Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Graphical Abstract

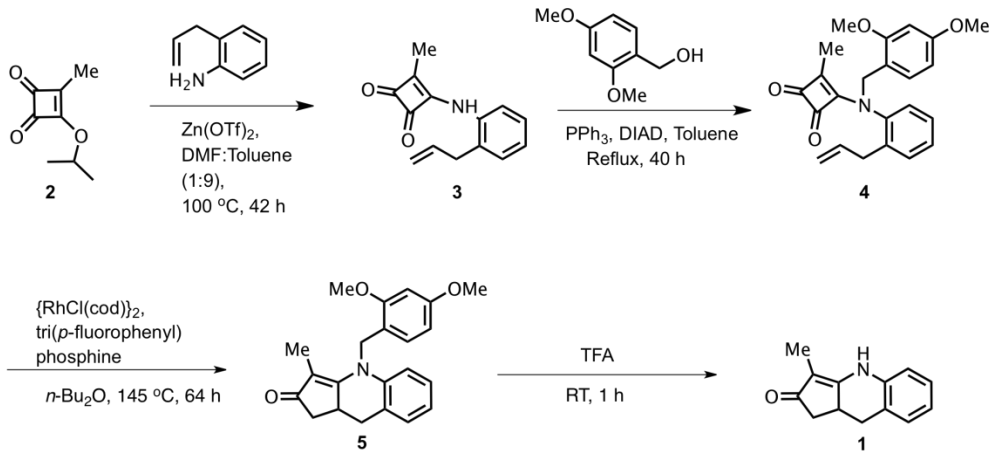
Heterocyclic Letters 5: iss.-4 (2015), 525-527

Rhodium-Catalyzed Synthesis of 3-Methyl-9,9a-dihydro-1*H*-cyclopenta[*b*]quinolin-2(4*H*)-one

Nilesh Zaware*; Michael Ohlmeyer

Department of Structural and Chemical Biology, Mount Sinai School of Medicine, New York, NY 10029
Email: nilesh.zaware@mssm.edu

3-Methyl-9,9a-dihydro-1*H*-cyclopenta[*b*]quinolin-2(4*H*)-one **1** was synthesized from squaric acid diisopropoxy ester. Key reactions involved the Pauson Khand-type rhodium-catalyzed decarbonylative cycloaddition of cyclobutenediones with a pendant alkene, and the Mitsunobu reaction



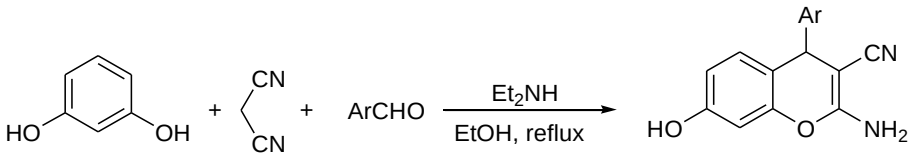
Heterocyclic Letters 5: iss.-4 (2015), 529-535

Synthesis of 2-amino-4*H*-chromenes using dethylamine as an organocatalyst

Farahnaz K.Behbahani, [1]SoudabehSamaei

Department of Chemistry, Karaj Branch, Islamic Azad University, Karaj, Iran, Email: Farahnazkargar@yahoo.com

Three-component one-pot synthesis of 2-amino-4*H*-chromenes, which have been reported from condensation of malononitrile, aryl aldehydes and resorcinolin the presence of diethyleamine under reflux conditions in ethanol.



Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

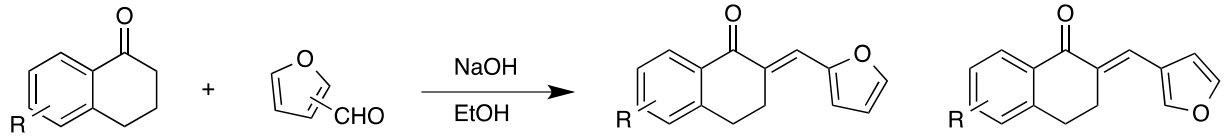
Heterocyclic Letters 5: iss.-4 (2015), 537-542

Synthesis and Spectral Studies of (2-(furanyl)vinyl)-1-tetralone Chalcones

Sarah K. Zingales,* Andrew Goetz, Jessica Futch, Kathryn Brown, Maya Z. Wallace, and Morgan E. Moore

Armstrong State University, Department of Chemistry & Physics, 11935 Abercorn St., Savannah, GA 31419, USA
Email: sarah.zingales@armstrong.edu

Ten chalcones were synthesized by the crossed aldol condensation of substituted tetralones with furaldehydes. The products were purified by recrystallization in MeOH/H_2O or by column chromatography in hexane/ethyl acetate and characterized by ^{1}H NMR, ^{13}C NMR, and HRMS. Evaluations of their biological activities are currently underway



6a-e
a R = 4-methyl
b R = 5-methoxy
c R = 6-methoxy
d R = 7-methoxy
e R = 6,7-dimethoxy

7a-b
a 2-CHO
b 3-CHO

4a-e
Class A
a R = 4-methyl
b R = 5-methoxy
c R = 6-methoxy
d R = 7-methoxy
e R = 6,7-dimethoxy

5a-e
Class B
a R = 4-methyl
b R = 5-methoxy
c R = 6-methoxy
d R = 7-methoxy
e R = 6,7-dimethoxy

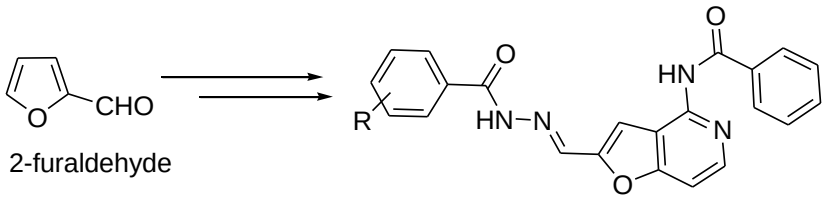
Heterocyclic Letters 5: iss.-4 (2015), 543-550

Synthesis, characterization and antibacterial activity of benzohydrazide derivatives of furo [3,2-c] pyridine ring nucleus

N.Sree Lakshmana Rao[1], Mandava V. Basaveswara Rao[2]*

[1] *Department of Chemistry, K L University, Vaddeswaram, Guntur-522 502, A. P, India.*
[2] *Deprtement of Chemistry , Krishna University, Machilipatnam, A.P, India.*
E-mail: *mandavabasaveswararaov@gmail.com*

The synthesis and antibacterial activity of furo[3,2-c]pyridine-hydrazide –hydrazone derivatives from readily accessible starting material 2-furfuraldehyde is described. The newly synthesized hydrazone derivatives are characterized by ^{1}H NMR, mass and IR data. These compounds were further evaluated for antibacterial activity against Gram-positive and Gram negative bacteria. Most of the compounds showed promising anti-bacterial activity.



