HL **ISSN: 2231 – 3087(print) / 2230 – 9632 (Online)**
http://heteroletters.org **Vol. 3: (2), 2013, 112-120**

Graphical Abstract

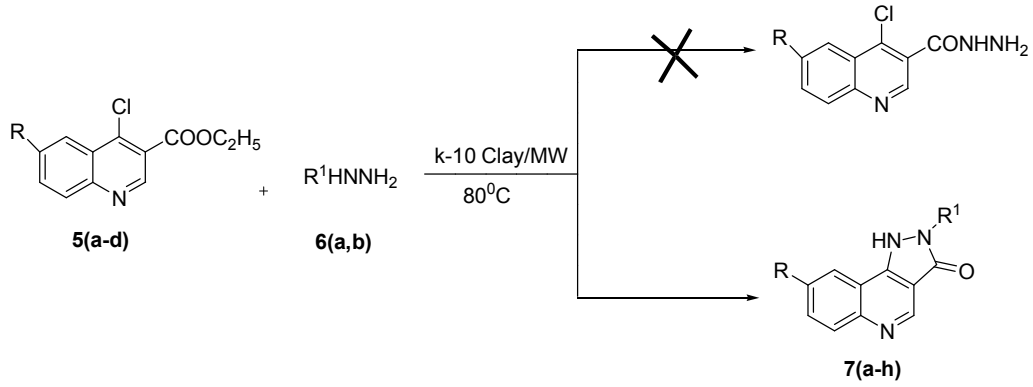
Heterocyclic Letters 3: iss.-2, (2013), 121-127

Microwave Assisted Solvent-Free Synthesis Of Pyrazolo [4,3-C] Quinolines Using Montmorillonite K-10 Clay: An Environmental Benign Approach

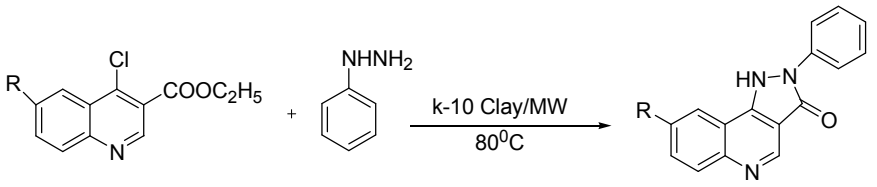
Raja S.Bhupathi*, B.RamaDevi & P.K.Dubey

Department of Chemistry,
Jawaharlal Nehru Technological University Hyderabad College of Engineering, Kukatpally, Hyderabad, (A.P.) India -500 085.
Email: rs.bhupathi@gmail.com.

A series of novel pyrazolo[4,3-c]quinolines have been synthesized in good to excellent yields by environmental benign solvent free microwave -induced technique involving condensation of ethyl-4-chloroquinoline-3-carboxylates **5 (a-d)** with different hydrazines using montmorillonite K-10 clay as a catalyst. All new compounds were characterized by spectral and analytical methods.

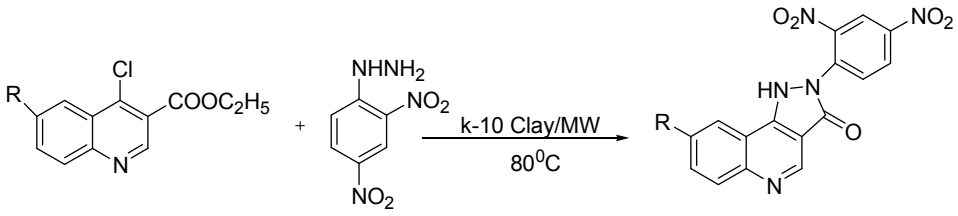


5(a-d) **6(a,b)** **7(a-h)**



5(a-d) **8** **9(a-d)**

9a: R = OCH_3 9b: R = Cl
9c: R = F 9d: R = CH_3



5(a-d) **10** **11(a-d)**

11a: R = OCH_3 11b: R = Cl
11c :R = F 11d: R = CH_3

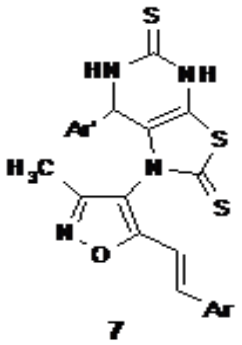
Heterocyclic Letters 3: iss.-2, (2013), 129-139

