Heterocyclic Letters
Vol. 8| No.3|528-541|May-July|2018
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
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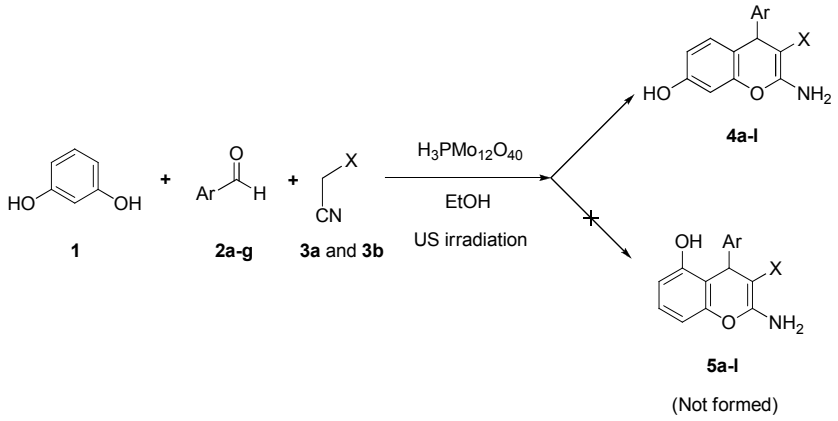
Graphical Abstract

Heterocyclic Letters 8: iss.-3 (2018), 543-551

Rapid and efficient one-pot synthesis of 2-amino-4-aryl-4*h*-chromenes catalyzed by $h_3pmo_{12}o_{40}$ under ultrasound irradiation

Nasrin Karimi, Abolghasem Davoodnia*, Mehdi Pordel

Department of Chemistry, Mashhad Branch, Islamic Azad University, Mashhad 9175687119, Ir



A simple and efficient synthesis of 2-amino-4-aryl-7-hydroxy-4*H*-chromene-3-carbonitriles and ethyl 2-amino-4-aryl-7-hydroxy-4*H*-chromene-3-carboxylates was achieved *via* a one-pot three-component reaction of resorcinol, aromatic aldehydes, and malononitrile or ethyl cyanoacetate in the presence of a catalytic amount of phosphomolybdic acid ($H_3PMo_{12}O_{40}$) as a reusable inorganic catalyst under ultrasonic irradiation. The catalyst is inexpensive and readily available and can be recovered conveniently and reused efficiently such that a considerable catalytic activity still could be achieved after the fifth run. Other key features of this methodology are operational simplicity, high yields, and short reaction times.

Heterocyclic Letters 8: iss.-3 (2018), 553-560

Synthesis of pyrano[2,3-*d*]pyrimidine diones derivatives using iron(III) phosphate

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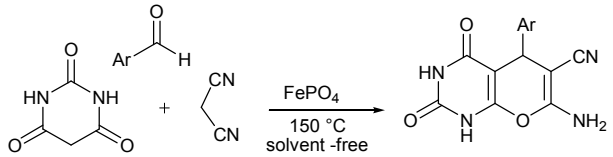
In this paper pyrano[2,3-d]pyrimidine derivatives were synthesized by a condensation reaction between barbituric acid, malononitrile and various aromatic aldehydes using iron (III) phosphate as a green catalyst under solvent free conditions at 150 °C.



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Heterocyclic Letters 8: iss.-3 (2018), 561-568

Simple and efficient synthesis of new benzo[4,5]imidazo[1,2-a]pyrimidine derivatives using acetic acid as catalyst in ethanol medium

Amar Djemoui [1,2,3]*; Mohammed Ridha Ouahrani [1]*; AbdelkaderNaouri[1,2, 4] ; Djamila Djemoui[1], ManalBouzidi [2]; and LahrechMokhtarBoualem[3].

