Heterocyclic Letters
Vol. 10| No.3|348-359|Feb–April|2020
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Graphical Abstract

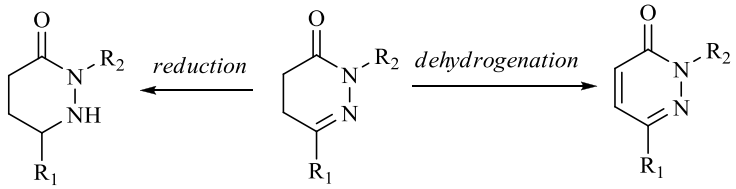
Heterocyclic Letters 10: iss.-3 (2020), 361-372

Reduction and Dehydrogenation of Dihydropyridazinones: An Overview

Ferenc Csende* and Andrea Porkoláb

Taxus Research Laboratory, Bocskai u. 22., H-4080 Hajdúnánás, Hungary
Email: csende@nanaskabel.hu

In this review, we summarized several reductive and dehydrogenative methods for the transformation of the various substituted *4,5-dihydropyridazinones. These procedures result in further intermediates or several important products by* chemoselective and *easily accessible reagents under simple and mild conditions.*



R_1 = H, Cl, CO_2H, Me, Ph
R_2 = H, Me, alkyl, Ph, Bn, heteroaryl

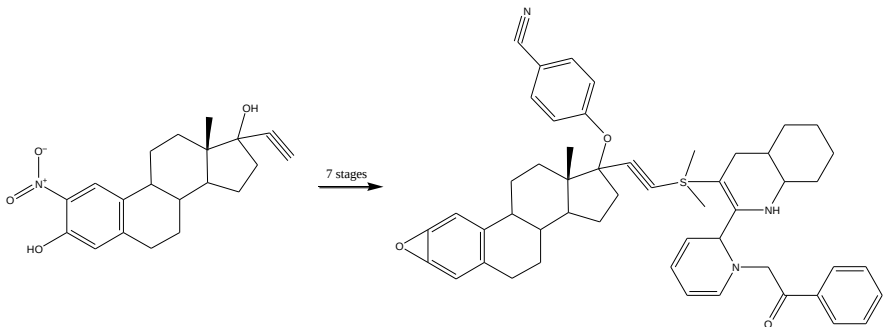
Heterocyclic Letters 10: iss.-3 (2020), 373-382

Design and synthesis of a new ether-benzonitrile-steroid derivative

Figueroa-Valverde Lauro[1]*, Diaz-Cedillo Francisco[2]*, Rosas-Nexticapa Marcela[3], Mateu-Armand Virginia[3], Lopez-Ramos Maria[1], Garcimarero-Espino E. Alejandra[1], Cauich-Carrillo Regina[1], Ortiz-Ake Yazmin[1]

Laboratory of Pharmaco-Chemistry at the Faculty of Chemical Biological Sciences from the University Autonomous of Campeche,

In this study is reported a straightforward route for synthesis of an ether-benzonitrile-steroid derivative using some strategies. The structure of the compounds obtained was confirmed by elemental analysis, spectroscopy, and spectrometry data.



Heterocyclic Letters
Vol. 10| No.3|348-359| May-July|2020
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

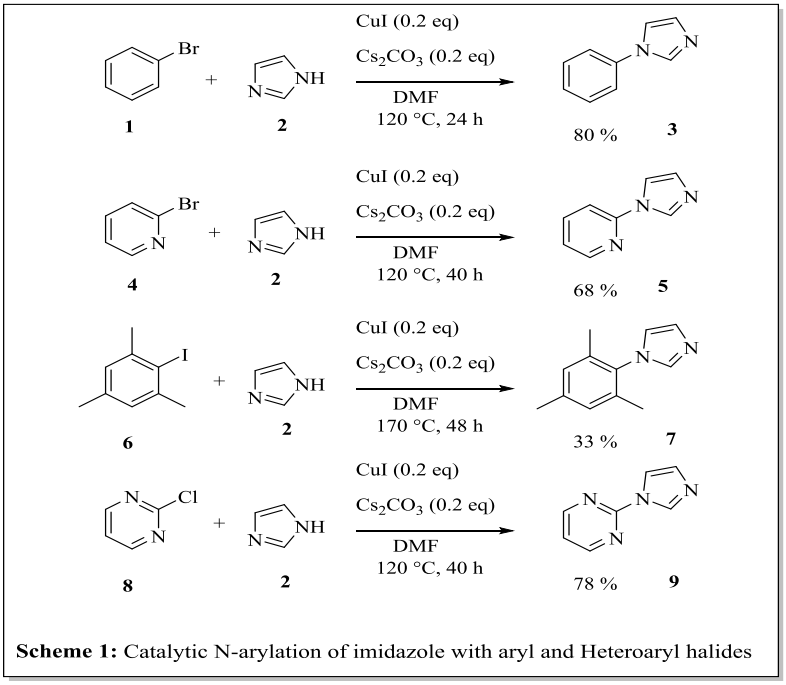
Heterocyclic Letters 10: iss.-3 (2020), 383-388

Preparation and quantitative structure-activity relationships properties of precursors for the synthesis of imidazolium salts used as ligands for the enantioselective synthesis of heterosteroids compounds

MessaoudGuerri[a,b], Oumelkheir Rahim[b*] and Selaf Benabdesselam[c]

