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Efficient Room-Temperature Synthesis of Tri- and Tetrasubstituted Imidazoles Catalyzed by ZrCl_4

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Abstract: A general protocol has been developed for the rapid synthesis of 2,4,5-trisubstituted and 1,2,4,5-tetrasubstituted imidazoles in high yields using ZrCl_4 as an efficient catalyst at room temperature. A variety of aromatic, aliphatic, and terpenoidal aldehydes underwent condensation with NH_4OAc /amines to give the imidazoles. Similarly, the imidazole glycoconjugates are prepared in good yields from the corresponding glycosyl aldehydes.

Keywords: 1,2-Diarylethanedienones, imidazole glycoconjugates, three/four-component coupling reaction, tri/tetrasubstituted imidazoles

INTRODUCTION

Imidazoles are heterocycles with a wide range of applications and are receiving growing attention.^[1] The imidazole ring system is of particular interest because it is a component of histidine and its decarboxylation metabolite, histamine.^[2] The potency and wide applicability of the imidazole pharmacophore can be attributed to its hydrogen bond donor–acceptor capability as well as its high affinity for metals, which are present in many protein active sites^[3] (e.g., Zn, Fe, Mg). Also improved pharmacokinetics and bioavailability of peptide-based protease inhibitors have been observed by replacing an

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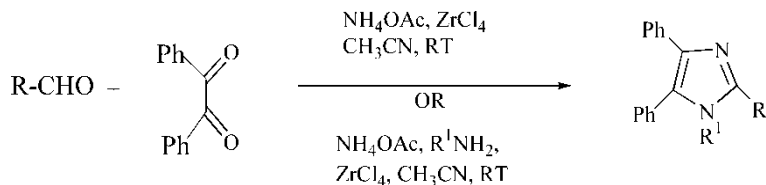
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amide bond with an imidazoles.^[4] In addition, they constitute an essential moiety of a number of therapeutic agents, fungicides and herbicides,^[5] and plant-growth regulators,^[6] besides being known as inhibitors of p38 MAP kinase.^[7] Furthermore, a recent report indicates that synthetic imidazoles are potent inhibitors of protein–protein interactions.^[8]

The original synthesis of imidazole utilized glyoxal, formaldehyde, and ammonia and established that the formation of four N–C bonds was a viable route.^[9,10] Although classical methods were derived from this early success, the reaction suffered low yields, harsh conditions, high temperature, and mixture of products.^[11] Additionally, reagents for these procedures are not readily or commercially available, a key deficiency where developing conditions for library synthesis. Of the several methods reported in the literature for the synthesis of imidazoles, the four-component one-pot condensation of aryl glyoxals, aldehydes, amines, and NH_4OAc in refluxing acetic acid is the most desirable and convenient method.^[12] A majority of these limited number of procedures involve microwave-assisted synthesis,^[13] apart from the recently reported ionic liquids.^[14] Further, methods for the synthesis of highly substituted imidazole rings are limited and generally cannot be carried out under neutral conditions.^[15] Herein, we report an efficient synthesis of tri/tetrasubstituted imidazoles, including the imidazole glycoconjugates, using ZrCl_4 (20 mol%) as an acid catalyst under neutral reaction conditions at room temperature (Scheme 1).

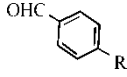
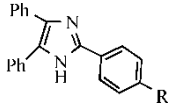
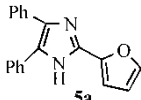
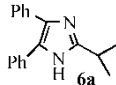
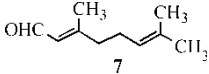
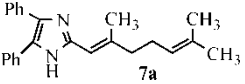
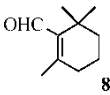
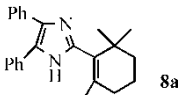
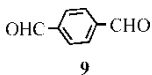
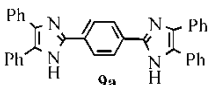
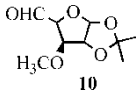
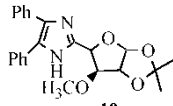
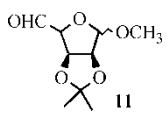
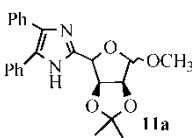
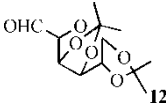
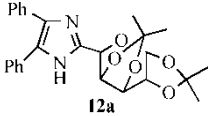
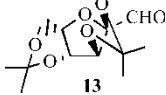
RESULTS AND DISCUSSION

