New Efficient Synthesis of 5-Ethoxyoxazoles and Oxazolo[3,2-*c*]quinazolines via Aza-Wittig Reaction

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Abstract: 5-Ethoxyoxazoles or 2-acylamino propanoates were synthesized by aza-Wittig reaction of iminophosphorane with acyl chloride in the presence of triethylamine. Reactions of 5-alkoxyoxazole with triphenyphosphine produced iminophosphoranes. A tandem aza-Wittig reaction of iminophosphorane with isocyanate or carbon disulfide generated oxazolo[3,2-*c*]quinazolines in satisfactory yields.

Key words: oxazole, oxazolo[3,2-*c*]quinazoline, aza Wittig reaction, carbodiimide, imidoyl chloride

Although the structure of the first oxazole was reported over a century ago, the field of oxazoles continues to hold a center stage in organic synthesis. The structural diversity and complexity of naturally occurring oxazoles have generated much interest in the development of mild methods for their synthesis.[1] There are many known methods for the synthesis of oxazoles, however, only a few were known for the synthesis of 5-alkoxy-oxazoles which were used as important intermediates for the synthesis of some natural products.[2] For example, some 5-alkoxyoxazoles were prepared from the condensation of diazocarbonyl compounds with nitriles,[3] acylation of ethyl isocyanoacetate,[4] and cyclodehydration of 2-acylaminoacetates.[5]

The aza-Wittig reactions of iminophosphoranes have received increased attention in view of their utility in the synthesis of nitrogen-containing heterocyclic compounds.[6] It has been used by several groups to prepare oxazoles. For example, Eguchi and co-workers developed a general synthesis of a variety of oxazoles by intramolecular aza-Wittig reaction.[7] Molina and co-workers employed iminophosphoranes derived from α-azidoketones in their one-step synthesis of oxazole alkaloids.[8] Zbiral and co-workers have also obtained several 5-alkoxyoxazoles by this method, albeit in inferior yields.[9] Recently, we are interested in the synthesis of quinazolinones, thienopyrimidinones, and imidazolinones via aza-Wittig reaction, with the aim of evaluating their fungicidal activities.[10] Here we wish to report an efficient synthesis of 5-ethoxy substituted oxazoles and oxazolo[3,2-*c*]quinazolines via aza-Wittig reaction.

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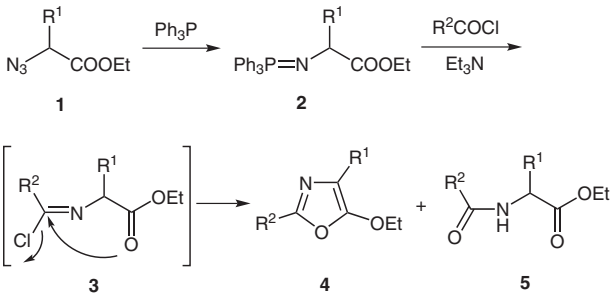
The easily accessible azides **1** reacted with triphenylphosphine to create the iminophosphoranes **2**, which were allowed to react with acyl chloride in the presence of triethylamine at room temperature.[11] 4-Unsubstituted 5-alkoxyoxazoles **4** were obtained in good yields in case when R^1 group is H (Scheme 1, Table 1). The formation of 5-alkoxyoxazoles **4** can be rationalized in terms of an initial aza-Wittig reaction of iminophosphorane **2** with acyl chloride to give intermediate imidoyl chloride **3**, which undergoes ring closure across the ester carbonyl oxygen to give **4**. The isolated yields of products **4** are related to the substituents of the benzene ring in acyl chlorides. Better yields are obtained with the *meta*- or *para*-substituted benzoyl chlorides (**4b**–**g** in Table 1), whereas moderate isolated yields are obtained with *ortho*-substituted benzoyl chlorides (**4h**,**i** in Table 1). This is probably due to the steric effect of the *ortho*-substituted benzoyl chlorides. When acetyl chloride was utilized, the product (**4a** in Table 1) was obtained in moderate yield probably due to its instability. A $CDCl_3$ sample of **4a** was found to decompose after standing at room temperature for several hours.



