Heterocyclic Letters
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Graphical abstract

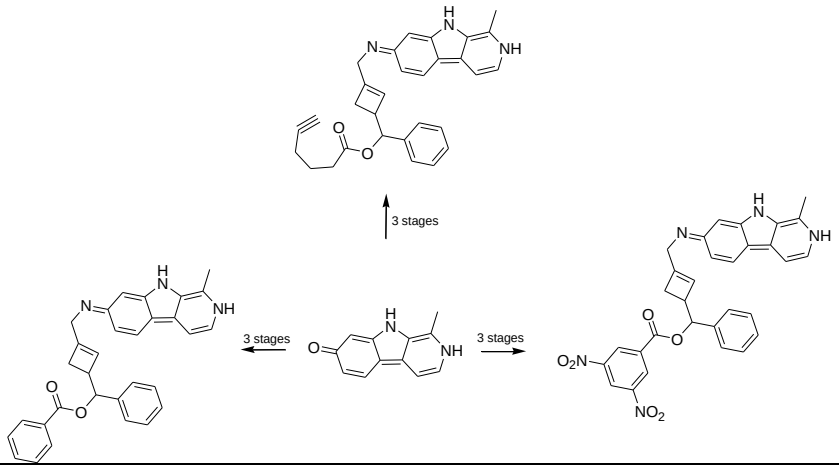
Paper-1	Heterocyclic Letters 12: iss.-4 (2022), 697-708

Design and synthesis of three ester-harmol derivatives: theoretical evaluation of their interaction with b1-cannabinoid receptor

López-Ramos Maria, Figueroa-Valverde Lauro*, Díaz-Cedillo Francisco, Rosas-Nexticapa Marcela, Alvarez-Ramirez Magdalena, Mateu-Armad Maria Virginia, Cervantes-Ortega Catalina, Melgarejo-Guutierrez Montserrat, Priego-Delgado K.

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 three ester-harmol derivatives. The structure of the compounds obtained was confirmed by elemental analysis, spectroscopy, and spectrometry data.



Paper-2	Heterocyclic Letters 12: iss.-4 (2022), 709-713

Synthesis of 8-(3-bromo-4,5-dimethoxy phenyl)-2-((4-chloro phenyl) amino)-4-imino-6-(4-nitrophenyl)-4h-pyrido [1,2-a] pyrimidine-3,9-dicarbonitrile

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A mixture of 8-(3-bromo-4,5-dimethoxyphenyl)-6-(nitrophenyl-4-imino-2-(methylsulfanyl)-4*H*-pyrido [1,2-*a*] pyrimidine-3,9-dicarbonitrile refluxed with 4-Chloroaniline was refluxed in presence of K_2CO_3 in DMF as solvent for 6 to 7 hours to get 8-(3-bromo-4,5-dimethoxyphenyl)-2-((4-chlorophenyl) amino)-4-imino-6-(4-nitrophenyl)-4H-pyrido [1,2-a] pyrimidine-3,9-dicarbonitrile. The structures for the synthesized compounds are assigned on the basis of IR, ^{1}HNMR and Mass spectral studies.

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Paper-3	Heterocyclic Letters 12: iss.-4 (2022), 715-718

Ester Enolate-Imine Condensation to Beta Lactam: Mechanism of the Reaction

Ram Naresh Yadav,[1] and Bimal Krishna Banik*[2]

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An ester enolate condensation with an imine produces substituted β-lactam. The mechanism of the process is advanced to explain the stereoselectivity.

Paper-4	Heterocyclic Letters 12: iss.-4 (2022), 719-720

Banik's Rule: Rapid Heating Forms Trans Beta Lactams with Diarylimines

Bimal Krishna Banik

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Banik's Rule. The author has found rapid heating of the reactants form trans β-lactams with diarylimines irrespective of the nature of the acid chlorides.

