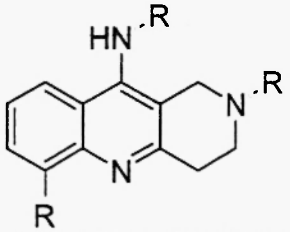
Graphical Abstract

Heterocycl. Commun. 3&4 (2006) 171-172

Synthesis of substituted 1,2,3,4-tetrahydrobenzo[*b*][1,6]naphthyridines

Ewa Wolinska, Ekaterina Paliakov and Lucjan Strekowski*
Department of Chemistry, Georgia State University, Atlanta, Georgia 30302-4098, USA

Synthesis of the substituted compounds 9-14 (2-Me or 2-Et; 6-H, 6-OMe or 6-OH; 9-NHR) is reported.



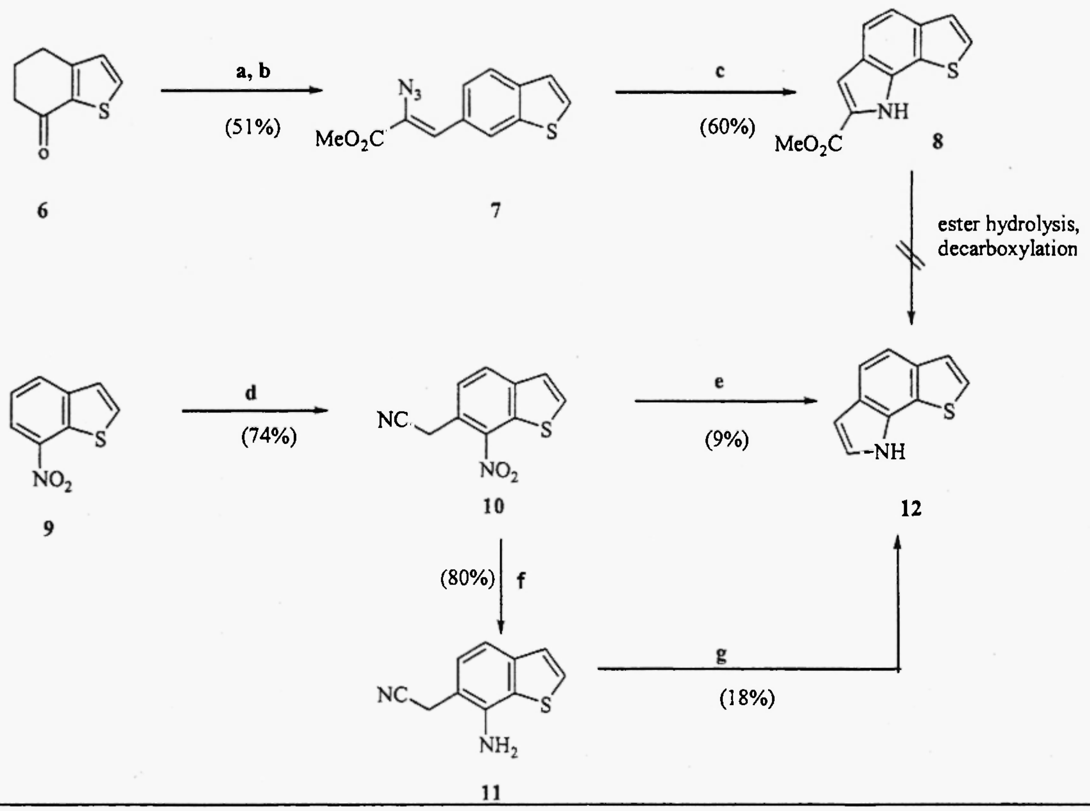
R = H, OMe, OH
R = Me, Et
R = aminoalkyl

Heterocycl. Commun. 3&4 (2006) 173-178

Synthesis of thienoindoles via the vicarious nucleophilic substitution of nitrobenzo[*b*]thiopenes

Jealux Okwakol and Spiros Grivas
Unit for Organic Chemistry, Department of Biosciences, Karolinska Institute; Södertorn University College, Novum Research Park, SE-141 57 Huddinge, Sweden,

The *8H*-thieno[3,2-g]indole (**12**) and *6H*-thieno[3,2-*e*]indole (**16**) were easily obtained by the reductive cyclisation of (5-amino-1-benzothien-4-yl)acetonitrile (**15**) and (7-amino-1-benzothien-6-yl)acetonitrile (**11**), the latter synthesised via cyanomethylation of 5- and 7-nitrobenzo[*b*]thiophenes.

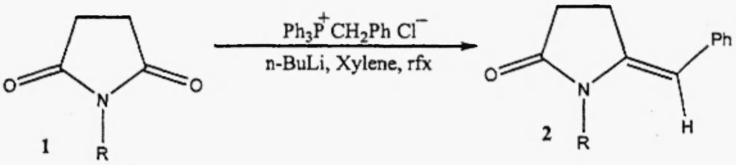


Heterocycl. Commun. 3&4 (2006) 179-182

Stereoselective synthesis of n-substituted-2-benzylidenepyrrolidin-5-ones via the wittig reaction of benzylidenetriphenylphosphorane on succinimides

Georgia Tsolomiti, Kyriaki Tsolomiti and Athanase Tsolomitis*
The Laboratory of Organic Chemistry, The School of Chemical Engineering, The National Technical University of Athens, Athens 157 80, Greece.

