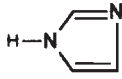
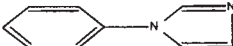
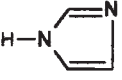

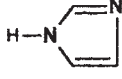
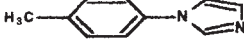
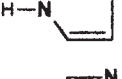

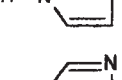

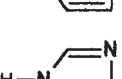
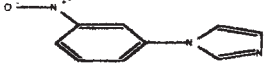
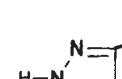

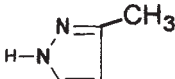
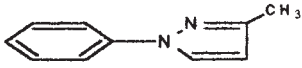
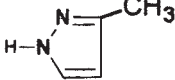
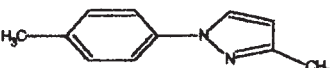
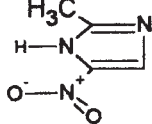
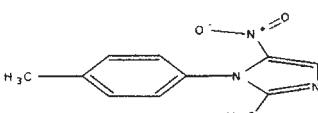


Table 1 N-arylation of imidazoles^a

Entry	Ar ₂ I ⁺ BR ₄ ⁻	Imidazoles	Time (h)	Product(s)	Yield (%) ^a
1	Ph ₂ I ⁺ BF ₄ ⁻		5		80
2	(<i>p</i> -CH ₃ C ₆ H ₄) ₂ I ⁺ BF ₄ ⁻		5		86
3	(<i>p</i> -CH ₃ C ₆ H ₄) ₂ I ⁺ BF ₄ ⁻		9		82 ^c
4	(<i>p</i> -CH ₃ OC ₆ H ₄) ₂ I ⁺ BF ₄ ⁻		5		83
5	(<i>p</i> -ClC ₆ H ₄) ₂ I ⁺ BF ₄ ⁻		6		75
6	(<i>m</i> -NO ₂ C ₆ H ₄) ₂ I ⁺ BF ₄ ⁻		8		72
7	(<i>p</i> -Br-C ₆ H ₄) ₂ I ⁺ BF ₄ ⁻		6		74
8	Ph ₂ I ⁺ BF ₄ ⁻		5		82
9	(<i>p</i> -CH ₃ C ₆ H ₄) ₂ I ⁺ BF ₄ ⁻		5		87
10	(<i>p</i> -CH ₃ C ₆ H ₄) ₂ I ⁺ BF ₄ ⁻		10		70

^aReagent and conditions: 1 mmol iodonium salt, 2.5 mmol K₂CO₃, 1 mmol *n*-Bu₄N⁺I⁻, 5 mol % Co(OAc)₂ and 1 mmol imidazoles, in 5 ml DMF at 80 °C under a nitrogen atmosphere. ^bIsolated yields. ^cAbsence of phase transfer catalyst *n*-Bu₄N⁺I⁻.

1-(4'-methylphenyl)imidazole (entry 2,3): oil (Lit⁵) H-NMR 2.40 (s, 3H), 7.45–6.75 (m, 7H), IR (oil film) 3100, 2930, 1610, 1523, 1490, 1460, 1380, 1300, 1260, 1245, 1110, 1055, 965, 900, 815, 735, 655 cm⁻¹.

1-(4'-methoxyphenyl)imidazole (entry 4): m.p. 61–63 °C (Lit¹¹ 63–64.5 °C) H¹-NMR 3.80 (s, 3H), 6.80–7.60 (m, 7H), I.R. 3050, 1605, 1585, 1520, 1500, 1485, 1450, 1385, 1250, 1105, 1050, 820, 800, 780, 690, 650 cm⁻¹.

1-(4'-chlorophenyl)imidazole (entry 5): m.p. 83–86 °C (Lit¹² 85–87 °C) H¹-NMR 7.10–7.80 (m 7H), I.R. (KBr) 3045, 1585, 1523, 1500, 1460, 1385, 1350, 1300, 1260, 1245, 1115, 1075, 1045, 965, 900, 800, 750, 655 cm⁻¹.

1-(3'-nitrophenyl)imidazole (entry 6): m.p. 109–110 °C (Lit¹³ 112–112.5 °C) H¹-NMR 7.85–7.40 (m, 6H), 8.20 (s, 1H), I.R. (KBr) 3040, 1585, 1560, 1525, 1500, 1376, 1324, 1300, 816, 734, 700, 660 cm⁻¹.

1-(4'-bromophenyl)imidazole (entry 7): m.p. 119–120 °C (Lit¹¹ 118–120.5 °C) H¹-NMR 7.20–7.95 (m, 7H), I.R. (KBr) 1605, 590, 1520, 1465, 1380, 1345, 1250, 1110, 1050, 960, 895, 865, 780, 665 cm⁻¹.

1-phenyl-3-methyl-pyrazole (entry 8): oil (Lit¹⁴ Bp_{0.25} 76–78 °C) H¹-NMR 2.35 (s, 3H), 6.00 (s, 1H), 7.70–6.90 (m, 6H), I.R. 1540, 1520, 1450, 1390, 1240, 1200, 1120, 1015, 920, 660 cm⁻¹.