Scheme 1 Synthesis of 5-ethoxyoxazoles **4** and 2-acylamino propanoates **5** via aza-Wittig reaction

It is noteworthy that only 2-acylaminopropanoates **5** were produced instead if R^1 was a methyl group when the reaction was carried out at room temperature (Scheme 1, **5a**–**c** in Table 1). In this case the intermediate **3** did not cyclize but instead hydrolyzed to give compound **5** during workup. However, at elevated temperature, **3** cyclized to give 4-methyl-5-ethoxyoxazoles **4** in 25–34% yields together with **5** in 33–37% yields (**4j**–**l**, **5a**–**c** in Table 1). Zbiral and co-workers also prepared 2-phenyl-4-methyl-5-methoxyoxazole in 25% yield starting from methyl 2-azidopropionate at room temperarature.[9] It was obvious

that the cyclization of intermediate of **3** to **4** was quite sensitive to steric effects of the substituents.

The obtained 5-alkoxyoxazole **4i** was further treated with triphenylphosphine, and the iminophosphorane **6** was obtained in high yield via Staudinger reaction (Scheme 2).[12]

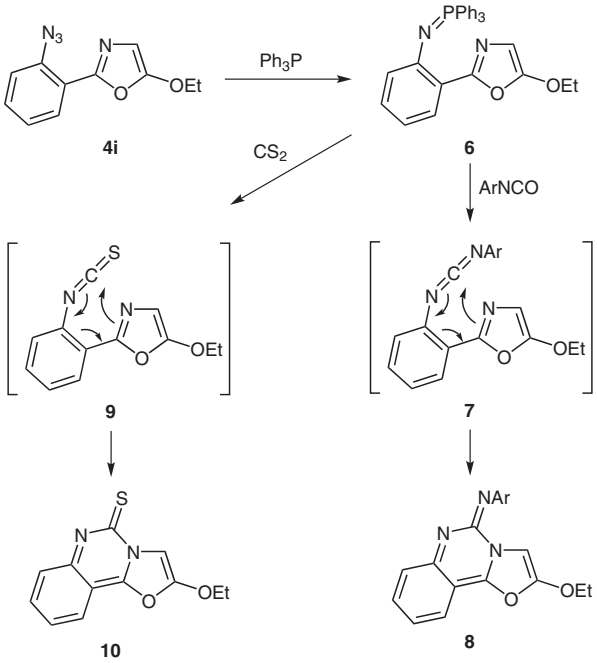
Table 1 Synthesis of Compounds **4** and **5**

Product	R^1	R^2	Yield (%)
4a	H	Me	51
4b	H	Ph	80
4c	H	4-ClC_6H_4	93
4d	H	4-FC_6H_4	94
4e	H	4-MeC_6H_4	72
4f	H	4-$MeOC_6H_4$	80
4g	H	3-ClC_6H_4	87
4h	H	2-FC_6H_4	55
4i	H	2-$N_3C_6H_4$	52
4j	Me	Ph	25[b]
4k	Me	4-MeC_6H_4	28[b]
4l	Me	4-ClC_6H_4	34[b]
5a	Me	Ph	65,[a] 35[b]
5b	Me	4-MeC_6H_4	71,[a] 37[b]
5c	Me	4-ClC_6H_4	75,[a] 33[b]

[a] Carried out at r.t. in CH_2Cl_2.
[b] Carried out at 60 °C in MeCN.