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2 Department of Chemistry, Faculty of Exact Sciences and Informatics, ZIANE Achour University. Djelfa, Algeria
3 Laboratory of Organic Chemistry and Natural Substance, Faculty of Exact Sciences and informatics, ZIANE AchourUniversity. Djelfa, Algeria.
4 Health Division, Centre of Scientific and Technical Analyses Physico-Chemical BP 384, Seat former Pasna Industrial Zone Bou-Ismail, Tipaza, Algeria.
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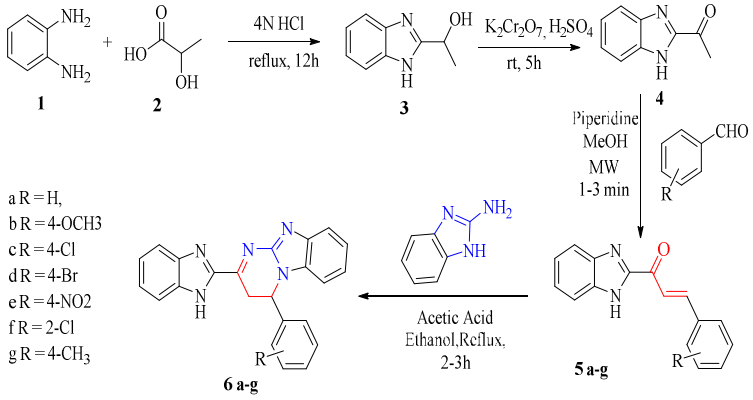
A series of new 2-(1H-benzo[d]imidazol-2-yl)-4-phenylbenzo[4,5]imidazo[1,2-a]pyrimidine derivatives **6a-g**were synthesized by simplecondensation reaction between 1-(1H-benzo[d]imidazol-2-yl)-3-phenylprop-2-en-1-one derivatives **5a-g**and 2-aminobenzimidazolein the presence of catalytic amount of acetic in in ethanol are heated under reflux for 2-3 hours. The yield of the synthesized compounds varied from 89-94%. The structures of the compounds obtained were characterized and confirmed by IR,[1]HNMR,[13]C-NMR.



Scheme 01: synthetic route for the preparation of the new 2-(1*H*-benzo[*d*]imidazol-2-yl)-4-phenyl-3,4-dihydrobenzo[4,5]imidazo[1,2-*a*]pyrimidine **6 a-g**

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Heterocyclic Letters 8: iss.-3 (2018), 569-577

Facile and efficient microwave-assisted synthesis of bicyclic Δ^2 (1,2,3)-triazolines via 1,3-dipolar cycloaddition between organic azides and 1-morpholinocyclopentene

Fatima-Zahra Ouasti, Mohammed Hamadouche*, Aouicha Benmaati and Douniazed El Abed

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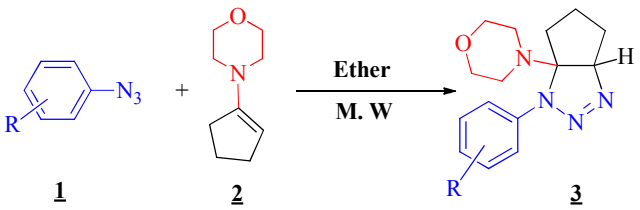
The preparation of bicyclic Δ^2 (1,2,3)-triazolines by microwave activation as the main objective, using the 1,3-dipolar cycloaddition reaction between substituted easily accessible aryl azides **1** and 1-morholino-cyclopentene **2**.
This methodology avoids the use of harsh reactions conditions and allows an easy isolation of the desired products with high purities and yields after a very short time.
The structures of all these compounds have been confirmed by ^{1}HNMR, ^{13}CNMR, mass spectral and elemental analysis.



1 **2** **3**

R = H, (*o*, *m*, *p*)-NO_2 , (*o*, *m*, *p*)-Br, (*o*, *m*, *p*)-F, 2-Cl, 4-NO_2, *m*-CF_3, 2-F, 4-Cl

Heterocyclic Letters 8: iss.-3 (2018), 579-586

Nano-Fe_3O_4@ZrO_2 supported phosphomolybdic acid-catalyzed synthesis of 3-aminoalkylated indoles

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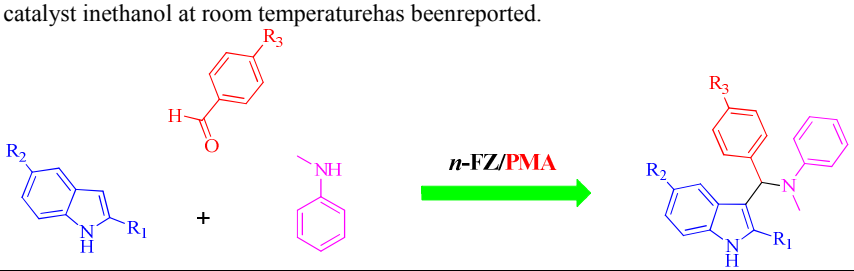
In this research work, fast and green synthesis of 3-aminoalkylated indolesby the one-potthree-component reaction of indoles, aldehydes, and *N*-methyl anilinein the presence ofNano-Fe_3O_4@ZrO_2supported phosphomolybdic acid(*n*-FZ/PMA) as catalyst inethanol at room temperaturehas beenreported.