Synthesis And Antimicrobial Screening Of Novel Isoxazolyl Thiazolo[5,4-*d*]Pyrimidine-2,5-(1*H*,4*H*)-Dithiones

E. Rajanarendar*, D. Nagaraju, S. Rama Krishna

Department of chemistry, Kakatiya University, Warangal, AP 506 009, India
E-mail: Rajanarendareligeti@gmail.com

The synthesis of novel isoxazolyl thiazolo[5,4-*d*]pyrimidine-2,5-(1*H*,4*H*)-dithiones (**7a-h**) were achieved by the cyclocondensation of isoxazole amine (**1**) with chloro acetic acid (**2**) and carbon disulfide (**3**) in presence of piperidine followed by cyclization with aromatic aldehyde (**5**) and thiourea (**6**). All the compounds synthesized **4a-h** and 7**a-h** were characterized on the basis of their IR,^{1}H NMR,^{13}C NMR and mass spectral data and screened for their antimicrobial activity.



7

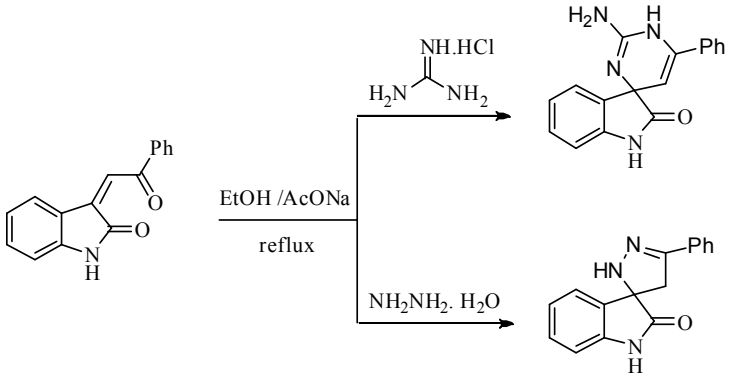
Heterocyclic Letters 3: iss.-2, (2013), 141-144

Condensation reactions of 3-phenacylidine-2-indolinone with 1,3-dinucleophiles such as guanidine hydrochloride and hydrazine hydrate to prepare spiro compounds''

Golnaz kazemi, Mohammad seifi and Hassan sheibani*

Department of Chemistry, Shahid Bahonar University of Kerman, Kerman 76169, Iran
Corresponding author. Tel/fax: +98-341-322-2033 E-mail: hsheibani@mail.uk.ac.ir*

The condensation reaction of guanidine hydrochloride and hydrazine hydrate with 3-phenacylidene-2-indolinone, is extended to the formation of spiro[indole-3,4'-pyrimidin]-2(1*H*)-one and spiro[indol-3,3□-pyrazol]-2(1*H*)-one. These reactions occur in ethanol at reflux, in presence of sodium acetate. This method provides a new route to produce spiro pyrimidine and spiro pyrazoline in good yields.



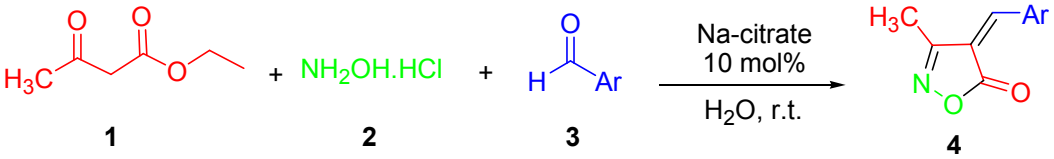
Heterocyclic Letters 3: iss.-2, (2013), 145-153

Synthesis Of Arylmethylidene-Isoxazol-5(4*h*)-Ones In Water Catalyzed By Sodium Citrate

Hamzeh Kiyani*, Fatemeh Ghorbani

School of Chemistry, Damghan University, 36715-364, Damghan, Iran
*E-Mail: hkiyani@du.ac.ir, Tel.: +98-2325235431; Fax: +98-2325235431

A series of 4-arylmethylene-3-methylisoxazol-5(4*H*)-ones have been prepared in high yields, under aqueous conditions, *via* cyclocondensation of aromatic aldehydes with ethyl acetoacetate and hydroxylamine hydrochloride in the presence of sodium citrate. The merits of this method are efficient, clean, green, easy work-up, high yields, and shorter reaction time.