The stereoselective synthesis of N-substituted 2-benzylidenepyrrolidin-5-ones from the Wittig reaction of benzylidenetriphenylphosphorane on succinimides, in good yields, is described here.



R: a = Me-; b = Et-; c = $PhCH_2$-; d = Ph-; e = 3-$O_2NC_6H_4$-

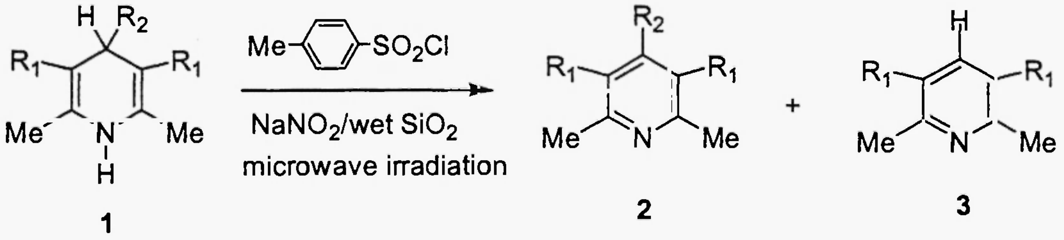
---

Heterocycl. Commun. 3&4 (2006) 183-186

Aromatization of 1,4-dihydropyridines in the presence of toluenesulfonyl choloride/ nano₂/ wet-sio₂ under microwave irradiation

Khodabakhsh Niknam
Chemistry Department, Faculty of Sciences, Persian Gulf University, Bushehr 75168, Iran
Mohammah Ali Zolfigol
Chemistry Department, College of Science, Bu-Ali Sina University, Hamadan, 65174, Iran
Farideh Rabani
Chemistry Department, Faculty of Sciences, Persian Gulf University, Bushehr 75168, Iran

A combination of toluenesulfonyl chloride and sodium nitrite in the presence of wet SiO_2 was used as an effective oxidizing agent for the aromatization of 1,4-dihydropyridines to the corresponding pyridine derivatives under microwave irradiation in excellent yields. The oxidizing agent (NOX) in-situ generated in the presence of wet SiO_2 that provided an effective heterogeneous surface area in this system.

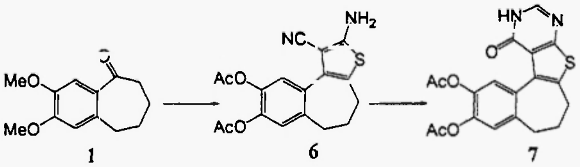


Heterocycl. Commun. 3&4 (2006) 187-190

Synthesis of diacetoxy benzocyclohepta thieno pyrimidinone

Vijayakumari and Shivaraj
Department of Chemistry, Osmania University, Hyderabad-500 007, India

New heterocycle of diacetoxy benzocyclohepta thieno pyrimidinone 7 is described by the reaction of amino diacetoxy benzocyclohepta thiophene-1-carbonitrile intermediate 6 with formic acid in presence of MCM-41(H).

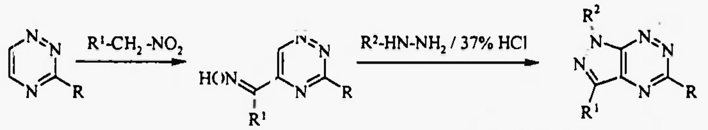


Heterocycl. Commun. 3&4 (2006) 191-194

Direct synthesis of pyrazolo[4,3-*e*][1,2,4]triazine derivatives from oximes of 5-acyl and 5-formyl-1,2,4-triazines

Mariusz Mojzych and Andrzej Rykowski*
Department of Chemistry, University of Podlasie, 08-110 Siedlce, Poland

Deprotection of carbonyl functionality in oximes of 5-acyl and 5-formyl-1,2,4-triazines followed by condensation with hydrazine or substituted hydrazine and subsequent intramolecular ring closure of the resulting hydrazones of 5-acyl and 5-formyl-1,2,4-triazines were achieved in a domino fashion to afford the pyrazolo[4,3-*e*][1,2,4]triazine derivatives.



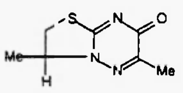
R = SCH_3, Ph R^1 = H, CH_3 R^2 = H, CH_3, Ph

Heterocycl. Commun. 3&4 (2006) 195-196

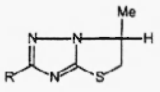
Solvent free regioselective heterocyclization over HZSM-5 zeolite uner microwave irradiation. Synthesis of condensed thiazoles

M. M. Heravi*, M. M. Sadeghi, M. Froomand, Sh. Khaleghi and M. Ghassemzadeh

3-Mercapto-1,2,4-triazin-5-one **1** and 3-mercapto-1,2,4-triazoles **2** were condensed with allyl bromide in the presence of base to afford the corresponding 3-allylmercapto compounds **3** and **4**, respectively. These compounds were regioselectively cyclized to give 2,3-dihydro-3,6-dimethylthiazolo[3,2-b][1,2,4]triazine **5** and 2,3-dihydro-3-methylthiazolo[3,2-b][1,2,4]triazoles 6 respectively.



