



Graphical abstract

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| Paper-1 | Heterocyclic Letters 12: iss.-3 (2022), 499-506 |
| One-pot three-component synthesis of some new n-substituted acridine-1,8-dione derivatives | |
| Saliha Brahimi^{1,3,4}, Amar Djemoui^{2,3*}, Mokhtar Boualem Lahrech^{2,3*}, Mohamed Yousfi^{1,4}, Abdelkader Brahimi^{1,3,4} and Boumadiene Benlahrech³. | |
| ¹ Department of Chemistry, Faculty of Sciences, Amar Têlidji, University of Laghouat, Algeria. | |
| ² Department of Chemistry, Faculty of Exact Sciences and Informatics, ZIANE Achour, University. Djelfa, Algeria. | |
| ³ Laboratory of Organic Chemistry and Natural Substance, Faculty of Exact Sciences and informatics, University of Ziane Achour, Djelfa, Algeria. | |
| ⁴ Laboratory of Fundamental Sciences, University of Amar Telidji, Laghouat, Algeria | |
| *Corresponding Author. E-mail: lahrechmokhtarboualem@yahoo.fr | |
| *Corresponding Author. E-mail: djamarchimie@yahoo.fr | |
| In this work, a series of new N-substituted acridine-1,8-diones derivatives 4a-h has been successfully synthesized by one-pot three compounds reaction of 1,3-cyclohexadione, with hydrazones as the nitrogen source and aromatic aldehyde derivatives with the use of triethylamine (TEA) as an efficient catalyst. All these new compounds synthesized were characterized by FT-IR, ¹ H-NMR and ¹³ C-NMR. | |
| <p> 4a: R₁=Cl, R=H 4b: R₁=Cl, R=Br 4c: R₁=Cl, R=OMe 4d: R₁=Cl, R=Cl 4e: R₁=Cl, R=OH 4f: R₁=H, R=Cl 4g: R₁=H, R=H 4h: R₁=H, R=Br </p> | |

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| Paper-2 | Heterocyclic Letters 12: iss.-3 (2022), 507-514 |
| Synthesis of 3-chloro-8-(2-(1,3-dioxisoindolin-2-yloxy)ethyl)-1-phenyl-5-thia-1,8-diazaspiro[3.4]octane-2,7-diones and their pharmacological screening | |
| Bhawana Kherodiya^a, Prakash Prajapat^{a, b, c*} and Ganpat L. Talesara^a | |
| ^a Department of Chemistry, MLS University, Udaipur-313001, Rajasthan, India | |
| ^b Shree KV Parekh College, MK Bhavnagar University, Mahuva-364290, Gujarat, India | |
| ^c Department of Chemistry, Ganpat University, Mehsana-384012, Gujarat, India | |
| *Email: prajapatprakash321@gmail.com , jainbhawana1989@gmail.com | |
| <p> R = H, OH, OCH₃ Anti-microbial activity </p> | |



Tea powder waste: as a green catalyst for the synthesis of 1-amidoalkyl 2-naphthols

B.G. Gadhave¹, V. A. Kadnor², G. D. Shirole³, B. K. Uphade^{1*}

¹Department of Chemistry and Research Center, Padmashri Vikhe Patil College of Arts, Science and Commerce, Pravaranagar, Pincode-413713.

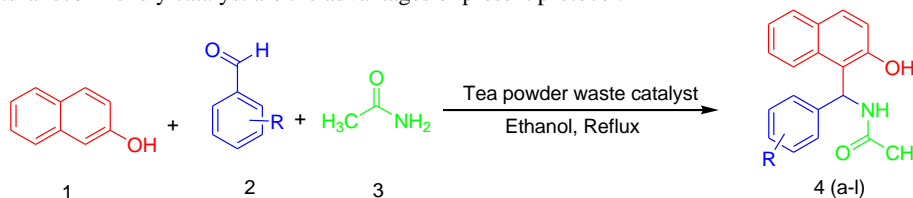
(Affiliated to Savitribai Phule Pune University, Pune)

²Department of Chemistry, Arts, Commerce and Science College, Satral, Pincode-413711.

³Department of Chemistry, Arts, Science and Commerce College, Rahata, Pincode-423107.

E-mail author: bhagwatuphade@gmail.com

Tea powder waste is used as an efficient natural green catalyst for the one pot three component synthesis of amidoalkyl naphthol using aromatic aldehyde, 2-naphthol and acetamide at reflux condition. The catalyst could be recovered and reused at least five times without appreciable decreasing the catalytic activity. The nontoxic solvent, excellent yield, short reaction time, green synthesis and natural eco-friendly catalyst are the advantages of present protocol.



Scheme 1: Synthesis of 1-amidoalkyl 2-naphthols.

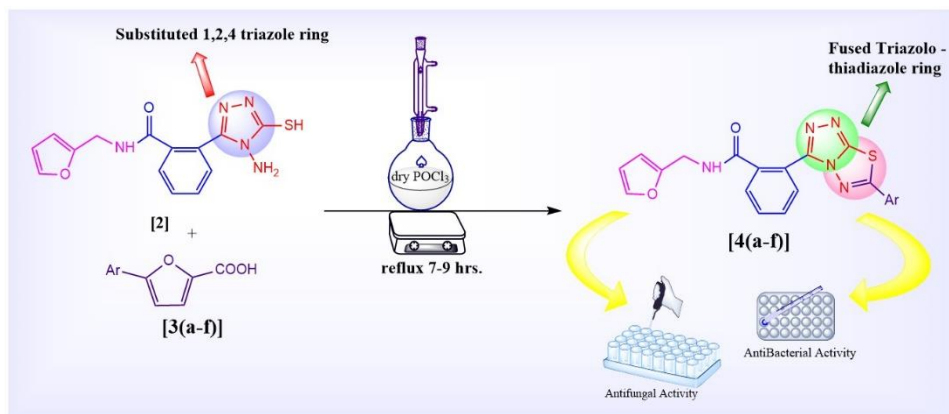
Novel Triazolo – Thiadiazole Fused Heterocyclic Compounds and Their Evaluation of Antimicrobial Activities

