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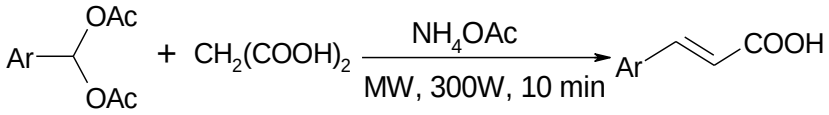
Graphical Abstract

Heterocyclic Letters 4: iss.-1 (2014), 13-16

Microwave-assisted eco-friendly method for the synthesis of cinnamic acids

Neda seyedi*

Department of chemistry, university of jiroft, jiroft, iran
**corresponding author. Tel/fax: +98-348-326006*
E-mail: nedaseyedi@ujiroft.ac.ir



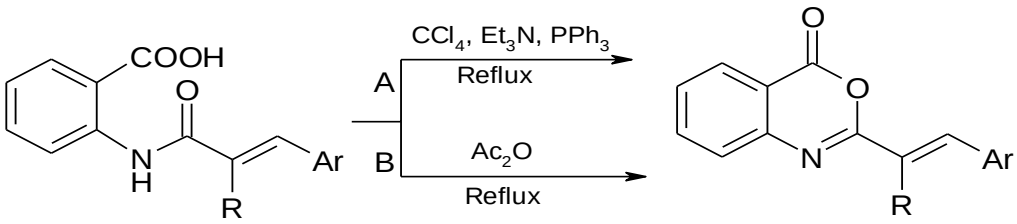
Heterocyclic Letters 4: iss.-1 (2014), 17-21

Synthesis of 2-alkenyl - 4H-3, 1-benzoxazin–4–one under acidic and basic media

Esmat Tavakolinejad Kermani*, Kazem Saidi, Hassan Sheibani Hossien Alipour and Behjat Pouramiri

Department of Chemistry, Shahid Bahonar University of Kerman, Kerman 76169, Iran
E-mail: etavakoly@yahoo.com

4H-3,1-benzoxazin-4-one derivatives are very useful precursors for the development of molecules of biological interest [I-III]. They are indeed useful intermediates in organic synthesis affording through reaction with nitrogen nucleophiles [IV-VIII]. To name just a few, 4H-3,1-benzoxazin-4-one derivatives have found applications in pharmacology, 2-vinyl-4H-3, 1-benzoxazin-4-one has shown inhibitory activity against human leukocyte elastase [IX], 2-aryl substituted 4H-3,1-benzoxazin-4-ones is evaluated as specific inhibitors of the tissue factor (TF)/Factor 4a induced pathway[X]. However, common substituents such as, alkyl, or aryl groups at C-2 position were synthesized and the structures and the properties of their derivatives were investigated, but the synthesis of alkenyl substituted 4H-3, 3-benzoxazin-4-one has been comparatively less studied.



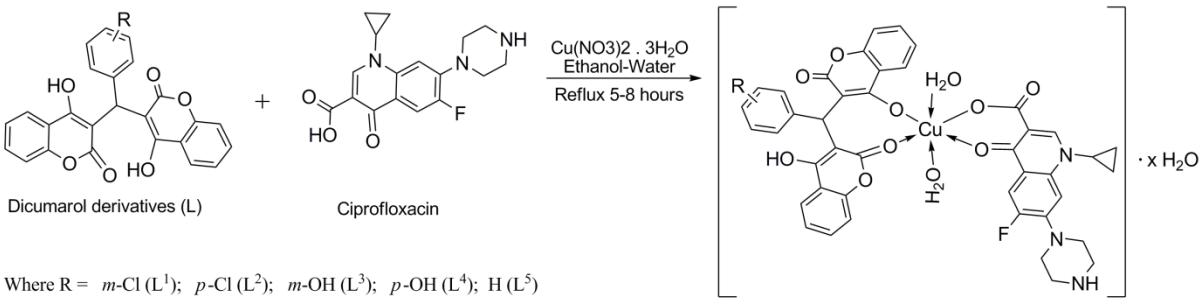
2a-e **3a-e**

Heterocyclic Letters 4: iss.-1 (2014), 23-33

Synthesis, thermal behavior and biological evaluation of dicoumarol cu(ii) complexes based on ciprofloxacin

Ketan S Patel[1], Rajarshi N Patel[1], Nilam C Boricha[1] and Dharam M Pandya[1]

