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ORIGINAL ARTICLE

A simple and efficient approach to the synthesis of 2-substituted benzimidazole via sp^3 C–H functionalization

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KEYWORDS

2-Substituted benzimidazole;
Tandem reaction;
CDC (cross dehydrative coupling)

Abstract A facile and novel approach to the synthesis of 2-substituted benzimidazole was developed via a tandem reaction following sp^3 C–H functionalization. Here we report a simple, efficient and tandem oxidative dehydrative coupling reaction of *N*-benzylbezene-1,2-diamine in the presence of oxidant tert-butyl hydroperoxide (TBHP) in solvent acetonitrile to give substituted benzimidazole.

1. Introduction

Transition metal catalyzed sp^3 C–H bond activation and subsequent C–N bond formation have attracted great interest in recent years (Lyons and Sanford, 2010; Godula and Sames, 2006; Dick and Sanford, 2006; Collet et al., 2009; Li, 2008). Synthetic methodology using metal free coupling reaction (Tu et al., 2008; Fan et al., 2009; Batracharya and Daugulis, 2008; Zhang and Li, 2006; Zhang et al., 2010) provides advantages, such as higher atom economy, shorter synthetic route and more economical feedstock, which lead to "benign by design" green chemistry (Winterton, 2001; Anastas and Warner, 1998). Applications of such metal free C–H functionalization tandem reaction can be of great importance in synthesizing heterocyclic rings. 2-Substituted benzimidazoles have drawn much attention for their various biological and pharmacological activities (Tebbe et al., 1997; Porcari et al., 1998; Migawa et al., 1998; Fonseca et al., 2001; Elokdah et al., 1998).

A number of methods for the synthesis of 2-substituted benzimidazole have been reported. Among these, most classical approaches start with *o*-phenylenediamine. One such approach involves the condensation of *o*-phenylenediamine with acid chloride (Grimmet, 1984; Wright, 1951; Middleton and Wibberley, 1980; Hisane et al., 1982). The second approach involves the reaction of *o*-phenylenediamine with aldehyde in the presence of an stoichiometric or catalytic amount of oxidant (Vanden et al., 1995; Lee and Janda, 2001; Chikashita et al., 1987; Patzold et al., 1992; Bhatagar and George, 1968; Stephens et al., 1949; Beaulieu et al., 2003; Bahrami et al., 2007; Varala et al., 2007; Du and Wang,

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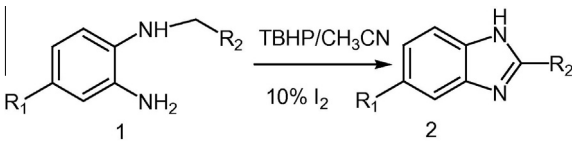


Scheme 1 Tandem oxidative cyclization of different N-substituted benzene-1,2-diamines.

2007; Mukhopadhyay and Tapaswi, 2008; Chen et al., 2008). Another approach involves the reaction of *o*-phenylenediamine with alcohol at high temperatures (Kondo et al., 1991; Wilfred and Taylor, 2004). Recently, 2-substituted benzimidazoles have been synthesized via CuI/amino acid catalyzed coupling reaction of 2-haloacetanilides with primary amines (Zhou et al., 2007) or ammonia (Diao et al., 2009). Buchwald has reported the use of a novel $Cu(OAc)_2$ catalytic system for C–H functionalization/C–N bond formation for the synthesis of benzimidazoles (Brasche and Buchwald, 2008). Although a wide range of methods are available for synthesis of benzimidazoles, a real need exists for new procedures that support many kinds of structural diversity and various substitution patterns in the target library.

Recent report on cross dehydrogenative coupling (CDC) using non-metal catalytic oxidation system (Tu et al., 2008; Fan et al., 2009; Batracharya and Daugulis, 2008; Zhang and Li, 2006; Zhang et al., 2010) has prompted us to develop a simple and efficient approach to the synthesis of benzimidazoles derivatives. Here we report a simple, efficient, tandem oxidative dehydrative coupling reaction of *N*-benzylbezene-1,2-diamine in the presence of oxidant tert-butyl hydroperoxide (TBHP) in solvent acetonitrile to give substituted benzimidazole derivatives (Scheme 1).

