Heterocyclic Letters
Vol. 5 | No.3 |312-322| May-July| 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Graphical Abstract

Heterocyclic Letters 5: iss.-3 (2015), 323-327

Synthesis of substituted n-phenyl β- lactams using grignard reagent

Archana Gupta[a], Raman Kumar[a], Hari Mohan Meena[b] and Gurmeet Singh[a]*

[a]*Department of Chemistry, University of Delhi, Delhi, India*
[b]*Department of Chemistry, Hansraj college, University of Delhi, Delhi, India*
**Corresponding author Email: gurmeet123@gmail.com*

β-Lactam, a four-membered cyclic lactam (azetidin-2-one) skeleton has been recognized a useful building block for the synthesis of a large number of organic molecules by exploiting the strain energy associated with it. It has been extensively used as a template to build the heterocyclic structure fused to the four member rings. It has been considered as a versatile nucleus which posses almost all types of biological activities mainly antibiotics, antimicrobial and antifungal activity.

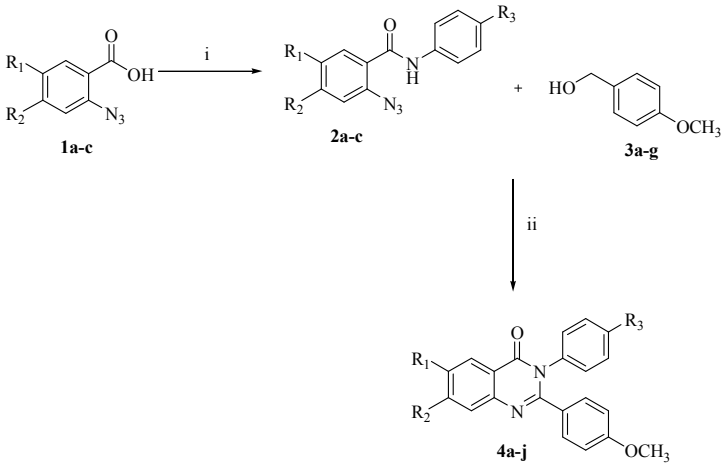
Heterocyclic Letters 5: iss.-3 (2015), 329-334

Synthesis and antibacterial activity studies of 2, 3-disubstituted quinazolinones-4(3*h*)-ones

Glory Arava* and N.Venkatasubba Naidu*

Department of chemistry, Sri Venkateswarauniversity, Tirupati-517502, A.P, INDIA
E-mail: aglory999@gmail.com; nvsn69@gmail.com

We have demonstrated a one-pot synthesis of 2,3-disubstituted quinazolinones between o-azidobenzamides and benzyl alcohols via $FeCl_2$-DDQ catalysed dehydrogenations essentially under neutral conditions. All the synthesized compounds were fully characterized on the basis of their detailed spectral studies and the synthesized compounds were screened for their antibacterial activities strains using Cup plate method.



Reagents and conditions: (i) (a) $SOCl_2$, reflux, 2 h (b) Et_3N, DMAP, CH_2Cl_2, 0 °C to r.t,
(ii) o-azidobenzamide (1 mmol), 4-methoxybenzyl alcohol (1 mmol), solvent (5 mL) 2,3-dichloro-5, 6-dicyano-1, 4-benzoquinone (DDQ) (1.25 mmol).

Heterocyclic Letters
Vol. 5 | No.3 |312-322| May-July| 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

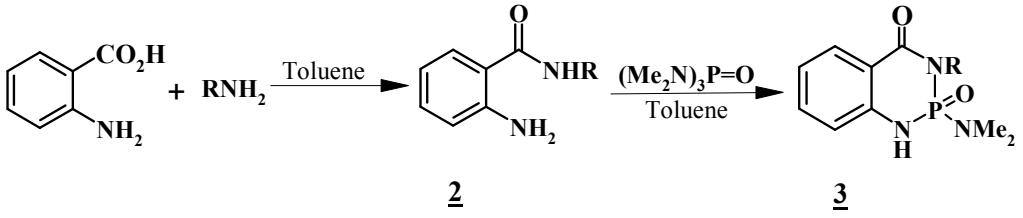
Heterocyclic Letters 5: iss.-3 (2015), 335-343

Synthesis and antioxidant activity of new benzo[1,3,2]diazaphosphorin-2-oxide derivatives

A. Ben Hadj Amor[1], A. Mezni[2] and R. Abderrahim[1]*.

Laboratory of Physics of Lamellaires Materials and Hybrids Nanomaterials, University of Carthage, Faculty of Sciences of Bizerte, Zarzouna 7021, Bizerte, Tunisia

New benzodiazaphosphorin-2-oxide derivatives **3** were synthesized and characterized. We had also evaluated in vitro their antioxidant properties related to DPPH radical scavenging, ferric reducing power (FRP), hydroxyl radical scavenging and ferrous ion chelating activity (FIC).