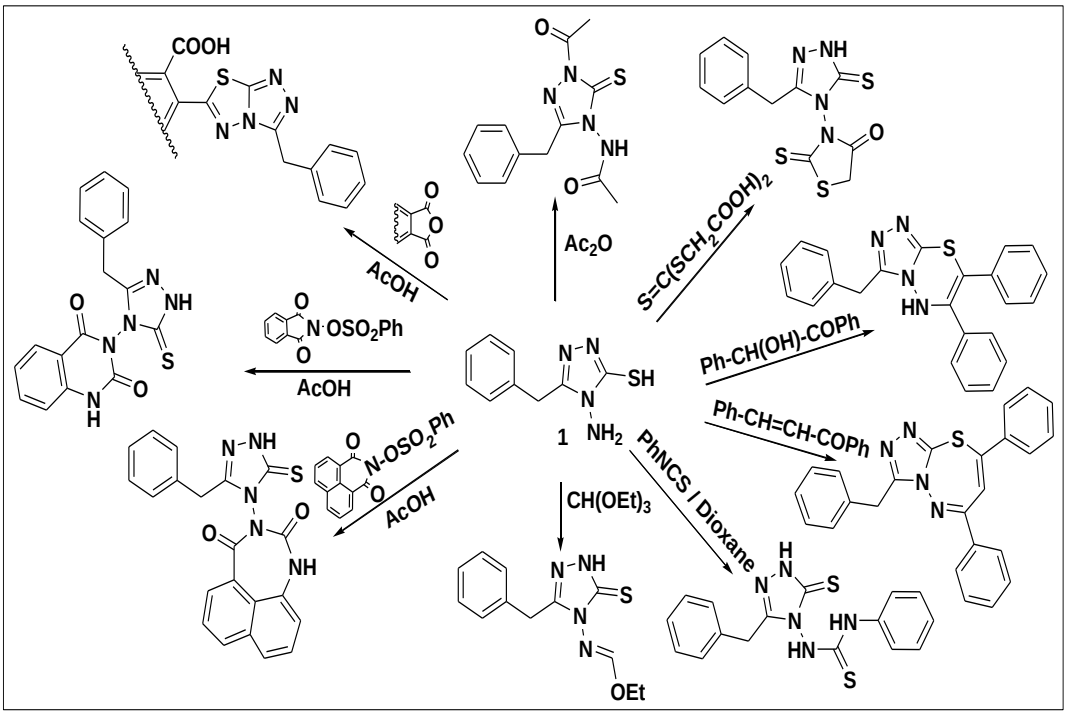
Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Heterocyclic Letters 5: iss.-4 (2015), 551-562

New heterocyclic derivatives from the action of variety electrophiles on 4-amino-5-benzyl-4*H*-1,2,4-triazole-3-thiol and evaluation of their antibacterial activity

Ahmed M. Abo-Bakr*
Chemistry Department, Faculty of Science, South Valley University, Qena, 83523 Egypt.
**E-mail: ahm672@yahoo.com*

The title compound, 4-amino-5-benzyl-4*H*-1,2,4-triazole-3-thiol **(1**), was found to be a useful starting material for the synthesis of some new heterocyclic derivatives. New heterocycles **2**, **4- 13** containing 1,2,4-triazole ring were synthesized by the reaction of **1** with different electrophilic reagents such as, triethyl orthoformate, phenyl isothiocyanate, chalcone, benzoin, thiocarbonyl-bis-thioglycolic acid, aromatic anhydrides and sulfonyloxy- derivatives of cyclic imides. The chemical structures of the synthesized compounds **2, 4- 13** were characterized by their elemental analyses, FT-IR, ^{1}H ^{13}C NMR and Mass spectra. Investigation of the antimicrobial activity of these compounds was done by the paper disc technique. Some of the tested compounds showed high and favorable antimicrobial activity.



Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

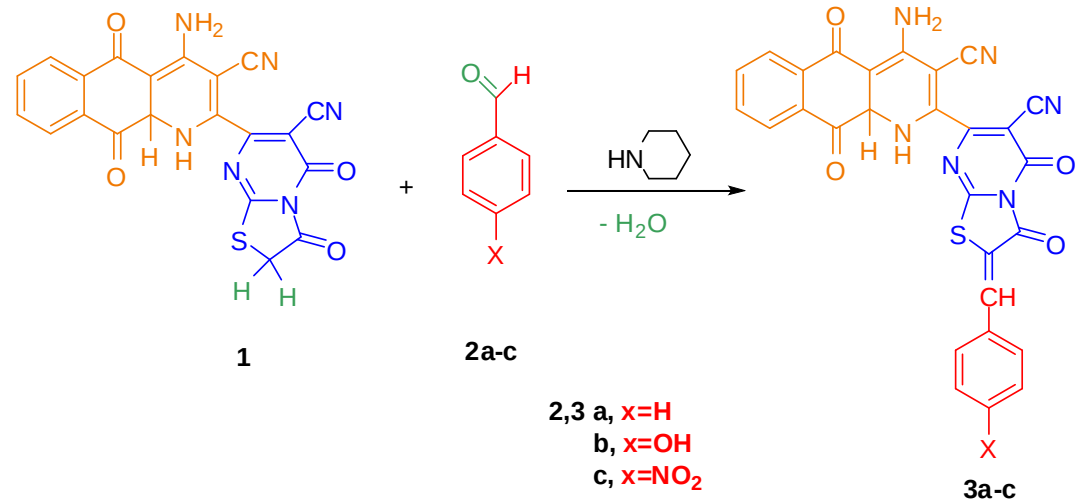
Heterocyclic Letters 5: iss.-4 (2015), 563-578