[a]*Laboratoire de Synthèse Totale et de Réactivité Organique. Université Aix-Marseille III, Stéréo UMR 6263, France.*
[b*] *Department of Chemistry,Faculty of mathematics and Matter sciences, Pollution & Waste Treatment Laboratory, Kasdi Merbah University, Ouargla, Algeria.*
[c]*Department of Process Engineering ,Faculty of applied sciences, Laboratory of Engineering of Water and Environment in Saharan Environment, Kasdi Merbah University, Ouargla, Algeria*
E-mail: romolkheir1@gmail.com

This study reports a simple pathway for the synthesis of four imidazole derivatives using a few strategies.1-phenyl-1H-imidazole (3) and 2-(1H-imidazol-1-yl) pyridine (5), have respectively been prepared by the reaction of 1-bromobenzene (1) and 2-bromopyridine (4) with imidazole (2) in DMF. While, 1-mesityl-1H-imidazole (7) and 2-(1H-imidazol-1-yl) pyrimidine (9) have been respectively prepared by the reaction of 2-iodo mesitylene 6 and 2-chloropyrimidine (8) with imidazole (2) in DMF.The structures of all these compounds have been confirmed by ^{1}HNMR, ^{13}CNMR and HRMS. Some QSAR'S properties (Octanol/water partition coefficient, hydration energy, molar polarisability, molar refractivity, molar volume, molar weight and surface grid have been calculated



Scheme 1: Catalytic N-arylation of imidazole with aryl and Heteroaryl halides

Heterocyclic Letters
Vol. 10| No.3|348-359|Feb–April|2020
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Heterocyclic Letters 10: iss.-3 (2020), 389-397

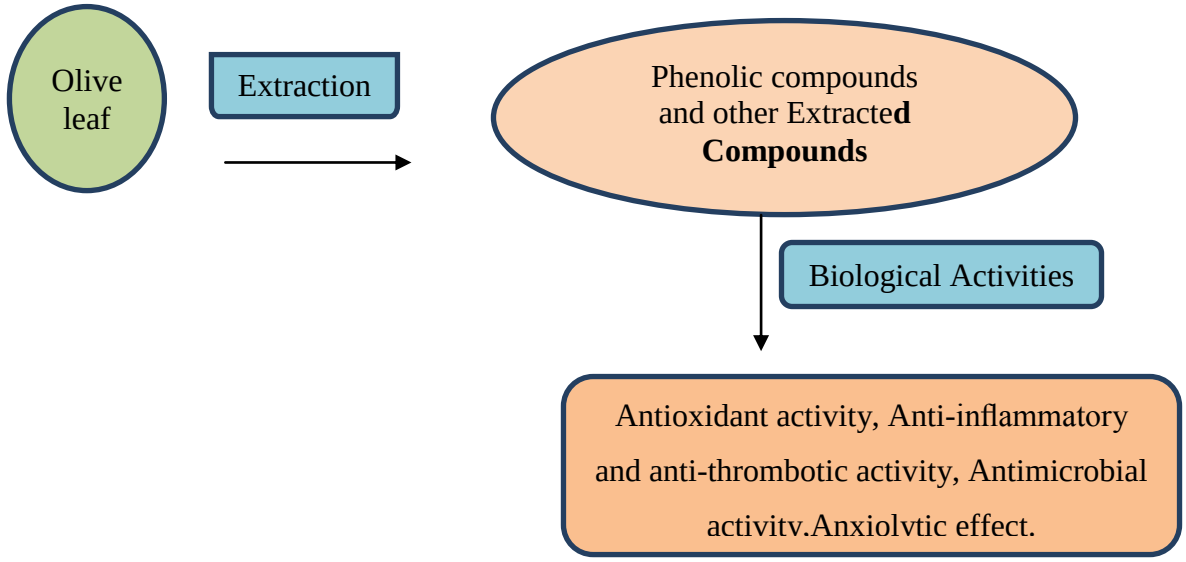
Mini review on biological activities of phenolic compounds in olive leaf

F.M.Zahou[a], Nadia Ali Ahmed Elkanzi[b,c]

[a]*Biology Department, college of Science, Jouf University, sakaka , 2014, Saudi Arabia*
[b]*Chemistry Department, college of Science, Jouf University, sakaka , 2014, Saudi Arabia.*
[c] *Chemistry Department, Faculty of Science, Aswan University, Aswan, 81528, Egypt***.**

Phenolic compounds in olive leaf and compound extracted possess many biological activities

ct.



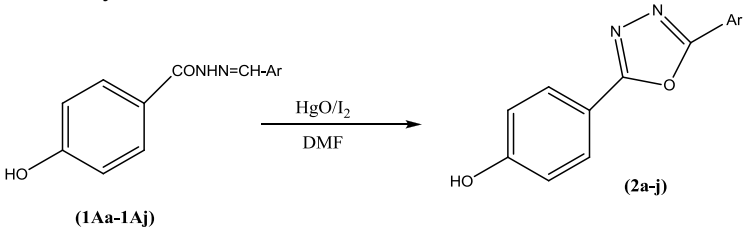
Heterocyclic Letters 10: iss.-3 (2020), 399-405

Synthesis and anti-arthritic activity of novel 1,3,4-oxadiazole derivatives

Banylla Felicity Dkhar Gatphoh, B.C. Revanasiddappa*, M.Vijay Kumar

**Department of Pharmaceutical Chemistry, NGSM Institute of Pharmaceutical Sciences of Nitte -Deemed to be University, Paneer, Deralakatte, Mangalore-575 018,Karnataka, India*
Email: revan@nitte.edu.in

A novel series of 2,5-disubstituted-1,3,4-oxadiazoles **(2a-j)** were synthesized by the reaction of Schiff base **(1Aa-Aj)** and mercuric oxide/iodine in DMF medium. All the new compounds were assigned on the basis of ^{1}H-NMR, IR and Mass spectral data. The newly synthesized compounds were evaluated for *In-Vitro* antiarthritic activity. Most of the synthesized compounds showed moderate antiarthritic activity.