The synthetic strategy is based on the condensation of 1,2-diarylethanediones with aldehydes or aldehydes and amines in the presence of an excess of NH_4OAc , resulting in 2,4,5-trisubstituted and 1,2,4,5-tetrasubstituted imidazoles respectively using 20 mol% of ZrCl_4 as catalyst. Initially, benzaldehyde **1** in acetonitrile was treated with an equimolar quantity of benzil, excess of NH_4OAc , and ZrCl_4 (20 mol%) at room temperature for 0.75 h to furnish 2,4,5-triphenyl imidazole **1a** (Table 1) in 95% yield. Encouraged by this success, the reaction of benzil was examined with a range of other aromatic aldehydes **2–5**, which afforded the products **2a–5a** in high yields. Note that the reaction of benzil with p-anisaldehyde and excess of NH_4OAc was only 50% complete, and reaction at reflux was of no use. Reaction with



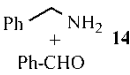
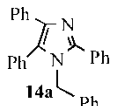
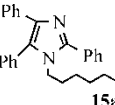
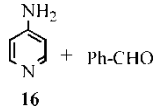
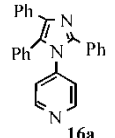
Scheme 1.

Table 1. ZrCl₄-catalyzed synthesis of tri/tetrasubstituted imidazoles

Entry	Starting material	Product	Time (h)	Yield (%)
1				
	1	1a R = H	0.75	95
2	2	2a R = Cl	10	93
3	3	3a R = F	5	96
4	4	4a R = NO ₂	7	89
5			6	95
6			2	91
7			4	84
8			4.5	84
9			6	84
10			1.25	88
11			1.5	87
12			1.5	85
13			2	87

(continued)

Table 1. Continued

Entry	Starting material	Product	Time (h)	Yield (%)
14	 $\text{Ph-CH}_2\text{-NH}_2$ 14 Ph-CHO	 14a	1	86
15	$\text{CH}_3(\text{CH}_2)_5\text{-NH}_2$ Ph-CHO 15	 15a	0.75	88
16	 Ph-CHO 16	 16a	1.25	89

aliphatic **6** (entry 6) and terpenoidal **7** and **8** aldehydes (entries 7 and 8) was also facile and gave the products **6a–8a** respectively in high yields. Similarly, terephthaldehyde **9** (entry 9) with 2 equiv of benzil and excess of NH_4OAc gave bis imidazolyl benzene **9a** in 84% yield.

In view of the importance attained by the glycoconjugates as bioactive compounds and our interest on the synthesis of new saccharides,^[16] the study was extended to sugar aldehydes. Accordingly, aldehyde **10** (entry 10) underwent a smooth three-component coupling catalyzed by ZrCl_4 and afforded C-5 glycosyl imidazole **10a** in 88% yield. Further study on **11**, **12**, and anomeric aldehyde **13** (entries 11, 12, and 13) resulted in the C-linked imidazole glycoconjugates **11a** and **12a** and anomeric glycosyl imidazole **13a** respectively in very good yields.

The present study was then extended to a four-component coupling reaction for the preparation of tetrasubstituted imidazoles. Accordingly, benzil, on reaction with an equimolar quantity of benzaldehyde **1** and excess of NH_4OAc in the presence of benzyl amine **14** in acetonitrile at room temperature furnished 1,2,4,5-tetrasubstituted imidazole **14a** (Table 1, entry 14) in 86% yield. In a further study, when benzaldehyde was treated at room temperature with aliphatic amine **15** (entry 15) and heterocyclic amine **16** (entry 16), excess of NH_4OAc in the presence of ZrCl_4 , it underwent a facile four-component coupling to give 1-alkyl and 1-heteroaryl substituted imidazoles **15a** and **16a** in good yields.

CONCLUSIONS

In conclusion, the study described an efficient, rapid, and convenient synthesis of tri- and tetrasubstituted imidazoles in a one-pot, three- and four-component

coupling reaction strategy using inexpensive, nontoxic, and easily available ZrCl_4 (20 mol%) as catalyst in acetonitrile. The present method offers several advantages including shorter reaction times at room temperature, higher yields, and easy experimental workup procedure, besides the tolerability of the conditions to a variety of substrates such as aromatic, aliphatic, and terpenoidal aldehydes. The acid-sensitive glycosyl aldehydes underwent facile one-pot condensation to afford the imidazoles glycoconjugates. Thus, this simple method would find wide applicability for the highly substituted imidazole systems.

