

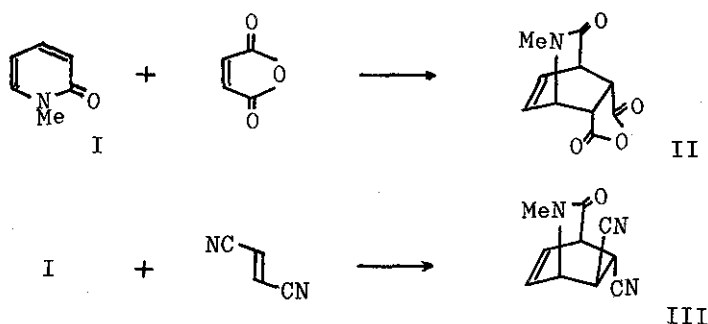
THE DIELS-ALDER REACTION OF
1-METHYL-2(1H)-PYRIDONE

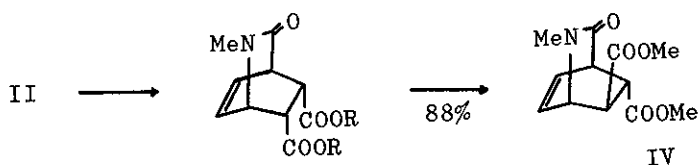
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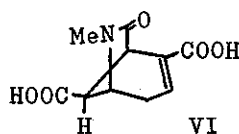
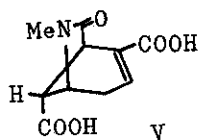
The Diels-Alder reaction of 1-methyl-2(1H)-pyridone (I) with maleic anhydride produced the adduct (II)¹⁾ in 42% yield, while the adduct (III)²⁾ was obtained in 3% yield by the reaction of I with fumaronitrile. Moreover, II was transformed into the compound (IV)²⁾ having the same configuration with III in a good yield.

The further studies on the Diels-Alder reaction of I with fumaric acid and its ester under the various conditions were carried out. Reaction of I with dimethyl fumarate in toluene gave IV in about 4% yield. Boiling of I and fumaric acid in water afforded V in about 13% yield. Heating of I and fumaric acid at 170° gave V in about 8% yield and its stereoisomer VI in 12% yield.

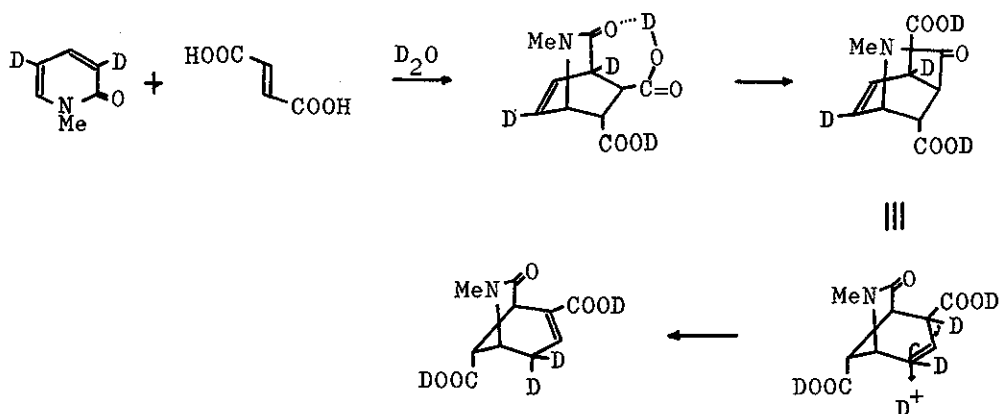




R=H quantitative
R=Me quantitative



The most possible mechanism for the formation process of V is shown as follows.



References

1. H. Tomisawa and H. Hongo, Chem. Pharm. Bull. (Tokyo), 18, 925 (1970).
2. H. Tomisawa, R. Fujita, K. Noguchi, and H. Hongo, Chem. Pharm. Bull. (Tokyo), 18, 941 (1970); H. Hongo, Chem. Pharm. Bull. (Tokyo), 20, 226 (1972).