Efficient Synthesis of Benzothieno[3,2-*d*]-imidazo[1,2-*a*] pyrimidine-2,5-(1*H*, 3*H*)-diones *via* a Tandem aza-Wittig/ Heterocumulene-Mediated Annulation

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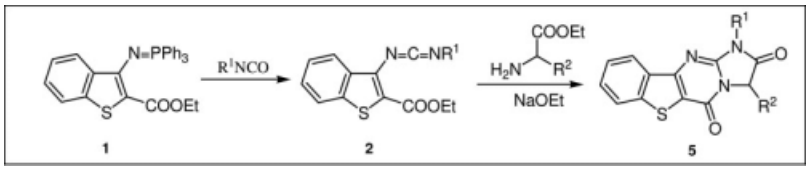

Carbodiimide **2**, obtained from aza-Wittig reaction of iminophosphorane **1** with aromaic isocyanate, reacted with α-amino ester in the presence of catalytic amount of sodium ethoxide to give selectively new tetracyclic benzothieno[3,2-d]-imidazo[1,2-a]pyrimidine-2,5-(1*H*, 3*H*)-diones **5** in good yields. X-Ray structure analysis of **5b** verified the proposed structure and the reaction selectivity.

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INTRODUCTION

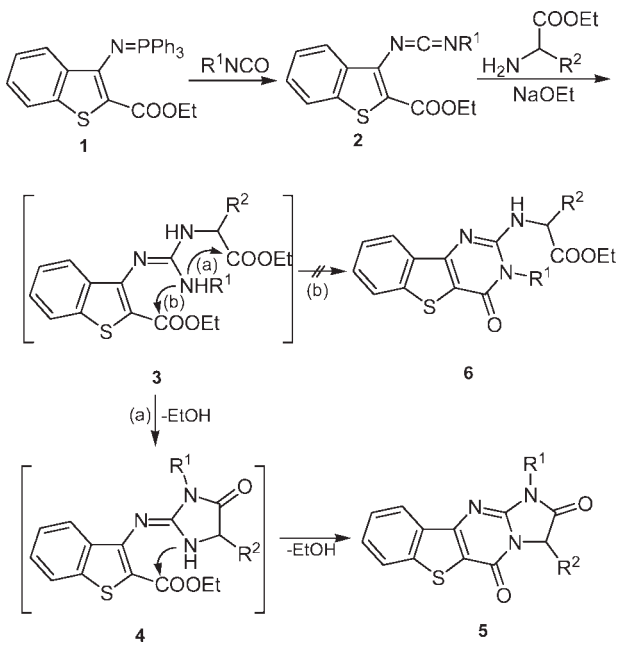
Thienopyrimidines are of great importance because of their significant antifungal and antibacterial activities, as well as their good anticonvulsant and angiotensin or H_1 receptor antagonistic activities [1,2]. Although some derivatives of benzothienopyrimidines have shown good antithrombotic, cardiotonic, and α adrenergic antagonistical activities [3,4], there are few reports on synthesis of benzothieno[3,2-*d*]pyrimidin-4(3*H*)-ones, which are of considerable interest as potential biological active compounds or pharmaceuticals. On the other hand, heterocycles containing imidazolones nucleus also exhibit various biological activities. Several of them have shown good antibacterial, antifungal activities or being used as leukotriene B_4 receptor antagonist and potassium channel openers [5,6]. The introduction of an imidazolone ring to the benzothieno[3,2-*d*]pyrimidin-4(3*H*)-one system is expected to influence the biological activities significantly. However, this tetracyclic system has been much less investigated and there is no report on synthesis of benzothieno[3,2-d]-imidazo[1,2-a]pyrimidine-2,5-(1*H*, 3*H*)-diones, probably due to the fact that the tetracyclic system is not easily accessible by routine synthetic methods.