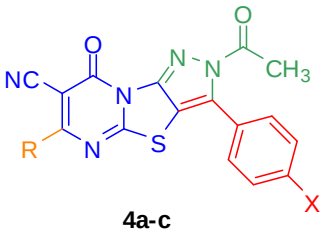
Design and synthesis of some novel fused triheterocyclic thiazolopyrimidine derivatives incorporating a benzoquinoline moiety

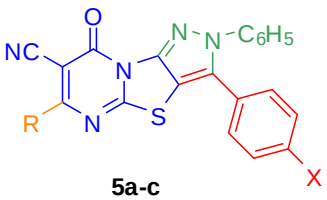
Hisham Abdallah A. Yosef[b] Nadia Ali Ahmed Elkanzi [a,c*] and Nesrin Mahmoud M. Mohamed[a,b]

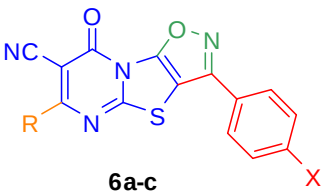
[a]*Chemistry Department, Faculty of Science, Aljouf University, Al Jouf, 2014, Kingdom of Saudi Arabia,*
b Organometallic and Organometalloid Chemistry Department, National Research Centre, El ‑Buhouth St, Dokki, Giza, Egypt, PO 12622
[c]*Chemistry Department, Faculty of Science, Aswan University, Aswan, 81528, Egypt.*
Corresponding author (N.A.A.Elkanzi):E−mail: kanzi20@yahoo.com

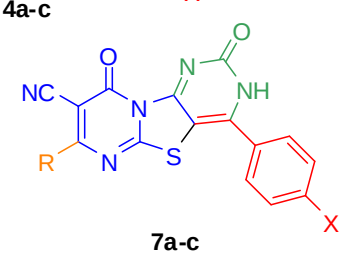
A number of interesting polycondensed fused heterocyclic derivatives were prepared through cyclization of the arylidene derivatives **3a-c** with some selected bidentate nitrogen nucleophiles, namely, hydrazine hydrate, phenylhydrazine, hydroxylamine hydrochloride, urea and thiourea to afford the derivatives **4a–c**, **5a–c**, **6a-c, 7a-c** and **8a-c,** respectively.

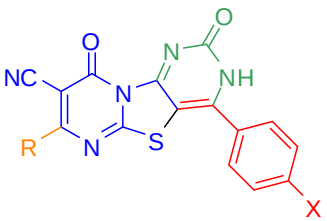












Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

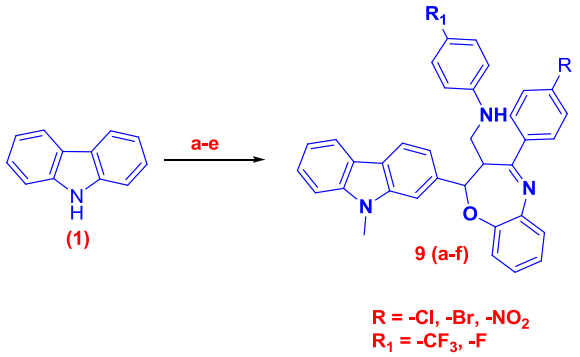
Heterocyclic Letters 5: iss.-4 (2015), 579-594

Synthesis, characterisation and biological evaluation of 1,5-benzoxazepine derivatives containing carbazole ring

K.sudhakar babu[1], v. Prabhakar[*1], l.k.ravindranath[1], j. Latha[2]

[*1] *Department of Chemistry, Sri Krishnadevaraya University, Anantapuramu,(A P) INDIA.*
[2]*Department of Bio-technology, Sri Krishnadevaraya University College of Engineering & Technology, S.K.University, Anantapuramu – 515003 (A.P) India*
Corres. Author E-mail:- virupakshi.prabhakar@gmail.com

A series of Novel **Benzoxazepine** derivatives (9a - 9f) have been synthesized from **Carbazole** under various reaction conditions. Elemental analysis, IR, ^{1}H & ^{13}C NMR and mass spectral data confirmed the structure of the newly synthesized compounds. The synthesized compounds were screened for their anti-inflammatory activities by using paw edema method. Among those tested, compounds **9a** and **9b** exhibited significant anti-inflammatory activity in models of acute inflammation such as rat paw edema, while compounds 9e and 9f showed considerable activity compared with diclofenac as a standard drug.



Heterocyclic Letters 5: iss.-4 (2015), 595-599

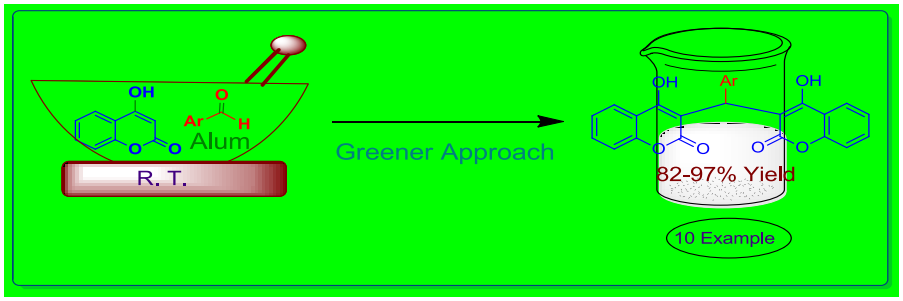
A facile and eco-friendly alum [kal $(so_4)_2.12h_2o$] catalyzed multicomponentsynthesis of bis-coumarins

[a]Jadhav S. A., [a]Shioorkar M. G., [a]Lingampalle D. L., [a]Wagare D. S., [a]Adhyapak M. S.,[a]Nagare H. B. [a]Pawar S. P., [a]Vaidya S. R., [a]Dengle S. T. and *[b]Devanand B. Shinde

[a]Department of Chemistry, Vivekanand College, Aurangabad, (MS), India.
[b]Dept. of Chemical Technology, Dr. Babasaheb Ambedkar Marathwada university, Aurangabad(MS), India.

