HL
http://heteroletters.org

ISSN: 2231 – 3087(print)
ISSN: 2230 – 9632 (Online)
Coden HLEEAI
Vol. 5: (1), 2015, 2-10

Graphical Abstract

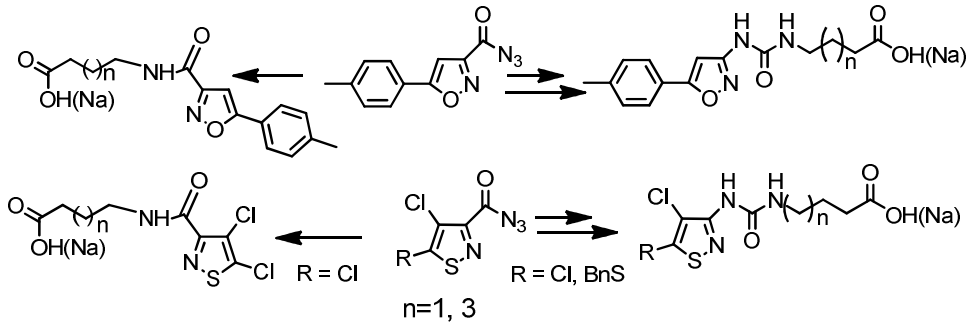
Heterocyclic Letters 5: iss.-1 (2015), 11-19

Synthesis of water soluble isoxazol-3-yl(isothiazol-3-yl) carboxamides and ureas containing amino acid residues – potential anticancer agents

Vladimir I. Potkin,[a*] Alexey V. Kletskov,[a] Sergey K. Petkevich,[a] Svetlana G. Pashkevich,[b] Vladimir V. Kazbanov,[b] Andrew A. Denisov[b] and Vladimir A. Kulchitsky[b]

[a] *Institute of Physical Organic Chemistry, National Academy of Sciences of Belarus, Surganova Str., 13, Minsk 220072, Belarus*
[b]*Institute of Physiology, National Academy of Sciences of Belarus, Akademicheskaya Str., 28, Minsk, 220072, Belarus*
E-mail: potkin@ifoch.bas-net.by

By reaction of accessible 5-(*p*-tolyl)isoxazole-, and 4,5-dichloroisothiazole-3-carbonyl azides with 4-aminobutanioc and 6-aminohexanoic acids the corresponding substituted (1,2-azolyl)-3-carboxamides with amino acid residues were synthesized and transformed in water soluble Na salt forms. For the synthesis of isothiazolyl(isoxazolyl)ureas with amino acid residues the 5-(*p*-tolyl)isoxazole-, 4,5-dichloroisothiazole- and 5-(benzylthio)-4-chloroisothiazole-3-carbonyl azides were converted into corresponding (1,2-azol-3-yl)carbamates by action of phenol or 4-fluorophenol. Obtained carbamates were introduced in reaction with amino acids to form target substituted ureas, further transformed in water soluble salt forms. Some of the synthesized derivatives possess antitumor activity.

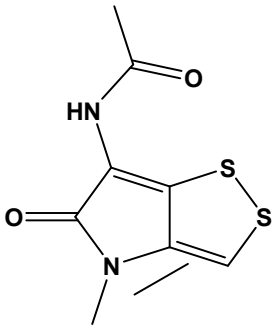


Heterocyclic Letters 5: iss.-1 (2015), 21-26

Isolation and Characterization of Thiolutin from *Streptomyces sp.* Kib0393

Yingpan Song, Jie Zhang, Gang Chen*

College of Chemistry and Chemical Engineering, Xi'an Shiyou University, Xi'an, 710065, China
E-mail: gangchen@xsyu.edu.cn



