SYNTHESIS OF ACETYLENIC DERIVATIVES OF PYRROLE

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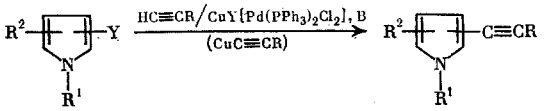
We found that the acetylenic condensation of iodopyrroles in its different variants [1-3] represents a general method for the synthesis of acetylenic derivatives of pyrrole



The methods by which the separate acetylenylpyrroles have been prepared up to the present time are of specific and not general interest.

From the corresponding pyrrolyl iodides and terminal acetylenes, we synthesized (yield and melting point are given): 1-(2'-carboethoxy-1',3',5'-trimethylpyrrol-4-yl)-3-methylbut-1-yn-3-ol (I), 85.6%, 121-122°C (from petroleum ether) (Found: C 68.44; H 7.91; N 5.35%. $C_{15}H_{21}NO_3$. Calculated: C 68.42; H 8.04; N 5.32%); 1-(4'-carboethoxy-1',3',5'-trimethylpyrrol-2'-yl)-3-methylbut-1-yn-3-ol (II), 82.0%, 94-95°C (from hexane) (Found: C 68.63; H 8.06; N 5.33%. $C_{15}H_{21}NO_3$. Calculated: C 68.42; H 8.04; N 5.32%); ethyl ester of 4-(3'-N-morpholinopropyn-1'-yl)-3,5-dimethylpyrrole-2-carboxylic acid, 68.2%, 140-141°C (from CCl_4) (Found: C 66.20; H 7.51; N 9.42%. $C_{16}H_{22}N_2O_3$. Calculated: C 66.18; H 7.64; N 9.65%); diethyl ester of 5-phenylethynyl-3-methylpyrrole-2,4-dicarboxylic acid, 94.6%, 142-143°C (from CCl_4) (Found: C 70.08; H 5.92; N 4.41%. $C_{19}H_{19}NO_4$. Calculated: C 70.14; H 5.89; N 4.30%).

Alkaline cleavage [4] of (I) and (II) leads to the ethyl ester of 4-ethynyl-1,3,5-trimethylpyrrole-2-carboxylic acid, 82.3%, 91-92°C (from petroleum ether) (Found: C 70.18; H 7.40; N 6.81%. $C_{12}H_{15}NO_2$. Calculated: C 70.22; H 7.37; N 6.82%) and to the ethyl ester of 2-ethynyl-1,3,5-trimethylpyrrole-4-carboxylic acid, 79.5%, 88.5-90°C (from hexane) (Found: C 70.35; H 7.27; N 6.96%. $C_{12}H_{15}NO_2$. Calculated: C 70.22; H 7.37; N 6.82%). The structure of all the synthesized compounds was confirmed by PMR and IR spectroscopy.

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