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Graphical Abstract

Heterocyclic Letters 7: iss.-1 (2017), 17-25

$SbCl_3$-SiO_2 as an efficient and heterogeneous catalyst for the synthesis of polyhydroquinoline derivatives under solvent-free conditions

Behrooz Maleki [a], Akram Vedad Mofrad [a], Amir Khojastehnezhad[*,b]

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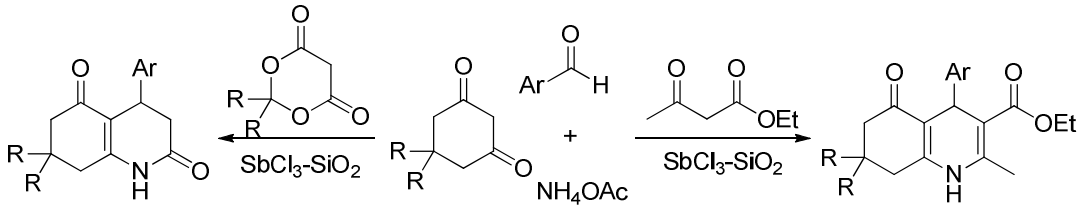
[b]*Young Researchers Club and Elites, Mashhad Branch, Islamic Azad University, Mashhad, Iran.*
Email: akhojastehnezhad@yahoo.com,

An one pot, simple and efficient approach toward the synthesis of polyhyroquinoline and 2,5-dioxo-1,2,3,4,5,6,7,8-octahydroquinolines through the four-component reaction of dimedone or 1,3-cyclohexanedione, aromatic aldehydes, ammonium acetate, and ethylacetoacetate or meldrum acid in presence of antimony trichloride supported on silica ($SbCl_3$-SiO_2) at 120 °C under solvent-free conditions has been developed in good to excellent yields. The heterogeneous Lewis acid catalyst could be recovered easily and reused many times without significant loss of its catalytic activity.

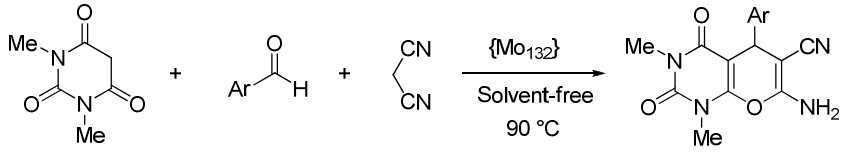


Heterocyclic Letters 7: iss.-1 (2017), 27-34

Highly efficient one-pot synthesis of pyrano[2,3-*d*]pyrimidines: another application of a keplerate type giant nanoporous isopolyoxomolybdate as a reusable catalyst

Toktam Ahmadi, Abolghasem Davoodnia[*], Mehdi Pordel, Mehri Fattahi, Mahmoud Ebrahimi, Niloofar Tavakoli-Hoseini, Ahmad Nakhaei

Department of Chemistry, Mashhad Branch, Islamic Azad University, Mashhad, Iran



A novel and efficient improved procedure for the synthesis of pyrano[2,3-*d*]pyrimidines based on the one-pot three-component cyclocondensation of 1,3-dimethylbarbituric acid, aromatic aldehydes, and malononitrile is developed using $(NH_4)_{42}[Mo^{VI}_{72}Mo^{V}_{60}O_{372}(CH_3COO)_{30}(H_2O)_{72}]$, a Keplerate-type giant-ball nanoporousisopolyoxomolybdatedenoted as ($\{Mo_{132}\}$), as catalyst under solvent-free conditions. This protocol demonstrates several notable advantages, including operational simplicity, high yields, short reaction times, and environmentally friendly conditions. Furthermore, the catalyst could be recovered conveniently and reused efficiently such that a considerable catalytic activity still could be achieved after fifth run.

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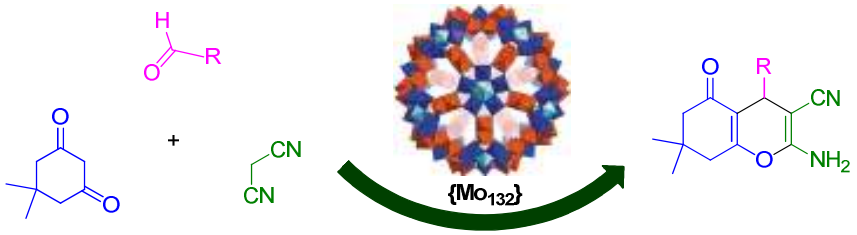
Heterocyclic Letters 7: iss.-1 (2017), 35-44

Catalytic activity of $(NH_4)_{42}[Mo^{vi}_{72}Mo^{v}_{60}O_{372}(CH_3COO)_{30}(H_2O)_{72}]$as highly efficient recyclable catalyst for the synthesis of tetrahydrobenzo[*b*]pyrans in water

Ahmad Nakhaei[1]*, Abolghasem Davoodnia[2], Sepideh Yadegarian[1]

[1]Young Researchers and Elite Club, Mashhad Branch, Islamic Azad University, Mashhad, Iran
[2]Department of Chemistry, Mashhad Branch, Islamic Azad University, Mashhad, Iran

In this work, synthesis of tetrahydrobenzo[*b*]pyrans by one-pot reaction of aldehydes, ammonium acetate, and dimedone in the presence of a Keplerate type giant nanoporousisopolyoxomolybdate, $(NH_4)_{42}[Mo^{VI}_{72}Mo^{V}_{60}O_{372}(CH_3COO)_{30}(H_2O)_{72}]$, represented as $\{Mo_{132}\}$, as catalyst in water has beenreported.



