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Review on the Synthesis of A-HydroxyPhosphonates

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ABSTRACT

This review contains the main synthetic routes towards α-hydroxyphosphonatesthatare important to known as antimicrobial, antihypertensive, herbicides and antioxidants. Review include more attention towards green synthetic roots. α-hydroxyphosphonates are also different intermediates for other valuable derivatives.

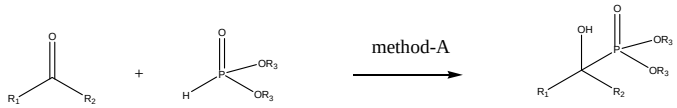
Keywords-antimicrobial, antihypertensive, herbicides, antioxidants, α-hydroxyphosphonates.

I. INTRODUCTION

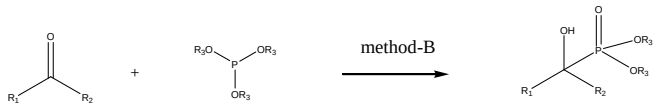
Phosphorus and its compound shows importance not only through its biological environment, but also in its many variousmedicinal, industrial and synthetic use. [1-4]The most familar method is the addition of a dialkylphosphite to an oxo compound.(method-1) [5] In the majority, the addition is carried out in the presence of a base catalyst, but a few acid-catlyzed variations are also known. An another route for synthesis of alpha-hydroxyphosphonates is the condensation of an oxo compound and a trialkylphosphite. (method-2) [6].In comparison to method "A", this reaction is conventionally catalyzed by different acids. In the literature, the denomination of the reactions is not consistent, as both methods "A" and "B" are referred to as the Pudovik and Abramov reaction, and additionally as the phospha-aldol reaction. In thisreview, both method "A" and "B" are discussed.The Abramov reaction is the related conversions of trialkyl to α-hydroxyphosphonates by the addition to carbonyl compounds. Phosphonates and their derivatives are key part in large group of chemical compounds with many various applications. Phosphonate moieties are found not only in therapeutic drugs and industrial chemicals [7]. Phosphonate derivatives including both synthetic and natural product represent numerous applications in medicine (drugs), agricultural (fertilizers and herbicides), synthetic chemistry (catalysts) [8].

The α-hydroxyphosphonates are important class of organophosphorus compound which exhibit antiviral [9], antibacterial [10], anti-HIV [11], anticancer [12], anti-inflammatory [13], anti-oxidant [14].

Review-



R_1- alkyl , aryl
R_2- alkyl, aryl, H
R_3- alkyl

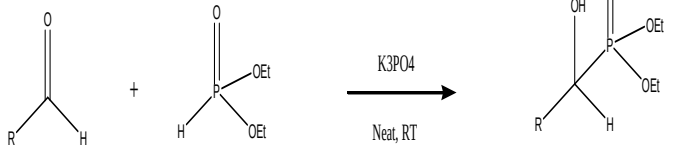


R_1- alkyl , aryl
R_2- alkyl, aryl, H
R_3- alkyl

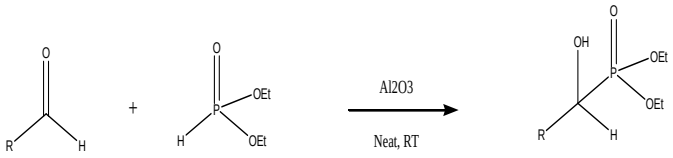
Synthesis of α-hydroxyphosphonates by the reaction of aldehydes/ketones and dialkylphosphites:-

The reaction of oxo compounds and dialkylphosphitescatalyzed by different catalyst was first reported by Pudovik [15]. Recent methods have targeted the use of inexpensive and simple catalysts, and mild reaction conditions in the spirit of green chemistry. In the great majority of the cases, the addition was carried out without using any solvent. It is worth mentioning that starting from ketones, the accomplishment of the reaction ismore challenging than in the cases applying aldehydes.