2 **3**

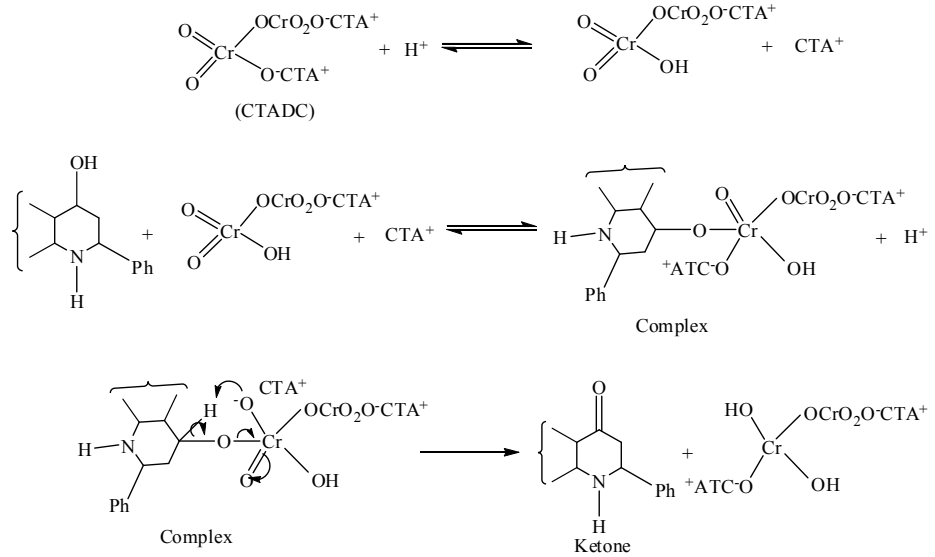
Heterocyclic Letters 5: iss.-3 (2015), 345-356

Cetyltrimethylammonium dichromate oxidation of 2-aryl-*trans*-decahydroquinolin-4-ols: A kinetic, mechanistic and conformational study by spectrophotometric approach

Sharmila Nurbhasha[a], Nageswara Rao B[b], Ramana G.V[b], Hari Babu B[*a] and Satyanarayana P.V.V[a]

[a]*Department of Chemistry, Acharya Nagarjuna University, Nagarjunanagar – 522 510, A.P., India.*
[b]*Department of Chemistry, Andhra Loyola College,Vijayawada – 520 008, A.P., India.*
[*]*Correspondence author: B. Hari Babu, E-mail:dr.b.haribabu@gmail.com*

A new and selective oxidant Cetyltrimethylammonium dichromate (CTADC) was utilized for the oxidation of substituted *trans*-decahydroquinolin-4-ols in order to study the mechanism of oxidation and to identify the possible products of the oxidation. The oxidation studies were carried out in presence of aqueous acetic acid medium containing small amount of sulphuric acid (6 N). The course of the reaction was followed spectrophotometrically.



Mechanism of oxidation of 2-aryl-*trans*-decahydroquinolin-4-ol by CTADC.

Heterocyclic Letters
Vol. 5 | No.3 |312-322| May-July| 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

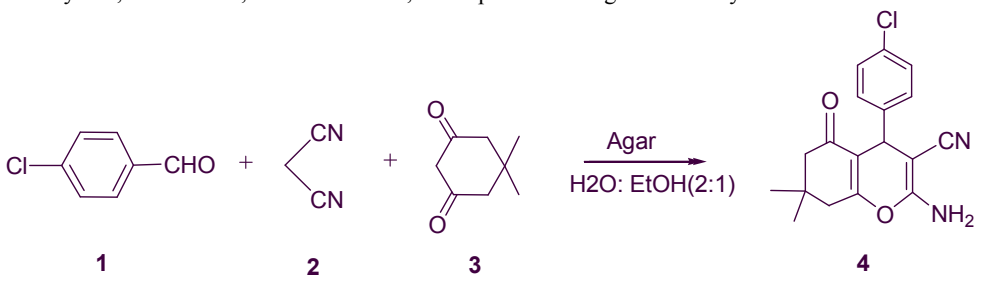
Heterocyclic Letters 5: iss.-3 (2015), 357-365

An experimental kinetics and a mechanistic study of tetrahydrobenzo[b]pyran formation in the presence of agar and water/ethanol as green catalyst and solvent

Sayyed Mostafa Habibi-Khorassani*, Mehdi Shahraki, Halimeh Yaghoubian

Department of Chemistry, Faculty of Science, University of Sistan and Baluchestan,
E-mail:smhabibi@chem.usb.ac.ir

Herein, we report spectrally the kinetics and mechanism of the convenient synthesis of tetrahydrobenzo[b]pyran **4** from 4-chlorobenzaldehyde **1**, malonitril **2**, and dimedone **3**, in the presence of agar as a catalyst.



1 2 3 4

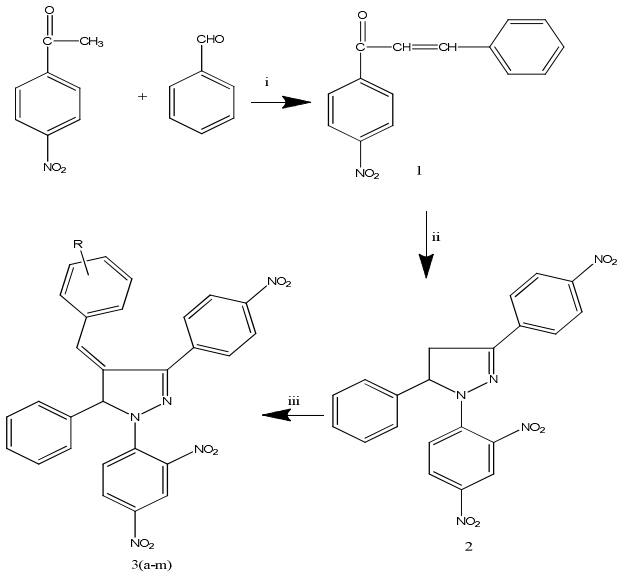
Heterocyclic Letters 5: iss.-3 (2015), 367-374

