Heterocyclic Letters
Vol. 11| No.4 |492-505|August-October|2021
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
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Graphical Abstract

Paper-1	Heterocyclic Letters 11: iss.-4 (2021), 507-514

Topical anti-inflammatory and hydrogen peroxide scavenging evaluation of nicotinamide: description in the early stages of anti-inflamatory drug discovery process, with and without the use of animals

Kamel Mokhnache[1*], Ahlem Karbab[1], Soraya Madoui[1], Hanane Khither[1], El-Khamsa Soltani[1], Walid Bououden[2], Salim Madani[1], Noureddine Charef[1]

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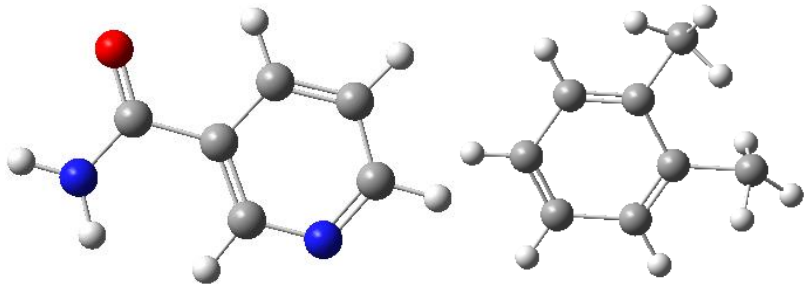
***Corresponding authors**: E-mail address: kamelmokhnache@yahoo.com*

Topical anti-inflammatory activity of Nicotinamide was investigated in Xylene-induced ear edema in mice model.



Paper-2	Heterocyclic Letters 11: iss.-4 (2021), 515-520

Design and synthesis of a new diazocine-5,8-dione using some chemical strategies

Rosas-Nexticapa, Marcela[1], Figueroa-Valverde Lauro[2, *], Díaz-Cedillo Francisco[3], López-Ramos Maria[2], Mateu-Armad Maria Virginia[1], Alvarez-Ramirez Magdalena[1], Lopez-Gutierrez Tomas[2], Benitez-Coeto Laura[1], Cauch-Carrillo Regina[2]

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[2]Escuela Nacional de Ciencias Biológicas del Instituto Politécnico Nacional. Prol. Carpio y Plan de Ayala s/n Col. Santo Tomas, D.F. C.P. 11340, México
[3]Facultad de Nutrición, Universidad Veracruzana, Médicos y Odontologos s/n C.P. 91010, Unidad del Bosque Xalapa Veracruz, México
**Correspondence: lfiguero@uacam.mx*

Several diazocine analogs have been synthesized; however, some protocols use expensive reagents which are difficult to handle. The aim of this research was to synthesize a new diazocine-5,8-dione using some chemical strategies. Chemical structure of the compounds was confirmed using elemental analysis and NMR spectrum. In conclusion, in this research, is reported a facile synthesis of a new diazocine-5,8-dione which require no special conditions such as different pH and higher temperatures.

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Scavenging Potential of Ascorbic Acid, Gallic Acid and α-Tocopherol Towards Electrochemically Generated Superoxide Anion Radical and Evaluation of Their Interaction Using Cyclic Voltammetry

Soulef Benabdesselam[1], Oumelkheir Rahim[2*]

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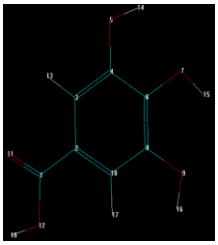
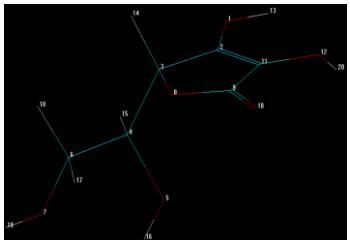
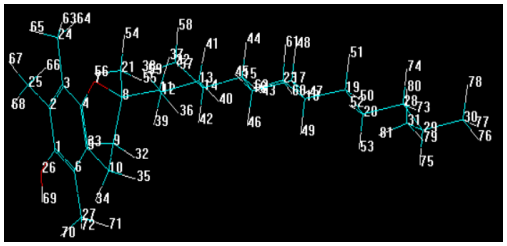
[2] *Pollution & Waste Treatment Laboratory, Chemistry Department, Faculty of mathematics and Matter sciences, University Kasdi Merbah, Ouargla 30000, Algeria.*
** E-mail Corresponding author:* ***rahioumelkheir@gmail.com***

The trapping potential of the electrochemically generated superoxide anion radical by three natural phenolic compounds, ascorbic acid (AA), gallic acid (GA) and α-tocopherol (α-T) was successfully evaluated by cyclic voltammetry.

➢ **Behavior of superoxide in presence of AA,** GA and α-T

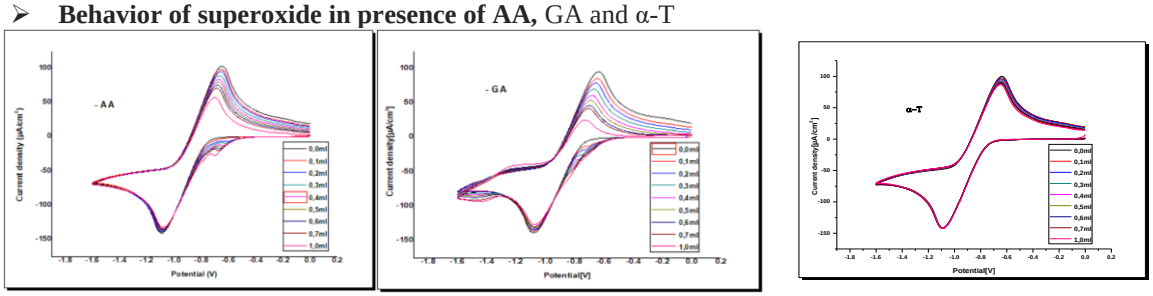


Fig.1. Voltammograms of $O_2^{\bullet-}$ in the presence of different concentrations of **AA,** GA and α-T respected in DMF+0.02 M Bu_4NBF_4 on CV as working electrode at 28 °C.