*****Email ID: devbshinde@gmail.com*

A simple single stage, environmentally benign, an efficient condition for synthesis of bis-coumarins involving simple grinding technique of 4-hydroxy coumarins and substituted aromatic aldehyde under solvent free condition, at room temperature by naturally occurring environmentally benign alum [KAl $(SO_4)_2.12H_2O$] catalyst has been described



Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

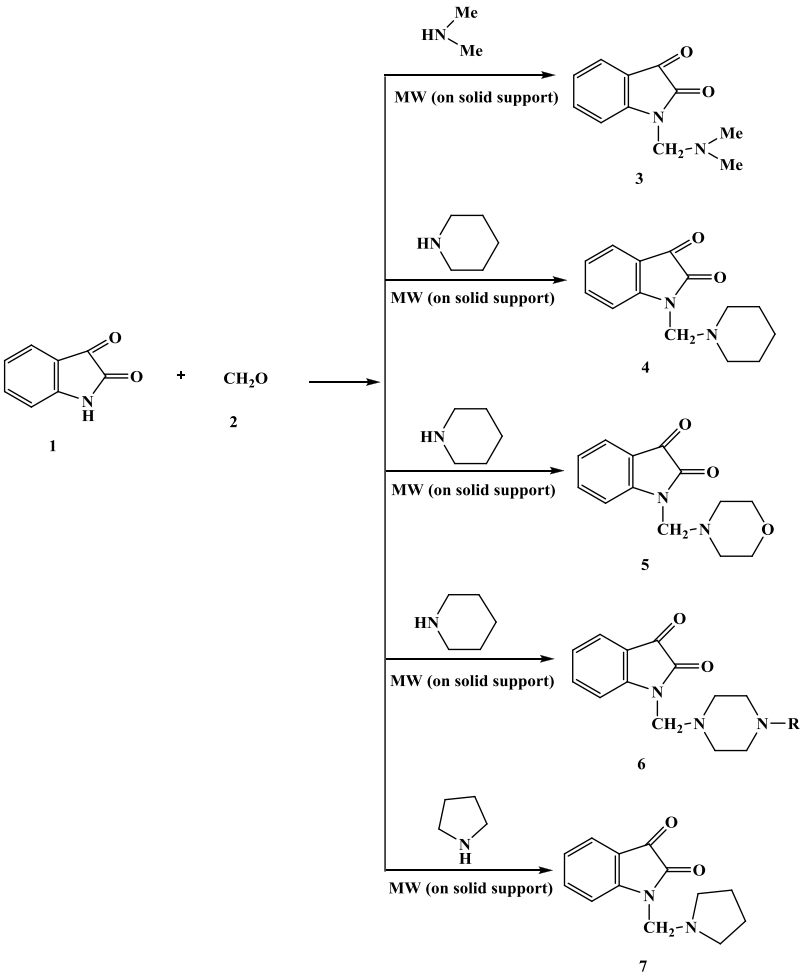
Heterocyclic Letters 5: iss.-4 (2015), 601-608

Microwave assisted environmentally benign approach to the synthesis of some novel Mannich's bases of 3-(N-hetryl amino methyl istain)hydrazones

Navjeet Kaur, Pramila Sharma and D. Kishore

Department of Chemistry, Banasthali University, Banasthali (RAJ. 304022)
E-mail: nvjithaans@gmail.com

Microwave assisted facile one pot synthesis of novel N-Hetryl amino methyl indoline-2,3-diones **(3-7)** were prepared from the reaction of isatin (indoline-2,3-dione) with formaldehyde and hetryl amines such as dimethyl amine, piperidine, morpholine, N-ethyl piperazine and pyrrolidine.



Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

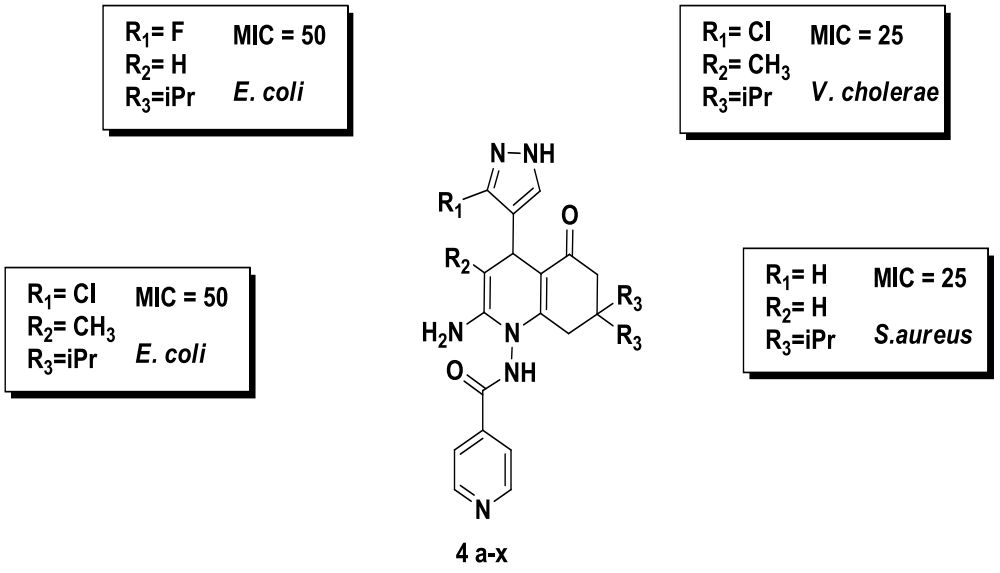
Heterocyclic Letters 5: iss.-4 (2015), 609-620

Pyrazole-quinolone-isoniazid hybrids: synthesis, characterization and *in vitro* evaluation as a new class of antimicrobial and antitubercular agents.

Nileshkumar D. Vala and Manish P. Patel*

Department of Chemistry, Sardar Patel University, Vallabh Vidyanagar-388120, Gujarat, India
E-mail: patelmanish1069@yahoo.com

A series of pyrazole-quinoline-isoniazid hybrids were designed based on molecular hybridization technique. The title compounds were synthesized via one-pot three component reaction between 3-substituted-1*H*-pyrazole-4-carbaldehydes, *N'*-(5,5-(un)substituted-3-oxocyclohex-1-enyl) isonicotinohydrazide and malononitrile or ethyl/isopropyl cyanoacetates in ethanol containing a catalytic amount of triethylamine. All derivatives were elucidated by ^{1}H NMR, ^{13}C NMR, FT-IR, elemental analysis and mass spectral data. All the newly synthesized compounds were screened for their antimicrobial and antitubercular activities.



