Formation of 2-Substituted Indoles by Pyrolysis of *o*-Azidostyrenes (1)

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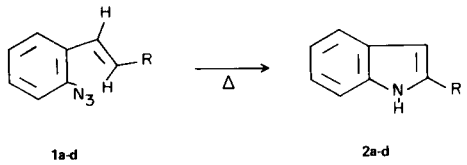
Sir:

Thermolysis of *o*-azidostyrenes would be expected on the basis of analogy with related systems (2) to lead to indoles by generation and subsequent cyclization of the corresponding aryl nitrenes. This expectation has not hitherto been realized although formation of indoles from β-azidostyrenes has recently been reported (3). We describe here the synthesis of four *o*-azidostyrenes and subsequent conversion to indoles in good yield.



1a-d 2a-d

a $CH_3CH_2CH_2$- c C_6H_5CO

b C_6H_5 d 

Compounds **1a** and **1b** were prepared by diazotization of the appropriate *o*-aminostyrene followed by treatment with sodium azide (**1a**, 74%; **1b**, 76%) (4). The *o*-azidostyryl ketones **1c** and **1d** were prepared by condensation of acetophenone and 2-acetylpyridine, respectively, with *o*-azidobenzaldehyde (5) (**1c**, 64%; **1d**, 59%). Satisfactory analytical and spectral data was obtained for each azide. Table I records details of the conversion of the azides to indoles.

The known indoles **2a-c** were identified by spectral comparison with authentic samples. Correct spectral and analytical data were obtained for **2d**.

The greatest potential significance of this synthetic route lies in the fact that it provides a promising route to 2-acylindoles which are relatively inaccessible by known indole syntheses but are of interest in connection with synthesis of 2-acylindole alkaloids (6) and dimeric indole alkaloids (7).

TABLE I

Conversion of *o*-Azidostyrenes to Indoles

Azide	Reaction Conditions	% Yield Indole
1a	photolysis in cyclohexane	49
1a	reflux (a) in decalin	81
1a	reflux (a) in ethylene glycol	76
1b	reflux (a) in ethylene glycol	72
1c	reflux (a) in decalin	73
1d	reflux (a) in decalin	51

(a) Four hours.

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