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### DIAZOPYRROLINE AS SYNTHETIC INTERMEDIATE. A SYNTHESIS OF PYRAZOLO[1,5-c]PYRIMIDINES

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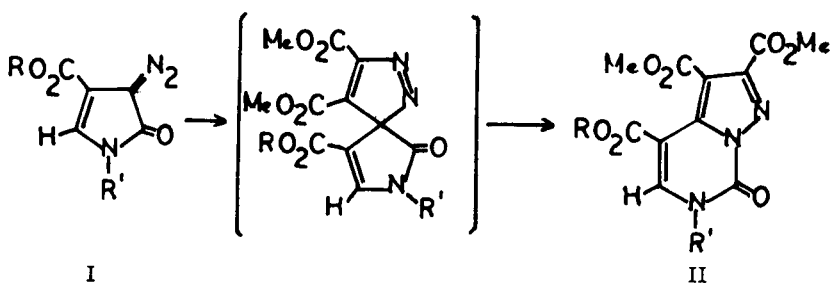
DIAZOPYRROLINE AS SYNTHETIC INTERMEDIATE.

A SYNTHESIS OF PYRAZOLO[1,5-c]PYRIMIDINES

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(6/25/75)

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It was felt that the recently reported diazopyrrolines(I)<sup>1</sup> have been shown to be useful synthetic intermediate for the preparation of new bridgehead N-compounds. Upon heating with dimethylacetylenedicarboxylate in toluene, the diazopyrrolines gave colorless crystalline products (II) through the intermediate spiro compound.<sup>2</sup> In these cases, lactam group migrates directly to the nearest nitrogen atom, resulting in enlargement of the pyrroline to the pyrimidine ring.



a) R = Et, R' = Ph

b) R = Me, R' = *p*-MeO<sub>2</sub>CPh

The IR spectra of the products lacked the 2125 cm<sup>-1</sup> band (diazo group) and their pmr spectra showed a single proton signal at δ8.1 indicating the products to be 4-carboalkoxy-

7-oxo-6-substituted 6,7-dihydropyrazolo[1,5-c]pyrimidine-2,3-dimethyldicarboxylates.

## EXPERIMENTAL

General Procedure.- An equimolar mixture (1 mmole) of the 3-carboalkoxy-4-diazo-5-oxo-1-substituted-2-pyrroline and dimethylacetylenedicarboxylate was refluxed in anhydrous toluene (10 ml) for 120 hr. The solvent was removed under reduced pressure and the residue was crystallized from ethylacetate-pet ether (30-60°). IIa, 56% yield, mp. 230-232°. IR (nujol): 1725, 1660  $\text{cm}^{-1}$ . nmr [ $\text{CDCl}_3\text{-CF}_3\text{CO}_2\text{H}$  (5:1)]:  $\delta$ 8.15 (s, 1H), 7.50-6.60 (5H), 4.7-4.4 (q, 2H), 4.21-4.18 (m, 6H), 1.55-1.25 (t, 3H).

Anal. Calcd for  $\text{C}_{19}\text{H}_{17}\text{N}_3\text{O}_7$ : C, 57.14; H, 4.29; N, 10.52

Found: C, 57.43; H, 4.41; N, 10.83

IIb, 68% yield, mp. 150-151°. IR (nujol): 1728-1720, 1660  $\text{cm}^{-1}$ . nmr [ $\text{CDCl}_3\text{-CF}_3\text{CO}_2\text{H}$  (5:1)]:  $\delta$ 8.05 (q, 4H), 8.2 (s, 1H), 4.30-4.05 (m, 12H).

Anal. Calcd for  $\text{C}_{20}\text{H}_{17}\text{N}_3\text{O}_7$ : C, 54.17; H, 3.86; N, 9.47

Found: C, 54.00; H, 4.06; N, 9.13

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