Where R_1= Phenyl, 4-F-phenyl, 4-Cl-phenyl, 4-Br-phenyl
R_2= CN, COOEt, COOCH(CH3)2
R_3= H, CH3

Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

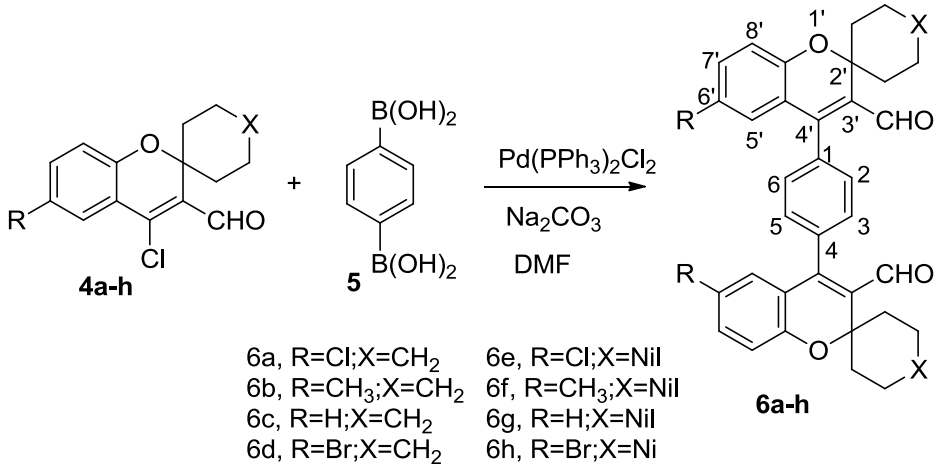
Heterocyclic Letters 5: iss.-4 (2015), 621-627

Synthesis of 1,4-bis spirochromanone substituted benzenes using suzuki cross coupling and their antimicrobial activity

[1]D Ashok*, [1]G Radhika, [2]P Sreenivas and [3]A Jayashree

[1]Green and Medicinal Chemistry Laboratory, Department of Chemistry, Osmania University, Hyderabad-500007, Telangana, India.
[2]Department of Organic Chemistry, Telangana University, Dichpally, Nizamabad, Telangana, India.
[3]Centre for Chemical Sciences & Technology, JNTU-H, Hyderabad, India.
e-mail:ashokdou@gmail.com

1,4-Bis spirochromanone substituted benzenes **(6a-h)** were synthesized using 4-chloro-3-formylspirochromanones **(4a-d)** and benzene-1,4-diboronic acid **(2)** using Suzuki cross coupling conditions. All the synthesized compounds were screened for their in vitro antimicrobial activity. Compounds **6a, 6b, 6e** and **6f** shown good antimicrobial activity against all the tested organisms.



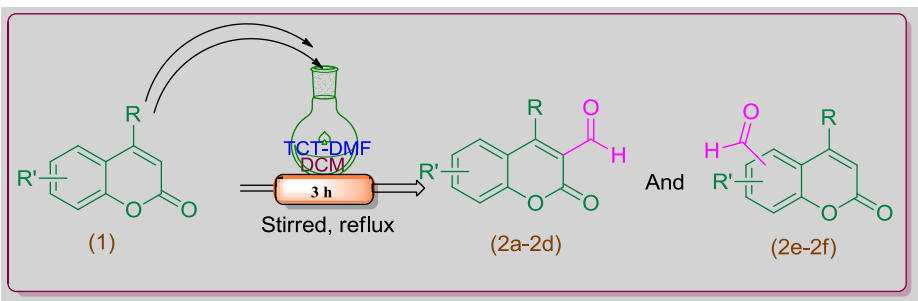
Heterocyclic Letters 5: iss.-4 (2015), 629-635

An effective vilsmeier-haack reagent (tct-dmf) for the formylation of substituted coumarin

Santosh A. Jadhav[a], Mahesh G. Shioorkar[b], Milind B. Ubale[c], Rajendra K. Pardeshi[d]*

[a]Department of Chemistry, Vivekanand College Aurangabad, 431001 (India)
[c]Department of chemistry, Naik College Aurangabad, 431001 (India)
[d]Department of chemistry, Sant Ramdas College Ghansawangi Jalna, 431203 (India)
Email ID: rajendrakpardeshi@gmail.com

Formylation of Coumarin derivatives have been synthesized by using effective Vilsmeier-Hack reagent [TCT-DMF] in dichloromethane under a simple stirring and reflux condition.



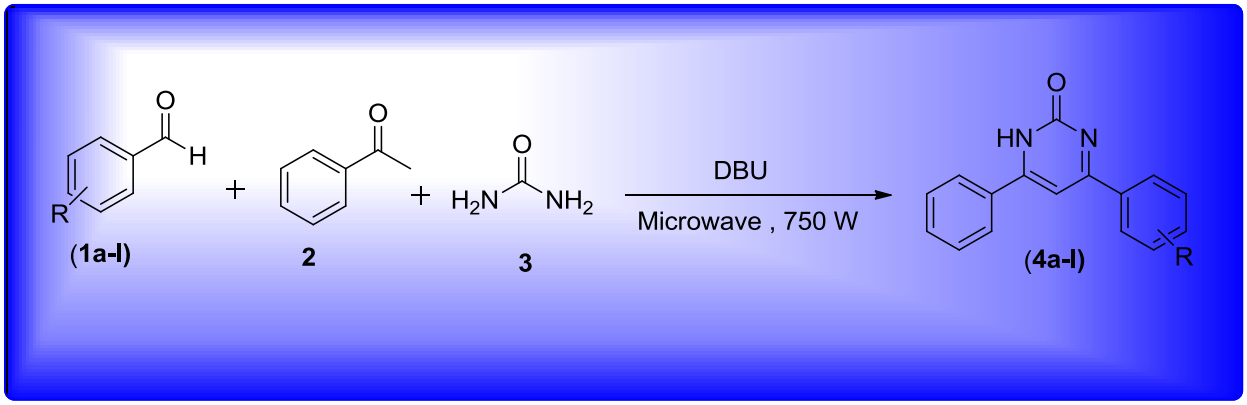
Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Heterocyclic Letters 5: iss.-4 (2015), 637-643

DBU: An efficient catalyst for the synthesis of 5-unsubstituted-3,4-dihydropyrimidin-2 (1 *H*)-one derivatives under microwave irradiation.