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Heterocyclic Letters 8: iss.-3 (2018), 587-592

Theoretical and experimental study of solvent effects on the producing of powerful fluorophores 3,8-disubstituted-3*H*-imidazo[4,5-*a*]acridine-11-carbonitriles

Fatemeh Zonozi, Mehdi Pordel, S. Ali Beyramabadi and Ali Morsali

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

The effect of polarity of solvents on the reaction mechanism in the formation of 3,8-disubstituted-3*H*-imidazo[4,5-*a*]acridine-11-carbonitriles has been theoretically and experimentally investigated. The results of the study can lead to the synthesis of new fluorophores based on acridine chromophore in high yields.

Heterocyclic Letters 8: iss.-3 (2018), 593-601

Synthesis and Characterization of Novel Thiazolidinone Derivatives of C-Mannich Bases

Maddineni Aruna Kumari[1], Kalluri Ramanjaneyulu[2] and Chunduri Venkata Rao[1]*

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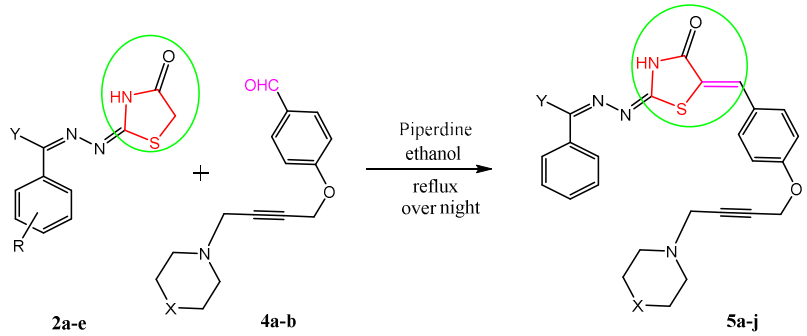
E-mail: cvrsvu@gmail.com

The synthesis of C-Mannich bases on 4-thiazolidinone derivatives by the condensation of thiazolidinone derivatives (**2a-e**) and C-Mannich bases (**4a-b**) has been reported. The synthesized compounds have been characterized by ^{1}H NMR, ^{13}C NMR and LC-MS analyses.



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Heterocyclic Letters 8: iss.-3 (2018), 603-611

A new alkaloid isolated from *Clitoria ternatea* and evaluation of anti-bacterial and anti-inflammatory activities of3-deoxy- 3, 11-epoxy cephalotaxine

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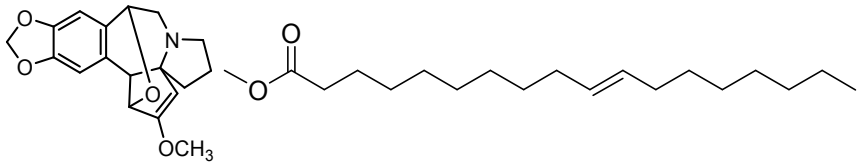
E-mail:ilayaishanth@yahoo.comMobile: + 91- 9123565080

The present work has carried out to compare the efficacy of phytochemically extracted alkaloid in the chloroform fraction of *C. ternatea* and also to study its pharmacological effect has been chosen anti-bacterial activity by disc diffusion method and anti-inflammatory activity by carrageenan model.

Clitoria ternatea

↓



3 **4**

Heterocyclic Letters 8: iss.-3 (2018), 613-617

Synthesis and antifungal activity of novel 1,2,4-triazole derivatives

K.Yashaswini, B.C. Revanasiddappa*, M.Vijay Kumar, Hemanth Kumar

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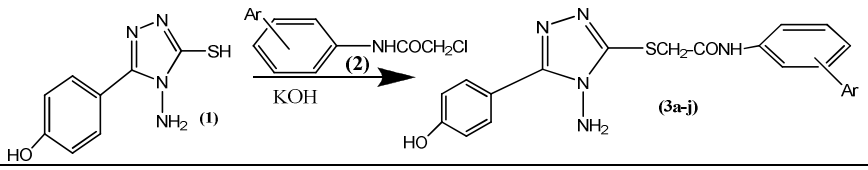
A novel series of 1,2,4-triazoles **(3a-j)** were synthesized by reacting 4-amino-3-mercapto-1,2,4-triazole **(1)** with appropriately N-substituted-α–chloroacetanilides **(2)** in aq. potassium hydroxide medium to yield the title compounds. The new compounds were established on the basis of spectral data and all compounds were evaluated for antifungal activity



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Heterocyclic Letters 8: iss.-3 (2018), 619-629

Microwave assisted neat synthesis of 1,2,3-triazoles bearing pyrazole moiety

Manju N[1], Balakrishna Kalluraya[1*], Asma[1] and Madan S Kumar[2]

