IJARSCT
ISSN (Online) 2581-9429
International Journal of Advanced Research in Science, Communication and Technology (IJARSCT)
International Open-Access, Double-Blind, Peer-Reviewed, Refereed, Multidisciplinary Online Journal
Impact Factor: 7.301
Volume 3, Issue 3, March 2023

Review of the Microwave Method for Benzimidazole Derivative Synthesis

Smita Tandale[4], Sarvesh Darne[1], Sejal Mhatre[2], Rasika Yadav[3], Gurumeet Wadhawa[5], Sanjivanee Bodade[1]
Student Department of Chemistry, Veer Wajekar ASC College, Phunde, Uran, Raigad[1,2,3]
Head & Associate Professor Post Graduate Dept .of Chemistry, Veer Wajekar ASC College, Phunde, Uran, Raigad[4]
Assistant Professors Post Graduate Department of Chemistry, Veer Wajekar ASC College, Phunde, Uran, Raigad[5]

Abstract: *The pharmacological effects and other characteristics of benzimidazole and its derivatives. They take part in numerous biological processes, such as antiviral, anticancer, anti-diabetic, and antibacterial ones. While being treated to various catalysts, orthophenyldiamine and aromatic aldehyde were condensed to produce a number of benzimidazole derivatives in a high yield. This study has looked at a variety of microwave-assisted processes that include the synthesis of benzimidazole derivatives.*

Keywords: Benzimidazole, Microwave.

I. INTRODUCTION

One or more cyclic rings and one or more heteroatoms, such as N, O, or S, are characteristics of heterocyclic compounds. in the pitch. Purine, histamine, proline, and pyrimidine are examples of nitrogen-containing heterocyclic proteins that are frequently found in both nature and pharmaceuticals. Even so, the bases in genetic material like DNA and RNA are more important and have a greater impact on life, such as how all living cells use energy. It also performs many other natural products, including those of an enzyme and a coenzyme. The bulk of physiologically active substances, including hormones, acids, enzymes, and neurotransmitters, may include one, two, or many heterocyclic rings.

The most common hetocyclic compound among them is benzimidazole. There are many pharmacologically active heterocyclic compounds that combine benzimidazole and are frequently applied in therapeutic situations. Among other industries, pharmaceuticals, pesticides, agrochemicals, plastics, medicines, and colours all use a variety of synthetic and naturally occurring heterocyclic compounds. There is a tremendous opportunity to create novel heterocyclic compounds with high biological activity. Among these important heterocyclic, benzimidazole occupies a key position in medicinal chemistry. The first Benzimidazole derivatives were identified as potential chemotherapeutics in 1950. 5, 6-dimethyl-1-D-ribofuranosyl Benzimidazole.

The most common benzimidazole-containing compound is methyl benzimidazole, which is a component of vitamin B-12 and other pharmaceuticals. Benzimidazole compounds have a wide range of biological activity, from commonly utilised human applications to carcinogenic qualities [1]. It is generally known that [2-3] has anthelmintic properties. Further pharmacological actions of benzimidazole derivatives include anti-ulsaral [4-6], cardio tonic [7], antihypertensive against depression [8], antibacterial and antiviral against virus and bacteria [9], anticancer [10], antimutagent[11], and antiallergenic [12]. Moreover, it possesses anti-inflammatory, antipyretic, and analgesic properties [13]. Moreover, it has anticalmodulin [15], anti-aggregate [16], and hypoglycemic [14] qualities.

II.IMPORTANCE OF BENZIMIDAZOLE RING SYSTEM

Benzimidazole and its derivatives are used in a variety of pharmacological and veterinary products that have therapeutic properties. The following is a list of some of the most significant Benzimidazole derivatives.

