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Graphical Abstract

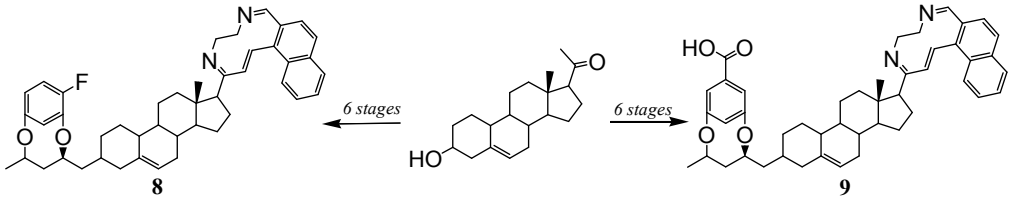
Heterocyclic Letters 10: iss.-2 (2020), 183-192

Design and synthesis of two dioxa-bicyclo[5.3.1]pregnen-diaza derivatives from pregnenolone

Figueroa-Valverde Lauro, Diaz-Cedillo Francisco, Rosas-Nexticapa Marcela, Mateu-Armand Virginia, Lopez-Ramos Maria Garcimarero-Espino E. Alejandra, Ortiz-Ake Yazmin.

Universidad Autónoma de Campeche

Synthesis of two new dioxabicyclo[5.3.1]pregnen-diazacine derivatives (**8** or **9**) from pregnenolone using some chemical strategies.



8 **9**

Heterocyclic Letters 10: iss.-2 (2020), 193-203

Synthesis of new pyrimido[1,2-*b*][1,2]thiazines and thiazino[3,2-*c*][1,2,4]triazines

Nadia Ali Ahmed Elkanzi[*1,2]

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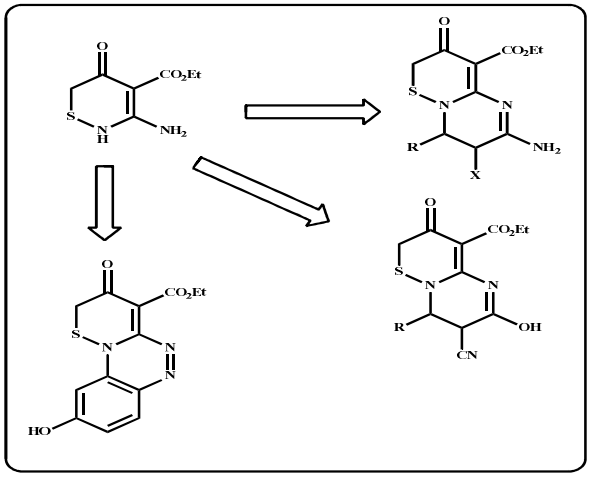
In this research paper A series of pyrimido[1,2-*b*][1,2]thiazines and thiazino[3,2-*c*][1,2,4]triazines were successfully synthesized from the reactions of amino-functionalized 1,2-thiazine or its diazonium salt with alkylidene or arylidenemalononitrile, phenols and active methylene reagents.



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Heterocyclic Letters 10: iss.-2 (2020), 205-211

1,3-Dipolar cycloaddition of diazoalkanes with monosubstituted alkenes and α,β-unsaturated enones and evaluation of their antitubercular activity

Khaoula Hajlaoui[a], Ahlem Guesmi,[b] Naoufel Ben Hamadi[a,b,*], Moncef Msaddek[a]

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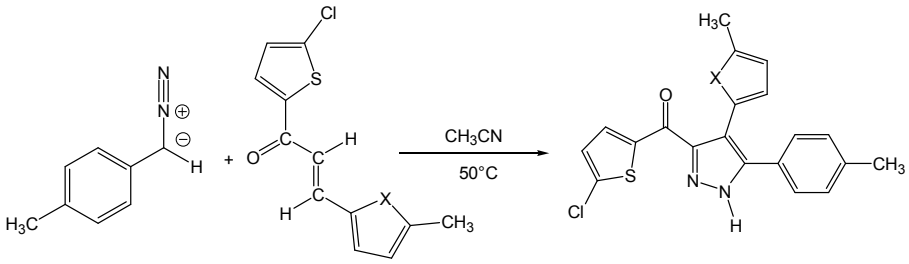
[b] *Imam Mohammad Ibn Saud Islamic University (IMSIU), College of Sciences, Department of Chemistry, 11623 Riyadh, Saudi Arabia*

