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

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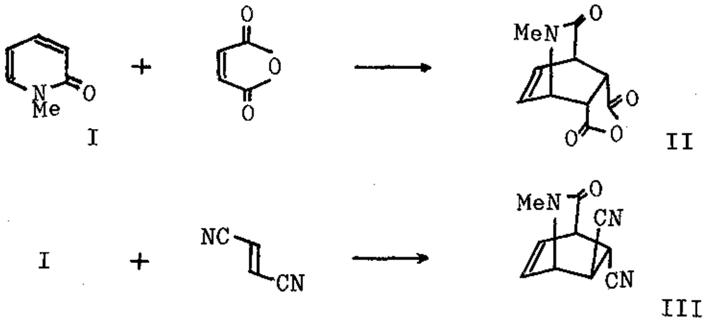
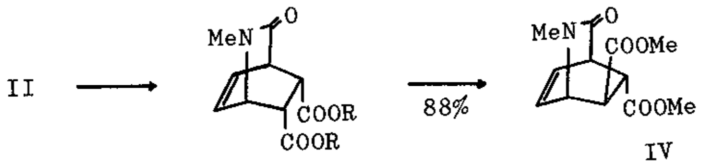
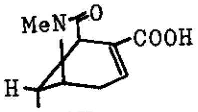
The Diels-Alder reaction of 1-methyl-2(1H)-pyridone (I) with maleic anhydride produced the adduct (II)$^{1)}$ in 42% yield, while the adduct (III)$^{2)}$ was obtained in 3% yield by the reaction of I with fumaronitrile. Moreover, II was transformed into the compound (IV)$^{2)}$ having the same configuration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

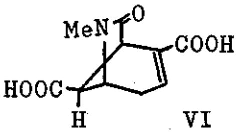




R=H quantitative
R=Me quantitative

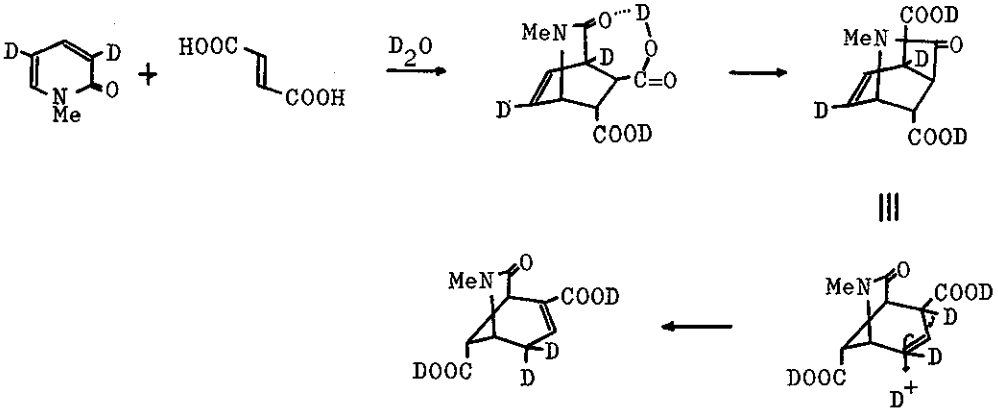


V



VI

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



References

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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).