IJARSCT
ISSN (Online) 2581-9429
International Journal of Advanced Research in Science, Communication and Technology (IJARSCT)
International Open-Access, Double-Blind, Peer-Reviewed, Refereed, Multidisciplinary Online Journal
Impact Factor: 7.301
Volume 3, Issue 3, March 2023

Table 1

Sr.No.	Trade Name	Activity
1.	Mebendazole	Anthelmintic
2	Thiabendazole	Anthelmintic
3	Cambendazole	Anthelmintic
4	Albendazole	Anthelmintic
5	Albendazole	Anthelmintic
6.	Flubenzadzole	Anthelmintic
7	Omeprazole	Anti-ulcer drugs
8	Lansaprazole	Anti-ulcer drugs
9	Rabeprazole	Anti-ulcer drugs
10	Pantoprazole	Anti-ulcer drugs
11	Esomeprazole	Anti-ulcer drugs
12	Triethoxy-pyridyl Benzimidazole derivative	Anti-ulcer drugs
13	Thiophene derivatives of Benzimidazole	Anti-ulcer drugs
14	Droperidol	Anti-psychotic agents
15	Quinoline Benzimidazole Ana log	Anti-psychotic agents
16	Imidazole derivative with Benzimidazole	Anti-psychotic agents
17	Oxazole derivative with Benzimidazole	Antimicrobial activity
18	Oxazole derivative with Benzimidazole and thiolinkage	Antimicrobial activity
19	Bibenzoimidazole derivatives	Antagonist
20	Benzimidazole and coumarine derivative	Antiseptic virus c activity
21	Spiro compound of Benzimidazole	NPY N5 Receptor Antagonist
22	4-Carboxylic acid Benzimidazole	Selective 5 HT 4 Antagonist
23	Phenyl cylcohexyl derivative of Benzimidazole	Amp Activated protein kinase activator
24	Amide derivative of Benzimidazole	Anticancer activity
25	Substituted Benzimidazole	Anticancer activity
26	Alkyl substituted Benzimidazole	Antiamoebic activity

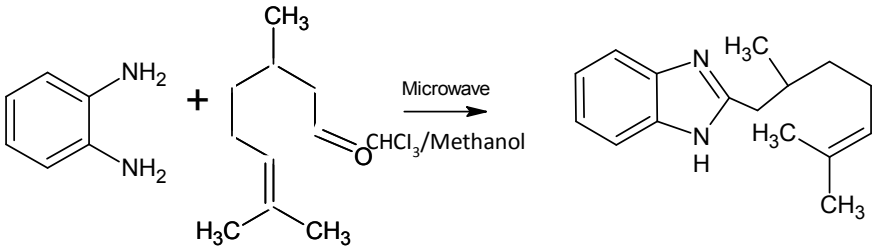
IJARSCT
ISSN (Online) 2581-9429
International Journal of Advanced Research in Science, Communication and Technology (IJARSCT)
International Open-Access, Double-Blind, Peer-Reviewed, Refereed, Multidisciplinary Online Journal
Impact Factor: 7.301
Volume 3, Issue 3, March 2023

27	Benzyl substituted carboxyl Benzimidazole	Antilukamic activity
28	Phenyl amine derivative of Benzimidazole	Antidiabetic activity
29	Amide derivative of Benzimidazole	Cyticidal activity
30	Thioether derivatives of Benzimidazole	Nematicide and taenicid
31	Oxfendazole	Roundworms and tapeworms
32	Ricobendazole	Anthelmintic
33	Triclabendazole	anthelmintic

In addition to the very high efficiency of the benzimidazole, many of the procedures had to be improved because of the extremely high reaction temperature, extremely long reaction durations, highly poisonous solvent, and expensive catalyst, among other factors. [17] Hence, the development of simple, reasonable, efficient, and eco-friendly procedures for the synthesis of benzimidazoles remains a high research priority. From the first reports of microwave usage in synthetic chemistry in 1986, microwave-assisted processes are now common. Synthesis has been more commonplace recently, notably over the past two decades, thanks to the frequently short reaction times, high purity, and high yields of the resultant chemicals with high purity. There have been several documented techniques for microwave-assisted benzimidazole synthesis up to this time.