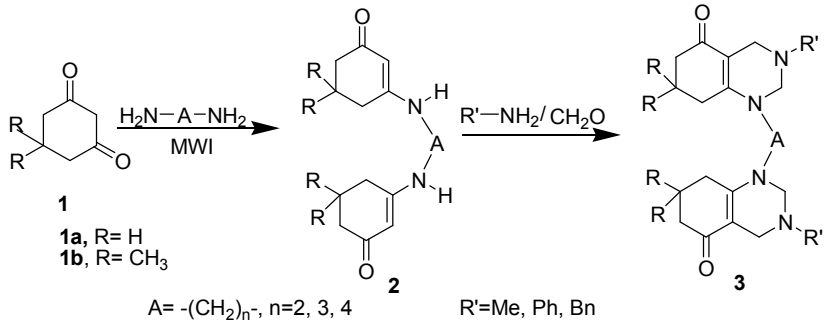
Heterocyclic Letters 3: iss.-2, (2013), 155-162

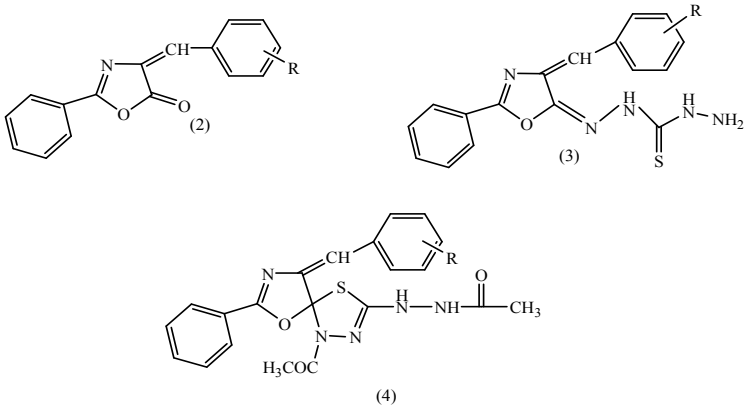
A Facile One-Pot Synthesis Of Novel 1,1′-(Alkanediyl)Bis(5-Oxo-3-Alkyl/Aralkyl/Aryl-1,2,3,4,5,6,7,8-Octahydroquinazolines & Their Anti-Bacterial Activities

Madhusudhan Saha and Jai N. Vishwakarma

Organic Research Lab., Department of Chemical Science, Assam Don Bosco University, Guwahati-781017, India

A facile one-pot synthesis of novel 1,1′-(alkanediyl)bis(5-oxo-3-alkyl/aralkyl/aryl-1,2,3,4,5,6,7,8-octahydroquinazolines) **3a-r** has been devised by the cyclocondensation of bis-enaminones **2a-f** with primary amine and formaldehyde. The structures of the products have been established by spectral and analytical data as 1, 1′-(alkanediyl)bis(5-oxo-3-alkyl/ aralkyl/aryl-1,2,3,4,5,6,7,8-octahydroquinazolines). Some of the compounds have been found to possess promising anti-bacterial properties.