Paper-5	Heterocyclic Letters 12: iss.-4 (2022), 721-723

The Specificity of Bismuth Nitrate and Ceric Ammonium Nitrate in the Reaction with N-Anisyl β-Lactams

Ram Naresh Yadav[1] and Bimal Krishna Banik[2]*

[1]Department of Chemistry, Faculty of Engineering & Technology, Veer Bahadur Singh Purvanchal University, Jaunpur-222003 (U.P.) India; [2]Department of Mathematics and Natural Sciences, College of Sciences and Human Studies, Deanship of Research, Prince Mohammad Bin Fahd University, Al Khobar 31952, Kingdom of Saudi Arabia; Email: bimalbanik10@gmail.com; bbanik@pmu.edu.sa

The reaction of bismuth nitrate and ceric ammonium nitrate on N-para anisyl beta lactam follows two different routes.

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Paper-6 | Heterocyclic Letters 12: iss.-4 (2022), 725-735

Design and *in silico* evaluation of new azo barbituric acid analogs as possible anticancer agents

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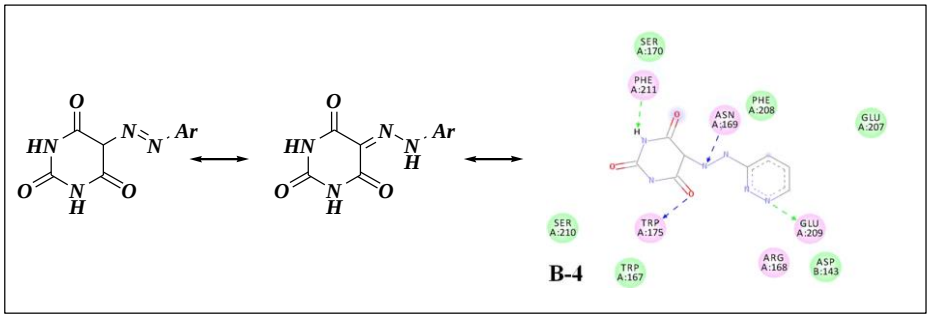
In the present study, the designed new azo barbituric acid analogs, possible anticancer agents were subjected to *in silico* screening. These compounds were studied for ADMET properties, drug-likeness and toxicity using pKCSM, SwissADME, ProTox-II web servers and followed by molecular docking with caspase-3 protein. The compounds showed good results and devoid of any mutagenicity and immunotoxicity. Using molecular docking studies, it was found that all the compounds interact with caspase-3. The designed compounds thus could be possible anticancer candidates.



Paper-7 | Heterocyclic Letters 12: iss.-4 (2022),737-744

Synthetic Development and Antioxidant Potential of 4-Methyl-8-(methylthio)-2, 6-dioxo 2, 6-dihydro-1H-pyrimido [1,2-a] pyrimidine-7-carbonitrile and its analogues.

S. G. Sontakke[a], D.B. Kadam[b], S. P. Vartale[c,*]

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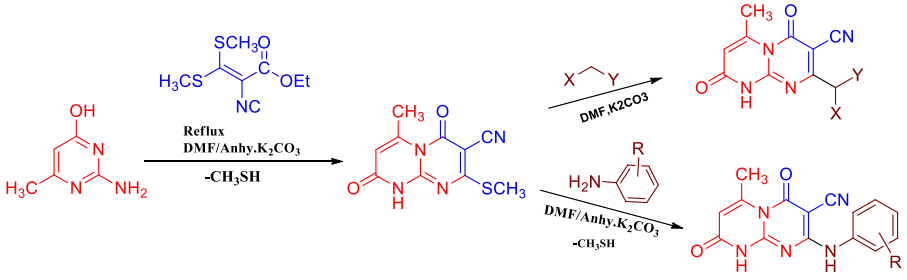
[b]*Indira Gandhi (Sr) College, CIDCO, Nanded-431605, (M.S), India*
[*c]*P.G. Research Centre, Dept. of Chemistry, Yeshwant Mahavidyalaya, Nanded-431602, (M.S), India,*
[*]*e-mail: spvartale@gmail.com*

One pot synthesis of 4-methyl-8-(methylthio)-2,6-dioxo-2,6-dihydro-1H-pyrimido[1,2-a]pyrimidine-7-carbonitrile as a parent compound then reacted with some carbon and nitrogen nucleophiles such as active methylene compounds and substituted anilines to afford the corresponding 8-substituted derivatives and studied their antioxidant activity.