(1Aa-1Aj) **(2a-j)**

Heterocyclic Letters
Vol. 10| No.3|348-359| May-July|2020
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

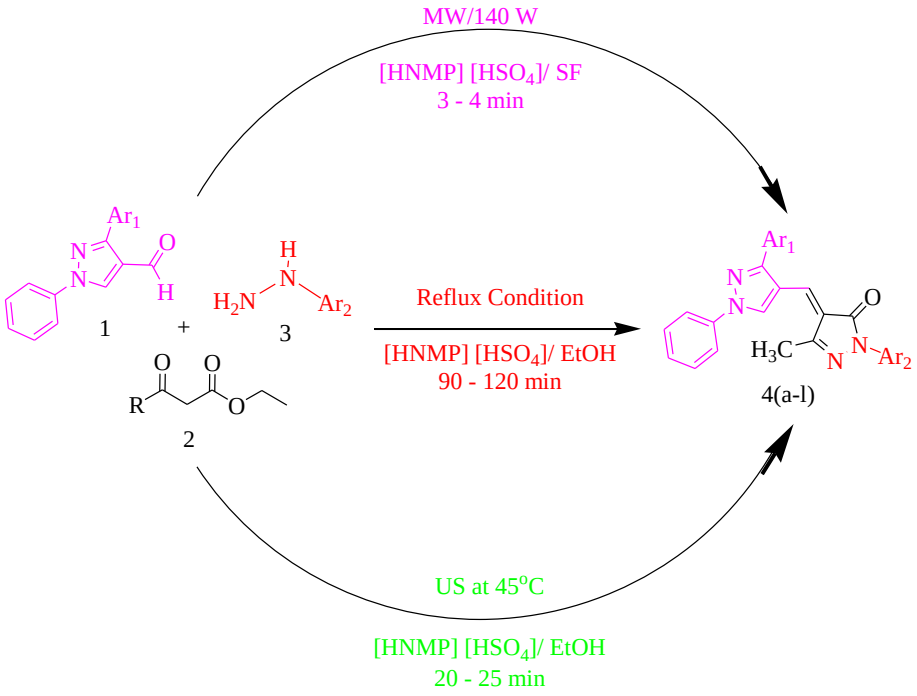
Heterocyclic Letters 10: iss.-3 (2020), 407-415

Green synthesis of pyrazolone derivatives using ionic liquid as an efficient and green catalyst via facile multi-component reaction path

Vijay Kadnor [a], Adinath Tambe[b] and Gopinath Shirole[b*]

[a] *Department of Chemistry, A.C.S. College, Satral, Dist-Ahmednagar (MH), India*
[b] *Department of Chemistry, A.S.C. College, Rahata, Dist-Ahmednagar (MH) 423107, India*
Affiliated to University of Pune, India
E-mail address: gdshirole@gmail.com

A rapid and efficient protocol for the synthesis of pyrazolone derivatives has been developed from multi-component reaction of various 3-aryl-1-phenyl-1*H*-pyrazole-4-carboxaldehyde, ethyl aceto-acetate and substituted phenyl hydrazine in the presence of green catalyst [HNMP][HSO_4]. These derivatives have been synthesized by three different method includes conventional reflux method, ultrasound and microwave irradiation.



Heterocyclic Letters
Vol. 10| No.3|348-359|Feb–April|2020
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

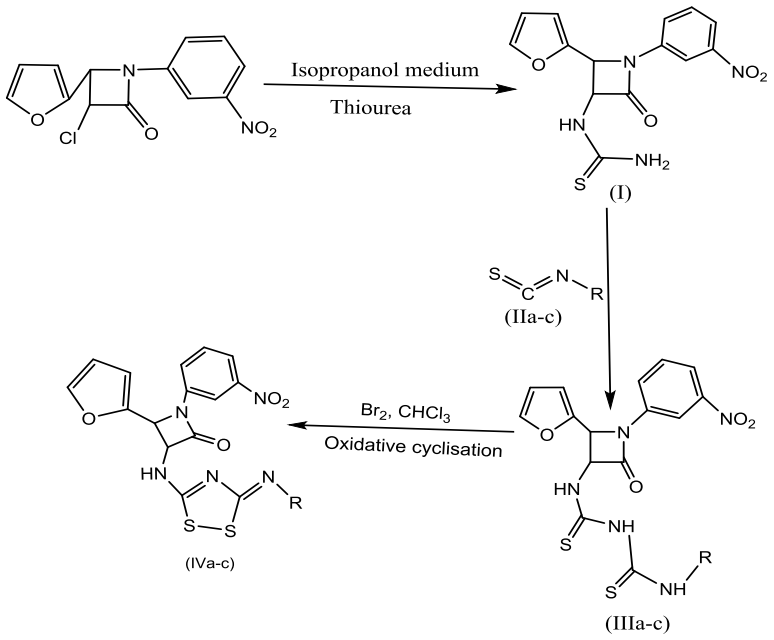
Heterocyclic Letters 10: iss.-3 (2020), 417-422

