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Graphical Abstract

Heterocyclic Letters 8: iss.-4 (2018), 729-736

Synthesis and Evaluation of Water-Soluble Dimethylaminoethyl Ethers of Methoxsalen for Proliferative Skin Disorders

Christophe D. Guillon,[a]*Yi-Hua Jan, [b]Natalie Foster, [a]MridulaChoudhuri, [a]Jaya Saxena, [a]Thomas M. Mariano,[b] Diane E. Heck,[c] Jeffrey D. Laskin,[b] and Ned D. Heindel[a]

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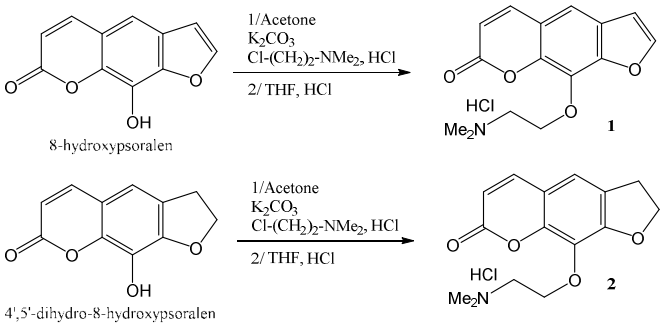
**Corresponding author, E-mail <chg3@lehigh.edu>*

Aminoethers of the natural product, methoxsalen, in its fully aromatic and its partially reduced form, were synthesized as indicated. Biological testing demonstrated significant photo-induced toxicity in a PAM212 keratinocyte cell line as well as DNA-photo-nicking by these water-soluble psoralen derivatives, compounds **1** and **2**.



Heterocyclic Letters 8: iss.-4 (2018), 737-743

An eco-friendly and efficient method for synthesis of 3,3'-arylmethylene-bis(4- hydroxyquinolin-2(1H)-ones) using diammonium hydrogen phosphate as reusable catalyst

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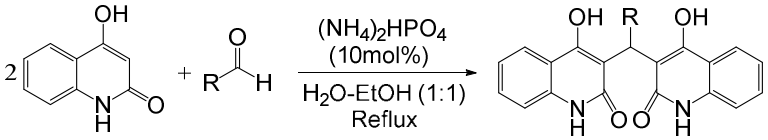
A simple, efficient and eco-friendly procedure has been developed using diammonium hydrogen phosphate as catalyst for the synthesis of 3,3'-arylmethylene-bis(4-hydroxyquinolin- 2(1H)-ones) in aqueous ethanol.



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Heterocyclic Letters 8: iss.-4 (2018), 745-753

Design and synthesis of two steroid-diazocine derivatives

Figueroa-Valverde Lauro, Diaz Cedillo Francisco, Rosas-Nexticapa Marcela, Mateu-Armand Virginia, García-Cervera Elodia, Pool Gómez Eduardo, Hau-heredia Lenin, Lopez-Ramos Maria,Alfonso-Jimenez Alondra, Cabrera-Tuz Jhair.

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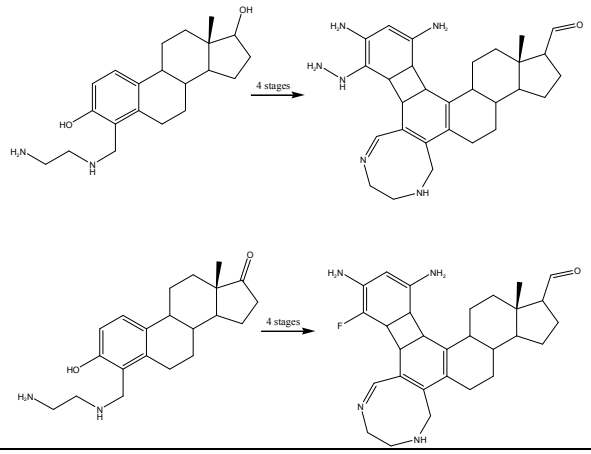
[2]Escuela Nacional de Ciencias Biológicas del Instituto Politécnico Nacional. Prol. Carpio y Plan de Ayala s/n Col. Santo Tomas, México, D.F. C.P. 11340
[3]Facultad de Nutrición, Universidad Veracruzana. Médicos y Odontólogos s/n, 91010, Xalapa, Veracruz. México.
*E-mail: *lauro_1999@yahoo.com; lfiguero@uacam.mx; **rosasnm@yahoo.com*



