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A Convenient Synthesis of 5-(*O*,*O*-Dialkylphosphoryl)-4-aryl-3,4-dihydropyrimidin-2(1*H*)-ones

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ABSTRACT: *A general and convenient route for the synthesis of dihydropyrimidines bearing the phosphoryl moiety by a one-pot cyclocondensation involving aldehydes, urea, and O,O-dialkyl-2-oxo-propanephosphonates, using ytterbium triflate as catalyst, is reported.* © 2003 Wiley Periodicals, Inc. Heteroatom Chem 14:13–17, 2003; Published online in Wiley InterScience (www.interscience.wiley.com). DOI 10.1002/hc.10058

INTRODUCTION

It is well documented that Biginelli reported the synthesis of 3,4-dihydropyrimidin-2(1*H*)-ones by a simple, one-pot cyclocondensation reaction involving ethyl acetoacetate, urea, and benzaldehyde in ethanolic solution [1]. Consequently, the Biginelli reaction attracted the attention of synthetic chemists for the study of a more practical route for the preparation of dihydropyrimidin-2(1*H*)-ones [2]. These publications demonstrated that dihydropyrimidine derivatives have developed considerable interest in recent years because of their promising activities as calcium channel blockers, antihypertensive agents, and α-1a-antagonists [3]. Furthermore, several marine alkaloids with promising biological activities and containing the dihydropyrimidine unit have been isolated [4]. Most notably among these are the batzelladine alkaloids that were found to be potent HIV gp-120-CD4 inhibitors [5]. As far as we are aware, the introduction of a phosphoryl moiety usually results in the enhancement of the biological activity of the parent molecule [6]. Unfortunately, our attempts to synthesize phosphoryl dihydropyrimidine-2(1*H*)-ones under traditional Biginelli reaction conditions, that is, by a condensation involving benzaldehydes, urea, and *O*,*O*-dialkyl-2-oxo-propane phosphonates, were unsuccessful. In this article, we report our successful method for the synthesis of 3,4-dihydropyrimidine-2(1*H*)-ones bearing the phosphoryl moiety, using a catalytic amount of ytterbium triflate (5 mol%), as shown in Scheme 1.

RESULTS AND DISCUSSION

We have tested a variety of reaction conditions with a model reaction using benzaldehyde **1**, urea **2**, and *O*,*O*-diethyl-2-oxo-propanephosphonate **3** as the starting materials with a ratio of 1:1:1.5. Compounds **3** were prepared from chloroacetone and trialkyl phosphite via the Arbuzov reaction, in the presence of potassium iodide, acetone, and acetonitrile [7]. First, we tried to carry out a reaction with benzaldehyde **1**, urea **2**, and a dialkyl-β-ketophosphonate **3** under classical acid-catalyzed Biginelli condensation reaction conditions [8]. No desired product was detected. Then, a series of catalyst systems were investigated, including $FeCl_3 \cdot 6H_2O$/EtOH, PPE/THF,

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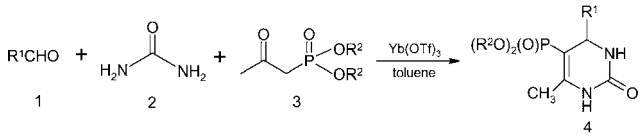

SCHEME 1

BF_3·OEt/THF, $TiCl_4/CH_2Cl_2$, $PdCl_2$/EtOH, $La(NO_3)_3$/EtOH, $La(NO_3)_3$/Toluene, $Ce(NO_3)_3$/Toluene, $Lu(NO_3)_3$/EtOH, $Lu(NO_3)_3$/Toluene, $RhCl_3·3H_2O$/Toluene, $Yb(OTf)_3/CH_3CN$ and $Yb(OTf)_3$ was found to be the most effective catalyst. It is also important to point out that aliphatic aldehydes, including propionaldehyde and butyraldehyde, are resistant to this reaction. Thus, a series of 5-(*O,O*-dialkylphosphoryl)-4-aryl-3,4-dihydro-pyrimidin-2(1*H*)-ones were obtained although the yields were not high enough for this to be an attractive synthetic method (Table 1).

The data in Table 1 show that the use of diethyl 2-oxo-propane-phosphonates usually gives a higher yield than those obtained by use of the corresponding dimethyl phosphonate derivatives. The nuclear substituent having the electron-donating group such as methyl and methoxy provided a significantly lower yield. As expected, aliphatic aldehydes gave only trace products.