5



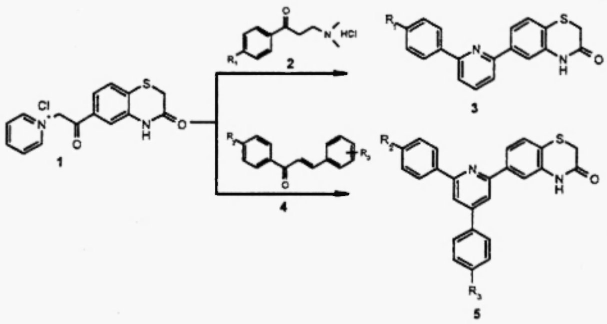
6

Heterocycl. Commun. 3&4 (2006) 197-200

Synthesis of 6-(6'-arylpyridin-2'-yl) and 6-(4',6'-diarylpyridin-2'-yl)-3(4H)-oxo-1,4-benzothiazines under microwave irradiation conditions

D. Ashok and K. Pallavi
Department of Chemistry, P.G. College of Science, Saifabad, Osmania University, Hyderabad – 500 004, India
G. Jagath Reddy * and K. Srinivasa Rao
R & D Laboratories, Dr. Jagath Reddy's Heterocyclics, 81, S.V.Co-op. Industrial Estate, Balanagar, Hyderabad – 500 037, India.

A number of 6-(6'-arylpyridin-2-yl) (3a-e) and 6-(4',6'-diary -pyridin-2'-yl)-3(4H)-oxo-1,4-benzothiazines (5a-e) have been synthesized from 2H-3,4-dihydro-3(4H)-oxo-[1,4]benzo-thiazin-6-acetylpyridinium chloride (1) under Microwave irradiation conditions.

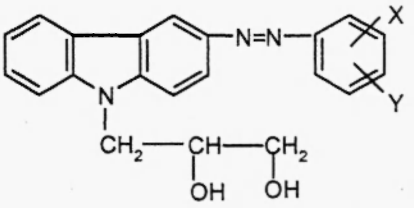


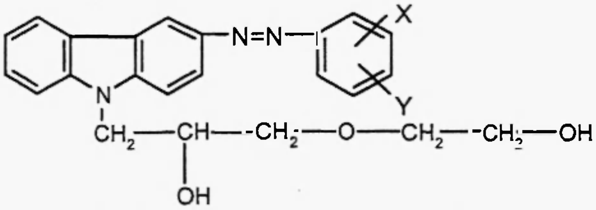
Heterocycl. Commun. 3&4 (2006) 201-208

Diols with carbazole ring and their aza derivatives

Renata Lubczak
Faculty of Chemistry, Rzeszow University of Technology, 6 Powstanców Warszawy Ave., 35-959 Rzeszów, Poland

Diols with carbazole ring were obtained and derivatized into diaza compounds by the reactions with aromatic diazaamines. The obtained compounds are precursor of polyetherols with carbazole ring, which can be obtained in straightforward reaction with ethylene or propylene oxides.



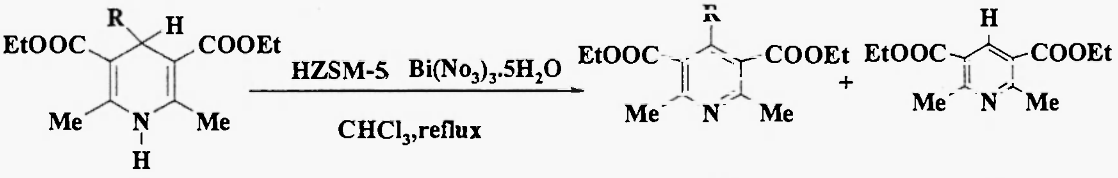


Heterocycl. Commun. 3&4 (2006) 209-212

Zeobis, a versatile reagent for the fast aromatization of hantzsch 1,4-dihydropyridines

Majid. M. Heravi, Khadijeh Bakhtiari, Hossein.A.Oskooie, Rahim Hekmatshoa
Department of Chemistry, School of Sciences Azzahra University, Vanak.Tehran

Bismuth nitrate supported onto zeolite (zeobis) has been found to be an efficient and selective reagent for the oxidation of Hantzsch 1,4-Dihydropyridines to the corresponding pyridine derivatives in excellent yields.