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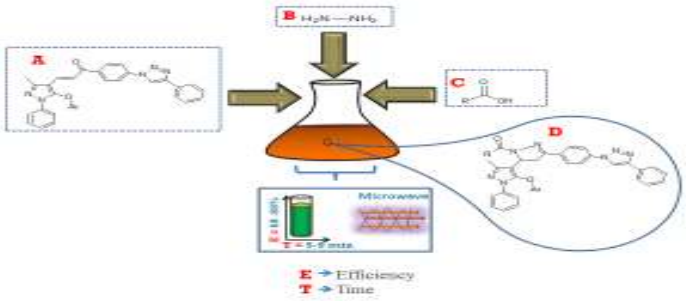
[2]PURSE Lab, Mangalore University, Mangalagangothri-574 199, Karnataka, India
Email: bkalluraya@gmail.com
Contact No.: 9448824075



Heterocyclic Letters 8: iss.-3 (2018), 631-639

Synthesis and antimicrobial screening of novel 4-(1*H*-benzo[*d*] imidazol-2-yl)-8,9-diaryl-1,6-dioxa-4,7,9-triazaspiro[4,5]dec-7-en-3-ones

B. Kishore*, G. Brahmeshwari

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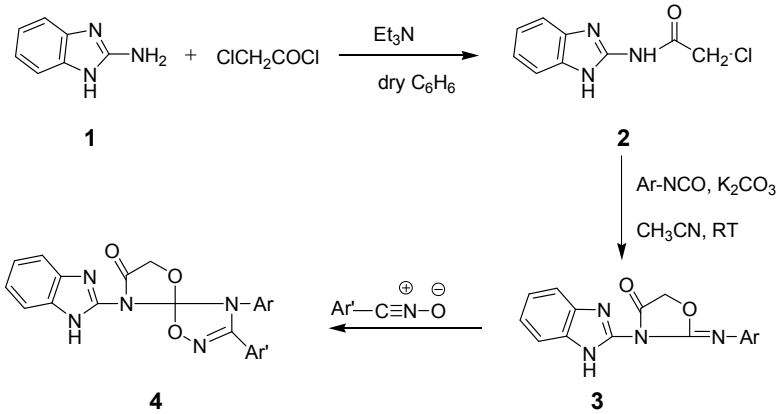
The synthesis of novel 4-(1*H*-benzo[*d*]imidazol-2-yl)8,9-diaryl-1,6-dioxa-4,7,9-triazaspiro[4,5]dec-7-en-3-ones **(4)** and their antimicrobial activity was reported.



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Heterocyclic Letters 8: iss.-3 (2018), 641-646

Synthesis, characterization and antimicrobial studies of new mannich base ligands derived from acetamide, acrylamide, benzamide and phthalimide

N.Karikalan[a], L.Muruganandam[b*], R.Maheswari[b], S.Selvam[c], A.Lakshmanan[d], and R.Venkatachalam[d]

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[b]*Department of Chemistry, Saranathan College of Engineering, Tiruchirapalli-12.*
[c]*Department of Chemistry, Sudarsan Engineering College, Pudukkottai-501.*
[d]*Department of Chemistry, AVVM Sri Pushpam College, Poondi, Thanjavur-503.*
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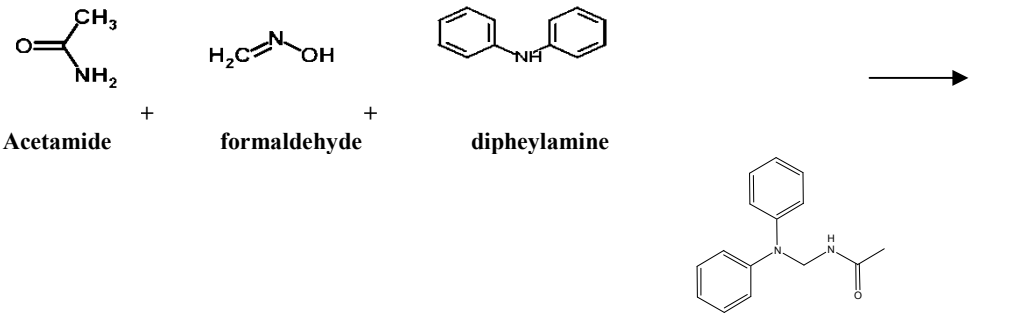
The present work describes the synthesis, characterization and *in vitro* antimicrobial studies of the three component condensation of secondary amines, aldehydes and organic compounds containing at least one active hydrogen atom by Mannich reaction. All the synthesized compounds were characterized by elemental analyses, IR, UV, NMR and mass spectral studies. The antibacterial and antifungal activities were evaluated by agar disc diffusion method. They showed some interesting antibacterial and antifungal activities. The compound *N*-[Morpholino (methyl)]phthalimide, has high antibacterial as well as antifungal activity.