➢ **Quenching of superoxide anion radical by antioxidants**

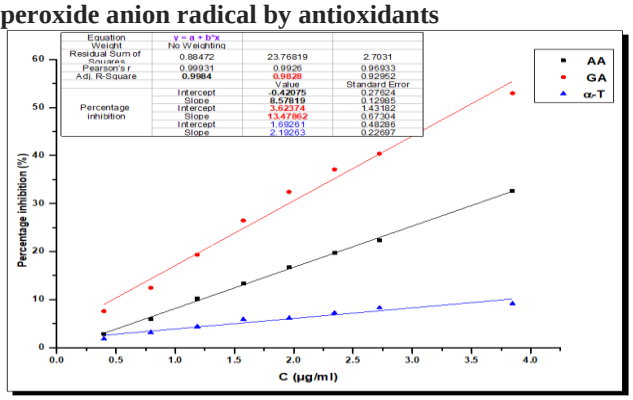


Fig.2. Plotting of scavenging of superoxide anion against the corresponding concentration of AA, GA and α-T

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Paper-4	Heterocyclic Letters 11: iss.-4 (2021), 533-544

A novel approach for the microwave-assisted synthesis of 5-substituted 1H-tetrazoles catalyzed by micro and mesoporous materials

Farah Belalia[a], Nabila Bouchiba[b], Mohamed El Hadi Benhalouche[a] and Mohammed Hamadouche[a*]

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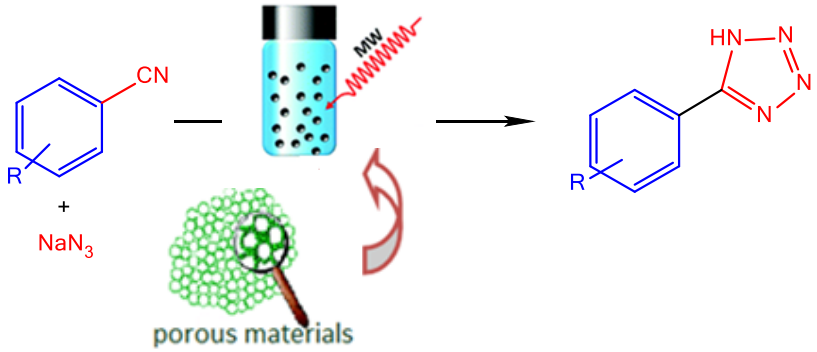
[b] Laboratoire de Chimie des Matériaux,
[a,b] Université Oran1 Ahmed Ben Bella, BP 1524 El M'naouer, Oran 31000, Algérie.
[*] Corresponding author Email : hamadouche.mohammed@univ-oran1.dz



Paper-5	Heterocyclic Letters 11: iss.-4 (2021), 545-554

H-Y zeolite: a reusable catalyst for one-pot ultrasound-assisted synthesis of bicyclic Δ²-1,2,3-triazolines

Yasmine Rahma Hachemi[1*], Nabila Bouchiba[2], Mohammed Hamadouche[1*]

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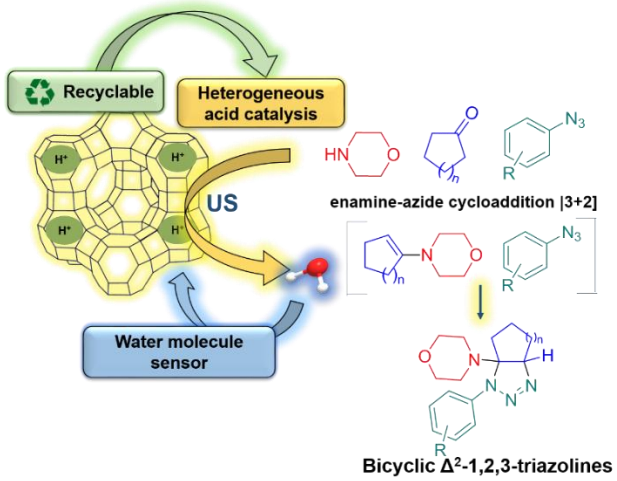
[1,2] Département de Chimie, Faculté des Sciences Exactes et Appliquées, Université Oran1, BP 1524 El M'naouer, Oran 31000, Algérie.
[*]E-mail: hamadouchemed@yahoo.fr
yasmine.h31@gmail.com



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Paper-6 | Heterocyclic Letters 11: iss.-4 (2021), 555-558

Synthesis of some novel heterocyclic azo-dye by using meldrum's acid

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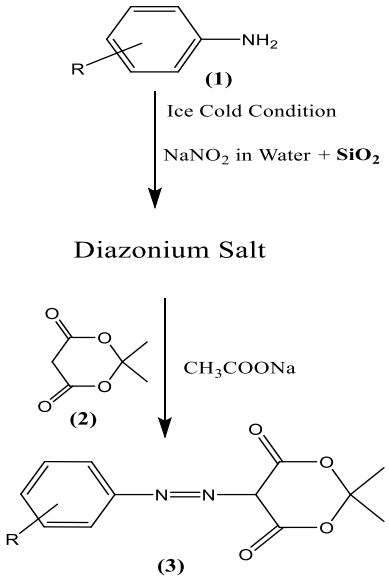
gunwanti89@gmail.com

A simple and efficient method has been devised for the synthesis of 2,2-dimethyl-5-(substituted phenyldiazenyl)-1,3-dioxane-4,6-dione (**3)** by reaction of Meldrum's acid and diazonium salt using catalytic amount of sodium acetate in ethanol. The structures of the products were confirmed by IR, ^{1}H and ^{13}C NMR.