Synthesis of some novel pyrazoline scaffolds & their in-vitro antitubercular studies

Keerthi Kumar Kodari[a,#], O.P.Chourasia[a], N.V. Seelam[b]

[a]Heterocyclic Research Laboratory, Department of Chemistry, Dr. H. S. Gour Central University, Sagar, M.P, India-470003. Tel: +91-7582264989, E-mail: keerthikodari@gmail.com
[b]Organic Research Laboratory, Department of Chemistry, K.L.University, Vaddeswaram, Guntur, A.P, India-522502

The effectively synthesized **(Z)-4-Benzylidine-1-(2,4-dinitrophenyl)-3-(4-nitrophenyl)-5-phenyl-4,5-dihydro-1H-pyrazole** has been reported and conformed by IR, NMR, Elemental analysis. Further these Successfully synthesized pyrazoline derivatives have been screened for their Antimicrobial and Antitubercular activities.



Heterocyclic Letters
Vol. 5 | No.3 |312-322| May-July| 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

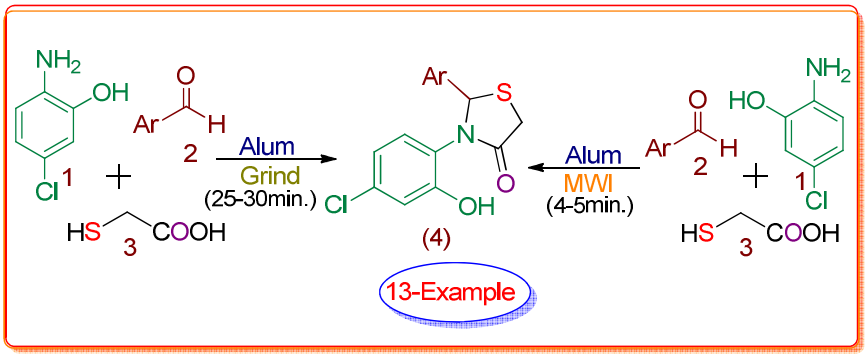
Heterocyclic Letters 5: iss.-3 (2015), 375-382

An alum catalyzed solvent free one pot Multicomponent synthesis of 4-thiazolidinone derivatives

Santosh A. Jadhav[a], Mahesh G. Shioorkar[a], Omprakash S. Chavan[b], D. B. Shinde[c], R. K. Pardeshi*[d]

[a]*Department of Chemistry, Vivekanand College, Aurangabad (India)*
[b]*Departmentofchemistry, Badrinarayan Barwale College, Jalna.(India)*
[c]*Department of chemical Technology, Dr. Babasaheb Ambedkar Marathwada University, Aurangabad. (India)*
[d]*Department of chemistry, Sant Ramdas College, Ghansawangi, Jalna (India)*
Email ID: santoshjdv11@gmail.com

2-amino-5-chlorophenol (**1**) condensed with aromatic, heterocyclic-aldehydes (**2**a-m) and 2-mercaptoacetic acid (**3**) in presence of alum catalyst under solvent free condition in grinding method, microwave irradiation method synthesis of series of thiazolidinone derivatives (**4**a-m) expeditious in enviro-ecofriendly condition, with excellent yield. The compounds are characterizes by IR, NMR, CHN analysis.



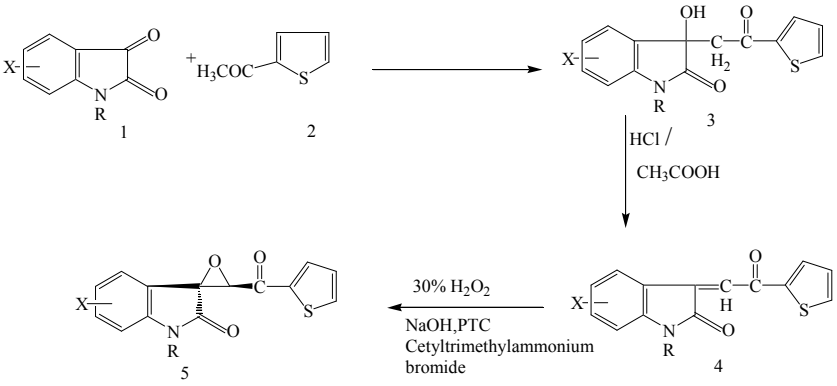
Heterocyclic Letters 5: iss.-3 (2015), 383-390

Facile synthesis of spiro[3*h*-indole-3,2'-oxirane]-3'-(2-oxo-2-(thiophene-2-yl))-2(1*h*)ones and their antibacterial activity

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 environmental benign synthesis of spiro[indole-3,2'-oxirane]-3'(2-oxo-2-(thiophen-2-yl)) 2(1H)ones **(5a-g)** are reported. The spiro[indole-3,2'-oxirane] derivatives were obtained in 90-96% yield exclusively *via* epoxidation of 3-[2-oxo-2-(thiophen-2-yl)ethylidene]-indoline-2-ones **(4a-g)** with 30% aqueous hydrogen peroxide using cetyltrimethyl ammonium bromide as a phase transfer catalyst.