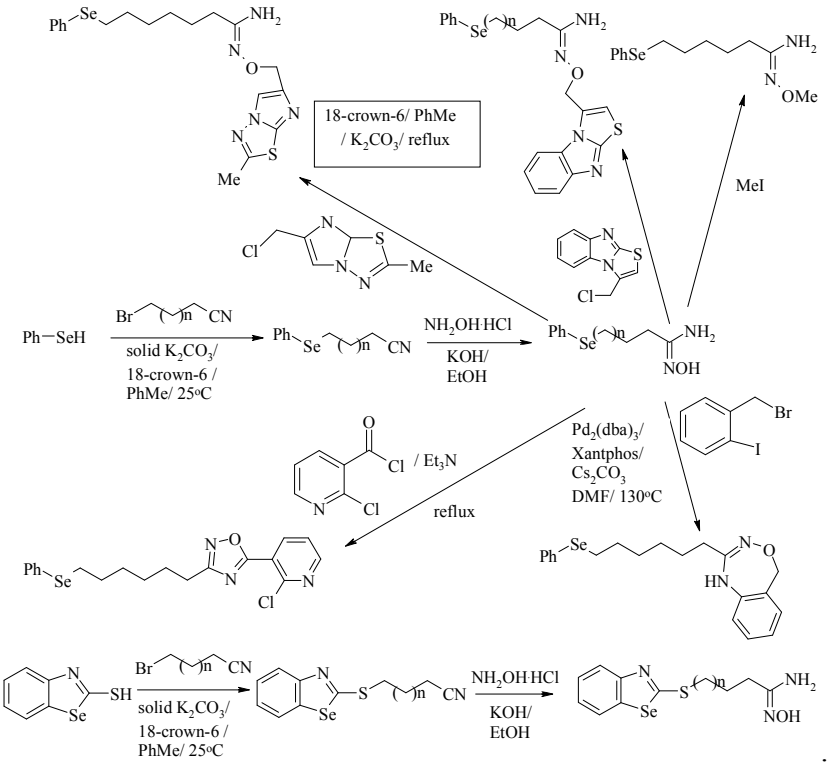
Heterocyclic Letters 5: iss.-1 (2015), 27- 36

Evaluation of highly active cytotoxic agents in the series of novel derivatives of N-hydroxy(and N-alkoxy)-ω-(benzeneselanyl or 2-benzoselenazolylsulfanyl)-alkaneamidines

Edgars Abele, Kira Rubina, Lena Golomba, Irina Shestakova, Elina Jaschenko, Veronika Bridane, Ramona Abele

Latvian Institute of Organic Synthesis, 21 Aizkraukles Street, Riga, LV-1006, Latvia

Synthesis of novel derivatives of N-hydroxy (and N-alkoxy)-ω-(benzeneselanyl)alkaneamidines and 2-benzoselenazolylsulfanyl)alkaneamidines as potential cytotoxic agents was carried out in two or three steps. 6-(Benzoselenazol-2-ylsulfanyl)-N-hydroxyhexanamidine exhibit high activity *in vitro* on monolayer tumor cell lines: MG-22A (mouse hepatoma) and HT-1080 (human fibrosarcoma).



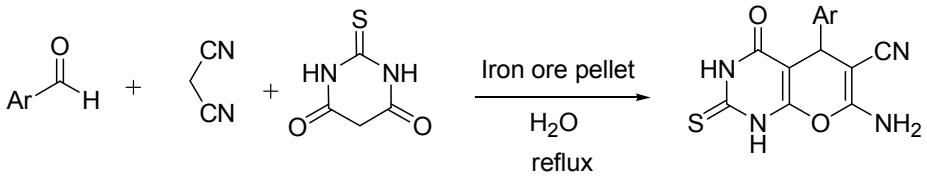
Heterocyclic Letters 5: iss.-1 (2015), 37- 43

A green synthesis of thioxo pyrano[2, 3-*d*]pyrimidine using iron ore pellet as natural and reusable catalyst

Enayatollah Sheikhhosseini*, Malihe Faryabi

Department of Chemistry, Kerman Branch, Islamic Azad University, Kerman, Iran
**Corresponding author: sheikhhosseiny@gmail.com*

Iron ore pellet is used as natural and reusable catalyst for a simple and efficient one-pot synthesis of thioxo pyrano[2,3-*d*]pyrimidine derivatives via initial Knoevenagel, subsequent Micheal and final heterocyclization reactions of aryl aldehyde, malononitrile and thiobarbituric acidin good to excellent yields.