Paper-7 | Heterocyclic Letters 11: iss.-4 (2021), 559-567

An Investigation to the in silico Design and Synthesis of N-Substituted Amino Thiophenes as Novel Cyclooxygenase-2 Inhibitors

Vysakh C C[a*], Sherin A Hameed[a]

a.Department of Pharmaceutical Chemistry, College of Pharmaceutical Sciences, Government Medical College, Trivandrum

2-Amino thiophene act as synthons for biologically active organic molecules. Computational screening performed on a series of 2-amino thiophene scaffolds and evaluated their potential to be a lead for development of novel drugs for the inhibition of Cyclooxygenase-2. Top ranked compounds were synthesized via modified Gewald's reaction and were characterized by physical and spectral methods.

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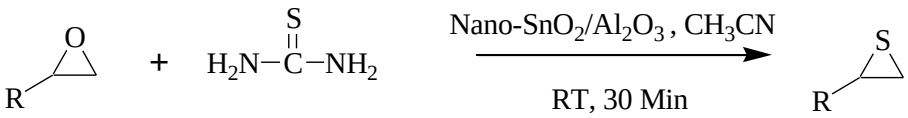
Paper-8 | Heterocyclic Letters 11: iss.-4 (2021), 569-577

An Efficient conversion of epoxides to thiiranes with thiourea catalyzed by nano crystalline SnO_2/Al_2O_3

K. PhaniRaja,[a*] Shravya Pachipulusula[a] and P. Malleswarareddy[b]

[a]*Inorganic and Physical Chemistry Division, Gitam University, Hyderabad – 500 007, India.*
[b]*Department of Chemistry, Sri Krishnadevaraya University, Anantapuramu, Andhra Pradesh, India. – 515003.*

A heterogenious catalyst nano crystalline SnO_2 dispersed on amorphous alumina was prepared by simple hydrothermal method. This catalyst was employed for one-pot conversion of epoxides to thiiranes. in good to excellent yields. The catalyst was recovered quantitatively by simple filtration and reused several times with almost consistent activity.



R = C_6H_5, 4-F-C_6H_5, 4-Me-C_6H_5, cylclohexane, cyclopentane, cyclooctane, 2,3-dimethyl, $C_6H_5OCH_2$, 3-NO_2-$C_6H_5OCH_2$,4-Me-$C_6H_5OCH_2$, 4-Cl-$C_6H_5OCH_2$, 3-MeO-$C_6H_5OCH_2$

Paper-9 | Heterocyclic Letters 11: iss.-4 (2021), 579-584

[BMIM] BF4: An efficient ionic liquid medium for the synthesis of 1, 2, 4-triazine derivatives

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

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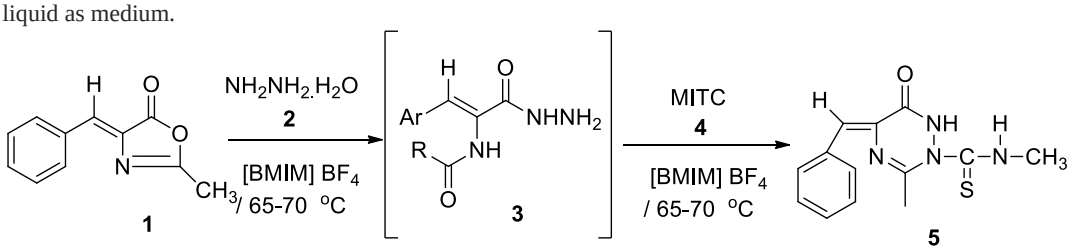
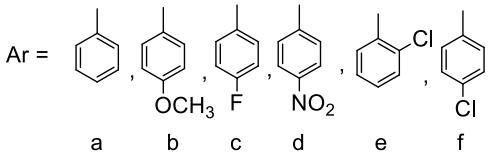
2. Department of Chemistry, Jawaharlal Nehru Technological University Hyderabad College of Engineering, Kukatpally, Hyderabad (A.P), India - 500 085.
E-mail ID: anitha1810@gmail.com

A series of new (Z)-3-allkyl-5(benzylidene/substituted benzylidene)-2N-(carbothioamido)-6-oxo-1,2,5,6-tetrahydro-1-N*H*-1,2,4-triazine derivatives have been synthesized in [BMIM] BF4 as ionic liquid without catalyst for 30-40 min at 65-70 °C with good yields. This method has the remarkable advantages of good yields, straightforward protocol, being environmentally friendly, short reaction times and mild reaction condition. Here the need for catalyst and solvent are avoided by using the catalytically active ionic liquid as medium.





R= CH_3 , C_6H_5
a b

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Paper-10 | Heterocyclic Letters 11: iss.-4 (2021), 585-604

Synthesis, Molecular Docking Study and Anticonvulsant Activity of Novel Schiff Bases of 7-Amino-5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one

Pankaj R. Nilkanth[a], Sujit K. Ghorai[b], Sujit B. Bhalekar[a], Nanasaheb S. Gaikwad[c], Arulmozhi Sathiyanarayanan[d] and Sharad N. Shelke[c,*]