The regiospecific synthesis of pyrazolines has been accomplished through the 1,3-dipolar cycloaddition of diazoalkanes. The reaction of 2-diazopropane **1** with monosubstituted alkenes **2** has been studied. It led to pyrazolines derivatives **3**. In addition the reactivity of *p*-toluldiazomethane **4** towards α,β-unsaturated enones **5** is reported, affording Δ^2-pyrazolines. Products were screened for their antimycobacterial activity against *Mycobacterium tuberculosis H37Rv* strain .



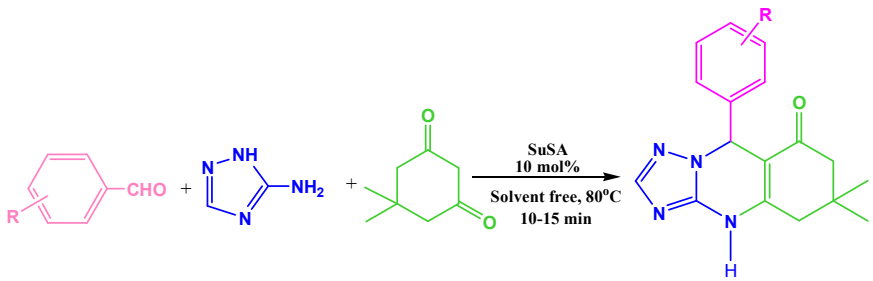
Heterocyclic Letters 10: iss.-2 (2020), 213-219

Succinimide-*N*-Sulphonic Acid Catalyzed Synthesis of [1,2,4]-Triazolo-quinazolinone Derivatives Under Solvent Free 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*

Herein, we report succinimide-*N*-sulphonic acid (SuSA) as an efficient, low cost, reusable and environmentally benign protocol for the synthesis of 1,2,4-triazoloquinazolinone derivatives from the reaction of aromatic aldehydes and dimedone with 3-amino-1,2,4 triazole as a amine source under solvent free reaction conditions. The synthesized compounds were confirmed by IR, ^{1}HNMR, ^{13}CNMR and Mass spectral analysis.



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Heterocyclic Letters 10: iss.-2 (2020), 221-229

Development and validation of hptlc method for estimation of glimepiride in active pharmaceutical ingredient and pharmaceutical formulation

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[b]Department of Chemistry, Shri Jagdishprasad Jhabarmal Tibrewala University, Vidyanagari, Churu-Jhunjhunu Road, Jhunjhunu-333001, Rajasthan, India
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[4]Analytical Research and development, Indoco Remedies Ltd. Mumbai-400701, Maharashtra, India
Email: amartumbare@yahoo.com

The aim of the existing work was to develop a economical, simple, precise and accurate High performance thin layer chromatography (HPTLC) method for the estimation of Glimepiride in the single dosage tablet formulations and Active pharmaceutical ingredient. Chromatographic separation of Glimepiride was achieved on TLC aluminum plates pre-coated with silica gel 60 F254 using mobile phase as Acetone: Toluene (8:1 v/v). The detection of Glimepiride was completed at absorbance mode at 231 nm using Camag TLC Scanner. Glimepiride demonstrated Rf value at 0.73. The Method was validated in term of linearity (500-1750 ng/spot), Precision (% RSD for Repeatability 1.43%, % RSD for intra-day variation 1.66% and inter-day variation 0.60%), Accuracy in term of recovery was getting at three different level was 103.33%, 89.78% and 86.63% and Specificity. The limit of detection and limit of quantification for Glimepiride were found to be 250 ng/spot and 500 ng/spot correspondingly. It is concluded from the results that the estimated High performance thin layer chromatography is economical, simple, reproducible, precise and accurate and it is useful in daily analysis in quality control department for estimation of Glimepiride in Active pharmaceutical ingredient and Pharmaceutical dosage form. This method was validated as per ICH guideline Q2 (R1).