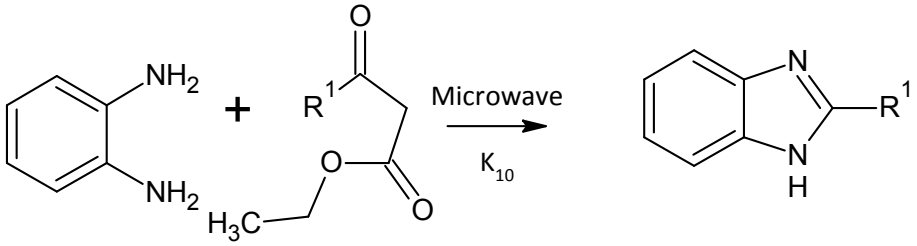
1] DwiSapri Ramadhan et al

Citronellal simple, a raw material isolated from Citrus hystrix DC. (kaffir lime) leaves in water, is microwave-irradiated to create Benzimidazole derivative.



2] BougrinKandetal

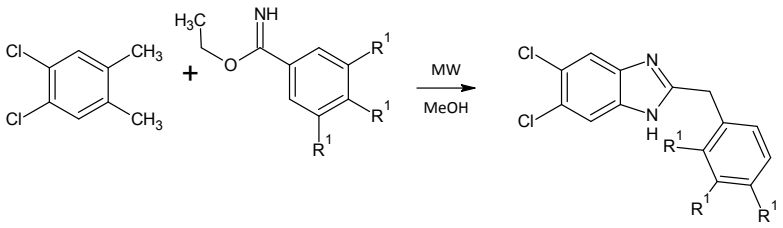
According to the microwave-assisted benzimidazole synthesis method described by Bougrin and They, 1,2-diaminobenzene or 4-substituted-1,2-diaminobenzene and ethyl acetoacetate or ethyl benzoyl acetate on solid mineral supports or other support in dry media under microwave irradiation in domestic ovens leads to the formation of the Benzimidazole derivatives. [19]



IJARSCT
ISSN (Online) 2581-9429
International Journal of Advanced Research in Science, Communication and Technology (IJARSCT)
International Open-Access, Double-Blind, Peer-Reviewed, Refereed, Multidisciplinary Online Journal
Impact Factor: 7.301
Volume 3, Issue 3, March 2023

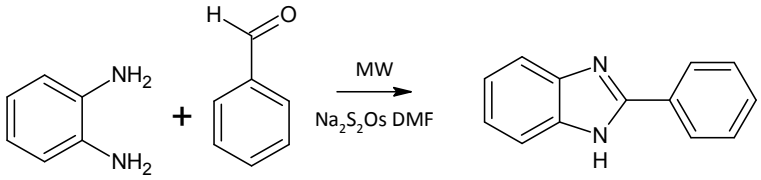
3] Emre Mentese and etal

For the synthesis of benzimidazole, a simple process was developed. Iminoester hydrochlorides of phenylacetic react quickly and effectively with 4,5-dichloro-1,2-phenylenediamine or their derivatives to yield benzimidazole derivatives in good quantities. [20]



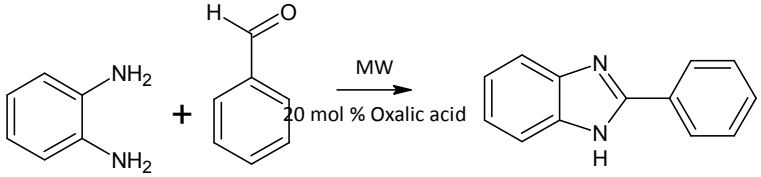
4] D. Secci and etal

With very small modifications, carriers using microwave irradiation and conventional heating techniques produced 1, 2-diaryl-benzimidazole and 2-aryl-1H-benzimidazole derivatives. In general, the earlier method led to higher yields and faster reaction times. Reaction time is really brief. [21]



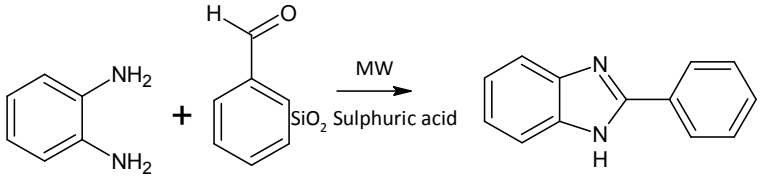
5] Jyoti Pandey etal

Oxalic acid catalysed by aldehyde and 1, 2 Phenylenediamine are used as catalysts in this simple one-pot synthesis of benzimidazole. Benefit technique: faster reaction times, higher yields of the targeted goods, and simpler product insolubility were all attained. [22]



