http://www.e-journals.in
DOI:10.7598/cst2014.777

Chemical Science Transactions
2014, **3(2),** 745-749

RESEARCH ARTICLE

Synthesis of Benzoimidazole Derivatives by Using Benzene Solphonamide Dibromide as Catalyst Under Aqueous Condition

REZA MORADIVALIKBONI[1,*], ZABIALAH HEIDARNEZHAD[2], HESHMATOLLAH ALINEZHAD[1], SAHAR MOHSENITAVAKOLI[1] and MAJID SHABANI MAHALLI[1]

[1]Department of Chemistry, Mazandaran University, Babolsar, Iran
[2]Department of Chemistry, Andimeshk Branch, Islamic Azad University, Andimeshk, Iran
rmoradi02@yahoo.com

Received 16 November 2013 / Accepted 29 December 2013

Abstract: A simple, efficient, green and rapid method for high yielding benzimidazoles of benzene-1,2-diamine and aldehydes diravatives has been achieved in the presence of a catalytic amount of benzene solphonamide dibromide.

Keywords: Benzoimidazoles, 1,2-Phenylenediamine, Aldehyde diravatives, Benzene solphonamide dibromide

Introduction

Benzoimidazole structures are classified under several classes of drugs[1], based on the possible substitution at different positions of the benzoimidazole nucleus. Introduction of a small substituent into the 2- and 5-position is characteristic for benzoimidazole anti-helmentics; alternatively, bulky 2-substituents characterizing drugs used in the treatment of peptic ulcer and are sometimes referred as proton pump inhibitors; bulky 1- and 2-substituents are found in H1-anti-histaminics.

All these compounds contain the benzoimidazole skeleton and hence it has been assumed that this skeleton is necessary for the therapeutic effect[2,3]. Benzoimidazole is a group of substances have found practical applications in organic synthesis[4] and a significant structural element in medicinal chemistry owing to its diverse biological activities[5,6] Benzoimidazoles are also being developed as DNA minor groove binding agents with antitumor activity[7]. These act as ligand to transition-metal for modeling biological systems[8]. The development of simple, efficient, environmentally-benign and economically viable chemical processes or methodologies for widely used organic compounds is in great demand[9] Benzoimidazole are present in various bioactive compounds possessing antiviral, antihypertension and anticancer properties[10-12] at first we synthesized benzene solphonamide dibromide (Figure 1). And then we synthesized benzoimidazoles derivatives with benzene solphonamide dibromide as a catalyst (Figure 2).

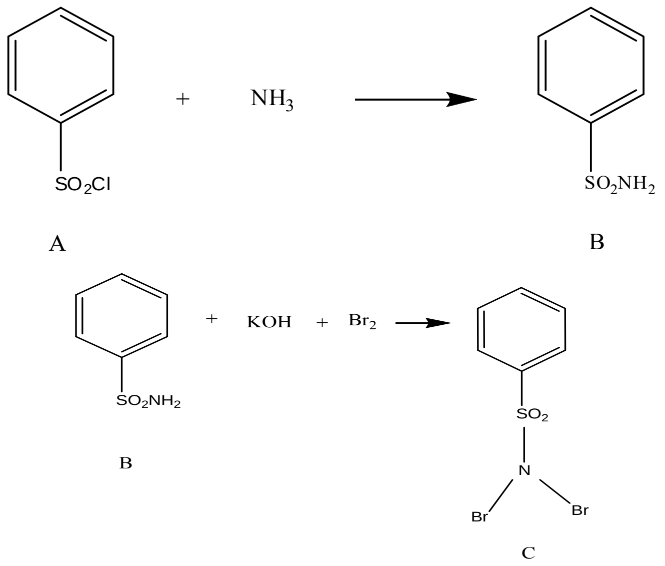


Figure 1. Synthesis of catalyst benzene solphonamide dibromide

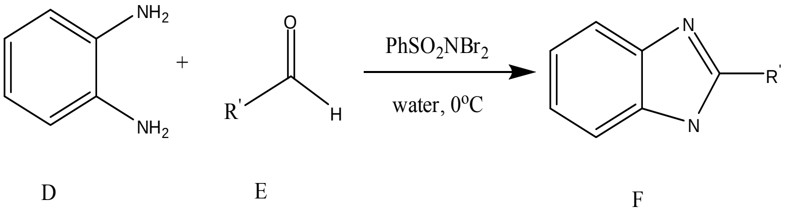


Figure 2. Synthesis benzoimidazoles derivatives with benzene solphonamide dibromide as a catalyst