Heterocyclic Letters 7: iss.-1 (2017), 45-57

Study of antioxidant, antimicrobial and anti-xanthine oxidase activities of some 5,6-dimethyl-2-(substituted)-1h-benzimidazoles and their acylhydrazide derivatives

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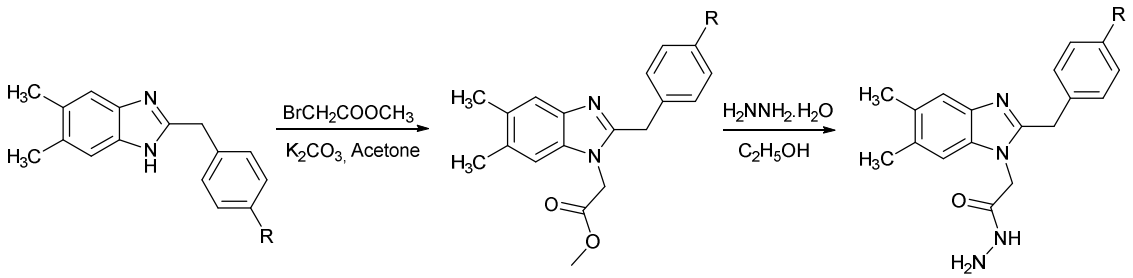
In this study, a series of 5,6-dimethyl-2-(4-fluoro/chloro/bromo/methyl/nitrobenzyl)-1H-benzimidazoles were screened for their bovine milk xanthine oxidase (XO) inhibition, antioxidant and antimicrobial activities.



2a-f **3a-f** **4a-f**

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Heterocyclic Letters 7: iss.-1 (2017), 59-64

Silica-supported antimony(iii) chloride as highly effective and reusable heterogeneous catalyst for the synthesis of 1,8-dioxodecahydroacridines

Behrooz Maleki [a], Akram Vedad Mofrad [a], Amir Khojastehnezhad[*,b]

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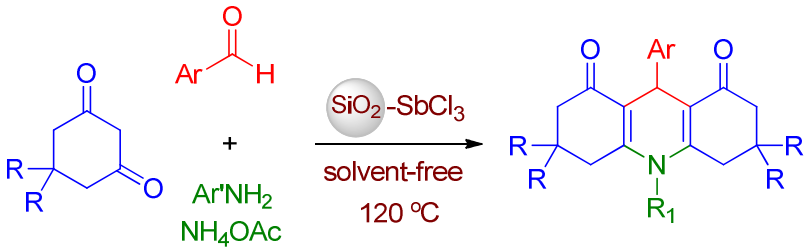
[b]*Young Researchers Club and Elites, Mashhad Branch, Islamic Azad University, Mashhad, Iran.*
Email: akhojastehnezhad@yahoo.com,

Antimony trichloride supported on silica ($SbCl_3$-SiO_2) has been found to be an efficient heterogeneous catalyst for the synthesis of 1,8-dioxodecahydroacridines by three-components condensation of dimedone, aromatic aldehydes and aromatic amines or ammonium acetate under solvent-free conditions. The present methodology offers several advantages such as high yields, short reaction times, simple operation and convenient work-up. The catalyst was separated by simple filtration and used in the reaction three times without any significant loss of its activity.



Heterocyclic Letters 7: iss.-1 (2017), 65-73

Ultrasonic Accelerated Efficient & Mild Chemo-selective Synthesis of 2-Aryl-1-Arylmethyl-1-*H*-1,3-Benzimidazoles Promoted by Ammonium Molybdate

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The reaction of 1, 2-phenylenediamine with aromatic aldehydes in the presence of ammonium molybdate and ultrasonically accelerated to produce selectively 2-aryl-1-(arylmethyl)-1-*H*-1.3-benzimidazoles in good yields. The reaction is very efficient and proceeds under mild reaction conditions giving rise to pure products without further purification.

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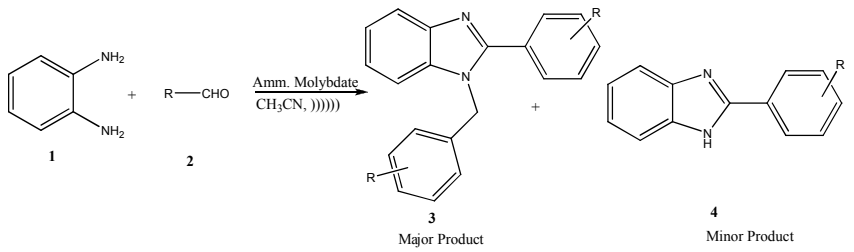

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Heterocyclic Letters 7: iss.-1 (2017), 75-80

Facile synthesis of novel imidazole[2,1-*b*]thiazole linked Schiff's bases: Potential synthon for β-lactams