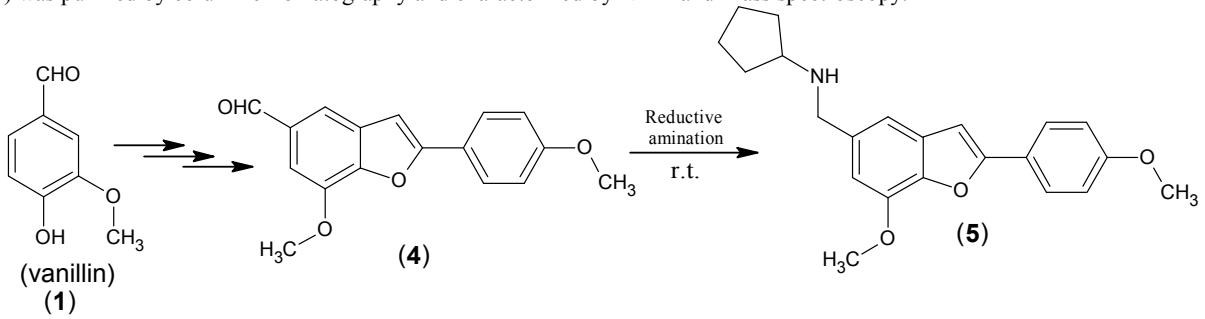
Heterocyclic Letters 3: iss.-2, (2013), 163-169

Synthesis Of *N*-{[7-Methoxy-2-(4-Methoxyphenyl)-1-Benzofuran-5-Yl]Methyl} Cyclopentanamine By Reductive Amination

Bapu R Thorat, Dyaneshwar Shelke, Ramdas G Atram and Ramesh S Yamgar

Chemistry Research Center, Govt. of Maharashtra, Ismail Yusuf College of Arts, Science and Commerce, Jogeshwari (East), Mumbai 400 060

Vanillin (**1**) undergoes sequence of reaction forming phosphonium salt through dimethyaminomethyl derivative (Mannich reaction). The synthesis of phosphonium salt can be achieved by sequence of three steps. A solution of amino compound in acetic anhydride was refluxed for 24 hrs to give crude diacetate which is purified and react with HCl to give chloromethyl derivative. It is reacted with triphenylphosphine in dry benzene under reflux condition. The phosphonium salt undergoes condensation with 4-methoxybenzoyl chloride by refluxing in toluene in presence of triethylamine (Wittig reaction). The resulting 7-methoxy-2-[4-(methoxy)phenyl)-l-benzofuran-5-carboxaldehyde (**4**) was subjected to reductive amination and the final product *N*-{[7-methoxy-2-(4-methoxyphenyl)-1-benzofuran-5-yl]methyl} cyclopentan-amine (**5**) was purified by column chromatography and characterized by NMR and Mass spectroscopy.



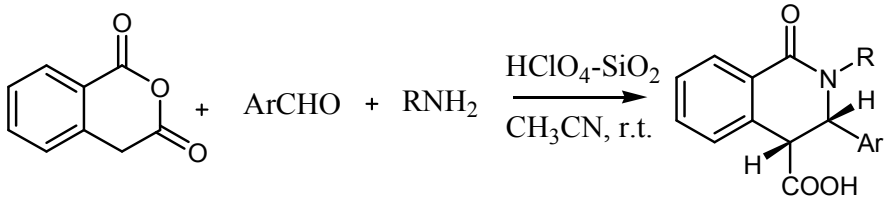
Heterocyclic Letters 3: iss.-2, (2013), 171-175

Silica Supported Perchloric Acid: An Efficient Catalyst For The Synthesis Of *Cis*-Isoquinolonic Acids

Jaishree L.Somwanshi,* N.D.Shinde, B.A.Arbad, Mazhar Faruqui

Department of Chemistry , Shri Madhavrao Patil College, Murum, 413 605, India
email : jayshri.somwanshi@rediffmail.com

The synthesis of *cis*-Isoquinolonic acids in the presence of silica supported perchloric acid was described. The present method offers significant advantages such as mild reaction conditions, high conversions, high selectivity, simple work-up, environmentally benign, recyclability of supported catalyst and excellent yields.



