HETEROCYCLES, Vol 21, No 2, 1984

1,3-DIENAMINES REACTING AS 1,5-DIPOLES IN THE SYNTHESIS OF *N*-HETEROCYCLES

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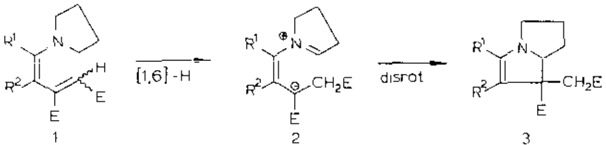
In protic solvents pyrrolidine enamines react with electron-deficient acetylenes like dimethyl acetylenedicarboxylate (DMAD) to give pyrrolizine derivatives. We have proven that these reactions take place via Michael addition to give 1,3-dienamines as intermediates.

These intermediates undergo two consecutive pericyclic reactions. The first comprises a concerted antarafacial [1,6] H-shift to give a 1,5-dipole which subsequently undergoes in the second step a disrotatory electrocyclization:



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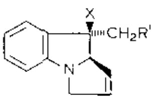
Independent synthesis of compounds 1 has further extended the scope of this novel reaction and has allowed us to study the stereochemistry of the two new chiral centres that are formed in the cyclization step.

This type of reaction was extended to 1,3-dienamines of which one of the double bonds is part of a (hetero)aromatic system and it has been used for the synthesis of compounds like 4-6 that have a structural relationship with the Mitomycins.



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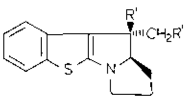

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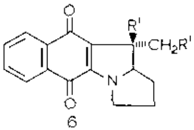

6