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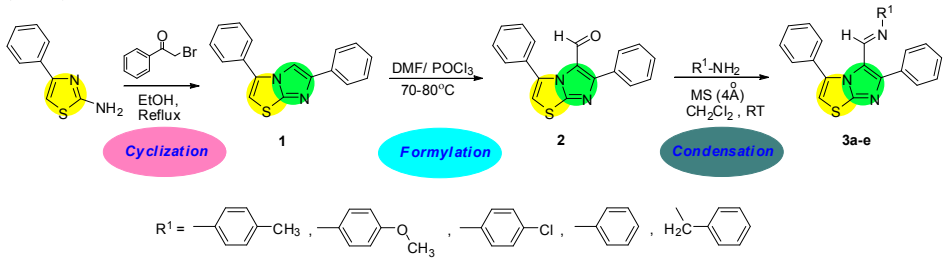
A simple and efficient synthesis of novel imidazo[2,1-*b*]thiazole linked Schiff's bases **3a-e** is described. Vilsmeier-Haack formylation of 3,6-diphenylimidazo[2,1-*b*]thiazole **1** is achieved to afford novel 3,6-diphenylimidazo[2,1-*b*]thiazole-5-carbaldehyde **2**. The compound **2** upon treatment with appropriately substituted primary amines furnishes 3,6-diphenylimidazo[2,1-*b*]thiazol-5-yl Schiff's bases **3a-e** in good yield. The imidazo[2,1-*b*]thiazole linked Schiff's bases **3a-e** are potential synthons for the synthesis of amino acids, metal complexes and biologically active β-lactams. The structure elucidation of all the newly synthesized compounds is carried out using FT-IR, ^{1}H and ^{13}C NMR spectroscopy and elemental analysis (CHN).



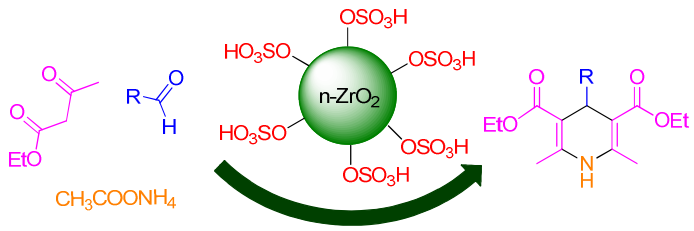
Heterocyclic Letters 7: iss.-1 (2017), 81-90

Synthesis of 1,4-dihydropyridine derivatives using nano-zirconia sulfuric acid as highly efficient recyclable catalyst

Ahmad Nakhaei[1]*, Nasrinsadat Hosseininasab[2], and Sepideh Yadegarian[1]

[1]*Young Researchers and Elite Club, Mashhad Branch, Islamic Azad University, Mashhad, Iran*
[2]*Department of Chemistry, Mashhad Branch, Islamic Azad University, Mashhad, Iran*

In this work, synthesis of 1,4-dihydropyridine derivatives by one-pot reaction of aldehydes, ammonium acetate, and dimedone in the presence of nano-zirconia sulfuric acid, represented as ZrSA, as catalyst has been reported.



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Heterocyclic Letters 7: iss.-1 (2017), 91-97

Synthesis of 2-substituted 4(3h)-quinozolinones derivatives using boron tribromide as a efficient catalyst

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Department of Chemistry, Vikrama Simhapuri University, Nellore-524001, Andhra Pradesh, India.
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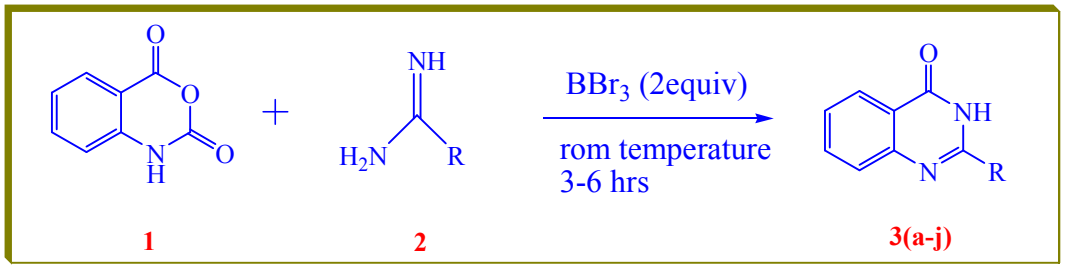
A highly efficient synthesis of 2-substituted 4(3H)-quinazolinones is elucidated using BBr_3 catalyzed coupling of isatoic anhydride and benzamidine derivatives at room temperature. This reaction proceeds under mild conditions. This method was found to be better method giving high yields. The present method shows some advantages such as short reaction times and enhanced selectivity. The Structures of the Compounds are confirmed by ^1H NMR & ^{13}C NMR, Mass spectral data.

Graphical Abstract



Synthesis of 2-Substituted Quinazolinone derivatives

Heterocyclic Letters 7: iss.-1 (2017), 99-103

A simple and convenient one pot synthesis of 2-aryl benzimidazole derivatives using zirconium oxychloride as a catalyst

Mangesh A. Kulkarni, Nayana V. Pahade, Sangeeta P.Pawar, Dinesh L. Lingampalle*.