EXPERIMENTAL

Method A: Synthesis of Trisubstituted Imidazoles

A mixture of aldehyde (1 mmol), benzil (1 mmol), NH_4OAc (5 mmol), and ZrCl_4 (0.2 mmol) in CH_3CN (10 mL) was stirred at room temperature. After completion of the reaction (TLC analysis), it was diluted with water (10 mL) and extracted with EtOAc (25 mL). The organic layer was dried (Na_2SO_4) and evaporated to give the products in 84–96% yields as solids.

Method B: Synthesis of Tetrasubstituted Imidazoles

A mixture of aldehyde (1 mmol), benzil (1 mmol), NH_4OAc (5 mmol), amine (1 mmol), and ZrCl_4 (0.2 mmol) in CH_3CN (10 mL) was stirred at room temperature. After completion of the reaction (TLC analysis), it was diluted with water (10 mL) and extracted with EtOAc (25 mL). The organic layer was dried (Na_2SO_4) and evaporated to give the products in 86–89% yields as solids.

Data

2,4,5-Triphenyl-1*H*-imidazole (**1a**)

Mp 272°C (lit.^[14] 275°C); IR (KBr): 638, 874, 1216, 1638, 2470, 2993, 3434 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 7.42–8.12 (m, 15H, Ar), 12.61 (br.s, 1H); EIMS (m/z , %): 296 (M^+).

2-(4-Chlorophenyl)-4,5-diphenyl-1*H*-Imidazole (**2a**)

Mp 188°C; IR (KBr) 639, 719, 874, 1216, 1592, 1660, 2470, 2993, 3434 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 7.27–7.37 (m, 10H, Ar), 7.45–7.49 (d, 2H, J = 9.00 Hz, Ar), 7.57–7.59 (d, 2H, J = 8.00 Hz,

Ar), 12.5 (br.s, 1H, NH); ^{13}C NMR (CDCl_3 , 75 MHz): δ 122.1, 125.4, 125.6, 126.3, 127.2, 128.5, 129.1, 133.1 136.5; EIMS (m/z , %): 322 (M^+); calcd. C, 76.24; H, 4.57; found C, 76.34; H, 4.69.

2-(4-Fluorophenyl)-4,5-diphenyl-1*H*-imidazole (**3a**)

Mp 190°C; IR (KBr): 639, 719, 874, 1210, 1320, 1450, 1592, 1660, 2470, 2993, 3434 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 7.28–7.37 (t, 6H, $J = 10.94$ Hz, Ar), 7.40 (br.s, 1H, -NH), 7.48–7.49 (t, 6H, $J = 10.94$ Hz, Ar), 7.57–7.59 (t, 2H, $J = 9.38$ Hz, Ar); ^{13}C NMR (CDCl_3 , 75 MHz): δ 116.0, 126.3, 127.5, 128.8, 129.1, 129.3, 129.5, 147.6, 162.9; EIMS (m/z , %): 316 ($\text{M}^+ + 2$); calcd. C, 80.24; H, 4.81; found C, 80.35; H, 4.83.

2-(4-Nitrophenyl)-4,5-diphenyl-1*H*-imidazole (**4a**)

Mp 196°C; IR (KBr): 639, 719, 845, 1210, 1443, 1522, 1540, 1602, 2993, 3434 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 7.25–7.57 (m, 10H, Ar), 7.78 (d, 2H, $J = 9.0$ Hz, Ar), 8.50 (d, 2H, $J = 9.0$ Hz, Ar), 12.59 (br.s, 1H, -NH); ^{13}C NMR (CDCl_3 , 75 MHz): δ 121.6, 127.5, 128.4, 128.8, 129.3, 133.1, 147.6, 148.4; EIMS (m/z , %): 343 ($\text{M}^+ + 1$).