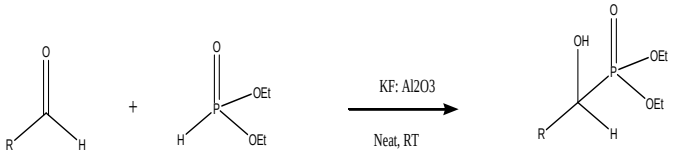
Scheme-A1:-*MakarandKulkarni and coworkers* [16] have reported method for synthesis of α-hydroxyphosphonates using aromatic aldehyde (2 mmol) and dialkylphosphite (2 mmol) was added potassium phosphate (5 mol %) and stirring continued. Upon completion of the reaction (TLC), methylene chloride (10 mL) was added. After stirring for five minutes, the catalyst was separated by centrifugation and organic extract was syringed out. Removal of solvent from the organic extract furnished respective a-hydroxyphosphonate.



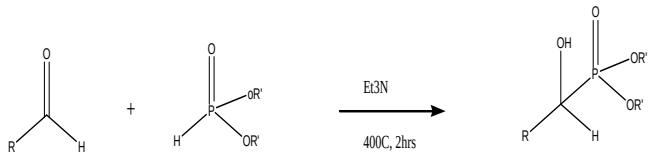
Scheme-A2 :-*Harry R. Hudson and coworkers* [17] have reported Aluminium oxide as a catalyst for synthesis of α-hydroxyphosphonates using appropriate carbonyl compound and dimethyl phosphite at room temperature. The reactants were completely adsorbed on the alumina. After 72 hours, the product was extracted with dichloromethane and the extract was evaporated under reduced pressure to give the crude phosphonic ester, which was either distilled or purified by recrystallization from cyclohexane to give the desired products.



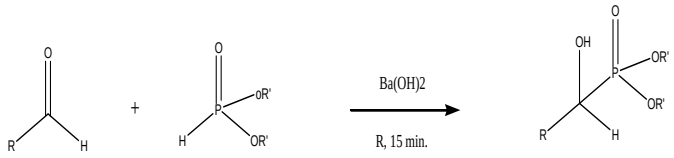
Scheme-A3:- *Chuanfei Jin and Hongwu He* [18] have developed new route for synthesis of α-hydroxyphosphonatesusing mixture of dimethyl or diethyl phosphite (10 mmol) and the respective aldehyde (10 mmol) were stirred at room temperature for 10 min and then potassium fluoride and alumina were added. The mixture was stirred for another 30 min, dissolved in dichoromethane and filtered. Dichoromethane was evaporated under reduced pressure, and the product was obtained as a white solid or colorless liquid in a yield of 60–91%.



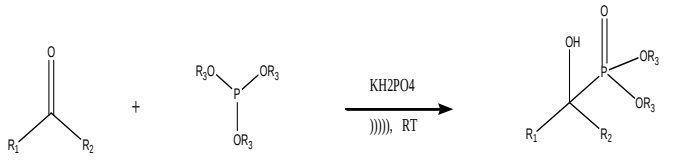
Scheme-A4:-*Chubei Wang, Jianwei Zhou, XingbinLv, Junlei Wen, and Hongwu He*[19] have been synthesized α-hydroxyphosphonates using mixture of substituted ketones (11 mmol), diethyl phosphite (10 mmol), and triethylamine (10 mmol). These mixture were stirred from ambient temperature to 40 ◦C for 2 h. The crude product was collected by filtration and recrystallized from ethyl acetate to afford pure α-hydroxyphosphonates.



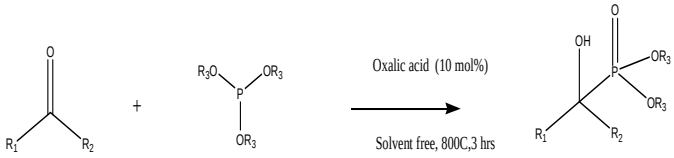
Scheme-A5 :-*MuthupandiPandi, Prem Kumar Chanani, SekarGovindasamy*[20] have been developed new protocol using mixture of diethyl phosphite , aldehyde and $Ba(OH)_2{\cdot}8H_2O$ (2–7 mol%) in THF was taken in a reaction tube and stirred at room temperature for 15 min. The reaction mixture was then concentrated under reduced pressure and the resulting residue was purified by silica gel column chromatography to give α-hydroxyphosphonates.