Experimental

A mixture of benzene-1,2-diamine (1 mmol), benzaldehyde derivatives (1 mmol) and benzene solphonamide dibromide catalyst (0.5 mol%) was stirred magnetically at 0 °C and the progress of the reaction was monitored by thin-layer chromatography (TLC). The reaction mixture was filtered .In all the cases, the product obtained after the usual work up gave satisfactory spectral data.

2-Phenyl benzoimidazole

^{1}H NMR (400 MHz, $CDCl_3$, δ ppm): 7.70- 7.22(m, 10H, 2Ph), 5.0(s,1H,NH). ^{13}C NMR (100 MHz, $CDCl_3$, δ ppm): 115.3(CH_2), 115.3(CH_2), 123(CH_2), 123(CH_2), 127.5(CH_2), 127.5 (CH_2), 128.8(CH_2), 129.3(CH_2), 129.3(CH_2), 130.7(C), 138.9(C), 138.9(C), 152.9(C).

Results and Discussion

First, we tried synthesis benzoimidazole with benzene-1,2-diamine and benzaldehyde derivatives in various solvents and different amounts of benzene solphonamide dibromide. The reaction performed in the presence of 0.5 mol% catalyst in water at 0 °C (Table 1 and entry 4 in Table 2). As shown in Table 1, this reaction does not take a in the absence of catalyst.

Table 1. Optimization of the reaction conditions[a]

Entry	Solvent	Time, h	Yield[b], %
1	H_2O	1:10	90
2	C_2H_5OH	1:45	85
3	CH_2Cl_2	4	85

[a]Reactions were carried out with benzene-1,2-diamine, benzaldehyde diravatives to benzene solphonamide dibromide as a catalyst with equimolar ratio(1:1). [b]Yields refer to isolated pure products

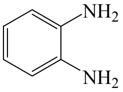
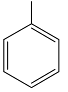
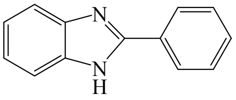
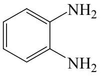
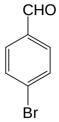
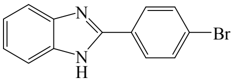
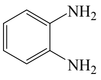
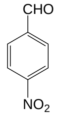
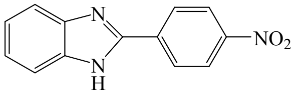
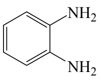
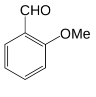
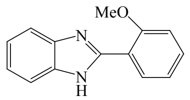
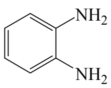
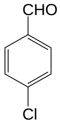
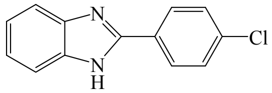
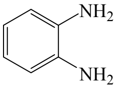
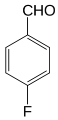
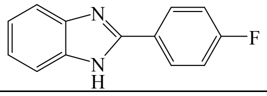
Table 2. Effect of amounts of catalyst on the synthesis of benzene solphonamide dibromide[a]

Entry	Mol % Catalyst	Time, h	Yield[b], %
1	10 mol%		40
2	5 mol%		60
3	1 mol%		80
4	0.5 mol%		100

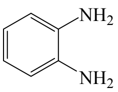
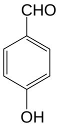
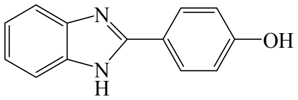
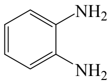
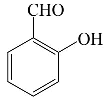
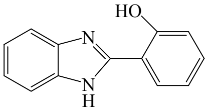
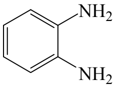
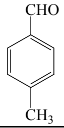
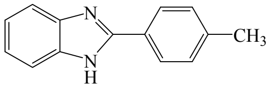
[a]Reactions were carried out with benzene-1,2-diamine, benzaldehyde to benzene solphonamide dibromide as a catalyst with equimolar ratio(1:1). [b]Yields refer to isolated pure products

To show the generality and applicability of this procedure, we treated a wide variety of benzene-1,2-diamine and benzaldehyde diravatives in the presence of a catalytic amount of benzene solphonamide dibromide at 0 °C and obtained the desirable products in good to excellent yields (Table 3).