Heterocyclic Letters 10: iss.-2 (2020), 231-243

Synthesis, characterization and antibacterial activity of benzimidazole incorporated pyrazole derivatives

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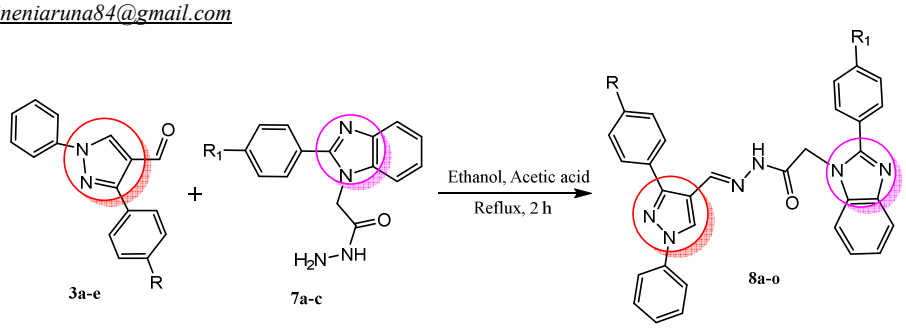
[2]Department of Chemistry, Sri Venkateswara University, Tirupati 517 502, Andhra Pradesh, India.
E-mail: maddineniaruna84@gmail.com



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Heterocyclic Letters 10: iss.-2 (2020), 245-249

PEG-OSO_3H Catalyzed Synthesis of Schiff Bases of Isoniazide and Its Antibacterial Evaluation

Ayesha Durrani

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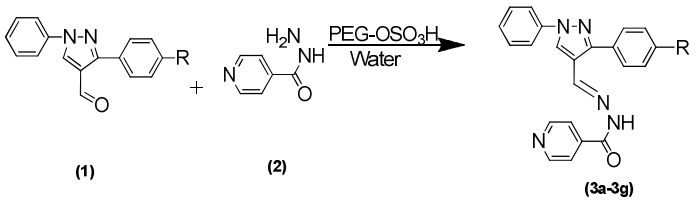
Development of a highly efficient environmentally benign method to synthesis of biologically active Schiff bases from isoniazide and pyrazole-4-carbaldehyde in water under microwave irradiation.PEG-OSO_3H used as a green catalyst to increase the reaction rate and yield of the corresponding Schiff bases.



(*E*)-*N*'-((1,3-diphenyl-1*H*-pyrazol-4-yl)methylene)isonicotinohydrazide

Heterocyclic Letters 10: iss.-2 (2020), 251-258

Design an efficient method for the synthesis of 2-(1,3-diphenyl-1*H*-pyrazol-4-yl)benzo[d]thiazole and its biological evaluation

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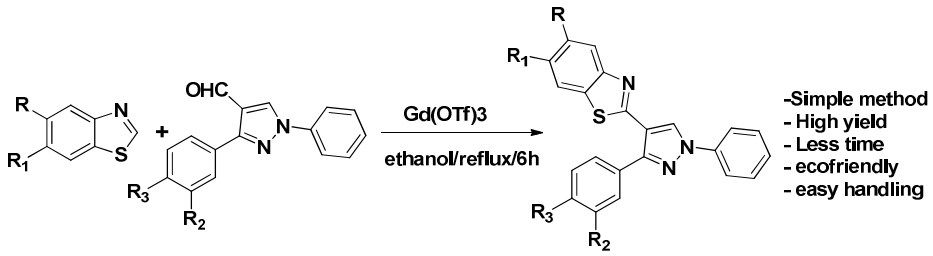
[1]Department of Humanities and Sciences, Guru Nanak Institutions Technical Campus, Ibrahimpatam, Telangana
[2] Department of Chemistry, Nagarjuna Government College, Nalgonda, Telangana
**srichemistry@gmail.com*