Synthesis, structural study and antimicrobial screening of substituted 1,2,4-dithiazole derivatives

Farhanullah A. Khan[*1], Rahim S.Sheikh[2],

Department of Chemistry,
Govt. Vidarbha Institute of Science and Humanities, Amravati, Maharashtra, 444604-India.
E-mail: farhankhan085@gmail.com

A simple and efficient method has been developed for the synthesis of substituted 1,2,4-dithiazole. In this work new 4-[(furan-2-yl)-3-{[5-(substitutedimino)-3*H*-1,2,4-dithiazol-3-yl]amino}-1-(3-nitrophenyl) azetidin-2-one have been reported from N-[2-(furan-2-yl)-1-(3-nitrophenyl)-4-oxoazetidin-3-yl]-N-substituteddicarbonodithioimidicdiamide.The N-[2-(furan-2-yl)-1-(3-nitrophenyl)-4-oxoazetidin-3-yl]-N-substituted dicarbonodithioimidic diamidein turn were obtained from 1-[2-(furan-2-yl)-1-(3-nitrophenyl)-4-oxoazetidin-2-one] thiourea and aryl/alkylisothiocyanate in acetone-ethanol medium. 1-[2-(furan-2-yl)-1-(3-nitrophenyl)-4-oxoazetidin-2-one] thiourea which was obtained 3-chloro-4-(furan-2-yl)-1-(3-nitrophenyl) azetidin-2-one and thiourea.The Justification and identification of the structure of these newly synthesized compounds had been established on the basis of chemical characteristics, elemental analysis and through spectral data. The title compounds have been assayed for their biological activity against gram-positive as well as gram-negative microorganism.



Heterocyclic Letters
Vol. 10| No.3|348-359| May-July|2020
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Heterocyclic Letters 10: iss.-3 (2020), 423-428

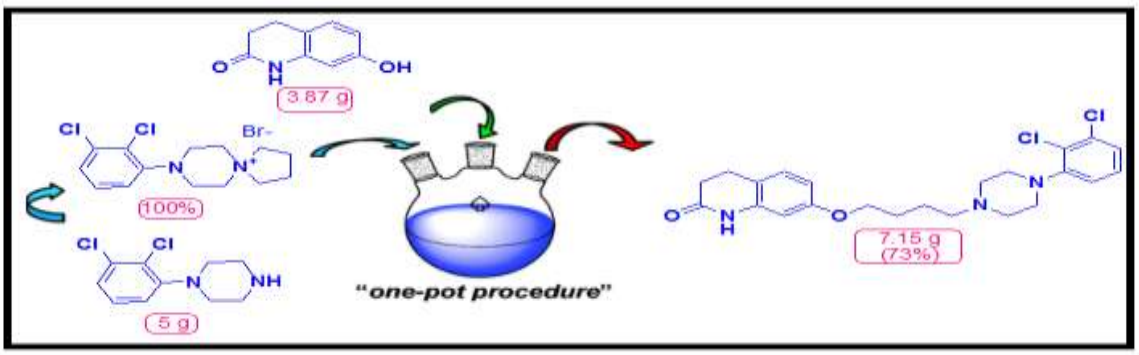
Green high-yielding one-pot approach of antipsychotic Drug: aripiprazole

Dr. Chandra Babu Kollapudi [1,*]

[1]*Centre for pharmaceutical sciences, JNT University, Kukatpally, Hyderabad 500 072, India*
[1]*Aurobindo Pharma Research Center -II, Survey No. 71 & 72, Indirakaran Village, Medak District, Hyderabad – 502203, India*

E-mail: chandra.kollapudi@gmail.com

In this we reported cost effective, high yielded, green methodology without formation of major impurities Dehydro-Aripiprazole (*Metabolite*) and *Bis*-impurity (*EP-Impurity-D*).



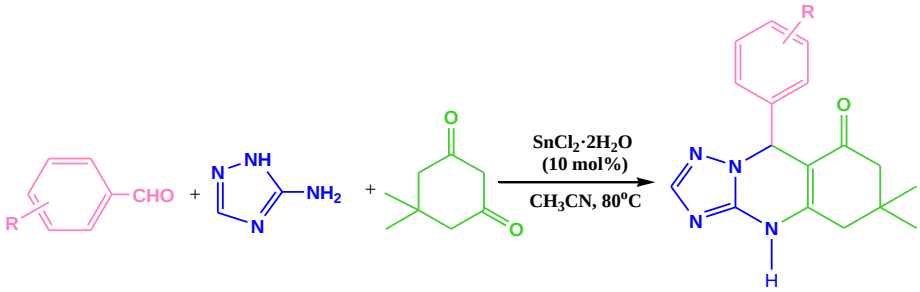
Heterocyclic Letters 10: iss.-3 (2020), 429-434

An Efficient Synthesis of [1,2,4] Triazolo-quinazolinone Derivatives Using Tin (II) Chloride Dihydrate Under Mild Reaction Conditions

Kabeer A. Shaikh[2]* and Uddhav N. Chaudhar[1]

[1]*Department of Chemistry, Kalikadevi Art's, Science & Commerce College, Shirur (Ka.) Dist. Beed-413 249 [M.S.]-India.*
[2]*P. G. Department of Chemistry, Sir Sayyed College of Art's, Commerce & Science, Aurangabad-431 001 [M.S.]-India*
E-*mail authors: shaikh_kabeerahmed@rediffmail.com/uddhav21@gmail.com*

In present protocol, we have developed an efficient and environmentally benign protocol for the synthesis of [1, 2, 4] triazolo-quinazolinone derivatives by the condensation of 3-amino-1, 2, 4-triazole as amine sources, with aromatic aldehydes and dimedone in the presence of 10 mol % of $SnCl_2 \cdot 2H_2O$ in acetonitrile at 80 ^oC. The key advantages of these reaction is low cost, non toxic, excellent yield, shorter reaction time, eco-friendly nature, mild reaction condition and no need of column chromatographic separation.