2. Materials and methods

2.1. Experimental

Chemicals were procured from Aldrich Chemical Co. Reactions were monitored and purity of the products was checked by thin layer chromatography (TLC) (hexane:ethyl acetate, 7:3). TLC was performed on Merck60 F-254 silica gel plates with visualization by UV-light. Melting points were determined on a Buchi Melting Point B-545 apparatus. IR spectra (KBr pellets) were recorded on a Nicolet 6700 FT-IR spectrometer. 1H NMR spectra were recorded on Bruker at either (200, 300, 400 MHz) and 50, 75, 100 MHz (^{13}C NMR), spectrometer instruments, in DMSO-d_6. Chemical shifts were recorded in parts per million downfield from tetramethylsilane. Mass spectra were recorded on MS-3200Q trap. Column chromatography was performed on silica gel (230–400 mesh) supplied by Acme Chemical Co. The chemicals and solvents used were laboratory grade and were purified as per literature methods.

2.2. General procedure for the synthesis of 2-substituted benzimidazole (**2a**–**v**)

A 25 mL round-bottomed flask was charged with acetonitrile (3 mL), N-benzylbenzene1,2-diamine (1.96 g, 10 mmol), I_2 (0.25 g, 1 mmol), TBHP (1.8 g, 20 mmol) and the reaction mixture were stirred at room temperature. After completion of the reaction, the solvent was distilled and the product was purified by column chromatography over silica gel, affording benzimidazole. Similar procedure was applicable for entry (**2a**–**v**).

2.2.1. 2-Phenyl-1H-benzimidazole (**2a**)

MP: 289–291 °C (lit. Prokopcova and Kappe (2007) 291–292 °C); pale yellow solid; ^{1}H NMR (400 MHz, DMSO-d_6): 7.20 (m, 2H), 7.40–7.62 (m, 5H), 8.20 (d, J = 8.4 Hz, 2H), 12.92 (s, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 115.03, 115.16, 139.17, 129.97, 129.06, 128.88, 126.50, 122.16; IR (KBr, cm^{-1}): 3500, 1718, 1600, 948, 740; MS m/z (% relative intensity): 194(100), 91(55.2), 63(33.1).

2.2.2. 2-(4-Methylphenyl)-1H-benzimidazole (**2b**)

MP: 285–287 °C (lit. Prokopcova and Kappe (2007) 291–292 °C); brown solid; ^{1}H NMR (200 MHz, DMSO-d_6): 2.56 (s, 3H), 7.20 (d, J = 8.0 Hz, 2H), 7.25 (d, J = 8.0 Hz, 2H), 7.29 (d, 2H), 7.29 (m, 2H), 12.9 (s,1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 21.32, 111.53, 119.06, 121.90, 122.50, 126.74, 127.81, 129.86, 135.30, 139.90, 144.18, 151.73; MS m/z (% relative intensity): 208(100), 209(15), 210(11).

2.2.3. 2-(2-Methylphenyl)-1H-benzimidazole (**2c**)

MP: 199–200 °C (lit. Speier and Parkanyi (1986) 198–200 °C); yellow solid; ^{1}H NMR (400 MHz, DMSO-d_6): 2.60 (s, 3H), 7.17–7.21 (m, 3H), 7.51 (d, J = 8.0 Hz, 1H), 7.67 (d, J = 7.5 Hz, 1H), 7.73 (d, J = 8 Hz, 1H), 7.19–7.2 (m, 2H), 12.63 (bs, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 21.32, 115.53, 119.40, 121.86, 122.82, 126.42, 129.76, 129.91, 130.55, 131.73, 134.89, 137.49, 144.19, 152.41; IR (KBr, cm^{-1}): 3544, 1724, 1612, 1442, 1407, 1273, 958, 744; MS m/z (% relative intensity): 208(100), 209(14), 210(8).

2.2.4. 2-(4-Chlorophenyl)-1H-benzimidazole (**2e**)

MP: 287–289 °C (lit. Kwong et al. (2002) 288–290 °C); Pale brown solid ; ^{1}H NMR (400 MHz, DMSO-d_6): 7.21 (bs, 2H), 7.53 (d, J = 6.8 Hz, 1H), 7.61 (d, J = 8.8 Hz, 2H), 7.66 (d, J = 6.8 Hz, 1H), 8.18 (d, J = 8.8 Hz, 2H), 12.94 (s, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 111.31, 118.88, 121.73, 122.66, 128.06, 128.96, 129.00, 134.39, 134.95, 143.69, 150.08; IR (KBr, cm^{-1}): 3548, 1722, 1600, 1450, 1550, 748; MS m/z (% relative intensity): 228(100), 230(30), 229(14.2).