Heterocyclic Letters 8: iss.-4 (2018), 755-760

Synthesis of new derivatives of 1,4-dihydropyridines using glycerol as a sustainable reaction media at ambient temperature

Zahra Mirzaei, Farahnaz K. Behbahani*

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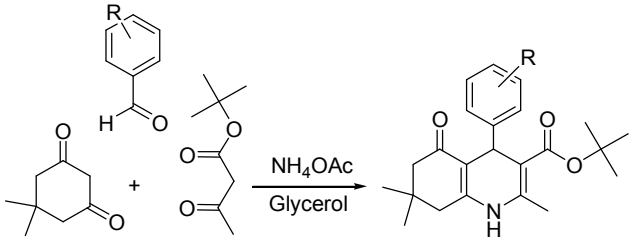
An efficient Hantzsch four-component condensation reaction for the green synthesis of new 1,4-dihydropyridines was found to proceed in the presence of glycerol at room temperature. The method is really simple and environmentally benign. The keys features of this protocol are high yields of products, nontoxic solvent, and short reaction times from the principles of green chemistry point of view.



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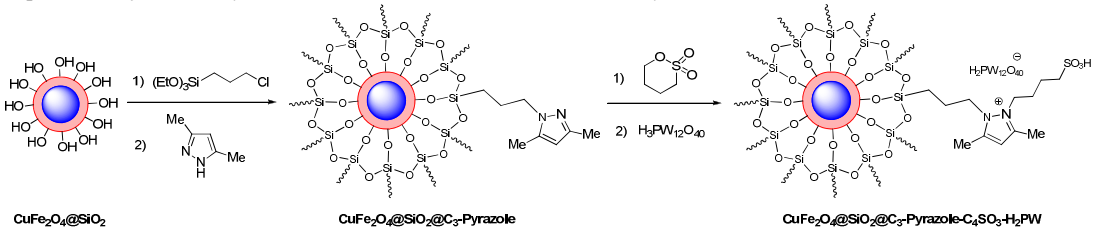
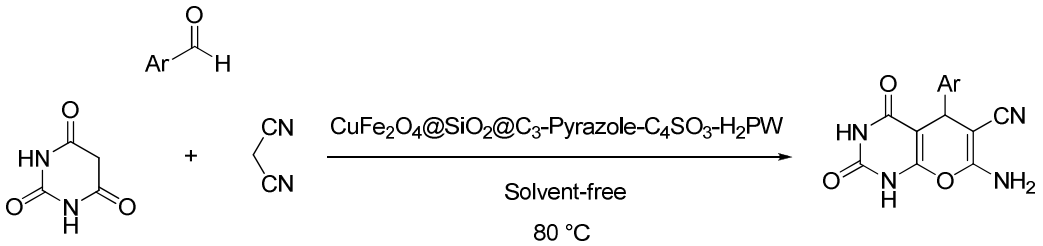
Heterocyclic Letters 8: iss.-4 (2018), 761-768

Catalytic performance of a phosphotungstic acid functionalized pyrazolium-based ionic liquid immobilized on cufe$_2$o$_4$@sio$_2$ as a magnetically retrievable nanocatalyst for the synthesis of 7-amino-2*h*-pyrano[2,3-*d*]pyrimidine-6-carbonitriles

Matineh Asadian, Abolghasem Davoodnia*, S. Ali Beyramabadi

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





Under mild conditions and without any additional organic solvent, a series of 7-amino-5-aryl-2,4-dioxo-2,3,4,5-tetrahydro-1*H*-pyrano[2,3-*d*]pyrimidine-6-carbonitriles was efficiently synthesized by one-pot three-component cyclocondensation of barbituric acid, aryl aldehydes, and malononitrile using a functionalized pyrazolium-based ionic liquid containing a phosphotungstic counter-anion $H_2PW_{12}O_{40}$ (H_2PW) immobilized on $CuFe_2O_4@SiO_2$ magnetic nanoparticles which was denoted as $CuFe_2O_4@SiO_2@C_3$-Pyrazole-C_4SO_3-H_2PW. A wide range of aromatic aldehydes easily undergo condensation with barbituric acid and malononitrile to afford the desired products of good purity in excellent yields under solvent-free conditions. Other advantages of this new synthetic approach are short reaction times and a simple procedure with an easy work-up. Moreover, the nanomagnetic solid acid was easily recovered from the reaction mixture by simple magnetic decantation and used four runs without significant loss of activity.