6] B. Guruswamy et al

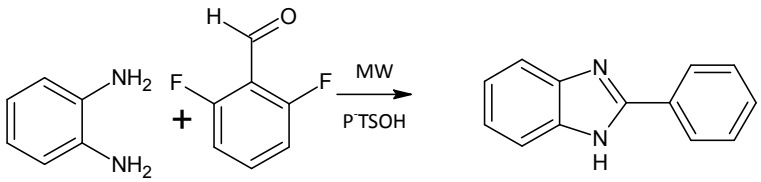
Ortho phenylene diamine and nicotinic acid were then placed into a microwave-safe vial after being put upon H2SO4-SiO2. The vial was sealed and then placed in the microwave. The product was obtained through extraction and purification using column chromatography after 5 minutes of operation at 80 °C. [23]



7] Angela Rao, etal

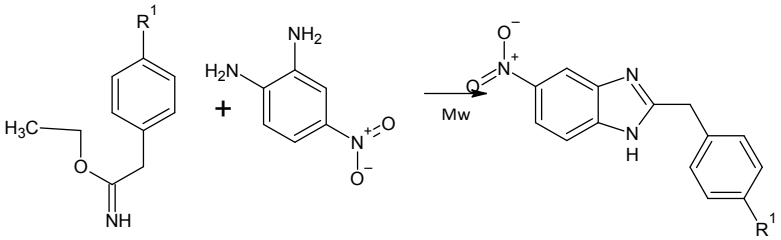
The microwave-assisted synthesis of 1H, 3H-thiazolo[3,4-a] leads to shorter reaction times, higher yields, and cleaner reactions. Benzimidazole, 2,3-diaryl-1,3-thiazolidin-4-ones, and 2-aryl-1-benzylbenzimidazoles. [24]

IJARSCT
ISSN (Online) 2581-9429
International Journal of Advanced Research in Science, Communication and Technology (IJARSCT)
International Open-Access, Double-Blind, Peer-Reviewed, Refereed, Multidisciplinary Online Journal
Impact Factor: 7.301
Volume 3, Issue 3, March 2023



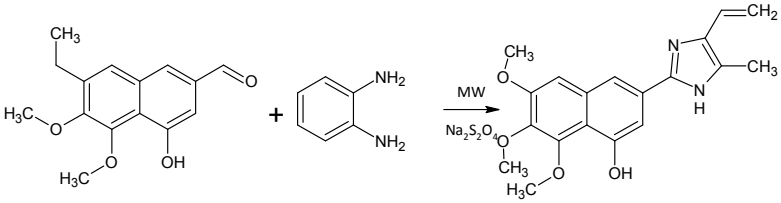
8] FatihYılmazetal

Different Benzimidazole derivatives with a 5-nitro substitution and a 6-nitro substitution were created by microwave irradiating iminoester hydrochloride and 4-nitro-o-phenylenediamine as a starting point. In a relatively short amount of time, it produces a very good yield. [25]



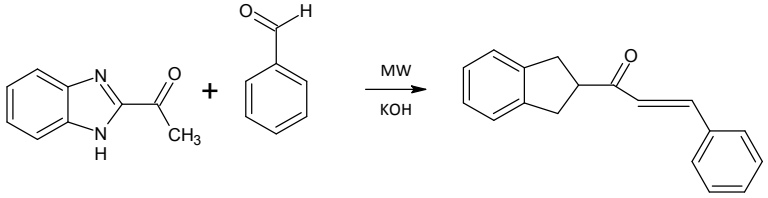
9] Hue Thi Buu Bui etal

Synthesis of new 2-quinolizinylBenzimidazole and 2-naphthalylBenzimidazole derivatives with various 5- and 6-positioned substituents has been carried out in moderate to excellent yields by condensation of 4-oxo-4H-quinolizinecarbaldehyde or naphthalene carbaldehyde with substituted o-Phenylenediamine, reaction occurring at lower temperature than conventional method and reaction occurring at very short reaction time. [26]