3a-3p

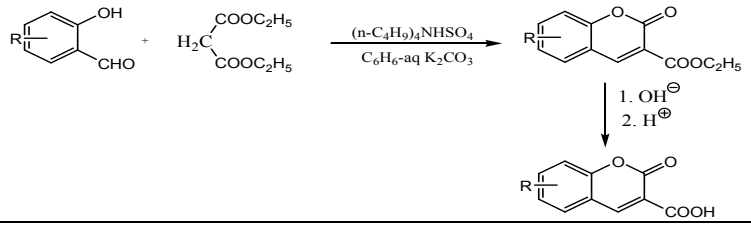
Heterocyclic Letters 3: iss.-2, (2013), 177-181

Synthesis Of 3-Carboxycoumarins Using Phase Transfer Catalysis

M.S Lamba[a] , J.K Makrandi[b] and Suresh Kumar[*]

[a]Ordnance Factory Chanda, Ministry of Defence, India-442501
[b]Department of Chemistry, M.D.University, Rohtak-India
[*]Department of Chemistry, Kurukshetra University, Kurukshetra-India-136119
*Email-suresh_dua47@rediffmail.com

Condensation of suitably substituted salicylaldehyde with diethylmalonate in biphase medium using phase transfer catalyst results in to the formation of ethylcoumarin-3-carboxylates, which up on hydrolysis gives coumarin-3-carboxylic acid in high yield.



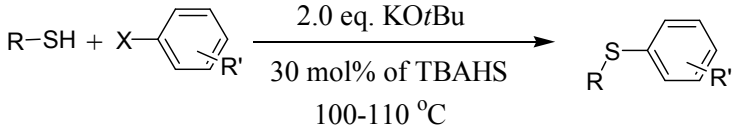
Heterocyclic Letters 3: iss.-2, (2013), 183-190

TBAHS catalyzed coupling reactions of aryl iodides and aryl bromides with thiols under solvent free conditions

Gajendera Singh[a*], Ajay kumar[b] , Sakshi Malik[c], Preeti Chaudhary[d],

a. Department of Chemistry, Ramjas College, University of Delhi, Delhi-110007, India
b. International center for trans-disciplinary research,School of environmental affairs,university metropolitan,San juan PR 00928-1150 USA
c. Department of Chemistry, Rajdhani College, University of Delhi, Delhi-110015, India
d. Department of Chemistry, Deshbandhu College, University of Delhi, Delhi-110019, India

E-mail: gsposwal25@yahoo.co.in*



R = Alkyl and Aryl
R' = functional groups
X = I, Br

A recyclable and efficient Tetrabutylammonium hydrogensulfate (TBAHS) catalysed coupling reaction of aryl halides (iodide and bromide) with aryl and alkyl thiols under solvent-free conditions were developed.

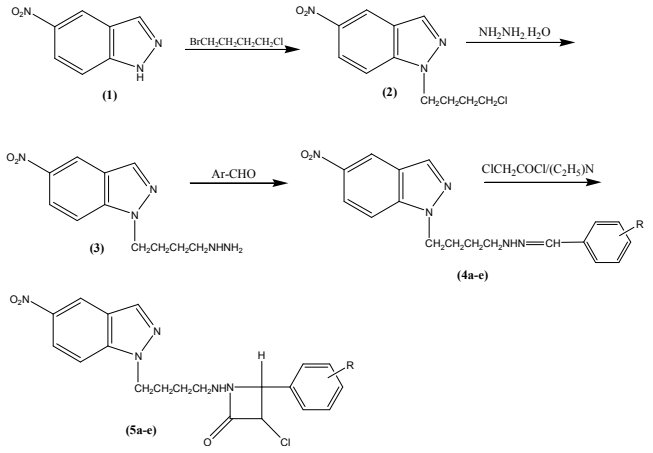
Heterocyclic Letters 3: iss.-2, (2013),191-196

Synthesis, spectral analysis and in vitro biological evaluation of azetidinone derivatives of 5-nitroindazole

Neeta Rajput*[a], A. K. Sikarwar [a], Adesh Dubey[b]

[a]Department of Chemistry, Govt. Girls Home Science P.G. College, Hoshangabad (M.P.) India
[b]Synthetic Organic Chemistry Laboratory, Department of Chemistry, Dr. Hari Singh Gour Central University, Sagar (M.P.) 470 003 India
*Email: neeta8_2006@yahoo.com

A simple and efficient method has been reported for the synthesis of various 3-chloro-2-oxo-azetidine derivatives of 5-nitroindazole using conventional method. The compounds have been characterized by analytical and spectral data and evaluated for their antimicrobial activities.



