ISSN 1070-3632, Russian Journal of General Chemistry, 2011, Vol. 81, No. 4, pp. 642–646. © Pleiades Publishing, Ltd., 2011.
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Alkylation and Acylation of C-Phosphorylated Acetamidines Involving CH-Acidic Methylene Group

V. E. Shishkin, E. V. Mednikov, M. A. Shevchenko, O. V. Anishchenko, and Yu. V. Popov

Volgograd State Technical University, pr. Lenina 28, Volgograd, 400131 Russia
e-mail: tons@vstu.ru

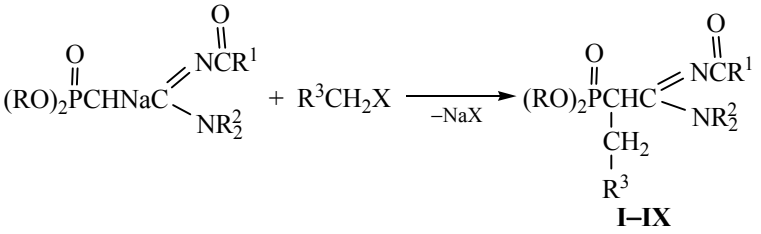
Received April 22, 2010

Abstract—Reactions of sodium derivatives of C-phosphorylated acetamidines containing CH-acidic methylene group with alkyl and acyl halides were studied. The interaction occurs selectively to form the products of alkylation and acylation of methylene group, respectively. A convenient method for the synthesis of C-alkylated and C-acylated derivatives of C-phosphorylated acetamidines was developed.

DOI: 10.1134/S1070363211040049

Alkylation and acylation of CH-acids are widely used in the production of biologically active compounds [1]. Previously, it was found that the *C*-phosphorylated acetamidines exhibit CH-acid properties, and the reaction of these compounds with sodium affords the corresponding monosodium derivatives [2]. In the course of studying these properties and synthesis of new substances with biological activity, we examined the alkylation and acylation of the sodium derivatives of C-phosphorylated acetamidines.

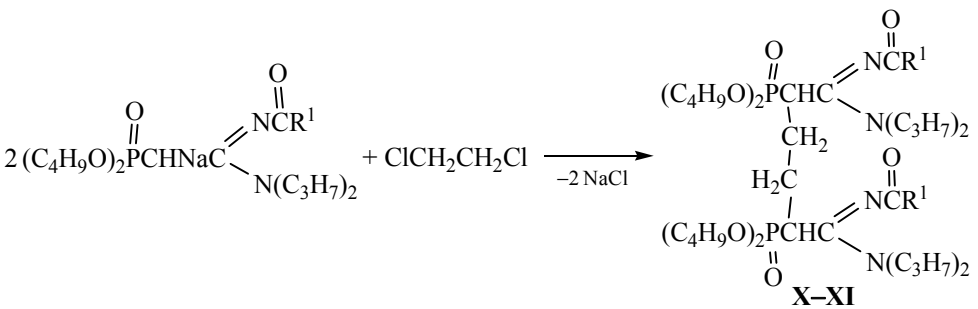
Various alkyl halides: methyl iodide, ethyl bromide, butyl iodide, benzyl chloride, chloroacetic acid ethyl ester [3] were used as alkylating agents. The reactions occur selectively via alkylation of methylene group to form the corresponding products and sodium halide:



I–IX

R = *i*-C_3H_7, C_4H_9; R^1 = CH_3, C_6H_5; R^2 = C_2H_5, C_3H_7, C_4H_9, *i*-C_4H_9; R^3 = H (**a**), CH_3 (**b**), C_3H_7 (**c**), C_6H_5 (**d**), $COOC_2H_5$ (**e**); X = I (**a, c**), Br (**b**), Cl (**d, e**).

With 1,2-dichloroethane as alkylating agent at the molar ratio of the reagents 2:1 formed bisamidines:



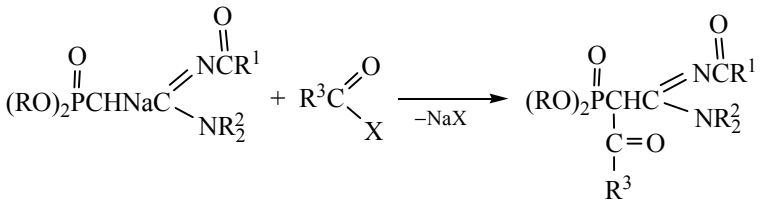
X–XI

R^1 = CH_3, C_6H_5.