Twenty new 2-(1,3-diphenyl-1*H*-pyrazol-4-yl)benzo[d]thiazole derivatives were synthesized with usingGadolinium(III) trifluoromethanesulfonateas a catalyst in ethanol solvent by optimized and it is highly efficient and environmentally friendly one potreaction method. Moreover, these new compound were an evaluation for their antimicrobial activity. Among these twenty compounds some derivatives were showed excellent antimicrobial activity on both Gram-positive and gram-negative bacterial strains. All these new products structures are confirmed by spectral analysis. By this one-pot synthetic method, we achieved pyrazolo benzo thiazole derivatives with more operational simplicity, short reaction time and good yields (up to 93%).



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Heterocyclic Letters 10: iss.-2 (2020), 259-266

Magnesium bromide an efficient catalysis for synthesis of 3,4-disubstituted isoxazole-5(*4h*)-ones

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(Affiliated to Savitribai Phule Pune University, Pune)
Email: mhaskepc18@gmail.com Cell No.9850577751
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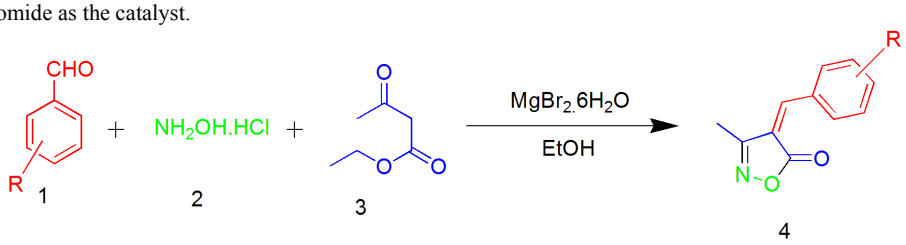
Email : pramodskulkarni3@gmail.com Cell No. : 919850658087

A one-pot and three component synthesis of 3-mehyl-4-arylmethyleneisoxazol-5(4H)-ones was developed in the presence of magnesium bromide as the catalyst.



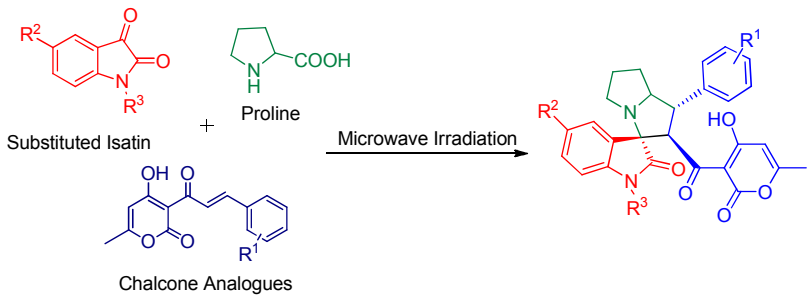
Heterocyclic Letters 10: iss.-2 (2020), 267-279

Microwave Mediated Regioselective Synthesis of Spiro Indolinone Library Via Multicomponent Reaction

Vishwa Deepak Tripathi[1]* Akhilesh Kumar Singh[2], Anand Mohan Jha[2]

[1]*Department of Chemistry, M. K. College, (Lalit Narayan Mithila University, Darbhanga), Bihar. 846003. India.*
[2]*Department of Chemistry, M. L. T. College, Saharsa, (B. N. Mandal University Madhepura), Bihar. 852201. India.*
Email: vishwadeepak66@gmail.com

This work explains an easy synthetic methodology to create a 25 member library of Hexahydrospiro[indoline-3,3'-pyrrolizine]-2-one derivatives under microwave irradiation. Spiro nucleus was synthesized via microwave mediated three component reaction of Isatin, L-proline and chalcone analogues in regioselective manner.