Devdatt Patel* and M. K. Thakor

Department of Chemistry, Municipal Arts and Urban Bank Science College, Mehsana – 384002, Gujarat, India

*Corresponding author Email: devdattpatel90@gmail.com

2{(Furan-2-yl-methyl)carbonyl}benzoic acid, 1 (Trivial name Phthalamic acid) on condensation with hydrazine, CS₂, Ethanoic KOH afford 4-amino [2-(furan-2-ylmethylaminocarbonyl)phenyl]-4H-1,2,4-triazole-3-thiol, 2. 2 on further condensation with 5-substituted furoic acid **3a-f** yield 3-[2-(furan-2-yl methylamino carbonyl)]-6-(5-substituted fura-2-yl)-[1,2,4]-triazol-[3,4-b][1,3,4]-thiadiazole **4a-f**. All the derivatives were characterized by spectral studies. The antimicrobial activities of all compounds have also been monitored.





Synthesis of new 1,2,3-triazole-linked quinazolinone derivatives per click catalyzed by copper

Abdelkader Brahimi ^{1,3,4}, Amar Djemoui ^{2,3*}, Mokhtar Boualem Lahrech ^{2,3*}, Mohamed Youfii ^{1,4}, Saliha Brahimi ^{1,3,4} and Boumadiene Benlahrech ³.

¹ Department of Chemistry, Faculty of Sciences, Amar Têlidji, University of Laghouat, Algeria.

² Department of Chemistry, Faculty of Exact Sciences and Informatics, ZIANE Achour, University. Djelfa, Algeria.

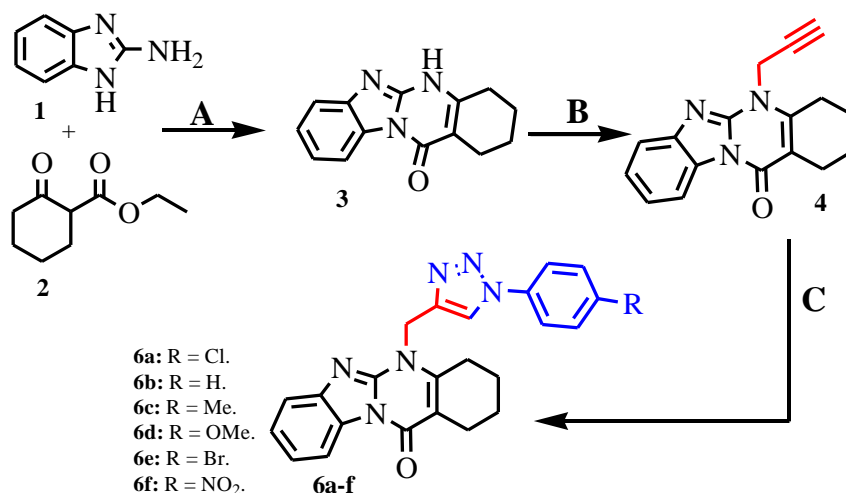
³ Laboratory of Organic Chemistry and Natural Substance, Faculty of Exact Sciences and informatics, University of Ziane Achour, Djelfa, Algeria.

⁴ Laboratory of Fundamental Sciences, University of Amar Telidji, Laghouat, Algeria

*Corresponding Author. E-mail: lahrechmokhtarboualem@yahoo.fr

*Corresponding Author. E-mail: djamarchimie@yahoo.fr

In this work, a series of new 1,2,3-triazole-linked quinazolinone derivatives **6a-f** obtained regioselectively in good yields, were synthesized in the multistep process. In the first step, 2-aminobenzimidazole **1** reacted with ethyl 2-oxocyclohexanecarboxylate **2** to form **3** which then propargylated with propargyl bromide to form **4**. Finally, **4** was subjected to Click chemistry with various azides **5a-f** in the presence of a CuSO₄·5H₂O + sodium ascorbate mixture in dimethylformamide at room temperature to obtain **2 + 3** cycloaddition products **6a-f**. All these new compounds synthesized were characterized by FT-IR, ¹H-NMR and ¹³C-NMR.



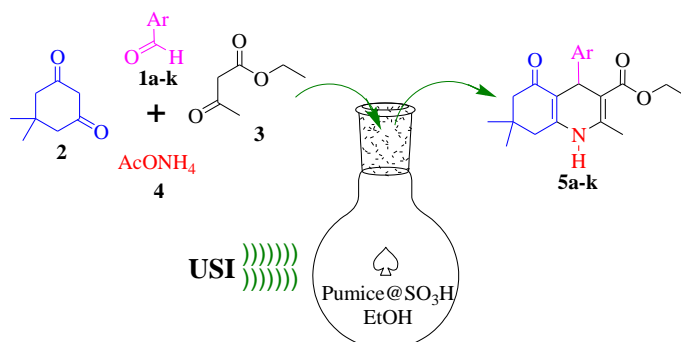
A. Ethanol, AcOH, Reflux, 8 hours. B. Propargyl bromide, K₂CO₃, DMF, r.t., 10 hours.

C. Aryl azide 5a-f, Sodium ascorbate, CuSO₄·5H₂O, DMF, r.t., 2 hours

Pumice@SO₃H catalyzed ultrasound mediated synthesis of polyhydroquinoline derivatives.Adinath Tambe^a, Vaishnavi Tambe^a, Rahul Narode^a, Sunita Ambadkar^a, Amol Pagare^a, Vijay Kadnor^b, Gopinath Shirole^{*a}^aDepartment of Chemistry, A. S. C. College, Rahata, Dist-Ahmednagar, MS-423107, ^bDepartment of Chemistry, A. C. S. College, Satral, Ahmednagar, MS-413711, India.

