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Graphical Abstract

Heterocyclic Letters 6: iss.-2 (2016), 167-171

7-Deazapurines: synthesis, characterization and antibacterial evaluation of some new pyrrolo[2,3-d]pyrimidine-2-thiones

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Some new 3-aryl-4-imino-7-methyl-5,6-diphenyl-3,4-dihydro-1*H*-pyrrolo[2,3-*d*]pyrimidine-2(7*H*)-thiones have been prepared through cyclocondensation reaction of 2-amino-1-methyl-4,5-diphenyl-1*H*-pyrrole-3-carbonitrile with aryl isothiocyanates in the presence of 1,4-diazabicyclo[2.2.2]octane (DABCO) as a base catalyst in DMF under reflux. The synthesized compounds were characterized on the basis of IR, ¹H NMR, and ¹³C NMR spectral and microanalytical data and evaluated for their antibacterial activity against Gram-positive bacteria (*Staphylococcus aureus* and *Micrococcus luteus*) and Gram-negative bacteria (*Escherichia coli*).

Heterocyclic Letters 6: iss.-2 (2016), 173-180

4(a-o)

Synthesis and biological evaluation of imidazo pyridine derivatives containing morpholine nucleus

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A series of substituted 3-(morpholin-4-ylmethyl)-2-phenylimidazo [1, 2-a] pyridine derivatives **4(a-o)**. The title compounds were synthesized by the Mannich reaction of imidazo [1, 2-a] pyridines derivatives **3(a-o)**, morpholine and formaldehyde with catalytic amount of acetic acid at reflux temperate. From antimicrobial activity results it was found that, the compounds **4c**, **4e** displayed very good antimicrobial agent. Compounds **4f** and **4o** showed promising free radical scavenging activity.

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Heterocyclic Letters 6: iss.-2 (2016), 181-184

An Eco-friendly synthesis of N-alkyl-2-amino benzimidazole

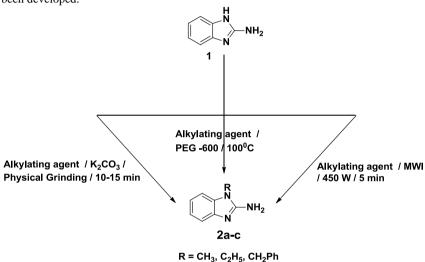
Sadhu Srinivas Rao

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A green approach for the synthesis of N-alkyl-2-aminobenzimidazoles $\mathbf{2}$ ($R^1 = CH_3$, C_2H_5 , CH_2Ph) under, different conditions has been developed.



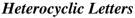
Heterocyclic Letters 6: iss.-2 (2016), 185-194

Ultrasound promoted efficient synthesis of new tetrazolo[1,5-a]quinoline derivatives and their comparative anti microbial and anti tubercular study

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A new series of tetrazolo[1,5-a]quinoline derivatives have been synthesized by 4-(chloromethyl)-7-substitueted tetrazolo[1,5-a]quinoline on treatment with substituted aromatic amines/phenols in the presence of DMF, K2CO3 under ultrasound and conventional method. Ultrasound approach offers vital improvement for the synthesis of the target compounds with regards to simplicity in operation, yield of product. All synthesized compounds were characterized by ¹H NMR, Mass, ¹³C NMR spectra and evaluated for biological activities. Also effect of C-N and C-O linkage on biological activity was study.



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Heterocyclic Letters 6: iss.-2 (2016), 195-203

Peg mediated microwave assisted synthesis of functionalized thiazolones

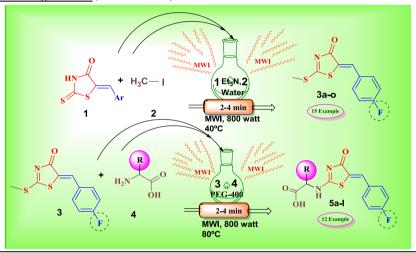
Santosh A. Jadhav^a ,Mazahar Farooqui^band Rajendra K. Pardeshi^c*

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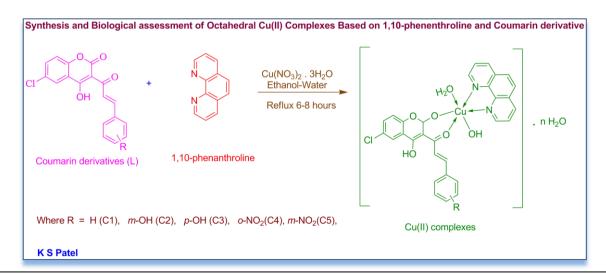
Heterocyclic Letters 6: iss.-2 (2016), 205-215

Synthesis and biological assessment of octahedral cu(ii) complexes based on 1,10-phenenthroline and coumarin derivative

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Heterocyclic Letters 6: iss.-2 (2016), 217-221