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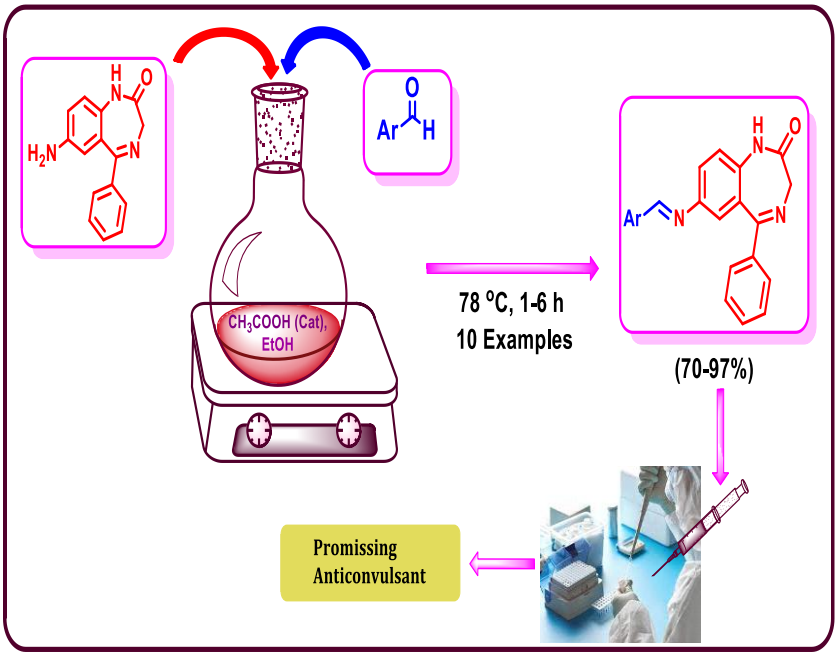
[b]Syngenta Biosciences Pvt. Ltd., Santa Monica Works, Corlim, Ilhas, Goa 403110, India
[c,]Department of Chemistry, R. B. Narayanrao Borawake College, Shrirampur, Ahmednagar, Maharashtra 413709, India, e-mail: snshelke@yahoo.co.in*
[d]Department of Pharmacology, Poona College of Pharmacy, Bharati Vidyapeeth, Erandwane, Pune, Maharashtra 411038, India
[*]E-mail: snshelke@yahoo.co.in

- The newly synthesized azomethine derivatives were screened for their anticonvulsant activities in the rat using picrotoxin-induced seizure model and found active.
- 05 of the 10 synthetic derivatives displayed 100% protection.
- None of the synthesized compounds induced motor deficits in experimental animals.
- *In silico* ADMET results and *in vivo* acute oral toxicity study indicated that the designed azomethine derivatives have very good pharmacokinetic profile to become a potential drug candidate.
- Molecular docking study revealed positive interaction between ligand and protein which give leads for future trial.



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Paper-11 | Heterocyclic Letters 11: iss.-4 (2021), 605-613

Convenient Green approach for one pot synthesis of 1, 8 dioxo-octahydroxanthene derivatives by Co_3O_4 nanoparticles catalysis in aqueous media

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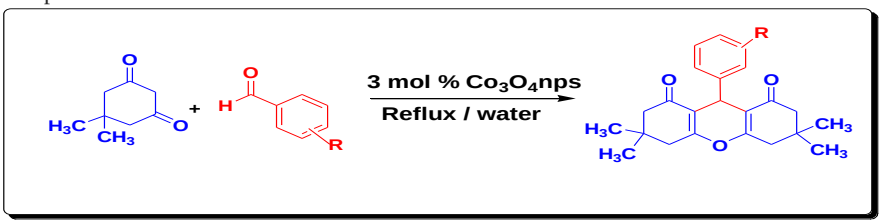
[a]Department of Chemistry K.S.K.W. Arts, Commerce and Science College Nashik 422008 Maharashtra India
[b]Department of Chemistry H.P.T. Arts & R.Y.K. Science College Nashik 422 005, Maharashtra India
[c]Colagen Research Pvt. Ltd. Nashik 422013 Maharashtra India
Corresponding author Email: savitathakare@cidcocollegenashik.ac.in

An efficient and green methodology to the synthesis of 1,8-dioxo-octahydroxanthene derivatives 5,5 dimethyl 1,3 cyclohexanedione and aromatic benzaledheyde. Reactions mixture was refluxed in water and catalysed by 3 mol% Co_3O_4 nanoparticles.

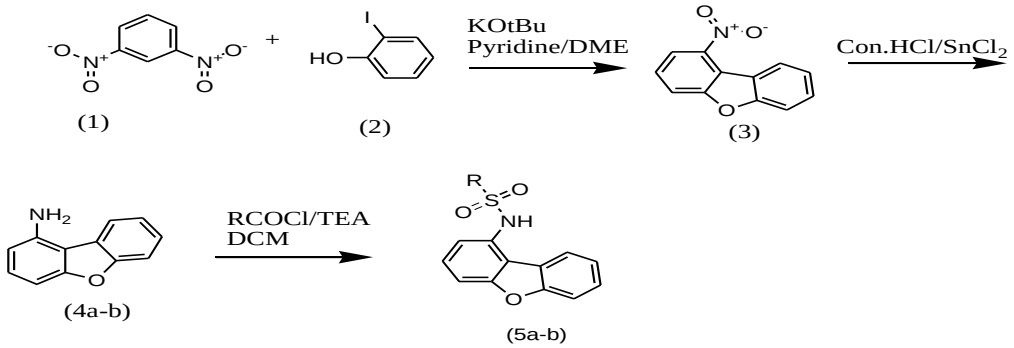


Paper-12 | Heterocyclic Letters 11: iss.-4 (2021), 615-620

Synthesis, spectral, thermal and antimicrobial activity analysis of amino substituted dibenzofuran derivatives

S.Syed Shafi[1*], R.Subaash[1,2], R.Rajesh[2] , S.Senthilkumar[3]

The derivatives of 1-amino dibenzo[b,d]furan by alkyl and aryl substitution were synthesised via 1,3-dinitrophenol with iodophenol compound. These compounds were characterized by various techniques.