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Heterocyclic Letters 8: iss.-4 (2018), 769-772

Design, Synthesis and bioactivity evaluation of novel pinoxaden derivative

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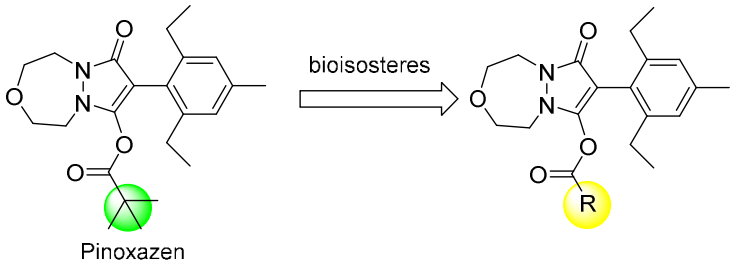
Shan Dong Jinhuahai Biotechnology Co.,Ltd., Jinan Shandong,China
Email: *kih352870@163.com*

A novel compound was designed and synthesized with the bioisosteres rules, using commercial herbicide pinoxaden as the lead compound .The structure was confirmed by ^{1}H NMR and elemental analysis. The herbicidal activitywas in progress.

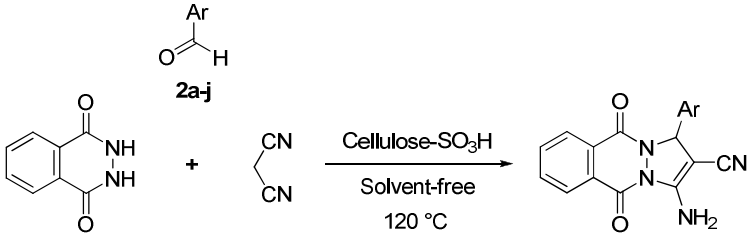


Heterocyclic Letters 8: iss.-4 (2018), 773-781

An efficient one-pot neat synthesis of pyrazolo[1,2-*b*]phthalazines using cellulose sulfuric acid as a biodegradable and recoverable heterogeneous catalyst

Maryam Elmi-Mehr, Abolghasem Davoodnia*, Mehdi Pordel

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



An efficient and environmentally friendly procedure for the synthesis of 3-amino-1-aryl-5,10-dioxo-5,10-dihydro-1*H*-pyrazolo[1,2-*b*]phthalazine-2-carbonitriles through the one-pot, three-component reaction of phthalhydrazide, an aromatic aldehyde, and malononitrile in the presence of cellulose sulfuric acid (cellulose-SO_3H) is described. The reactions occur under thermal solvent-free conditions and the process is operative with various aromatic aldehydes, giving the corresponding products in high yields. Other beneficial features of this protocol include inexpensive, biodegradable and easily obtained catalyst, avoiding the use of harmful organic solvents, simple work-up, and the recyclability and reusability of the catalyst for up to five consecutive runs.

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Heterocyclic Letters 8: iss.-4 (2018), 783-787

Synthesis of the Anti-Hypertensive Drug Olmesartan Medoxomil in Greener approach.

CH. Gouri Shanker, D.Sujitha, D.Aravind Kumar, K.Sudhakar

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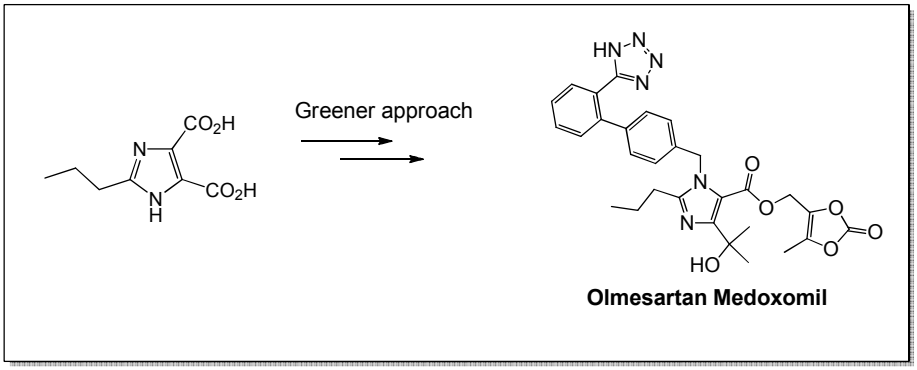
[b)]Arkaresearchlabs, IDA mallapur, Hyderabad-500076, India
E-mail: chgshankar1@gmail.com,aravinddkumar@gmail.com