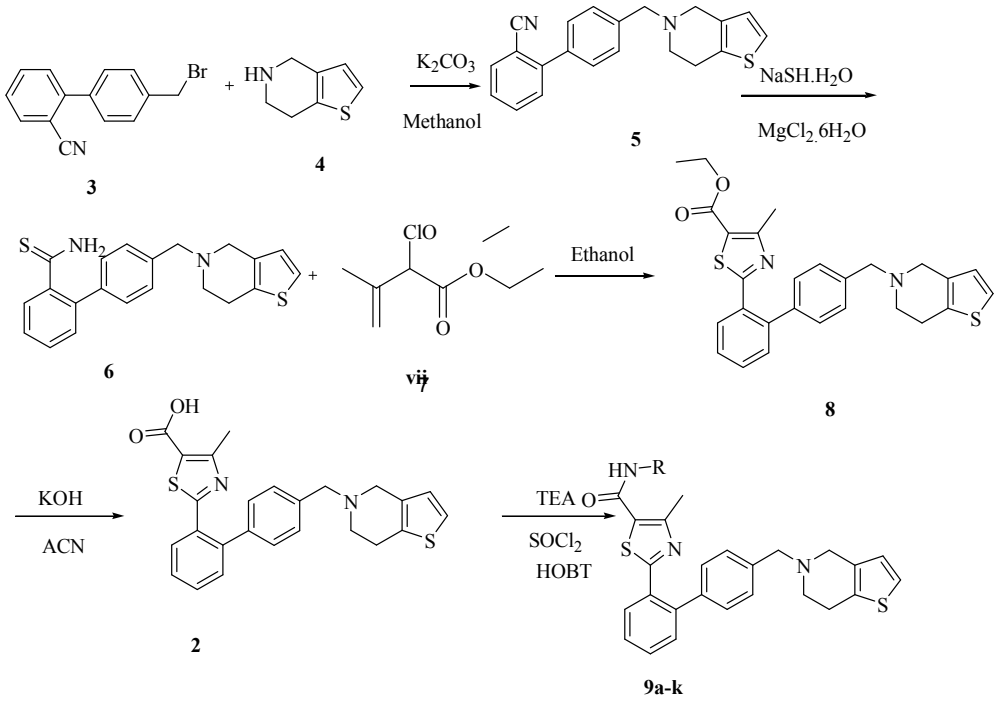
Heterocyclic Letters 5: iss.-1 (2015), 45- 52

Retro synthesis and biological activity studies of thiazole-5-carboxylic acid amide derivatives

G. Naresh Kumar[a], S.Suneela[b], K. Vasantha Kumar Pai*[b]

Department of Chemistry, Bharathiar University, Coimbatore-641046, India.

During the course of our investigation in the field of carboxylic acid antithrombotic agents, we have indentified and synthesized 2-[4'-(6,7-dihydro-4H-thieno[3,2-c]pyridin-5-ylmethyl)-biphenyl-2-yl]-4-methyl-thiazole-5-carboxylic acid derivatives **(9a-k),** a carboxylic acid derivatives with good in vivo activity. These findings prompted us to prepare new 2-[4'-(6,7-dihydro-4H-thieno[3,2-c]pyridin-5-ylmethyl)-biphenyl-2-yl]-4-methyl-thiazole-5-carboxylic acid derivatives **(9a-k),** in the hope of increasing activity and better understanding the influence of ester and amides.



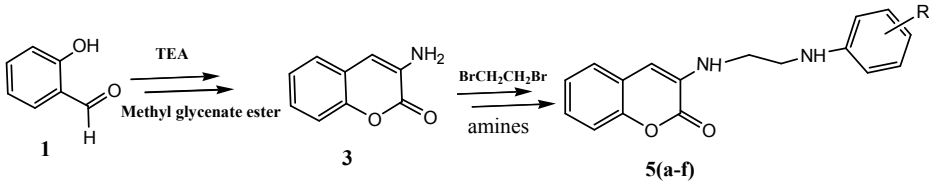
Heterocyclic Letters 5: iss.-1 (2015), 53-58

A convenient synthesis of 3-(2-aryl amino)-ethylamino-*2h*-chromen-2-ones And their antimicrobial activities

Bethanamudi. Prasanna* and S. Kavitha

**Research center, Department of Chemistry, Chaitanya Degree & Post Graduate College (Autonomous), Kishanpura, Hanamkonda, Warangal (TS)-506002.*
E-Mail:prasschem@gmail.com.

A series of 3-(2-arylamino)ethylamino-*2H*-chromen-2-ones **5(a-f)** have been synthesized from salyciladehyde and spectrally characterized. In vitro antimicrobial activities of synthesized compounds were investigated against Grampositive *S. Aureus* bacteria, Gram-negative *E.Coli* bacteria and fungi *C. Albicans* and *A.Niger* in comparison with standard drugs. Some of the tested compounds showed significant antimicrobial activity.