2.2.5. 2-(4-Methoxyphenyl)-1H-benzimidazole (**2f**)

MP: 224–225 °C (lit. Bellina et al. (2006) 226 °C); Pale brown solid; ^{1}H NMR (400 MHz, DMSO-d_6): 3.83 (s, 3H), 7.09 (d, J = 8.0 Hz, 2H), 7.15 (d, J = 8 Hz, 2H), 8.10–8.12 (d, J = 8 Hz, 2H), 7.2–7.22 (m, 2H), 12.78 (s, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 55.12, 110.39, 114.28, 118.42, 121.35, 121.97, 122.67, 127.93, 134.92, 143.84, 151.28, 160.54; IR (KBr, cm^{-1}): 3544, 1724, 1610, 1450, 1100, 1200, 950; MS m/z (% relative intensity): 224(100), 225(15), 226(1.1).

2.2.6. 2-(2-Methoxyphenyl)-1H-benzimidazole (**2g**)

MP: 156–158 °C (lit. Speier and Parkanyi (1986) 155–158 °C); brown solid; ^{1}H NMR (200 MHz, DMSO-d_6): 3.85 (s, 3H), 7.00 (m, 2H), 7.43 (m, 3H), 8.09 (m, 3H), 11.65 (s, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 56.20, 111.09, 114.42, 118.28,

121.05, 121.47, 122.76, 127.03, 134.72, 138.22, 139.06, 153.84, 157.28, 162.54; IR (KBr, cm^{-1}): 3550, 1718, 1660, 1470, 1143, 1210, 943.

*2.2.7. 2-(4-Nitrophenyl)-1H-benzimidazole (**2h**)*

MP: 324–326 °C; brown solid; ^{1}H NMR (400 MHz, DMSO-d_6): 8.07 (d, J = 7.2 Hz, 2H), 8.31 (d,7.2 Hz, 2H), 7.17 (m, 2H), 7.18 (m, 2H), 13.4 (s, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 112.29, 119.92, 122.81, 124.02, 124.77, 127.85, 135.68, 136.50, 144.32, 148.25, 149.46; IR (KBr, cm^{-1}): 3552, 1715, 1600, 1550, 1450, 848, 740 cm^{-1}; MS m/z (% relative intensity): 239(100), 240(15).

*2.2.8. Methyl-4-(1H-benzimidazole) benzoate (**2i**)*

MP: 270–275 °C; yellow solid; ^{1}H NMR (400 MHz, DMSO-d_6): 3.82 (s, 3H), 7.33 (d, 2H), 7.65 (d, 2H), 8.11–8.21 (m, 4H), 11.65 (s, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 55.60, 166.05, 131.00, 130.50, 127.70, 135.11, 153.5, 140.00, 115.60, 123.00, 123.65, 116.60,139.40.

*2.2.9. 2-(2-Furyl)-1H-benzimidazole (**2j**)*

MP: 286–288 °C (lit. Schiffmann et al. (2006) 285–286 °C); yellow solid; ^{1}H NMR (400 MHz, DMSO-d_6): 6.71 (dd, J = 1.6 and 3.2 Hz, 1H), 7.18–7.20 (m, 3H), 7.55–7.57 (m, 2H), 7.92 (d, J = 16 Hz, 1H), 12.92 (bs, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 110.41, 112.24, 122.15, 143.61, 144.53, 145.56; IR (KBr, cm^{-1}): 3545, 1698, 1511, 1100, 950; MS m/z (% relative intensity) 184(100), 185(20).

*2.2.10. 2-(2-Thienyl)-1H-benzimidazole (**2k**)*

MP: 330–332 °C (lit. Gogoi and Konwar (2006) 330 °C); brown red solid; ^{1}H NMR (400 MHz, DMSO-d_6): 7.18–7.23 (m, 3H), 7.51–7.58 (m, 2H), 7.73 (d, J = 3.2 Hz, 1H), 7.82 (d, J = 2.6 Hz, 1H), 12.93 (s, 1H); IR (KBr, cm^{-1}): 3555, 1705, 1590, 940; MS m/z (% relative intensity): 200(100), 17(10), 156(15).