Proton and metal ion-exchanged Montmorillonite and Copper–Aluminium Hydroxyapatite (Cu-HAP) catalysts were effectively used in the esterifiaction, C-N bond formation and Detritylation in methanol efford Olmesartan Medoxomil in good yields. The catalysts were quantitatively recovered from reaction mixture by simple filtration and reused for four cycles with consistent activity.

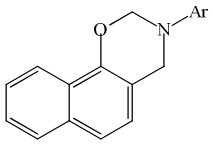
Heterocyclic Letters 8: iss.-4 (2018), 789-792

Microwave assisted synthesis of 3,4-dihydro-3-pyridyl-2*h*-naphtho[2,1-*e*][1,3]oxazine derivatives

Rachala Muralidhar Reddy[1], Ramu Surakanti[2], Ajay Kumar Routhu[3] and Suresh Budde[3]

[1]Vidya Jyothi Institute of Technology, Aziz nagar, CB Post, Hyderabad-500075 Telangana, India
[2]Rishitha Biosciences, North Hastinapuram, LB Nagar, Hyderabad, 500 074, Telangana, India
[3]Kakatiya University, Hanamkonda, Warangal-506009 Telangana, India

A simple and efficient method was developed to synthesis several 3,4-dihydro-3-pyridyl-2*H*-naphtho[2,1-*e*][1,3]oxazine derivatives from 1-naphthol, various pyridines and formalin solution by microwave method.



4 a–g

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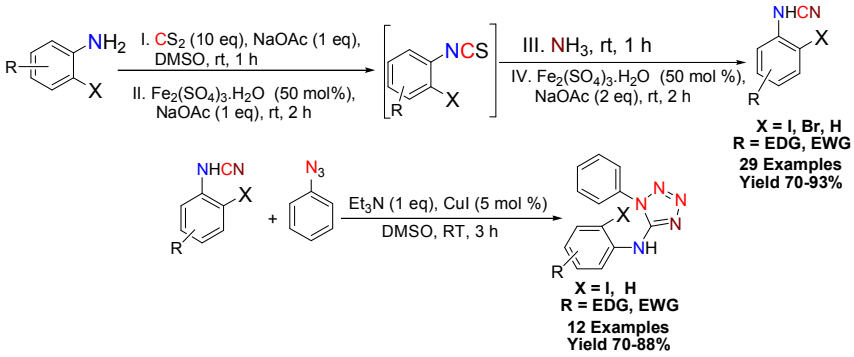
Heterocyclic Letters 8: iss.-4 (2018), 793-804

Synthesis of cyanamides libraries and further conversion into tetrazole compounds *via* click-chemistry

Srinivasa rao Pinapati,[a] Usha rani Mandapati,[a] Ramana Tamminana[b] and Ramesh raju Rudraraju*[a]

[a] *Department of Chemistry, Acharya Nagarjuna University, Nagar,Guntur, AP-522510, India.*
[b] *Department of Chemistry, GIATM University, Bengaluru Campus, Karnataka-561203, India.*
Email: rameshrajurudraraju716@gmail.com

Multistep reaction has been developed for the synthesis of substituted 2-halo aromatic/alkyl/aryl cyanamides under moderate reaction conditions.



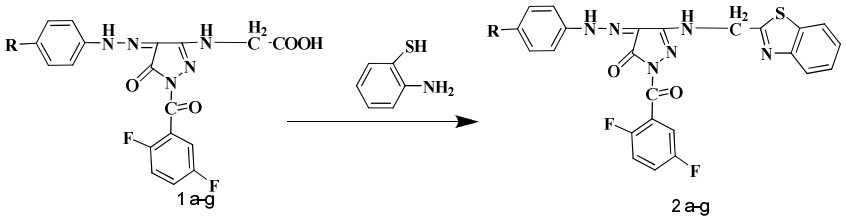
EDG-Electron Donating Group
EWG-Electron Withdrawing Group