Heterocyclic Letters
Vol. 5 | No.3 |312-322| May-July| 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

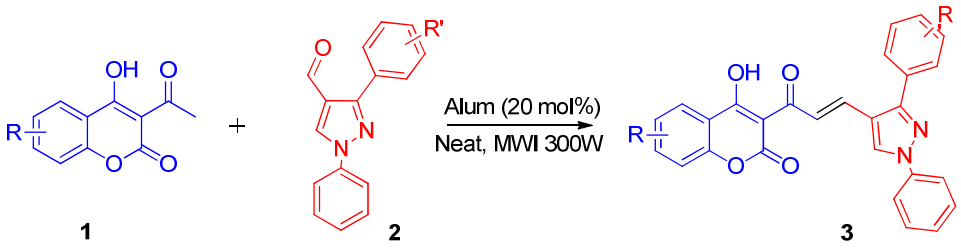
Heterocyclic Letters 5: iss.-3 (2015), 391-394

Alum catalysed solvent free synthesis of coumarin chalcone under microwave irradiation method

Omprakash S. Chavan[a], S. B. Chavan[b], S. A. Jadhav[c], M. G. Shioorkar[c], M. A. Baseer*[b]

[a]*Department of Chemistry, Badrinarayan Barwale College, Jalna (Maharashtra) India.*
[b]*Department of Chemistry, Yashwant College, Nanded (Maharashtra) India.*
[c]*Department of Chemistry, Vivekanand College, Aurangabad (Maharashtra) India.*
Email ID : omprakashschavan@gmail.com

3-Acetyl-4-hydroxy coumarins (**1**) condensed with heterocyclic aldehydes (**2**a-e) in presence of alum catalyst under solvent free condition in microwave irradiation method and synthesis of series of chalcone (**3**a-j) in ecofriendly, green condition with excellent yield. All the compounds are characterizes by IR, NMR, Mass and CHN analysis.



1 2 3

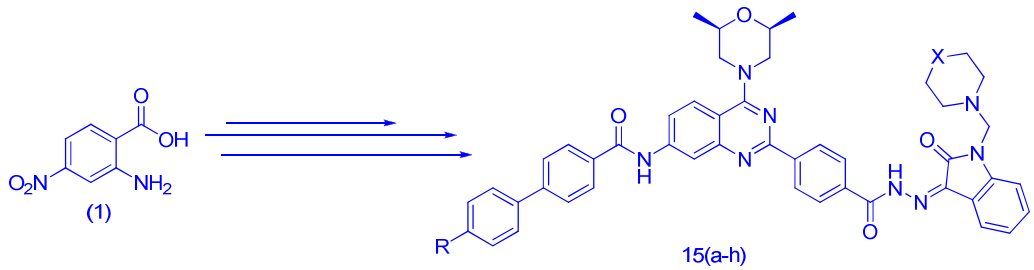
Heterocyclic Letters 5: iss.-3 (2015), 395-411

Synthesis, characterization and biological evaluation of Novel trisubstituted Quinazoline-Isatin Mannich bases bearing Morpholine and Biphenyl moieties

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*
E-mail:- *virupakshi.prabhakar@gmail.com*

A series of quinazoline with Isatin mannich bases bearing cis substituted morpholine and bi phenyl moieties were synthesized using **2-amino-4-nitrobenzoic acid (1)** and Urea as starting materials with simple procedure, good yield



X = -CH_2, O, S, N-CH_3

R = -OMe, Isopropyl

Heterocyclic Letters
Vol. 5 | No.3 |312-322| May-July| 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

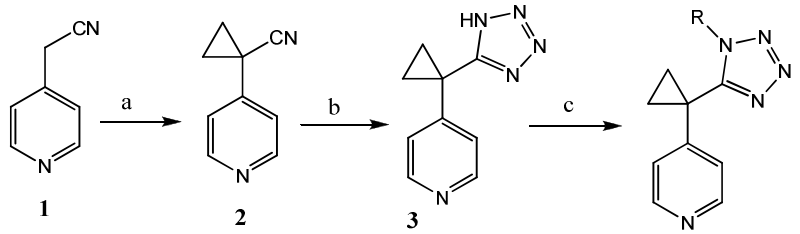
Heterocyclic Letters 5: iss.-3 (2015), 413-418

Synthesis and anti-microbial activity of novel-1-substituted 5-(1-(pyridyl-4-yl)-cyclopropyl-*1h*-tetrazoles

Bethanamudi. Prasanna*

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

A new, simple and convenient procedure for the synthesis of novel 1-substituted-5-(1-(pyridyl-4-yl)-cyclopropyl-*1H*-tetrazoles has been developed by the reaction of dibromoethane reacted with 4-pyridyl carbonitrile (**1**) under phase transfer conditions to give 1-(pyridyl-4-yl) cyclopropyl carbonitrile (**2**)**.** The compound **(2)** was treated with sodium azide, than followed by alkylation/ acylation to form corresponding title compounds **4(a-f)**. All the synthesized compounds were investigated for their antimicrobial activities against Gram positive *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.