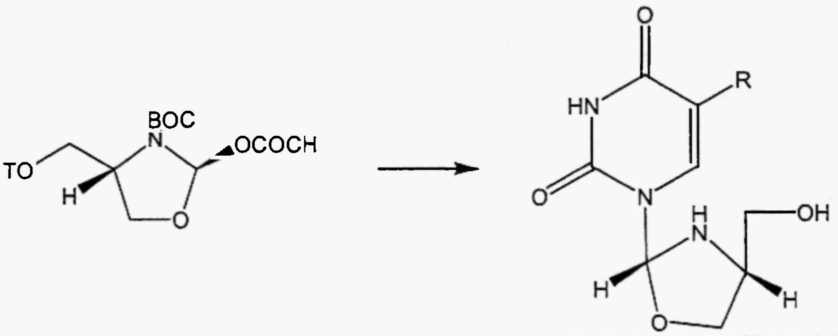
1 2 3

Heterocycl. Commun. 3&4 (2006) 213-218

Synthesis and antimicrobial activity of novel 1,3-oxazolidine nucleoside analogues

S N Sriharsha , Sheena Shashikanth*
Department of Studies in Chemistry, University of Mysore, Manasagangothri, Mysore – 570 006. India.

A novel 1,3-oxazolidine nucleoside analogues have been synthesized and evaluated for t the antimicrobial activity against bacteria and fungus.

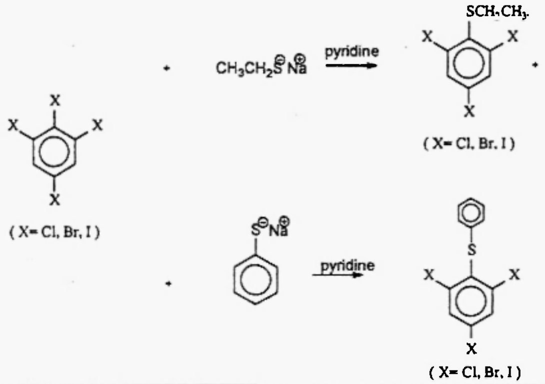


Heterocycl. Commun. 3&4 (2006) 219-224

In vitro antimicrobial activity studies of thioethoxy- and thiophyenoxyhalobenzene derivatives

Elif Loğoğlu [1,*], Seza Arslan [2], Atilla Öktemer[3]
[1]Gazi University, Faculty of Arts and Sciences, Chemistry Department, Teknikokullar/ Ankara, Turkey;
[2]Abant Izzet Baysal University, Faculty of Arts and Sciences, Department of Biology, 14280, Gölköy/Bolu, Turkey ;[3]Ankara University, Science Faculty Department of Chemistry, 06100 Tandogan, Ankara, Turkey,

The *in vitro* antibacterial and antifungal activities of thioethoxy- and thiophyenoxyhalobenzenederivatives were investigated. Thioethoxy- and thiophyenoxyhalobenzenedervatives synthesized and identified by spectroscopic means IR and NMR and elemental analysis. The antibacterial and antifungal activities were measured by Minumum inhibition concentration (MIC) method against gram-positive bacteria i.e. *Staphylococcus aureus* ATCC 25923, *Bacillus subtilis* ATCC 6633; Gram-negative bacteria as *Yersinia enterocolitica* ATCC 1501, *Escherichia coli* ATCC 11230, *Klebsiella pneumoniae* and fungus as *Candida albicans* from our strain collection. Antimicrobial activies of these compounds tended to increase with size and numerous and kinds of halogene and thiogroups substitutents.

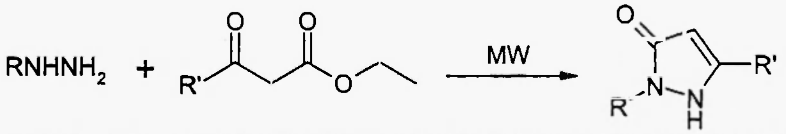


Heterocycl. Commun. 3&4 (2006) 225-228

Microwave-assisted synthesis of substituted pyrazolones under solvent-free conditions

Mohammad M. Mojtahedi,* Mohammad R. Jalali, M. Saeed Abaee, and Mohammad Bolourtchian
Chemistry and Chemical Engineering Research Center of Iran, P.O.Box 14335-186 Tehran, Iran

Condensation of hydrazine derivatives with various ß-keto esters under solvent-free conditions using microwave irradiation leads to very rapid formation of pyrazolones with good to excellent yields.

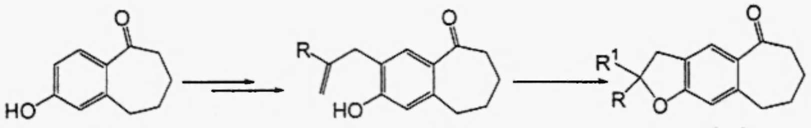


Heterocycl. Commun. 3&4 (2006) 229-232

A new synthesis of 2-methyl-2,3-dihydrobenzo[*b*]furan-6,7,8,9-tetrahydro cycloheptene-5-one

N.Vijayakumari, Shivaraj*and Lingaiah Nagarapu

2-Methyl-2,3-dihydrobenzo[*b*]furan-6,7,8,9-tetrahydro cycloheptene-5-one and 2,3-dimethyl-3-hydrobenzo[*b*]furan-6,7,8,9-tetrahydro cycloheptene-5-ones have been synthesized from 6,7,8,9-tetrahydro-2-hydroxybenzocyclohepten-5-one.