[1] *Chemistry Department, Shree P. M. Patel Institute of P.G. studies and research in science, Sardar Patel University, Anand-388 001, Gujarat- India*
E-mail: ketan_patel1268@yahoo.com
Phone No: + 91-2692-266258



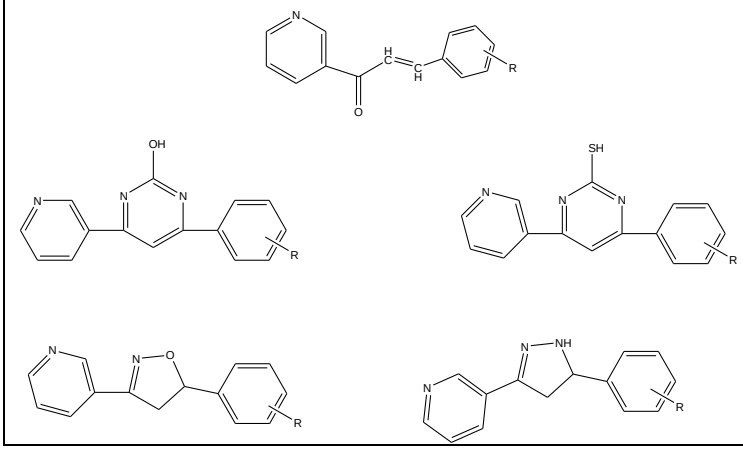
Heterocyclic Letters 4: iss.-1 (2014), 35-39

Novel pyrimidines, isoxazols and pyrazoles – their synthesis, characterization and microbial evaluation

Sudhakar Patil* and S.S. Bhale

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

The chalcones were prepared by reaction of 3-acetyl pyridine and aromatic aldehydes in presence of 40% potassium hydroxide. The resultant chalcone was further converted into respective Pyrimidines, Isoxazoles and Pyrazoles by treatment with Urea or Thiourea, Hydroxylamine hydrochloride and Hydrazine hydrates. The structure of the compounds was established on the basis of spectral techniques also their antimicrobial activity was evaluated against gram positive as well as gram negative bacteria's.



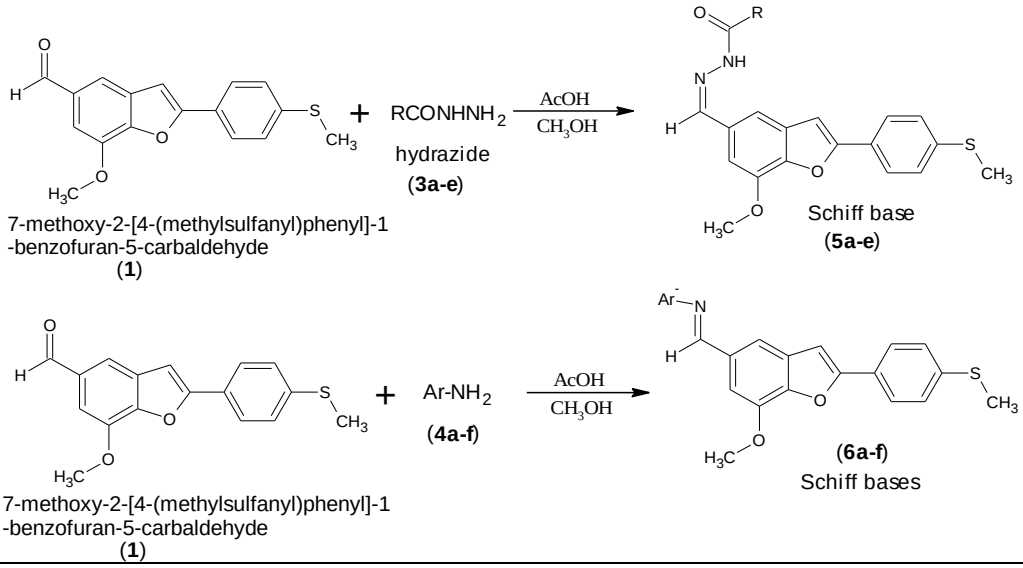
Heterocyclic Letters 4: iss.-1 (2014), 41-53

Synthesis of schiff bases of 7-methoxy-2-[4-(methylsulfanyl)phenyl]-1-benzofuran-5-carboxaldehyde and animes and hydrazide

Bapu R Thorat[a], Ravindra K Jagtap[b], Prakash Pansare, Ramdas G Atram and Ramesh S Yamgar