Deepak S. Kawade, Mahendra A. Chudhari, Jitendra B. Gujar and Murlidhar S. Shingare*
Department of Chemistry, Dr. Babasaheb Ambedkar Marathwada University, Aurangabad - 431004, Maharashtra, India.

The catalyst DBU having advantages such as it is cheap and the protocol avoids the use of inexpensive catalyst and toxic solvent. We believe that this efficient, simple, highly yielding, time saving and environmentally friendly method.



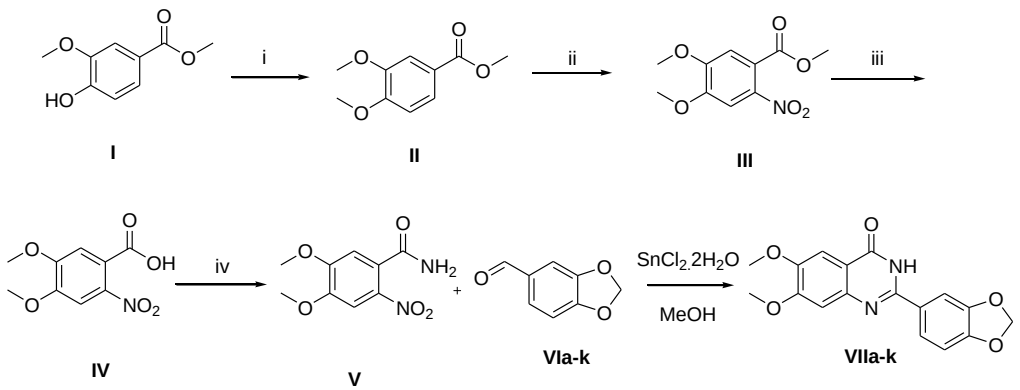
Heterocyclic Letters 5: iss.-4 (2015), 645-652

Synthesis and antimicrobial activities of novel 2-(benzo [d][1,3]dioxol-5-yl)-6,7-dimethoxylquinazolin-4(3h)-ones

Suman Kancharla[a] Bharath Yarlagadda[a] Ch S.S.S Murthy[b] and Nagaraju devunuri[*b]

Department of Science and Humanities, Vignan's University, Vadlamudi, Guntur Dist., A.P, India-522213

In view of generating new compounds for future drug development, we have synthesized some 2-(benzo[*d*][l,3]dioxol-5-yl)-6,7-dimethoxylquinazolin-4(3H)-one derivatives of 4,5-dimethoxy-2-nitrobenzamide **(V)**, synthesized by the ortho-nitro acid **IV** was converted to its acid chloride by using thionyl chloride, followed by treatment with Ammonia (aq.) gave the substituted ortho-nitro benzanilide **(V).** 4,5-dimethoxy-2-nitrobenzamide **(V)** react with benzo(d)[1,3] dioxole-5-carbaldehyde **(VIa)** in presence of $SnCl_2.2H_2O$ in MeOH was heated to gave 2-(benzo[*d*][l,3]dioxol-5-yl)-6,7-dimethoxylquinazolin-4(3H)-ones **(VIIa-k).** All the synthesized compounds were fully characterized on the basis of their detailed spectral studies and were evaluated for their antimicrobial activities in two Gram-positive bacteria (Staphylococcus aureus, Bacillus subtillis) and two Gram-negative bacteria (Echerichia coli and Pseudomonas aeuroginosa) and two fungi (Aspergillus niger and Aspergillus fumigatus) strains using Cup plate method



Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

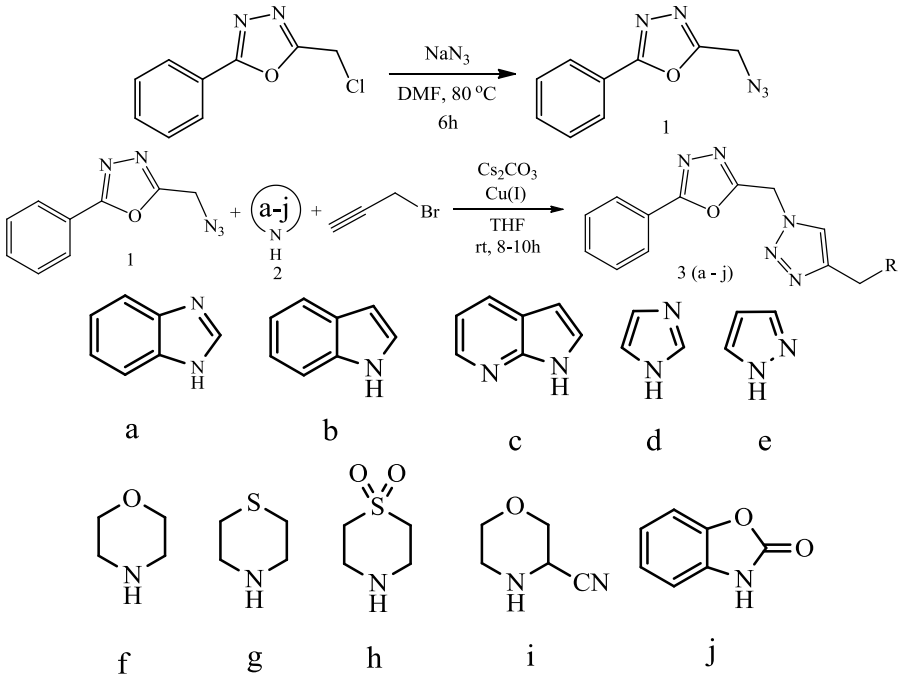
Heterocyclic Letters 5: iss.-4 (2015), 653-660

Synthesis, characterization, and anticancer activity of 1,2,3-triazole-derived 1,3,4-oxadiazole containing N-Heterocyclic moieties.