The aza-Wittig reactions of iminophosphoranes have received increased attention in view of their utility in the synthesis of nitrogen heterocyclic compounds [7–23]. Annelation of ring systems with N-heterocycles by means of an aza-Wittig reaction has been widely utilized because of the availability of functionalized iminophosphoranes. Recently, we have been interested in the synthesis of pyrimidinones and imidazolones *via* aza-Wittig reaction, with the aim of evaluating their fungicidal activities [24–32]. We also reported an efficient synthesis of benzothieno[3,2-*d*]pyrimidin-4(3*H*)-ones *via* aza-Wittig reaction of β ethoxycarbonyl iminophosphorane **1** with isocyanate and subsequent reaction with various nucleophiles under mild conditions [29]. However, the reaction of α-amino ester with β ethoxycarbonyl carbodiimde was not investigated. Here, we wish to report further a selective synthesis of the previously unreported benzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1*H*, 3*H*)-diones *via* a tandem aza-Wittig/heterocumulene-mediated annulation.

RESULTS AND DISCUSSION

Iminophosphorane **1** reacted with isocyanates to give carbodiimides **2**, which were allowed to react with α-amino ester at room temperature in the presence of catalytic amount of sodium ethoxide to give benzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1*H*, 3*H*)-diones **5** selectively (Scheme 1). A variety of α-amino ester and isocyanate could be used for this synthetic strategy and the products **5** were obtained in good yields (Table 1). Presumably, the reaction of carbodiimides **2** with α-amino ester should afford primarily guanidine intermediates of type **3**. From these intermediates **3**, the formation of two cyclized products imidazolone **4** (*via* path a), benzothieno[3,2-d]pyrimidin-4(3*H*)-one **6** (*via* path b) could in principle take place. The formation of **5** might probably due to a tandem cyclization of **3** to

Scheme 1



imidazolone intermediate **4** and further base catalytic cyclization between the imidazolone ring's NH and ethoxylate. An imidazolone intermediate **4d** had been successfully isolated before the reaction mixture was treated with sodium ethoxide. Further treatment of **4d** with sodium ethoxide also gave the cyclized product **5d**. The result illustrated that the imidazolone **4** is more easily produced from intermediate **3** than benzothieno[3,2-d]pyrimidin-4(3*H*)-one **6**, and the cyclization of **4** to product **5** should be carried out in strong basic condition.

When chiral α-amino ester was used, recemization of the product **5** took place completely under the reaction condition. This is probably due to the easy recemization of C-3 of the benzothieno[3,2-d]imidazo[1,2-a] pyrimidine-2,5-(1*H*, 3*H*)-dione ring under the strong basic condition.

The structure of benzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1*H*, 3*H*)-diones **5** was confirmed by their spectrum data. For example, the ^{1}H NMR spectrum of **5b** shows two singlets at 4.98 ppm as quarterlets and 1.95 ppm as doublet due to the CH and CH_3, respectively. The signals attributable to the Ar—Hs are found at 8.12 ppm–7.44 ppm as mutiplets. The IR spectra of **5b** revealed two C=O absorption bands at 1761 and 1683 cm^{-1} due to the imidazolone and pyrimidinone carbonyl group, respectively. The MS spectrum of **5b** shows strong molecular ion peak at m/z 347 with 100% abundance. Furthermore, a single crystal of **5b** was obtained from a CH_2Cl_2 solution of **5b**. X-ray structure analysis verified again the proposed structure (Fig. 1). The pyrimidine ring has a flattened-boat conformation and a pseudo-mirror plane running through the bridgehead N atom and the opposite C atom. The dihedral angles between the planar fused benzene (*A*), thienyl (*B*), imidazole (*D*), and substituent phenyl (*E*) rings are

Table 1

Physical and analytical data of compounds **5**.