When solutions of iminophosphoranes **6** in dry methylene chloride were treated with aromatic isocyanate at room temperature, the color of the reaction mixture quickly turned red, and oxazolo[3,2-*c*]quinazolines **8** were isolated as red crystalline solids in good yields (Scheme 2, Table 2).[13] Compounds **8** are stable enough when treated with hydrogen chloride or sodium hydroxide solution at room temperature. Presumably, the conversion of **6** into **8** involves initial aza-Wittig reaction between the iminophosphorane **6** and the isocyanate to give a carbodiimide **7** as a highly reactive intermediate, which easily undergoes ring closure to give the oxazolo[3,2-*c*]quinazolines **8**. It is noteworthy that the reaction could be easily carried out at room temperature under mild neutral conditions.

Iminophosphoranes **6** also reacted with carbon disulfide in refluxing acetonitrile to give yellow oxazolo[3,2-*c*]quinazolines **10** in good yields (Scheme 2, Table 2).[14] The formation of **10** can be viewed as an initial aza-Wittig reaction between the iminophosphorane **6** and carbon disulfide affording the intermediate isothiocyanate **9** which undergoes cyclization to give **10**.



Scheme 2 Synthesis of oxazolo[3,2-*c*]quinazolines **8** and **10** via aza-Wittig reaction

Table 2 Synthesis of Compounds **8** and **10**

Product	Ar	Yield (%)
8a	Ph	73
8b	3-MeC_6H_4	77
8c	4-ClC_6H_4	83
8d	4-FC_6H_4	76
10	–	86

In summary, we have developed an efficient synthesis of 4-unsubstituted 5-ethoxyoxazoles and oxazolo[3,2-*c*]quinazolines via aza-Wittig reactions. This method utilizes easily accessible starting materials and allows mild reaction conditions, straightforward product isolation, and good yields. The synthetic approach discussed here in many cases favorably compares with other existing methods[3–5] which either require special reagents or are carried out under harsh reaction conditions.

Supporting Information for this article is available online at http://www.thieme-connect.com/ejournals/toc/synlett.

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(11) **Oxazoles 4 and 2-Acylaminopropanoates 5**

To a stirred solution of azide **1** (1.0 mmol) in CH_2Cl_2 (10 mL) was added a solution of Ph_3P (0.26 g, 1 mmol) in dry CH_2Cl_2 (5 mL) at r.t. After the reaction mixture was stirred for 2 h, acyl chloride (1.0 mmol) and Et_3N (0.30 g, 3.0 mmol) were added at r.t., and the color of the solution turned yellow. After stirring for 4 h, the mixture was filtered to remove the Et_3NHCl, and the filtrate was evaporated under reduced pressure. The crude product was purified by flash chromatography (5:1, PE–Et_2O) to yield oxazoles **4** or 2-acylaminopropanoates **5**.

Spectral Data for Some Unreported Compounds

Compound **4c**: light yellow solid, mp 60–61 °C. IR (KBr): 1620, 1487, 1282, 1093, 1005 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.68–7.21 (m, 4 H, ArH), 6.05 (s, 1 H, ArH), 4.00 (q, *J* = 7.2 Hz, 2 H, OCH_2), 1.30 (t, *J* = 7.0 Hz, 3 H, CH_3). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 159.5, 150.9, 134.8, 128.5, 128.4, 126.2, 125.9, 125.7, 100.3, 100.1, 67.6, 14.2, 14.0. MS: *m/z* (%) = 223 (90) [M^+], 195 (73), 167 (23), 139 (100), 111 (64). Anal. Calcd. for $C_{11}H_{10}ClNO_2$: C, 59.07; H, 4.51; N, 6.26. Found: C, 59.01; H, 4.61; N, 6.44.