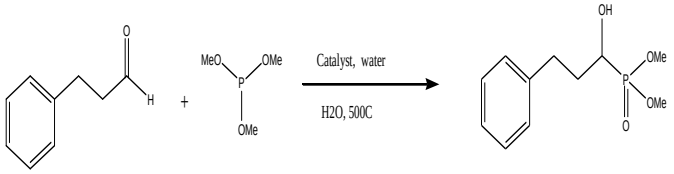
Scheme-B1:-*Priyanka G. Mandhane, Ratnadeep S. Joshi, Deepak R. Nagargoje, Charansingh H. Gill*[21] have been reported an efficient and practical method for the synthesis of α-hydroxyphosphonates using potassium dihydrogen phosphate (KH_2PO_4) as a catalyst under ultrasound irradiation using aryl and heteroaryl aldehyde and triethylphosphite under solvent-free condition.



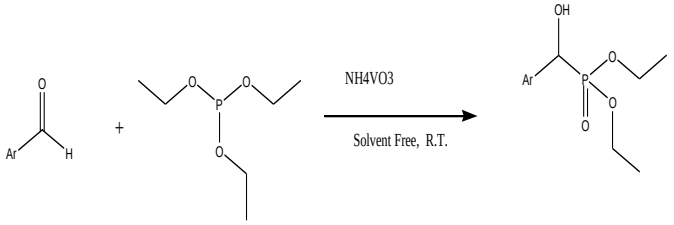
Scheme-B2:-*Seyed Mohammad Vahdat, RobabehBaharfar, MahmoodTajbakhsh, Akbar Heydari, SeyedMeysamBaghbanian, SamadKhaksar*[22] reported method of synthesis ofα-hydroxyphosphonates using mixture of aldehyde (2 mmol), oxalic acid (10 mol %), and trimethylphosphite (2.2 mmol). This mixture was stirred at 80⁰C for 3 hrs. After completion of the reaction, as indicated by TLC, the reaction mixture was quenched with aqsatd NaHCO3 followed by brine solution and then extracted with CH_2Cl_2. The organic extracts were combined, dried ($MgSO_4$), and concentrated. The residue was purified by column chromatography on silica gel using hexane/ethyl acetate (4:1) to afford the pure a-hydroxyphosphonate.



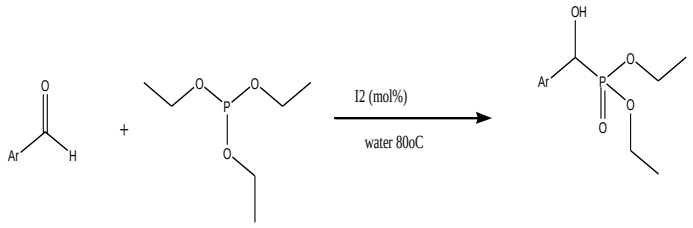
Scheme-B3:-*FatemehJahani, BehiZamenian, SamadKhaksar, MahmoodTajbakhsh*[23] have been reported reaction of aromatic aldehydes and trimethylphosphite in the presence of Pyridine dicarboxylic acid in water at 50 °C, gave the target products in good yields in a typical reaction time of 1–4 hours.



Scheme-B4:-*Swapnil S. Sonar, Amol H. Kategaonkar, Madhav N. Ware, Charansingh H. Gill, Bapurao B. Shingate, and Murlidhar S. Shingare*[24] have been reported simple, efficient, and rapid method for the synthesis of α-hydroxyphosphonatescatalyzed by ammonium metavanadate. The reaction was carried out using aromatic aldehyde, triethylphosphite and ammonium metavanadate in solvent free condition at room temperature.



Scheme-B5:-*Hong-She Wang and Jun-E Zeng*[25] have been reported reaction of aromatic aldehydes and trimethylphosphite in the presence of I_2 (mol%) in water at 80°C, gave theα-hydroxyphosphonates in good yields.



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