The sodium derivatives of C-phosphorylated acetamidines were obtained as described in [2]. To the freshly prepared sodium derivative in dioxane a calculated amount of alkyl halide in dioxane was added dropwise under vigorous stirring. In the case of highly volatile halides 10–20% excess was used, while the poorly volatile halides were used in equimolar amount. To accelerate the alkylation process (especially with chlorinated alkanes) the temperature was gradually increased to 40–50°C. In order to isolate the target product the sodium halide was filtered off, and the solvent was removed by distillation in a vacuum. Yields of the alkylation products were 78–87%.

The synthesized compounds are viscous yellow liquids, well soluble in such organic solvents as dioxane, ether, acetone, and insoluble in water. Purification of these compounds was carried out by column chromatography on silica gel μLC 5/40, eluting with chloroform–diethyl ether–acetone mixture (1:2:1). Their individuality was monitored by TLC. The physicochemical properties of the compounds obtained **I–IX** are presented in Table 1.

In order to obtain the C-phosphorylated acetamidines with new structures and containing functional groups, we studied the reaction of sodium derivatives of C-phosphorylated acetamidines with accessible carboxylic acid chlorides and bromides [4]. The reactions proceed to form C-acylation products, the organophosphorus ketones of complex structure:



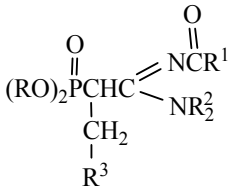
XII–XVI

R = *i*-C_3H_7, C_4H_9; R^1 = CH_3, C_6H_5; R^2 = C_2H_5, C_3H_7; R^3 = CH_3 (**a**), C_6H_5 (**b**); X = Br (**a**), Cl (**a, b**).

The reactions were performed at a molar ratio of reagents 1:1–1.1 and a temperature of 50–60°C in the anhydrous dioxane medium. The yield of salt is close to quantitative. To isolate the target substance, sodium halide was filtered off, and the solvent was removed by distillation in a vacuum. The C-acylated derivatives were obtained in yields 80–86%.

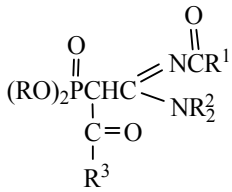
The acylation products are yellow liquids, well soluble in organic solvents and insoluble in water.

Table 1. C-Alkylated derivatives of C-phosphorylated acetamidines



Comp. no.	R	R^1	R^2	R^3	Yield, %	n_D^{20}	d_4^{20}	MR_D		Found, %		Formula	Calculated, %	
								found	calculated	N	P		N	P
I	*i*-C_3H_7	CH_3	C_3H_7	H	87	1.4584	1.0114	97.72	98.10	7.64	8.41	$C_{17}H_{35}N_2O_4P$	7.73	8.56
II	C_4H_9	C_6H_5	C_3H_7	H	85	1.4934	1.0449	125.96	126.88	5.93	6.58	$C_{24}H_{41}N_2O_4P$	6.19	6.84
III	C_4H_9	CH_3	*i*-C_4H_9	CH_3	84	1.4721	1.0044	120.46	121.34	6.21	7.30	$C_{22}H_{45}N_2O_4P$	6.47	7.16
IV	C_4H_9	CH_3	C_2H_5	C_3H_7	81	1.4676	1.0739	104.64	105.34	6.78	7.38	$C_{20}H_{41}N_2O_4P$	6.92	7.66
V	*i*-C_3H_7	CH_3	C_2H_5	$COOC_2H_5$	83	1.4622	1.0653	104.81	104.50	7.08	7.43	$C_{18}H_{35}N_2O_6P$	6.89	7.62
VI	C_4H_9	CH_3	C_3H_7	$COOC_2H_5$	80	1.4740	1.0645	122.12	123.09	6.31	6.92	$C_{22}H_{43}N_2O_6P$	6.06	6.69
VII	C_4H_9	C_6H_5	C_3H_7	$COOC_2H_5$	83	1.5162	1.1159	141.88	142.57	5.08	5.83	$C_{27}H_{45}N_2O_6P$	5.37	5.90
VIII	*i*-C_3H_7	CH_3	C_3H_7	C_6H_5	78	1.5104	1.0751	121.93	122.23	6.48	7.22	$C_{23}H_{39}N_2O_4P$	6.39	7.06
IX	C_4H_9	CH_3	C_3H_7	C_6H_5	79	1.5019	1.0561	130.59	131.31	6.27	6.82	$C_{25}H_{43}N_2O_4P$	6.01	6.65