*Corresponding author. E-mail address:gdshirole@gmail.com

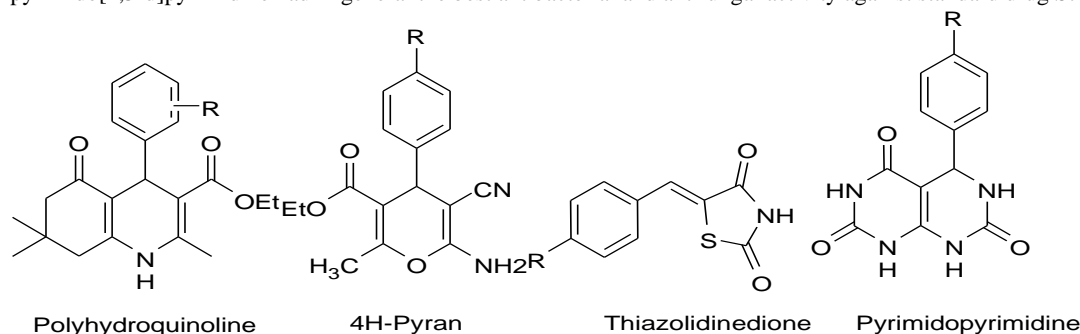
A sustainable and convenient protocol is developed for the synthesis of polyhydroquinoline derivatives under ultrasound irradiation at 45°C in the presence of pumice anchored sulfonic acid (Pumice@SO₃H) as a recoverable catalyst. These polyhydroquinolines were synthesized from aldehydes, dimedone, ethylacetoacetate and ammonium acetate by Hantzsch reaction. The attractive features of the present protocol are green approach, good yield, recovery of catalyst, easy work-up procedure and simple purification of product whereas the catalyst offers simple preparation, high catalytic activity, inexpensive, easy to use, recyclability and stability.



Synthesis and antimicrobial activity screening of polyhydroquinoline, 4H-Pyran, thiazolidinedione and pyrimido [4, 5-d] pyrimidine compounds

S. V. Padghan^{*1}, B.K.Magar², M.U.Chopade³^{1, 3} Department of Chemistry, Sant Dnyaneshwar Mahavidyalaya, Soegaon, Dist-Aurangabad² Department of Chemistry, Shivaji Arts, Commerce and Science College, Kannad.Email- sypadghan@gmail.com

In this paper, we present the antimicrobial activity of a series of compounds which contain polyhydroquinoline, 4H-Pyran, thiazolidinedione and pyrimido [4, 5-d] pyrimidine moieties in their molecule. The antimicrobial activity of these compounds was tested against the following microbial strains as a control; Staphylococcus aureus (ATCC 25922), Escherichia coli (ATCC 25922), Candida albicans (ATCC 10231) Aspergillus niger (ATCC 96422) by Agar well diffusion method. Comparing the values of the minimum inhibitory concentrations of the compounds it was noticed that, polyhydroquinoline, 4H-Pyran, thiazolidinedione and pyrimido[4,5-d]pyrimidine had in general the best antibacterial and antifungal activity against standard drug Streptomycin.





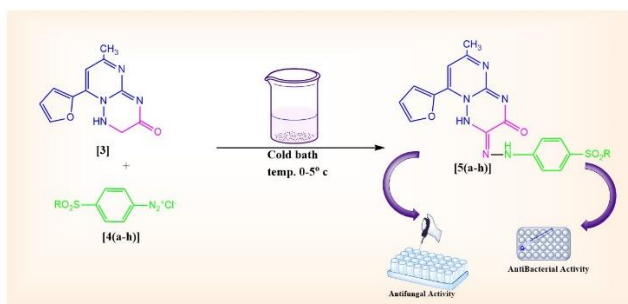
Synthesis, Characterization and Antimicrobial Activity of Novel Pyrimido – Triazine Fused Heterocyclic Compounds

Harsh Patel^a, Piyush Vyas, Yadav Nishant, Parmar Nayanaben

Department of Chemistry, Sheth M. N. Science College, Patan – 384265, Gujarat, India

Email address: harsh.240895@gmail.com

1-Amino-6-(furan-2-yl)-4-methyl pyridine-2(1H)-thione. 1 on condensation with chloroacetamide yield an intermediate 2-((6-(furan-2-yl)-4-methyl-2-thioxopyrimidin-1(2H)-yl)amino) acetamide (2) which on cyclization yield the product 3 with an active methylene group, the compound 3 reacted with diazonium salt (4a-h) of various sulfa drugs to afford, 4-(2-(8-(furan-2-yl)-6-methyl-3-oxo-1H-pyrimido[1,2-b][1,2,4]triazin-2(3H)-ylidene)hydrazinyl)benzenesulfa drugs derivatives 5a-h. Synthesized compounds were characterized by IR, LC-MS, and ¹H NMR spectra as well as elemental analysis. They were also screened for their in vitro antibacterial activity against Gram positive bacteria (*Bacillus Subtilis* *Staphylococcus aureus*, Gram-negative bacteria (*Klebsiellapromioe*, *E.coil*) and antifungal activity against plant pathogens (*Botrydepladia* *Thiobromine* *Nigrosspora* Sp., *Penicillium* *Expansum*, *Rhizopus* *Nigrificus*).



Synthesis and antibacterial screening of thiazolyl pyrazole containing chromones and aurones

Nirmala R. Darekar^a, Bhausaheb K. Karale^a, Jaidip B. Wable^b, Hemantkumar N. Akolkar^{c,*}

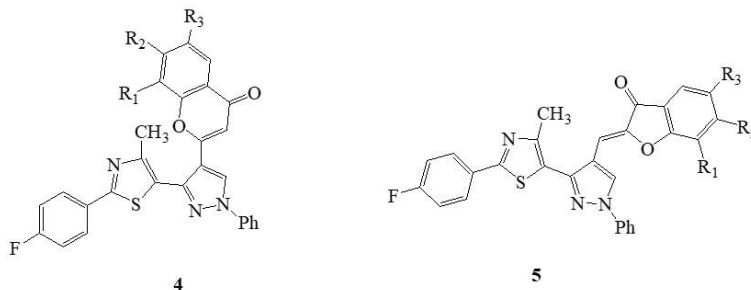
^aDepartment of Chemistry, Radhabai Kale Mahila Mahavidyalaya, Ahmednagar, 414 001, Maharashtra, India.