Sirassu Narsimha,[a] Sudhakar Lavudya,[a] Althaf Hussain,[b] N Vasudeva Reddy*[a]

[a] *Department of Chemistry, Kakatiya University, Warangal, T S- 506 009, India*
[b]*Department of Biotechnology, Kakatiya University, Warangal, T S-506009, India*
vasujac3@gmail.com

1,4-Disubstituted 1,2,3-triazoles (**3a - 3j**) have been synthesized by one pot [3+2] cycloaddition reaction of 2-(azidomethyl)-5-phenyl-1,3,4-oxadiazole(**1**) with propargyl bromide and N-heterocyclic compounds (**2a-j**), respectively. The compounds were evaluated for in *vitro* anticancer activity against two human cancer cell lines MCF-7 and HeLa. Cisplatin used as a standard drug. Compound **3h** has exhibited excellent activity against MCF-7 (IC_{50} 16.93 μM) than the standard drug Cisplatin. Compound **3j** against HeLa (15.97 μM) have also shown good activity. Remaining compounds have shown moderate to good anticancer activity against both cell lines.



Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

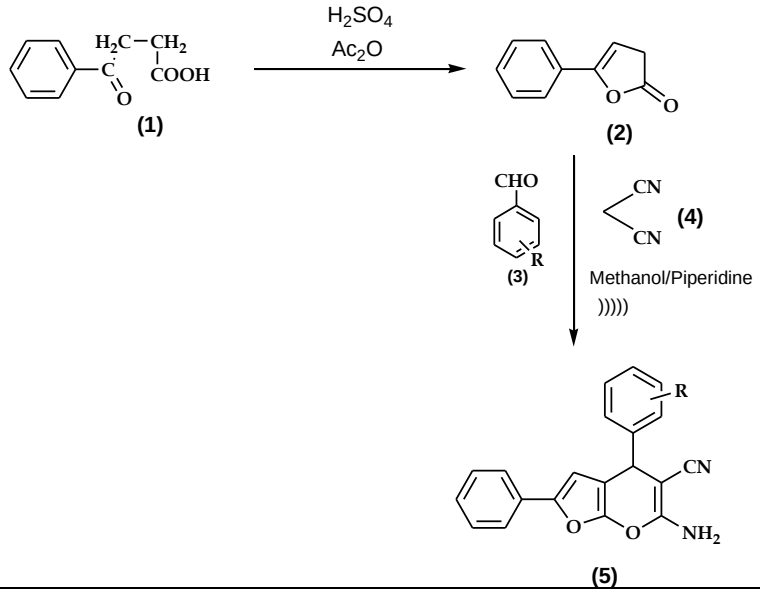
Heterocyclic Letters 5: iss.-4 (2015), 661-665

Ultrasound induced bicyclo heterocycles of furan

Vijay V Dabholkar*, Viral M. Dave, Sagar D. Shah

Organic Research Laboratory, Department of Chemistry,
Guru Nanak College, G.T.B Nagar, Mumbai-400 037.
E-mail: vijaydabholkar@gmail.com
viralmdave@gmail.com

3-Benzoyl propionic acid was converted into 5-phenyl furan-2-one by treating it with Ac_2O in presence of H_2SO_4. 5H-3-amino–4-cyano-5-(substituted) phenyl-8–phenyl–2,9–dioxa [4.2.0] bicyclo–1(6),3,7-triene was obtained by reacting 5-phenyl furan-2-one with aromatic aldehyde and malanonitrile using sonication which promoted reduction in time and gave excellent yield. Structures of newly synthesized compounds were confirmed by spectral techniques. All synthesized compounds were screened for their anti microbial activity.



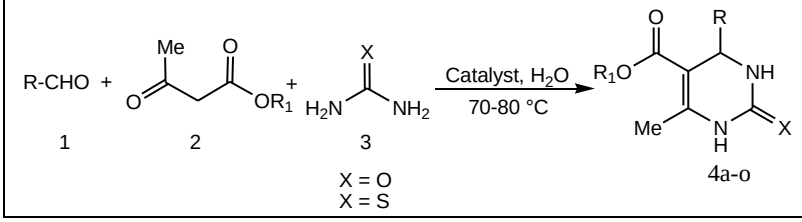
Heterocyclic Letters 5: iss.-4 (2015), 667-671

Efficient green methodology reported for the biginelli reaction

Anit kumar Rawat and S.M.S Chauhan*

Bioorganic Research Laboratory, Department of Chemistry, University of Delhi, Delhi-110007, India;

The 3,4-Dihydropyrimidin-2(1*H*)-ones/-thiones and their derivatives were synthesized in an excellent yields via the Biginelli reaction in the presence of catalytic amount of inexpensive, readily available and non-toxic inorganic acid in aqueous medium. The products were obtained in short reaction time without using flash chromatography.



Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Heterocyclic Letters 5: iss.-4 (2015), 673-677