1-(4'-methylphenyl)-3-methyl-pyrazole (entry 9): oil (Lit¹⁵ B.p. 272 °C) H¹-NMR 2.00 (s, 3H), 2.33 (s, 3H), 5.95 (s, 1H), 7.60–6.75 (m, 5H), I.R. 2900, 1740, 1540, 1520, 1450, 1390, 1240, 1200, 1120, 1015, 920, 650 cm⁻¹.

1-(4'-methylphenyl)-2-methyl-5-nitroimidazole (entry 10): m.p. 130.5 °C H¹-NMR 2.40 (s, 3H), 2.50 (s, 3H), 7.20–7.45 (m, 4H), 8.00 (s, 1H), I.R. (KBr) 3030, 2900, 1540, 1500, 1450, 1415, 1380, 1300,

1275, 1210, 1140, 1040, 1015, 990, 830, 800, 750, 720, 670 cm⁻¹. Mass spectrum, *m/e* 217 (9.67), 145 (34.87), 118 (13.37), 91 (36.13), 65 (24.02), 43 (100.00) Anal. Calcd for C₁₁H₁₁N₃O₂: C, 60.83; H, 5.07; N, 19.36. Found: C, 59.90; H, 5.35.

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References

- (a) I. Sircar, B.L. Durell, J. Bibowski, J.A. Bristol and D.B. Evans, *J. Med. Chem.*, 1985, **28**, 1405; (b) I. Sircar, G.C. Morrison, S.E. Burke, R. Skeean and R.E. Weishaar, *J. Med. Chem.*, 1987, **30**, 1724.
- (a) P. Cozzi, G. Carganico, D. Fusar, M. Grossoni, M. Menichiccheri, V. Pinciroli, R. Tonani, F. Vaghi and P. Salvati, *J. Med. Chem.*, 1993, **36**, 2964; (b) G.R. Martinez, K.A.M. Walker, D.R. Hirshfeld, J.J. Bruno, D.S. Yang and P.J. Maloney, *J. Med. Chem.*, 1992, **35**, 620; (c) K. Iizuka, K. Akahane, D. Momose and M. Nakazawa, *J. Med. Chem.*, 1981, **24**, 1139; (d) T. Güngör, A. Fouquet, J.-M. Teulon, D. Provost, M. Cazes and A. Cloarec, *J. Med. Chem.*, 1992, **35**, 4455; (e) M.C. Venuti, R.A. Stephenson, R. Alvarez, J.J. Bruno and A.M. Strosberg, *J. Med. Chem.*, 1988, **31**, 2136; (f) J. Ohmori, M. Shimizu-Sasamata, M. Okada and S. Sakamoto, *J. Med. Chem.*, 1996, **39**, 3971; (g) Y.S. Lo, J.C. Nolan, T.H. Maren, Jr W.J. Welstead, D.F. Gripshover and D.A. Shamblee, *J. Med. Chem.*, 1992, **35**, 4790.

- 3 P.N. Crfaig, In C.J. Drayton, Ed. *Comprehensive Medicinal Chemistry*. Pergamon Press New York 1991, **8**, p730.
- 4 M.E. Kuehne and T. Kitagawa, *J. Org. Chem.*, 1964, **29**, 1270.
- 5 P.L. Alvarado, C. Avendano and J.C. Menendez, *J. Org. Chem.*, 1995, **60**, 5678.
- 6 A.Y. Fedorov and J.P. Finet, *Tetrahedron Lett.*, 1999, **40**, 2747.
- 7 P.Y.S. Lam, C.G. Clark, S. Saubern, J. Adams, M.P. Winters, D.M.T. Chan and A. Combs, *Tetrahedron Lett.*, 1998, **39**, 2941.
- 8 A. Kiyomori, J.F. Marcoux and S.L. Buchwald, *Tetrahedron Lett.*, 1999, **40**, 2657.
- 9 (a) M. Xia and Z.-C. Chen, *J. Chem. Res. (s)*, 1999, **5**, 328; (b) M. Xia and Z.-C. Chen, *J. Chem. Res (s)*, 1999, **6**, 400; (c) M. Xia and Z.-C. Chen, *Synth. Commun.*, 1999, **29**, 2457; (d) M. Xia and Z.-C. Chen, *Synth. Commun.*, 2000, **30**, 63; (e) L. Wang and Z.-Chen, Hypervalent iodine in synthesis 47 : cross-coupling reaction of diaryliodonium salts with Grignard reagents via a novel double metal co-catalyst. *Synth. Commun.*, in press.
- 10 D.A. Shirley and P.W. Alley, *J. Am. Chem. Soc.*, 1957, **79**, 4922.
- 11 Alexander L. Johnson, J.C. Kauer, D.C. Sharma and R.I. Dorfman, *J. Med. Chem.*, 1969, **12**, 1024.
- 12 P. Franchetti, M. Grifantini and S. Martelli, *J. Med Chem.*, 1974, **17**, 18.
- 13 J.B. Polya and M.A. Khan, *J. Chem. Soc. C.*, 1970, 85.
- 14 W.E. Parham and J.F. Dooley, *J. Am. Chem. Soc.*, 1967, **89**, 985.
- 15 N. Tetsuo, Takase Kahei and Suzuki Katsumi, *Bull. Chem. Soc. Japan*, 1965, **38**, 362.