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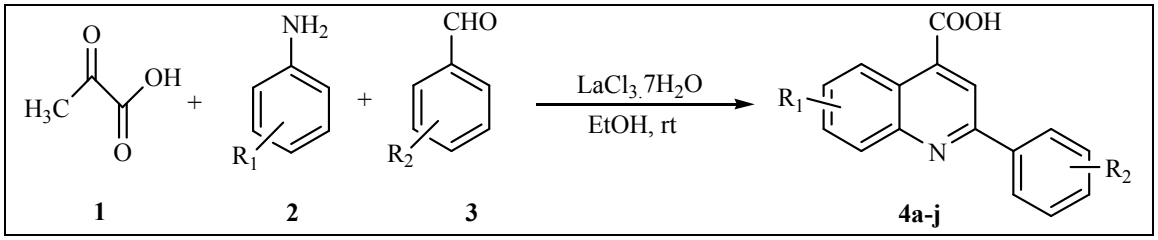
Heterocyclic Letters 10: iss.-2 (2020), 281-287

A Facile Approach for the Synthesis of Quinoline-4-carboxylic Acid Derivatives and its Anticancer Evaluation

Sharad S. Idhole, Manoj S. More, Santosh V. Goswami and Sudhakar R. Bhusare*

Department of chemistry, Dnyanopasak College, Parbhani-431401, MS, India
E-mail: bhusare71@gmail.com



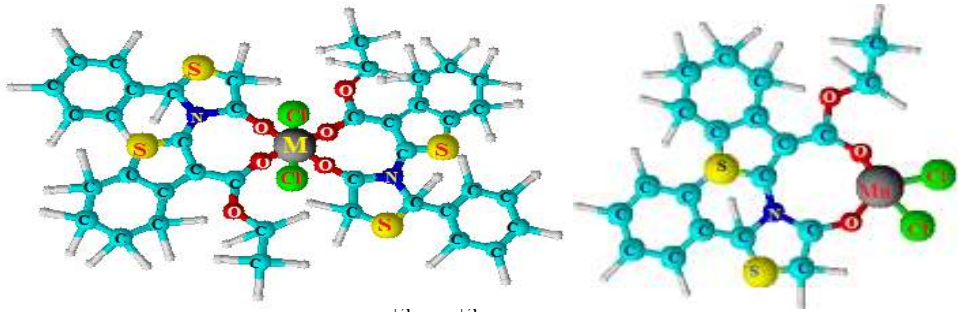
Heterocyclic Letters 10: iss.-2 (2020), 289-297

Synthesis, spectroscopic and biological characterization manganese (ii), cobalt (ii) and nickel (ii) complexes with an asymmetric bidentate thiazolidine derivative

Sakina Bootwala

Department of Chemistry, Wilson College , Mumbai-400007, India.
Email: szbootwala@gmail.com

Mn(II), Co(II) and Ni(II) complexes with a bidendate ligand ethyl 2-(4-oxo-2-phenyl-1,3-thiazolidin-3-yl)-4,5,6,7-tetrahydro-1-benzothiophene-3carboxylate were synthesized and characterized on the basis of elemental analysis, molar conductance measurements, magnetic susceptibility values, UV-vis and IR spectral data.



Octahedral: M = Co^{+2}, Ni^{+2} Tetrahedral

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Heterocyclic Letters 10: iss.-2 (2020), 299-307

Synthesis, characterization and antibacterial screening of some novel imidazol associated 1,2,4-triazolo linked 1,3,4-thiadiazine