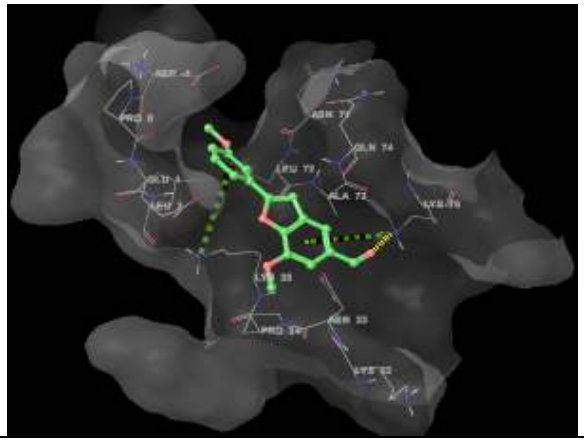
Heterocyclic Letters 5: iss.-1 (2015), 59-77

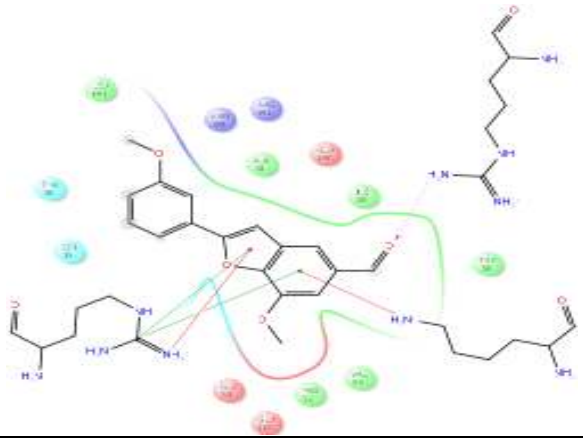
Synthesis, molecular docking and cytotoxic study of 7-methoxy-2-(3-methoxylphenyl)-1-benzofuran-5-carbaldehyde

Bapu R. Thorat[a], Ravindra Jagtap[b], Vaishali B. Thorat[c], Annasaheb Khemanar[d] and Ramesh S. Yamgar[e]

a. P. G. and Research centre, Ismail Yusuf Arts, Science and Commerce College, Jogeshwari (E), Mumbai 400060.
b. JJT University, Rajasthan.
c. IES, Junior College, Bandra (E), Mumbai.
d. Institute of Science, Fort, Mumbai
e. Patkar Varde College, Goregaon (W), Mumbai

The 7-methoxy-2-(3-methoxylphenyl)-1-benzofuran-5-carbaldehyde was synthesized by known literature method (Wittig reaction approach) from vanillin. To deduce the anticancer and antibacterial activity of the 7-methoxy-2-(3-methoxylphenyl)-1-benzofuran-5-carbaldehyde, it is docked with different biomarkers of cancer cell and bacteria. Grid was generated for each oncoproteins by specifying the active site amino acids. The binding model of best scoring analogue with each protein was assessed from their G-scores and disclosed by docking analysis using the XP visualizer tool. An analysis of the receptor-ligand interaction studies revealed that 7-methoxy-2-(3-methoxylphenyl)-1-benzofuran-5-carbaldehyde is most active against 4FNY and 1VOM biomarkers and have the features to prove themselves as anticancer drugs. It shows strong cytotoxicity against human lung (A-459) and breast (MCF-07) cell lines.





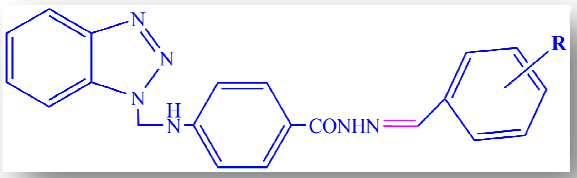
Heterocyclic Letters 5: iss.-1 (2015), 79-84

Antimicrobial evaluation of novel schiff's bases

Purvesh J. Shah

Chemistry Department, K.K.Shah Jarodawala Maninagar Science College, Maninagar, Ahmedabad, Gujarat (India).
*E-mail: purvesh23184@gmail.com

Schiff base is an important nitrogen containing compound. Many derivatives are prepared from its, which shows of various biologically as well as pharmaceutical applications. Schiff bases are an important class, which constitute the building blocks of wide range of pharmacologically active compounds. A series of novel schiff's bases derivatives were synthesised and characterized by spectral studies in present article. The novel synthesized compounds showed significant antimicrobial activity against various bacteria.

