HETEROCYCLES FROM ACYLATION OF SOME IMINES WITH PYRIDINECARBONYL CHLORIDES

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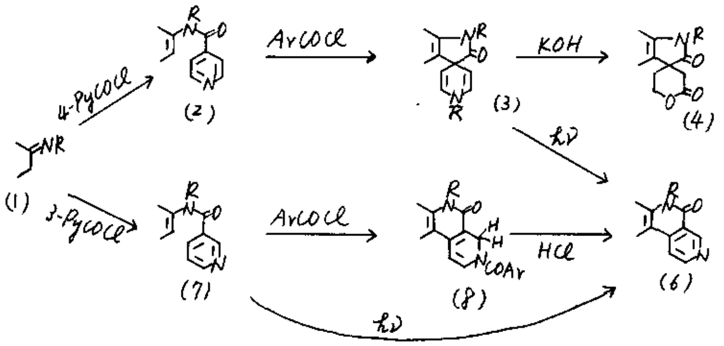
Acylation of the imines (1)[1] such as cyclohexanoneimine, 3,4-dihydroisoquinoline, harmalan, and methylaminocrotonate with isonicotinoyl (4-PyCOCl) and nicotinoyl (3-PyCOCl) chlorides yielded the corresponding enamides (2,7), spirodihydropyridines (3), or 1,2-dihydropyridines (8), depending on the amount of the acid chloride.

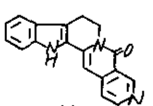
(I) Heterocycles from Acylation with 4-PyCOCl

Acylation of the imines (1) with an equimolar amount of 4-PyCOCl afforded the enamides (2), while the acylation with an excessive amount of the acid chloride resulted in the formation of the spirodihydropyridines (3) in good yields, which were also obtained from the enamides (2) by acylation. Partial hydrolysis of (3; R=COAr) with 5% KOH-MeOH gave the N-nordihydropyridines (3; R=H) which underwent a novel photo-induced rearrangement to afford the naphthyridines (6) including the alkaloid nauclé-fine (5). Hydrolysis of (3) under strong condition (20% KOH-MeOH, 100°, 2h) afforded the spirolactones (4), which can be a potential intermediate for the synthesis of indole alklaloids.

(II) Heterocycles from Acylation with 3-PyCOCl.

Acylation of the imines (1) with an excessive amount of 3-PyCOCl afforded the homogeneous 1,2-dihydropyridines (8) in good yields which were also obtained from the enamides (7) by acylation. Hydrolysis of (8) yielded the corresponding naphthyridines (6).





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