INTRAMOLECULAR ALKYLAZIDE 1,3-DIPOLAR CYCLOADDITION: APPLICATION TO THE SYNTHESIS OF HETEROCYCLIC SYSTEMS

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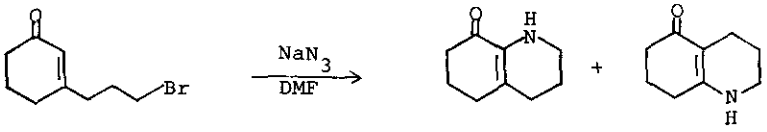
The 1,3-dipolar cycloaddition reaction has been among the most useful synthetic methods in heterocyclic chemistry. The cycloaddition reaction between alkylazides and olefins has been extensively studied. In this laboratory, the intramolecular version of this reaction was investigated to extend its use towards the syntheses of several heterocyclic systems. For example, reaction of bromide 1 with sodium azide in dimethylformamide gave compounds 2 and 3, in 67% and 24% yields respectively.



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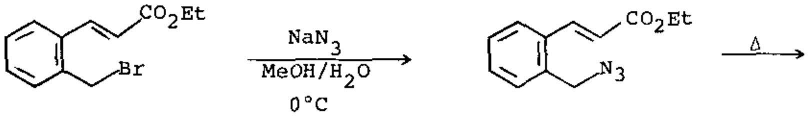
On the other hand, treatment of bromide 4 with sodium azide in aqueous methanol at 0°C gave azido-ester 5. Compound 5 rearranged into diazo-ester 6 at room temperature spontaneously. Subsequent reaction of 6 with rhodium acetate afforded dihydroisoquinoline 7 in good yield.



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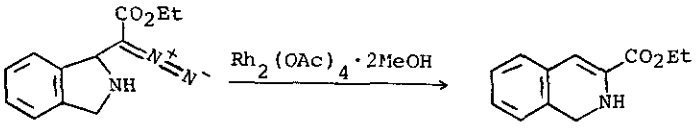

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