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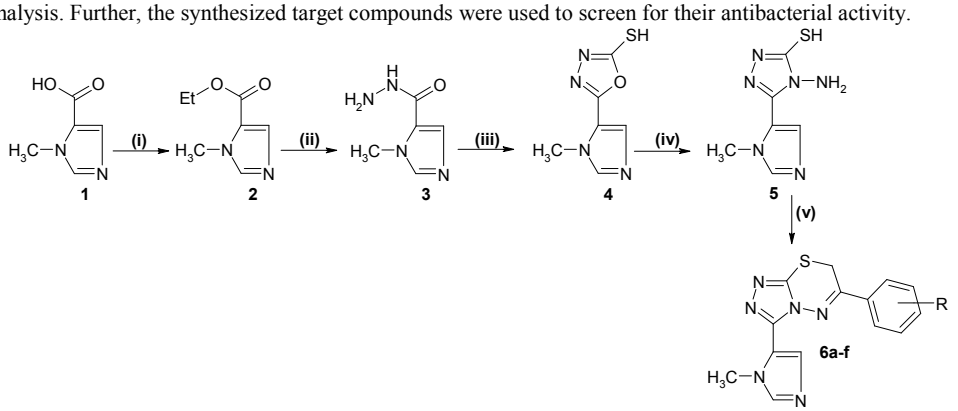
Several novel imidazole associated 3-(1-methyl-1*H*-imidazol-5-yl)-6-phenyl-7*H*[1,2,4]triazolo[3,4-b][1,3,4]thiadiazine **(6a-f)** have been synthesized by using 1-methyl-1*H*-imidazole-5-carboxylic acid **(1)** as starting material and by participating four corresponding intermediates through different type of reactions like substitution, condensation and cyclization. The chemical structures of all the newly synthesized intermediates and products were confirmed by IR, ^{1}H NMR, mass spectral studies and elemental analysis. Further, the synthesized target compounds were used to screen for their antibacterial activity.



(i) H_2SO_4, EtOH, reflux, 5 h; **(ii)** NH_2NH_2, EtOH, reflux, 4 h; **(iii)** CS_2, KOH, EtOH, reflux, 8 h; **(iv)** NH_2NH_2 EtOH, reflux, 6 h; **(v)** PhCOCHBr**,** EtOH, reflux, 7-9 h; **6(a)** R = H, **(b)** R = 2-CH_3, **(c)** R = 2-OCH_3, **(d)** R =2-Cl, **(e)** R = 2-Br, **(f)** R = 2-NO_2

Heterocyclic Letters 10: iss.-2 (2020), 309-313

Microwave assisted one pot five Component synthesis of 4,4'-(aryl methylene)bis(3-Methyl-1-phenyl-1*H*-Pyrazol-5-ols)

Sachin R. Kolsepatil[1], Devendra Wagare[1], Dinesh L. Lingampalle[1]*

[1]Department of chemistry, Vivekanand College, Samarth nagar, Aurangabad, Maharashtra
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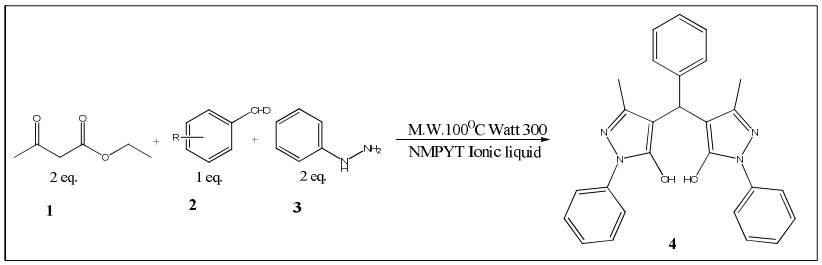
A new highly efficient and environmentally benign protocol designed for the five component synthesis of 4,4'-(arylmethylene)bis(3-Methyl-1-phenyl-1*H*-Pyrazol-5-ols) from the condensation of substituted aromatic aldehyde, phenyl hydrazine and ethyl acetoacetate. Ionic liquid (NMPYT) is used as an ecofriendly catalyst with high catalytic activity under solvent free condition. Main remarkable features of this new green method are environmentally benign, excellent yield, shorter reaction time and easy workup procedure.