Table 2. C-Acylated derivatives of C-phosphorylated acetamidines



Comp. no.	R	R^1	R^2	R^3	Yield, %	n_D^{20}	d_4^{20}	MR_D		Found, %		Formula	Calculated, %	
								found	calculated	N	P		N	P
XII	C_4H_9	CH_3	C_2H_5	CH_3	86	1.4624	1.1039	97.07	96.18	7.35	7.66	$C_{18}H_{35}N_2O_5P$	7.18	7.95
XIII	C_4H_9	CH_3	C_3H_7	CH_3	84	1.4697	1.0964	106.31	105.48	6.48	7.30	$C_{20}H_{39}N_2O_5P$	6.69	7.41
XIV	C_4H_9	C_6H_5	C_3H_7	CH_3	83	1.5028	1.0698	132.59	131.66	5.54	6.19	$C_{25}H_{41}N_2O_5P$	5.83	6.46
XV	*i*-C_3H_7	CH_3	C_2H_5	CH_3	84	1.4678	1.0724	93.80	93.42	7.81	8.33	$C_{16}H_{31}N_2O_5P$	7.73	8.56
XVI	*i*-C_3H_7	CH_3	C_2H_5	C_6H_5	80	1.4987	1.0927	113.87	112.90	6.45	7.50	$C_{21}H_{33}N_2O_5P$	6.60	7.31

These compounds were purified by column chromatography on silica gel μLC 5/40, eluting with diethyl ether–acetone mixture (2:1). Their individuality was monitored by TLC. The physicochemical properties of the compounds obtained **XII–XVI** are given in Table 2.

The composition and structure of all synthesized compounds were confirmed by the elemental analysis, molecular refraction, IR and ^{1}H NMR spectra.

The computer screening for biological activity of the obtained C-alkylated and C-acylated derivatives of C-phosphorylated acetamidines was performed by means of PASS program of the Orekhovich Insititute of Biomedical Chemistry, which predicted a high probability of inhibition of different enzymes (phosphatase carboxyesterase, cutinase, amidase, etc). These compounds are characterized by activity against psoriasis, osteoporosis, and immunomodulatory action.

Thus, the convenient methods for synthesis of C-alkylated and C-acylated derivatives of C-phosphorylated acetamidines were developed on the basis of the CH-acid properties of the activated methylene group of C-phosphorylated acetamidines.

EXPERIMENTAL

***N*^{1},*N*^{1}-Dipropyl-*N*^{2}-acetyl(2-diisopropoxyphosphoryl)propanamidine (I).** To a solution of 2.83 g (0.0081 mol) of *N*^{1},*N*^{1}-dipropyl-*N*^{2}-acetyl(diisopropoxyphosphoryl)acetamidine in 6 ml of anhydrous dioxane was added by portions 0.187 g (0.0081 mol) of sodium while stirring at 20–30°C. The reaction mixture was stirred until complete disappearance of sodium. To a solution of the resulting acetamidine sodium derivative was dropwise added 1.26 g (0.0089 mol, 10 mol % excess) of methyl iodide in 3 ml of dioxane under stirring at 20–30°C. The temperature was raised to 40°C, and the stirring was continued for 3 h. Sodium iodide was filtered off, the solvent was removed by distillation in a vacuum at 15–20 hPa. The residue was evacuated for 1 h at 50°C and 2–4 hPa. Yield 2.55 g (87%). IR spectrum, ν, cm^{-1}: 982–1070 (POC), 1245 (P=O), 1664 (C=N), 1732 (C=O). ^{1}H NMR spectrum (CCl_4), δ, ppm: 1.13 m (6H, CH_3), 1.25 d (12H, CH_3), 1.36 m (4H, CH_2), 1.44 d.d (3H, CH_3CP), 1.96 s [3H, $CH_3C(O)$], 2.98 m (1H, CHP), 3.10 m (4H, NCH_2), 4.59 m (2H, CHOP).