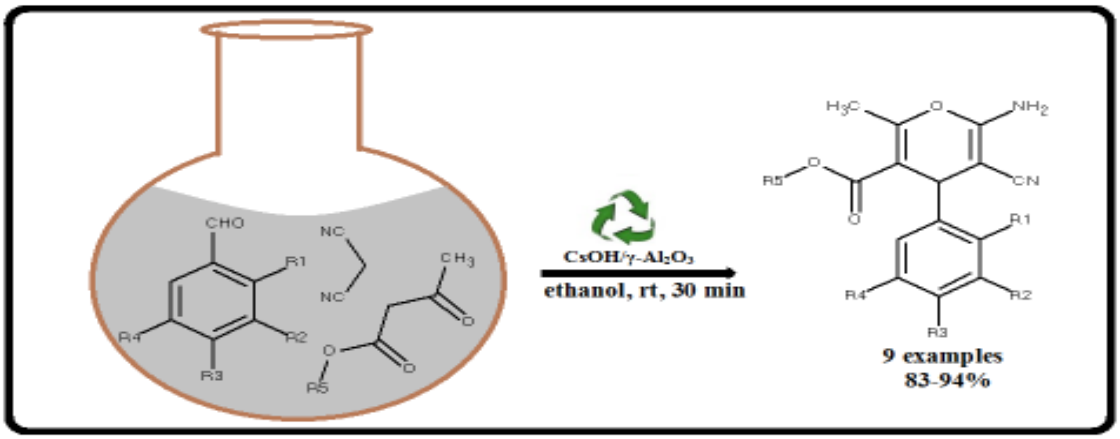


Heterocyclic Letters 5: iss.-1 (2015), 85-95

$CsOH/\gamma$-Al_2O_3 catalyzed mild and efficient method for the synthesis of novel multifunctionalized 4*H*-pyran derivatives via one-pot three-component protocol.

MMV Ramana*, Rahul Betkar, Amey Nimkar, Prasanna Ranade, Balaji Mundhe
E mail: ramanammv@yahoo.com

The 4*H*- pyran derivatives were synthesized by a one-pot three-component reaction of an aromatic aldehyde, malononitrile, and ethyl acetoacetate or isopropyl acetoacetate at room temperature in alcohol using recyclable $CsOH/\gamma$-Al_2O_3 as heterogeneous basic catalyst. The characterization of $CsOH/\gamma$-Al_2O_3 catalyst was performed by using FT-IR, XRD, and TG-DTA techniques. The synthesized compounds were characterized by UV, IR, NMR, and Elemental analysis.



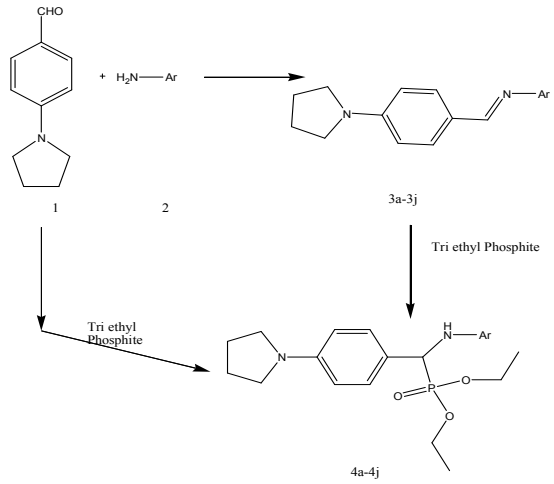
Heterocyclic Letters 5: iss.-1 (2015), 97-104

Synthesis and antimicrobial evaluation of novel n-(4-(pyrrolidin-1-yl)benzylidene)-arylamine and diethyl (arylamino)(4-(pyrrolidin-1-yl)phenyl)methyl phosphonate

Sandeep D. Pardeshi, Jayant P. Sonar, S. A. Dokhe and Shivaji N. Thore*

Department of Chemistry, VinayakraoPatilMahavidyalaya, Vaijapur-423701
Email ID :snthore@rediffmail.com

In this work we have synthesis Schiff bases and α-amino phosphonates by conventional and non-conventional methods. The one pot synthesis of α-amino phosphonates were also carried out by both the methods.



