# REGIOSELECTIVE VINYLATION OF INDOLES WITH OLEFINS AND $PdCl_2$

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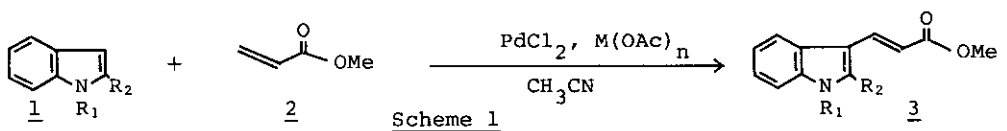
Direct regioselective vinylations on the $C_3$-position of ethyl indole-2-carboxylate(1b), N-benzyl derivative(1c) of 1b and N-benzylindole(1d) with methyl acrylate(2) were accomplished in the presence of $PdCl_2$ in good to moderate yields (Scheme 1). Vinylation on the $C_3$-position was determined by the alternative synthesis. The acetate salts, $Cu(OAc)_2$ or NaOAc, were essential to carry out this reaction, for the starting material was recovered quantitatively without these salts. The results are summarized in Table I. In contrast with 1b, indole itself (1a) was unstable under the reaction condition to give unidentified tarry products. Some results obtained from the reaction of 1c with the conjugated olefins are also shown in Table II.

We believe that this reaction provides a useful method for the preparation of 3-substituted indole derivatives.




1 ($R_1$) 2 3

Scheme 1

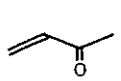
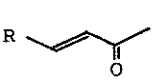
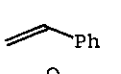
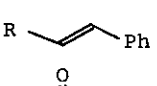
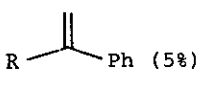
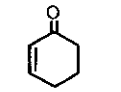
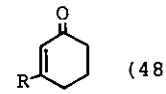
a: $R_1 = R_2 = H$
b: $R_1 = H$, $R_2 = CO_2Et$
c: $R_1 = CH_2Ph$, $R_2 = CO_2Et$
d: $R_1 = CH_2Ph$, $R_2 = H$

Table I

| Indole | $M(OAc)_n$ | Yield of 3(%) |
|---|---|---|
| 1b | $Cu(OAc)_2$ | 49 |
| 1c | ——— | 2* |
| | $Cu(OAc)_2$ | 81 |
| | NaOAc | 61 |
| 1d | $Cu(OAc)_2$ | 49 |

*94% recovery of starting material

Table II

| Olefin | Products |
|---|---|
|  |  (69%) |
|  |  (69%),  (5%) |
|  |  (48%) |

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