Heterocyclic Letters
Vol. 10| No.3|348-359|Feb–April|2020
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

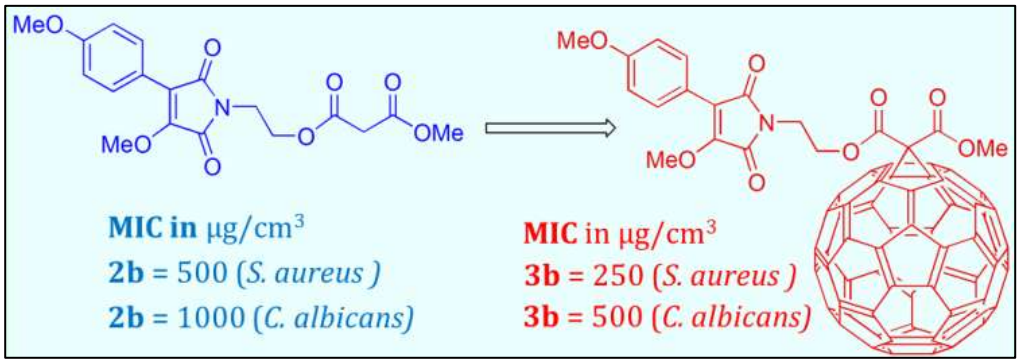
Heterocyclic Letters 10: iss.-3 (2020), 435-438

Exploration Of [60]Fullerene-Maleimide Derivatives As Antimicrobial Agents

Kirankumar S. Gosavi

Department of Chemistry, KVP'S Kisan Arts, Commerce and Science College, Parola, Dist:Jalgaon, Maharashtra, India. Pin: 425111
Email-id: kirangosavi08@gmail.comORCID ID: 0000-0003-2143-0627

The antimicrobial activities of [60]fullerene-Maleimide derivatives were screened against panel of four fungus (C. albicans, C. tropicalis, A. niger and A. clavatus) and two bacteria (S. aureus and E. coli). The minimum inhibitory concentration (MIC) was determined by broth microdilution method. [60]fullerene-Maleimide derivative showed better activity than that of its precursor malonate. Out of compound tested, fullerene derivative **3b** registered significant activity.



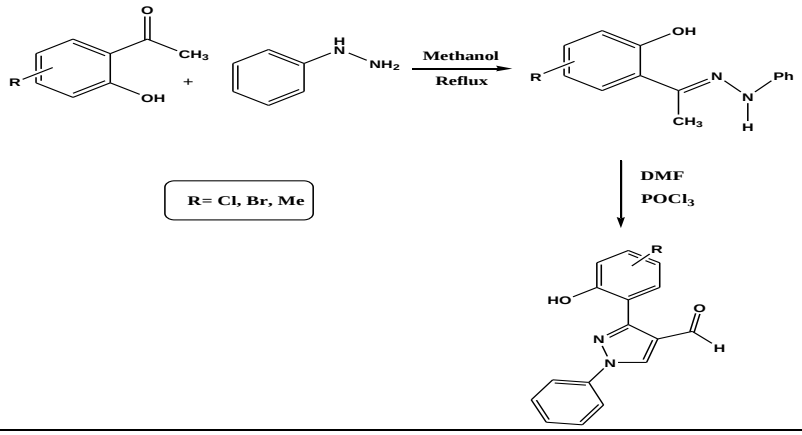
Heterocyclic Letters 10: iss.-3 (2020), 439-445

The Synthesis and spectral studies of Pyrazole Derivatives Proven Effective as Antibacterial & Antifungal Activity

ARCHANA D. JADHAV[1], PANKAJ U. BARHATE[1], AYESHA N. DURRANI[2]

[1]Department of Chemistry, Loknete Ramdas Patil Dhumal Arts, Science and Commerce College Rahuri, Ahmednagar, Maharashtra, India
[2]Department of Chemistry, Dr. Rafiq Zakaria College of Womens, Naukhanda, Aurangabad, Maharashtra, India
e-mail: archu1990jadhav@gmail.com

The synthesis of some pyrazole heterocycles with its pharmacological importance proven by antifungal as well as antibacterial activities has been reported. All the synthesized compounds have been characterized with spectral data.