Potassium aluminum sulfate: green catalyst for synthesis of 1,3,5-substituted pyrazole

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An environmentally benign methodology for the synthesis of pyrazole derivatives has been developed. Potassium aluminum sulfate (Alum) catalyzed water mediated one pot synthesis of 1,3,5-substituted pyrazole via condensation of 1,3-dicarbonyl, substituted hydrazine. Present methodology consists of readily available substrate and genuinely environmental friendly reaction condition, simple in procedure and easy product isolation

Mirjalili et al., 2015; 17 Examples

$$R_1 + R_2 + R_4 + R_4$$

Heterocyclic Letters 6: iss.-2 (2016), 223-227

Yttrium triflate mediated acetylation of amines and phenols under solvent-free conditions

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Yttrium triflate was found to be an efficient catalyst in the acetylation reaction of amines and phenols with acetic anhydride.

$$AC_2O$$
 $Y (OTf)_3$, neat, rt

X = NH, O



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Heterocyclic Letters 6: iss.-2 (2016), 229-232

Heterocyclic systems containing bridgehead nitrogen atom: Facile synthesis and antimicrobial activity of spiro [cyclododecane-1, 7'(8'H)-[6H]-3',3'a-dihydropyrazolo[3',4': 4,5] thiazolo [3,2-b]-s-tetrazines]

*Anju RatheeAhlawat

Department of Applied Sciences, Maharaja Surajmal Institute of Technology, Janakpuri, New Delhi-110058 (Affiliated with G.G.S.I.P University, New Delhi, India) Email: anu.ahlawat@gmail.com

A facile synthesis of 3',3'a-dihydro-3'-arylspiro[cyclododecane -1,7'(8'H)-[6H]-pyrazolo[3',4':4,5]thiazolo[3,2-b]-s-tetrazines] 4 has been achieved by the condensation of 13, 14, 16, 17 - tetra azaspiro[5, 11]heptadecane-15-thione 1 with chloroacetic acid and then with aldehydes yielded 7'-arylidene-6'(7'H)-oxospiro[cyclododecane-1,3'(4'H)-[2H]-thiazolo[3,2-b]-s-tetrazines] 3 followed by treatment with hydrazine hydrate. The antibacterial and antifungal activity of some of the compounds have also been evaluated.

(i) ClCH₂COOH, anhyd. NaOAc; (ii) ArCHO, anhyd. NaOAc, gl. AcOH; (iii) NH₂NH₂.H₂O

Heterocyclic Letters 6: iss.-2 (2016), 233-239

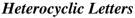
Lanthanum Chloride Catalysed Novel and Efficient Protocol for Synthesis of Substituted Quinoxaline at Room Temperature

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The novel protocol has been developed for the synthesis of verity of substituted quinoxalines by the condensation of aromatic 1,2-diamines with 1,2-diketones in the presence of lanthanum chloride in aqueous methanol at room temperature. Most of the reaction completed in less than one hour and required only 2mol% catalyst. High efficiency, inexpensiveness, and non toxicity are the interesting features of catalyst, which make it ecofriendly and highly attractive.



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Heterocyclic Letters 6: iss.-2 (2016), 241-250

Synthesis, characterization and biological evaluation of 5-((2-chlorophenyl) (1 phenyl-1H-tetrazol-5-yl) methyl)-4, 5,6,7-tetrahydrothieno [3,2-c] pyridine derivatives

*Joga Sree Ram Babu, 1K. Sudhakar Babu, 2T. Ravi Sankar, and 3J. Latha

¹Department of Chemistry, Sri Krishnadevaraya University, Ananthapuramu, India

²Department of Research and Development Virchow Labs Pvt Ltd, Hyderabad, India

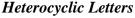
³Department of Bio-technology, Sri Krishnadevaraya University, Ananthapuramu, India

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We demonstrate some novel one pot synthesis, characterization and evaluation of Antithrombotic activity new 5-(2-chlorophenyl)(1-Phenyl1H-tetrazol-5-yl)methyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine (3a-k) using amides (2a-k) were reported. The prepared 5-(2-chlorophenyl)(1 phenyl-1H-tetrazol-5-yl)methyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine (3a) is a bioisostere 2-(2-chlorophenyl)-2-(6,7-dihydrothieno[3,2-c]pyridin-5(4H)-yl)acetic acid (1), having good in vivo antithrombotic activity compared with Clopidogrel. The new tetrazole derivatives (3a-k) were screened for their in vitro activity as platelet aggregation inhibitors.