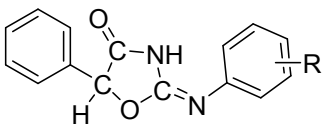
Heterocyclic Letters 5: iss.-3 (2015), 419-423

Microwave assisted synthesis of 5H-2(substituted)phenylimino-5-phenyloxazole-4-ones

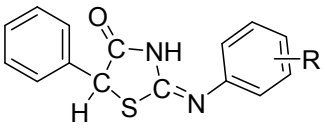
Vijay V Dabholkar* Sagar D. Shah, Viral M. Dave

Organic Research Laboratory, Department of Chemistry,
KC College, Churchgate, Mumbai-400 020.
E-mail: vijaydabholkar@gmail.com
sagarasli407@gmail.com

A series of 5H-2(substituted)phenylimino-5-phenyloxazole-4-ones **(1)** and 5H-2(substituted)phenylimino-5-phenylthiazole-4-ones **(2)** have been synthesized by interaction of ethyl-2-bromo-2-phenylethanoate with urea and thiourea under microwave condition respectively. The technique consumes less time and gives excellent yield of **1** & **2**. These compounds were also synthesized by conventional method. Structure of compounds has been elucidated on the basis of spectral and laboratorial technique. Further, the compound has been scanned for their biological activities.



(1)



(2)

Heterocyclic Letters
Vol. 5 | No.3 |312-322| May-July| 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

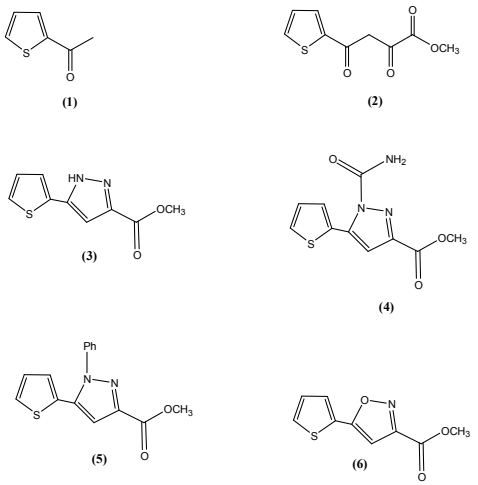
Heterocyclic Letters 5: iss.-3 (2015), 425-430

Chemistry of novel isoxazols and pyrazoles containing 2-acetyl thiophene- their synthesis and antimicrobial evaluation

Sudhakar Patil* and S.S. Bhale

Organic Chemistry Laboratory, M.U. Mahavidyalay, Udgir- 413517
Email Id: ssbhale22@gmail.com

2, 4-Dioxo-4-thiophen-2-yl-butyric acid methyl ester (2) was synthesized from 2- acetyl Thiophene by the treatment with diethyl oxalate. The 2, 4-Dioxo-4-thiophen-2-yl-butyric acid methyl ester was further converted to respective substituted pyrazole **(3-5)** by treatment with hydrazine hydrate, Semicarbahydrazie and Phenyl hydrazine. Similarly, 2, 4-Dioxo-4-thiophen-2-yl-butyric acid methyl ester treated with hydroxyl hydrochloride, followed by treatment with conc. HCl to form respective Isooxazole **(6)**.



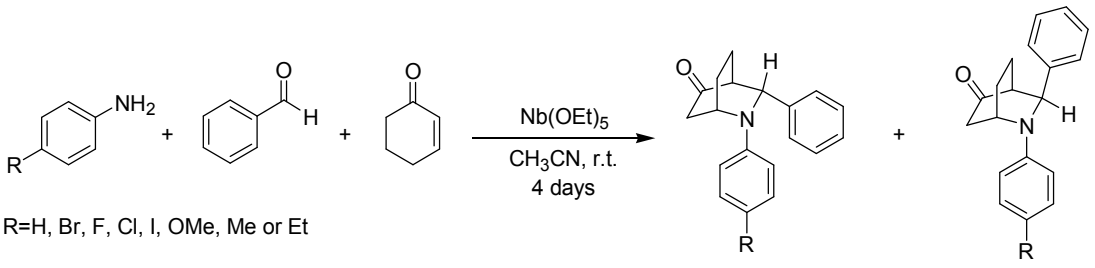
Heterocyclic Letters 5: iss.-3 (2015), 431-442

One-pot synthesis of isoquinuclidine derivatives through multicomponent aza-Diels-Alder reactions promoted by niobium pentaethoxide

B. H. S. T. da Silva, L. M. Martinsand L. C. da Silva-Filho*

Laboratory of Organic Synthesis and Processes (LaSOP), São Paulo State University (UNESP), Bauru, São Paulo State, Brazil.
Email: lcsilva@fc.unesp.br

The synthesis of isoquinuclidine derivatives between 2-cyclohexenone, aniline derivatives and benzaldehyde using niobium pentachloride as reaction promoter. The reactions reported in this work are simple and efficient, affording the expected products in high yields, under mild reaction conditions, and in good reaction times.