10] Janardan Singh Yadav etal

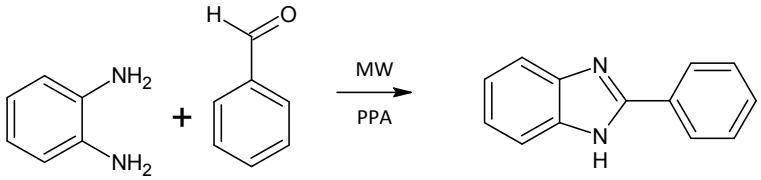
When 2acetyl Benzimidazoles were coupled with substituted aldehydes in methanol in the presence of a base, the corresponding benzimidazolyl chalcones or unsaturated compounds were formed. To create under MWI conditions, these compounds were subsequently reacted with ethylenediamine or phenyldiamine. Rapid and high yield response is experienced. [27-30]



11] D.D. Rishiapathak, etal

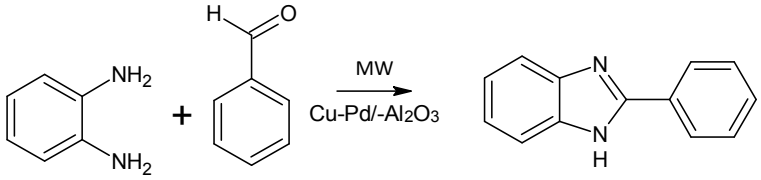
Making the 2-alkyl and 2-aryl substituted Benzimidazole derivatives involves reacting o-Phenylenediamine with various carboxylic acids while using polyphosphoric acid as a catalyst. There was a really quick response, and the yield was good. [30-34]

IJARSCT
ISSN (Online) 2581-9429
International Journal of Advanced Research in Science, Communication and Technology (IJARSCT)
International Open-Access, Double-Blind, Peer-Reviewed, Refereed, Multidisciplinary Online Journal
Impact Factor: 7.301
Volume 3, Issue 3, March 2023



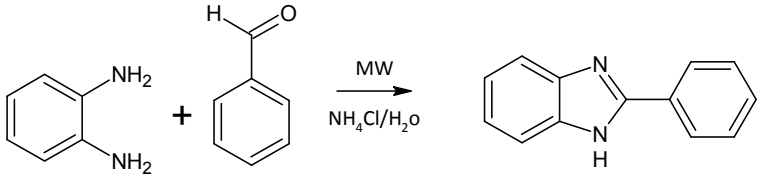
12] Feng, F. etal

The process of making benzimidazole from 2-nitroaniline and ethanol using Cu-Pd/Al2O3 catalysts is straightforward, requires readily available starting ingredients, and is very efficient. The Cu-Pd/Al2O3 catalyst might be extensively modified by Mg to increase its catalytic activity. Microwave reaction is carried out at 100 w and produces a higher yield with good purity. [35-38]



13] Madhura Vijay Newrekar

Using the microwave approach, derivatives of 2aryl Benzimidazole were created by condensing ophenylene diamine with a number of substituted aromatic carboxylic acids and aromatic aldehydes with good yield.[38]



III. CONCLUSION

The properties of benzoimidazole and its derivatives have been extensively studied. Modern drug discovery relies heavily on the benzimidazole ring, a pharmacophore also presents in naturally occurring vitamin B12. Heat, sonication, or microwave energy are used to create the majority of benzimidazole derivatives. The concept of chemical reactions is growing in acceptance nowadays. The majority of these processes include condensation of 1,2diaminobenzenes with aldehydes while being in the presence of an oxidising agent, as well as reactions between 1,2diaminobenzenes and carboxylic acids or their derivatives. This approach uses microwave reactions, which need to have a very short lifespan, a high yield, and purity. Researchers are still interested in these ecofriendly ways to make benzoimidazoles. Reviewing the literature on the microwaveaided synthesis of benzimidazole derivatives is the goal of this study.