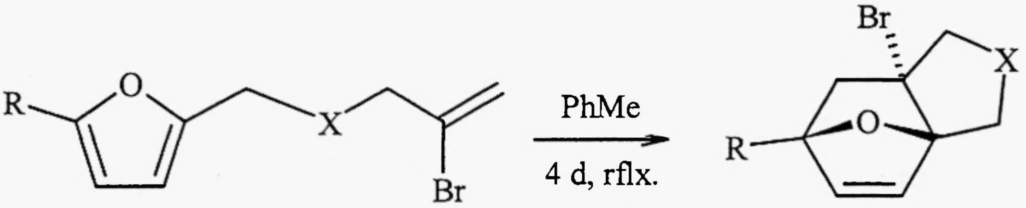
1 **4a-b** **6a-b**

Heterocycl. Commun. 3&4 (2006) 233-240

A facile synthesis of heterotricycles from furfurylbromoalkenes using thermal imda cycloaddition

Aydın Demircan, Muhsin Karaarslan and Ersen Turaç
Nigde University, Department of Chemistry, Campus, 51100, Nigde, Turkey

A variety of key precursors to the IMDA reaction of furan diene have been prepared via facile alkylation. Subsequently, rigid tricyclic compounds (**2a-g**) possessing oxygen, nitrogen, and sulfur has been synthesized by employing thermal intramolecular Diels-Alder reactions. These heterocyclic fused tricycles include a bromo quaternary carbon centre obtained stereoselectively with moderate yields (32-44 % overall).



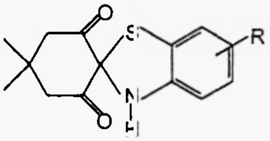
R= H, CH_3
X= NH, N-Boc, O, S

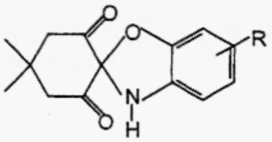
Heterocycl. Commun. 3&4 (2006) 241-246

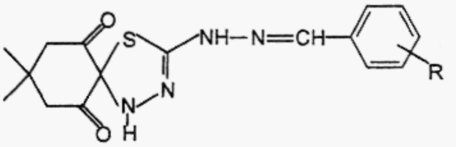
Efficient synthesis of some novel spiro heterocycles containing thiazole, oxazole, thiadiazole and triazolo-thiadiazole moiety under microwave irradiation

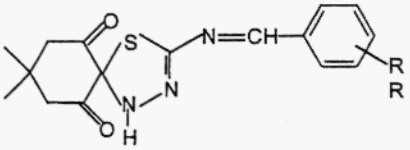
Vijay V. Dabholkar* and Sushil Kumar J. Mishra
Organic Research Laboratory, Department of Chemistry, K.C. College, Churchgate, Mumbai-400 020

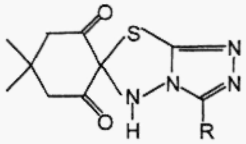
An approachtowards synthesis of spiro heterocycles such as spiro-(2',6'-dioxo-4',4'-dimethyl cyclohexane)-3*H*-6-substituted-1,3-benzothiazole (3), spiro-(2',6'-dioxo-4',4'-dimethyl cyclohexane)-3*H*-6-substituted-1,3-benzoxazole (4), Schiff base of 1-thia-2-hydrazino-3,4-diaza-4*H*-6,10-dioxo-7,9-dihydro-8,8-dimethyl-spiro[4,5]dec-2-ene (5), Schiff base of 1-thia-2-amino-3,4-diaza-4*H*-6,10-dioxo-7,9-dihydro-8,8-dimethyl-spiro[4,5]dec-2-ene (6) and spiro-(2',6'-dioxo-4',4'-dimethyl cyclohexane)-3*H*-1,3,4-thiadiazolo[2,3-d]-4-substituted-1,2,4-triazoles (7) respectively has been reported by microwave irradiation as well as by conventional method.