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Paper-13 | Heterocyclic Letters 11: iss.-4 (2021), 621-625

Synthesis of 3-chloro-1-phenyl-4-(1,3-diphenyl-1h-pyrazol-4-yl)azetidin-2-one

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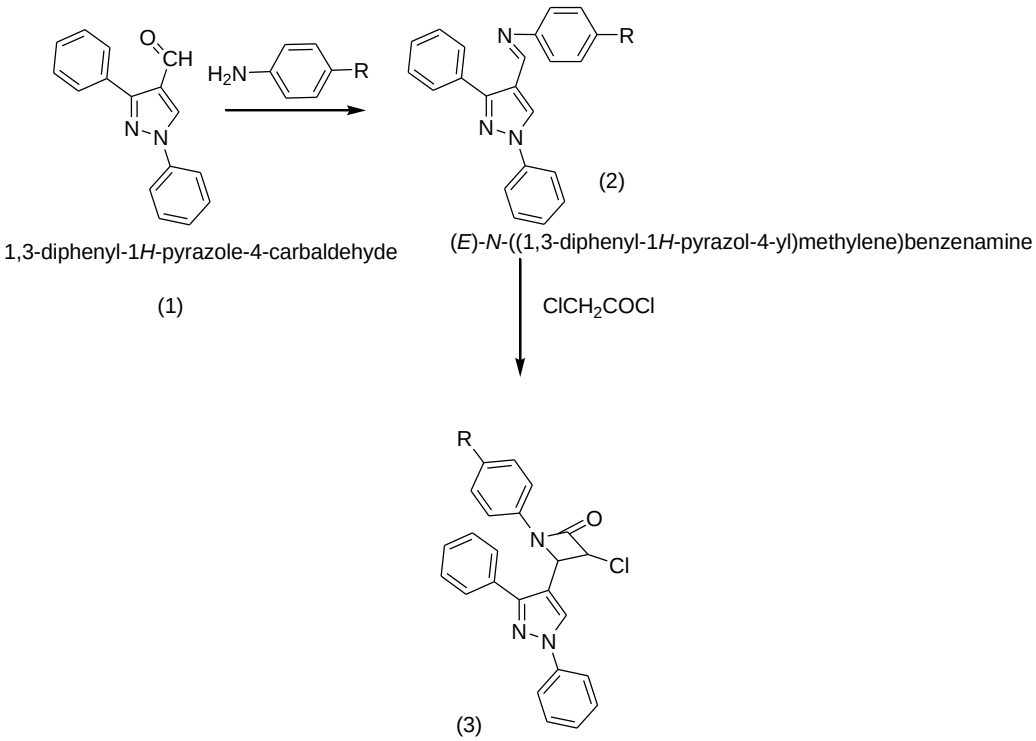
Rajiv Gandhi University of Knowledge Technologies-AP
Biological E.Ltd company ,shameerpet,Hyd
Email ID;-muralisphd@gmail.com

Schiff base synthesis of 3-chloro-1-phenyl-4-(1,3-diphenyl-1H-pyrazol-4-yl)azetidin-2-one were carried out by the condensation of (1,3-diphenyl-1H-pyrazole-4-carbaldehyde with schiff base to obtain (E)-N-((1,3-diphenyl-1H-pyrazol-4-yl)methylene)benzenamine, this reaction was subjected in Chloroacetyl chloride. .The structure of these newly synthesized compounds were characterised by ^{1}H NMR,^{13}CNMR ,Mass ,IR, and elemental analysis.



1,3-diphenyl-1*H*-pyrazole-4-carbaldehyde (1)

(*E*)-*N*-((1,3-diphenyl-1*H*-pyrazol-4-yl)methylene)benzenamine (2)

3-chloro-1-phenyl-4-(1,3-diphenyl-1*H*-pyrazol-4-yl)azetidin-2-one (3)

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Paper-14 | Heterocyclic Letters 11: iss.-4 (2021), 627-637

Anti-Cancer Docking Investigations, Anti-Oxidant Properties and Microwave-Assisted Synthesis of 1-(4-((2-((7-Hydroxy-1, 8-Naphthyridin-2-yl) Amino)-6-Phenylpyrimidin-4-yl) Amino)Phenyl)-3-Arylprop-2-en-1-Ones

B. Srinivasa Reddy, M. Rajeshwari, P. Bhaskar, D. Ramesh and E. Laxminarayana*

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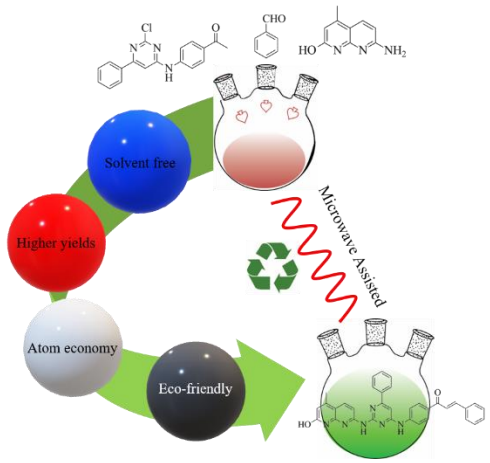
[2]Telangana University Dichpally, Nizamabad-503322 Telagana India.
[3]Nalla Narasimha Reddy Education Society's Group of Institutions Integrated Campus, Korremula 'X' Road, Chowdariguda (Vill), Ghatkesar (Mandal), Medchal (Dist), Hyderabad. – 500088
[4]Department of Chemistry and Pharmaceutical Sciences, Mahatma Gandhi University, Nalgonda-508544, India..
[5]Sreenidhi Institute of Science and Technology (Autonomous), Ghatkesar, Hyderabad-501 301 Telangana India.