In summary, a facile and convenient synthetic method for the preparation of a series of 3,4-dihydropyrimidin-2(1*H*)-ones bearing the phosphoryl group were reported, based on one-pot condensations involving aromatic aldehydes, including 2-furaldehyde or 2-naphthaldehyde, urea, and 2-oxo-propanephosphonates in the presence of ytterbium triflate as catalyst. This method can be regarded as a modification of the Biginelli reaction, particularly for the synthesis of phosphoryl 3,4-dihydropyrimidin-2(1*H*)-ones.

TABLE 1 Preparation of Compounds **4**

Compounds	*R^1*	*R^2*	*Yield (%)*	*mp (°C)*
4a	4-fluorophenyl	Me	33	232–233
4b	4-chlorophenyl	Me	35	229–230
4c	4-methylphenyl	Me	28	205–207
4d	4-methoxyphenyl	Me	23	216–217
4e	phenyl	Et	52	168–170
4f	4-fluorophenyl	Et	45	110–112
4g	2,4-dichlorophenyl	Et	46	204–205
4h	3-chlorophenyl	Et	56	171–172
4i	4-chlorophenyl	Et	47	118–119
4j	2-bromophenyl	Et	42	233–235
4k	4-bromophenyl	Et	50	125–126
4l	4-nitrophenyl	Et	58	219–220
4m	4-methylphenyl	Et	42	157–158
4n	4-methoxylphenyl	Et	40	137–139
4o	2-naphthyl	Et	53	197–198
4p	2-furyl	Et	15	167–169

EXPERIMENTAL

Melting points are uncorrected. IR spectra were taken on a Shimadzu-440 spectrometer. ^{1}H NMR spectra were recorded on a Bruker AM-300 or JEOL-90Q instrument. Chemical shifts for ^{1}H NMR spectra are reported in δ value downfield from TMS. ^{19}F NMR spectra were obtained on a Varian EM 360A spectrometer using CF_3COOH as an external standard, positive for downfield shifts. EIMS data were obtained on a HP5989A mass spectrometer.

General Procedure for Synthesis of Compounds **4**

A mixture of substituted aldehyde (1.96 mmol), urea (2.94 mmol), dimethyl or diethyl 2-oxo-propane-phosphonate (1.96 mmol), and ytterbium triflate (0.098 mmol) was stirred in refluxing toluene (4 ml) for 12 h. After completion of the reaction as monitored by TLC, the reaction was quenched by addition of H_2O (20 ml) and the mixture was extracted with ethyl acetate (3 × 10 ml). The combined organic layers were dried with anhyd. $MgSO_4$, concentrated under reduced pressure and purified by column chromatography on silica gel (EtOAc/hexane = 4:1) to afford the pure product.

5-(O,O-Dimethylphosphoryl)-4-(4-fluorophenyl)-6-methyl-3,4-dihydropyrimidin-2(1H)-one (**4a**). White solid; mp 232–233°C. IR (KBr) ν: 3255 (NH), 1695 (C=O), 1230 (P=O) cm^{-1}. ^{1}H NMR ($CDCl_3$ + DMSO-d_6) δ 9.17 (d, J = 3.4 Hz, 1H, NH), 7.63 (s, 1H, NH), 7.31–7.35 (m, 2H, Ar-H), 7.02–7.09 (m, 2H, Ar-H), 4.90 (dd, J = 8.5 Hz, J = 3.2 Hz, 1H, CH), 3.39 (d, J = 11.3 Hz, 3H, CH_3), 3.34 (d, J = 11.2 Hz, 3H, CH_3), 2.11 (s, 3H, CH_3). ^{19}F NMR ($CDCl_3$ + DMSO-d_6) δ 37.9 (s). MS, *m/e*(%): 314 (M^+, 41.26), 299 (98.02), 267 (25.92), 219 (100), 205 (30.24), 87 (19.99). Anal calcd for $C_{13}H_{16}FN_2O_4P$ (314.2428): C, 49.69; H, 5.13; N, 8.91. Found: C, 50.00; H, 5.16; N, 8.63.