***N*^{1},*N*^{1}-Dipropyl-*N*^{2}-benzoyl(2-dibutoxyphosphoryl)propanamidine (II)** was prepared similarly from 1.93 g (0.0044 mol) of *N*^{1},*N*^{1}-dipropyl-*N*^{2}-benzoyl(dibutoxyphosphoryl)acetamidine, 0.101 g (0.0044 mol) of sodium and 0.68 g (0.0048 mol, 10 mol % excess) of methyl iodide. Yield 1.68 g (85%). IR spectrum, ν, cm^{-1}: 976-1060 (POC), 1246 (P=O), 1600 (C...C), 1663 (C=N), 1735 (C=O). ^{1}H NMR spectrum (CCl_4), δ, ppm: 0.76 t (12H, CH_3), 1.27 m (12H, CH_2), 1.53 d.d (3H, CH_3CP), 3.09 m (1H, CHP), 3.43 t (4H, NCH_2), 3.76 m (4H, CH_2OP), 7.32 (5H, C_6H_5).

***N*^{1},*N*^{1}-Diisobutyl-*N*^{2}-acetyl(2-dibutoxyphosphoryl)butanamidine (III)** was prepared similarly from 2.8 g (0.0069 mol) of *N*^{1},*N*^{1}-diisobutyl-*N*^{2}-acetyl-(dibutoxyphosphoryl)acetamidine, 0.160 g (0.0069 mol) of sodium and 0.82 g (0.0076 mol, 10 mol % excess) of ethyl bromide. Reaction time 6 h. Yield 2.5 g (84%). IR spectrum, ν, cm^{-1}: 974–1065 (POC), 1232

(P=O), 1650 (C=N), 1730 (C=O). ^{1}H NMR spectrum (CCl_4), δ, ppm: 1.04 t (9H, CH_3), 1.15 d (12H, CH_3), 1.33 m (8H, CH_2), 1.54 m (2H, CH), 1.76 m (2H, CH_2CP), 1.95 s [3H, $CH_3C(O)$], 2.92 m (1H, CHP), 3.44 d (4H, NCH_2), 3.92 m (4H, CH_2OP).

***N*^{1},*N*^{1}-Diethyl-*N*^{2}-acetyl(2-dibutoxyphosphoryl)-hexanamidine (IV)** was prepared similarly from 2.66 g (0.0076 mol) of *N*^{1},*N*^{1}-diethyl-*N*^{2}-acetyl(dibutoxyphosphoryl)acetamidine, 0.175 g (0.0076 mol) of sodium and 1.39 g (0.0076 mol) of butyl iodide. Reaction time 6 h. Yield 2.46 g (81%). IR spectrum, ν, cm^{-1}: 978–1064 (POC), 1244 (P=O), 1673 (C=N), 1730 (C=O). ^{1}H NMR spectrum (CCl_4), δ, ppm: 0.95 t (15H, CH_3), 1.34 m (12H, CH_2), 1.70 m (2H, CH_2CP), 1.96 s [3H, $CH_3C(O)$], 2.91 m (1H, CHP), 3.43 q (4H, NCH_2), 3.93 m (4H, CH_2OP).

***N*^{1},*N*^{1}-Diethyl-*N*^{2}-acetyl(2-diisopropoxyphosphoryl-3-ethoxycarbonyl)propanamidine (V)** was prepared similarly from 2.60 g (0.0057 mol) of *N*^{1},*N*^{1}-diethyl-*N*^{2}-acetyl(dibutoxyphosphoryl)acetamidine, 0.132 g (0.0057 mol) of sodium and 0.70 g (0.0057 mol) of ethyl chloroacetate. Yield 2.05 g (83%). IR spectrum, ν, cm^{-1}: 988–1066 (POC), 1240 (P=O), 1660 (C=N), 1694, 1720 (C=O). ^{1}H NMR spectrum (CCl_4), δ, ppm: 1.12 t (6H, CH_3), 1.24 d (12H, CH_3), 1.27 t (3H, CH_3), 1.97 s [3H, $CH_3C(O)$], 2.68 d.d [2H, $CH_2C(O)$], 2.96 m (1H, CHP), 3.18 q (4H, NCH_2), 4.07 q (2H, OCH_2), 4.62 m (2H, POCH).

