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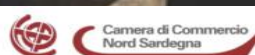
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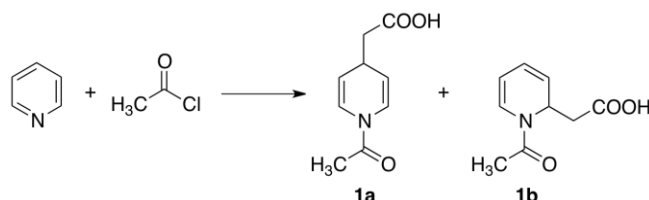
Synthesis of Dihydropyridine and Piperidine Derivatives via an Unexpected Reaction of Pyridine with Acetyl Chloride

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Acetyl chloride reacts with pyridine to give a mixture of *N*-acetyl-1,4- and 1,2-dihydropyridyl acetic acid (**1a,b**) after water quenching (scheme 1). The reaction involves the formation of a zwitterionic ketene enolate intermediate which results from deprotonation of the acetyl moiety of the in situ formed *N*-acetyl pyridinium ion.



Scheme 1: Synthesis of *N*-acetyl-1,4- and 1,2-*N*-acetyl-pyridyl acetic acid by reaction of pyridine with acetyl chloride.

The effect on the reaction outcome of different parameters as temperature, pyridine/acetyl chloride molar ratio, and as Lewis acids and triflate counterion presence has been studied in detail, and a reaction mechanism has been proposed.

The corresponding piperidine derivatives were obtained in quantitative yield by reduction of **1a** and **1b**. This procedure represents a new and simple synthetic approach to analogues of sedum family piperidine alkaloids, an important class of biological active compounds.^{1,2}

- (1) Davies, S. G.; Fletcher, A. M.; Roberts, P. M.; Smith, A. D.; *Tetrahedron* **2009**, 10192-10213;
- (2) Orjales, A.; Mosquera, R.; Toledo, A.; Pumar, M. C.; Garcia, N.; Cortizo, L.; Labeaga, L.; Innerarity, A.; *J. Med. Chem.* **2003**, 5512-5532.