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Paper-8	Heterocyclic Letters 12: iss.-4 (2022), 745-751

Synthesis, Characterization and Antifungal Activity of Metal Chelates of 2-phenyl-5-(8-hydroxyquinolin-5-yl)oxazole

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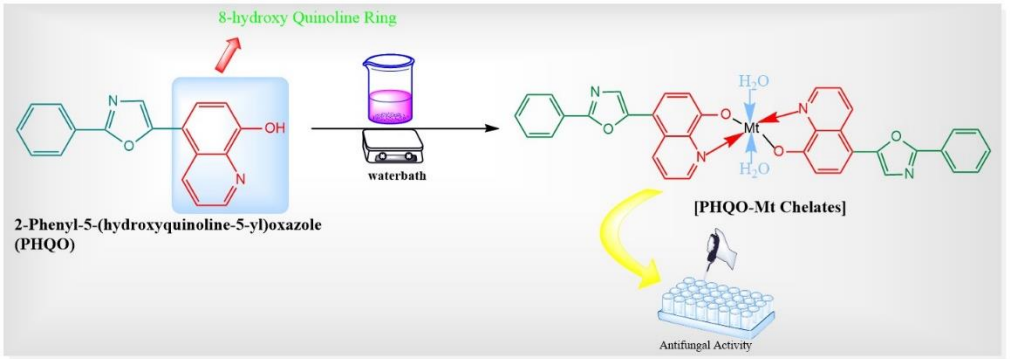
**Corresponding author Email:*

2-phenyl-5-(8-hydroxyquinolin-5-yl)oxazole (PHQO) was prepared by condensation of 5-chloroacetyl-8-hydroxy quinoline and benzyl amine. The various transition metal chelates of PHQO with Co^{2+}, Zn^{2+},Ni^{2+},Cu^{2+},Mn^{2+} metal ions were prepared and characterized. The antifungal activity of all these derivatives was evaluated against various fungi.



Paper-9	Heterocyclic Letters 12: iss.-4 (2022), 753-758

Synthesis of (3-(5-methyl-1-phenyl)-1H-1,2,3-triazol-4-yl)-4,5-dihydro-1H-pyrazol-1-yl) phenyl Methanone and its derivatives from substituted Anilines

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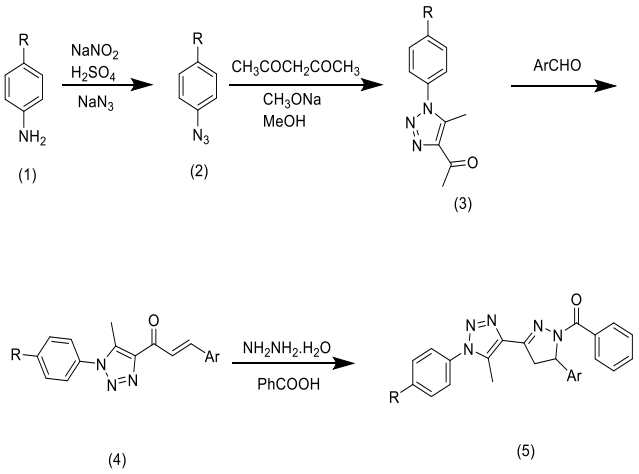
[a, b] Department of Chemistry, Institute of science, Homi Bhabha State University, Mumbai-32, Maharashtra, India. Email: sagaremohan@yahoo.in



