



Tetrahedron report number 978

## Synthesis of quaternary $\alpha$ -aminophosphonic acids

Mario Ordóñez<sup>a,\*</sup>, Francisco J. Sayago<sup>b</sup>, Carlos Cativiela<sup>b,\*</sup>

<sup>a</sup> Centro de Investigaciones Químicas, Universidad Autónoma del Estado de Morelos, 62209 Cuernavaca, Morelos, Mexico

<sup>b</sup> Departamento de Química Orgánica, ISQCH, Universidad de Zaragoza—CSIC, 50009 Zaragoza, Spain

### ARTICLE INFO

#### Article history:

Received 23 April 2012

Available online 9 May 2012

#### Keywords:

Kabachnik–Fields reaction

Pudovik reaction

Quaternary  $\alpha$ -aminophosphonates

Three-component reactions

### Contents

1. Introduction	6370
2. Synthesis of acyclic quaternary $\alpha$ -aminophosphonates	6371
2.1. Three-component reaction (ketones, amines and phosphorus derivatives)	6371
2.1.1. Phosphorus trichloride $\text{PCl}_3$ as phosphorus source	6371
2.1.2. Phospholes, phospholanes and phosphinanes as phosphorus source	6371
2.1.3. Phosphorous acid $(\text{HO})_2\text{POH}$ as phosphorus source	6372
2.1.4. Dialkyl phosphites $(\text{RO})_2\text{P}(\text{O})\text{H}$ as phosphorus source	6372
2.1.4.1. With ammonia	6372
2.1.4.2. With alkyl amines	6373
2.1.4.3. With aryl amines	6374
2.1.4.4. With $(\text{TMS})_2\text{NH}$	6374
2.1.4.5. With amino acids	6375
2.1.5. Trialkyl and triaryl phosphites $\text{P}(\text{OR})_3$ as phosphorus source	6375
2.1.5.1. With carbamates	6375
2.1.5.2. With alkyl amines	6375
2.1.5.3. With aryl amines	6375
2.1.5.4. With diethyl thiophosphoramidate	6376
2.2. C–P bond formation by hydrophosphonylation of Schiff bases	6376

**Abbreviations:** Ac, acetyl; acac, acetylacetonate; AcOH, acetic acid; Ar, aryl; BINAP, 2,2'-bis(diphenylphosphino)-1,1'-binaphthyl; Bn, benzyl; bnmim, 1-benzyl-3-methylimidazolium; Boc, *tert*-butoxycarbonyl; BtH, benzotriazole; Bu, butyl; Bz, benzoyl; CAN, ceric ammonium nitrate; cat., catalyst; Cbz, benzyloxycarbonyl; *c*-Hex, cyclohexyl; cod, cyclooctadiene; Cp, cyclopentadiene; *c*-Pr, cyclopropyl; Cy, cyclohexyl; DABCO, 1,4-diazabicyclooctane; DBU, 1,8-diazabicyclo[5.4.0]undec-7-ene; DCE, 1,2-dichloroethane; DDQ, 2,3-dichloro-5,6-dicyano-*p*-benzoquinone; de, diastereomeric excess; DEP, diethyl phosphite; DIB, [bis(trifluoroacetoxy)iodo]benzene; DIEA, diisopropylethylamine; DMF, *N,N*-dimethylformamide; DMPU, *N,N*-dimethylpropylene urea; DPPA, diphenylphosphoryl azide; dr, diastereoisomeric ratio; DTBM-SEGPHOS, (*R*)-(-)-5,5'-bis[di(3,5-di-*tert*-butyl-4-methoxyphenyl)phosphino]-4,4'-bi-1,3-benzodioxole; E, electrophile; ee, enantiomeric excess; Et, ethyl; HMDS, hexamethyldisilazane; *i*-Bu, isobutyl; *i*-Pr, isopropyl; LDA, lithium diisopropylamide; LiHMDS, lithium bis(trimethylsilyl)amide; LTMP, lithium tetramethylpiperidine; Me, methyl; Mes, 2,4,6-trimethylphenyl; MS, molecular sieves; MW, microwave; *n*-Bu, butyl; NMM, *N*-methylmaleimide; NMO, *N*-methylmorpholine *N*-oxide; NP, natural phosphite; NPht, phthalimidoyl; *n*-Pr, propyl; Ph, phenyl; PhTRAP, 2,2'-bis[1-(diphenylphosphino)ethyl]-1,1'-biferrocene; PMB, *p*-methoxybenzyl; Pr, propyl; PS, polystyrene-supported; *p*-Tol, *p*-tolyl; PTSA, *p*-toluenesulfonic acid; Py, pyridine; Quin-BAM, bisquinolin-2-ylcyclohexane-1,2-diamine; rt, room temperature; RAMP, (*R*)-1-amino-2-(methoxymethyl)pyrrolidine; RCM, ring-closing metathesis; *s*-Bu, *sec*-butyl; TBAI, tetrabutylammonium iodide; *t*-Bu, *tert*-butyl; TEP, triethyl phosphite; Tf, trifluorosulfonyl; TFA, trifluoroacetic acid; THF, tetrahydrofuran; THP, tetrahydropyran-2-yl; TMEDA, *N,N,N',N'*-tetramethylethylenediamine; TMEDAPS, *N,N,N',N'*-tetramethyl-*N,N'*-dipropanesulfonic acid ethylenediammonium; TMP, trimethyl phosphite; TMS, trimethylsilyl; *t*-Pc, tetra(*tert*-butyl)phthalocyanine; Ts, *p*-toluenesulfonyl; TSIL, task-specific ionic liquid.

