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REACTION OF ENAMINO AMIDES IN THE 1,2,3,4-TETRAHYDROBENZO[*f*]ISOQUINOLINE SERIES WITH ACRYLOYL CHLORIDE

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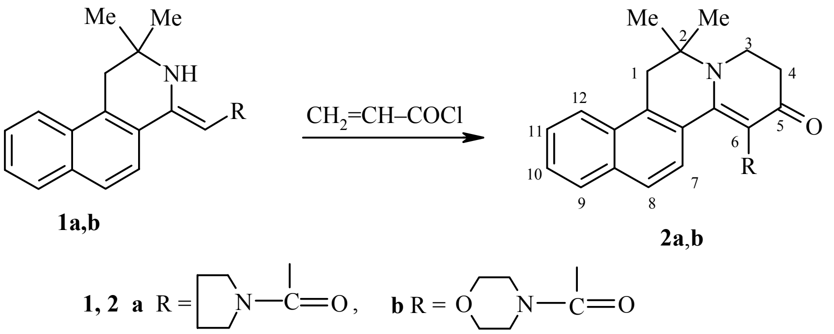
Reactions of acryloyl chloride with cyclic enamines have not been well known up to now. Continuing our studies in the field of the reactivity of enamines, derivatives of 1,2,3,4-tetrahydroisoquinoline [1], we observed that enaminoamides **1a,b** [2] form tetracyclic ketones **2a,b** when boiled in benzene with acryloyl chloride in the presence of triethylamine.



1a,b

2a,b

Keto enamides **2a,b** are yellow crystalline materials, forming hygroscopic water-soluble hydrochlorides, which confirms the basic character of the nitrogen atom, i.e., the fact that acylation occurs at the carbon atom rather than at the nitrogen atom of the isoquinoline ring.

Compounds **2a,b** and compounds similar to them are considered as analogs of azachrysene [3], and are potential synthons and drugs.

The ^{1}H NMR spectra were taken on a Varian Mercury 300 (300 MHz) in $CDCl_3$, internal standard HMDS (δ 0.05 ppm). The IR spectra were taken on a Specord M-80 in nujol, and the mass spectra were taken on a MAT-311 (70 eV, electron impact).

2,2-Dimethyl-6-(N-pyrrolidinocarbonyl)-1,2,3,4-tetrahydronaphtho[1,2-*h*]-5-quinolizinone (2a). Acryloyl chloride (0.8 ml, 10 mmol) was added to a solution of compound **1a** (3.20 g, 10 mmol) and triethylamine (1.5 ml, 11 mmol) in benzene (100 ml). The precipitate of triethylamine hydrochloride formed was filtered out. The reaction mixture was boiled for another 2 h, where the solution became slightly cloudy. After cooling down to 20°C, the mixture was diluted with hexane (150 ml), the precipitate formed was filtered out and

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carefully washed with water, dried, and recrystallized from cyclohexane. Yield 61%; mp 208-210°C. IR spectrum, ν, cm^{-1}: 1630 and 1675 (C=O). ^{1}H NMR spectrum, δ, ppm: 1.40 (6H, s, $2CH_3$); 1.15-1.80 (4H, m, $2CH_2$–C); 2.4-3.8 (m, 4H, $2CH_2$–N and 4H, CH_2CH_2CO); 3.35 (2H, s, H-1); 7.15-8.07 (6H, m, Ar). Mass spectrum, *m*/*z* (*I*, %): 374.5 [M$^+$] (10); 304 [M$^+$ - C(O)N$(CH_2)_4$] (25). Found, %: C 76.8; H 6.9; N 7.6. $C_{24}H_{26}N_2O_2$. Calculated, %: C 77.0; H 7.0; N 7.5.

2,2-Dimethyl-6-(N-morpholinocarbonyl)-1,2,3,4-tetrahydronaphtho[1,2-*h*]-5-quinolizinone (2b) was obtained similarly from compound **1b** (3.36 g, 10 mmol). Yield 68%; mp 120-122°C. IR spectrum, ν, cm^{-1}: 1635 and 1675 (C=O).^{1}H NMR spectrum, δ, ppm: 1.35 (6H, s, $2CH_3$); 2.75-3.80 (8H, m, $4CH_2$ morpholine and 4H, CH_2CH_2CO); 3.30 (2H, s, H-1); 7.30-8.12 (6H, m, Ar). Mass spectrum, *m*/*z* (*I*, %): 390.5 [M$^+$] (8); 304 [M$^+$ - C(O)N$(CH_2)_4$O] (27). Found, %: C 73.4; H 6.7; N 7.3. $C_{24}H_{26}N_2O_3$. Calculated, %: C 73.4; H 6.9; N 7.1.

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