Acetamide + **formaldehyde** + **dipheylamine** → **DPAMAce**

Scheme I: Synthesis of *N*-[(Diphenylamino)methyl]acetamide(DPAMAce)

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Heterocyclic Letters 8: iss.-3 (2018), 647-656

Free solvent microwave-assisted synthesis of (1,2,3)-triazolines

Amina Chenni, Mohammed Hamadouche*and Douniazed El Abed

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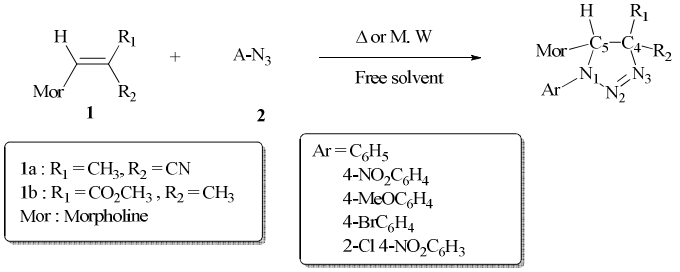
Email: hamadouchemed@yahoo.fr

The paper describes an easy and efficient microwaves-assisted synthesis of triazolines by 1,3-dipolar cycloaddition reaction of organic azides to β-amino methacrylic esters and nitriles. It is shown that microwave-assisted synthesis of triazolines proceeds very rapidly under solvent-free conditions and provides better yields.



Heterocyclic Letters 8: iss.-3 (2018), 657-664

Nickel Ferrite catalysed synthesis of hexahydroquinoline derivatives in aqueous media

Karthik K. Krishnan*, Vijay V. Dabholkar, Amresh Baitha, Sandeep Gulve

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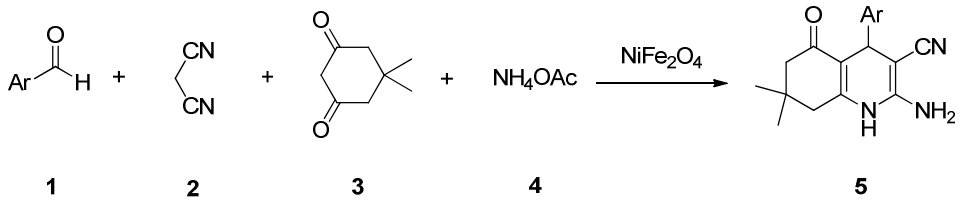
email: karthik.krishnan1986@yahoo.com

A general and convenient practical approach for the synthesis of hydroquinoline derivatives has been achieved via one-pot four-component Hantzsch condensation of aromatic aldehydes, dimedone, malononitrile and ammonium acetate in the presence of a catalytic amount of magnetic Nickel Ferrite ($NiFe_2O_4$), in water under reflux. $NiFe_2O_4$ nanoparticles used as catalysts were synthesised using sol-gel (citrate gel technique) and were characterized using Powder XRD and SEM. The synthesized compounds have been characterized by spectral characteristics. Simple work-up, mild reaction conditions, inexpensive non-toxic catalyst and excellent yields are the advantages of this method.



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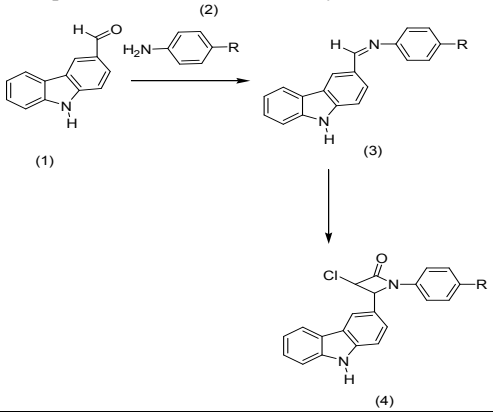
Heterocyclic Letters 8: iss.-3 (2018), 665-670

Synthesis characterization and anti-inflammatory activity of 4-(9h-carbazol-6-yl)-3-chloro-1-phenylazetidin-2-one

***S.Murali krishna, P.Jagadeeswara rao**

Santhiram college of engeneering and technology,nanyal,kurnool.
Biological E.Ltd company ,shameerpet,Hyd
Email ID;-muralisphd@gmail.com

Schiff bases synthesis of carbazole derivatives bearing-4-oxazetiding ring were synthesised by the condensation of (Z)-N-((9H-carbazol-6-yl)methylene)benzenamine with 9H-carbazole-3-carbaldehyde this reaction was subjected in schiffs bases reaction .The structurer of these newly synthesis compounds were characterised by H^1 NMR,C^{13}NMR ,Mass ,IR, and elemental analysis.