Comp.	R^1	R^2	Time (hours)	Mp (°C)	Yield %[a]	Molecular formula	Analysis % calcd./found		
							C	H	N
5a	Ph	sec-Bu	4	246–247	81	$C_{22}H_{19}N_3O_2S$	67.84	4.92	10.79
							67.97	5.04	10.69
5b	Ph	Me	2	268–269	87	$C_{19}H_{13}N_3O_2S$	65.69	3.77	12.10
							65.73	3.89	12.01
5c	Ph	i-Pr	5	263–265	88	$C_{21}H_{17}N_3O_2S$	67.18	4.56	11.19
							67.46	4.75	11.03
5d	Ph	$PhCH_2$	3	225–227	89	$C_{25}H_{17}N_3O_2S$	70.90	4.05	9.92
							70.99	4.15	9.88
5e	4-ClC_6H_4	$PhCH_2$	4	223–224	83	$C_{25}H_{16}ClN_3O_2S$	65.57	3.52	9.18
							65.70	3.66	9.01
5f	4-ClC_6H_4	i-Pr	3	219–220	87	$C_{21}H_{16}ClN_3O_2S$	61.53	3.93	10.25
							61.70	4.08	9.79
5g	i-Pr	Me	2	189–190	76	$C_{16}H_{15}N_3O_2S$	61.32	4.82	13.41
							61.44	4.97	13.33
5h	i-Pr	$PhCH_2$	3	189–191	84	$C_{22}H_{19}N_3O_2S$	67.84	4.92	10.79
							67.95	5.01	10.69
5i	Bu	$PhCH_2$	3	202–203	76	$C_{23}H_{21}N_3O_2S$	68.46	5.25	10.41
							68.52	5.34	10.29
5j	Bu	Me	3	175–176	80	$C_{17}H_{17}N_3O_2S$	62.36	5.23	12.83
							62.50	5.37	12.74

[a] Yields based on iminophosphorane **1**.

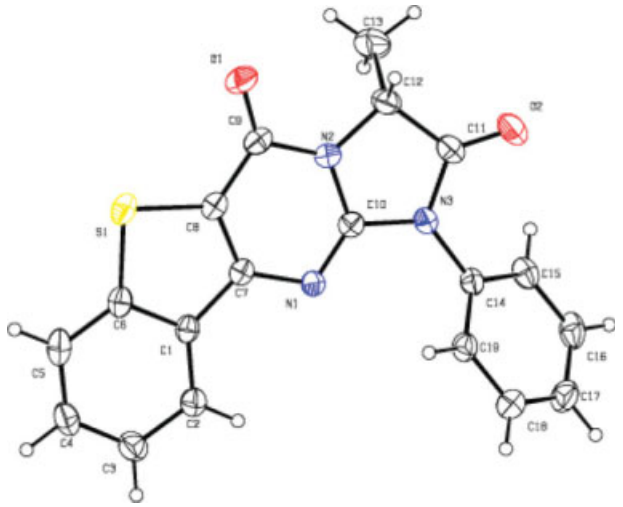


Figure 1. ORTEP diagram of the crystal structure of tricyclic compound **5b** (Drawn at the 50% thermal ellipsoids). [Color figure can be viewed in the online issue, which is available at www.interscience.wiley.com.]

A/*B* = 1.63 (3)°, *A*/*D* = 5.80 (2)°, *B*/*D* = 5.49 (3)°, and *D*/*E* = 39.73 (3)°.

In summary, we have developed an efficient synthesis of benzothieno [3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1*H*, 3*H*)-diones *via* a cascade aza-Wittig/heterocumulene-mediated annulation. This method utilizes easily accessible starting material and allows mild reaction conditions, straightforward product isolation and good yields.

EXPERIMENTAL

Melting points were determined using a X-4 model apparatus and were uncorrected. MS were measured on a Finnigan Trace MS spectrometer. NMR spectra were recorded in $CDCl_3$ on a Varian Mercury Plus 400 (400 Hz) spectrometer and chemical shifts (δ) were given in ppm using $(CH_3)_4Si$ as an internal reference (δ = 0). IR was recorded on a PE-983 infrared spectrometer as KBr pellets with absorption in cm^{-1}. Elementary analyses were taken on a Vario EL III elementary analysis instrument. The X-ray diffraction data were collected on a Bruker SMART AXS CCD diffractometer.

