

XXXVSocietà Chimica
Italiana

*Dipartimento di Chimica e
Farmacia
Università di Sassari
Istituto di Chimica
Biomolecolare del CNR di
Sassari*

Comitato Scientifico:

Prof. Paolo Scrimin
Prof. Raffaele Riccio
Prof. Roberto Ballini
Prof. Valeria Conte
Prof. Marco D'Ischia
Prof. Gianluca Farinola
Prof. Francesco Sannicolò

Conferenze Plenarie:

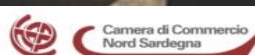
Dott. Catia Bastioli, Matrica/Novamont
Dott. Walter Cabri, Indena
Prof. Cinzia Chiappe, Uni Pisa
Prof. Antonella Dalla Cort, Uni Roma1
Prof. Bartolo Gabriele, Uni Calabria
Prof. Cesare Gennari, Uni Milano
Prof. Andrea Mazzanti, Uni Bologna
Dott. Alessandro Mordini, CNR Firenze
Prof. Carmen Nàjera, Uni Alicante
Dott. Vincenzo Palermo, ISOF CNR Bologna
Dott. Stefano Protti, Uni Pavia
Dott. Haymo Ross, EurJOCWiley
Prof. Claudio Trombini, Uni Bologna
Dott. Antonio Zanotti-Gerosa, JohnsonMatthey

Comitato Organizzatore:

Prof. Ugo Azzena
Dott. Massimo Carraro
Dott.ssa Giovanna Delogu
Dott.ssa Lidia De Luca
Dott. Davide Fabbri
Dott. Mauro Marchetti
Dott.ssa Luisa Pisano
Dott. Andrea Porcheddu
Dott.ssa Gloria Rasso
Dott. Pietro Spanu
Dott. Pietro Allegrini

Convegno della Divisione di Chimica Organica

Sassari, 9-13 Settembre 2013
Campus Universitario Via Vienna



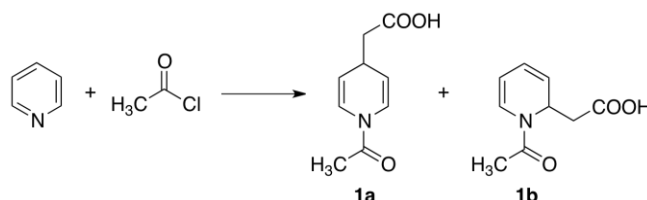
Synthesis of Dihydropyridine and Piperidine Derivatives via an Unexpected Reaction of Pyridine with Acetyl Chloride

¹Alberto Mannu, ¹Pietro Spanu, ¹Fausta Ulgheri.

¹ Istituto di Chimica Biomolecolare del CNR, trav. La Crucca 3, 07100, Sassari (Italy).

alberto.mannu@ss.icb.cnr.it

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.