^bDepartment of Chemistry, K.J.S.S.C. College, Vidyavihar, Maharashtra, India.

^cDepartment of Chemistry, Abasaheb Marathe Arts and New Commerce, Science College, Rajapur, Dist- Ratnagiri, 416 702, Maharashtra, India.

E-Mail: hemantakolkar@gmail.com (Corresponding Author)

Thiazolyl pyrazole anchored chalcones were converted into chromones and aurones. Formation of the target compounds was confirmed by spectral techniques like IR, ¹H NMR and mass spectrometry. The newly synthesized compounds were screened for their antibacterial activities.

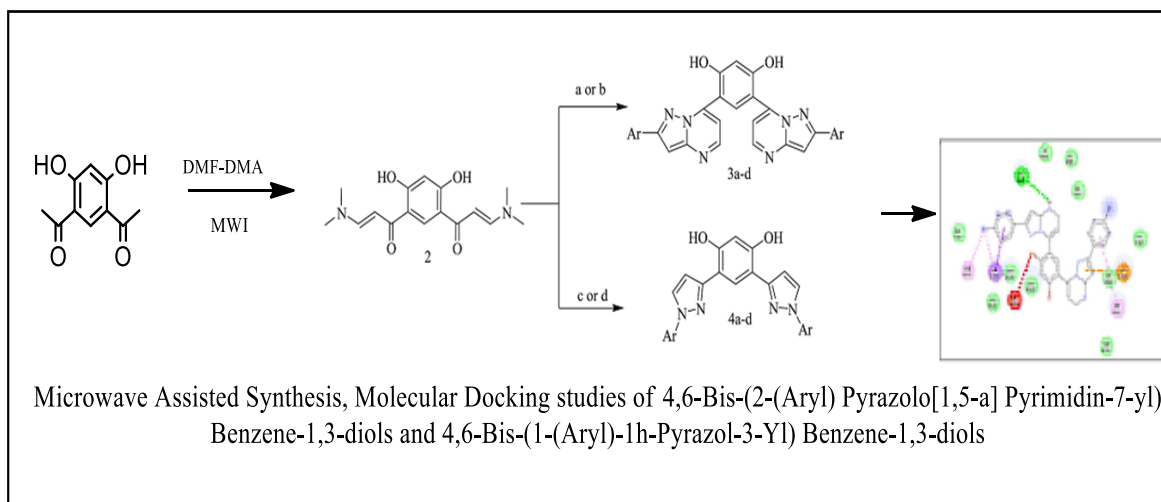



Microwave assisted Synthesis, Molecular Docking studies and Antibacterial Activity of 4,6-Bis-(2-(Aryl)Pyrazolo[1,5-a]Pyrimidin-7-yl)Benzene-1,3-diols and 4,6-Bis-(1-(Aryl)-1h-Pyrazol-3-Yl) Benzene-1,3-diols
Manchuru Vanaja¹ and Palle Malleswarareddy^{2*}
¹Department of Chemistry, Government degree college (A), Rajahmundry, East Godavari. a. p. india.

²Department of Chemistry, S.K. University, Anantapuram, India-515003.

*Corresponding author mail: pmeshvarreddy@gmail.com

Bis-pyrazolo[1,5-a]pyrimidine and bis-pyrazole derivatives (**3a-d** & **4a-d**) were synthesized under conventional heating and microwave irradiation methods from cyclization of 3-Dimethylamino-1-[5-(3-dimethylamino-acryloyl)-2,4-dihydroxy-phenyl]-propanone (**2**) with 5-aminopyrazoles, and aryl hydrazines respectively. The structures of the title compounds were elucidated on the basis of their spectral data and elemental analyses and all the compounds (**3a-d** & **4a-d**) were screened for *in vitro* antibacterial activity. Docking studies performed for all the compounds (**3a-d** & **4a-d**) with Glucosamine-5-phosphate synthase residues and all the results were reported.

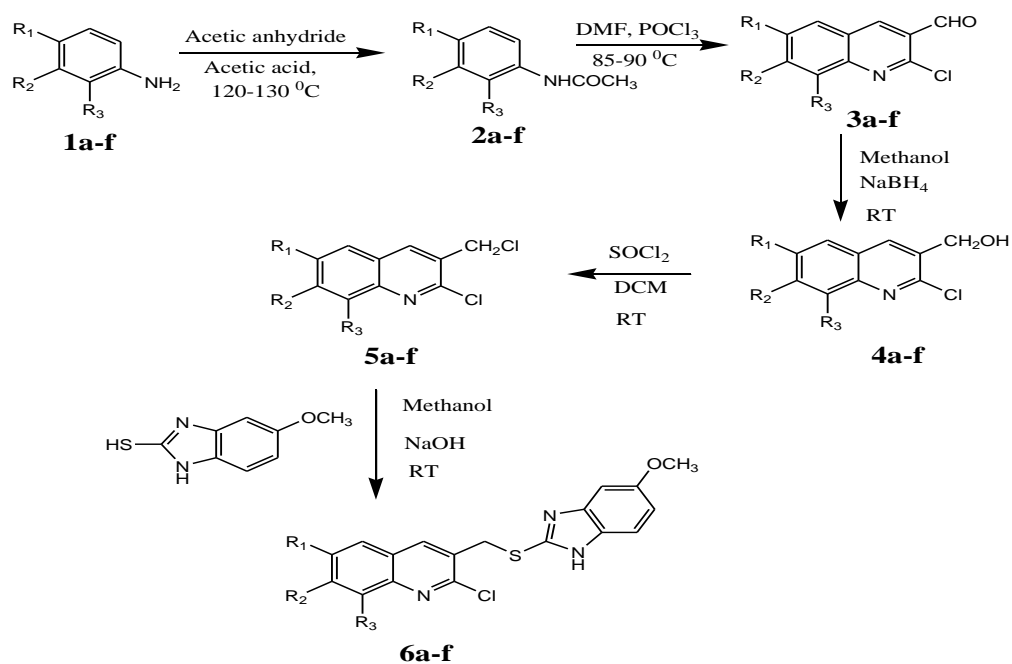



Synthesis, antibacterial activity study of new 3-((5-methoxy-1H-benzo[d]imidazol-2-ylthio)methyl)-2-chloroquinoline derivatives
Rajkumar U. Pokalwar^{1*}, Avinash A. Survase², Avdhut D. Kadam²
^{1*}Department of Chemistry, Degloor College, Degloor, Nanded-431717 Maharashtra, India