2-(2-Furyl)-4,5-diphenyl-1*H*-imidazole (**5a**)

Mp 185–187°C; IR (KBr): 639, 719, 874, 1169, 1210, 1660, 2470, 2993, 3316 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 7.21 (m, 1H, NH), 7.46–7.58 (m, 4H, Ar), 7.60–7.70 (m, 3H, Ar), 7.96–8.02 (m, 6H, Ar); ^{13}C NMR (CDCl_3 , 75 MHz): δ 33.0, 39.1, 70.8, 77.4, 127.5, 128.8, 129.3, 133.1, 146.7; EIMS (m/z , %): 287 ($\text{M}^+ + 1$); calcd. C, 79.70; H, 4.93; found C, 79.79; H, 4.99.

2-Isopropyl-4,5-diphenyl-1*H*-imidazole (**6a**)

Mp 187–190°C; IR (KBr): 639, 718, 873, 1210, 1663, 2470, 2925, 3317 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 1.20–1.22 (2 s, 6H, 2CH₃), 3.35–3.40 (m, 1H, -CH), 7.40–7.43 (m, 6H, Ar), 7.67–7.69 (m, 4H, Ar); ^{13}C NMR (CDCl_3 , 75 MHz): δ 23.1, 33.3, 127.5, 128.8, 129.3, 133.1, 147.6; EIMS (m/z , %): 262 (M^+); calcd. C, 82.57; H, 7.29; found C, 82.66; H, 7.37.

2-[(1E)-2,6-Dimethyl-1,5-heptadienyl]-4,5-diphenyl-1*H*-imidazole (**7a**)

Mp 189–194°C; IR (KBr): 642, 718, 874, 1210, 1675, 2476, 2924, 3385 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 1.59 (s, 3H, CH₃), 1.70 (s, 3H, CH₃), 1.72 (s, 3H, CH₃), 2.82 (m, 2H, -CH₂), 5.07 (m, 1H, olefinic), 6.52 (m, 1H, olefinic), 7.40–7.43 (m, 6H, Ar), 7.67–7.69 (m, 4H, Ar), 8.43

(br.s, 1H, NH); ^{13}C NMR (CDCl_3 , 75 MHz): δ 19.6, 23.1, 26.4, 39.4, 120.2, 123.5, 127.5, 128.8, 129.3, 129.5, 136.1, 138.8; EIMS (m/z , %): 330 ($\text{M}^+ + 2$); calcd. C, 83.75; H, 8.43; found C, 83.86; H, 8.55.

4,5-Diphenyl-2-(2,6,6-trimethyl-1-cyclohexenyl)-1H-imidazole (**8a**)

Mp 192–195°C; IR (KBr): 647, 716, 874, 1276, 1413, 1664, 2931, 3350 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 0.81 (s, 6H, 2CH_3), 1.20 (s, 3H, CH_3), 1.34–1.41 (s, 2H, CH_2), 1.66 (m, 1H, olefinic), 1.92 (m, 2H, CH_2), 2.26–2.33 (m, 2H, $-\text{CH}_2$), 7.40–7.43 (m, 6H, Ar), 7.67–7.69 (m, 4H, Ar); ^{13}C NMR (CDCl_3 , 75 MHz): δ 18.7, 20.8, 25.0, 32.5, 43.0, 53.4, 127.5, 128.8, 129.2, 129.3, 129.4, 146.7; EIMS (m/z , %): 342 (M^+); calcd. C, 83.68; H, 8.19; found C, 83.78; H, 8.26.

2-[4-(4,5-Diphenyl-1H-2-imidazolyl)phenyl]-4,5-diphenyl-1H-imidazole (**9a**)

Mp 232–235°C; IR (KBr): 639, 719, 874, 1169, 1210, 1660, 2470, 2993, 3316 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): 7.48–7.58 (m, 10H, Ar), 7.62–7.68 (m, 4H, Ar), 7.94 (m, 10H, Ar); ^{13}C NMR (CDCl_3 , 75 MHz): δ 26.6, 53.7, 72.1, 78.7, 81.6, 108.0, 111.3, 127.5, 128.8, 129.0, 129.3, 133.1, 151; EIMS (m/z , %): 515 ($\text{M}^+ + 1$); calcd. C, 84.02; H, 5.09; found C, 85.2; H, 5.29.