Heterocyclic Letters
Vol. 10| No.3|348-359| May-July|2020
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

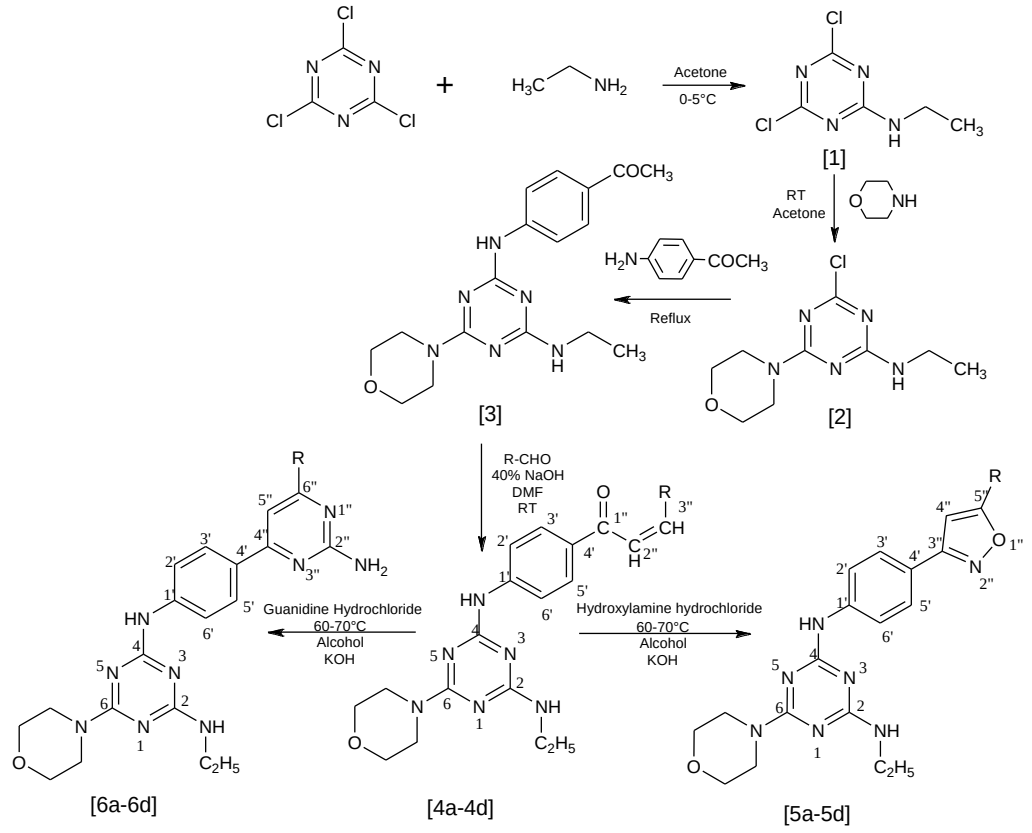
Heterocyclic Letters 10: iss.-3 (2020), 447-457

Synthesis and assessment of biological activity of some new chalcones, amino pyrimidines and isoxazoles derivatives incorporating 1, 3, 5-triazine moiety

Bhavna A. Shah and Nisha M. Pandey*

Department of Chemistry, Veer Narmad South Gujarat University, Surat
Gujarat, India
Email: nishapandey649@gmai.com

A series of new amino pyrimidines and isoxazoles derivatives of chalcone incorporating 1, 3, 5-triazine moiety as potential antimicrobial agents was designed, synthesized and characterized by Elemental analysis, FTIR and NMR spectral techniques. All the synthesized compounds were screened in vitro against four bacterial strains (Staphylococcus aureus, Streptococcus pyogenes, Escherichia coli, Pseudomonas aeruginosa) and three fungal strains (Aspergillus niger, Aspergillus clavatus and Candida albicans). The antimicrobial results indicated that some of the compounds showed remarkable activities comparable to the standard drugs.



Heterocyclic Letters
Vol. 10| No.3|348-359|Feb–April|2020
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

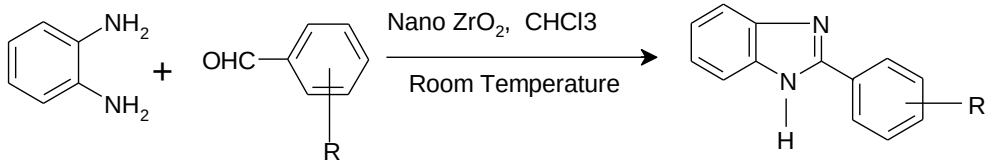
Heterocyclic Letters 10: iss.-3 (2020), 459-466

Highly efficient one pot synthesis of heterocyclic benzimidazoles catalysed by nano crystalline zro$_2$.

Patil Vishvanath.D.*, Salve Amruta M. Gharat V.D., Gawand N.

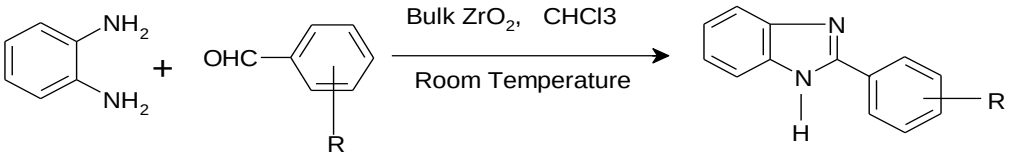
Organic Chemistry Research Laboratory, Department of Chemistry, C.K.ThakurA.C.S.College New Panvel, Raigad, Maharashtra, India, vishvanathpatil@gmail.com

The present study deals with synthesis *of* 2-phenyl benzimidazoles and its derivatives *using* Nano crystalline ZrO_2 as a Nano catalyst under mild condition. The present study also aimed comparative study of effectiveness of bulk ZrO_2 and Nano crystalline ZrO_2 over synthesis. The purity of synthetized heterocyclic compounds were estimated by TLC technique while their structures were established by the usual spectroscopic methods.