"Department of Chemistry, Vivekanand College, Samarth Nagar, Aurangabad-431001, Maharashtra, India."
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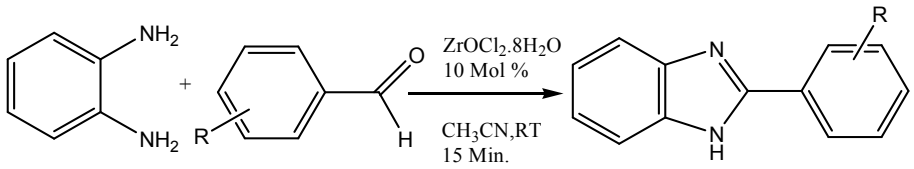
A one pot synthesis of 2-aryl benzimidazole has been described on reaction with o- phenylenediamine and various aromatic aldehydes using zirconium oxychloride as a catalyst. This protocol offers the significant advantages in terms of simplicity, low catalyst loading, very good yields, the use of available catalysts, simple workup procedure, short reaction time, no need of purification and non toxic in nature.



R= H, Cl, Br, OH, OMe, NO_2

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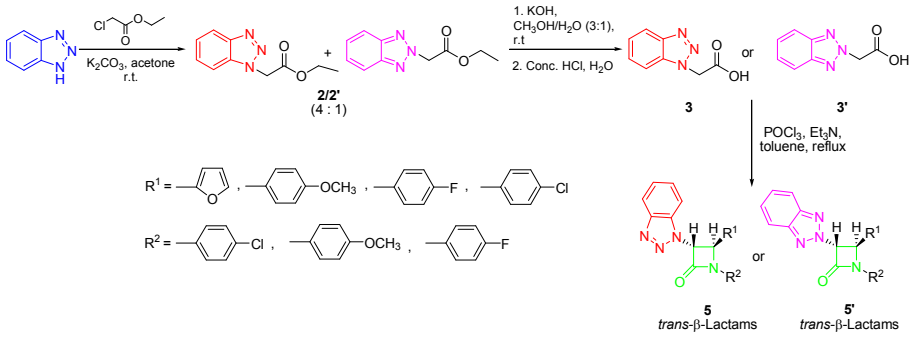
Heterocyclic Letters 7: iss.-1 (2017), 105-112

Studies Towards the Synthesis of Novel *N*1- & *N*2-Benzotriazole Linked *C*-3 Substituted Azetidin-2-ones

Anu Kumari,[1] Shamsher S. Bari,[1] Bimal K. Banik,[2] and Aman Bhalla[1,*]

[1]Department of Chemistry and Centre of Advanced Studies in Chemistry, Panjab University, Chandigarh 160014, India
[2]Community Health Systems of South Texas; 3135 South Sugar Road, Edinburg, Texas, 78539, USA
E-mail: amanbhalla@pu.ac.in

An operationally simple strategy for the synthesis of novel *C*-3 functionalized azetidin-2-ones containing *N*1- and *N*2-linked benzotriazole moiety is described. The starting *N*1 and *N*2-benzotriazole esters (in the ratio of 4 : 1, respectively) were converted into their corresponding acids, which on cycloaddition with appropriate Schiff's bases using Et_3N and $POCl_3$ in refluxing toluene afforded the desired *trans*-azetidin-2-ones stereoselectively. All the synthesized compounds were characterized by FT-IR, NMR spectroscopy (^1H and ^{13}C) and elemental analysis. The *trans* configuration of the β-lactam was assigned with respect to C3-H and C4-H.



Heterocyclic Letters 7: iss.-1 (2017), 113-120

Silver triflate catalysed one-pot synthesis of 3-substituted quinazolinones by three-component coupling of anthranilic acid, amines and ortho esters at room temperature under solvent-free conditions

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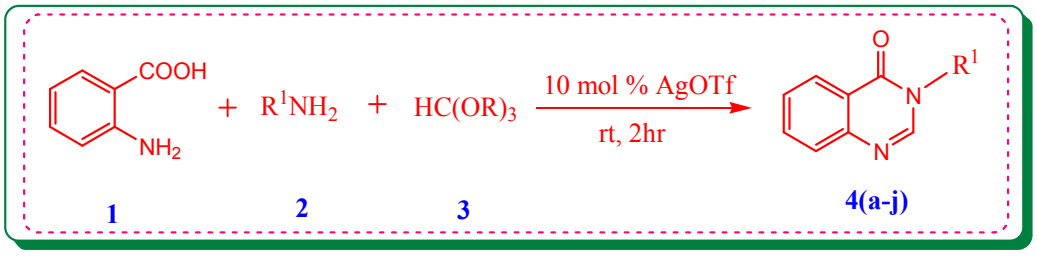
**corresponding author e-mail: thrivenivsu@gmail.com*

A series of 3-substituted quinazolinone derivatives have been synthesized in excellent yields by one-pot reaction using a three-component condensation of anthranilic acid, amines, and ortho esters at room temperature under solvent-free conditions. The reaction was efficiently promoted by AgoTf. All the products were identified by spectral (^1H NMR, ^{13}C NMR and mass) and analytical data.

