

SYNTHESES OF SOME HETEROAROMATICS USING ETHOXYCARBONYL-
ACETAMIDINE AND RELATED COMPOUNDS

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The synthesis and several reactions of α -ethoxycarbonylacetamide (I) were investigated as follows:

- 1) Treatment of ethyl α -ethoxycarbonylacetimidate (II) with ammonium chloride afforded the pure hydrochloride of I. Based on the spectral data, I (free base) was considered to exist predominantly as the enamine form.
- 2) Reaction of I with β -dicarbonyl compounds gave ethyl 2-aminonicotinates (IV) instead of the pyrimidine derivatives. This fact suggested that the presence of an active methylene group on the amidines restricted the Pinner type pyrimidine formation.
- 3) Although the pathway of the reaction is not clear at present, the thermal condensation of I with equimolecular amounts of II afforded 4-amino-2-ethoxycarbonyl-4(3H)-pyrimidone (VI) in good yield.
- 4) When an ethereal solution of I and acrylonitrile was allowed to stand overnight, the Michael type reaction occurred and 2-ethoxycarbonyl-4-cyanobutyramidine (VIII) was obtained. On the treatment with acetylacetone, VIII was transformed to ethyl α -cyanoethyl-4,6-dimethyl-2-pyrimidineacetate (IX).
- 5) Based on the results described above, the reactions of I and related imidates with quinoline 1-oxide were examined to introduce a carbon substituent at the 2-position of the quinoline ring. Namely, quinoline 1-oxide reacted with I and II in the presence of benzoyl chloride to give ethyl α -amidino-2-quinolineacetate (XI) and diethyl 2-quinolinemalonimidate (XIIIa), respectively.