*2.2.11. 6-Choro-2-phenyl-1H-benzimidazole (**2l**)*

MP: 212–214 °C (lit. Kondo et al. (1991) and Wilfred and Taylor (2004), 213–216 °C); brown solid; ^{1}H NMR (400 MHz, DMSO-d_6): 7.24 (d, J = 8.0 Hz, 1H), 7.67–7.50 (m, 5H), 8.19 (d, J = 8.0 Hz, 2H), 13.12 (s, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 153.1 (3C), 130.6, 130.2, 129.5 (2C), 127.1 (2C), 122.8 (2C); IR (KBr, cm^{-1}): 3579, 2916, 1583, 1429, 1274, 1103, 806, 690.

*2.2.12. 6-Methoxy-2-phenyl-1H-benzimidazole (**2m**)*

MP: 147–150 °C (lit Zhang and Li (2006), 149–150 °C); brown solid; ^{1}H NMR (400 MHz, DMSO-d_6): 3.83 (s, 3H), 6.91–6.88 (dd, J = 1.2 and 8.4 Hz, 1H), 7.08 (s, 1H), 7.42–7.47 (m, 3H), 7.52 (d, J = 8.4 Hz, 1H), 8.04 (d, J = 7.2 Hz, 2H), 12(s,1H).

*2.2.13. 6-Fluro-2-phenyl-1H-benzimidazole (**2n**)*

MP: 242–243 °C; yellow solid; ^{1}H NMR (400 MHz, DMSO-d_6): 13.06 (bs, 1H), 8.18 (d, J = 7.5 Hz, 2H), 7.45–7.53 (m, 5H), 7.05 (bs, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 159.20 (d, J_{CF} = 237.5 Hz), 153.20 (d, J_{CF} = 42.5 Hz), 153.00 (2C), 130.40 (2C), 129.40 (2C), 126.90 (2C), 110.90 (3C); IR (KBr, cm^{-1}): 3543, 1587, 1408, 1254, 1144, 1103, 837, 739.

*2.2.14. E-2-Styryl-1H-benzimidazole (**2p**)*

MP: 212–214 °C; pale yellow solid; ^{1}H NMR (400 MHz, DMSO-d_6): 7.09 (d, J = 16 Hz, 1H), 7.24–7.30 (m, 2H), 7.33 (m, 2H), 7.38 (m, 2H), 7.57 (m, 2H), 7.70 (m, 1H), 7.76 (d, J = 16 Hz, 1H), 12.92 (s, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 110.28, 113.97, 119.86, 124.47, 128.16, 127.53, 128.93, 129.72, 135.17, 139.43, 142.21, 150.43, 162.77; IR (KBr, cm^{-1}): 3545, 1710, 1640, 1550, 948, 750; MS m/z (% relative intensity): 200(100), 17(10), 156(15).

*2.2.15. 5-Fluoro-2-(2-phenylethynyl)-1H-benzo[d]imidazole (**2q**)*

MP: 204–206 °C; pale brown solid; ^{1}H NMR (400 MHz, DMSO-d_6): 7.9 (dd, J = 11.4 and 8 Hz, 1H), 7.0–7.2 (m, 2H), 7.3–7.5 (m,1H), 7.5–7/7 (m, 2H), 7.75–7.8 (m, 2H), 7.15 (d, J = 16 Hz, 1H), 7.00 (d, J = 16 Hz, 1H), 12.92 (s, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 111.30, 115.20, 119.15, 128.10, 126.00, 128.80, 129.65, 135.00, 138.00, 141.50, 150.50, 162.70, 175.00.

*2.2.16. 2-(2-Phenylethynyl)-1H-benzo[d] imidazole (**2r**)*

MP: 241–245 °C; yellow solid; ^{1}H NMR (400 MHz, DMSO-d_6): 7.9 (m,1H), 7.8 (m,1H), 7.3–7.45 (m, 5H), 7.3–7.4 (m, 2H), 12.9 (s,1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 110.50, 120.00, 125.00, 129.00, 127.70, 128.50, 130.41, 135.48, 136.52, 142.10, 152.15, 164.00, 177.20.