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Heterocyclic Letters 10: iss.-2 (2020), 315-320

Synthesis and biological evaluation of copper (ii) complexes of sulphonyl ureas derivatives

Gajanan Pandhare,[1*]Sarjerao Patole,[1]Vitthal Shinde [2] and Vijay Kadnor [3]

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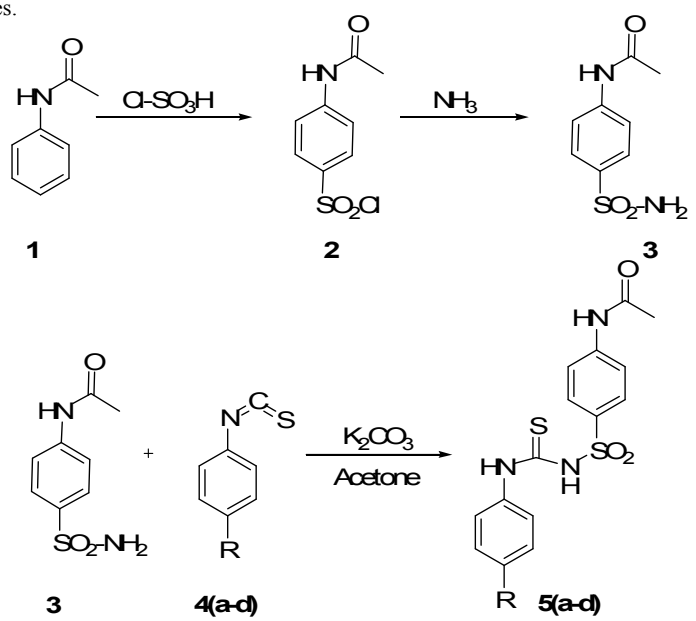
[2]Annasaheb Waghire A. C. S. College, Otur, Pune, MS 411004, India
[3]Department of Chemistry, A. C. S. College Satral, Rahuri, Ahmednagar, MS 413711, India
[*]Corresponding authors e-mail address-pandharegr@gmail.com

A series of Cu (II) complexes of sulphonyl urea derivatives were synthesized and the structures of the newly synthesized compounds were confirmed by FT-IR and 1H NMR spectral studies. All new derivatives **5(a-d)** were screened for their *in vivo* hypoglycemic activities, it has been found that Cu (II) complexes of sulphonyl urea showed remarkable activity than the parent sulphonyl urea derivatives.



4a : R= H, 4b : R= CH_3
4C : R= NO_2, 4d : R= Br

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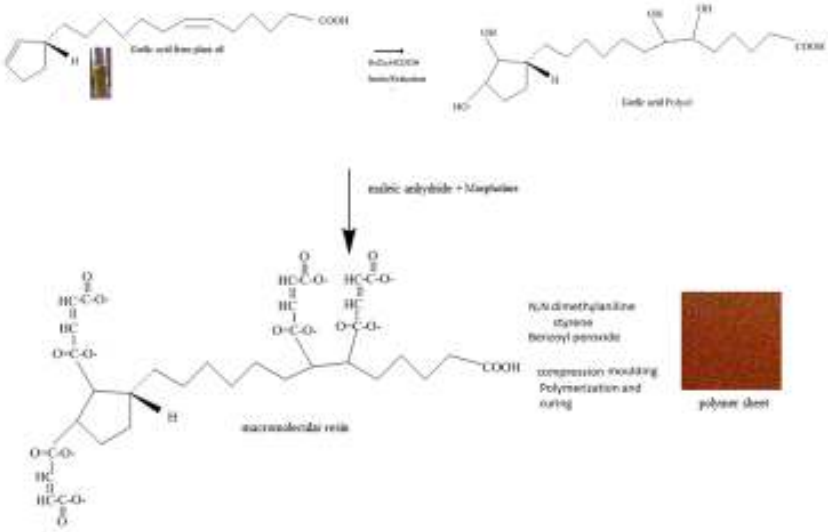
Heterocyclic Letters 10: iss.-2 (2020), 321-332

Synthesis, Characterization and Thermal Behavior of Thermo setting polyesters from Bio-degradable Plant Oil