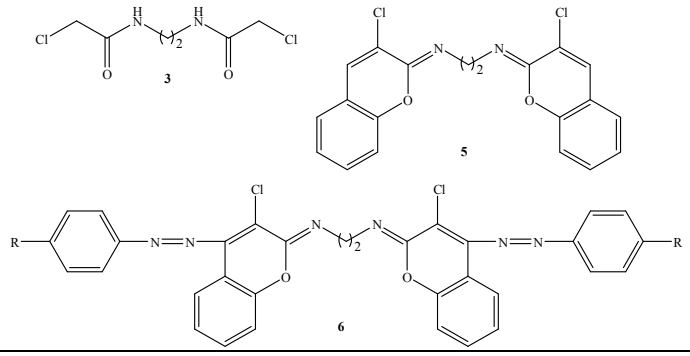
Heterocyclic Letters 3: iss.-2, (2013),197-201

Synthesis and spectral studies of novel bis-chromene by the cyclization of n, n'-methylenebis(2-chloroacetamide) with salicyldehyde

Vijay V. Dabholkar* & Sagar D. Parab

Organic Research Laboratory, Department of Chemistry, Kishinchand Chellaram College, D. W. Road, Churchgate, Mumbai-400 020. E-mail: sagarparab24@gmail.com

The synthesis of derivatives bis-chromene by using dioxo octane and salicyladehyde has been reported. The synthesized compounds have been characterized by IR, NMR and elemental analysis.



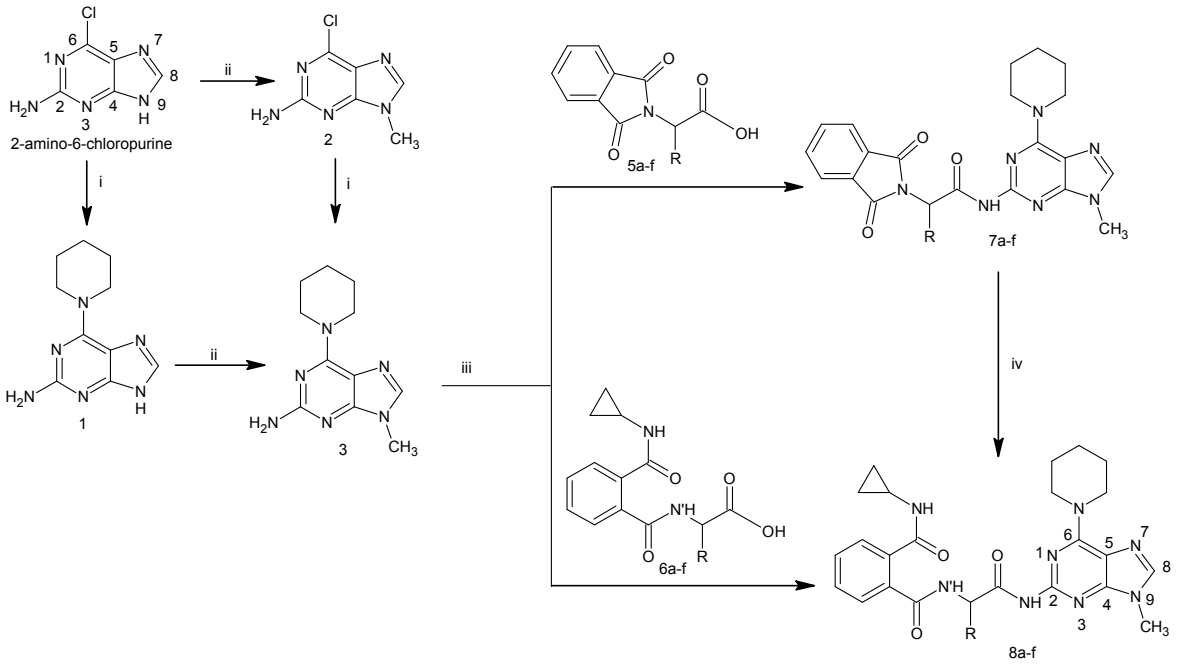
Heterocyclic Letters 3: iss.-2, (2013), 203-211

Synthesis of trisubstituted purine coupled with carboxamide derivatives of amino acids