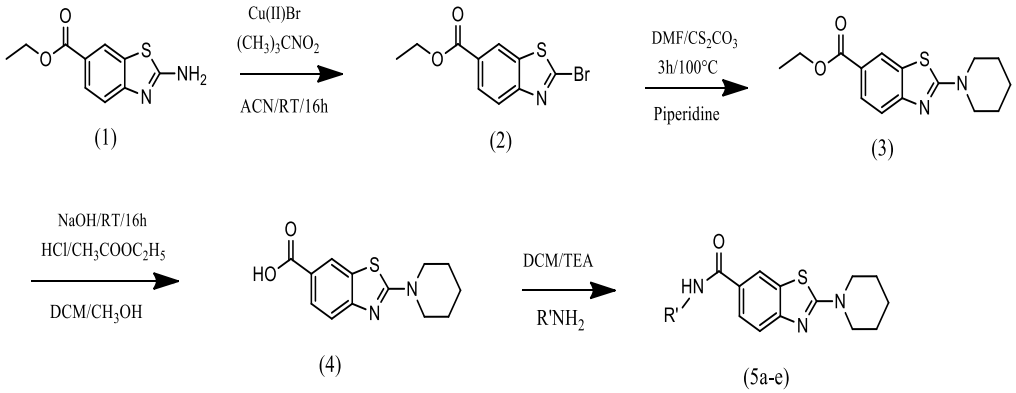


Paper-15 | Heterocyclic Letters 11: iss.-4 (2021), 639-655

Preparation and antimicrobial activities of new piperidine substituted benzothiazole derivatives

S.Syed Shafi[1], R.Rajesh[1, 2], R.Subaash[2] , S.Gopinath[3]

Benzothiazoles have played an important role in the field of biochemistry and medicinal chemistry due to their highly pharmaceutical and biological activity. In this paper, we reported the synthesis of piperidine substituted benzothiazole derivatives.



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Paper-16 | Heterocyclic Letters 11: iss.-4 (2021), 657-666

Synthesis, characterization and biological studies of Quinazoline Based Heterocyclic Schiff Base and its transition metal complexes

B. Ramu[a*], P. Malleswarareddy[b] and K. Sudhakar babu[c].

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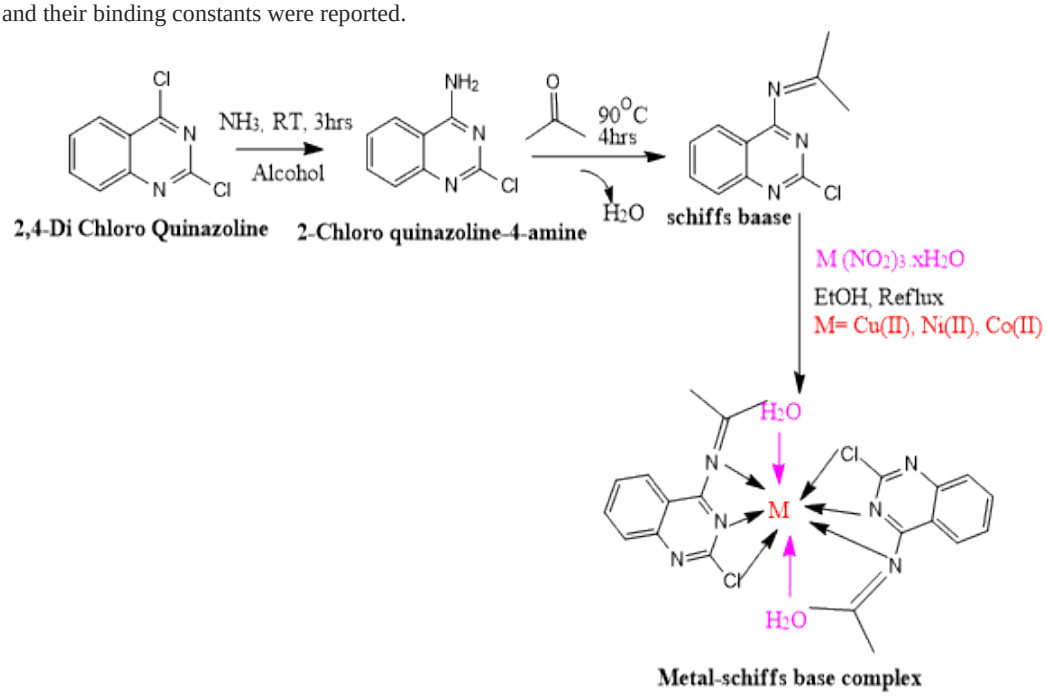
E.Mail: bolisettyramu@gmail.com

Cu (II), Co (II), and Ni (II) metal complexes of a new N, N- Bi dentate hetero cyclic Schiff base, which was synthesized by the reaction of 2-chloroquinazolin-4-amine with acetone and characterized on the basis of electronic, IR, and ^{1}H NMR spectra. It has been found that the Schiff base behaves as a neutral tridentate N, N ligand forming chelates with 1:2 stoichiometry. The complexes of Co(II), Ni(II) and Cu(II)are proposed to have suggested to have octahedral geometry. Schiff base and metal complexes shows good antibacterial activity against *E. Coli*. All the three metal complexes were shown high affinity to words CT-DNA and their binding constants were reported.



2,4-Di Chloro Quinazoline 2-Chloro quinazoline-4-amine **Metal-schiffs base complex**

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Paper-17 | Heterocyclic Letters 11: iss.-4 (2021), 667-673

Synthesis of some pyrazolo (3,4-b) pyridine derivatives proven effective as antibacterial and antifungal activity

Archana D. Jadhav[a*], Pankaj Barhate[a], Ayesha Durrani[b]

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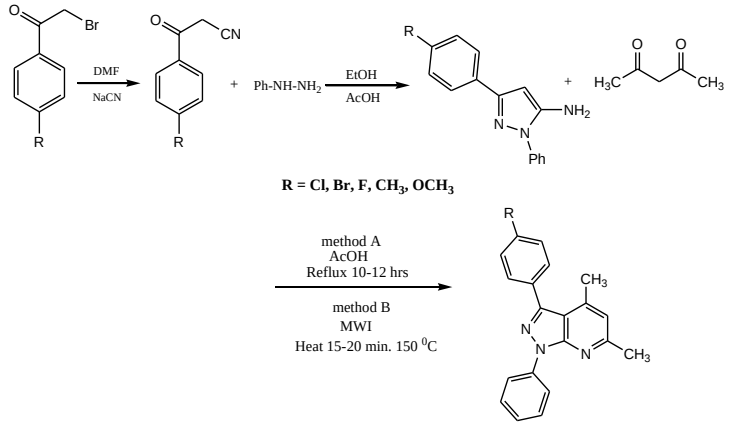
b. Department of Chemistry, Dr. Rafiq Zakaria College of Womens, Naukhanda, Aurangabad, Maharashtra, India
*Corresponding author **Email: archu1990jadhav@gmail.com**