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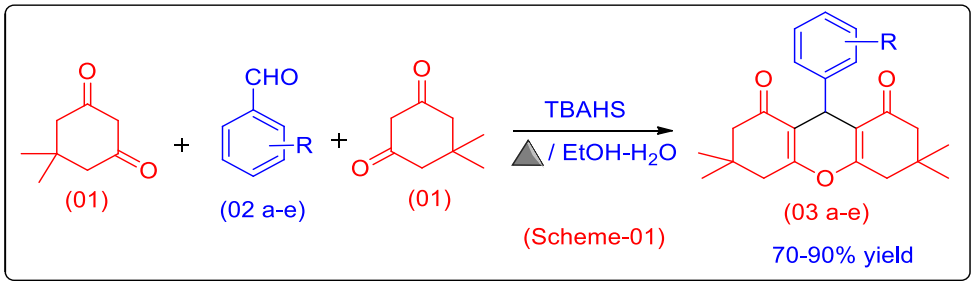
Paper-10	Heterocyclic Letters 12: iss.-4 (2022), 759-765

Synthesis and Biological activity of tetramethyl-hexahydro-1*H*-xanthene-1,8(2*H*)-dione Derivatives

Sunil S. Choudhare[1], Gopinath S. Khansole[2], Ashok R.Karad[3], Navanand B. Wadwale[4], Vijay N. Bhosale[*5]

[1]*Department of Chemistry, S. D. Mahavidyalaya,Soegaon, Aurangabad,(MS), India*
[2]*Department of Chemistry, D. A. B. N. College, Chikhali, Sangli,(MS), India.*
[3]*Department of Chemistry, M. G. M. Ahmedpur, Latur,(MS), India*
[4]*Department of Chemistry,M.S.G College Malegaon, Nashik, (MS), India*
[5]*Department of Chemistry, Yeshwant Mahavidyalaya, Nanded,(MS), India.*
E-mail: sunilchoudhare@gmail.comTel.: +91-2438-234395

Tetramethyl-hexahydro-1*H*-xanthene-1,8(2*H*)-dione Derivatives (3a-e) have been synthesized by the condensation of two moles of Dimedone and substituted Aromatic aldehydes in ethanol-water mixture using Tetra Butyl Ammonium Hydrogen Sulphate (TBAHS) as a green catalyst. The products have been assayed for their antimicrobial screening against Gram+ve and Gram-ve bacteria. Some of the products showed moderate activity when compared with known standard drug viz. penicillin at the same concentration 10µgm/ml. Spectroscopic technique are very good tools for the identification of compounds. The structures have been confirmed by 1H NMR,[13]C-NMR, IR, and Mass spectral data.



Paper-11	Heterocyclic Letters 12: iss.-4 (2022), 767-773

DBUH-I_3 complex catalysed synthesis of arylidene derivatives of pyrazole

R. Gawade,[a,b] P. Jadhav,[b] S. Shinde,[d] and P. Kulkarni*[a,c]

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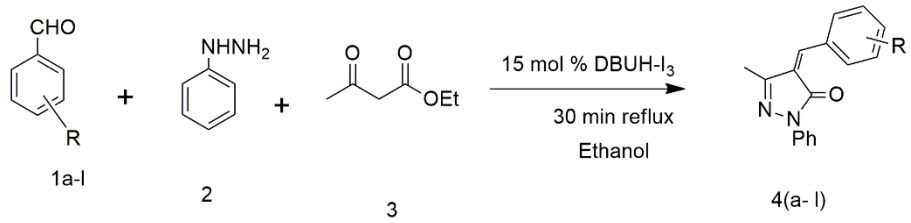
[b]S. M. Joshi College Hadapsar, Haveli, Pune 411028, Maharashtra, India
[c] Post Graduate Research Center in Organic Chemistry and Department of Chemistry Hutatma Rajguru Mahavidyalaya, Rajgurunagar Pune 410505, Maharashtra, India
[d]Annasaheb Awate College Manchar, Pune 410503, Maharashtra, India
(Affiliated to Savitribai Phule Pune University, Pune)
*Corresponding author email: pramodskulkarni3@gmail.com



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Paper-12 | Heterocyclic Letters 12: iss.-4 (2022), 775-781

Green synthesis, characterization and antimicrobial evaluation of some piperazine benzenamine imino derivatives