Sachin Pande [1], Prashant Utale[2*], Suresh Gholse[1], Pradip Tekade[3], Shubhangi Patil[1]

[1]Department of Chemistry, Laxminarayan Institute of Technology, Nagpur, Maharashtra (India), [2]Department of Chemistry, Shri Shivaji Science College, Nagpur, Maharashtra (India), [3] Department of Chemistry, Jankidevi Bajaj College of science, Wardha, Maharashtra (India)
email : psutale@gmail.com

A series of some trisubstituted purine coupled with carboxamide derivative of amino acids at the C2 position were synthesized. The targeted compounds were synthesized from coupling of 9-methyl-6-(piperidin-1-yl)-9*H*-purin-2-amine with carboxamide derivatives of amino acids. The newly synthesized compounds were characterized using IR, Mass, ^{1}H-NMR, and ^{13}C-NMR analysis.



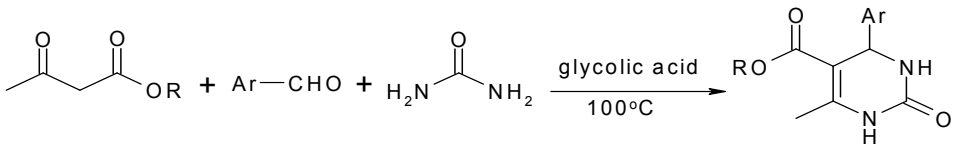
Reaction condition and reagents: (i) Piperidine, K_2CO_3, Reflux, 5-6 h, 63-73 %; (ii) MeI, 40% TBAOH, MDC,RT, 1 h, 53-68%; (iii) $POCl_3$, pyridine, -15 °C to RT, 10-12 h, 40-65% ; (iv) cyclopropylamine, DMF, RT, 10-12h, 55-65 %.
R = -H, -CH_3, -CH $(CH_3)_2$, -CH_2CH $(CH_3)_2$, -CH_2Ph, -CH_2Ph (pOBn).

Heterocyclic Letters 3: iss.-2, (2013), 213-218

Glycolic acid as a novel and green solvent for the preparation of 3, 4-dihydropyrimidin-2(1h)-ones

Neda Seyedi

Department of Chemistry, University of Jiroft, Jiroft, Iran



	Heterocyclic Letters 3: iss.-2, (2013), 219-228

An efficient clay catalyzed cyclization of substituted propenamide to isoxazoline

Sonal D.Bajaj, Om A.Mahodaya, Pradip V.Tekade*

Department of Chemistry
Jankidevi Bajaj College of Science,
Civil lines,Jamnalal Bajaj Marg,Wardha-442001.India
Corresponding author:pradiptekade@gmail.com

A series of newly substituted isoxazoline derivatives (3a-g) have been synthesized by conventional as well as microwave assisted technology by the reaction of acetanilide, aromatic aldehydes (1a-g) and hydroxylamine hydrochloride in two steps by using K10 Montmorillonite clay as a catalyst. It was found that some compounds show the better yield with K-10 Montmorillonite catalyst under microwave irradiation than conventional synthesis. The newly synthesized products were characterized by IR,^{1}HNMR *and* ^{13}*CNMR*.

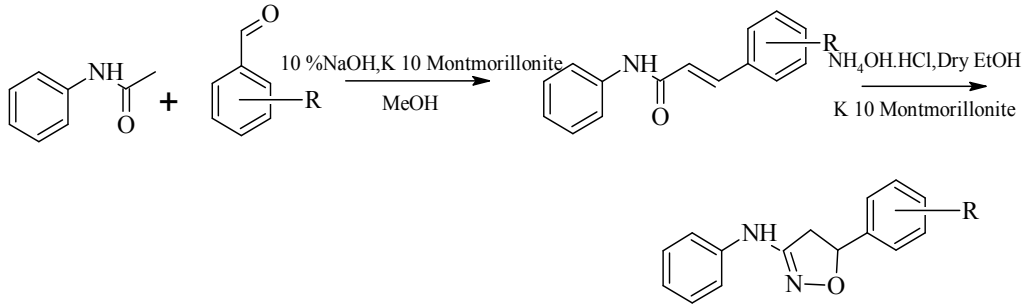


Fig.1: Conventional method of synthesis of 3-phenylamino-5-(substituted phenyl) isoxazolines