*2.2.17. 2-(2-(4-Chlorophenyl)-1H-benzo[d]imidazole) (**2s**)*

MP: 261–266 °C; pale brown solid; ^{1}H NMR (400 MHz, DMSO-d_6): 7.4 (d, J = 8.2 Hz, 2H), 7.84 (d, J = 8.2 Hz, 2H), 7.1 (m, 1H), 6.8 (m, 1H), 7.24 (m, 1H), 7.34 (m, 1H), 12.9 (s, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 112.50, 121.11, 126.70, 130.04, 128.17, 129.50, 133.00, 135.23, 144.22, 165.50,178.00.

*2.2.18. 2-(2-p-Tolylethynyl)-1H-benzo[d]imidazole (**2t**)*

MP: 221–226 °C; brown-red solid; ^{1}H NMR (400 MHz, DMSO-d_6): 7.14 (d, J = 8 Hz, 2H), 7.26 (d, J = 8 Hz, 2H), 7.3–7.4 (m, 2H), 7.7 (m, 1H), 7.9 (m, 1H), 3.2 (s, 3H), 12.9 (s, 1H); ^{13}C NMR (100.6 MHz, DMSO-d_6): 110.10, 118.50,

Table 1 Optimization of reaction condition for the synthesis of 2-phenylbenzimidazole.

No.	Oxidant	Additives (mol.%)	Solvent	Conversion (d)
1	TBHP	I_2 (5%)	ACN	45
2	TBHP	0	ACN	0
3	TBHP	I_2 (10%)	ACN	92
4	H_2O_2	I_2 (10%)	ACN	25
5	Air	I_2 (10%)	ACN	0
6	*ter*-BuOCl	I_2 (10%)	ACN	0
7	DDQ	I_2 (10%)	ACN	20
8	DDQ	–	ACN	15
9	$PhI(OAc)_2$	I_2(10%)	ACN	40
10	$PhI(OAc)_2$	I_2(10%)	ACN	85
11	$PhI(OAc)_2$	–	ACN	85
12	TBHP	I_2 (10%)	Dioxane	0
13	TBHP	I_2 (10%)	Toluene	0
14	TBHP	I_2 (10%)	DMSO	45

Table 2 Synthesis of benzimidazole derivatives by dehydrative C–N bond formation method.