Table 3. Benzoimidazole of benzene-1,2-diamine and benzaldehyde diravatives catalyzed by benzene solphonamide dibromide[a]

Entry	Diamine	Aldehyde	Product	Time, h	Yield[b], %
1				1:30	95
2				0.5	95
3				2:40	90
4				3:20	92
5				2:10	90
6				2	92

Contd…

7				3	90
8				3:20	90
9				1:40	95

[a]Reactions were carried out with benzene-1,2-diamine, benzaldehyde diravatives to benzene solphonamide dibromideas a catalyst equimolar ratio(1:1). [b]Yields refer to isolated pure products

On the basis of the results obtained so far, it seems that the functional groups on the aromatic ring affect the reactivity. As shown in Table 3, the reaction of benzene-1,2-diamine and benzaldehyde derivatives having an electron-donating group immediately gave the desired products in excellent yields (entries 4,7, 8 and 9) and those having an electron-withdrawing group were performed in longer reaction time in excellent yields (entries 2 and 3,5,6).

Conclusion

Water has been employed as a mild and highly efficient solvent system for the convenient preparation of benzimidazole derivatives in excellent yields from benzene-1,2-diamine and a wide variety of benzaldehyde diravatives using benzene solphonamide dibromide as catalyst. In addition low cost, recyclable solvent system and ready availability of catalyst. We describes a method in which benzene solphonamide dibromide is a highly efficient catalyst for the synthesis of benzoimidazole derivatives. The advantages include low cost, ease of catalyst handling, mild reaction conditions and reactions carried out at room temperature with excellent yields.

Acknowledgement

The authors are thankful to the Mazandran University Research Council for the partial support of this research and thankful to Dr Heshmatollah Alinezhad.

References

1. Velik J, Baliharova V, Fink-Gremmels J, Bull S, Lamka J and Skálová L, *Res Vet Sci.*, 2004, **76(2)**, 95; DOI:10.1016/j.rvsc.2003.08.005
2. Yadagiri B and Lown J W, *Synth Commun.*, 1990, **20(7),** 955-963; DOI:10.1080/00397919008052798
3. Sun Q and Yan B, *Bioorg Med Chem Lett.*, 1998, **8(4),** 361-364; DOI:10.1016/S0960-894X(98)00024-9
4. Bai Y, Lu J, Shi Z and Yang B, *Synlett,* 2001, 544-546; DOI:10.1055/s-2001-12339
5. He Y, Yang J, Wu B, Risen L and Swayze E E, *Bioorg Med Chem Lett.,* 2004, **14(5),** 1217-1220; DOI:10.1016/j.bmcl.2003.12.051
6. Sharma S, Gangal S and Rauf A, *Eur J Med Chem.,* 2009, **44(4),** 1751-1757; DOI:10.1016/j.ejmech.2008.03.026
7. Tanious F A, Hamelberg D, Bailly C, Czarny A, Boykin D W and Wilson W D, *J Am Chem Soc.,* 2004, **126(1),** 143-153; DOI:10.1021/ja030403+

8. Oren I Y, Yalcin I, Sener E A and Ucarturk N, *Eur J Med Chem.,* 2004, **39(3),** 291-298; DOI:10.1016/j.ejmech.2003.11.014
9. Meshram G A and Vishvanath D Patil, *Tetrahedron Lett*., 2009, **50(10),** 1117-1121; DOI:10.1016/j.tetlet.2008.12.085
10. Horton D A. Bourne G T and Smythe M L, *Chem Rev.,* 2003, **103(3),** 893-930; DOI:10.1021/cr020033s
11. Alamgir M, St Black C D and Top Kumar N, *Heterocycl Chem.,* 2007, **9,** 87
12. Kidwai M, Bansal V and Mothsra P, *J Mol Catal A: Chem.,* 2007, **266(1-2),** 43-46; DOI:10.1016/j.molcata.2006.10.037