²Rayat Institute of Research and Development, Satara- 415001 Maharashtra, India

E-mail: rajupokalwar@rediffmail.com

A new and convenient with high yielding method was developed for the synthesis of 3-((5-methoxy-1H-benzo[d]imidazol-2-ylthio)methyl)-2-chloroquinoline derivatives **6a-f** (Scheme-1) using 2-chloroquinolin-3-carbaldehydes and 5-methoxy-1H-benzo[d]imidazole-2-thiol. All the newly synthesized compounds were analyzed by spectroscopic data such as ¹HNMR, IR, Mass. The newly prepared compounds were screened for antimicrobial activity against bacterial strains *Staphylococcus aureus* (NCIM-2654), *Bacillus subtilis* (NCIM-2635), *Escherichia coli* (NCIM-2832) and *Pseudomonas aeruginosa* (NCIM-5032). These newly synthesized materials exhibit good to moderate antimicrobial activity against one Gram positive and one Gram negative pathogenic strains.





An efficient, multi-component one pot synthesis of 2-substituted-4,5-dihydro-6H-1,3,4-oxadiazin-6-one as potent aspulvinone dimethylallyltransferase inhibitor, phobic disorders treatment and complement factor d inhibitor

Sandeep A. Kenawade^{a*}, Savita R. Dhongade^a, Amar C. Bhosale^b

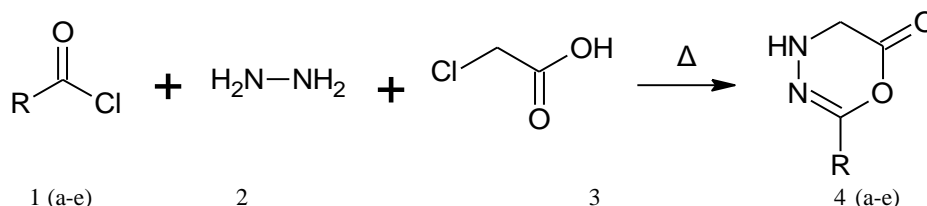
^a Research Laboratory in Heterocyclic Chemistry and Department of Chemistry
Devchand College, Arjunagar, Maharashtra (India)

^b Tisangi Mahavidyalaya, Tisangi, Maharashtra (India)

E-mail: skenawade@gmail.com

This work involves multi-component one pot synthesis of 2-Substituted-4,5-dihydro-6H-1,3,4-oxadiazin-6-one derivatives from various substituted acyl chloride derivatives 1(a-e), hydrazine hydrate 2 and α -halo acetic acid 3 were mixed in ethanol and the reaction mixture was refluxed to obtain products 4(a-e), their structures were confirmed by spectroscopy. These products exhibited antibacterial and antifungal activity. Library of such 2-Substituted-4,5-dihydro-6H-1,3,4-oxadiazin-6-one derivatives has been generated and screened for anti-bacterial and antifungal activity. Also Biological prediction study of the library was done to find out most active molecules. Computer programme PASS predicted for three activities with top probability for compound 4(a-e) as-

1. Aspulvinone dimethylallyltransferase inhibitor,
2. Phobic disorders treatment,
3. Complement factor D inhibitor.

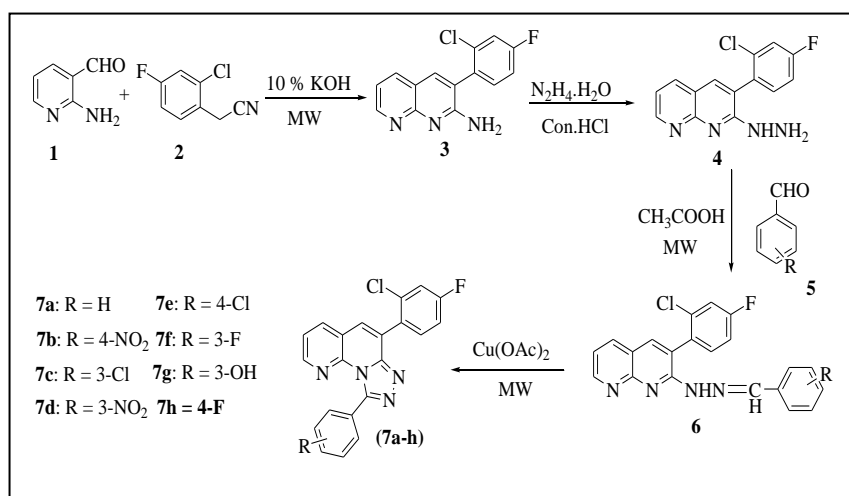


Copper (II) acetate catalysed synthesis of novel 6-(2-chloro-4-fluorophenyl)-9-phenyl-[1,2,4] triazolo[4,3-a][1,8]naphthyridine derivatives under microwave irradiation and their biological and molecular docking studies

Alishala Ashok, Boda Sakram^{*}

Department of Chemistry, Osmania University, Tarnaka-500007, Telangana, India

*Email: bschemou@gmail.com



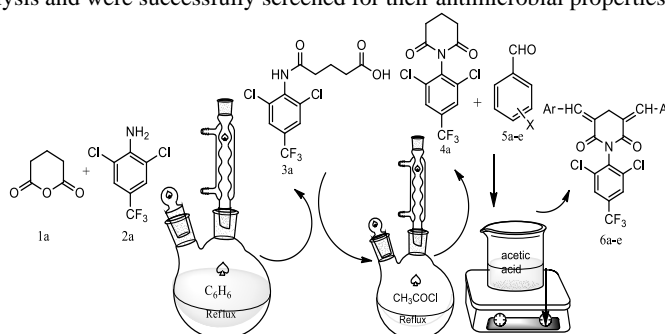

Synthesis and evaluation of antimicrobial activity of some novel chalcones of 2, 6-dichloro-4-trifluoro methyl aniline