Compound **4d**: white solid, mp 52–54 °C. IR (KBr): 1613, 1503, 1282, 1222, 1046, 1008 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.91–7.07 (m, 4 H, ArH), 6.18 (s, 1 H, ArH), 4.20–4.13 (m, 2 H, OCH_2), 1.48–1.43 (m, 3 H, CH_3). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.1, 161.7, 159.4, 151.0, 126.9, 126.5, 123.6, 115.5, 115.3, 115.2, 114.9, 100.1, 99.7, 67.7, 67.4, 67.2, 13.9, 13.8. MS: *m/z* (%) = 207 (71) [M^+], 178 (45), 151 (36), 134 (17), 123 (100), 107 (25). Anal. Calcd for $C_{11}H_{10}FNO_2$: C, 63.76; H, 4.86; N, 6.76. Found: C, 63.50; H, 4.98; N, 6.71.

Compound **4e**: white solid, mp 46–47 °C. IR (KBr): 1613, 1503, 1279, 1099, 1046, 1008 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.81–7.21 (m, 4 H, ArH), 6.18 (s, 1 H, ArH), 4.16 (q, *J* = 7.2 Hz, 2 H, OCH_2), 2.37 (s, 3 H, CH_3), 1.45 (t, *J* = 7.2 Hz, 3 H, CH_3). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 159.4, 152.7, 139.5, 129.3, 129.2, 125.2, 125.0, 124.8, 100.4, 100.1, 67.9, 21.4, 21.2, 14.5, 14.3. MS: *m/z* (%) = 203 (14) [M^+], 174 (5), 147 (13), 119 (100), 91 (20). Anal. Calcd for $C_{12}H_{13}NO_2$: C, 70.92; H, 6.45; N, 6.89. Found: C, 70.80; H, 6.60; N, 6.76.

Compound **4f**: white solid, mp 51–52 °C. IR (KBr): 1616, 1506, 1254, 1024 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.84 (d, *J* = 8.8 Hz, 2 H, ArH), 6.91 (d, *J* = 8.8 Hz, 2 H, ArH), 6.15 (s, 1 H, ArH), 4.10 (q, *J* = 7.2 Hz, 2 H, OCH_2), 3.78 (s, 3 H, OCH_3), 1.41 (t, *J* = 7.2 Hz, 3 H, CH_3). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 160.3, 159.1, 152.2, 126.5, 120.1, 113.7, 99.9, 67.6, 54.8, 14.1. MS: *m/z* (%) = 219 (24) [M^+], 190 (20), 163 (3), 135 (100), 118 (3), 107 (6). Anal. Calcd for $C_{12}H_{13}NO_3$: C, 65.74; H, 5.98; N, 6.39. Found: C, 65.67; H, 6.05; N, 6.44.

Compound **4g**: white solid, mp 50–51 °C. IR (KBr): 1601, 1556, 1481, 1282, 1043, 1005 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.86–7.28 (m, 4 H, ArH), 6.18 (s, 1 H, ArH), 4.13 (q, *J* = 7.0 Hz, 2 H, OCH_2), 1.42 (t, *J* = 7.0 Hz, 3 H, CH_3). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 159.7, 150.6, 134.4, 129.7, 129.0, 124.9, 122.9, 100.6, 67.8, 14.2. MS: *m/z* (%) = 223 (19) [M^+], 195 (22), 167 (16), 139 (100). Anal. Calcd for $C_{11}H_{10}ClNO_2$: C, 59.07; H, 4.51; N, 6.26. Found: C, 59.19; H, 4.70; N, 6.32.

Compound **4h**: white solid, mp 45–46 °C. IR (KBr): 1610, 1497, 1478, 1282, 1229, 1043, 1027 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.91–7.11 (m, 4 H, ArH), 6.27 (s, 1 H, ArH), 4.16 (q, *J* = 7.0 Hz, 2 H, OCH_2), 1.43 (t, *J* = 7.0 Hz, 3 H, CH_3). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 160.4, 159.6, 157.9, 148.3, 130.7, 130.6, 128.1, 123.9, 116.4, 116.2, 115.5, 115.4, 100.4, 67.7, 14.1. MS: *m/z* (%) = 207 (16) [M^+], 179 (13), 151 (6), 123 (100), 95 (22). Anal. Calcd for $C_{11}H_{10}FNO_2$: C, 63.76; H, 4.86; N, 6.76. Found: C, 63.70; H, 4.98; N, 6.83.