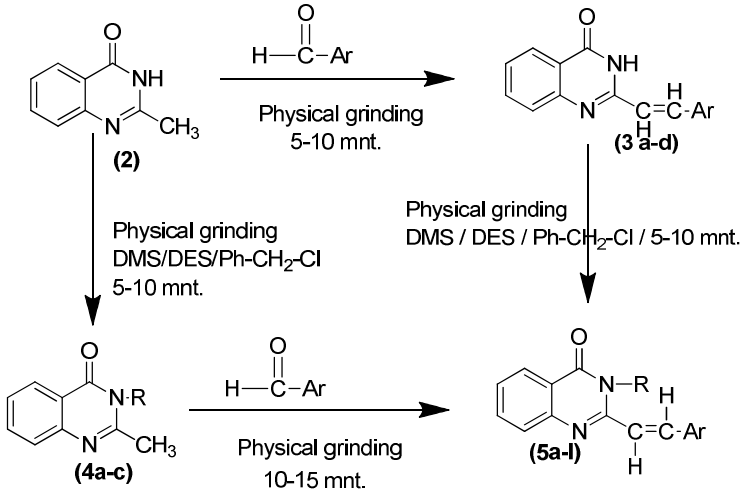
Heterocyclic Letters 5: iss.-1 (2015), 105-111

Synthesis of N-Subtituted-2-Styrylquinazolin-4(3H)-ones under solvent free condition

Srinivasa Reddy[1,2] Md. Rafeeq[1], Ch. Venkata Ramana Reddy[1] & P. K. Dubey[1]

[1]Department of Chemistry, J N T University Hyderabad, College of Engineering, Hyderabad, 500 085. Telangana, India
[2]Mahatma Gandhi Institute of Technology, Gandipet, Hyderabad-500075
E-mail: mohammadrafeeq8@gmail.com.

N-Subtituted-2-Styrylquinazolin-4(3H)-ones **(5a-i)** were synthesised from 2-methyl quinazolin-4(3H)-ones **(2)** with benzaldehydes followed by alkylation with DMS, DES, $PhCH_2Cl$ (i.e. R= CH_3, CH_2CH_3, CH_2Ph), whole of the reactions are carried out in physical grinding under solvent free conditions.



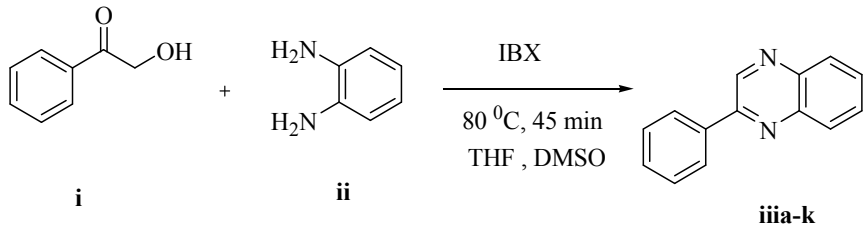
Heterocyclic Letters 5: iss.-1 (2015), 113-119

Synthesis and biological activity studies of quinoxaline derivatives

G. Naresh Kumar[a], S.Suneela[b], K. Vasantha Kumar Pai*[b]

Department of Chemistry, Bharathiar University, Coimbatore-641046, India.

Various quinaoxalines were synthesized by the 1,2-diamines was the key intermediate for the synthesis of the new quinoxaline analogues, as it was appropriately substituted with various amines using tetra hydro furan as base in dimethylsulfoxide afforded a series of novel quinoxaline derivatives in good yields. The structures of all the newly synthesized molecules were assigned by spectral data. The synthesized compounds were screened for their antibacterial activities strains using Cup–Plate method.