General procedure for the preparation of benzothieno [3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1*H*, 3*H*)-diones (5). To a solution of iminophosphorane **1** (0.96 g, 2 mmol) in dry methylene dichloride (15 mL) was added aromatic isocyanate (2 mmol) under nitrogen at room temperature. After the reaction mixture was stood for 6–8 h at 0–5°C, the solvent was removed off under reduced pressure and ether/petroleum ether (1:2, 20 mL) was added to precipitate triphenylphosphine oxide. Filtered, the solvent was removed to give carbodiimide **2**, which was directly used without further purification. A mixture of α-amino acid ester hydrochloride (2 mmol) and triethylamine (0.61 g, 4 mmol) in acetonitrile (10 mL) was stirred for 10 min and filtered. Then, the filtrate was added to the solution of carbodiimide **2** prepared above in dry methylene dichloride (10 mL) at room temperature. After stirring for 0.5 h, the solution was concentrated and anhydrous EtOH (10 mL) with several drops of EtONa in EtOH was added. The mixture was stirred for 4–6 h at room temperature The solution was concentrated under reduced pressure and the residual was recrystallized from methylene dichloride/petroleum ether to give benzothieno [3,2-d]-imidazo[1,2-a]pyrimidine-2,5-(1*H*, 3*H*)-diones **5**.

3-(Sec-butyl)-1-phenylbenzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1H, 3H)-dione (5a). White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.13 (d, *J* = 7.6 Hz, 1H, Ar—H), 7.89 (d, *J* = 8.4 Hz, 1H, Ar—H), 7.61–7.43 (m, 7H, Ar—H), 5.02 (d, *J* = 3.6 Hz, 1H, NCH), 3.01–2.96 (m, 1H, CH), 1.93–1.71 (m, 2H, CH_2), 1.11 (t, *J* = 7.2 Hz, 3H, CH_3), 0.92 (d, *J* = 6.8 Hz, 3H, CH_3). IR (KBr): 1756 (C=O), 1686 (C=O), 1599, 1500, 1366, 750 cm^{-1}. MS: *m*/*z* (%) 389 (55, M^+), 313 (43), 201 (24), 146 (100), 77 (75).

3-Methyl-1-phenylbenzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1H, 3H)-dione (5b). White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.12 (d, *J* = 8.0 Hz, 1H, Ar—H), 7.88 (d, *J* = 8.4 Hz, 1H, Ar—H), 7.63–7.44 (m, 7H, Ar—H), 4.98 (q, *J* = 7.2 Hz, 1H, NCH), 1.95 (d, *J* = 6.4 Hz, 3H, CH_3). IR (KBr): 1761 (C=O), 1683 (C=O), 1603, 1498, 1396, 752 cm^{-1}. MS: *m*/*z* (%) 347 (36, M^+), 271 (46), 201 (33), 146 (100), 77 (65).

1-Phenyl-3-(i-propyl)benzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1H, 3H)-dione (5c). White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.13 (d, *J* = 8.0 Hz, 1H, Ar—H), 7.89 (d, *J* = 8.0 Hz, 1H, Ar—H), 7.61–7.43 (m, 7H, Ar—H), 4.93 (d, *J* = 2.8 Hz, 1H, NCH), 3.28–3.16 (m, 1H, CH), 1.38 (d, *J* = 6.8 Hz, 3H, CH_3), 0.97 (d, *J* = 7.2 Hz, 3H, CH_3). IR (KBr): 1756 (C=O), 1687 (C=O), 1603, 1501, 1364, 751 cm^{-1}. MS: *m*/*z* (%) 375 (48, M^+), 299 (26), 201 (41), 146 (100), 77 (73).