\* Corresponding authors. Tel./fax: +52 7773297997 (M.O.); tel./fax: +34 976761210 (C.C.); e-mail addresses: [palacios@ciq.uaem.mx](mailto:palacios@ciq.uaem.mx) (M. Ordóñez), [cativiela@unizar.es](mailto:cativiela@unizar.es) (C. Cativiela).

2.2.1.	From Schiff bases derived from ammonia	6376
2.2.2.	From acyl imines	6376
2.2.3.	From alkyl imines	6377
2.2.4.	From sulfinyl and sulfonyl imines	6378
2.2.5.	From oximes	6380
2.2.6.	From hydrazones	6381
2.2.7.	From enamines	6381
2.3.	C–C bond formation	6381
2.3.1.	Nucleophilic addition to $\alpha$ -iminophosphonates	6381
2.3.2.	Alkylation of phosphoglycine equivalents	6382
2.3.3.	Alkylation of $\alpha$ -isocyanophosphonates	6383
2.3.4.	Alkylation of $\alpha$ -cyanophosphonates	6385
2.3.5.	From $\alpha$ -nitrophosphonates	6385
2.4.	C–N bond formation	6385
2.4.1.	Electrophilic amination of $\beta$ -ketophosphonates	6385
2.4.2.	Electrophilic amination of $\alpha$ -cyanophosphonates	6385
2.5.	Miscellaneous	6385
2.5.1.	From aziridines	6385
2.5.2.	Rearrangement of <i>N</i> -methylbenzyl phosphoramidates	6386
3.	Synthesis of quaternary $\alpha$ -aminocycloalkanephosphonates	6387
3.1.	Three-component reaction (ketones, amines and phosphorous derivatives)	6387
3.1.1.	Phosphorus trichloride $\text{PCl}_3$ as phosphorus source	6387
3.1.2.	Phospholes and phosphinanes as phosphorus source	6388
3.1.3.	Dialkyl phosphites $(\text{RO})_2\text{P}(\text{O})\text{H}$ as phosphorus source	6388
3.1.3.1.	With ammonium derivatives	6388
3.1.3.2.	With alkyl amines	6389
3.1.3.3.	With aryl amines	6390
3.1.3.4.	With $(\text{TMS})_2\text{NH}$	6391
3.1.3.5.	With amino acids	6392
3.1.4.	Trialkyl and triaryl phosphites $\text{P}(\text{OR})_3$ as phosphorus source	6392
3.1.4.1.	With carbamates	6392
3.1.4.2.	With alkyl amines	6392
3.1.4.3.	With aryl amines	6392
3.1.4.4.	With phosphoramidates	6393
3.2.	C–P bond formation by hydrophosphonylation of Schiff bases	6394
3.2.1.	From alkyl imines	6394
3.2.2.	From sulfinyl and sulfonyl imines	6395
3.2.3.	From oximes	6396
3.2.4.	From hydrazones	6396
3.2.5.	From imino isatins	6396
3.2.6.	From enamines	6396
3.3.	C–N bond formation	6397
3.3.1.	Electrophilic amination	6397
3.4.	Miscellaneous	6397
3.4.1.	From amino acids	6397
3.4.2.	Rearrangements	6398
4.	Synthesis of quaternary azacycloalkan-2-ylphosphonates	6399
4.1.	Synthesis of aziridin-2-ylphosphonates	6399
4.2.	Synthesis of azetidin-2-ylphosphonates	6401
4.3.	Synthesis of pyrrolidin-2-ylphosphonates	6401
4.3.1.	Phosphonylation of 2-substituted-1-pyrrolines	6401
4.3.2.	Alkylation of pyrrolidin-2-ylphosphonates	6402
4.3.3.	Nucleophilic addition to 1-pyrrolin-2-ylphosphonates	6403
4.3.4.	Cyclization of quaternary $\alpha$ -aminophosphonates	6403
4.3.5.	1,3-Dipolar cycloaddition	6406
4.4.	Synthesis of piperidin-2-ylphosphonates	6407
4.4.1.	Phosphonylation of 6-substituted-2,3,4,5-tetrahydropyridines	6407
4.4.2.	Cyclization of quaternary $\alpha$ -aminophosphonates	6407
4.4.3.	Intramolecular ring-closing metathesis	6408
4.4.4.	Miscellaneous	6408
4.5.	Synthesis of hexahydroazepin-2-ylphosphonates	6408
4.5.1.	Phosphonylation of 3,4,5,6-tetrahydro-2 <i>H</i> -azepines	6408
4.5.2.	Intramolecular ring-closing metathesis	6408
4.5.3.	Miscellaneous	6409
5.	Concluding remarks	6409
	Acknowledgements	6410
	References and notes	6410
	Biographical sketch	6412

Download English Version:

<https://daneshyari.com/en/article/5220340>

Download Persian Version:

<https://daneshyari.com/article/5220340>

[Daneshyari.com](https://daneshyari.com)