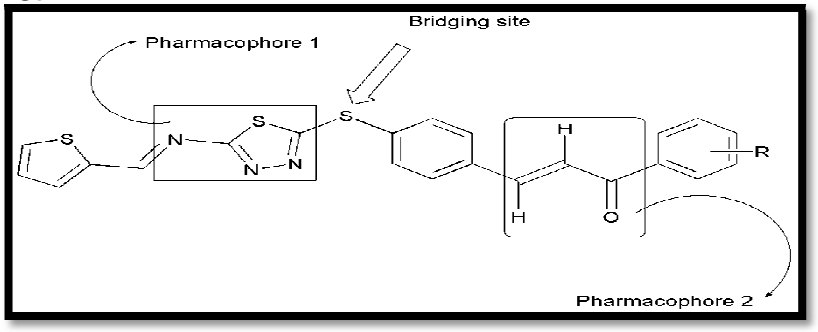
compound	4a	4b	4c	4d	4e	4f
R	H	CH_3	OCH_3	Br	NO_2	CF_3

Heterocyclic Letters 8: iss.-3 (2018), 671-678

Design, facile synthesis and pharmacological evaluation of hybrid 1, 3, 4-thiadiazole linked chalcone confined via sulphur bridge

Vinuta Kamat[a*], **Suresh P. Nayak**[a], **Ganesh Adiga**[a], **Aminath Rajeena C. H**[a]., **Saptami U Kanekar**[b] **and Rekha P.D**[b].

[a] *Department of Post-Graduate Studies & Research in Chemistry, Mangalore University, Mangalagangothri-574199 (DK), Karnataka, India. Tel.: +91-824-2287262(O); fax: +91-824-2287367/2287424*
[b]*Yenepoya (Deemed to be University), Mangalore-575018(DK), Karnataka, India.*
**e-mail:vinutakamat24@gmail.com*



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Heterocyclic Letters 8: iss.-3 (2018), 679-687

Synthesis, characterization and antimicrobial evaluation of some new amino pyrimidine based schiff bases and its 4-thiazolidinones derivatives

Bhavna A. Shah* and Nisha M. Pandey

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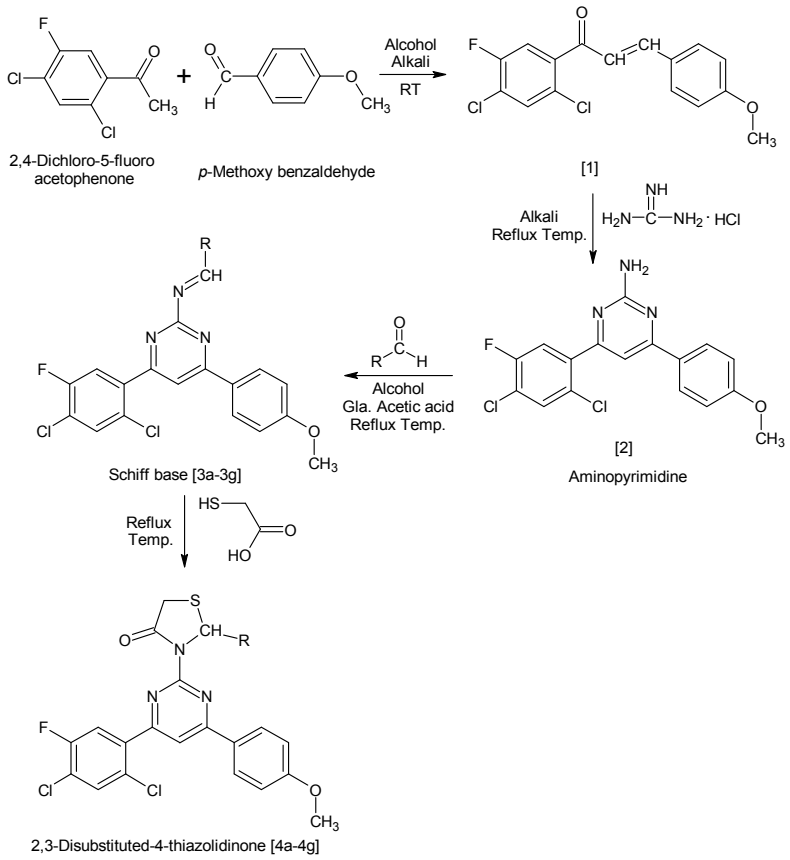
Gujarat, India
Email: bhavna606@gmail.com

