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Newer developments in the microwave-assisted synthesis of potentially biologically active organophosphorus compounds

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Abstract

The microwave (MW) technique has become an important tool in organophosphorus chemistry. In this paper, the advantages of MWs in different reactions are surveyed allowing green chemical accomplishments. The first case is the MW-assisted direct esterification of phosphinic and phosphonic acids that becomes more efficient in the presence of an ionic liquid catalyst. The phase transfer catalyzed (PTC) O-alkylation of phosphonic acids under MW irradiation is also a useful technique. MWs may substitute catalysts, such as in the Kabachnik–Fields condensations of amines (even carboxylic acid amides), aldehydes and >P(O)H reagents. Another valuable finding of ours is that in the Hirao P–C coupling of >P(O)H reagents and bromoarenes applying Pd(OAc)2 as the catalyst, the slight excess of the >P(O)H species in its tautomeric >POH form may substitute the usual P-ligands. Pd- and Ni-catalyzed cases exploring the mechanisms will be shown. The latter variation involves a brand new mechanism assuming a Ni(II) → Ni(IV) transition. The Pudovik reaction and the synthesis of α-hydroxyphosphonates, as well as dronic acid derivatives as biologically active substrates will also be discussed. Flow chemical accomplishment of a few reactions mentioned above, e.g. esterifications, as well as alcoholyses is also presented. It is also the purpose of this paper to elucidate the scope and limitations of the MW tool.

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Biography

György Keglevich graduated from the Technical University of Budapest in 1981 and got “Doctor of Chemical Science” degree in 1994. He has been the Head of the Department of Organic Chemistry and Technology since 1999. Within organophosphorus chemistry, his major field embraces a P-heterocycles involving catalytic transformations. He also deals with environmentally friendly chemistry involving MW syntheses, its theoretical aspects, the development of new chiral catalysts, and the use of ionic liquids. He is the author or co-author of ca. 550 papers. He is, among others, the member of the Editorial Board of Molecules, Heteroatom Chemistry and Phosphorus, Sulfur, and Silicon. He is the Editor-in-Chief for Current Organic Chemistry and Current Green Chemistry, Associate Editor for Current Organic Synthesis, Letters in Drug Design & Discovery, and Letters in Organic Chemistry.

Publications

1. Optimization and a Kinetic Study on the Acidic Hydrolysis of Dialkyl αHydroxybenzylphosphonates
2. “Greener” Synthesis of Zoledronic Acid from Imidazol-1-yl-acetic Acid and P-Reagents Using Diethyl Carbonate as the Solvent Component
3. Synthesis of Spiro[cycloalkane-pyridazinones] with High Fsp3 Character Part 2.*
4. An Experimental and Theoretical Study on the “2,2’ Bipiridyl Ni Catalyzed” Hirao Reaction of >P(O)H Reagents and Halobenzenes; A Ni(0)→Ni(II) or a Ni(II)→Ni(IV) mechanism?
5. Optical Resolution of Dimethyl α-Hydroxy-Arylmethylphosphonates via Diastereomer Complex Formation Using Calcium Hydrogen O,O′-Dibenzoyl-(2R,3R)-Tartrate; X-Ray Analysis of the Complexes and Products
6. A study on the reactivity of monosubstituted benzenes in the MW-assisted Pd(OAc)2-catalyzed Hirao reaction with Ph2P(O)H and (EtO)2P(O)H reagents
7. α-Hydroxyphosphonates as intermediates in the Kabachnik–Fields reaction: new proof of their reversible formation
8. Microwave-assisted simple synthesis of 2- anilinopyrimidines by the reaction of 2-chloro-4,6- dimethylpyrimidine with aniline derivatives
9. Enantioselective Michael Addition of Malonates to Enones

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