 Savita Chintaman Patil*¹, Shankarsing Sardarsing Rajput², Rahebar Ali Mohammed Ali Sayyed³
¹Department of Chemistry, SSVPS's L K Dr. P. R. Ghogrey Science College, Dhule (M. S), India

²Department of Chemistry, SVS's Dadasaheb Rawal College Dondaicha, Dist. Dhule (M.S) 425408, India

 E-mail: savitadurgesh@gmail.com

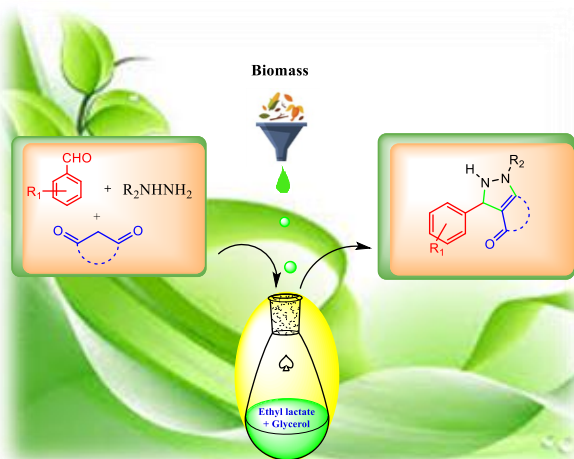
In the present investigation, a new series of chalcones were synthesized via reaction between 1-(2, 6-dichloro-4- trifluoromethyl-phenyl)-piperidine-2, 6 dione and substituted aromatic aldehydes in the presence of acetic acid. The synthesized compounds were characterized by spectral analysis and were successfully screened for their antimicrobial properties..


Synergistic effect of Ethyl lactate/Glycerol: A new route for the synthesis of Hexahydro-4H-indazol-4-one and its derivatives

 Jyoti Baranwal,^[a] Smriti Kushwaha,^[a] Swastika Singh^[a] and Archana Jyoti ^{*[a]}
^[a]Department of Chemistry, S. S. Khanna Girls' Degree College, Prayagraj, Uttar Pradesh, India

 * archanajyoti952@gmail.com

Here we report a new synthetic strategy based on the synergistic effect of ethyl lactate and glycerol in compliance with the criteria of green chemistry. This solvent system is very efficient and has several advantages such as involving shorter reaction time, formation of no side product, cost-effective, atom economy, operational simplicity, and high yield. The reusability of reaction media attracted much attention and it has the most promising potential as promoting media in many fields. To the best of our knowledge, this is the first catalyst-free synthesis of Hexahydro-4H-indazol-4-one.

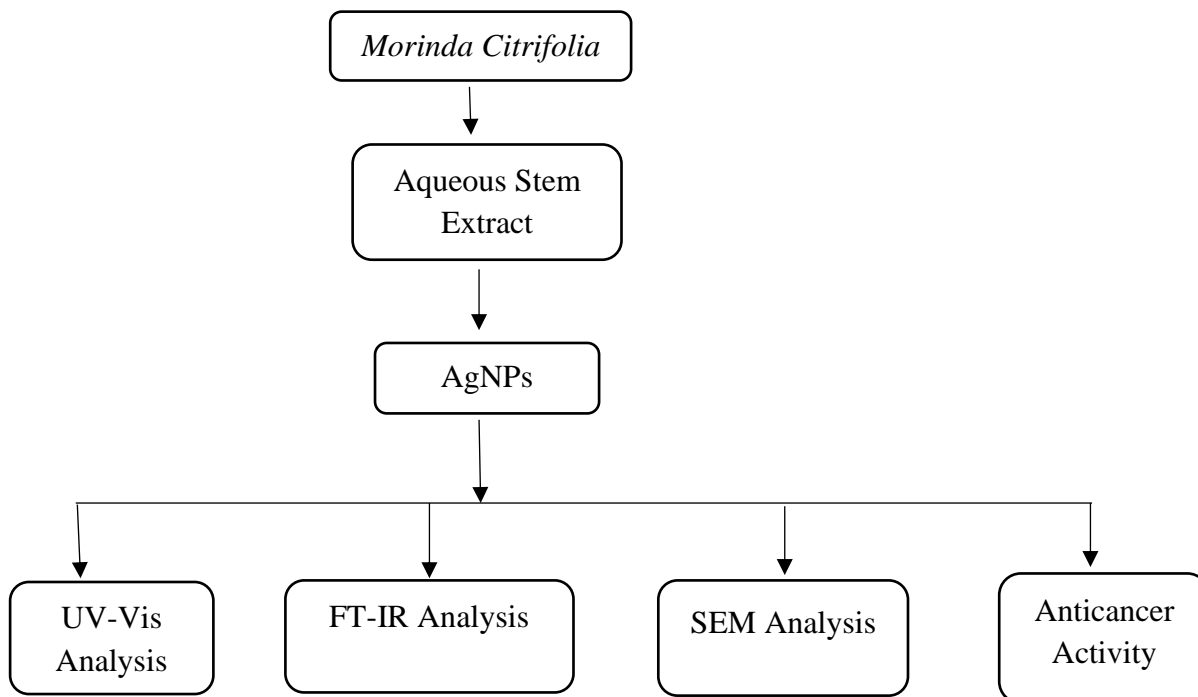




Anticancer evaluations of Synthesized silver nanoparticles using stem extract of *Morinda Citrifolia*

Shailesh G. Atram¹, Rahim S. Sheikh², Farhanullah A. Khan³

Assistant Professor¹, Department of Chemistry,
Arts Commerce and Science College Maregaon, Dist. Yavatmal Maharashtra, 445303-India.
Assistant Professor², Department of Chemistry,
Govt. Vidarbha Institute of Science and Humanities, Amravati, Maharashtra, 444604-India.
Research Scholar³, Department of Chemistry,
Govt. Vidarbha Institute of Science and Humanities, Amravati, Maharashtra, 444604-India.
shaileshatram01@gmail.com, rahimgvish@gmail.com, farhankhan085@gmail.com