Satbir Singh[1,*], Seema Raj[1], Bhag C. Jat[2], Sunil K. Sharma[3] and Sucheta[1]

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[2]*Therachem Research Medilab, Sitapura, Jaipur, 302022, Rajasthan, India*
[3]*Department of Chemistry, Jaipur National University, Jaipur, 302017, Rajasthan, India*
**Email: singh.rsatbir@gmail.com*

The interaction of piperazine benzenamine (**A**) and substituted benzaldehyde (**B**) *via* a greener approach resulted in the synthesis of their imino derivatives (**C1 – C6**). The compound **A** was synthesized by green method using water as a solvent. The resultant compounds were characterized by elemental analysis, ^{1}H-NMR, IR spectral studies.
The *in-vitro* Antimicrobial activities of all the final compounds have proven that they are active against bacterial srains *S. aureus*, *E. coli* and fungal strains *C. albicans*, *A. niger*.

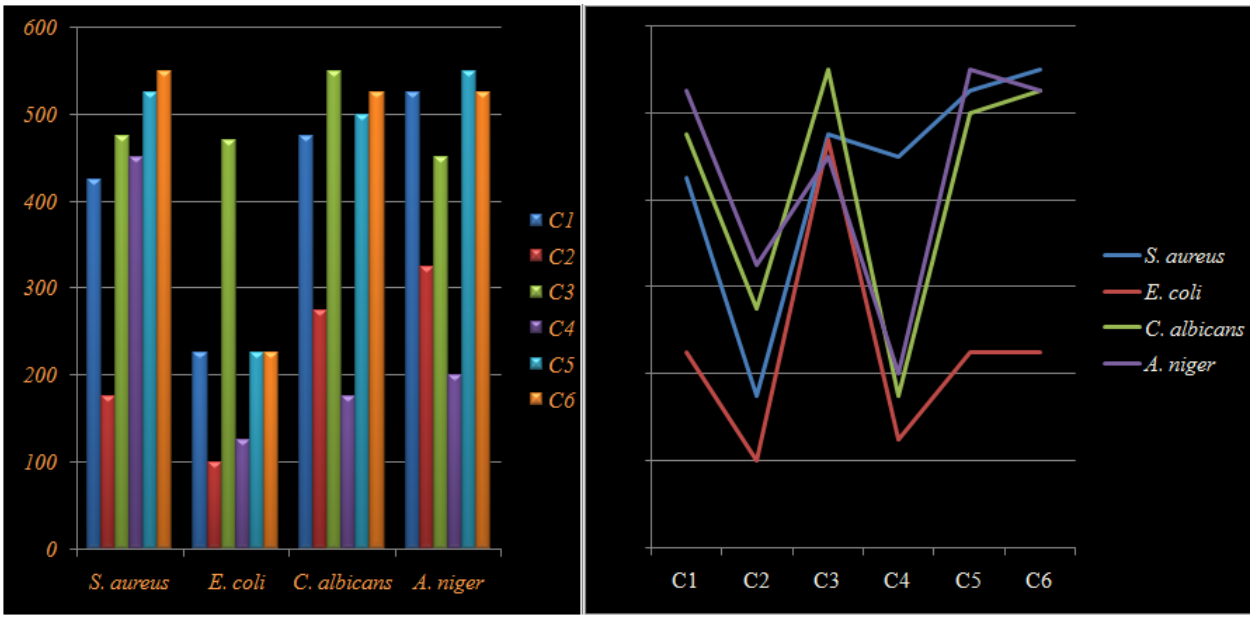

Figure 1(a & b). Antimicrobial activities of synthesized compounds C1-C6 (Y-axis stands for MIC data in µg/ml)

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Paper-13	Heterocyclic Letters 12: iss.-4 (2022), 783-788

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Microwave synthesis and evaluation of antimicrobial activity of novel 3,5 disubstituted-2-pyrazolines