5-(O,O-Dimethylphosphoryl)-4-(4-chlorophenyl)-6-methyl-3,4-dihydropyrimidin-2(1H)-one (**4b**). White solid; mp 229–230°C. IR (KBr) ν: 3250 (NH), 1696 (C=O), 1233 (P=O) cm^{-1}. ^{1}H NMR ($CDCl_3$ + DMSO-d_6) δ 9.19 (d, J = 3.4 Hz, 1H, NH), 7.65 (s, 1H, NH), 7.29–7.35 (m, 4H, Ar-H), 4.89 (dd, J = 8.6

Hz, $J = 3.3$ Hz, 1H, CH), 3.46 (d, $J = 11.3$ Hz, 3H, CH_3), 3.37 (d, $J = 11.3$ Hz, 3H, CH_3), 2.11 (s, 3H, CH_3). MS, *m/e* (%): 330 (M^+, 31.32), 332 (11.22), 315 (65.11), 317 (22.15), 219 (100), 187 (14.51). Anal calcd for $C_{13}H_{16}ClN_2O_4P$ (330.6928): C, 47.22; H, 4.88; N, 8.47. Found: C, 47.19; H, 4.99; N, 8.21.

5-(O,O-dimethylphosphoryl)-6-methyl-4-(4-methylphenyl)-3,4-dihydropyrimidin-2(1H)-one (**4c**). White solid; mp 205–207°C. IR (KBr) ν: 3244 (NH), 1694 (C=O), 1228 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.09 (d, $J = 3.3$ Hz, 1H, NH), 7.55 (s, 1H, NH), 7.19 (d, $J = 8.0$ Hz, 2H, Ar-H), 7.10 (d, $J = 8.0$ Hz, 2H, Ar-H), 4.84 (dd, $J = 8.6$ Hz, $J = 3.1$ Hz, 1H, CH), 3.44 (d, $J = 11.4$ Hz, 3H, CH_3), 3.22 (d, $J = 11.2$ Hz, 3H, CH_3), 2.12 (s, 3H, CH_3). MS, *m/e* (%): 310 (M^+, 36.35), 295 (76.84), 263 (18.90), 219 (100), 201 (30.10). Anal. Calcd for $C_{14}H_{19}N_2O_4P$ (310.2795): C, 54.19; H, 6.17; N, 9.03. Found: C, 54.23; H, 6.07; N, 9.26.

5-(O,O-Dimethylphosphoryl)-4-(4-methoxyphenyl)-6-methyl-3,4-dihydropyrimidin-2(1H)-one (**4d**). White solid; mp 216–217°C. IR (KBr) ν: 3340 (NH), 1704 (C=O), 1228 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.10 (d, $J = 3.4$ Hz, 1H, NH), 7.54 (s, 1H, NH), 7.22 (d, $J = 8.6$ Hz, 2H, Ar-H), 6.84 (d, $J = 8.7$ Hz, 2H, Ar-H), 4.83 (dd, $J = 8.5$ Hz, $J = 3.1$ Hz, 1H, CH), 3.43 (d, $J = 11.3$ Hz, 3H, CH_3), 3.33 (d, $J = 11.2$ Hz, 3H, CH_3), 2.11 (s, 3H, CH_3). MS, *m/e* (%): 326 (M^+, 40.78), 311 (100), 295 (16.99), 279 (30.98), 219 (76.37). Anal calcd for $C_{14}H_{19}N_2O_5P$ (326.2785): C, 51.54; H, 5.87; N, 8.59. Found: C, 51.82; H, 5.82; N, 8.80.

5-(O,O-Dimethylphosphoryl)-6-methyl-4-phenyl-3,4-dihydropyrimidin-2(1H)-one (**4e**). White solid; mp 168–170°C. IR (KBr) ν: 3217 (NH), 1699 (C=O), 1234 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.15 (d, $J = 1.8$ Hz, 1H, NH), 7.68 (s, 1H, NH), 7.33–7.42 (m, 5H, Ar-H), 4.89–5.04 (m, 1H, CH), 3.69–3.98 (m, 4H, C$\underline{H}_2$$CH_3$), 2.24 (s, 3H, CH_3), 1.10 (t, $J = 7.0$ Hz, 3H, CH_3), 0.95 (t, $J = 7.0$ Hz, 3H, CH_3). MS, *m/e*(%): 324 (M^+, 58.60), 295 (100), 267 (26.99), 247 (53.24). Anal calcd for $C_{15}H_{21}N_2O_4P$ (324.3063): C, 55.55; H, 6.53; N, 8.64. Found: C, 55.41; H, 6.55; N, 8.47.