Compound **4i**: light yellow solid, mp 52–54 °C. IR (KBr): 2130 (N_3), 1610 (C=O), 1594, 1493, 1304, 1285, 1033, 1005 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.88–7.17 (m, 4 H, ArH), 6.28 (s, 1 H, ArH), 4.19 (q, *J* = 7.0 Hz, 2 H, OCH_2), 1.47 (t, *J* = 7.0 Hz, 3 H, CH_3). MS: *m/z* (%) = 230 (50) [M^+], 202 (39), 173 (55), 145 (57), 118 (29), 90 (100). Anal. Calcd for $C_{11}H_{10}N_4O_2$: C, 57.39; H, 4.38; N, 24.34. Found: C, 57.45; H, 4.50; N, 24.49.

Compound **4k**: light yellow oil. ^1H NMR (600 MHz, $CDCl_3$): δ = 7.80–7.21 (m, 4 H, ArH), 4.22 (q, *J* = 7.0 Hz, 2 H, OCH_2), 2.37 (s, 3 H, CH_3), 2.11 (s, 3 H, CH_3), 1.40 (t, *J* = 7.2 Hz, 3 H, CH_3). MS: *m/z* (%) = 217 (5) [M^+], 136 (2), 119 (62), 91 (100).

Compound **4l**: white solid, mp 83–85 °C. ^1H NMR (600 MHz, $CDCl_3$): δ = 7.85–7.37 (m, 4 H, ArH), 4.23 (q, *J* = 7.2 Hz, 2 H, OCH_2), 2.11 (s, 3 H, CH_3), 1.40 (t, *J* = 7.2 Hz, 3 H, CH_3). MS: *m/z* (%) = 237 (75) [M^+], 207 (13), 138 (100), 110 (43). Anal. Calcd for $C_{12}H_{12}ClNO_2$: C, 60.64; H, 5.09; N, 5.89. Found: C, 60.47; H, 5.24; N, 5.95.

Compound **5a**: white solid, mp 76–77 °C. IR (KBr): 3347 (NH), 1749 (C=O), 1642, 1528, 1493, 1213, 1181 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.82–7.42 (m, 5 H, ArH), 6.84

(d, J = 6.4 Hz, 1 H, NH), 4.82–4.76 (m, 1 H, NCH), 4.24 (q, J = 7.0 Hz, 2 H, OCH_2), 1.52 (d, J = 6.8 Hz, 3 H, CH_3), 1.31 (t, J = 7.2 Hz, 3 H, CH_3). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 173.2, 166.7, 133.9, 131.6, 128.5, 127.0, 61.6, 48.5, 18.6, 14.1. MS: *m/z* (%) = 221 (4) [M^+], 148 (66), 105 (100), 77 (24). Anal. Calcd for $C_{12}H_{15}NO_3$: C, 65.14; H, 6.83; N, 6.33. Found: C, 65.33; H, 6.98; N, 6.43.

Compound **5b**: white solid, mp 117–118 °C. IR (KBr): 3290 (NH), 1742 (C=O), 1635, 1556, 1203, 1162, 1024 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.72–7.23 (m, 4 H, ArH), 6.75 (d, J = 6.8 Hz, 1 H, NH), 4.82–4.74 (m, 1 H, NCH), 4.24 (q, J = 7.2 Hz, 2 H, OCH_2), 2.40 (s, 3 H, CH_3), 1.52 (d, J = 7.2 Hz, 3 H, CH_3), 1.31 (t, J = 7.2 Hz, 3 H, CH_3). MS: *m/z* (%) = 235 (6) [M^+], 162 (29), 119 (100), 91 (13). Anal. Calcd for $C_{13}H_{17}NO_3$: C, 66.36; H, 7.28; N, 5.95. Found: C, 66.44; H, 7.40; N, 5.99.