Graphical Abstract



Synthesis of 3-Substituted Quinazolinone derivatives

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Heterocyclic Letters 7: iss.-1 (2017), 121-140

Design, Synthesis and Structural Elucidation of Novel 1, 5-Benzooxazepines Containing Thieno [2, 3-*d*] Pyrimidine Nucleus and its Biological activity Screening

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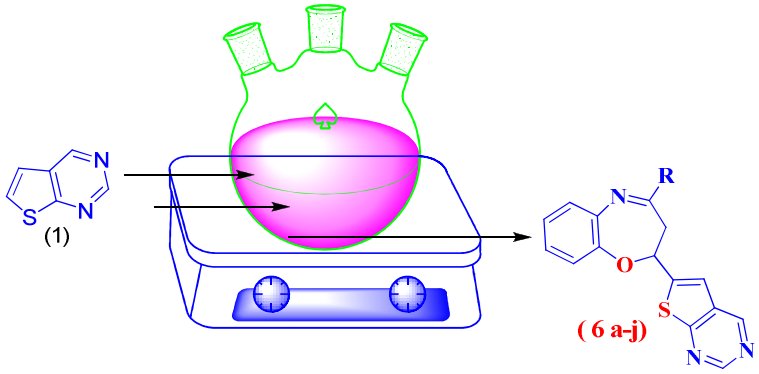
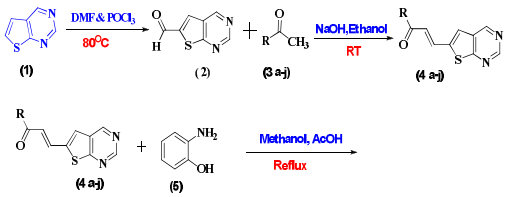
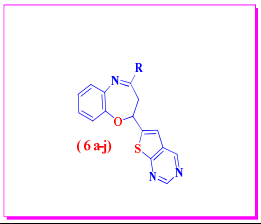
[2] Department of Chemistry, Sri Krishnadevaraya University, Ananthapuramu, (A P), INDIA.
[3]Department of Environmental Science, Sri Krishnadevaraya University College of Engineering & Technology, S.K.University, Ananthapuramu – 515003 (A.P), India.
[4] Faculty of Engineering Chemistry, PBR VITS, Jawaharlal Nehru Technological University (JNTU-A), KAVALI, NELLORE (Dist), (A.P.) INDIA.

In the present communication synthetic methodology involves the reaction of thieno[2,3-*d*]pyrimidine (1) with DMF & $POCl_3$ to get thieno [2, 3-*d*] pyrimidine-6-carbaldehyde (2) intermediate , which were further treated with various substituted acetophenone & heterocyclic acetyl group derivatives (3 a-j) to get different chalcone (4 a-j) derivatives. These are reacts with 2-amino phenol in methanol to get novel benzoxazepine derivatives containing thieno[2,3-*d*] pyrimidine nucleus 6(a-j) in good yields. The synthesised compounds were tested for their antimicrobial activity against different fungi and bacteria species by using disc diffusion method. The compounds are characterizes by IR, NMR, Mass analysis. antibacterial and anti-fungal activities were evaluated and compared with the standard drugs, From anti-bacterial and anti-fungal activity screening results, it has been observed that compounds 6h, 6e, 6f and 6i possess good activity.



Synthetic Scheme





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Heterocyclic Letters 7: iss.-1 (2017), 141-146

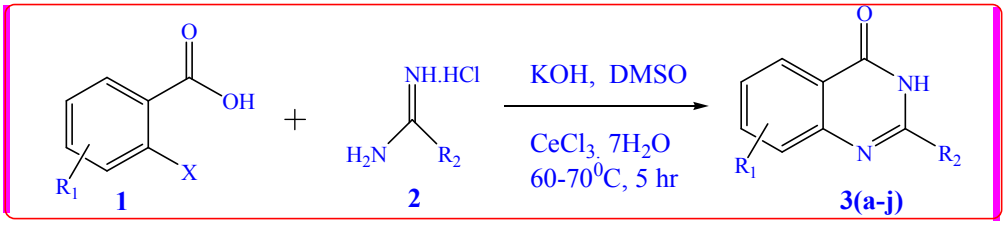
Synthesis of Quinazolinones *via* Tandem Cyclization of 2-halobenzoic Acids with Amidines using Cerium(III) chloride as a catalyst

B. Yedukondalu,[1] B. Lalitha kumari,*[1] M. Hari Krishna,[2] P. Thriveni.[2]

Department of Chemistry, Vignan's University, Guntur -522 213, Andhra Pradesh, India.
Department of Chemistry, Vikrama Simhapuri University, Nellore-524001, Andhra Pradesh, India.
**Corresponding Author E-mail: Chemkondavignan@gmail.com*

Tandem cyclization of 2-halobenzoic acids with amidines provides a new facile protocol for the synthesis of 2-substituted quinazolinones using Cerium(III) chloride as effective catalyst. This protocol is very simple and provides moderate yields.

Graphical Abstract



Synthesis of 2-substituted quinazolinones derivatives

Heterocyclic Letters 7: iss.-1 (2017), 147-153

Glycerol in water: a simple, efficient and green protocol for the synthesis of 3-hydro- 2 - (2´- substituted indol) 9 h-imidazo [1, 2-a] benzimidazoles as insecticidal agents

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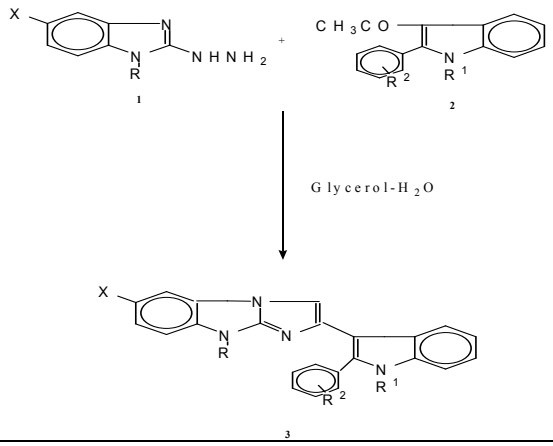
[b]Department of Chemistry, University of Rajasthan, Jaipur 302055, India
E-mail: drkanti@gmail.com