5-(O,O-Diethylphosphoryl)-4-(4-fluorophenyl)-6-methyl-3,4-dihydropyrimidin-2(1H)-one (**4f**). White solid; mp 110–112°C. IR (KBr) ν: 3240 (NH), 1740 (C=O), 1217 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.12 (d, $J = 3.6$ Hz, 1H, NH), 7.60 (s, 1H, NH), 7.04–7.34 (m, 4H, Ar-H), 4.92 (dd, $J = 3.2$ Hz, $J = 8.5$ Hz, CH), 3.70–3.85 (m, 4H, C$\underline{H}_2$$CH_3$), 2.13 (s, 3H, CH_3), 1.19 (t, $J = 7.1$ Hz, CH_3), 1.04 (t, $J = 7.0$ Hz, CH_3). ^{19}F NMR ($CDCl_3$ + DMSO-d_6) δ 37.8 (s). MS, *m/e* (%): 342 (M^+, 28.46), 327 (11.56), 313 (100), 285 (43.48). HRMS calcd for $C_{15}H_{20}FN_2O_4P$: 342.11448. Found: 342.11425.

5-(O,O-Diethylphosphoryl)-4-(2,4-dichlorophenyl)-6-methyl-3,4-dihydropyrimidin-2(1H)-one (**4g**). White solid; mp 204–205°C. IR (KBr) ν: 3241 (NH), 1702 (C=O), 1229 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.17 (s, 1H, NH), 8.07 (s, 1H, NH), 8.05 (s, 1H, Ar-H), 7.40 (d, $J = 8.0$ Hz, 1H, Ar-H), 7.32 (d, $J = 8.0$ Hz, 1H, Ar-H), 5.44 (d, $J = 8.4$ Hz, 1H, CH), 3.79–3.94 (m, 4H, C$\underline{H}_2$$CH_3$), 2.25 (s, 3H, CH_3), 1.26 (t, $J = 7.5$ Hz, 3H, CH_3), 1.01 (t, $J = 6.9$ Hz, 3H, CH_3). MS, *m/e* (%): 357(100, M^+-Cl), 299 (44.11), 255 (78.99), 247 (41.03), 219 (29.44). Anal calcd for $C_{15}H_{19}Cl_2N_2O_4P$ (393.2045): C, 45.82; H, 4.87; N, 7.12. Found: C, 45.56; H, 5.18; N, 6.66.

5-(O,O-diethylphosphoryl)-4-(3-chlorophenyl)-6-methyl-3,4-dihydropyrimidin-2(1H)-one (**4h**). White solid; mp 171–172°C. IR (KBr) ν: 3198 (NH), 1698 (C=O), 1234 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.12 (s, 1H, NH), 8.01 (s, 1H, NH), 7.63 (s, 1H, Ar-H), 7.24–7.34 (m, 3H, Ar-H), 4.96–4.99(m, 1H, CH), 3.80–3.91 (m, 4H, C$\underline{H}_2$$CH_3$), 2.17 (s, 3H, CH_3), 1.22 (t, $J = 6.9$ Hz, 3H, CH_3), 1.10 (t, $J = 6.9$ Hz, 3H, CH_3). MS, *m/e* (%): 358 (M^+, 27.67), 329 (65.67), 247 (73.78), 43 (100). Anal calcd for $C_{15}H_{20}ClN_2O_4P$ (358.7515): C, 50.22; H, 5.62; N, 7.81. Found: C, 50.01; H, 5.73; N, 7.78.

5-(O,O-Diethylphosphoryl)-4-(4-chlorophenyl)-6-methyl-3,4-dihydropyrimidin-2(1H)-one (**4i**). White solid; mp 118–119°C. IR (KBr) ν: 3240 (NH), 1740 (C=O), 1217 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.15 (d, $J = 3.2$ Hz, 1H, NH), 7.64 (s, 1H, NH), 7.30–7.34 (m, 4H, Ar-H), 4.92 (dd, $J = 8.4$ Hz, $J = 3.1$ Hz, 1H, CH), 3.59–4.06 (m, 4H, C$\underline{H}_2$$CH_3$), 2.13 (s, 3H, CH_3), 1.21 (t, $J = 7.1$ Hz, 3H, CH_3), 1.05 (t, $J = 7.0$ Hz, 3H, CH_3). MS, *m/e* (%): 358 (M^+, 27.31), 329 (100), 313 (93.14), 247 (74.68), 173 (59.15). HRMS calcd for $C_{15}H_{20}ClN_2O_4P$: 358.08493. Found: 358.08114.