***N*^{1},*N*^{1}-Dipropyl-*N*^{2}-acetyl(2-dibutoxyphosphoryl-3-ethoxycarbonyl)-propanamidine (VI)** was prepared similarly from 2.12 g (0.0056 mol) *N*^{1},*N*^{1}-dipropyl-*N*^{2}-acetyl(dibutoxyphosphoryl)acetamidine, 0.129 g (0.0056 mol) of sodium and 0.69 g (0.0056 mol) of ethyl chloroacetate. Reaction time 4 h, temperature 50°C. Yield 2.07 g (80%). IR spectrum, ν, cm^{-1}: 988–1060 (POC), 1246 (P=O), 1668 (C=N), 1684, 1744 (C=O). ^{1}H NMR spectrum (CCl_4), δ, ppm: 0.88 t (12H, CH_3), 1.18 t (3H, CH_3), 1.34 m (12H, CH_2), 1.96 s [3H, $CH_3C(O)$], 2.67 d.d [2H, $CH_2C(O)$], 3.08 m (1H, CHP), 3.32 t (4H, NCH_2), 3.92 q (2H, OCH_2), 4.08 m (4H, CH_2OP).

***N*^{1},*N*^{1}-Dipropyl-*N*^{2}-benzoyl(2-dibutoxyphosphoryl-3-ethoxycarbonyl)propanamidine (VII)** was prepared similarly from 2.40 g (0.0055 mol) of *N*^{1},*N*^{1}-dipropyl-*N*^{2}-benzoyl(2-dibutoxyphosphoryl)acetamidine, 0.12 g (0.0055 mol) of sodium, and 0.67 g (0.0055 mol) of ethyl chlororacetate. Reaction time 4 h, temperature 50°C. Yield 2.40 g (83%). IR spectrum, ν, cm^{-1}: 980–1068 (POC), 1238 (P=O), 1600 (C...C), 1672 (C=N), 1691, 1715 (C=O). ^{1}H NMR spectrum (CCl_4), δ, ppm: 0.75 t (12H, CH_3), 0.82 t (3H, CH_3), 1.26 m (12H, CH_2), 3.11 d.d [2H, $CH_2C(O)$], 3.23 m (1H, CHP), 3.46 t (4H, NCH_2), 3.70 q (2H, OCH_2), 4.09 m (4H, CH_2OP), 7.33 m (5H,C_6H_5).

***N*^{1},*N*^{1}-Dipropyl-*N*^{2}-acetyl(2-diisopropoxyphosphoryl-3-phenyl)propanamidine (VIII)** was prepared similarly from 2.65 g (0.0076 mol) of *N*^{1},*N*^{1}-dipropyl-*N*^{2}-acetyl(diisopropoxyphosphoryl)acetamidine, 0.178 g (0.0076 mol) of sodium and 0.99 g (0.0078 mol) of benzyl chloride. Reaction time 9 h, temperature 50°C. Yield 2.58 g (78%). IR spectrum, ν, cm^{-1}: 982–1072 (POC), 1246 (P=O), 1594 (C...C), 1664 (C=N), 1738 (C=O). ^{1}H NMR spectrum (CCl_4), δ, ppm: 1.08 t (6H, CH_3), 1.25 d (12H, CH_3), 1.54 m (4H, CH_2), 1.97 s [3H, $CH_3C(O)$], 2.20 m (2H, CH_2CP), 2.71 m (1H, CHP), 3.30 t (4H, NCH_2), 4.56 m (2H, POCH), 7.14 m (5H, C_6H_5).