ACKNOWLEDGMENT

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

REFERENCES

[1]. Li, J. J., Ed. Heterocyclic Chemistry in Drug Discovery; John Wiley &Sons: Hoboken, 2013
[2]. Klingen smith, M. J.; Norman, A. G. Science 1960, 131, 354 -355.doi:10.1126/science.131.3397.354
[3]. Denny, W. A.; Rewcastle, G. W.; Baguley, B. C. J. Med. Chem. 1990, 33, 814–819. Doi: 10.1021/jm00164a054
[4]. Tebbe, M. J.; Spitzer, W. A.; Victor, F.; Miller, S. C.; Bioorganic & Medicinal Chemistry Letters Volume 9, Issue 17, 6 September 1999, Pages 2525-2530

IJARSCT
ISSN (Online) 2581-9429
International Journal of Advanced Research in Science, Communication and Technology (IJARSCT)
International Open-Access, Double-Blind, Peer-Reviewed, Refereed, Multidisciplinary Online Journal
Impact Factor: 7.301
Volume 3, Issue 3, March 2023

[5]. Porcari, A. R.; Devivar, R. V.; Kucera, L. S.; Drach, J. C.; Townsend, L. B. J. Med. Chem. 1998, 41, 1252–1262. doi:10.1021/jm970559i

[6]. White, A. W.; Almassy, R.; Calvert, A. H.; Curtin, N. J.; Griffin, R. J.; Hostomsky, Z.; Maegley, K.; Newell, D. R.; Srinivasan, S.; Golding, B. T. J. Med. Chem. 2000, 43, 4084–4097. Doi: 10.1021/jm000950v

[7]. Boiani, M.; Gonzalez, M. Mini-Rev. Med. Chem. 2005, 5, 409–424. Doi:10.2174/1389557053544047

[8]. Azam, M. A.; Suresh, B. Sci. Pharm. 2012, 80, 789–823. doi:10.3797/scipharm.1204-27

[9]. Herrera Cano, N.; Ballari, M. S.; López, A. G.; Santiago, A. N. J. Agric. Food Chem. 2015, 63, 3681–3686. doi: 10.1021/acs.jafc.5b00150

[10]. Davey, D.; Erhadt, P. W.; Lumma, W. C., Jr.; Wiggins, J.; Sullivan, M.; Pang, D.; Cantor, E. J. Med. Chem. 1987, 30, 1337–1342. doi:10.1021/jm00391a012

[11]. Tomczuk, B. E.; Taylor, C. R., Jr.; Moses, L. M.; Sutherland, D. B.; Lo, Y. S.; Johnson, D. N.; Kinnier, W. B.; Kilpatrick, B. F. J. Med. Chem. 1991, 34, 2993–3006. doi: 10.1021/jm00114a007

[12]. Spasov, A. A.; Yozhitsa, I. N.; Bugaeva, L. I.; Anisimova, V. A. Pharm. Chem. J. 1999, 33, 232–243. Doi: 10.1007/BF02510042

[13]. Mariappan, G.; Hazarika, R.; Alam, F.; Karki, R.; Patangia, U.; Nath, S. Arabian J. Chem. 2015, 8, 715–719. doi: 10.1016/j.arabjc.2011.11.008

[14]. Salahuddin; Shaharyar, M.; Mazumder, A.; Ahsan, M. J. Arabian J. Chem. 2014, 7, 418–424. doi:10.1016/j.arabjc.2013.02.001

[15]. Ghosh, P.; Mandal, A. Tetrahedron Lett. 2012, 53, 6483–6488. doi:10.1016/j.tetlet.2012.09.045

[16]. Kumar, D.; Kommi, D. N.; Chebolu, R.; Garg, S. K.; Kumar, R.; Chakraborti, A. K. RSC Adv. 2013, 3, 91–98. doi:10.1039/C2RA21994H

[17]. Rathod SB, Lande MK, Arbad BR. Bulletin Korean Chemical Society. 2010; 31: 2835-2840. DOI: 10.5012/bkcs.2010.31.10.2835.