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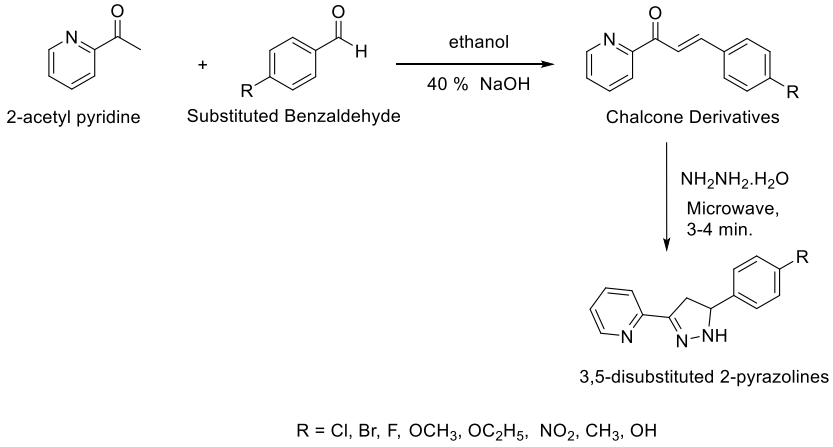
**Corresponding author Email: infoslkumbhare@yahoo.com*

The rapid, simple microwave-assisted synthesis of 3(pyridine-2-yl)-5-Phynyl-2-Pyrazoline derivatives
Reactions are performed neat at 600 watt for 2-3 minutes.



Paper-14	Heterocyclic Letters 12: iss.-4 (2022), 789-795

One-pot three component synthesis of benzylidene conjugated-1, 2, 4-triazines in glycerol

V. Anitha Rani*[1] and Y. Bharathi Kumari[2]

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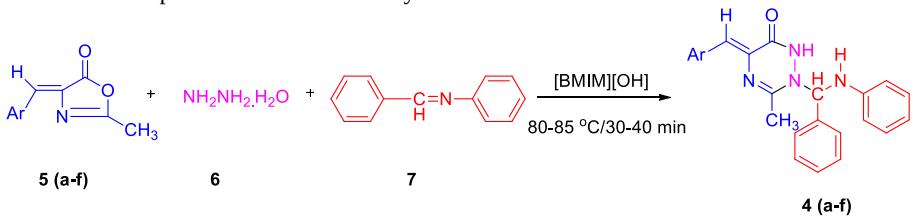
2. Department of Chemistry, Jawaharlal Nehru Technological University Hyderabad
College of Engineering, Kukatpally, Hyderabad (A.P), India - 500 085.
E-mail ID: anitha1810@gmail.com

One-pot three component reaction for the synthesis of Benzylidene conjugated-1, 2, 4-triazines **4a-4f** were depicted by the combination of (Z)- 4-(benzylidene)-2-methyl-oxazol-5(4H)- ones **1a-1f** with hydrazine hydrate **2** followed by Schiff base **3** in Glycerol as solvent in the presence of DBU as base catalyst for 30-40 min at 80-85 °C. The significance of this reaction incorporates more limited response time and excellent yield.



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Paper-15	Heterocyclic Letters 12: iss.-4 (2022), 797-804

Synthesis, molecular docking, molecular properties estimations, and antiinflamatory activity of 5, 7-dihydroxy-3' prenyl flavanone

Badikela Rama Krishna[a], Rathnakar Ch[a], Durgaprasad Kemisetti[b], Vijayaraj Surendran[c] and Parameshwar Ravula[c,*]

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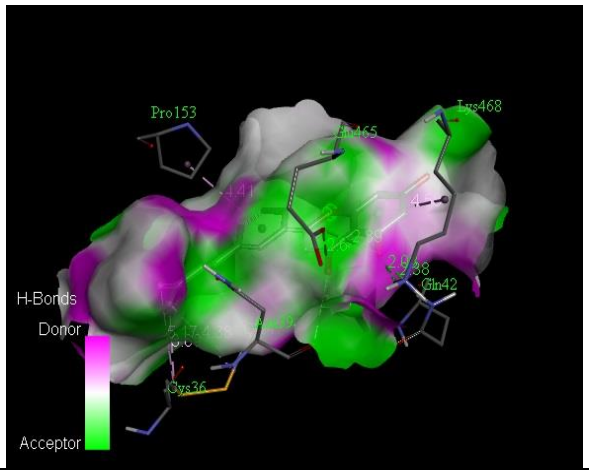
[b]*Faculty of Pharmaceutical Science, Assam Down Town University, Guwahati, Assam, India*
[c]*Department of Pharmaceutical Chemistry, Amity Institute of Pharmacy, Amity University, Gwalior, Madhya Pradesh, India*
**Corresponding author Email: parmipharma@gmail.com*