Compound **5c**: white solid, mp 98–99 °C. IR (KBr): 3293 (NH), 1742, 1626, 1544, 1487, 1216, 1166, 1093, 1017 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.75 (d, J = 8.4 Hz, 2 H, ArH), 7.40 (d, J = 8.4 Hz, 2 H, ArH), 6.84 (br, 1 H, NH), 4.80–4.72 (m, 1 H, NCH), 4.25 (q, J = 7.2 Hz, 2 H, OCH_2), 1.52 (d, J = 7.2 Hz, 3 H, CH_3), 1.32 (t, J = 7.2 Hz, 3 H, CH_3). MS: *m/z* (%) = 255 (4) [M^+], 182 (68), 139 (100), 111 (14). Anal. Calcd for $C_{13}H_{17}NO_3$: C, 56.37; H, 5.52; N, 5.48. Found: C, 56.45; H, 5.78; N, 5.59.

(12) **Iminophosphorane 6**

To a stirred solution of **4i** (1.15 g, 5 mmol) in CH_2Cl_2 (15 mL) was added a solution of Ph_3P (1.31 g, 5 mmol) in dry CH_2Cl_2 (10 mL). After the reaction mixture was stirred for 2 h, the solvent was removed under reduced pressure, and the residue was recrystallized from Et_2O and EtOH (1:1; v/v) to give the iminophosphorane **6** (2.22 g, yield 96%); light yellow crystals; mp 175–177 °C. IR (KBr): 1606, 1468, 1435, 1353, 1271, 1118, 1035cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.86–6.53 (m, 19 H, ArH), 6.23 (s, 1 H, ArH), 4.14 (q, J = 7.0 Hz, 2 H, OCH_2), 1.45 (t, J = 7.0 Hz, 3 H, CH_3). MS: *m/z* (%) = 464 (100) [M^+], 435 (65), 380 (92), 277 (18), 261 (10), 201 (11), 183 (16), 145 (16). Anal. Calcd for $C_{29}H_{25}N_2O_2P$: C, 74.99; H, 5.42; N, 6.03. Found: C, 74.77; H, 5.60; N, 6.12.

(13) **Oxazolo[3,2-*c*]quinazolines 8**

To a solution of iminophosphorane **6** (0.46 g, 1 mmol) in dry CH_2Cl_2 (10 mL) was added aryl isocyanate (1 mmol) under nitrogen at r.t. The solution turned red immediately. After stirred for 1 h, the solvent was evaporated under reduced pressure, and the residue was recrystallized from Et_2O and EtOH (1:1, v/v) to give oxazolo[3,2-*c*]quinazolines **8** as red crystals.

Compound **8a**: red crystals, mp 151 °C (dec.). IR (KBr): 1764, 1690, 1640, 1560, 1474, 1440, 1307, 1251 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.68–6.94 (m, 10 H, ArH), 4.39 (q, J = 7.0 Hz, 2 H, OCH_2), 1.58 (t, J = 7.0 Hz, 3 H, CH_3). ^{13}C NMR (150 MHz, $CDCl_3$): δ = 157.5, 153.2, 150.8, 147.2, 143.4, 135.5, 129.1, 128.4, 125.6, 123.3, 121.7, 120.4, 102.7, 87.9, 70.5, 14.3. MS: *m/z* (%) = 305 (53) [M^+], 248 (29), 231 (100), 205 (60), 166 (15), 104 (10), 90 (22). Anal. Calcd for $C_{18}H_{15}N_3O_2$: C, 70.81; H, 4.95; N, 13.76. Found: C, 70.90; H, 4.99; N, 13.91.