Heterocyclic Letters
Vol. 5 | No.3 |312-322| May-July| 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

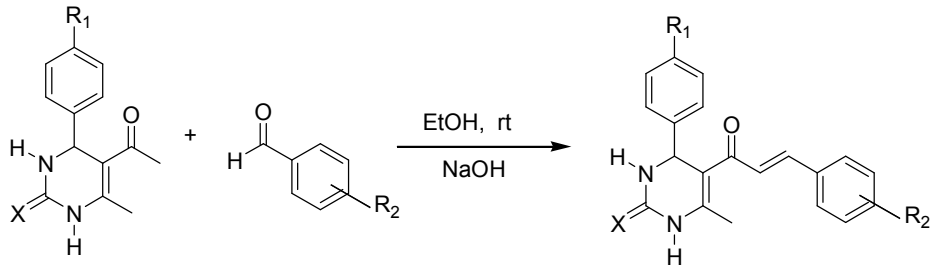
Heterocyclic Letters 5: iss.-3 (2015),443-449

Synthesis and antimicrobial activity of new 3, 4-dihydropyrimidinones via novel chalcone series

Shahid Shaikh[a], Naziabegum P. Shaikh[b] S. D. Salunke [b] and M. A. Baseer[a*]

[a] *Organic Chemistry Research Laboratory, Yashwant Mahavidyalaya, Nanded -431 602, Maharashtra, India*
[b] *Research Centre in Chemistry, Rajarshi Shahu Mahavidyalaya, Latur-413512, Maharashtra, India*
Email: shahid245@rediffmail.com Phone No.: +91 8421331205

Calcium acetate is efficiently catalyzes one-pot, three component Biginelli reaction by condensation of aldehyde, acetyl acetone and urea or thiourea in ethanol to afford the corresponding 5-acetyl 4-substituted aryl-6-methyl-3, 4-dihydropyrimidine-2-(1*H*)-ones which are precursor of synthesis of novel chalcone series. All the newly synthesized compounds were tested for their antimicrobial activity



4a-e 5a-r

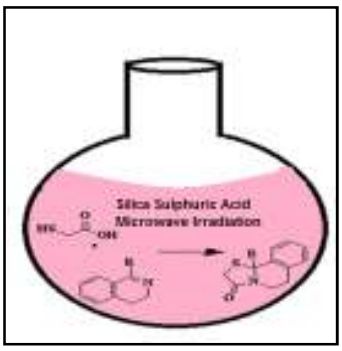
Heterocyclic Letters 5: iss.-3 (2015),451-457

Green synthesis of thiazolo [2, 3-a] isoquinolines using silica sulphuric acid under microwave irradiation.

M. M. V. Ramana*, Prasanna B. Ranade, Rahul R. Betkar, Amey P. Nimkar and Balaji C.Mundhe.

Department of Chemistry, University of Mumbai,Santacruz (E),Mumbai 400098.
Email : ramanammv@yahoo.com

Thiazolo [2,3-a] isoquinoline derivatives were synthesised under microwave irradiation using silica sulphuric acid by condensing 1-substituted 3,4-dihydroisoquinoline with thioglycolic acid. The synthesised compounds were characterised by FT-IR, ^{1}H NMR, ^{13}C NMR, elemental analysis and GC-MS spectroscopy.



Heterocyclic Letters
Vol. 5 | No.3 |312-322| May-July| 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

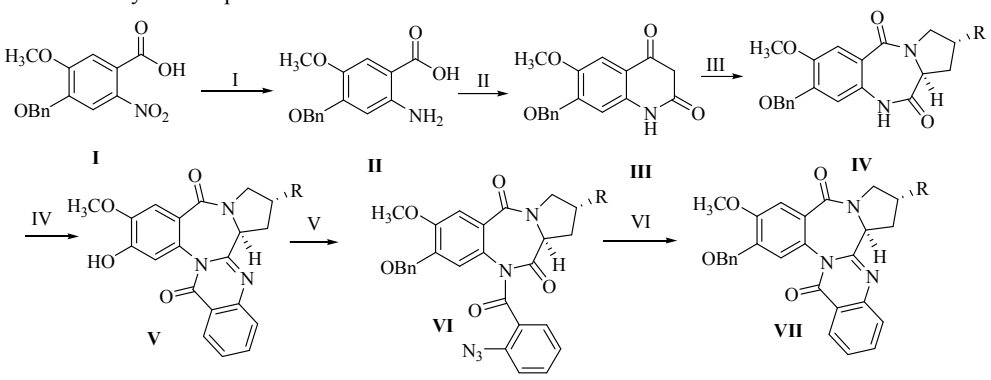
Heterocyclic Letters 5: iss.-3 (2015), 459-466

Synthesis and characterization of pyrrolo[2,1-c][1,4]benzodiazepine-circumdatin conjugates

B.Srinivas[1], N. Saritha Devi[2], G.K Sreenivasulu[3], R.Parameshwar[4]