A novel compound of 5, 7-dihydroxy-3'- prenyl flavanone was synthesized by facile synthetic method and characterized by physical and spectral data. The anti-inflammatory screening of the synthesized compound was performed by *in vivo* using carragenan induced paw oedema method. *In silico* prediction of molecular and drug-likeness properties of synthesized compound was promising. Moreover, the synthesized compound showed significant docking interactions with COX-2 active site. Molecular docking results along with the biological data suggested that the tested compounds have the potential as valuable lead for antiinflammatory activity.

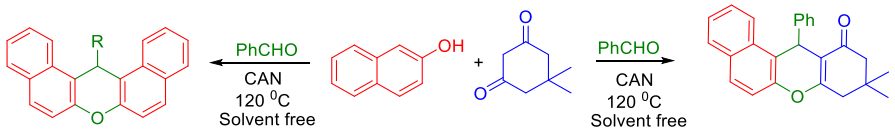


Paper-16	Heterocyclic Letters 12: iss.-4 (2022), 805-819

CAN mediated Multicomponent synthesis of benzoxanthene and benzochromene Libraries

Sneha Kumari[1], Sanjeev Kumar Jha[*]

[1]*Department of Chemistry, M. L. T. College Saharsa (A Constituent unit of B. N. Mandal University Madhepura), Bihar, India*
[*]*Department of Chemistry, M. L. T. College Saharsa (A Constituent unit of B. N. Mandal University Madhepura), Bihar, India*



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Paper-17 | Heterocyclic Letters 12: iss.-4 (2022), 821-829

Synthesis, characterization, antimicrobial activity and dna cleavage study of (E)-3-(((3-hydroxypyridin-2-yl) imino) methyl) quinolin-2-ol schiff base metal complexes

Anilkumar Ambala[a&c], D. Ravikumar[b], Balraj. G[c], K. Santhosh Kumar[a] and Ch. Abraham Lincoln[c*]

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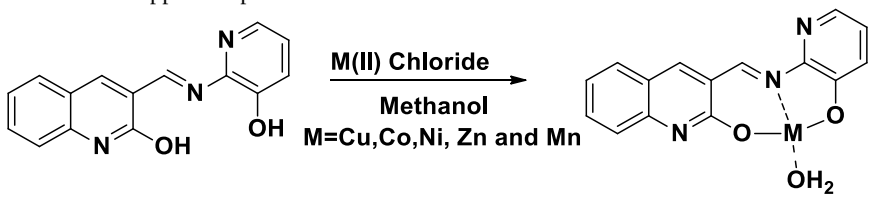
[b]Methodist college of engineering and technology, Abids, Hyderabad
[c]Department of chemistry, Osmania University, Hyderabad-500 007, India.
Email ID: lincoln.ab86@gmail.com.

A series of novel (E)-3-(((3-hydroxypyridin-2-yl)imino)methyl)quinolin-2-ol based metal complexes of Copper (II), Cobalt (II), Nickel (II), Zinc (II) and Manganese (II) have been synthesized. The ligand was synthesized by the condensation of 2-Hydroxyquinoline-3-carbaldehyde and 2-aminopyridin-3-ol in alcoholic medium and the metal complexes were synthesized by 1:1 metal to ligand ratio. The ligand and metal complexes were characterized by different analytical techniques such as FT-IR, UV-visible, Mass spectrometry, SEM, EDX, TGA and magnetic moment measurements. The ligand and all the metal complexes were tested for their antimicrobial activity and DNA cleavage studies. The antimicrobial screening results suggested that most of the metal complexes showed better activity compared to the free Schiff base ligand. In the DNA cleavage studies pUC18 DNA is used for cleavage experiment, complete cleavage of DNA occurred with the Nickel and Manganese complexes and Partial cleavage of DNA occurred with Zinc and copper complexes.