[18]. DwiSapri Ramadhan et al 2018 IOP Conf. Ser.: Mater. Sci. Eng. 299 012076 DOI: 10.1088/1757-899X/299/1/012076

[19]. Bougrin K, Soufiaoui M. Tetrahedron Letters. 1995; 36: 3683-3686. DOI: 10.1016/0040-4039(95)00611-F

[20]. Emre MenteseJ Enzyme Inhib Med Chem, 2014; 29(1): 64–68 DOI: 10.3109/14756366.2012.753880

[21]. D. Secci, A. Bolasco, M. D'Ascenzio, F. della Sala, M. Yáñez, and S. Carradori J. Heterocyclic Chem., 49, 1187 (2012) https://doi.org/10.1002/jhet.1058

[22]. Jyoti Pandey Tripathi, Virendra Kumar Kasana Volume 6 Issue III, March 2018 64-68 DOI: 10.22214/ijraset.2018.3015

[23]. B. Guruswamy et al Der Pharma Chemica, 2011, 3 (6):483-486

[24]. Angela Rao, Alba ChimirriARKIVOC 2004 (v) 147-155 ISSN 1424- http://dx.doi.org/10.3998/ark.5550190.0005.514

[25]. FatihYılmaz*, NesrinKaraaliBull. Chem. Soc. Ethiop. 2017, 31(2), 351-359 http://dx.doi.org/10.4314/bcse.v31i2.17

[26]. Hue Thi Buu Bui Quy Thi Kim HaTetrahedron Letters 57 (2016) 887–891 http://dx.doi.org/10.1016/j.tetlet.2016.01.042

[27]. Janardan Singh Yadav and Y.K. SrivastavaVol.3, No.4 (2010), 726-730

[28]. D.D. Rishipathak, S.C. PAL,Vol. 19, No. 4 (2007) 3243

[29]. Feng, F.; Deng, Y.; Cheng, Z.; Xu, X.; Zhang, Q.; Lu, C.; Ma, L.; Li, X. Cu-Pd/γ-Al2O3. Catalysts 2019, 9, 8 https://doi.org/10.3390/catal9010008

[30]. 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 hydnocarpuspentandra, Materials Today: Proceedings, Volume 37, Part 2, 2021, 2302-2305, ISSN 2214-7853, https://doi.org/10.1016/j.matpr.2020.07.728.

[31]. Patil, D.D.; Mhaske, K.D.; Wadhawa, C.G., Antibacterial and Antioxidant study of Ocimumbasilicum Labiatae (sweet basil), Journal of Advanced Pharmacy Education & Research (2011) 2, 104-112.

IJARSCT
ISSN (Online) 2581-9429
International Journal of Advanced Research in Science, Communication and Technology (IJARSCT)
International Open-Access, Double-Blind, Peer-Reviewed, Refereed, Multidisciplinary Online Journal
Impact Factor: 7.301
Volume 3, Issue 3, March 2023

[32]. Dinanath PD, Gurumeet WC, 2013. Antibacterial, antioxidant and antiinflammatory studies of leaves and roots of Solanum xanthocarpum. Unique J Ayurvedic Herb Med (2013) ;(3):59-63.

[33]. Dynashwar K. Mhaske, Dinanth D. Patil, Gurumeet C. wadhawa. Antimicrobial activity of methanolic extract from rhizome and roots of Valerianawallichii. International Journal on Pharmaceutical and Biomedical Research, 2011; 2(4):107- 111

[34]. Patil DD, Mhaske DK, Gurumeet MP, Wadhawa C. Antibacterial and antioxidant, anti-inflammatory study of leaves and bark of Cassia fistula. Int J Pharm 2012; 2(1):401-405.

[35]. G. C. Wadhawa, M. A. Patare, D. D. Patil and D. K. Mhaske, Antibacterial, antioxidant and anti-inflammatory studies of leaves and roots of Anthocephalus kadamba. Universal Journal of Pharmacy, 2013.

[36]. 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 Ceropegiaattenuata, Materials Today: Proceedings, Volume 37, Part 2, 2021, Pages 2427-2431, ISSN 2214-7853, https://doi.org/10.1016/j.matpr.2020.08.274.

[37]. 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).

[38]. 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