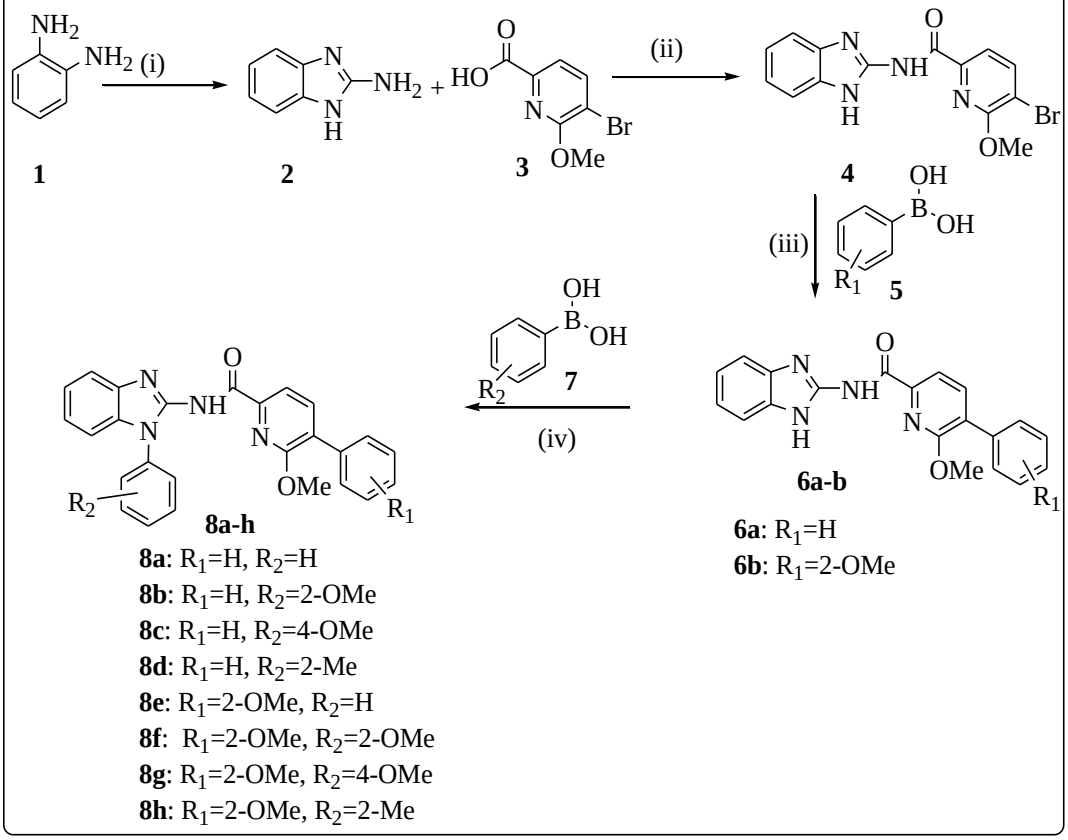
An efficient synthetic approach for the development of a novel class of benzimidazole amides

Vasu Namani,[a] B. Bharath Kumar Goud,[a,*] Y. Bharathi Kumari,[b] Ramesh Kumbham,[c]

[a]*Suven Life Sciences Ltd, Jeedimetla, Hyderabad-500055, India*
[b]*Department of Chemistry, Jawaharlal Nehru Technological University, Kukatpally, Hyderabad-500072, India*
[c]*Rational Laboratories Private Ltd, Mallapur, Hyderabad-500007. India*
Email: bkgoud2014@gmail.com

A series of substituted benzimidazole amides (**8a-h**) has been synthesized through the reaction of 2-amino benzimidazoles with substituted pyridine acid derivatives followed by Suzuki and Chan-Lam Couplings in a straight forward direction.

Scheme 1



8a-h

8a: R_1=H, R_2=H
8b: R_1=H, R_2=2-OMe
8c: R_1=H, R_2=4-OMe
8d: R_1=H, R_2=2-Me
8e: R_1=2-OMe, R_2=H
8f: R_1=2-OMe, R_2=2-OMe
8g: R_1=2-OMe, R_2=4-OMe
8h: R_1=2-OMe, R_2=2-Me

6a-b

6a: R_1=H
6b: R_1=2-OMe

Heterocyclic Letters
Vol. 5 | No.4 |512-524| Aug-Oct | 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

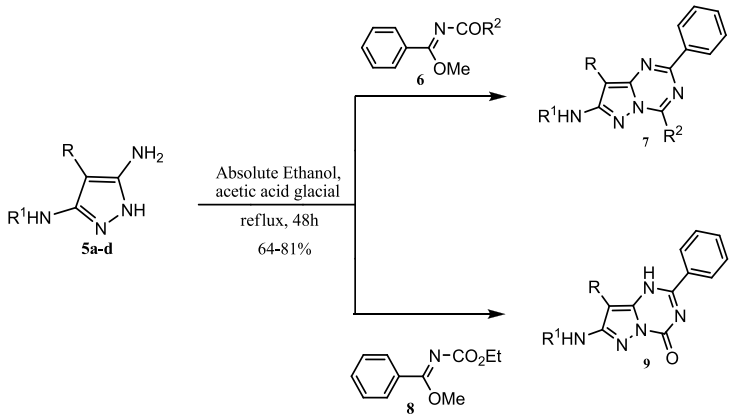
Heterocyclic Letters 5: iss.-4 (2015), 679-685

An efficient two-step synthesis of novel substituted pyrazolo[1,5-a]-[1,3,5]-triazines and pyrazolo[1,5-a]-[1,3,5] triazinones

Khouloud Bokri, Mohamed Lotfi Efrit, Azaiez Ben Akacha*

Laboratory of Organic and Heterocyclic Synthesis, Chemistry Department
Faculty of Science, University Tunis El Manar, 2092-Tunis-Tunisia
E-mail: azaiezbenakacha@yahoo.fr

The synthesis of a series of pyrazolotriazine derivatives is described in two steps. The reaction of hydrazine with substituted thioamides leads to the formation of 3,5-diaminopyrazoles. The latter reacts with the N-acyl imidates and the N-ethoxy imidate yielding the corresponding substituted pyrazolotriazines and pyrazolotriazinones. The structure of all these compounds has been confirmed by IR, ^{1}HNMR, ^{13}CNMR and elemental analysis.



Heterocyclic Letters 5: iss.-4 (2015), 687-696

Synthesis, characterization and antimicrobial evaluation of novel compounds of 3-(((1h-benzo[d]imidazol-2-yl)methyl)amino)-1-(2,5-difluorobenzoyl)-4-(2-phenylhydrazono)-1h-pyrazol-5(4h)-one

[1]M. Swarna Kumari*, K. Sudhakar Babu[1], and L.K. Ravindhranath[1]

+Department of Chemistry, Sri Krishnadevaraya University, Anantapur,(AP) India.
Corresponding Author Email Id: swarnaoliver@gmail.com
Mobile No: 9000228971

New novel derivatives of 3-(((1H-benzo[d]imidazol-2-yl)methyl)amino)-1-(2,5-difluorobenzoyl)-4-(2-phenylhydrazono)-1H-pyrazol-5(4H)-one (4a-g) were prepared by refluxing of ethyl 2-(4-(2-(4-subtituted methyl)phenyl)hydrazono)-1-(2,5-difluoro benzoyl)-4,5-dihydro-5-oxo-1H-pyrazol-3-yl)amino carboxylic acid(3a-g), ortho phenylene diamine.