i **ii** **iiia-k**

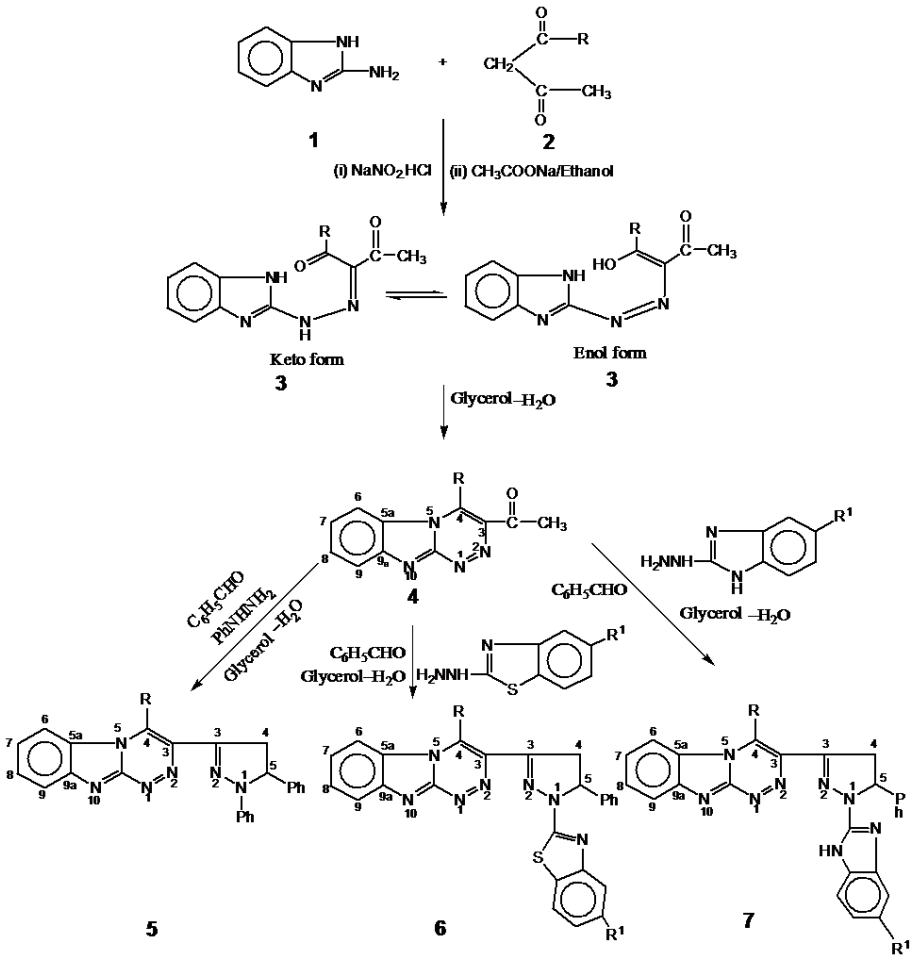
Heterocyclic Letters 5: iss.-1 (2015), 121-129

Synthesis of 3-(1-substituted-5-phenyl-4,5-dihydro-1*H*-pyrazol-3-yl)-4-substituted benzo[4,5]imidazo[2,1-c][1,2,4]triazines as insecticidal agents

Kanti Sharma*[a], Lokesh Kumar Sharma[a] and Renuka Jain[b]

[a]*Department of Chemistry, R.L. Saharia Govt. P.G. College, Kaladera, Jaipur-303 801, India*
[b]*Department of Chemistry, University of Rajasthan, Jaipur-302 004, India*
**** E-mail:** drkanti@gmail.com*

An efficient, one pot, three component (1-(4-substituted benzo[4,5]imidazo[2,1-c] [1,2,4] triazin-3-yl) ethanones **4**, benzaldehyde and hydrazino derivative), more sustainable and catalyst free reaction has been developed for the synthesis of 3-(1-substituted-5-phenyl-4,5-dihydro-1*H*-pyrazol-3-yl)-4-substituted benzo[4,5]imidazo[2,1-c] [1,2,4]triazines **5-7** in glycerol.

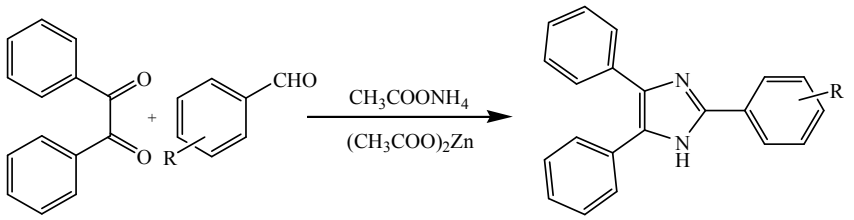


Heterocyclic Letters 5: iss.-1 (2015), 131-135

Zinc acetate catalyzed an efficient synthesis of 2, 4, 5-triphenyl-1*H*-imidazole derivatives under solvent-free condition

V. P. Sondankar[1*], N. R. Rathod[1] and S. R. Bhusare[2]

[1]Department of Chemistry, Phulsing Naik College, Pusad-445 216, MS, India
[2]Department of Chemistry, Dnyanopasak College, Parbhani-431 401, MS, India
**Corresponding Author E-mail: vpsondankar@rediffmail.com*