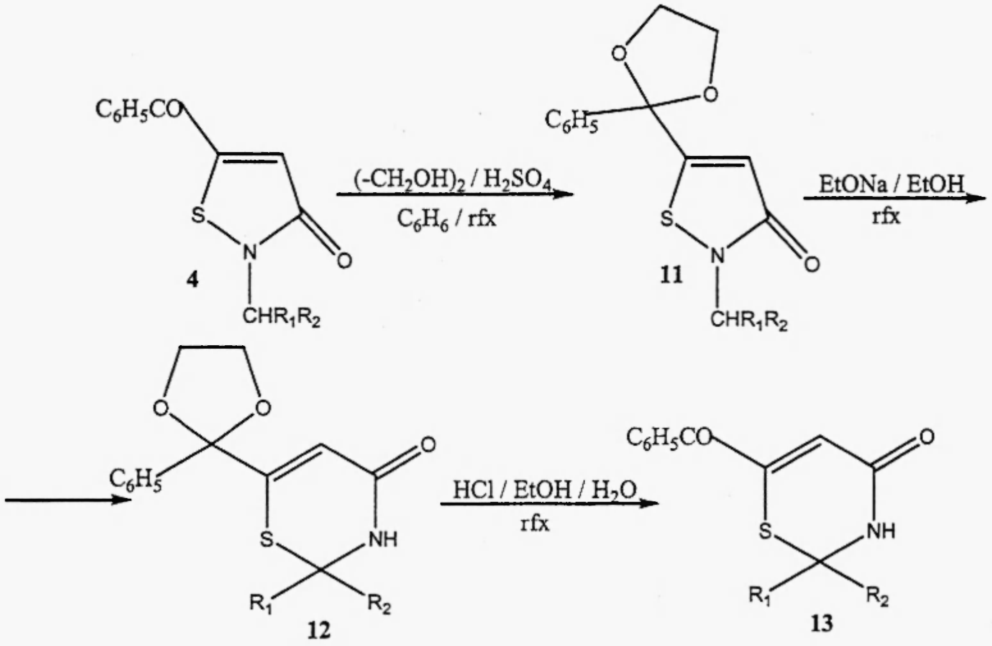


Heterocycl. Commun. 3&4 (2006) 247-252

Rearrangement of 5-benzoyl-3(2h)-isothiazol-3-ones to 6-benzoyl-2,3-dihydro-1,3-thiazin-4(2h)-ones

Georgia Tsolomiti, Kyriaki Tsolomiti and Athanase Tsolomitis*
The Laboratory of Organic Chemistry, The School of Chemical Engineering, The National Technical University of Athens, Athens 157 80, Greece.

The synthesis of 6-benzoyl-2-substituted-2,3-dihydro-1,3-thiazin-4(2H)-ones **13** from a rearrangement reaction of the corresponding 5-benzoyl-3(2H)-isothiazol-3-ones 4 , through a protection-deprotection, (ketalization-deketalization), process, is described here



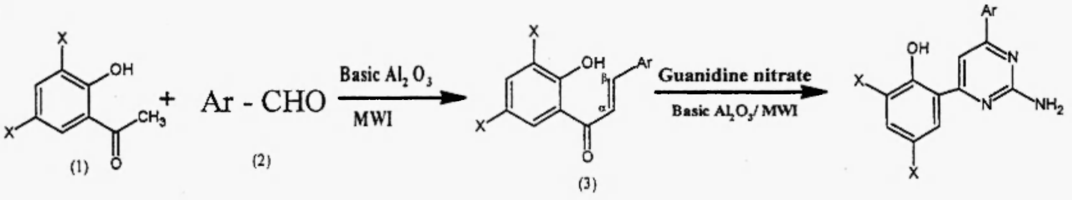
a: R_1 = -H, R_2 = -Ph; b: R_1 = R_2 = -Ph

Heterocycl. Commun. 3&4 (2006) 253-258

A facile one-pot microwave- assisted solid phase synthesis of 2-amino-4, 6-diaryl pyrimidines and their antibacterial activity

Yajuvendra S. Jhala[b], U. S. Gahlot[b], Shiv S. Dulawat[b] And B.L. Verma[a†]
[a] Department of Chemistry, College of Science, M.L.Sukhadia University, Udaipur 313001 (Raj.) INDIA
[b] Department of Chemistry, B.N. (P.G.) College, Udaipur 313001 (Raj.) INDIA

An environmentally benign, manipulatively simple & rapid method for the synthesis of 2-amino-4, 6-diaryl pyrimidines (4a-f) from corresponding chalcones (3a-f) & guanidine nitrate using basic alumina under solvent free dry condition and microwave irradiation is described. Antibacterial activity of the synthesized compounds has also been described.

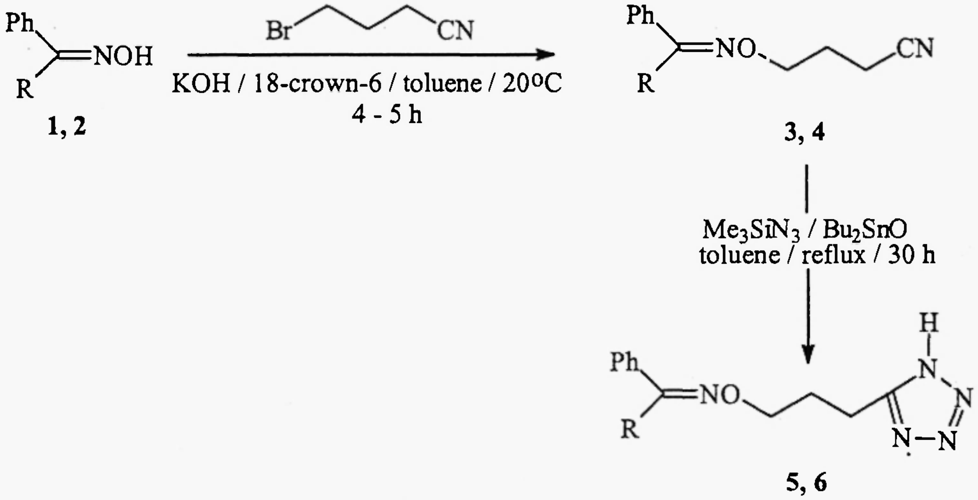


Heterocycl. Commun. 3&4 (2006) 259-264

Unusual protonation of oxime nitrogen in acetophenone o-[3-(5-tetrazolyl)propyl]oxime in solid state

