

The Boric Acid System was Utilized as a Catalyst in a Simple, Rapid, One-pot Synthesis of Substituted Benzimidazole under the Right Circumstances

Yashwant Gaikwad¹, Amit Thombare², Shwedant Thakur², Gurumeet C Wadhawa¹

Assistant Professor Post Graduate Department of Chemistry, Veer Wajekar ASC College, Phunde, Uran, Raigad¹
Student Post Graduate Department of Chemistry, Veer Wajekar ASC College, Phunde, Uran, Raigad²

Abstract: *Without the use of a solvent, aryl aldehydes and o-phenylenediamine were used to efficiently synthesise benzimidazole derivatives in a single pot at ambient temperature. requiring the employment of motor and prittle grinding. the ease of the technique and work-up, larger scale synthesis, very low yields, and incredibly fast reaction times at a reasonable price.*

Keywords: Sodium Hydroxide, Iodine, Benzimidazoles, Aryl Aldehydes, and Acetonitrile.

I. INTRODUCTION

An increasing number of physiologically active substances, such as antibacterial [1, 2], antiviral [3, 4], antifungal [5, 6], antimicrobial [7, 8], anti-most cancers [7, 8], and diabetic tablets [5], contain the benzoxazole and benzimidazole moiety. Albendazole and mebendazole are anthelmintic medications with benzimidazole structural components. Contrarily, the nonsteroidal anti-inflammatory drug flunoxapofen's intermediate ring structure, benzoxazole, is a herbal compound [6–9]. Several techniques have been devised [10–11] for the high-temperature synthesis of benzimidazole and benzoxazole derivatives employing a variety of starting materials and catalysts. Unfortunately, these methods have some shortcomings, including slow response times, the usage of pricey and corrosive chemical compounds, [12–19] the employment of environmentally hazardous catalysts because herbal solvents are used, and high temperatures with little yield. The purpose of this study is to use boric acid as a catalyst in prittle and mortar in order to get around all of the aforementioned limitations. owing to our excitement for the enjoyment of amateur heterocyclic chemistry. A number of chemical processes, such as hydroxycarboxylic acid esterification [12], aza Michael [13], thia Michael [14], addition, and bromination [15–21], have been shown to benefit from the use of the water-soluble catalyst boric acid. [22–28] We describe a technique for creating benzimidazole derivatives with an incredibly high yield in a quick reaction time and with a straightforward work-up utilising a boric acid catalyst.

II. EXPERIMENTAL

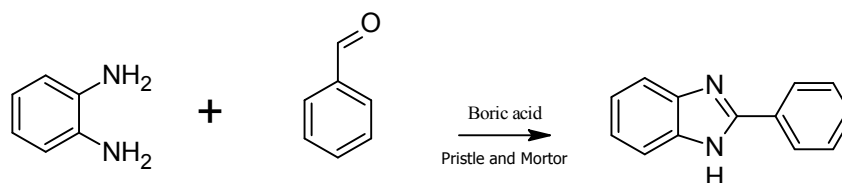
Many analytical methods, including ¹H NMR, mass spectroscopy, and IR spectroscopy, were used to determine the structures of this medication. To gather IR data, the SIMADZU-FTIR-8400 was employed. DMSO- was used as the solvent to acquire the ¹H NMR spectra using a BRUKER Advance-III (400 MHz) spectrometer. The generated substance was confirmed to be consistent with all of the analytical records.

Example

10 mili moles of o-Phenylenediamine and 10 mili moles of aromatic aldehyde are ground for long enough in a prittle and mortar with 2 mg of boric acid acting as a catalyst to create substituted Benzimidazole. TLC is used to track the reaction. After the reaction is finished, the reaction mass is diluted with cold water to extract the crude product. The crude product is then purified using column chromatography with hexane: ethyl acetate as the cellular segment.

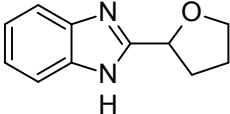


Reaction Scheme.