Paper-18 | Heterocyclic Letters 11: iss.-4 (2021), 675-682

Activated fly-ash promoted cost effective and green synthesis of hexahydroacridine-1,8(*2h,5h*)-diones in aqueous medium

Arvind Kumar Pandey[a], Akhilesh Kumar*[b], Pragati Singh[c] and Santosh K. Srivastava[c]

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[b]Department of Chemistry, P. B. P.G. College, Pratapgarh UP India 230002
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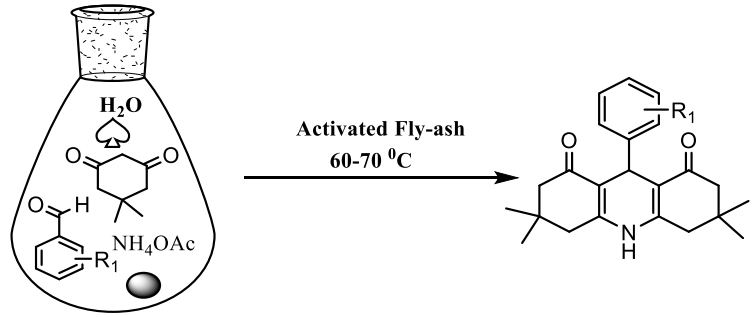
A simple approach to the synthesis of hexahydroacridine-1,8(2*H*,5*H*)-dione via one-pot three component condensation of aromatic aldehydes, dimedone, and ammonium acetate in water as solvent with use of activated fly ash, an industrial waste (pollutant) as an efficient catalyst is described. Excellent yields, catalyst recovery and reusability, easy work-up, environmentally benign clean and green processes are attractive features of this protocol. All the synthesized hexahydroacridine-1,8(2*H*,5*H*)-dione were characterized on the basis of their melting-points, elemental analysis and spectral data.



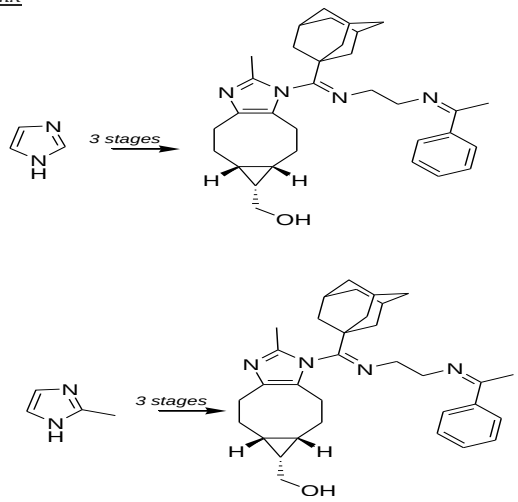
Heterocyclic Letters
Vol. 11| No.4 |492-505|August-October|2021
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Paper-19 | Heterocyclic Letters 11: iss.-4 (2021), 683-691

Facile synthesis of two adamantyl derivatives using some chemical strategies

Figueroa-Valverde Lauro [*], Díaz-Cedillo Francisco, Rosas-Nexticapa, Marcela, López-Ramos Maria, Mateu-Armad Maria Virginia, Alvarez-Ramirez Magdalena, Lopez-Gutierrez Tomas.

*University Autonomous of Campeche, Av. Exhacienda Kala, Exhacienda Kala s/n, C.P. 24087, San Francisco de Campeche, Mexico. [1]*Laboratory of Pharmaco-Chemistry, Faculty of Chemical Biological Sciences, University Autonomous of Campeche, Av. Exhacienda Kala, Exhacienda Kala s/n, C.P. 24087, San Francisco de Campeche, Mexico.*
[2]*Escuela Nacional de Ciencias Biológicas del Instituto Politécnico Nacional. Prol. Carpio y Plan de Ayala s/n Col. Santo Tomas, D.F. C.P. 11340, México*
[3]*Facultad de Nutrición, Universidad Veracruzana, Médicos y Odontologos s/n C.P. 91010, Unidad del Bosque Xalapa Veracruz, México*
**Correspondence: lfiguero@uacam.mx*



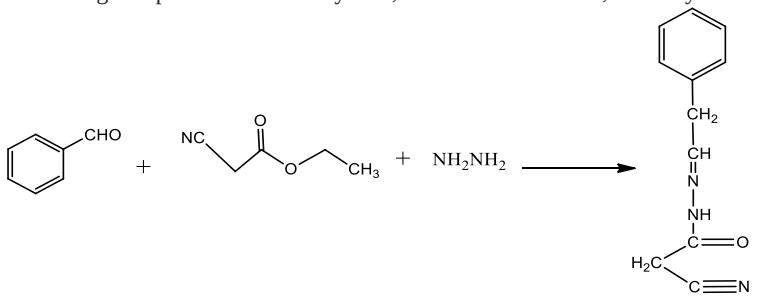
Paper-20 | Heterocyclic Letters 11: iss.-4 (2021), 693-698

One-pot multi-component grinding synthesis of cyanoacetyl hydrazene ligands

Gyan Singh Sisodiya

S.M.S. Govt. Science College Gwalior (M.P.)