An efficient, facile and greener protocol for the synthesis of benzimidazole derivatives viz., 3-hydro-2-(2'-subsituted indol) 9H-imidazo [1, 2-a] benzimidazoles **3**, by the reaction of 2-hydrazino benzimidazoles 1 with 3-acetyl indoles **2** using glycerol-water as solvent and without using any catalyst is described



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Heterocyclic Letters 7: iss.-1 (2017), 155-166

The synthetic strategy of new pyridine clubbed acetamides *via* n-alkylation/c–n coupling reaction, sulfonamide drug and their biological approach

[1]Prabhunath Yogi, [2]Prakash Prajapat, [2]Nassir Hussain, [3]Heena Dhawan, and [1]Ajit Joshi

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[2]Department of Chemistry, Mohanlal Sukhadia University, Udaipur-313001, Rajasthan, India
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Heterocyclic Letters 7: iss.-1 (2017), 167-170

An Environmentally benign synthesis of N-alkyl-2-((benzimidazol-2-yl) thio) acetonitrile

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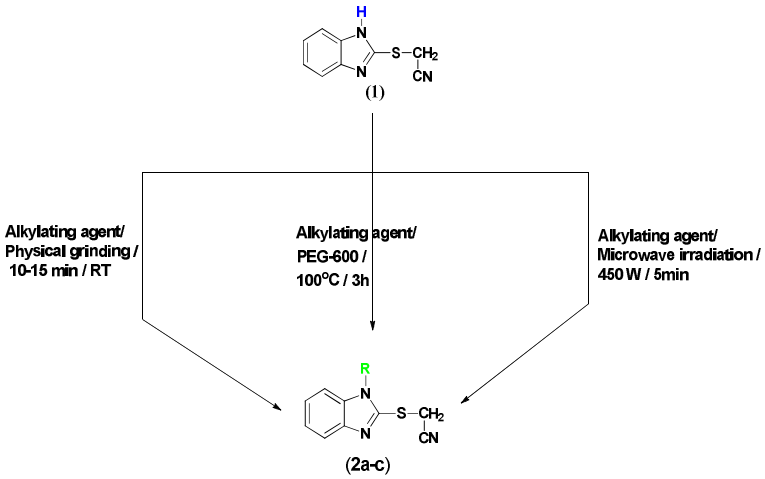
Himayatnagar (Vill.), C.B.Post, Hyderabad, India – 500 075.
E-mail: seenu604@gmail.com

A green approach for the synthesis of N-alkyl-2-((benzimidazol-2-yl) thio) acetonitrile **2** (R^1= CH_3, C_2H_5, CH_2Ph) has been developed under different conditions.



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Heterocyclic Letters 7: iss.-1 (2017), 171-176

Synthesis, characterization and antimicrobial activity of unsymmetrical bi-heterocyclic-azo-compound(3-pyridyl azo adenine)

T. Mathur*[1], M. Seal[2], S. Chatterjee[2].

*[1]*Abhedananda Mahavidyalaya, Sainthia, Birbhum(W.B), INDIA.*
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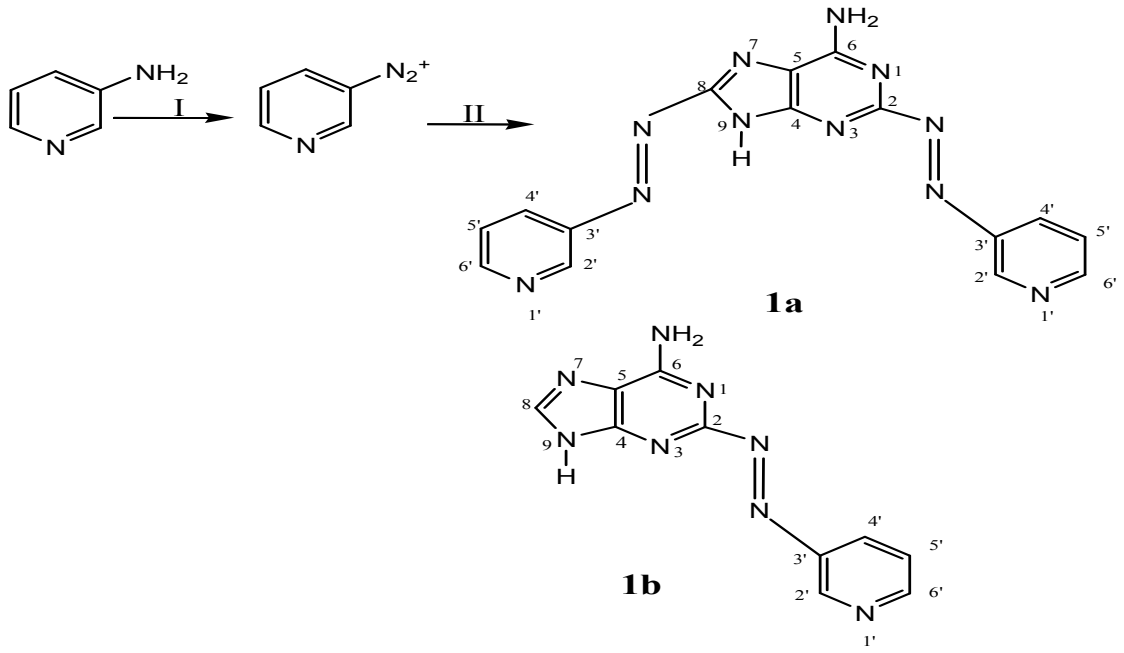
Synthesis of 2,8-[bis-{(3′-pyridyl)azo}]adenine (**1a**) and 2-[(3′-pyridyl)azo]adenine (**1b**) have been achieved by coupling of 3-diazonium-pyridine salt with adenine in KOH solution after the diazotization of 3-aminopyridine. Then purified and isolated the different compounds by chromatographic method. The synthesized compounds have been characterized by their elemental analysis and spectroscopic techniques and also studies their antibacterial activities with some common gram positive and gram negative bacteria.