2-[(3aS,6aS)-6-Methoxy-2,2-dimethylperhydrofuro[2,3-d][1,3]dioxo-5-yl]-4,5-diphenyl-1H-imidazole (**10a**)

Mp 215–217°C; IR (KBr): 639, 719, 874, 1019, 1212, 1663, 2470, 2932, 3411 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 1.20–1.50 (2s, 6H, CH_3), 3.82 (s, 3H, OCH_3), 4.01 (d, 1H, $J = 7.14$ Hz, H-4), 4.48–4.50 (m, 1H, NH), 4.72 (d, 1H, $J = 7.14$ Hz, H-2), 5.41 (d, 1H, $J = 7.08$ Hz, H-3), 6.02 (d, 1H, $J = 7.14$ Hz, H-1), 7.12–7.32 (d, 2H, $J = 7.14$ Hz, Ar), 7.42–7.52 (m, 6H, Ar), 7.54–7.72 (d, 2H, $J = 14.28$ Hz, Ar), 7.89–8.10 (d, 2H, $J = 14.28$ Hz, Ar); ^{13}C NMR (CDCl_3 , 75 MHz): δ 24.0, 26.6, 66.4, 70.3, 70.4, 78.6, 78.7, 84.7, 99.1, 111.6, 127.5, 128.8, 129.0, 129.3, 133.1, 151; EIMS (m/z , %): 392 (M^+); calcd. C, 69.63; H, 6.29; found C, 69.75; H, 6.36.

2-[(3aS,6aS)-6-Methoxy-2,2-dimethylperhydrofuro[3,4-d][1,3]dioxo-4-yl]-4,5-diphenyl-1H-imidazole (**11a**)

Mp 212–215°C; IR (KBr): 643, 719, 872, 1094, 1211, 1449, 1674, 2993, 3339 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 1.20 (s, 3H, CH_3), 1.42 (s, 3H, CH_3), 3.58 (s, 3H, OCH_3), 4.32 (d, 1H, $J = 9.47$ Hz, H-2), 4.48 (d, 1H, $J = 7.89$ Hz, H-4), 4.60 (d, 1H, $J = 9.47$ Hz, H-3), 5.42 (d, 1H,

$J = 7.89$ Hz, H-1), 7.48–7.58 (m, 4H, Ar), 7.60–7.78 (m, 2H, Ar), 7.98–8.05 (d, 4H, $J = 9.47$ Hz, Ar); ^{13}C NMR (CDCl_3 , 75 MHz): δ 26.6, 57.7, 71.5, 81.5, 81.6, 127.5, 128.8, 129.0, 129.3, 133.1, 151; EIMS (m/z , %): 392 (M^+). HRMS (EIMS): m/z calcd. for $\text{C}_{23}\text{H}_{24}\text{N}_2\text{O}_4$ (M^+) 393.1814; found 393.1809.

4,5-Diphenyl 2-[(2,2,7,7-Tetramethylperhydrofuro[1,3]dioxolo[4,5-b:4,5-d]pyran-5-yl)-1*H*-imidazole (**12a**)

Mp 208–212°C; IR (KBr): 639, 718, 873, 1021, 1096, 1210, 1661, 2470, 2963, 3316 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 1.34 (s, 3H, CH_3), 1.40 (s, 3H, CH_3), 1.52 (s, 3H, CH_3), 4.43 (dd, 1H, $J = 1.7, 5.5$ Hz, H-5), 4.60 (dd, 1H, $J = 4.5, 7.8$ Hz, H-4), 4.75 (dd, 1H, $J = 2.50, 5.0$ Hz, H-2), 5.20 (d, 1H, $J = 2.5$ Hz, H-3), 5.58 (d, 1H, $J = 5.56$ Hz, H-1), 7.20–7.28 (m, 2H, Ar), 7.46–7.60 (m, 4H, Ar), 7.62–7.70 (m, 2H, Ar), 8.00–8.12 (d, 4H, $J = 7.80$ Hz, Ar); ^{13}C NMR (CDCl_3 , 75 MHz): δ 26.6, 26.9, 66.5, 75.6, 78.4, 82.6, 104.7, 108.7, 127.5, 128.8, 129.0, 129.3, 133.1, 151; EIMS (m/z , %): 449 ($\text{M}^+ + 1$); HRMS (EIMS): m/z calcd. for $\text{C}_{26}\text{H}_{28}\text{N}_2\text{O}_5$ (M^+) 449.2076; found 449.2068.