5-(O,O-Diethylphosphoryl)-4-(2-bromophenyl)-6-methyl-3,4-dihydropyrimidin-2(1H)-one (**4j**). White solid; mp 233–235°C. IR (KBr) ν: 3236 (NH), 1698 (C=O), 1228 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.15 (d, $J = 3.90$ Hz, 1H, NH), 8.07 (s, 1H, NH), 7.16–7.57 (m, 4H, Ar-H), 5.44–5.47 (m, 1H, NH), 3.88–3.98 (m, 4H, C$\underline{H}_2$$CH_3$), 2.27 (s, 3H, CH_3), 1.27 (t, $J = 6.9$ Hz, 3H, CH_3), 0.95 (t, $J = 7.2$ Hz, 3H,

CH_3). MS, *m/e* (%): 373 (7.50), 345 (4.97), 323 (100, M^+-Br), 265 (38.67), 247 (44.32). Anal calcd for $C_{15}H_{20}BrN_2O_4P$ (403.2025): C, 44.68; H, 5.00; N, 6.95. Found: C, 44.74; H, 5.04; N, 6.91.

5-(O,O-diethylphosphoryl)-4-(4-bromophenyl)-6-methyl-3,4-dihydropyrimidin-2(1H)-one (**4k**). White solid; mp 125–126°C. IR (KBr) ν: 3242 (NH), 1740 (C=O), 1217 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.13 (d, J = 3.2 Hz, 1H, NH), 7.62 (s, 1H, NH), 7.25 (d, J = 8.5 Hz, 2H, Ar-H), 7.47 (d, J = 8.5 Hz, 2H, Ar-H), 4.90 (dd, J = 8.5 Hz, J = 3.2 Hz, 1H, CH), 3.75–3.85 (m, 4H, $C\underline{H}_2CH_3$), 2.13 (s, 3H, CH_3), 1.18 (t, J = 7.1 Hz, 3H, CH_3), 1.07 (t, J = 7.1 Hz, 3H, CH_3). MS, *m/e* (%): 402 (M^+, 29.96), 375 (100), 345 (34.21), 329 (32.50), 247 (71.24), 173 (44.84). HRMS calcd for $C_{15}H_{20}BrN_2O_4P$: 402.03440. Found: 402.03154.

5-(O,O-Diethylphosphoryl)-6-methyl-4-(4-nitrophenyl)-3,4-dihydropyrimidin-2(1H)-one (**4l**). White solid; mp 219–220°C. IR (KBr) ν: 3231 (NH), 1700 (C=O), 1222 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 8.96 (d, J = 3.4 Hz, 1H, NH), 7.89 (d, J = 8.7 Hz, 2H, Ar-H), 7.46 (s, 1H, NH), 7.28 (d, J = 8.6 Hz, 2H, Ar-H), 4.77 (dd, J = 8.4 Hz, J = 3.3 Hz, 1H, CH), 3.49–3.57 (m, 4H, $C\underline{H}_2CH_3$), 1.82 (s, 3H, CH_3), 0.88 (t, J = 7.0 Hz, 3H, CH_3), 0.77 (t, J = 7.0 Hz, 3H, CH_3). MS, *m/e* (%): 369 (M^+, 8.81), 352 (100), 340 (72.37), 312 (52.12), 294 (34.55), 247 (56.73), 173 (43.73). Anal calcd for $C_{15}H_{20}N_3O_6P$ (369.3031): C, 48.79; H, 5.46; N, 11.38. Found: C, 49.20; H, 5.84; N, 10.93.