Kira Rubina, Edgars Abele*, Sergey Belyakov, Mendel Fleisher, Juris Popelis
Latvian Institute of Organic Synthesis, 21 Aizkraukles Street, Riga, LV-1006, Latvia

O-[3-(5-tetrazolyl)propyl]oximes were synthesized by two step process. The molecular structures of benzaldehyde and acetophenone O-[3-(5-tetrazolyl)propyl]oximes obtained by X-ray crystal structure analysis have been given. In the crystal structure of acetophenone O-[3-(5-tetrazolyl)propyl]oxime two molecules are packed as associates forming an internal salt. Thus, one of the molecules in the associate accepts the betaine form. In the solid state of oxime the molecules have the "shoe" conformation. The crystallographic and structure refinement data were used as input for the quantum chemical calculations using AM1 method with optimization of all geometric parameters of system. The quantum chemical calculations may be considered as a model of the process of oxime ether dissolution. In contrast, the similar proton transfer did not occur in the case of benzaldehyde O-[3-(5-tetrazolyl)propyl]oxime.



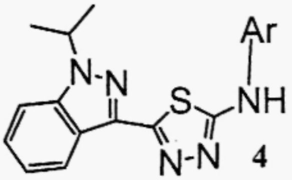
R = H (**1, 3, 5**), CH3 (**2, 4, 6,**)

Heterocycl. Commun. 3&4 (2006) 265-272

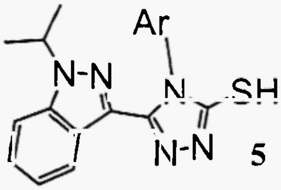
Synthesis and characterization of some biologically important 1-isopropyl indazolyl thiadiazole, triazole and oxadiazole by coventional and nonconventional methods

S.B. Kale, M.S. More and B.K. Karale*, P.G. Dept. of chemistry, S.S.G.M. College, Kopargaon, Dist. Ahmednagar

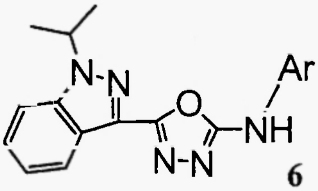
Compound **1** on treatment with $SOCl_2$ followed by hydrazine hydrate gave acid hydrazide **2**. Variously substituted phenyl isothicyanates with acid hydrazide **2** gave thiosemicarbazides **3**. These thiosemicarbazides **3** on treatment with Conc. H_2SO_4 and dil. NaOH gave thiadiazoles **4** and triazoles **5** respectively. Compound **3** on treatment with I_2 in KI, in presence of NaOH gives oxadiazole **6**.



4



5



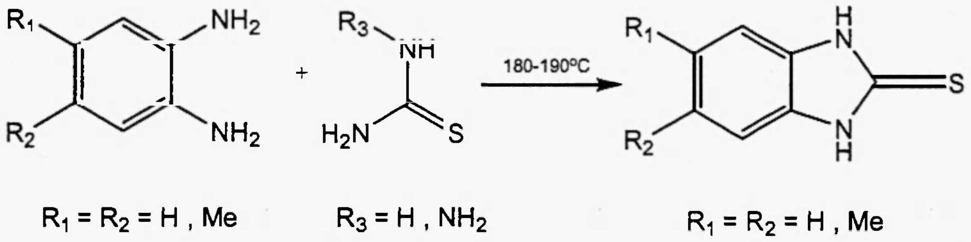
6

Heterocycl. Commun. 3&4 (2006) 273-274

Reinvestigation of *o*-Phenylenediamine Thermal Cyclocondensation with Thiosemicarbazide

Mehdi Bakavoli, Esmael Rezaei Seresht and Mohammmad Rahimizadeh
Department of Chemistry, School of Sciences, Ferdowsi University, Mashhad, Iran

Thermal cyclocondensation of *o*-phenylenediamine with thiosemicarbazide at elevated temperature gave the 1,3-dihydro-2H-1,3-benzimidazole-2-thione not the 1,4-dihydro- 1,2,4-benzotriazine-3(2H)thione claimed by an earlier worker. Analytical and spectral data are given in support of this reinvestigtation.