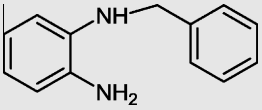
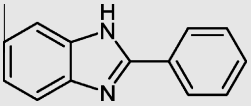
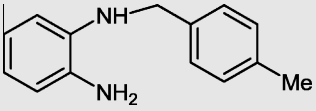
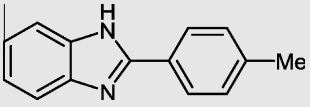
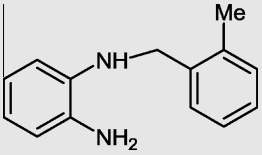
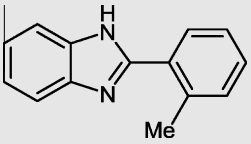
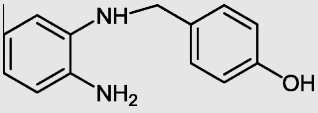
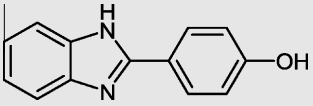
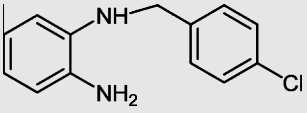
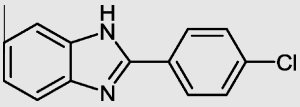
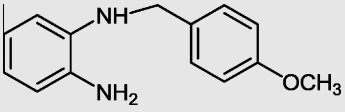
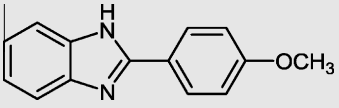
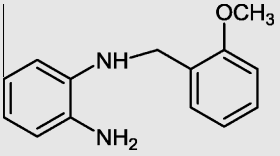
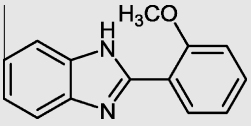
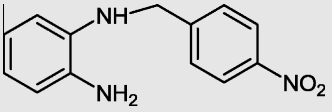
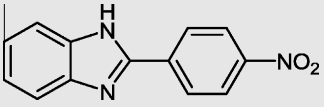
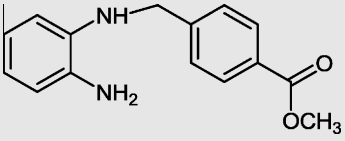
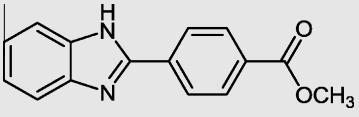
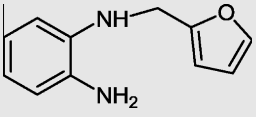
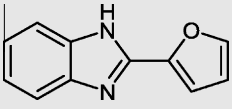
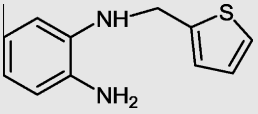
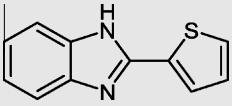
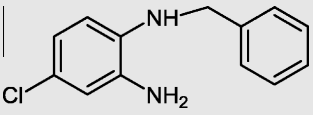
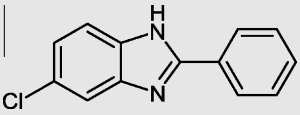
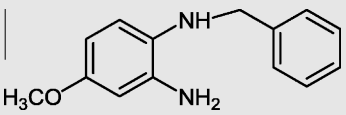
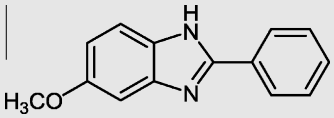
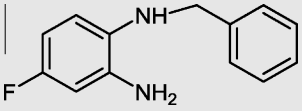
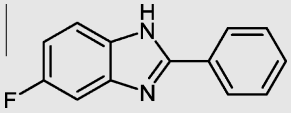
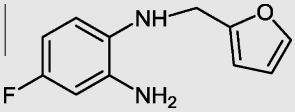
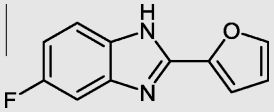
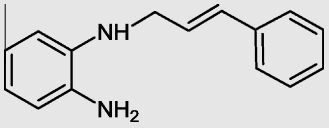
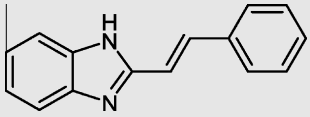
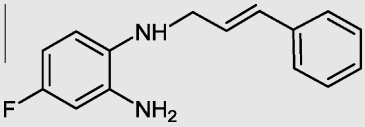
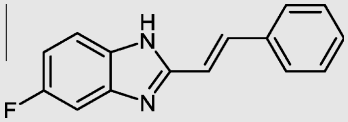
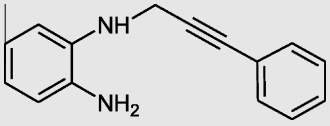
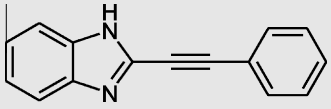
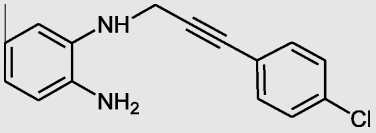
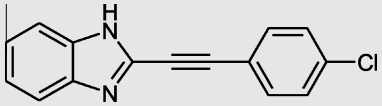
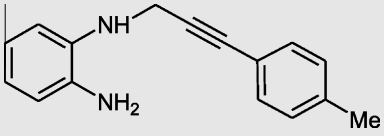
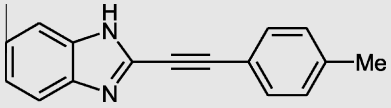
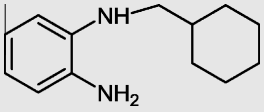
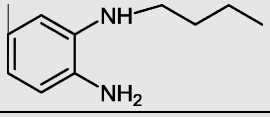
Entry no.	Substrate 1	Product 2	Time (h)	Yield (%)
2a			2	90
2b			2	86
2c			4	69
2d			2.5	75
2e			3	90
2f			3	80
2g			3.5	70
2h			2.5	72
2i			2.	88
2j			2.5	75
2k			2.5	73
2l			2	94

Table 2 (*continued*)

