

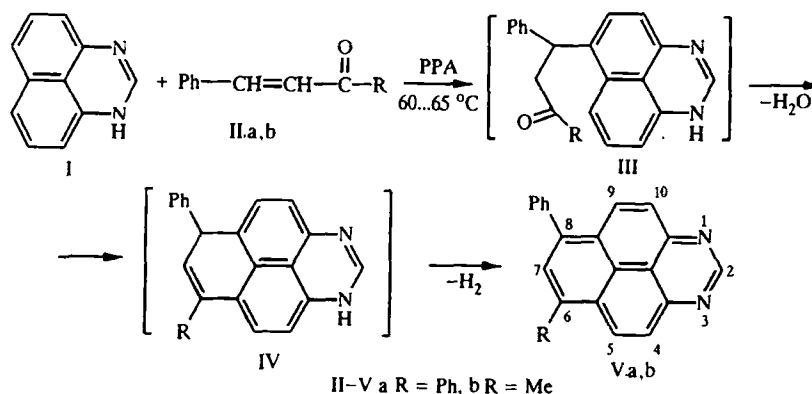
LETTERS TO THE EDITOR

SYNTHESIS OF DERIVATIVES OF 1,3-DIAZAPYRENE

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There has been no report on the synthesis of the 1,3-diazapyrene heteroaromatic system until now, although we have previously obtained partially hydrogenated derivatives [1, 2]. The effect of the condensed rings on the pyrimidine fragment might give it special properties and the planar pericyclic molecule of 1,3-diazapyrene might have the properties of an intercalator.

We have established that derivatives of this heterocycle can be synthesized by reaction of perimidine I with the benzalacetophenones II (1:1.5 mole ratio) in polyphosphoric acid at 60-65°C for 3 h (isolation by general methods for such reactions). The reaction apparently occurs via the following scheme but we were unable to trap either the alkylation products III or the products of intramolecular condensation IV: the latter lose hydrogen to give the 1,3-diazapyrenes Va and Vb even under mild conditions.



This indicates the thermodynamic stability of the aromatic system. Compounds Va and b are slightly yellowish crystalline compounds with a violet fluorescence in UV light. Despite the relatively small yield (29-36%), this reaction allows various derivatives of 1,3-diazapyrene to be obtained in one step.

6,8-Diphenyl-1,3-diazapyrene (Va). Yield 36%, mp 143-144°C (from benzene with hexane). ¹H NMR Spectrum (CDCl₃): 7.58-7.66 (10H, m, 2 C₆H₅), 8.20 (1H, s, 7-H), 8.26 (2H, d, *J*₄₍₁₀₎₋₅₍₉₎ = 9.14 Hz, 4(10)-H), 8.74 (2H, d, *J*₅₍₉₎₋₄₍₁₀₎ = 9.14 Hz, 5(9)-H), 9.81 ppm (1H, s, 2-H).

6-Methyl-8-phenyl-1,3-diazapyrene (Vb). Yield 29%, mp 198-199°C (from benzene). ¹H NMR Spectrum (CDCl₃): 3.19 (3H, s, CH₃), 7.62 (5H, m, C₆H₅), 8.14 (1H, s, 7-H), 8.32 (1H, d, *J*₄₋₅ = 9.35 Hz, 4-H), 8.49 (1H, d, *J*₁₀₋₉ = 9.39 Hz, 10-H), 8.77 (1H, d, *J*₅₋₄ = 9.39 Hz, 5-H), 8.98 (1H, d, *J*₉₋₁₀ = 9.39 Hz, 9-H), 9.76 ppm (1H, s, 2-H).

Results of elemental analyses for C, H, and N agreed with calculated values.

We are currently investigating the properties of 1,3-diazapyrenes and other methods for their preparation.

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