T. Sahaya Maria Jeyaseeli[a], I. Antony Danish[b], J. Shakina[c]*

[1]Department of Chemistry, Sarah Tucker College, (Autonomous) Tirunelveli - 627 007, affiliated to Manonmaniam Sundaranar University, Tirunelveli, Tamilnadu, India.
[2]Assistant Professor, Department of Chemistry, SadakathullahAppaCollege, (Autonomous) Tirunelveli - 627 011, Affiliated to Manonmaniam Sundaranar University, Tirunelveli, Tamilnadu, India
[3]Associate Professor, Department of Chemistry, Sarah Tucker College, (Autonomous) Tirunelveli - 627 007, affiliated to Manonmaniam Sundaranar University, Tirunelveli, Tamilnadu, India.
**Corresponding author E-mail: shakinajudson@gmail.com Mobile Number: 9384218533*

Thermal Analysis (TA) is an essential analytical technique in the polymer research. In polymer science Thermal Characterization of Polymers is an extreme analysis and brief assessment of the application of thermal analysis this technique is used for evaluation of comparative thermal stability of different polymers. The following materials were synthesized from Odal, Chennakai, Neem and Thennamarakudi oils. They were synthesized and characterized by UV-Visible and IR Spectra.NMR spectral studies carried out to identify the nature of the polymer formed. SEM analysis confirmed that the polymer was biodegradable in nature. The thermal degradation at different time intervals was analyzed by TG-DTA analysis. TGA analysis was conducted to identify the thermal degradation patternsand to determine product performance. The cross-linking ability of the resins was checked by DSC analysis.



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Heterocyclic Letters 10: iss.-2 (2020), 333-340

Synthesis and characterization of 3-(4-aryl-5*H*-6,7,-dihydrocyclopenta[b]pyridin-2-yl), 3-(4-aryl-5,6,7,8-tetrahydroquinolin-2-yl) and 3-(5-hydroxy-biphenyl-3-yl) coumarins using piperidine as a catalyst.

Mahesh K. Pandya[1], Mehulsinh R. Chhasatia[1], Nileshkumar D. Vala[1*], Tapan H. Parekh[2]

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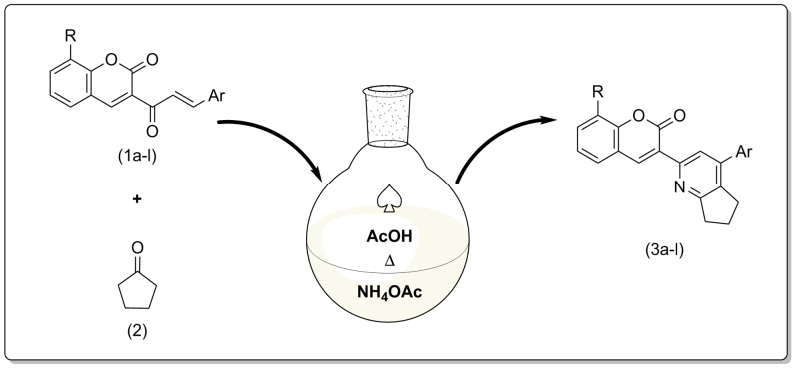
[2]Department of Chemistry, Shree P. M. Patel Institute of Post Graduate Studies & Research in Applied Science, Affiliated to Sardar Patel University, Vallabh Vidyanagar (Anand),
Gujarat, (INDIA).
**Correspondence: nileshdv89@gmail.com*

A series of the synthesis of various 3-(4-aryl-5*H*-6,7-dihydro cyclopenta[b]pyridin-2-yl) and 3-(4-aryl-5,6,7,8-tetrahydroquinolin-2-yl)coumarins using piperidine as a catalyst. The cyclopenta [b]pyridine and tetrahydroquinoline nuclei of these compounds have been built up by utilizing Krohnke's reaction.



PERSPECTIVE

Heterocyclic Letters 10: iss.-2 (2020), 341-346

Versatile Bismuth Salts-Induced Reactions from Our Laboratory: A Perspective

Debasish Bandyopadhyay[1] and Bimal Krishna Banik[2]*

[1]Department of Chemistry, The University of Texas-Rio Grande Valley, 1201 West University Drive, Edinburg, Texas 78539, USA; [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

Bismuth salts-mediated reactions are developed for the synthesis of diverse organic molecules of medicinal significance in our research laboratory. Versatile organic compounds are synthesized using bismuth salts-induced reactions.