[a]*Chemistry Research Center, Govt. of Maharashtra, Ismail Yusuf College of Arts, Science and Commerce, Jogeshwari (East), Mumbai 400 060.*
[b]*Forensic Laboratory, Government of Maharashtra, Kalina, Santacruz (E), Mumbai*
Corresponding Author e-mail: iycbrthorat@gmail.com

Vanillin 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 which was condense with 4-methylsulfanylbenzoyl chlorides by refluxing in toluene in presence of triethylamine forming 7-Methoxy-2-[4-(methylsulfanyl)phenyl]-l-benzofuran-5-carboxaldehyde (**1**). It is condensed with series of hydrazides (**3a-e**) and amines (**4a-f**) forming schiff bases (**5a-e, 6a-f**). The acid hydrazide was synthesized from corresponding carboxylic acid. The schiff bases are characterized by IR, NMR and mass spectra.



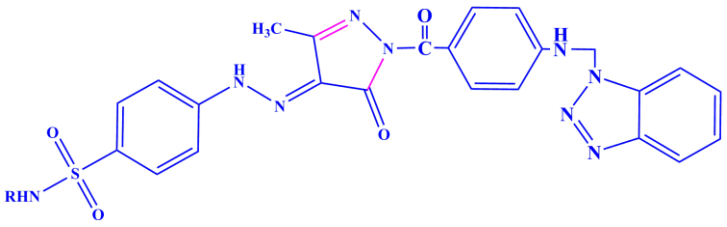
Heterocyclic Letters 4: iss.-1 (2014), 55-64

Synthesis of arylazopyrazoles and their antimicrobial evaluation

Purvesh J. Shah

Department of Chemistry, Shree P. M. Patel Institute of P. G. Studies and Research in Science, Anand-388001, Gujarat (INDIA).
**E-mail: purvesh23184@gmail.com*

Pyrazole and its derivatives are important nitrogen containing heterocyclic compounds of various biologically interesting properties with several pharmaceutical applications. Arylazopyrazoles are an important class of heterocycles, which constitute the building blocks of wide range of pharmacologically active compounds. A series of novel arylazopyrazoles compounds were synthesised and characterized by spectral studies. The compounds showed significant antimicrobial activity against various bacteria.



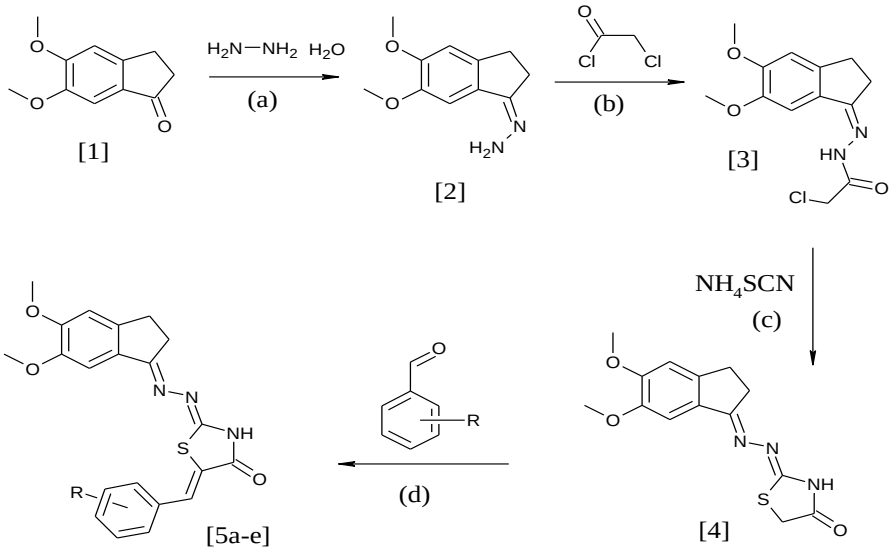
Heterocyclic Letters 4: iss.-1 (2014), 65-71

Synthesis and antimicrobial screening of 2-[(5,6-dimethoxy-2,3-dihydro-1*H*-inden-1-ylidene)hydrazinylidine]-1,3-thiazolidin-4-one and its 5-arylidine derivatives

Chandra Kant Belwal*[1] and Kaushik A. Joshi[2]

1. Department of Chemistry, J.J.T. University, Rajasthan, India
2. Department of Chemistry, D.K.V. Science College, Jamnagar, India
Email: belwalck@yahoo.co.in

2-[(5,6-dimethoxy-2,3-dihydro-1*H*-inden-1-ylidene)hydrazinylidene]-1,3-thiazolidin-4-one was prepared from 5,6-dimethoxy-2,3-dihydro-1H-inden-1-one and it was used as a key intermediate for the synthesis of a series of novel 5-arylidine derivatives of thiazolidinone in good yields. Identification and characterization of the compounds were achieved by IR, NMR and MS spectroscopic techniques and all the synthesized compounds were screened for their antimicrobial activities against some selected pathogens.