Synthesis, characterization and biological activity of schiff base metal complexes containing quinazoline core unit
B. Ramu^{a*}, K. Sudhakar Babu^b, M. Swarna Kumari^c and P. Malleswara Reddy^d
^{a*}Department of Chemistry, Sri Krishnadevaraya University, Anantapuramu, Andhra Pradesh, India

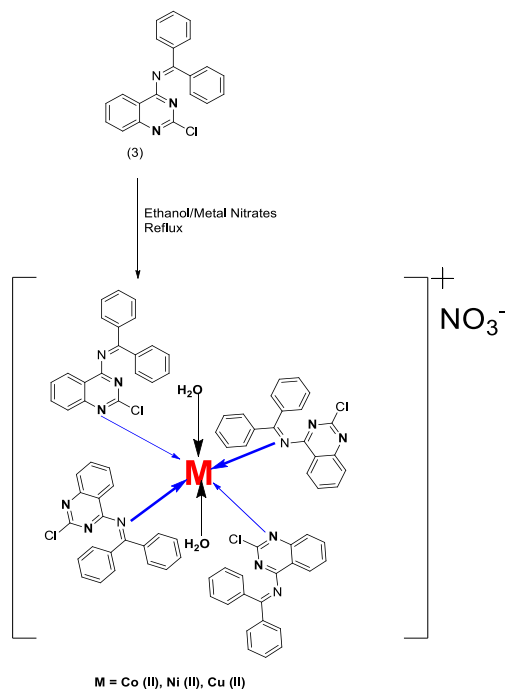
^cDepartment of Chemistry, Sri Krishnadevaraya University, Anantapuramu, Andhra Pradesh, India

^bFaculty of Engineering Chemistry, Santhiram Engineering College, Jawaharlal Nehru Technological University - Ananthapuramu (JNTU-A), Nandyal, Kurnool District, Andhra Pradesh, India

^dDepartment of Chemistry, Sri Krishnadevaraya University, Anantapuramu, Andhra Pradesh, India

Corresponding author: K Sudhakar Babu **Email:** bolisettyramu@gmail.com

A new Schiff base is derived from 2,4-dichloroquinazoline, ammonia and benzophenone. The metal complexes of Schiff base were prepared from nitrate salt of Ni(II), Co(II), Cu(II) in alcoholic medium. The complexes were characterized by FT-IR, ¹H-NMR, ¹³C-NMR, UV- visible spectroscopy and X-ray diffraction studies. The Schiff base ligand and complexes were tested for their antibacterial activity against Staphylococcus aureus, Escherichia coli and Proteus vulgaris to assess their inhibiting potential. In screening medium was nutrient agar and biological screening were performed by employing cup plate method. Antibacterial activity of the ligand and its metal complexes is compared with the standard drug ciprofloxacin. In this series Co (II) complexes showed high antibacterial activity and the other complexes showed moderate antibacterial activity against different bacteria activity than the respective free Schiff base.

Scheme II Synthesis of Metal Complexes

Reagents and Reaction conditions: (a) Aqueous Ammonia₃, THF, RT, 3hr s (b) Ethanol, reflux, 04 hrs (c) Ethanol, Acetic acid(catalytic).



Synthesis, Docking and Biological Evaluation of N-[4-(1H-Benzimidazol-2-yl)-phenyl]-3-(substituted)-Acrylamide Derivatives as Antimicrobial, Anthelmintic and Antioxidant Agents

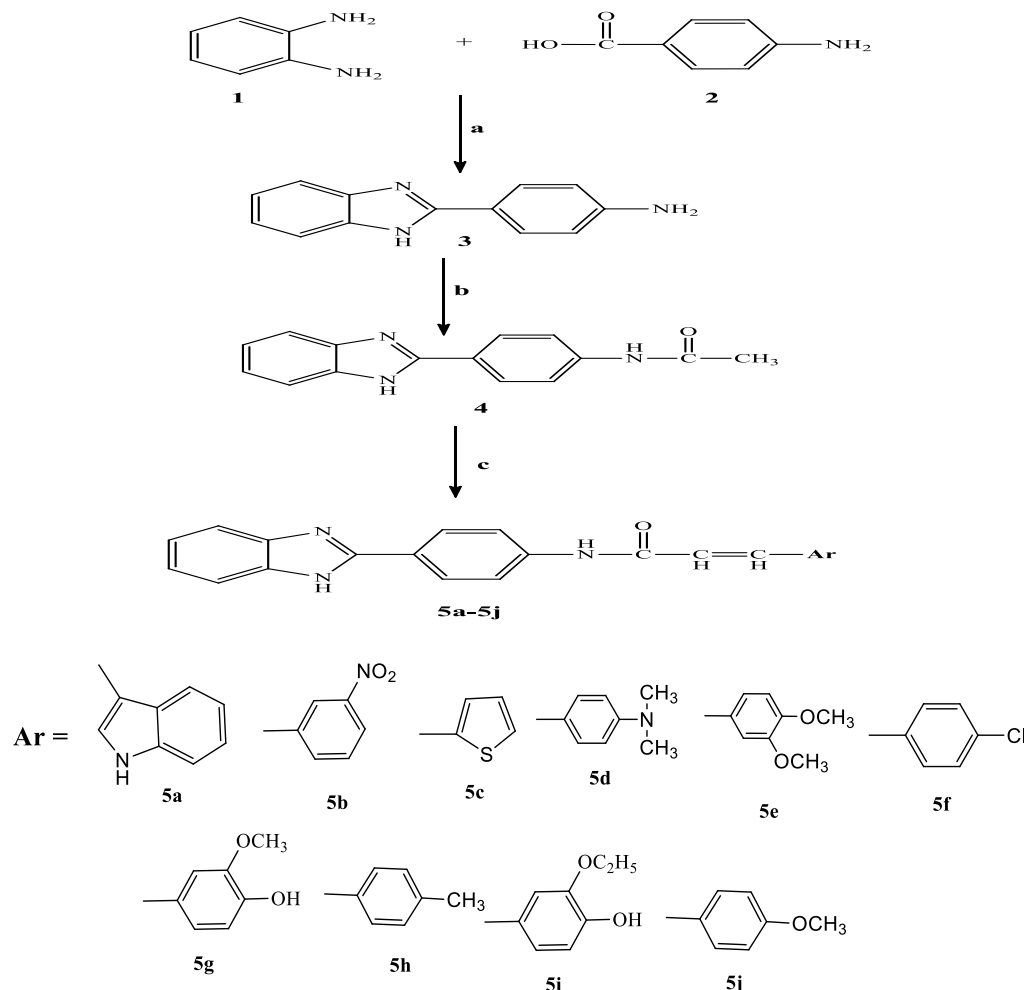
Narasimha K¹, Durgaprasad Kemiseti^{2*}, Faruk Alam², Ega Jagadish Kumar¹, Biplab Kumar Dey².