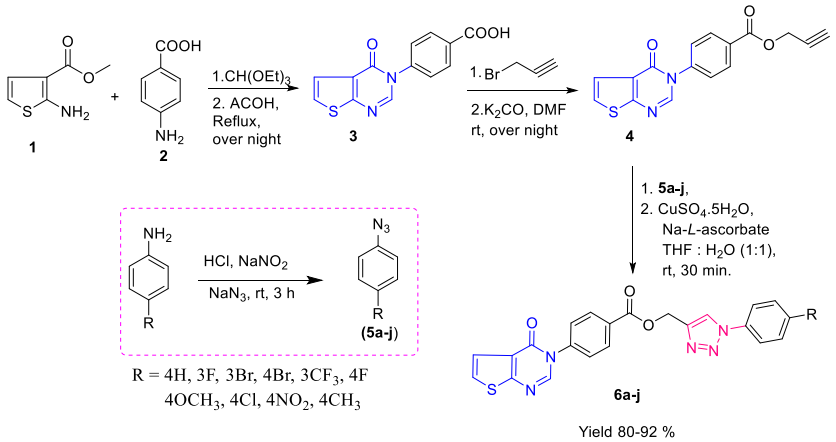


Paper-18 | Heterocyclic Letters 12: iss.-4 (2022), 831-843

Synthesis, biological evaluation and insilico studies of thieno[2,3-d]pyrimidine-1,2,3-triazoles

Begari Nagaraju[a], Vulichi R Srinivasa[b], Settyapalli Triloknadh[a], Maddineni Aruna Kumari[a], Muthirevula Rajeswari[a], Chunduri Venkata Rao[a]*

[a]Department of Chemistry, [b]department of Biochemistry, Sri Venkateswara University, Tirupati 517 502, Andhra Pradesh, India
Tel: +91-9849605140, E-mail: cvrsvu@gmail.com



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REVIEWS

Review no.1	Heterocyclic Letters 12: iss.-4 (2022), 845-853

Review on methods used in isolations of phytochemicals from medicinal plants

Ajay B. Jadhao

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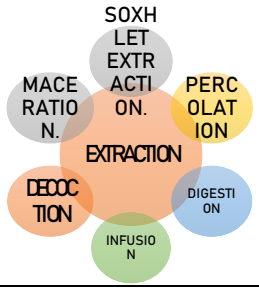
Plants contain secondary metabolites such as Alkaloids, flavonoids, phenolics, tannins, saponins, steroids, glycosides, terpenes, etc. Today, it is very crucial to develop effective and selective methods for the extraction and isolation of new natural products. Phytochemicals are now determined using a variety of contemporary techniques maceration, infusion, decoction, percolation, digestion, Soxhlet extraction, aqueous-alcoholic extraction by fermentation, supercritical fluid extraction, etc. These types of techniques are very useful in the extraction process. however, qualitative assays are still widely used for basic phytochemical screening of plants. So, for convenience, for newly researcher, the review is focusing on some important methods used to isolate phytochemicals present in plants.



Review no.2	Heterocyclic Letters 12: iss.-4 (2022), 855-869

Review: Chalcones as natural products and their derivatives in biological activities

F.M.Zahou[a], Ruba A. Alolayan[b] , Nadia A.A.Elkanzi[b*]

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[b]Chemistry Department, college of Science, Jouf University, sakaka, 2014, Saudi Arabia
Corresponding author (N.A.A.Elkanzi) & E-mail: nahasan@ju.edu.sa & kanzi20@yahoo.com

This review provides pharmacological activity, synthesis and biological activity of chalcones