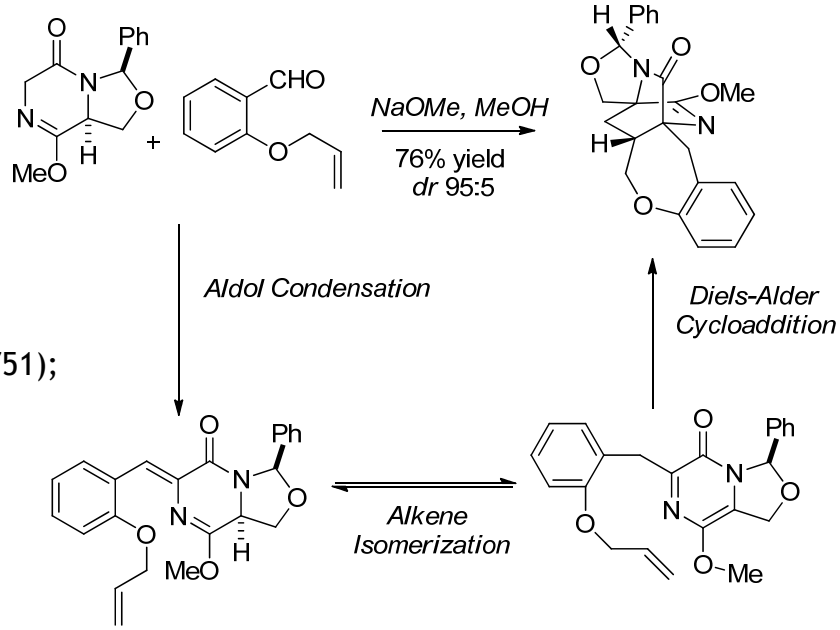
Inventors: Jonathan Scheerer

Applications: Pharmaceuticals, Chemical Synthesis, Manufacturing

Summary



We have developed a process for preparing [2.2.2.]-diazabicyclic structures comprising reacting a diketopiperazine, an aldehyde, and a substituted unsaturated hydrocarbon under basic conditions to perform a three step reaction comprising sequentially an aldol condensation, an alkene isomerization, and a Diels-Alder cycloaddition to produce a [2.2.2.]-diazabicyclic structure. The process is experimentally simple and has tremendous scope, providing an efficient route to [2.2.2]-diazabicyclic structures, common to bioactive prenylated indole alkaloids such as the brevianamides and stephacidins. A wide variety of reaction substrates can be used successfully, and numerous bases can be used to perform the reaction. The substituted unsaturated hydrocarbon can be either an alkene or an alkyne, and the aldehyde can be enolizable or non-enolizable.



Patent Status

Patent pending.

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