3-Benzyl-1-phenylbenzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1H, 3H)-dione (5d). White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.00 (d, *J* = 7.6 Hz, 1H, Ar—H), 7.89 (d, *J* = 8.0 Hz, 1H, Ar—H), 7.56–7.08 (m, 12H, Ar—H), 5.26 (dd, J_1 = 4.8 Hz, J_2 = 2.8 Hz, 1H, NCH), 4.11 (dd, J_1 = 14.0 Hz, J_2 = 4.8 Hz, 1H, CH_2), 3.53 (dd, J_1 = 14.0 Hz, J_2 = 2.8 Hz, 1H, CH_2). IR (KBr): 1761 (C=O), 1684 (C=O), 1584, 1497, 1357, 749 cm^{-1}. MS: *m*/*z* (%) 423 (59, M^+), 347 (39), 201 (37), 146 (100), 91 (57), 77 (54).

3-Benzyl-1-(4-chlorophenyl)benzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1H, 3H)-dione (5e). White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.01 (d, *J* = 8.0 Hz, 1H, Ar—H), 7.90 (d, *J* = 8.0 Hz, 1H, Ar—H), 7.58–7.04 (m, 11H, Ar—H), 5.26 (dd, J_1 = 2.8 Hz, J_2 = 4.8 Hz, 1H, NCH), 4.11 (dd, J_1 = 14.0 Hz, J_2 = 4.8 Hz, 1H, CH_2), 3.51 (dd, J_1 = 14.0 Hz, J_2 = 2.8 Hz, 1H, CH_2). IR (KBr): 1751 (C=O), 1697 (C=O), 1602, 1501, 1360, 749 cm^{-1}. MS: *m*/*z* (%) 457 (47, M^+), 347 (45), 200 (30), 146 (100), 91 (57), 77 (60).

3-Benzyl-1-(4-chlorophenyl)benzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1H, 3H)-dione (5f). White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.13 (d, *J* = 8.0 Hz, 1H, Ar—H), 7.90 (d, *J* = 8.0 Hz, 1H, Ar—H), 7.59–7.45 (m, 6H, Ar—H), 4.92 (d, *J* = 2.4 Hz, 1H, NCH), 3.23–3.18 (m, 1H, CH), 1.37 (d, *J* = 7.2 Hz, 3H, CH_3), 0.95 (d, *J* = 6.8 Hz, 3H, CH_3). IR (KBr): 1752 (C=O), 1698 (C=O), 1592, 1503, 1387, 748 cm^{-1}. MS: *m*/*z* (%) 409 (44, M^+), 299 (33), 200 (22), 146 (100), 77 (77).

3-Methyl-1-(iso-propyl)benzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1H, 3H)-dione (5g). White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.26 (d, *J* = 7.6 Hz, 1H, Ar—H), 7.90

(d, J = 8.0 Hz, 1H, Ar—H), 7.58–7.50 (m, 2H, Ar—H), 4.82–4.74 (m, 2H, 2CH), 1.82 (d, J = 6.8 Hz, 3H, CH_3), 1.64 (d, J = 6.4 Hz, 6H, $2CH_3$), 1.62 (d, J = 6.0 Hz, 3H, CH_3). IR (KBr): 1742 (C=O), 1685 (C=O), 1594, 1505, 1336, 748 cm^{-1}. MS: *m/z* (%) 313 (66, M^+), 271 (42), 201 (29), 146 (100), 77 (67).

3-Benzyl-1-(iso-propyl)benzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1H, 3H)-dione (5h). White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.16 (d, J = 8.0 Hz, 1H, Ar—H), 7.91 (d, J = 8.4 Hz, 1H, Ar—H), 7.59–7.01 (m, 7H, Ar—H), 5.01 (t, J = 2.8 Hz, 1H, NCH), 4.54–4.50 (m, 1H, NCH), 4.02 (dd, J_1 = 14.0 Hz, J_2 = 3.6 Hz, 1H, CH^aPh), 3.42 (dd, J_1 = 13.6 Hz, J_2 = 2.8 Hz, 1H, CH^bPh), 1.32 (d, J = 6.8 Hz, 3H, CH_3), 1.25 (d, J = 7.2 Hz, 3H, CH_3). IR (KBr): 1750 (C=O), 1683 (C=O), 1592, 1503, 1387, 748 cm^{-1}. MS: *m/z* (%) 389 (58, M^+), 347 (31), 201 (18), 146 (76), 91 (67), 77 (100).