Observation Table

| Sr. No | Aromatic Group | Time (Min) | (%)Yield | MP (0C) |
|--------|----------------|------------|----------|---------|
| 1. | | 06 | 86 | 294 |
| 2. | | 07 | 87 | 136 |
| 3. | | 06 | 90 | 227 |
| 4. | | 06 | 90 | 224 |
| 5. | | 06 | 96 | 252 |
| 6. | | 06 | 90 | 300 |
| 7. | | 06 | 97 | 302 |
| 8. | | 08 | 60 | 265 |

| | | | | |
|----|---|----|----|-----|
| 9. |  | 09 | 86 | 283 |
|----|---|----|----|-----|

III. CONCLUSION

By condensation of o-Phenylenediamine with aryl aldehydes at room temperature, we developed a dependable, highly effective, and practical one-pot synthesis method for the production of therapeutically significant benzimidazole derivatives. It is a practical and appealing approach for creating a variety of benzimidazole derivatives due to the rapid reaction times and good yields. The machine's simplicity of operation is highly alluring because it offers a variety of packages for spontaneous synthesis.

ACKNOWLEDGMENT

All Authors are Thankful to the Principal of College Dr.P.G.Pawar and the Rayat Shikshan Sanstha for Providing the Support for Research work.

REFERENCES

- [1]. (a) N. Candeias, L. Branco, P. Gois, C. Afonso, A. Trindade, Chem. Rev. 109 (2009) 2703-2802; (b) M. Martins, C. Frizzo, D. Moreira, L. Buriol, P. Machado, Chem. Rev. 109 (2009) 4140-4182; (c) P. Walsh, H. Li, C. Parrodi, Chem. Rev. 107 (2007) 2503-2545.
- [2]. F. Bailey, J. Koleske, Polyethylene oxide; Academic: NY, New York, (1976) 173.
- [3]. E. Colacino, L. Dai'ch, J. Martinez, F. Lamaty, Synthetic letter (2007) 1279-1283.
- [4]. V. Declerck, J. Martinez, F. Lamaty, Synthetic letter (2006) 3029-3032.
- [5]. V. Declerck, P. Ribie` re, Y. Ne` dellec, H.Allouchi, J. Martinez, F. Lamaty, European Journal Organic Chemistry (2007) 201-208.
- [6]. P. Ribie` re, V. Declerck, Y. Ne` dellec, Y. Bhatnagar, J. Martinez, F. Lamaty, Tetrahedron 62 (2006) 10456-10466.
- [7]. P. Ribie` re, C. Enjalbal, J. Aubagnac, Y. Bhatnagar, J. Martinez, F. Lamaty, Journal of combinatorial chemistry 6 (2004) 464-467.
- [8]. P. Ribie` re, Y. Bhavnagar, J. Martinez, F. Lamaty, QSAR combinatorial science 23 (2004) 911-914.
- [9]. D. Evans, C. Sacks, W. Kleschick, T. Taber, Journal of American Chemical Society 101 (1979) 6789-6791.
- [10]. (a) K. Nagata, T. Itoh, H. Ishikawa, A. Ohsawa, Heterocycles 61 (2003) 93-96; (b) M. Curini, F. Epifano, F. Montanari, O. Rosati, S. Taccone, Synthetic Letter 10 (2004) 1832-1834;(c) M. Chakrabarty, S. Karmakar, M. Ajanta, S. Arima, Y. Harigaya, Heterocycles 68 (2006) 967-974;
- [11]. (a) R. Kumar, C. Selvam, G. Kaur, A. Chakraborti, Synthetic letter 09 (2005) 1401-1404; (b) J. Seijas, M. Vázquez-Tato, M. Carballido-Reboredo, J. Crecente-Campo, L. Romar-López, Synthetic Letter (2007) 313-316.
- [12]. H. Bachhav, S. Bhagat, V. Telvekar, Tetrahedron Letters 52 (2011) 5697-5701.
- [13]. G. Thirunarayanan, International Letters of Chemistry, Physics and Astronomy 5 (2014) 89-98.
- [14]. H. Aliyan, R. Fazaeli, N. Fazaeli, A. Mssah, H. Naghash, M. Alizadeh, G. Emami, Heteroatom Chemistry 20 (2009) 202-207.
- [15]. Nitin A. Mirgane, Vitthal S. Shivankar, Sandip B. Kotwal, Gurumeet C. Wadhawa, Maryappa C. Sonawale, Degradation of dyes using biologically synthesized zinc oxide nanoparticles, Materials Today: Proceedings, Volume 37, Part 2021, 849-853, ISSN 2214-7853, <https://doi.org/10.1016/j.matpr.2020.06.037>.
- [16]. Nitin A. Mirgane, Vitthal S. Shivankar, Sandip B. Kotwal, Gurumeet C. Wadhawa, Maryappa C. Sonawale, the Waste pericarp of ananas comosus in green synthesis zinc oxide nanoparticles and their application in wastewater treatment, Materials Today: Proceedings, Volume 37, Part 2, 2021,886-889, ISSN 2214-7853, <https://doi.org/10.1016/j.matpr.2020.06.045>.