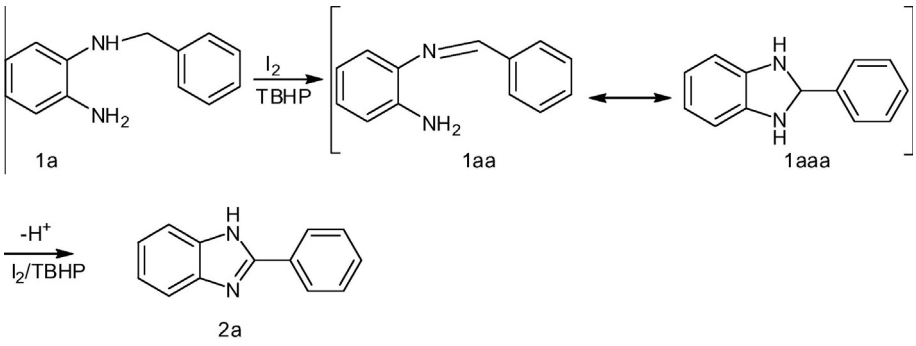
Entry no.	Substrate 1	Product 2	Time (h)	Yield (%)
2m			2	90
2n			2	87
2o			3	76
2p			4	71
2q			4	67
2r			3.5	74
2s			4	80
2t			4	65
2u		No product was formed	4	0
2v		No product was formed	4	0

122.00, 126.40, 134.45, 125.24, 124.35, 126.22, 135.60, 140.02, 148.17, 162.25, 175.25.

3. Results and discussion

To begin with the study of intramolecular CDC, we chose *N*-benzylbezene-1,2-diamine as standard substrate to search for potential oxidant and suitable reaction conditions (Table 1).

Initially when *N*-benzylbezene-1,2-diamine was treated with 5 mol.% of iodine with 2 eq. TBHP at room temperature for 12 h, 42% of the product was obtained (Table 1, entry **1**) but without iodine no product was formed (Table 1, entry **2**). After the ratios of iodine, *N*-benzylbezene-1,2-diamine and TBHP (2 eq.) were optimized, the reaction yield was improved to 92% (Table 1, entry **3**). The other environmentally benign oxidants, such as *ter*-BuOCl, aqueous H_2O_2 and oxygen were also examined but only H_2O_2 gave the product in low yield. In addition, we tried other organic oxidants, such as DDQ, $PhI(OAc)_2$. With DDQ, only a trace amount of product was obtained (Table 1, entry **7**) whereas 1 eq. $PhI(OAc)_2$



Scheme 2 Tentative reaction mechanism.

gave the product in low yield (Table 1, entry **9**). When 2 eq. $PhI(OAc)_2$ was employed in acetonitrile without additives, the product was formed in good yield. With TBHP solution in hexane as an oxidant the yield was good. To optimize the choice of solvents, various solvents like DMSO, dioxane, hexane, and toluene were tried. It was observed that acetonitrile was the best among all. However, in toluene and dioxane no product was observed, with dimethyl sulphoxide, the desired product was obtained in lower yield 45%. Using the optimized conditions, we next explored the scope and generality of the process. Various derivatives of N-substituted bezene1,2-diamine were prepared by the coupling of alkyl amines and 2-iodonitrobenzene (Prokopcova and Kappe, 2007), and followed by a nitro reduction reaction.

To establish generality of reaction different N-substituted benzene-1,2-diamine derivatives were investigated as reaction substrates and found that various substrates were converted into corresponding product with moderate to good yield under these conditions. The results are summarized in Table 2.

When methoxy group was moved from the para to the ortho position of the benzylic amine ring the yield was reduced from 86% to 69% (Table 2, entry **2c**), which indicated that steric hindrance dis favored this reaction. The electron withdrawing substituents favored the reaction as compared to the electron donating substituents. Functional group like OH was not affected during oxidation process (Table 2, entry **2d**). The reaction condition was suitable for unsaturated functional group that can also survive the reaction condition. (Table 2, entry **2p**–**t**). Several heterocyclic amines afforded the product with moderate to good yield. However, N-substituted cyclohexyl, butyl, derivatives of 1,2-benzenediamine failed to yield the desired product (Table 2, entry **2u**–**v**). This indicated that weak C–H bond should be present adjacent to amino group for this reaction.

On the basis of the experimental results and previous reports [**2h**–**j**], we propose a tentative mechanism as shown in Scheme 2. First 1aaa is formed in the presence of I_2 and TBHP, which on further oxidation afford the product **2a**.

4. Conclusion

In summary, we have developed a facile and efficient tandem reaction followed by a sp^3 C–H functionalization oxidative synthesis of 2-substituted benzimidazole from readily available starting materials. In contrast to traditional methods for the synthesis of benzimidazoles, the reaction conditions are much milder and reaction substrates were extended. Therefore, this method is an alternative to the synthesis of benzimidazole.

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