Heterocyclic Letters 8: iss.-4 (2018), 805-813

Synthesis, characterization and antimicrobial evaluation of novel compounds of 3-((benzo[d]thiazol-2-ylmethyl)amino)-1-(2,5-difluorobenzoyl)-4-(2-(4-(substituted)phenyl)hydrazono)-1H-pyrazol-5(4H)-one

[1]M. Swarna Kumari*, L.K. Ravindhranath[1], K. Sudhakar Babu[1], and [2]K. Ashok vardhan Reddy [4]

[1]*Department of Chemistry, Sri Krishnadevaraya University, Anantapur,(AP) India.*
[2] *Dr K.V Subba Reddy College of Engineering for Women , Dupadu, Kurnool (AP) India .*
Corresponding Author Email Id: swarnaoliver@gmail.com



New novel derivatives of 3-((benzo[d]thiazol-2-ylmethyl)amino)-1-(2,5-difluorobenzoyl)-4-(2-(4-(substituted)phenyl)hydrazono)-1H-pyrazol-5(4H)-one (2a-g) were prepared by refluxing a mixture 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. (1a-g) and 2-amino thio phenol The newly synthesized compounds were characterized by IR, ^{1}H-NMR, ^{13}C-NMR, mass spectra & Elemental analysis. The newly synthesized compounds were screened for their Biological activity.

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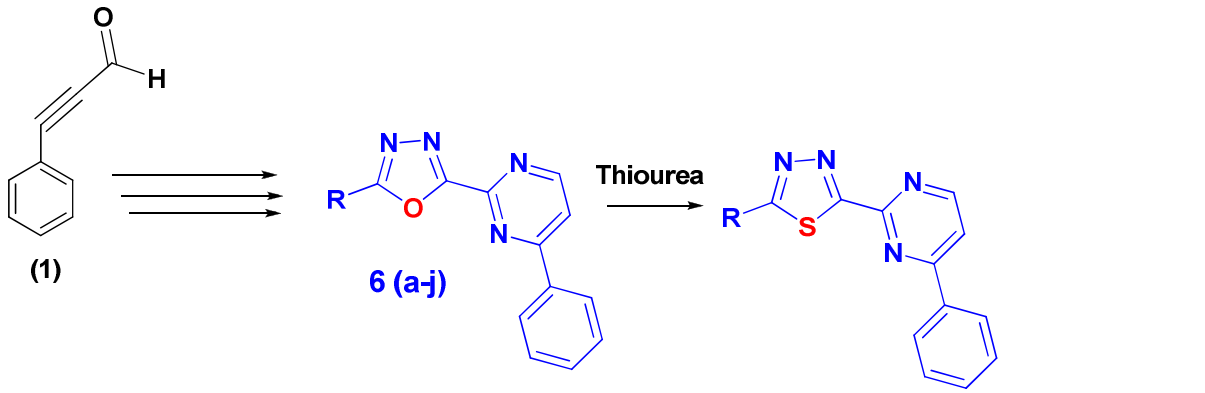
Heterocyclic Letters 8: iss.-4 (2018), 815-830

Design, Synthesis of some novel 1,3,4-thiadiazole derivatives associated with pyrimidine core unit by using Thiourea reagent

Virupakshi Prabhakar[*1], Kondra Sudhakar babu[2],Gummadi Durgaprasad[3]
*[*1&3]Department of Chemistry, Dr.APJ Abdulkalam,IIIT ONGOLE, RAJIV GANDHI UNIVERSITY OF KNOWLEDGE TECHNOLOGIES-AP, INDIA,*
[2] Department of Chemistry, Sri Krishnadevaraya University, Anantapuramu-AP, INDIA.
**Corres. Author E-mail:- viruchem765@gmail.com*

A new series of 1, 3, 4-Thiadiazole derivatives associated with pyrimidine core unit (7a-j) were synthesized from 4-phenylpyrimidine-2-carboxylic acid (4) with different aromatic/Heterocyclic carboxylic hydrazides (5 a-j) in the presence of $POCl_3$. Finally these oxadiazole derivatives are converted into thiadiazoles by using thiourea.The chemical structures of these compounds were confirmed by various physico-chemical methods viz. IR, ^{1}H-NMR, EI-Mass, ^{13C}-NMR analysis. These Novel Pyrimidine derivatives screening For Anti-microbial studies.Among these some compounds exhibit excellent biological activity.