I) $NaNO_2$-H_2SO_4, 0-5 ^oC; II) Adenine in KOH solution, pH = 10-11

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Efficient Synthetic methods of Thiobenzimidazole Substituted Quinazolin-4(3H)-one

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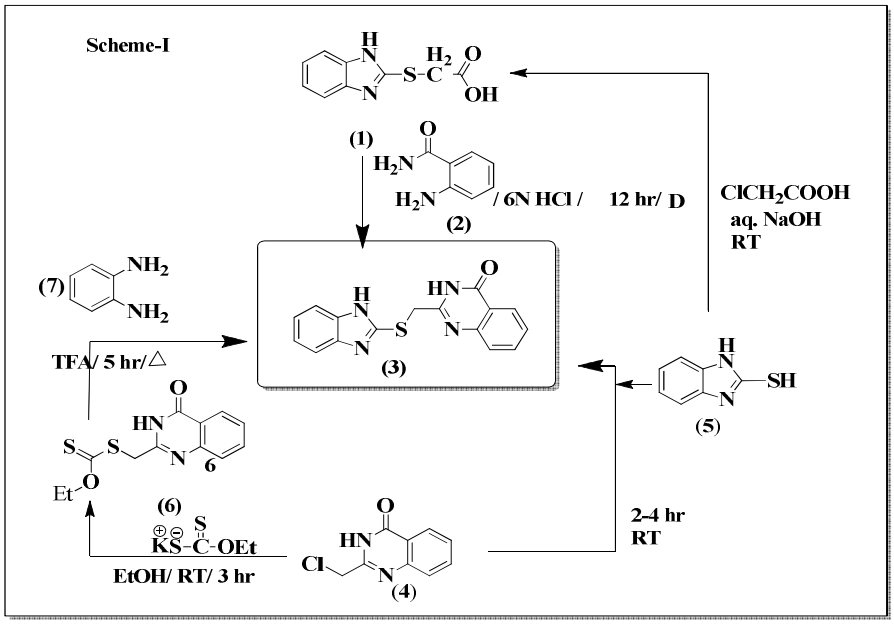
Condensation of 2-((1H-benzo[d]imidazol-2-yl)thio)acetic acid (**1**) with *o*-aminobe nzamide (**2**) gave 2-[1-(1H-benzimidazol-2-yl)-ethylsulfanyl]-3*H*-quinazolin-4-one (**3**). The latter could also be prepared by the reaction of 2-(chloromethyl)quinazolin-4(3H)-one (**4**) wi th 1H-benzo[d]imidazole-2-thiol (5) either in acetone containing triethylamine or in DMF co ntaining K_2CO_3 in the presence of TBAB as phase transfer catalyst. **3** could also be prepared by an alternative method involving the reaction of **4** with potassium ethylthioxanthate yielding O-ethyl S-((4-oxo-3,4-dihydroquinazolin-2-yl)methyl)carbonodithioate (**6**) and subsequent condensation of the latter with *o*-phenylenediamine (7) in the presence of trifluroacetic acid (TFA), under reflux in toluene. **5** required in this work were obtained from the commercially available 7 under refluxing conditions with potassium ethylxanthate in ethanol for 2 hr.



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Design, synthesis, structural elucidation and antimicrobial screening of novel 1, 5-benzothiazepines containing thieno [2, 3-*d*] pyrimidine nucleus

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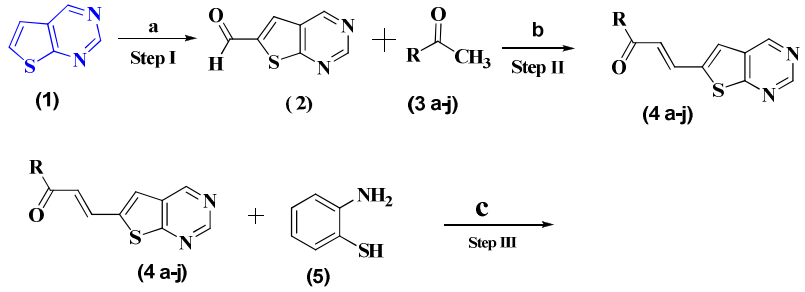
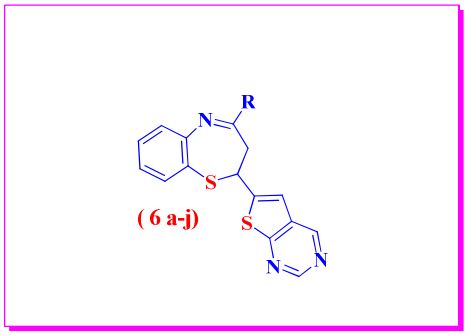
New series of benzo [b] [1,5]-thiazepine derivatives 6 a-j were synthesized by applying the cyclo condensation of (E)-3-(thieno[2,3-*d*]pyrimidin-6-yl)-1-p-substituted prop-2-en-1-one derivatives 4a-j with o-aminothiophenol(5) in DMF. The new intermediate chalcone derivatives 4a-j were obtained from interaction of various p-substituted acetophenone & heterocyclic acetyl derivatives 3(a-j) and thieno [2, 3-*d*] pyrimidine-6-carbaldehyde. The synthesized 1, 5-benzothiazepines 6a-j have been screened for their antimicrobial activity. From anti-bacterial and anti-fungal activity screening results, it has been observed that compounds 6h, 6e, 6i and 6d possess good activity.