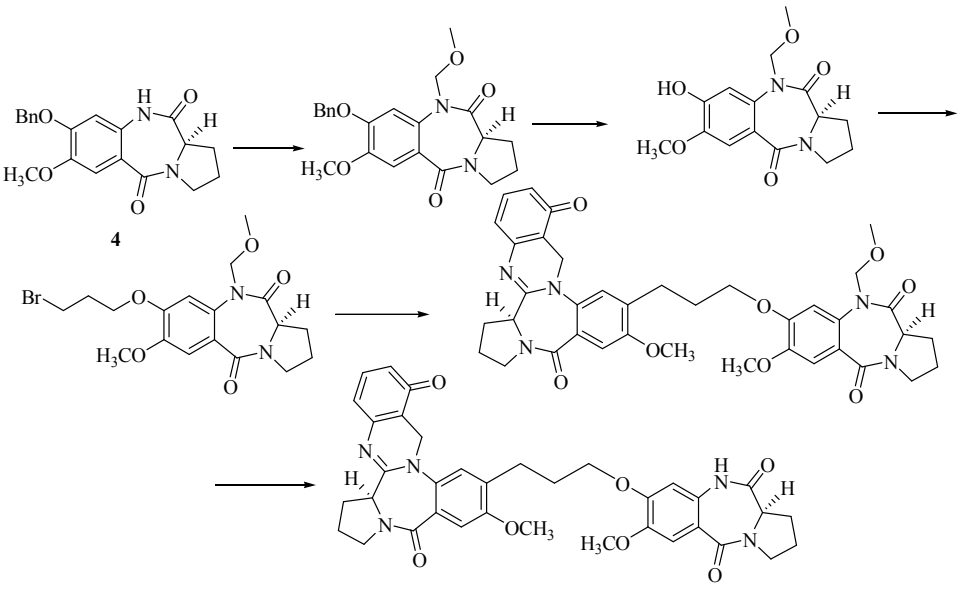
[1]*Department of Humanities & Sciences, GNITC, Ibrahimpatnam, Ranga Reddy, Telangana.*
[2]*Department of Pharmacy, Kakatiya University, Warangal, Telangana.*
[3]*Department of Humanities & Sciences, KMIT, Hyderabad, Telangana.*
[4]*School of Pharmacy, GNITC, Ibrahimpatnam, Ranga Reddy Distict, Telangana.*
Email:- srichemistry@gmail.com

We have accomplished an efficient, convenient, and inexpensive and diversity oriented method for the synthesis of C8-linked pyrrolo[2,l-c] [1,4] benzodiazepine-circumdatin conjugate **12**.The structures of all the newly synthesized molecules were assigned by elemental analysis and spectral data.



Reagents and conditions: (i) $SnCl_2.2H_2O$, MeOH, reflux, 4 h, (ii) Triphosgene, THF, 0 °C, r.t.
(iii) L-proline, DMSO, 110 °C, 4 h, (Iv) Et_3N, DMAP, THF, 20 °C, 2 h (v) n-Bu_3P, toluene, 60 °C, 2 h, 70%
(VI) Pd/C, H_2, EtOH,

Scheme-1



Reagents and conditions : (i) methoxy methyl chloride (mom-Cl), NaH, THF
(ii) H2/Pd-C, ethyl acetate(iii) 1,3-dibromopropane, K2CO3, acetone, 55 °C, 6 h
(iv) 98a, K2CO3, acetone, 55 °C, 6 h, (v) 2N HC1, THFrMeOH, r.t., 2 h.

Scheme-2

Heterocyclic Letters
Vol. 5 | No.3 |312-322| May-July| 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Heterocyclic Letters 5: iss.-3 (2015), 467-473

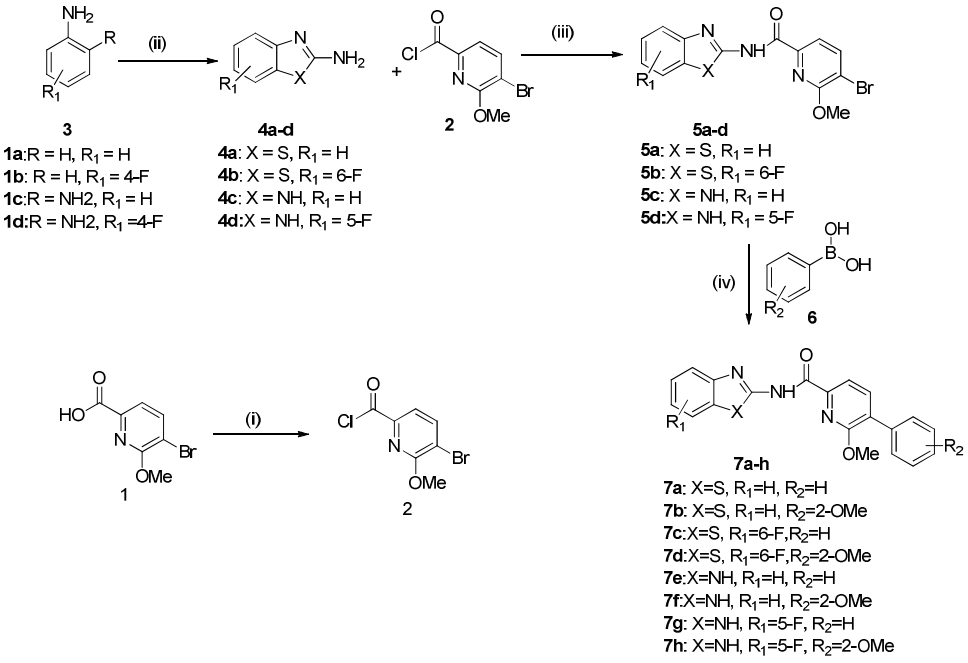
Synthesis of a novel series of bioactive benzimidazole and benzothiazole amides

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 benzothiazole and benzimidazole amides (**7a-h**) has been synthesized through the reaction of 2-amino benzothiazole and /benzimidazoles with substituted pyridine acid chloride derivatives in good yields. All the synthesized compounds were characterized by ^{1}H NMR, Mass, IR.