Synthetic Scheme



Novel 1,3,4-Thiadiazole derivatives 7 (a-j)

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Heterocyclic Letters 8: iss.-4 (2018), 831-842

Design And Synthesis of Novel 2-(4-((5-Phenyl-1, 3, 4-Oxadiazol-2-yl)Methoxy) Substituted Phenyl)-1H-Benzo [de]Isoquinoline-1, 3(2*H*) dione derivative As Antibacterial And Anti -fungal Agents

[a]Rambabu Sirgamalla,[a]Ashok kommakula [a]Dr Sakram*,
[b]B.Sathish Kumar, [b]P. V. Anantha Lakshmi

[a]Department of Chemistry, Osmania University, Hyderabad – 500007, Telangana State, India.
[b]Department of Chemistry, Osmania University, Hyderabad – 500007, Telangana State, India.
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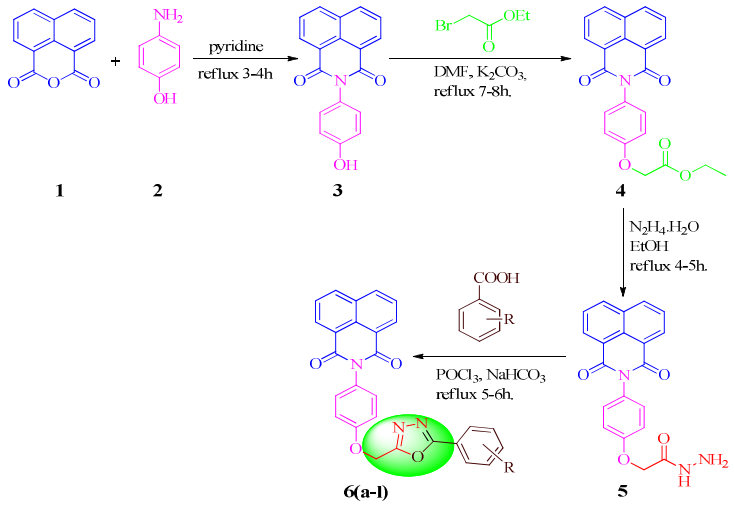
A new series of 2-(4-((5-(4-bromophenyl)-1,3,4-oxadiazol-2-yl)methoxy)phenyl)-1*H*-benzo[de]isoquinoline-1,3(2*H*)-dione derivatives **(6a-l)** have been synthesized by using conventional method. All the newly synthesized compounds were evaluated for their antibacterial and antifungal activity against gram positive bacteria *S. aureus* gram negative bacteria *E. coli* and ciprofloxacin used standard drug. The antifungal activity screened against two pathogenic fungal strains *A.niger* and *C. albicans* and *Voriconazole* used as standard drug. The antibacterial results shows that compounds **6i** more than **6f** are as potent against *S. aureus* with compare to standard drug. In the case of *B. subtilis* the compounds **6f** more than **6i** are more active. In the case of *E.coli* the compounds **6i** more than **6f** are more active. The compounds **6f** and **6i** are more active against *P. aeruginosa*. The anti-fungal activity result shows that the compounds **6f** and **6i** are as active as standard drug Voriconazole against *A.niger*. In the case of *C. albicans* the compounds **6f** and **6i** are showing the same activity with compare to standard drug. All the synthesized novel compounds were characterized by IR, ^{1}H-NMR, ^{13}C-NMR, HRMS spectroscopic methods and the elemental analysis (C, H and N).



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Heterocyclic Letters 8: iss.-4 (2018), 843-846

Synthesis and screening effect of N-phenyl-9H-carbazole-3-carboxamide

***S.Murali Krishna, M.Raveendra**

Dr.APJ Abdulkalam, IIIT- ONGOLE
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Biological E.Ltd company ,shameerpet,Hyd
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Synthesis of N-phenyl-9H-carbazole-3-carboxamide derivative by using carbazole**.** Synthesis of carbazole derivatives are synthesized by carboxylic acids with isobutyl chloroformate and suitable solvents gives curtius reaction and finally obtained by mannich base derivatives and n-substituted amides. These are characterised by IR,NMR,MASS spectroscopy and these are screened for biological activity and anti infamatory activity and its contain many medicinal applications as like as fex