Microwave Synthesis and Spectroscopic Study of 3-Quinoline-substituted α-Aminophosphonates

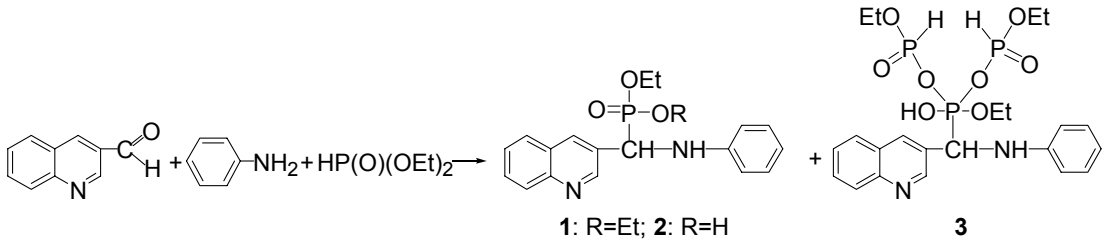
M. Juribašić[1], L. Stella[2], Ž. Marinić[1], M. Vinković[1], Lj. Tušek-Božić[1]

[1] *Ruđer Bošković Institute, Bijenička 54, 10002 Zagreb, Croatia*
[2] *CNR, Istituto di Scienze e Tecnologie Molecolari, Sezione di Padova, Corso Stati Uniti 4, 35100 Padova, Italy*

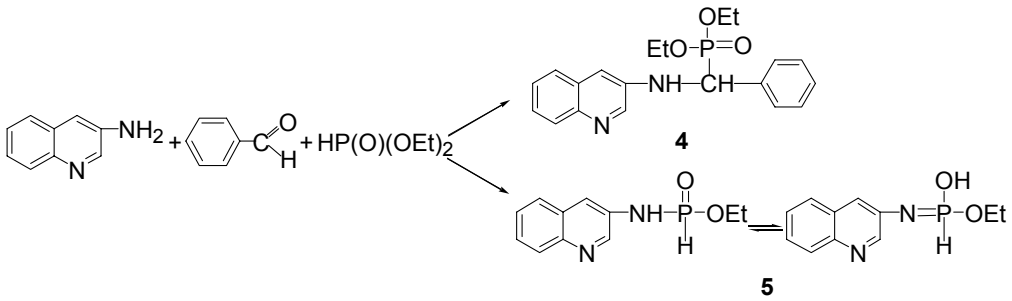
The synthesis of α-aminophosphonate derivatives has attracted current interest in the organic and medicinal chemistry due to important biological and pharmacological properties of these organophosphorus compounds.[1] Another interesting aspect regarding this kind of compounds arises from their ability of forming various types of transition metal complexes, which might also be of interest owing to their biological activity.[2]

The classical Kabachnik-Fields reaction, a three-component one-pot reaction of amine, carbonyl compound (aldehyde or ketone) and hydrophosphoryl compound, has proven its great synthetic potential and significance as one of the simplest approaches to α-aminophosphonates.[3]

We have directed out attention to investigations on the course of microwave-assisted one-pot three-component reaction of quinoline-3-carboxaldehyde and aniline (Scheme 1) as well as 3-aminoquinoline and benzaldehyde (Scheme 2), respectively, with diethyl phosphite. Here, we present ^{1}H and ^{31}P NMR, MS and IR spectroscopic characterization of unusual monoester phosphonate derivatives (**2**, **3**, **5**) isolated along with diethyl phosphonates (**1**, **4**).



Scheme 1



Scheme 2

[1] T. Klenner, P. Valenzuela-Paz, F. Amelung, H. Münch, H. Zahn, B. K. Keppler, H. Blum, Platinum phosphonato complexes with particular activity against bone malignancies. An evaluation of an experimental model highly predictive for the clinical situation, in: B. K. Keppler (Ed.), Metal Complexes in Cancer Chemotherapy, VCH, Weinheim, 1993, pp. 85-127.
[2] Lj. Tušek-Božić, V. Cmrečki, J. Balzarini, E. De Clercq, Lett. Drug Des. Discovery 3 (2006) 528-533; and references cited therein.
[3] R.A. Cherkasov, V.I. Galkin, Russ. Chem. Rev. 67 (1998) 857-882.