***N*^{1},*N*^{1}-Dipropyl-*N*^{2}-acetyl(2-dibutoxyphosphoryl-3-phenyl)propanamidine (IX)** was prepared similarly from 1.93 g (0.0051 mol) of *N*^{1},*N*^{1}-dipropyl-*N*^{2}-acetyl-(dibutoxyphosphoryl)acetamidine, 0.118 g (0.0051 mol) of sodium and 0.65 g (0.0051 mol) of benzyl chloride. Reaction time 9 h, temperature 50°C. Yield 1.90 g (79 %). IR spectrum, ν, cm^{-1}: 978–1067 (POC), 1245 (P=O), 1600 (C...C), 1668 (C=N), 1734 (C=O). ^{1}H NMR spectrum (CCl_4), δ, ppm: 1.02 t (12H, CH_3), 1.31 m (12H, CH_2), 1.96 s [3H, $CH_3C(O)$], 2.28 m (2H, CH_2CP), 2.96 m (1H, CHP), 3.31 t (4H, NCH_2), 3.94 m (4H, $POCH_2$), 7.13 m (5H, C_6H_5).

Ethane-1,2-bis[*N*^{1},*N*^{1}-dipropyl-*N*^{2}-acetyl(dibutoxyphosphoryl)acetamidine] (X) was prepared similarly from 2.2 g (0.0060 mol) of *N*^{1},*N*^{1}-dipropyl-*N*^{2}-acetyl(dibutoxyphosphoryl)acetamidine, 0.14 g (0.0060 mol) of sodium and 0.29 g (0.0030 mol) of 1,2-dichloroethane. Reaction time 6 h, temperature 50°C. Yield 1.9 g (85%), n_D^{20} 1.4838, d_4^{20} 1.0417. MR_D 213.59, calc. 212.74. IR spectrum, ν, cm^{-1}: 974–1054 (POC), 1240 (P=O), 1665 (C=N), 1730 (C=O). ^{1}H NMR spectrum (CCl_4), δ, ppm: 0.96 t (24H, CH_3), 1.32 m (24H, CH_2), 1.74 m (4H, CH_2), 1.96 s [6H, $CH_3C(O)$], 2.93 m (2H, CHP), 3.44 t (8H, NCH_2), 3.94 m (8H, $POCH_2$). Found, %: N 7.46; P 7.70. $C_{38}H_{76}N_4O_8P_2$. Calculated, %: N 7.19; P 7.97.

Ethane-1,2-bis[*N*^{1},*N*^{1}-dipropyl-*N*^{2}-benzoyl(dibutoxyphosphoryl)acetamidine] (XI) was prepared similarly from 3.5 g (0.0082 mol) of *N*^{1},*N*^{1}-dipropyl-*N*^{2}-benzoyl(dibutoxyphosphoryl)acetamidine, 0.19 g of sodium and 0.41 g (0.0041 mol) of 1,2-dichloroethane.

Reaction time 6 h, temperature 50°C. Yield 1.67 g (83%), n_D^{20} 1.5178, d_4^{20} 1.0795. MR_D 250.88, calc. 251.70. IR spectrum, ν, cm^{-1}: 976–1066 (POC), 1246 (P=O), 1610 (C=C), 1678 (C=N), 1738 (C=O). ^1H NMR spectrum (CCl_4), δ, ppm: 0.78 t (24H, CH_3), 1.26 m (24H, CH_2), 1.52 m (4H, CH_2), 3.08 m (2H, CHP), 3.44 t (8H, NCH_2), 3.92 m (8H, $POCH_2$), 7.33 m (10H, C_6H_5). Found, %: N 6.13; P 6.61. $C_{48}H_{80}N_4O_8P_2$. Calculated, %: N 6.02; P 6.87.

N^1,N^1-Diethyl-N^2-acetyl(acetyldibutoxyphosphoryl)-acetamidine (XII). To a solution of the sodium derivative, obtained from 2.08 g (0.0060 mol) of N^1,N^1-diethyl-N^2-acetyl(dibutoxyphosphoryl)acetamidine and 0.14 g (0.0060 mol) of sodium was added dropwise 0.81 g (0.0066 mol, 10 mol% excess) of acetyl bromide in 2 ml of dioxane at stirring. The temperature was raised to 50°C, and the stirring was continued for 1 hours. Sodium bromide was filtered off; the solvent was removed by distillation in a vacuum at 15–20 hPa. The residue was evacuated for 1 h at 50°C and 2–4 hPa. Yield 2.0 g (86%). IR spectrum, ν, cm^{-1}: 986–1061 (POC), 1242 (P=O), 1660 (C=N), 1723 (C=O). ^1H NMR spectrum (CCl_4), δ, ppm: 0.89 t (12H, CH_3), 1.28 m (8H, CH_2), 1.89 s [3H, $CH_3C(O)$], 1.96 s [3H, $CH_3C(O)$], 2.83 d (1H, CHP), 3.24 q (4H, NCH_2), 3.98 m (4H, CH_2OP).