- [17]. Shubhada S. Nayak, Nitin A. Mirgane, Vitthal S. Shivankar, Kisan B. Pathade, Gurumeet C. Wadhawa, Adsorption of methylene blue dye over activated charcoal from the fruit peel of plant *Hydnocarpus pentandra*, *Materials Today: Proceedings*, Volume 37, Part 2, 2021, 2302-2305, ISSN 2214-7853, <https://doi.org/10.1016/j.matpr.2020.07.728>.
- [18]. Patil, D.D.; Mhaske, K.D.; Wadhawa, C.G., Antibacterial and Antioxidant study of *Ocimum basilicum* Labiatae (sweet basil), *Journal of Advanced Pharmacy Education & Research* (2011) 2, 104-112.
- [19]. Schulz H, Baranska M. Identification and quantification of valuable plant substances by IR and Raman spectroscopy. *Vibrational Spectroscopy*. 2007; 43: 13-25. [<https://doi.org/10.1016/j.vibspec.2006.06.001>]
- [20]. Binet ML, Commereuc S, Chalchat JC, Lacoste J. Oxidation of polyterpenes: a comparison of poly α , and poly β , pinenes behaviours: Part I photo-oxidation. *Journal of Photochemistry and Photobiology A: Chemistry*. 1999; 125: 45-53. [[https://doi.org/10.1016/S1010-6030\(98\)00412-2](https://doi.org/10.1016/S1010-6030(98)00412-2)]
- [21]. Graßmann J. Terpenoids as Plant Antioxidants. *Vitamins & Hormones*. 2005; 75: 505-535. [[https://doi.org/10.1016/S0083-6729\(05\)72015-X](https://doi.org/10.1016/S0083-6729(05)72015-X)]
- [22]. Hegnauer R., *Chemotaxonomie der Pflanzen*, Birkhäuser Verlag, Basel, Stuttgart. An overview of the distribution and the systematic importance of plant substance 1973; 6:761-767.
- [23]. Shubhada S. Nayak, Nitin A. Mirgane, Vitthal S. Shivankar, Kisan B. Pathade, Gurumeet C. Wadhawa, Degradation of the industrial dye using the nanoparticles synthesized from flowers of plant *Ceropegia attenuata*, *Materials Today: Proceedings*, Volume 37, Part 2, 2021, Pages 2427-2431, ISSN 2214-7853, <https://doi.org/10.1016/j.matpr.2020.08.274>.
- [24]. G. C. Wadhawa, V. S. S. Hivankar, Y. A. Gaikwad, B. L. Ingale, B. R. Sharma, S. S. Hande, C. H. Gill and L. V. Gavali, *Eur. J. Pharm. Med. Res.*, 3, 556 (2016).
- [25]. Patil DD, Mhaske DK, Patare MA and Wadhawa GC: Antibacterial and antioxidant, anti-inflammatory study of leaves and root *Delonix regia*. *International Journal of chemical and pharmaceutical sciences* 2014; 3: 131-5
- [26]. Patil DD, Mhaske DK, Patare MA and Wadhawa GC: Antibacterial and antioxidant, anti-inflammatory study of leaves and root *Delonix regia*. *International Journal of chemical and pharmaceutical sciences* 2014; 3: 131-5
- [27]. Arun K. Valvi, Shubhada S. Nayak, Vitthal S. Shivankar, Gurumeet C. Wadhawa, Nano catalyst derived from plant *Polyzygus Tuberosus*: A suitable for efficient and green synthesis of benzimidazole derivatives, *Materials Today: Proceedings*, Volume 50, Part 5, 2022, Pages 1522-1526, ISSN 2214-7853, <https://doi.org/10.1016/j.matpr.2021.09.102>.
- [28]. Patil, D.D., Deshmukh, A.K., and Wadhava, G.C., Diuretic activity of root and bark of *Erythrina indica* lam.. *International Journal of Pharmaceutical Sciences and Research*. 2011; 2 (7), 1811-1813.