A rapid, improved and ecofriendly synthesis of cyanoacetyl hydrazene ligands is carried out via one-pot multicomponent reaction of ethyl cyano acetate (1 mmol) and hydrazine hydrate (1 mmol) and aromatic aldehyde (1 mmol) in pestle mortar at room temperature. The structures of the synthesized products were assigned on the basis of IR and NMR spectral data. The majoradvantageous features of this green protocol excellent yields, shorter reaction time, and easy work-up.



Heterocyclic Letters
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CODEN: HLEEAI
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Paper-21 | Heterocyclic Letters 11: iss.-4 (2021), 699-710

Method development and validation report for the simultaneous estimation of gemcitabine and capecitabine using lcms

Dommeti Bharathi,[a] Srinivasa Rao Pinapati,[b] Ramana Tamminana,[c] Rameshraju Rudraraju[a*]

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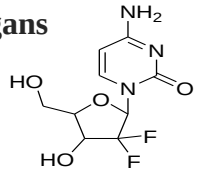
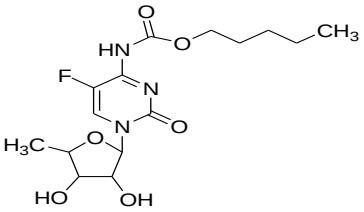
[c] *Department of Chemistry, GITAM Deemed to be University, Bengaluru, Nagadenahalli, Karnataka-562163. Email: rtamminana17@gmail.com*
Corresponding Author Email: rudrarajurameshraju716@gmail.com

Plasma and Organs



Gemcitabine

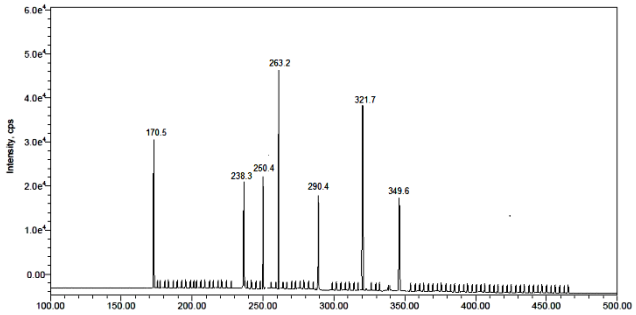


Capecitabine

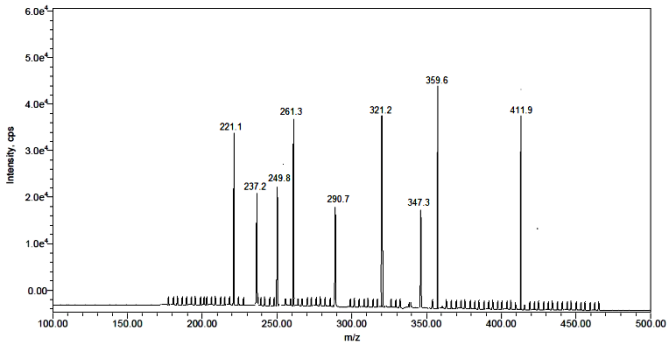
Sample Injected to LCMS

Extraction

Sample Preparation



Mass Spectra of Gemcitabine



Mass Spectra of Capecitabine

Heterocyclic Letters
Vol. 11| No.4 |492-505|August-October|2021
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CODEN: HLEEAI
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REVIEWS

Review no.1	Heterocyclic Letters 11: iss.-4 (2021), 711-726

"Scenario of Synthesis and Biological Activities of Aryl/Heteroaryl-Azo-Pyrimidine Derivatives: A Review"

T. Mathur *

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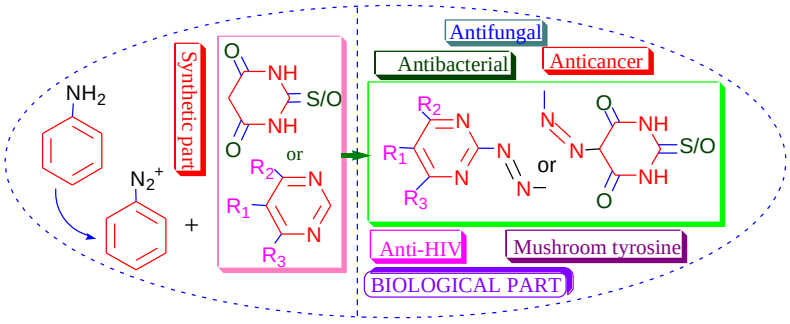
**Corresponding author E-mail: tanmay_mthr@rediffmail.com*

Azo-pyrimidine derivatives are the class of heterocyclic compounds which have valuable and important different type's biological activities. Literature based survey report appear to synthetic part of seventy two azo-pyrimidine compounds are happened in two steps; in the first step, pyrimidine amine/pheynyl amine are taken for generation of diazonium salt used by laboratory reagent then diazonium salt are couple with the other heterocyclic/aromatic/pyrimidine compounds I have been focused all biological activities like: antibacterial, antifungal, anti-cancer, mushroom tyrosine inhibition and anti-HIV of azo-pyrimidine derivatives as included in biological part. pyrimidine moiety seems to hydrophilic part due to presence of high electronegative nitrogen atom which influences the biological motivation and interaction throughout azo-pyrimidine derivatives with bio-molecules.



Review no.2	Heterocyclic Letters 11: iss.-4 (2021), 727-751

Eco-friendly multicomponent reaction synthesis of most privileged pyrano[2,3-c]pyrazole derivatives : A review

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The pyran derivatives particularly, pyranopyrazole is important heterocyclic compounds, widely observed in natural product and biological systems of importance. The number of biological and medicinal properties of the heterocyclic pyran derivatives has attracted the interest of researchers and various synthetic methodologies have been reported in literature with numerous variations in catalyst and solvent systems. The review covers the study of the one-pot, multicomponent reactions (MCRs) synthesis of the pyranopyrazole derivatives to focus the present environment benign advancement in the field.