Schiff bases and its 4-thiazolidinones derivatives have occupied a unique place in medicinal chemistry. They are the most important class of compounds possessing different biological properties. Knowing this fact, schiff bases **[3a-3g]** and its 4-oxo-thiazolidines **[4a-4g]** based compounds have been synthesized. The structures of all newly synthesized compounds were characterized by using FTIR, ^{1}H NMR, ^{13}C NMR and LCMS. All the newly designed compounds were also screened for the non-automated in vitro antimicrobial activity against selected pathogens. The Minimum Inhibitory Concentration (MIC) was determined and recorded at the lowest concentration inhibiting growth of the organism.



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Heterocyclic Letters 8: iss.-3 (2018), 689-694

Synthesis of some novel Thiazolines and Thiazolidinones derivatives

Khouloud Bokri, Rania Omrani, Mohamed LotfiEfrit, Azaiez Ben Akacha*

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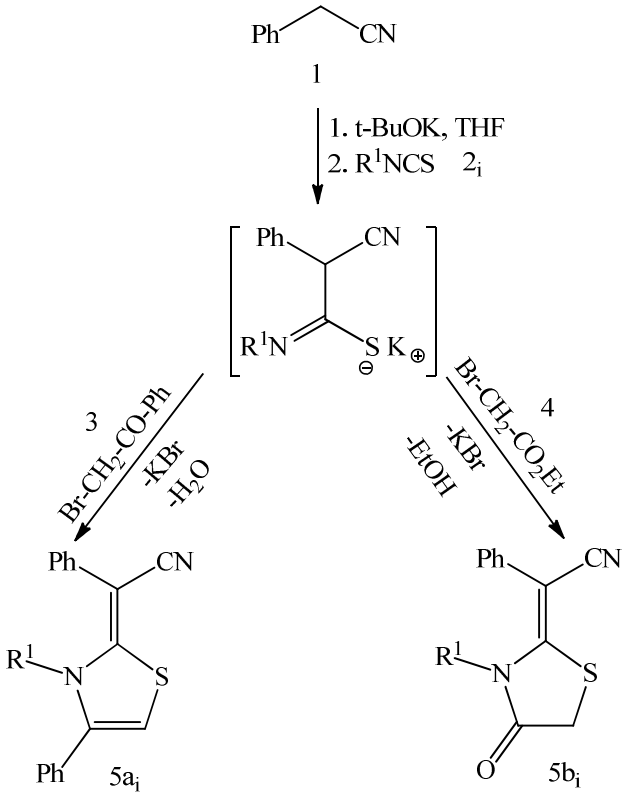
**E-mail:* azaiez.benakacha@fst.utm.tn

A novel series of thiazolines**5a$_i$** and thiazolidinones**5b$_i$** have been synthesized from the phenylacetonitrile**1** as the starting material. All compounds were characterized on the basis of IR, NMR spectroscopy (^{1}H and ^{13}C) and by elemental analysis.



R^1= Ph-, p-ClPh-, c-C_6H_{11}-

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Heterocyclic Letters 8: iss.-3 (2018), 695-700

3-Aryl-5-{[(3′-difluoromethoxy)-5′-(3″-methyl)-4″-(2‴,2‴,2‴-trifluoro ethoxy)pyridin-2″-yl] methoxyphenyl}-3,4-dihydropyrazoles.(3a to 3k)