Scheme 1



3
1a:R = H, R_1 = H
1b: R = H, R_1 = 4-F
1c:R = NH2, R_1 = H
1d:R = NH2, R_1 =4-F

4a-d
4a: X = S, R_1 = H
4b: X = S, R_1 = 6-F
4c: X = NH, R_1 = H
4d:X = NH, R_1 = 5-F

2

5a-d
5a: X = S, R_1 = H
5b: X = S, R_1 = 6-F
5c: X = NH, R_1 = H
5d:X = NH, R_1 = 5-F

6

1

2

7a-h
7a: X=S, R_1=H, R_2=H
7b: X=S, R_1=H, R_2=2-OMe
7c:X=S, R_1=6-F,R_2=H
7d:X=S, R_1=6-F,R_2=2-OMe
7e:X=NH, R_1=H, R_2=H
7f:X=NH, R_1=H, R_2=2-OMe
7g: X=NH, R_1=5-F, R_2=H
7h: X=NH, R_1=5-F, R_2=2-OMe

Heterocyclic Letters
Vol. 5 | No.3 |312-322| May-July| 2015
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

REVIEWS

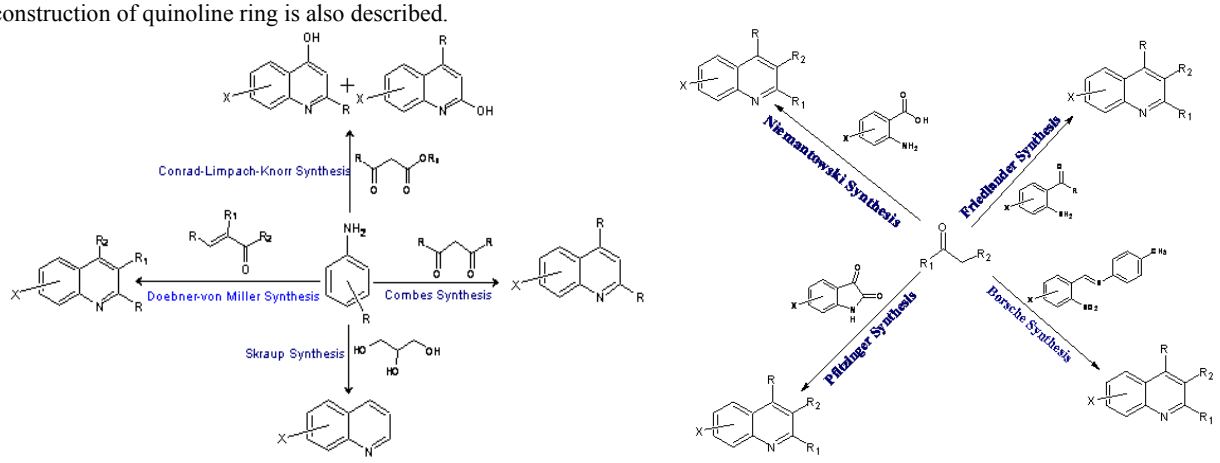
Heterocyclic Letters 5: iss.-3 (2015), 475-488

Developments in quinoline synthesis: a review

Mustapha C. Mandewale*[1], Bapu Thorat[1], Bhima Kale and Ramesh Yamgar[2]

[1]Department of Chemistry, Government of Maharashtra's, Ismail Yusuf College of Arts, Science and Commerce, Jogeshwari East Mumbai-400 060, India.
[2]Department of Chemistry, Chikitsak Samuha's Patkar-Varde College of Arts, Science and Commerce, Goregaon (W),Mumbai 400 062, India.
Email: iycmustapha@gmail.com

Quinoline ring structure is obtained by *o*-condensation of benzene ring with pyridine. It is also called l-azanaphthalene or benzo[b]pyridine. Since first synthesis quinoline, number of methods has been discovered to enhance reaction yield, decrease reaction time as well as reduce hazardous reagents and reaction conditions. Compound with quinoline core are widely used for industrial purposes and also exhibit a broad range of biological activities. An overview of synthetic methodologies used for the construction of quinoline ring is also described.



Heterocyclic Letters 5: iss.-3 (2015), 489-509

Biological activities of imidazo[2,1-b][1,3,4]thiadiazole derivatives: a review

Lata*, Khushbu Kushwaha, Archana Gupta, Dhanraj Meena and Anjali Verma

Maitreyi College, Department of Chemistry,
University of Delhi, Delhi-110021, India
E-mail: lata_chemistry@yahoo.com

1,3,4-Thiadiazole skeleton forms an integral part of various medicinal agents and depicts a vast array of biological activities such as antimicrobial, anti-inflammatory, analgesic, antileishmanial, antitumor, anti-tuberculosis, antileptic, antiviral and other activities. 1,3,4-Thiadiazole moiety has many desirable features which makes them pharmaceutically suitable as it can act as "hydrogen binding domain" and "two electron donor system". On the other hand imidazole nucleus is one of the most important and well-known five-membered heterocycle, which is abundant in natural products and responsible for biological activities displayed by vast majority of compounds containing this nucleus. In the recent years, a lot of reports have indicated that the fused imidazo[2,1-b][1,3,4]thiadiazoles emerged out as a new class of compounds possessing wide and interesting biological properties. In the present study we have reviewed the different biological activities of imidazo[2,1-b][1,3,4]thiadiazoles and thus highlighting the importance of this scaffold in medicinal chemistry.