Where R=H, phenyl, 2-chloro phenyl,3-chloro phenyl, 4-chloro phenyl,2,3-dichloro phenyl, 3,4-dichloro phenyl, 2-fluoro phenyl, 4-fluoro phenyl, 2,5-difluoro phenyl, 2,3,4-trifluoro phenyl,

3a-3k



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Heterocyclic Letters 6: iss.-2 (2016), 251-257

Synthesis, characterization and application of two novel sulfonic acid functionalized ionic liquids as efficient catalysts in the synthesis of 1,8-dioxo-octahydroxanthenes

Maryam Dehghan, Abolghasem Davoodnia*, Mohammad R. Bozorgmehr, and Fatemeh F. Bamoharram

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In this work, two novel sulfonic acid functionalized ionic liquids, 1-methyl-1-sulfonic acid pyrrolidinium chloride [MPyrrSO₃H]Cl (IL₁) and 4-methyl-4-sulfonic acid morpholinium chloride [MMorSO₃H]Cl (IL₂), were simply prepared, characterized and used as highly efficient and reusable **homogeneous** catalysts to promote the synthesis of 1,8-dioxo-octahydroxanthenes by reaction of dimedone with aldehydes under solvent-free conditions.

Heterocyclic Letters 6: iss.-2 (2016), 259-264

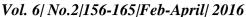
Magnesium acetate catalysed synthesis of glycoluril derivatives via cyclocondensation of benzil and urea/thiourea

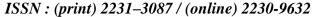
Vishvanath D. Patil*, Ketan P. Patil, Nagesh.R. Sutar, Prathamesh V. Gidh.

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

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An simple, efficient method for synthesis of glycoluril derivatives has been developed from Benzil and Urea in presence of a catalytic amount of magnesium acetate. The remarkable selectivity under mild, neutral and, inexpensive catalyst are attractive features. This method is a very easy and rapid for synthesis of glycoluril derivative. This approach offers many advantage such as good product yields, short reaction yield, easy isolation of products.





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Heterocyclic Letters 6: iss.-2 (2016), 265-270

An Environmentally Benign Alum Catalyzed Approach for Synthesis of Polysubstituted Amino Pyrazole

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Heterocyclic Letters 6: iss.-2 (2016), 271-274

Light Induced Biginelli Reaction: A Clean and Efficient Protocol Using Aluminium Sulphate As Catalyst For Synthesis Of Dihydropyrimidinones

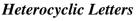
Pramod Kulkarni

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In this paper we report the Biginelli reaction of aldehyde, β -ketoester and urea/thiourea under visible light condition using aluminium sulphate as catalyst. Compared to the classical Biginelli reaction conditions, the present method has the advantages of giving good yields, short reaction time, avoidance of the use of toxic organic solvent, reaction condition are simple, inexpensive and easily available catalyst, simple work-up procedure.



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Heterocyclic Letters 6: iss.-2 (2016), 275-281

Synthesis and antimicrobial activity of substituted diamino pyrimido pyrimido benzothiazoles and imino pyrazolo thiazolo pyrimidines

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6-Cyano-5-imino-7-(methylthio)-5*H*-thiazolo [3,2-*a*] pyrimidine on reaction with substituted 2-amino benzothiazole and hydrazino compounds gives 5,6-diimino thiazolo[2,3-*b*]pyrimido[5,6-*e*]pyrimido[2,3-*b*]benzothiazoles, 2-N-phenyl substituted 3-amino-4-imino pyrazolo[3,4-*d*] thiazolo [3,2-*a*]pyrimidines respectively. The structure of compounds confirmed by the IR, Mass and ¹H-NMR spectral analysis and screened for antifungal and antibacterial activity.

Heterocyclic Letters 6: iss.-2 (2016), 283-288

 $\label{lem:many_prop} \mbox{Manganese chloride catalysed synthesis of 3-(1h-indol-3-yl)-1, 3-diphenylpropan-1-ones in water under microwave irradiation method$

Santosh A. Jadhav a Dhananjay V. Mane b Devanand B. Shinde and Rajendra K. Pardeshi **

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REVIEWS



Heterocyclic Letters 6: iss.-2 (2016), 289-309

Review: Anti-microbial importance of 1,3-thiazole derivatives

Bapu R Thorat, Vinay Joshi and Vaishali B Thorat

Post Graduate and Research Centre

 $Department\ of\ Chemistry,\ Government\ of\ Maharashtra,\ Ismail\ Yusuf\ Arts,\ Science\ and\ Commerce\ College,\ Jogeshwari\ (East),\ Mumbai\ (M.S.)\ India-400\ 060$

Heterocyclic compounds were the major family of organic compounds. These are enormously essential with wide range of synthetic, pharmaceutical and industrial applications and are famous for their biological activities. These five membered heterocyclic compounds have broadened scope in remedying various dispositions in clinical medicines. Thiazoles have been reported to show pharmacological activities. Data on the synthesis of thiazoles systems from thioamide, thiourea, thiosemicarbazide, and labile sulfur was reviewed. This articles aims to review the work reported, their chemistry and biological activities of thiazole during past years as anti-microbial agent.