Sandip P. Kakadiya, DipakM.Purohit*

Shree M.& N. Virani Science College,Chemistry Department,
Kalawad Road,Rajkot-5,Gujarat,(INDIA)
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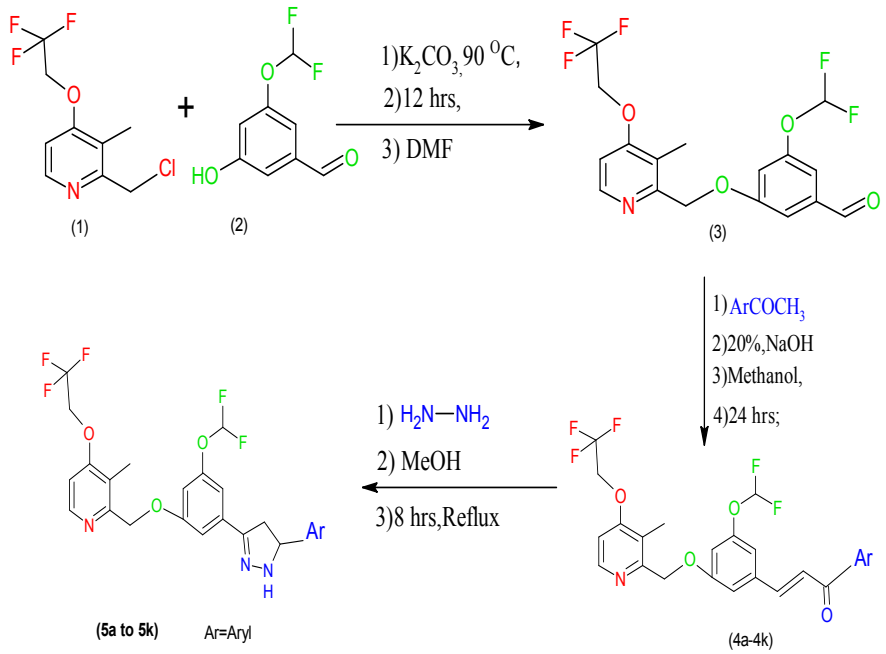

3-Aryl-5-{[(3′-difluoromethoxy)-5′-(3″-methyl)-4″-(2‴,2‴,2‴-trifluoroethoxy)pyridin-2″-yl] methoxyphenyl}-3,4-dihydropyrazoles(5a-5k) have been synthesized by the condensation of (E)-3-{[(3′-difluoromethoxy)-5′-(3″-methyl)-4″-(2‴,2‴,2‴-trifluoroethoxy)pyridin-2″-yl]methoxyphenyl}-1-aryl-prop-2-ene-1-ones(4a-4k) with hydrazine hydrate in methanol. The products (5a-5k) were assigned by IR, ^{1}HNMR, Mass spectral data, TLC and element analysis.

Heterocyclic Letters
Vol. 8| No.3|528-541|May-July|2018
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Heterocyclic Letters 8: iss.-3 (2018), 701-705

Synthesis, characterization and antimicrobial analysis of various substituted 2-(3-(3-bromothiophen-2-yl)-1-phenyl-1*h*-pyrazol-4-yl)-4h-chromen-4-one

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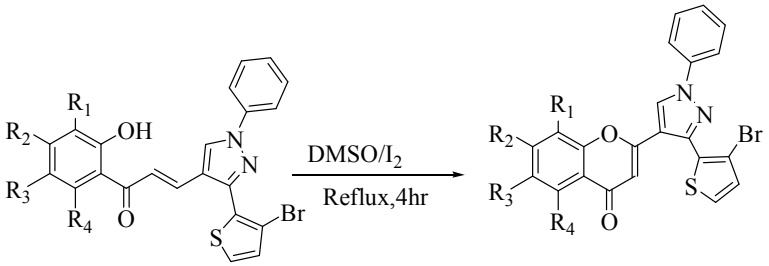
The synthesis of chromone derivatives from chalcone by using DMSO/I_2, Cyclization takes place of chalcone after refluxing this chalcone & DMSO/I_2 mixture for 4 hours. The synthesized compounds have been characterized by their spectral characteristics (Mass, IR, ^{1}HNMR).



1(a-h) 2(a-h)

Comp.	R_1	R_2	R_3
2a	H	H	H
2b	H	H	CH_3
2c	H	H	Cl
2d	Cl	H	Cl
2e	H	H	F
2f	H	CH_3	Cl
2g	H	H	Br

Heterocyclic Letters
Vol. 8| No.3|528-541|May-July|2018
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

PERSPECTIVES

Heterocyclic Letters 8: iss.-3 (2018), 707-713

Versatile Catalytic Transfer Hydrogenations in Organic Synthesis

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Catalytic transfer hydrogenation reactions are extremely useful in organic synthesis. We have investigated numerous reactions with ammonium formate (and other hydrogen gas donor) and 10% Pd/C successfully without using hydrogen gas. The reactions are very fast and produced products with high yields. Reduction of unsaturated groups, hydrogenolysis, reductive bond cleavage, allylic deacetoxylation, and dehalogenation are conducted using this method. In some instances, useful selectivity of reactions is observed. Most of the reactions are investigated with β-lactams as the substrates.

Heterocyclic Letters 8: iss.-3 (2018), 715-717

Synthesis of Heterocycles Through Beta Lactams Ring Rupture

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Many Beta lactams are widely used as medicines. The 4-membered ring present in the beta lactams is under strain and therefore, it can undergo cleavage reactions to diverse compounds. Chemical manipulation of the resulting products affords numerous molecules of interest. In this perspective, some examples developed at our laboratory are discussed.