5-(O,O-Diethylphosphoryl)-6-methyl-4-(4-methylphenyl)-3,4-dihydropyrimidin-2(1H)-one (**4m**). White solid; mp 157–158°C. IR (KBr) ν: 3245 (NH), 1694 (C=O), 1223 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.05 (d, J = 3.1 Hz, 1H, NH), 7.53 (s, 1H, NH), 7.19 (d, J = 7.8 Hz, 2H, Ar-H), 7.10 (d, J = 7.8 Hz, 2H, Ar-H), 4.87 (dd, J = 8.5 Hz, J = 3.0 Hz, 1H, CH), 3.74–3.83 (m, 4H, $C\underline{H}_2CH_3$), 2.29 (s, 3H, CH_3), 2.13 (s, 3H, CH_3), 1.17 (t, J = 7.0 Hz, 3H, CH_3), 1.02 (t, J = 7.0 Hz, 3H, CH_3). MS, *m/e* (%): 338 (M^+, 33.09), 323 (14.84), 309 (100), 281 (34.81), 263 (36.36), 247 (47.23), 173 (25.03). Anal calcd for $C_{16}H_{23}N_2O_4P$ (338.3331): C, 56.80; H, 6.85; N, 8.28. Found: C, 56.88; H, 6.66; N, 8.38.

5-(O,O-Diethylphosphoryl)-4-(4-methoxyphenyl)-6-methyl-3,4-dihydropyrimidin-2(1H)-one (**4n**). White solid; mp 137–139°C. IR (KBr) ν: 3344 (NH), 1705 (C=O), 1225 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.04 (d, J = 3.3 Hz, 1H, NH), 7.50 (s, 1H, NH), 7.22 (d, J = 8.6 Hz, 2H, Ar-H), 6.84 (d, J = 8.6 Hz, 2H, Ar-H), 3.76–3.82 (m, 4H, $C\underline{H}_2CH_3$), 3.75 (s, 3H, OCH_3), 2.13 (s, 3H, CH_3), 1.17 (t, J = 7.1 Hz, 3H, CH_3), 1.03 (t, J = 7.1 Hz, 3H, CH_3). MS, *m/e* (%): 354 (M^+, 29.94), 339 (17.23), 325 (100), 297 (35.55), 279 (43.46), 217 (41.45), 173 (25.05). Anal calcd for $C_{16}H_{23}N_2O_5P$ (354.3321): C, 54.24; H, 6.54; N, 7.91. Found: C, 54.28; H, 6.76; N, 7.93.

5-(O,O-Diethylphosphoryl)-4-(2-naphthyl)-6-methyl-3,4-dihydropyrimidin-2(1H)-one (**4o**). White solid; mp 197–198°C. IR (KBr) ν: 3233 (NH), 1697 (C=O), 1227 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.13 (d, J = 3.2 Hz, 1H, NH), 8.07 (s, 1H, NH), 7.45–7.86 (m, 7H, Ar-H), 5.15–5.18 (m, 1H, CH), 3.76–3.87 (m, 4H, $C\underline{H}_2CH_3$), 2.21 (s, 3H, CH_3), 1.18 (t, J = 7.2 Hz, 3H, CH_3), 1.00 (t, J = 7.2 Hz, 3H, CH_3). MS, *m/e* (%): 374 (M^+, 10.57), 375 (2.42, $M^+ + 1$), 345 (20.09), 247 (100), 219 (17.32). Anal calcd for $C_{19}H_{23}N_2O_4P$ (374.3741): C, 60.96; H, 6.19; N, 7.48. Found: C, 60.95; H, 6.27; N, 7.48.

5-(O,O-Diethylphosphoryl)-4-(2-furyl)-6-methyl-3,4-dihydropyrimidin-2(1H)-one (**4p**). White solid; mp 167–169°C. IR (KBr) ν: 3228 (NH), 1697 (C=O), 1238 (P=O) cm^{-1}. ^1H NMR ($CDCl_3$ + DMSO-d_6) δ 9.13 (s, 1H, NH), 8.07 (s, 1H, NH), 7.44–7.45 (m, 1H), 6.33–6.35 (m, 1H), 6.19–6.20 (m, 1H), 5.03–5.06 (m, 1H, CH), 3.75–3.95 (m, 4H, $C\underline{H}_2CH_3$), 2.16 (s, 3H, CH_3), 1.03–1.22 (m, 6H, CH_3). MS, *m/e* (%): 314 (M^+, 32.02), 297 (27.98), 285 (59.74), 257 (95.11), 239 (100). Anal calcd for $C_{13}H_{19}N_2O_5P$ (314.2755): C, 49.68; H, 6.09; N, 8.91. Found: C, 49.62; H, 6.31; N, 8.76.

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