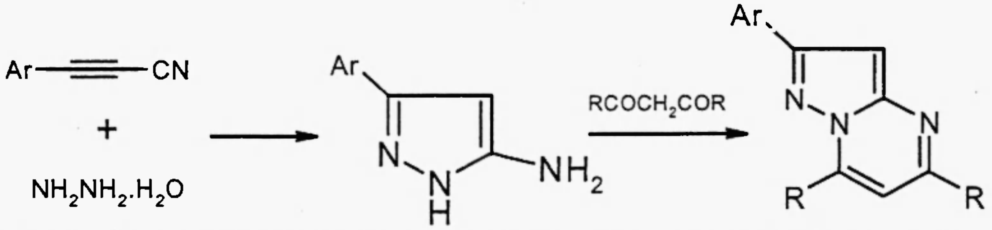


Heterocycl. Commun. 3&4 (2006) 275-280

Facile synthesis of fluorinated 2-aryl-5, 7-bisalkylpyrazolo pyrimidines from arylalkynenitriles[†]

V.V.V.N.S.Rama Rao, B.P.V.Lingaiah, G.Ezikiel, R.Yadla, P.Shanthan Rao*
Fluoroorganic Division, Indian Institute of Chemical Technology, Hyderabad-500007

Synthesis of pyrazolopyrimidines from fluorine substituted arylalkynenitrile is described.

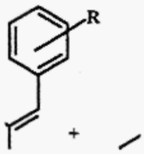


Heterocycl. Commun. 3&4 (2006) 281-286

Green one-pot multicomponent synthesis of 4-aryl-6-carbamoylmethylthio-5-cyano-2-methyl-1,4-dihydropyridine-3-carboxylic acid methyl esters

A.Krauze*, L.Chernova, M. Viļums, L.Sīle, G.Duburs
Latvian Institute of Organic Synthesis, Riga, Aizkraukles 21, LV-1006, Latvia

4-Aryl-6-carbamoylmethylthio-5-cyano-2-methyl-1,4-dihydropyridine-3-carboxylic acid methyl esters 1 were obtained by an one-pot condensation of 2-(3-chloro- or 2,4-dichlorobenzylidene)-3-oxobutyric acid methyl esters, 2-cyanothioacetamide, ammonium hydroxide and chloroacetamide in ethanol-water media. Ammonium chloride as environmentally friendly waste product is formed.



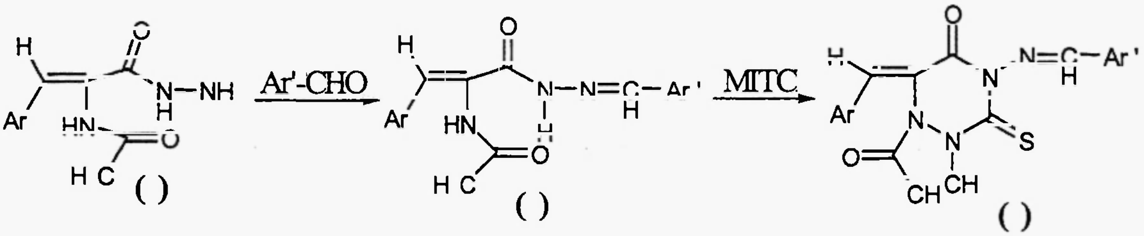
a) R = 3-Cl, b) R = 2,4-Cl_2

Heterocycl. Commun. 3&4 (2006) 287-290

Synthesis of 1-acetyl-2-methyl-3-thioxo-4-(1-aza-2-arylvinyl)-5-oxo-6-(aryl methylene)1,2,4-triazaperhydroines

Y. Bharathi Kumari[1]*, T.V. Maruthi Kumar[2] and P. Hanumantha Rao[2]
Department of Chemistry, JNTU College of Engineering, Kukaptally, Hyderabad 500 072, India
Department of Chemistry, Post Graduate College of Science, Saifabad, Osmania University, Hyderabad 500 004, India

Treatment of α–acetamido-cinnamhydrazides with aromatic aldehydes produces N-(1-aza-2-arylvinyl)-2-(acetylamino)-3-aryl prop-2-enamides. These on treatment with methyl isothiocyanate yielded the novel unknown title compounds.



Heterocycl. Commun. 3&4 (2006) 291-298

Iodine, iodine monochloride and bromine interaction with 1,3,5-triazine in chloroform solution

[1]H. R. Pouretedal*, [2]A. Semnani, [1]M. H. Keshavarz and [2]A. Firooz
1 Faculty of Science, Malek-ashtar University of Technology, Shahin-shahr, Iran
2 Faculty of Science, Shahrekord University, Shahrekord, Iran

The interaction between 1,3,5-triazine as n-donor, with I_2, ICl and Br_2 as σ-acceptor have been studied spectrophotometrically in chloroform at 25 °C. The results obtained for iodine indicate that of the complex [triazine$I^{\delta+}$-$I^{\delta-}$] is formed through an equilibrium step which is followed by slow conversion to [triazineI^+I^-] and a fast reaction with iodine to produce [triazine$I^+I_3^-$], through nonequilibrium steps. The equilibrium and rate constants of the recent reactions were measured. In the case of ICl the formation of [$(\text{triazine})_2I^+ICl_2^-$] by an equilibrium step is confirmed. The stability constant of the resulting complex was evaluated from the computer fitting of the absorbance vs. mole ratio data. The interaction with bromine involves only partial charge transfer which results in a blue-shift and an increase in the molar absorptivity (ε) of Br_2. Based on the comparison of the results, it has been concluded that the interactions vary in the order ICl >> I_2 > Br_2.