R = -Cl, -CH_3,-OH,-NO_2,-OCH_3

Scheme I



R = -Cl, -CH_3,-OH,-NO_2,-OCH_3

Scheme II

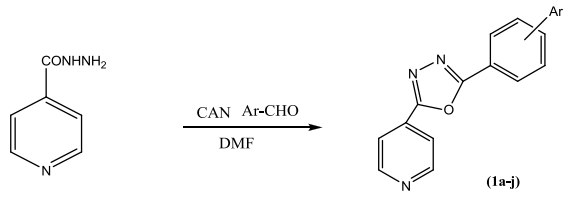
Heterocyclic Letters 10: iss.-3 (2020), 467-470

Synthesis and characterization of 1,3,4-oxadiazole derivatives

Iola Sandria Rodrigues, A.V.Badarinath[1], B.C.Revanasiddappa*

**Department of Pharmaceutical Chemistry, NGSM Institute of Pharmaceutical Sciences of Nitte -Deemed to be University, Paneer, Deralakatte, Mangalore-575 018,Karnataka, India*
[1]Bharat Institute of Technology-Pharmacy, Mangalpally,,Ibrahimpatnam, Telangana 501510
Email: revan@nitte.edu.in

A very simple and efficient one pot synthesis of 1,3,4-oxadiazoles were carried out by using cerric ammonium nitrate (CAN) as oxidizing agent. All the newly synthesized compounds were characterized by ^{1}H-NMR, IR and Mass spectral data. The compounds were yielded in good yields.



Heterocyclic Letters
Vol. 10| No.3|348-359| May-July|2020
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

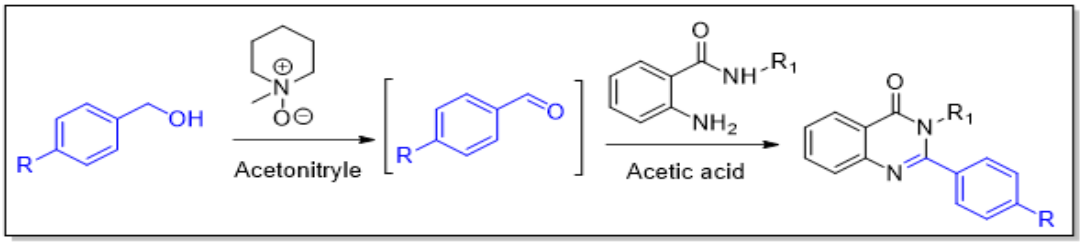
Heterocyclic Letters 10: iss.-3 (2020), 471-477

One-Pot Synthesis of Quinazolinone derivatives from benzyl alcohol: a Multi-Component Reaction

Praveen Kumar Setikam[a], Narayana Murthy Valavala[b], Raghunath Akula[b] and Srinivas rao Golagani[a]

[a]*Department of Chemistry, GIS, GITAM University, Vishakapatnam- 530045 Andhra Pradesh, India*
[b]*Custom Pharma Services, Technology Development Center, Dr. Reddy's Laboratories, Miyapur, Hyderabad-500049 Telangana, India*
Email: setikampraveenkumar@gmail.com

An efficient one-pot approach to substituted quinazolines was developed. The reaction enables great flexibility of the substitution patterns and is applicable to give a substituted products in an easy way. This method is an alternative approach for the green synthesis of quinazoline derivatives in the chemical and pharmaceutical industries. All the compounds synthesized were characterized by spectral analysis.

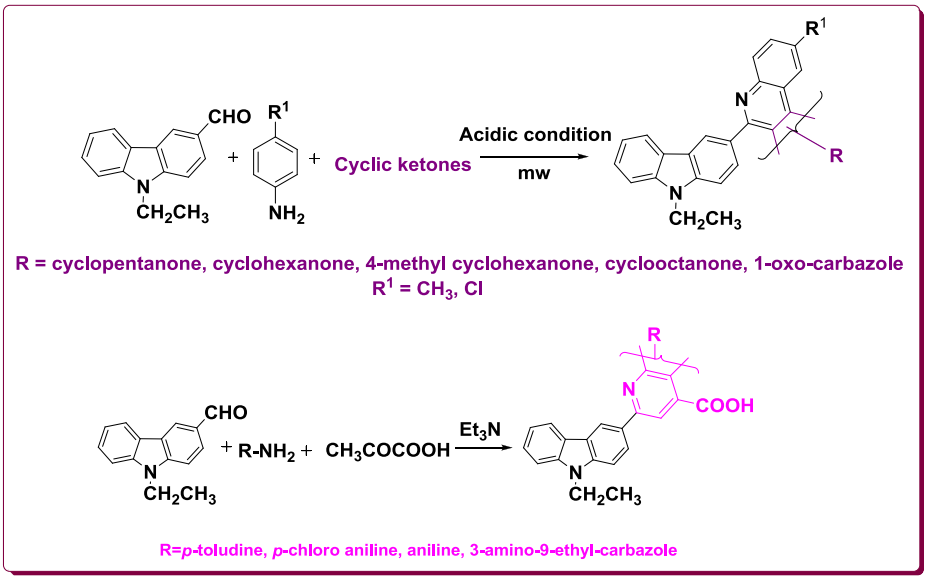


Heterocyclic Letters 10: iss.-3 (2020), 479-489

Efficient Synthesis of 3-(quinolin-2'-yl)carbazoles and 3-(quinolin-2'-yl)carbazole-4'-carboxylic acids