Compound **8b**: red crystals, mp 105–107 °C. IR (KBr): 1765, 1689, 1639, 1605, 1471, 1442, 1219, 1165 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.62–6.78 (m, 9 H, ArH), 4.34 (q, J = 7.0 Hz, 2 H, OCH_2), 2.35 (s, 3 H, CH_3), 1.56 (t, J = 7.0 Hz, 3 H, CH_3). ^{13}C NMR (150 MHz, $CDCl_3$): δ = 157.4, 153.6, 150.8, 148.2, 143.6, 137.8, 135.3, 128.1, 125.4, 124.0, 122.2, 121.6, 120.3, 119.8, 102.3, 87.7, 70.3, 21.6, 14.2. MS: *m/z* (%) = 319 (6) [M^+], 291 (100), 262 (13), 248 (46), 235 (28), 91 (5). Anal. Calcd for $C_{19}H_{17}N_3O_2$: C, 71.46; H, 5.37; N, 13.16. Found: C, 71.59; H, 5.50; N, 13.20.

Compound **8c**: red crystals, mp 157 °C (dec.). IR (KBr): 1642, 1605, 1558, 1530, 1478, 1441, 1308, 1254, 1032 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.64–6.92 (m, 9 H, ArH), 4.33 (q, J = 7.2 Hz, 2 H, OCH_2), 1.56 (t, J = 7.2 Hz, 3 H, CH_3). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 157.6, 152.8, 150.6, 135.7, 129.9, 128.2, 128.1, 126.1, 125.5, 124.4, 121.7, 121.0, 102.9, 88.0, 70.6, 14.3. MS: *m/z* (%) = 339 (100) [M^+], 311 (68), 265 (88), 255 (81), 230 (53), 192 (10), 125 (24). Anal. Calcd for $C_{18}H_{14}ClN_3O_2$: C, 63.63; H, 4.15; N, 12.37. Found: C, 63.89; H, 4.22; N, 12.51.

Compound **8d**: red crystals, mp 156 °C (dec.). IR (KBr): 1665, 1644, 1610, 1562, 1485, 1441, 1308, 1207, 1032 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.65–6.89 (m, 9 H, ArH), 4.36 (q, J = 7.0 Hz, 2 H, OCH_2), 1.57 (t, J = 7.0 Hz, 3 H, CH_3). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 159.1, 157.4, 156.7, 153.7, 144.7, 143.8, 135.4, 125.3, 124.5, 124.5, 121.7, 119.8, 114.8, 114.6, 102.3, 87.6, 70.3, 14.3. MS: *m/z* (%) = 323 (32) [M^+], 295 (26), 266 (33), 250 (100), 239 (89), 223 (36), 125 (45). Anal. Calcd for $C_{18}H_{14}FN_3O_2$: C, 66.87; H, 4.36;N, 13.00. Found: C, 66.73; H, 4.54; N, 13.25.

(14) **Oxazolo[3,2-*c*]quinazoline 10**

To a solution of iminophosphorane **6** (0.46 g, 1 mmol) in MeCN (10 mL) was added CS_2 (1.50 g, 20 mmol). The mixture was heated to reflux for 10 h, the solvent was evaporated under reduced pressure, and the residue was recrystallized from EtOH to give oxazolo[3,2-*c*]quinazoline **10**; yellow crystals, mp 138–139 °C. IR (KBr): 1654, 1635, 1484, 1434, 1321, 1298, 1176, 1054 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 7.94–7.38 (m, 5 H, ArH), 4.47 (q, J = 7.2 Hz, 2 H, OCH_2), 1.61 (t, J = 7.2 Hz, 3 H, CH_3). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 157.4, 148.4, 138.4, 135.7, 128.2, 126.8, 125.0, 121.5, 115.5, 91.3, 71.0, 14.2. MS: *m/z* (%) = 246 (37) [M^+], 218 (25), 190 (28), 162 (100), 134 (34). Anal. Calcd for $C_{12}H_{10}N_2O_2S$: C, 58.52; H, 4.09; N, 11.37. Found: C, 58.59; H, 4.21; N, 11.41.