3-Benzyl-1-butylbenzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1H, 3H)-dione (5i). White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.17 (d, J = 8.0 Hz, 1H, Ar—H), 7.91 (d, J = 8.0 Hz, 1H, Ar—H), 7.59–7.03 (m, 7H, Ar—H), 5.05 (dd, J_1 = 2.8 Hz, J_2 = 4.8 Hz, 1H, NCH), 4.04 (dd, J_1 = 14.0 Hz, J_2 = 4.8 Hz, 1H, CH^aPh), 3.68–3.61 (m, 2H, NCH_2), 3.44 (dd, J_1 = 14.0 Hz, J_2 = 2.8 Hz, 1H, CH^bPh), 1.41–1.37 (m, 2H, CH_2), 1.10–1.02 (m, 2H, CH_2), 0.86 (t, J = 7.2 Hz, 3H, CH_3). IR (KBr): 1754 (C=O), 1673 (C=O), 1605, 1505, 1364, 750 cm^{-1}. MS: *m/z* (%) 403 (55, M^+), 347 (44), 201 (34), 146 (75), 91 (66), 77 (100).

1-Butyl-3-methylbenzothieno[3,2-d]imidazo[1,2-a]pyrimidine-2,5-(1H, 3H)-dione (5j). White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.28 (d, J = 7.6 Hz, 1H, Ar—H), 7.90 (d, J = 8.4 Hz, 1H, Ar—H), 7.59–7.52 (m, 2H, Ar—H), 4.81 (q, J = 6.8 Hz, 1H, NCH), 3.93–3.89 (m, 2H, NCH_2), 1.85–1.79 (m, 5H, CH_2 and CH_3), 1.47–1.41 (m, 2H, CH_2), 1.01 (t, J = 7.2 Hz, 3H, CH_3). IR (KBr): 1747 (C=O), 1680 (C=O), 1605, 1504, 1353, 751 cm^{-1}. MS: *m/z* (%) 327 (65, M^+), 271 (39), 201 (26), 146 (100), 77 (48).

Isolation of the intermediate 4d. A mixture of ethyl 2-amino-3-phenylpropanoate hydrochloride (0.46 g, 2 mmol) and triethylamine (0.61 g, 4 mmol) in acetonitrile (10 mL) was stirred for 10 min and filtered. Then, the filtrate was added to the solution of carbodiimide 2 prepared above in dry methylene dichloride (10 mL) at room temperature. After stirring for 2 h, the solution was concentrated under reduced pressure and the residual was recrystallized from methylene dichloride/petroleum ether to give imidazolone 4d. White solid; mp: 191–193°C. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.73–7.13 (m, 14H, Ar—H), 4.87 (s, 1H, NH), 4.42–4.32 (m, 3H, OCH_2 and CH), 3.25 (dd, J_1 = 3.6 Hz, J_2 = 14.0 Hz, 1H, $PhCH^a$), 3.06 (dd, J_1 = 8.0 Hz, J_2 = 14.0 Hz, 1H, $PhCH^b$), 1.39 (t, J = 7.2 Hz, 3H, CH_3). IR (KBr): 3324 (NH), 1762 (C=O), 1691 (C=O), 1596, 1503, 1428, 1239 cm^{-1}. MS: m/z (%) 469 (100, M^+), 333 (27), 277 (28), 146 (50), 91 (34). Anal. Calcd for $C_{27}H_{23}N_3O_3S$: C, 69.06; H, 4.94; N, 8.95. Found: C, 69.24; H, 4.87; N, 8.73.

Crystallographic data of 5b. Crystallographic data for the structures of 5b reported in this article have been deposited with the Cambridge Crystallographic Data Centre as supplementary publication no. CCDC-647685. Copies of the data can be obtained free of charge on application to CCDC, 12 Union Road, Cambridge CB2 1EZ, UK [fax.: (internat.) + 44 1223/336-033; e-mail: deposit@ccdc.cam.ac.uk].

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