¹Faculty of Pharmaceutical Science, Chaitanya Deemed to be University, Hanamkonda, Warangal, Telangana-500001

²Faculty of Pharmaceutical Science, Assam Down Town University, Panikhaiti, Gandhinagar, Guwahati, Assam-781026

*Corresponding Author Email: kdp251999@gmail.com

A new series of N-[4-(1H-benzimidazol-2-yl)-phenyl]-3-(substituted)-acrylamide (chalcones) derivatives were synthesized by condensation of *p*-amino benzoic acid and *o*-phenylene diamine. Further the acetylated product of benzimidazole derivatives undergoes Claisen-Schmidt condensation with aryl aldehydes to produce corresponding chalcones. The *in-vitro* biological activities of the test compounds were screened for antimicrobial, antifungal, antioxidant and anthelmintic activities



Reagents: (a) 4N HCl, aq NaHCO₃; (b) CHCl₃, Ac₂O, (c) KOH, Ethanol, substituted aldehydes

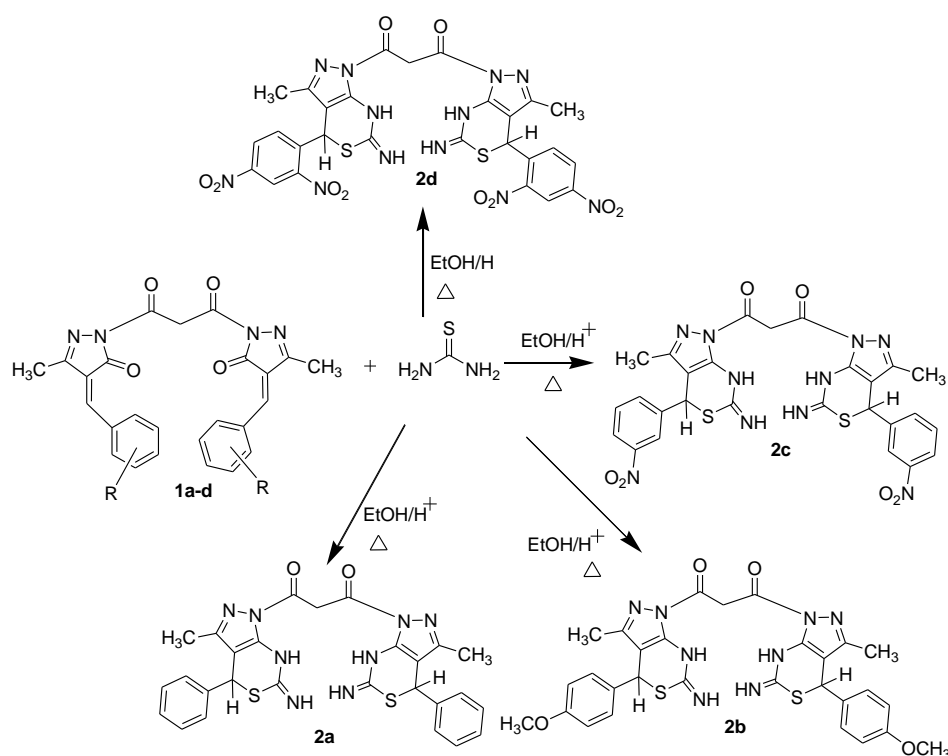
Synthesis, characterization and biological evaluation of 1,3-bis(pyrazolo-pyrimidine derivatives)

A.Thippeswamy^a, C.W. Prabhakar^{b*}

^a Department of Post-Graduate Studies and Research in Industrial chemistry, Sir M. V. Govt. Science College, Bommanakatte, Bhadravathi-577302, Karnataka

^b Department of Post-Graduate Studies and Research in chemistry, Sahyadri Science College, Kuvempu University, Shivamogga-577203, Karnataka
Email:prabhakarchavan7@gmail.com

A new set of 1,3-bis(pyrazolo-pyrimidine analogues (**2a-d**) were prepared in good yield. conditions. The synthesised derivative were screened for antimicrobial and antioxidant activities.





Ultrasound based synthesis and optimization of 2-oxo-1,2,3,4-tetrahydro-1,8-naphthyridine's derivatives

Shweta Patel, Sarika Patel, Hasit Vaghani*, Jasmin Kumbhani

Faculty of Science, Department of Chemistry, Ganpat University, Kherva, Mehsana-384012, Gujarat, India

*Correspondence: hvv01@ganpatuniversity.ac.in

Ultrasonic irradiation is a modern technology for improving yields in preparations, shorter reaction time and mild conditions when compared to traditional methods. Taking advantage of this, one pot multicomponent reaction of 2-amino pyridine, ethyl cyanoacetate and substituted aldehydes is reported here. 2-oxo-1,2,3,4-tetrahydro-1,8-naphthyridine and its derivatives were synthesized using morpholine as a catalyst in an aqueous condition employing ultrasonic irradiation method. Synthesized compounds were confirmed through IR, ¹H NMR, ¹³C NMR, mass spectra and elemental analysis. This method provides us modern green platform to synthesize and optimize 2-oxo-1,2,3,4-tetrahydro-1,8-naphthyridine derivatives.