2-[(3aR,3bS,7aS,8aR)-[(2,2,7,7-Tetramethylperhydrofuro[1,3]dioxolo[4,5¹:4,5]-furo[3,2-d]dioxin-8-yl]-4,5-diphenyl-1*H*-imidazole (**13a**)

Mp 217–219°C; IR (KBr): 642, 719, 872, 1074, 1210, 1674, 2470, 2993, 3316 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 1.20–1.50 (br.s, 6H, 2 CH_3), 1.60 (s, 3H, CH_3), 1.75 (s, 3H, CH_3), 4.18 (d, 2H, $J = 4.2$ Hz, H-3), 4.24 (br.s, 1H, H-5), 4.35 (d, 1H, $J = 1.42$ Hz, H-2), 4.50 (d, 1H, $J = 2.1$ Hz, H-4), 7.48–7.56 (m, 4H, Ar), 7.60–7.72 (m, 2H, Ar), 7.90–8.10 (m, 4H, Ar); ^{13}C NMR (CDCl_3 , 75 MHz): δ 127.5, 128.0, 128.8, 129.3, 129.5, 130.7, 133.1, 147.6; EIMS (m/z , %): 449 (M^+); HRMS (ESI): m/z calcd. for $\text{C}_{26}\text{H}_{28}\text{N}_2\text{O}_5$ (M^+): 449.2076; found 449.2078.

1-Benzyl-2,4,5-triphenyl-1*H*-imidazole (**14a**)

Mp 278°C; IR (KBr): 639, 719, 874, 1169, 1210, 1660, 2470, 2993, 3316 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 5.21 (m, 2H, $-\text{CH}_2$), 6.76–7.08 (m, 4H, Ar), 7.21 (br.s, 1H, NH), 7.29–7.47 (m, 6H, Ar), 7.62–7.67 (m, 6H, Ar), 7.72–7.76 (m, 2H, Ar), 8.75–8.77 (m, 1H, olefinic); ^{13}C NMR (CDCl_3 , 75 MHz): δ 40.3, 125.8, 126, 127.5, 127.6, 128.7, 127.8, 129.1, 129.3, 130.7, 136.3; EIMS (m/z , %): 387 ($\text{M}^+ + 1$).

1-Hexyl-2,4,5-triphenyl-1*H*-imidazole (**15a**)

Mp 295°C; IR (KBr): 645, 718, 876, 1214, 1640, 2480, 2990, 3379 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 7.60–7.74 (m, 6H, Ar), 7.48–7.53

(m, 6H, Ar), 7.30–7.42 (m, 3H, Ar), 3.52–3.64 (t, 2H, $J = 7.14$ Hz, $-\text{NCH}_2$), 1.62–1.74 (t, 2H, $J = 6.42$ Hz, CH_2), 1.28–1.40 (s, 5H, $-\text{CH}_3$, $-\text{CH}_2$), 0.85–0.95 (t, 3H, $J = 8.57$ Hz, $-\text{CH}_3$); ^{13}C NMR (CDCl_3 , 75 MHz): δ 22.8, 26.9, 27.5, 31.6, 31.7, 127.5, 128.8, 129.3, 129.8, 153.5; EIMS (m/z , %): 381 ($\text{M}^+ + 1$); calcd. C, 85.22; H, 7.42; found C, 85.34; H, 7.54.

4-(2,4,5-Triphenyl-1H-imidazolyl)pyridine (**16a**)

Mp 289°C; IR (KBr): 639, 719, 874, 1169, 1210, 1660, 2470, 2993, 3316 cm^{-1} ; ^1H NMR ($\text{CDCl}_3/\text{DMSO}$, 200 MHz): δ 5.28 (m, 2H, $-\text{CH}_2$), 6.96–7.08 (m, 4H, Ar), 7.21 (br.s, 1H, NH), 7.29–7.37 (m, 6H, Ar), 7.62–7.67 (m, 6H, Ar), 7.72–7.76 (m, 2H, Ar); ^{13}C NMR (CDCl_3 , 75 MHz): δ 116.1, 122, 127.5, 128.8, 129.3, 130.7, 133.1, 143.9, 150.3; EIMS (m/z , %): 382 ($\text{M}^+ + 1$); calcd. C, 83.62; H, 5.13; found C, 83.72; H, 5.19.

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