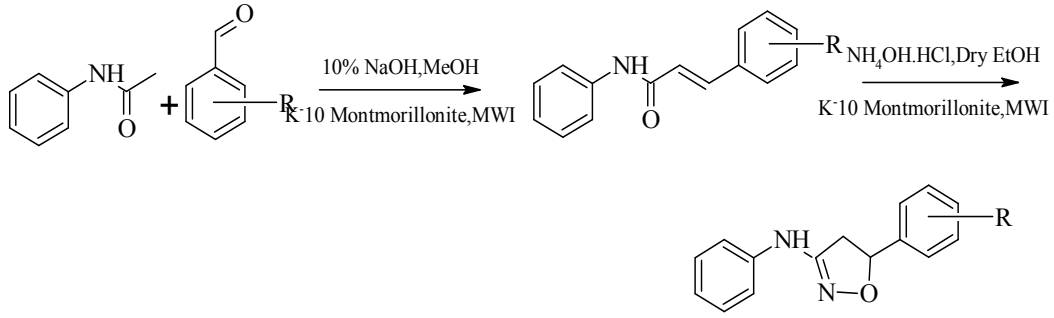


Fig.2: Microwave assisted method of synthesis of 3-phenylamino-5-(substituted phenyl) isoxazolines

REVIEWS

	Heterocyclic Letters 3: iss.-2, (2013), 229-245

Synthesis, reactions and biological activity of derivatives of oximes of three-membered heterocycles

Edgars Abele

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

Literature data on the synthesis and structure of oximes of three-membered heterocycles with one heteroatom were reviewed. Synthesis of novel heterocycles from oximes of three-membered heterocycles was described. Biological activity of these oximes was also reviewed.

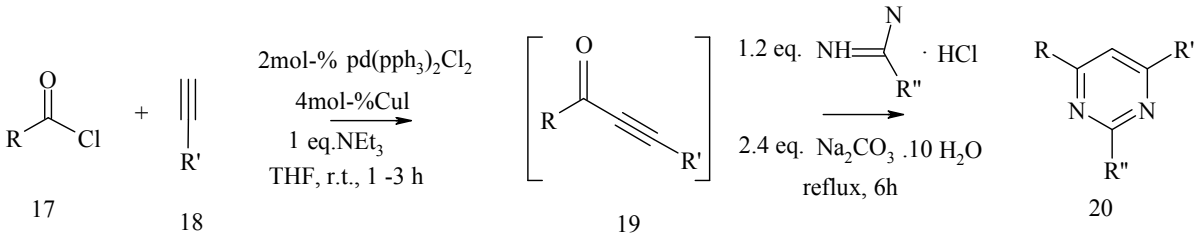
	Heterocyclic Letters 3: iss.-2, (2013), 247-268

Synthesis Of Pyrimidine And Pyrimidinthione

N.A.A.Elkanzi

(a) chemistry department,faculty of Science,Aswan university,Aswan,Egypt
(b) chemistry department,faculty of Science,Al Jouf university,sakaka, K.S.A.
E-mail:nadiaelkanzi88@yahoo.com

The coupling of acid chlorides with terminal alkynes using one equivalent of triethylamine under Sonogashira conditions followed by subsequent addition of amines or amidinium salts to the intermediate alkynones allows a straightforward access to enaminones and pyrimidines under mild conditions and in excellent yields.



17 18 19 20

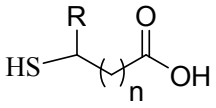
	Heterocyclic Letters 3: iss.-2, (2013), 269-297

Diverse reactions of □/β-mercaptoalkanoic acids: in the syntheses of condensed fused polycyclic heterocycles

Batchu Chandrasekhar*

Process R & D, VindhyaPharma Pvt. Ltd., Hyderabad, A.P, India
Email:batchuchandrasekhar@hotmail.com

This review describes the reactions of □/β-mercaptoalkanoic acids as building blocks for the synthesis of polyfunctional heterocycles with pharmacological interest.



1a-e