Leena Vairavelu[a], K. J. Rajendra Prasad[a]*

[a]*Department of Chemistry, Bharathiar University, Coimbatore-641046, India*
Corresponding author: Dr. K. J. Rajendra Prasad
Professor and Head
Department of Chemistry
Bharathiar University
Coimbatore-641046, India
prasad_125@yahoo.com, Tel: +91 422 2422311,



Heterocyclic Letters
Vol. 10| No.3|348-359|Feb–April|2020
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

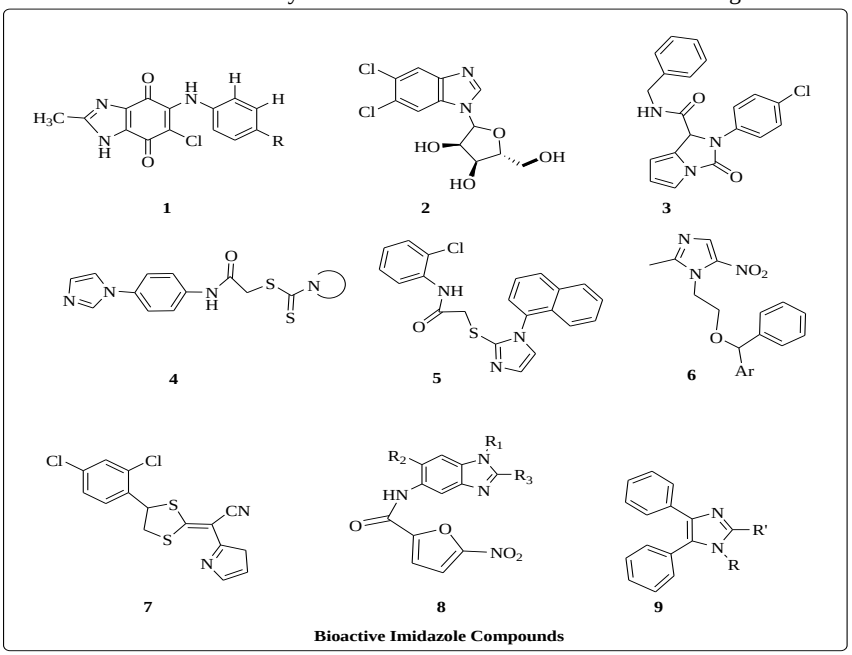
Heterocyclic Letters 10: iss.-3 (2020), 491-508

Synthesis and Biological activity of Imidazole derivatives

S. S. Wagh,[a] B. R. Patil,[b] H. M. Kasralikar[a*]

[a]Department Of Chemistry, Adarsh College, Hingoli,431513(M.S.)India
[b]Department Of Chemistry, Sharda Mahavidyalaya, Parbhani,431401 (M.S.) India
*[a]*Department Of Chemistry, L.B.S.college, Dharmabad, 431809 (M.S.)India*

This review includes the various methods for the synthesis of imidazole derivatives and its biological activities.



Bioactive Imidazole Compounds

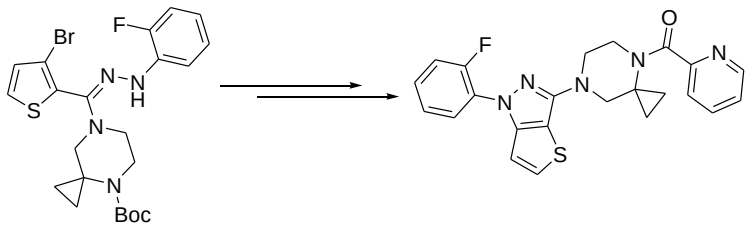
Heterocyclic Letters 10: iss.-3 (2020), 509-515

A facile synthesis and docking studies of pyridine containing 1*h*-thieno[3,2-c]pyrazole

Rajeshwari Madipelly

Telangana University#Dichpally, Nizamabad, Telangana 503322
Telangana University Dichpally, Nizamabad, Telangana 503322
Email: rajeshwarimadipelly79@gmail.com

A simple and efficient route is proposed for the synthesis of title compound starting from Bromothiophene-2-carboxylic acid. The newly synthesized compounds **4**-**9** were characterized by spectroscopic investigation. Docking studies for the target molecule was also presented.



Heterocyclic Letters
Vol. 10| No.3|348-359| May-July|2020
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Heterocyclic Letters 10: iss.-3 (2020), 517-524

Synthesis, Characterization and Biological Evaluation of heterocyclic 1,3 4 Thiadiazole derivatives and it's metal complexes

Ajay M.Patil[1] and Sunil R.Mirgane[2]*

[1]Department of Chemistry, Pratishthan College Paithan, Aurangabad-431107, [M.S.]-India
*[2]*Department of Chemistry, J E S College, Jalna-431203, INDIA [M.S.]-India*
E-*mail authors:* patilam4@gmail.com

A Novel heterocyclic 1,3,4 Thiadiazole derivatives and it's metal complexes of Fe(III),Co(II) have been synthesized successfully in a alcoholic medium. The synthesized derivatives as a ligand were confirmed by IR,Uv-Vis, ^{1}HNMR, ^{13}CNMR and Mass spectral analysis, Molar Conductance and elemental analysis whereas, Metal complexes is analyzed by IR,Uv-Vis,Magnetic susceptibility, Molar Conductance, elemental analysis. The ligand and its metal complexes show moderate to excellent antifungal activity against *A. Niger* and *F. Oxysporum* and antibacterial activity against *S. aureus* and *B.subtilis* using Kirby-Bauer disc diffusion method.