Synthetic Scheme





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REVIEWS

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Antimicrobial activites of quinazolinone and their derivatives: a review

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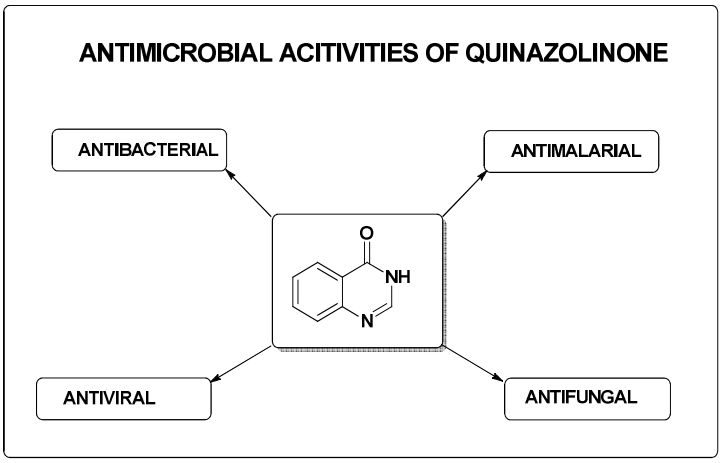
Quinazoline and quinazolinone have fused heterocyclic rings have attracted a chemist due to their wide range of applications in the field of medicinal chemistry. There are large number of papers, reports and reviews on quinazoline and quinazolinone for their diversified biological activities such as anti-HIV, anticancer, antifungal, antibacterial, antimutagenic, anticoccidial, anticonvulsant, anti-inflammatory, antidepressant, antimalarial, antioxidant, antileukemic, and antileishmanial activities and other activities. CQuinazolinone and its analogous exhibited wide spectrum of antimicrobial activities. We therefore have made efforts to briefly summarize various quinazolinones possessing antimicrobial activity in this review which ccontinuing improvements have been made for antimicrobial agents containing quinazolinone in various aspects in addition to the antimicrobial spectrum and activity.



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Biological profile of coumarins (7-hydroxy-4-methyl-2*h*-benzopyran-2-ones)

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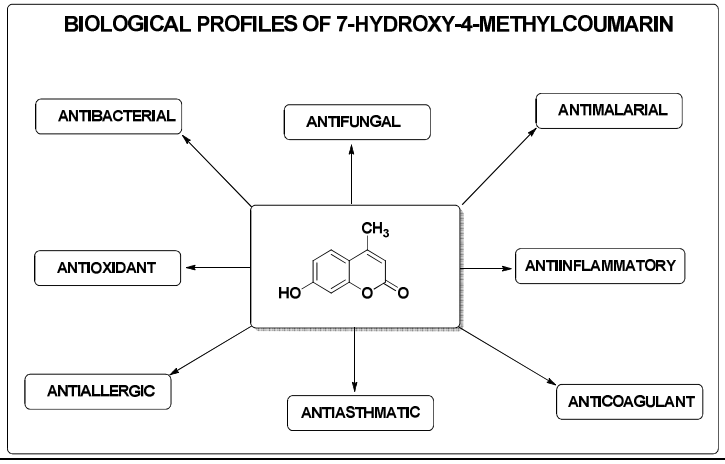
Coumarins constitute an important group of biologically active compounds. 7-Hydroxy-4-methyl-2*H*-benzopyran-2-ones belongs to an old class of naturally occurring coumarins. They are broadly used in photochemistry or cosmetics, seasonings and pharmaceuticals production. It has been evidenced from literature survey that these compounds possessed antibacterial, antifungal, anti-neoplastics, anti-HIV and antipsychotic activities. The unique structural scaffold and medicinal importance of coumarin have therefore attracted many Scientists in the past, to isolate or synthesize coumarin or their analogs as potential drug candidates. We therefore have made efforts to briefly summarize 7-hydroxy-4-methyl-2*H*-benzopyran-2-one and their derivatives possessing biological activities in this review.



MISCELLANEOUS

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Non-traditional examination: a study to improve academic and research performance of undergraduate organic chemistry students#

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An investigation of conducting a presentation examination instead of a classical written examination method on academic and research performance of undergraduate chemistry students was performed at the University of Texas-Pan American. The results suggest that chemistry students do much better in the presentation examination compared to the written examination at the advanced organic chemistry course. But, the performances of the students in the lower level course are mixed. However, students do much better in research work when presentation examination was conducted