N^1,N^1-Dipropyl-N^2-acetyl(acetyldibutoxyphosphoryl)acetamidines (XIII) was prepared similarly from 2.62 g (0.0069 mol) of N^1,N^1-dipropyl-N^2-acetyl-(dibutoxyphosphoryl)acetamidine, 0.16 g (0.0069 mol) of sodium and 0.59 g (0.0076 mol, 10 mol% excess) of acetyl chloride. Reaction time 2 h. Yield 2.42 g (84%). IR spectrum, ν, cm^{-1}: 982–1060 (POC), 1248 (P=O), 1678 (C=N), 1720, 1730 (C=O). ^1H NMR spectrum (CCl_4), δ, ppm: 0.89 t (12H, CH_3), 1.38 m (12H, CH_2), 1.88 s [3H, $CH_3C(O)$], 1.93 s [3H, $CH_3C(O)$], 2.76 d (1H, CHP), 3.12 t (4H, NCH_2), 3.92 m (4H, CH_2OP).

N^1,N^1-Dipropyl-N^2-benzoyl(acetyldibutoxyphosphoryl)acetamidine (XIV) was prepared similarly from 2.50 g (0.0057 mol) N^1,N^1-dipropyl-N^2-benzoyl-(dibutoxyphosphoryl)acetamidine, 0.13 g (0.0057 mol) of sodium, and 0.49 g (0.0063 mol, 10 mol% excess) of acetyl chloride. Reaction time 2 h. Yield 2.3 g (83%). IR spectrum, ν, cm^{-1}: 982–1058 (POC), 1246 (P=O), 1600 (C=C), 1666 (C=N), 1725, 1730 (C=O). ^1H NMR spectrum (CCl_4), δ, ppm: 0.76 t (12H, CH_3), 1.26 m (12H, CH_2), 1.88 s [3H, $CH_3C(O)$], 2.77 d (1H, CHP), 3.46 t (4H, NCH_2), 3.75 m (4H, CH_2OP), 7.33 m (6H, C_6H_5).

N^1,N^1-Diethyl-N^2-acetyl(acetyldiisopropoxyphosphoryl)acetamidine (XV) was prepared similarly from 1.96 g (0.0061 mol) of N^1,N^1-diethyl-N^2-acetyl(diisopropoxyphosphoryl)acetamidine, 0.141 g (0.0061 mol) of sodium and 0.48 g (0.0061 mol) of acetyl chloride. Reaction time 2 h. Yield 1.63 g (84%). IR spectrum, ν, cm^{-1}: 988–1058 (POC), 1240 (P=O), 1672 (C=N), 1725, 1730 (C=O). ^1H NMR spectrum (CCl_4), δ, ppm: 1.13 t (6H, CH_3), 1.25 d (12H, CH_3), 1.89 s [3H, $CH_3C(O)$], 1.97 s [3H, $CH_3C(O)$], 2.77 d (1H, CHP), 3.25 q (4H, NCH_2), 4.65 m (2H, CHOP).

N^1,N^1-Diethyl-N^2-acetyl(benzoyldiisopropoxyphosphoryl)acetamidine (VI) was prepared similarly from 2.08 g (0.0065 mol) of N^1,N^1-diethyl-N^2-acetyl(diisopropoxyphosphoryl)acetamidine, 0.15 g (0.0065 mol) of sodium and 0.91 g (0.0065 mol) of benzoyl chloride. Reaction time 4 h. Yield 2.3 g (80%). IR spectrum, ν, cm^{-1}: 988–1060 (POC), 1240 (P=O), 1595 (C=C), 1668 (C=N), 1722, 1730 (C=O). ^1H NMR spectrum (CCl_4), δ, ppm: 1.12 t (6H, CH_3), 1.24 d (12H, CH_3), 1.96 s [3H, $CH_3C(O)$], 2.80 d (1H, CHP), 3.23 q (4H, NCH_2), 4.67 m (2H, POCH), 7.35 m (5H, C_6H_5).

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