1 **2a-i** **3a-i**

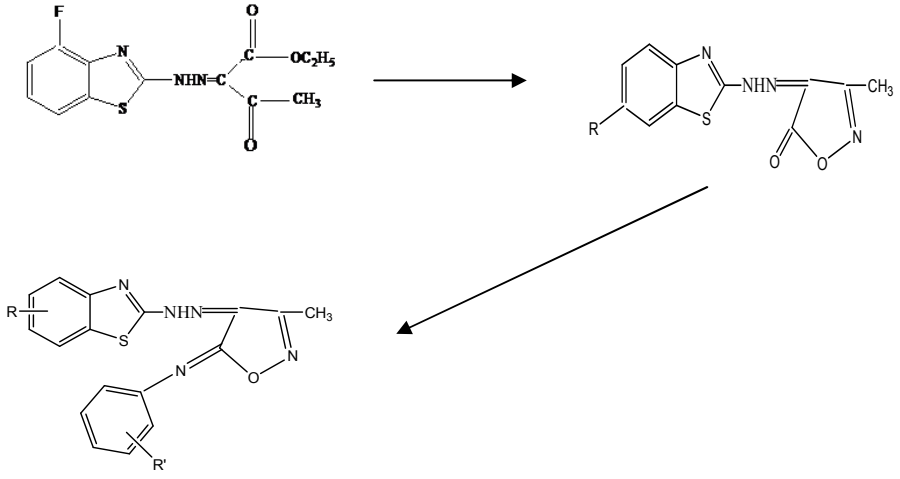
Heterocyclic Letters 5: iss.-1 (2015), 137-141

Synthesis and characterization of some novel 4–[(substituted benzothiazol-2-yl) hydrazono]–3–methyl–5–(substituted Phenyl imino)–4, 5–dihydroisoxazole

S. Sareen[1], V. Khatri[2], V. Sareen[2]

[1]Vivekanand Institute of Technology (east), Department of Chemistry, Jaipur [2]Department of Chemistry,University of Rajasthan, Jaipur
Email : sareenparmod@yahoo.com

Synthesis of 2- [(4-fluorobenzothiazol-2-yl) hydrazono] butyric acid ethyl ester **3** from 2-Aminosubstituted benzothiazole and ethyl acetoacetic ester in presence of HNO_2 and ethanol has been described. 4-[(substituted benzothiazol-2-yl)-hydrazono]-3-methyl-4H-isoxazol-5-ones were prepared by the condensation of 2-[(substituted-benzothiazol-2-yl)-hydrazono]-3- oxobutyric acid ethyl ester in ethanol with hydroxyl amine hydrochloride in the presence of sodium acetate.



R= 4-Cl, 5-Cl, 4-F, 6-F
R'= 4-F, 2-F, 2-Cl, 2-CF_3, 2,5-dichloro

5

Heterocyclic Letters 5: iss.-1 (2015), 143 -145

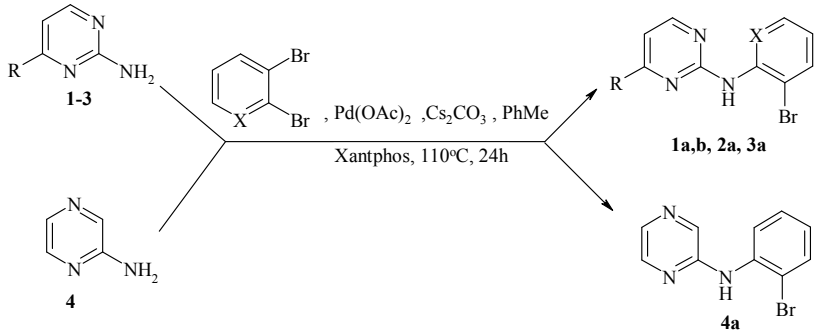
Palladium catalyzed selective monoarylation of 2-aminopyrimidines and 2-aminopyrazine with 1,2-dibromobenzene without cyclization

Edgars Abele and Julija Visnevska

Latvian Institute of Organic Synthesis, 21 Aizkraukles Street, Riga, LV-1006, Latvia

A simple one-flask highly selective method for the Pd-catalyzed preparation of sterically hindered 2-(2-bromophenyl)pyrimidines.was elaborated. 2-(2-Bromophenyl)pyrimidines were isolated in 22-64% yields. Similarly was prepared 2-(2-bromophenyl)aminopyrazine.

Scheme 1



R = H (**1**), R = Me (**2**), R = CF_3 (**3**); X = CH (**1a-c**), X = N (**1b**)