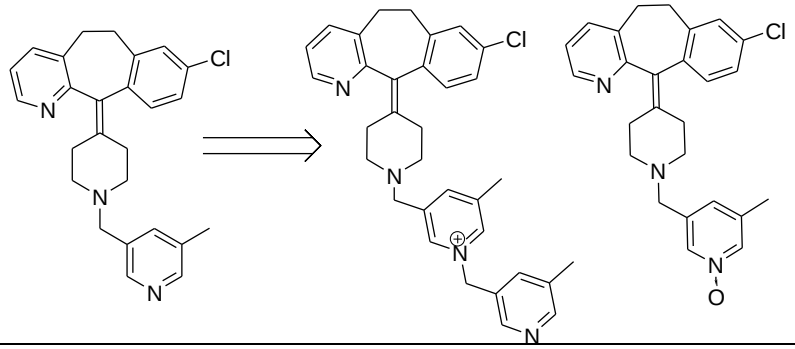


Heterocyclic Letters 4: iss.-1 (2014), 73-83

Synthesis and characterization of related substances of Rupatadine Fumarate: An antihistamine drug.

Neeraj Kumar*, Nilesh G. Patel, Sanjay K. Soni, Pradeep Sharma, Anil Chowdhary and Omprakash Gudaparthi

CR & D Cadila Pharmaceuticals Ltd.
1389, Trasad Road, Dholka, Ahmedabad, Gujarat, India 387810,
*Corresponding Authors: +91-2714-221481*161, +91-9879484487
Fax: +91-2714-220301
Email: neeraj.kumar@cadilapharma.co.in; neeraj_238@rediffmail.com



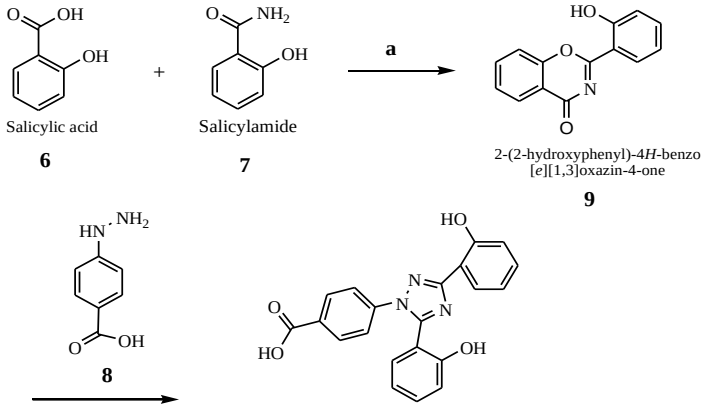
Heterocyclic Letters 4: iss.-1 (2014), 85-92

Synthesis and Characterization of Potential Impurities of Deferasirox

Narendra Joshi, Jitendra Verdia*, Jugal Pandya, Hitesh Dave, Ketan Patel

Amoli Organics Pvt. Ltd., Plot No. 422-432, Village-Luna, Taluka-Padra, Dist.-Vadodara – 391440 (India)
**E-mail: jitendra.verdia@amoliindia.com*

Deferasirox **(1)** was synthesized by the known literature synthetic procedure. A key intermediate, 2-(2-hydroxyphenyl)-4H-1,3-benzoxazin-4-one **(9)**, was obtained by reacting salicylic acid **(6)** with salicylamide **(7)** in o-xylene and thionyl chloride in presence of catalytic amount of pyridine. Further, condensation of compound (**9)** with 4-Hydrazino-benzoic acid **(8)** in boiling methanol results desired Deferasirox **(1)**. The potential impurities generated during this synthesis are also synthesized and characterized. Structures of all these compounds have been confirmed by IR, ^{1}HNMR, mass spectral data and elemental analysis.



DEFERASIROX

1

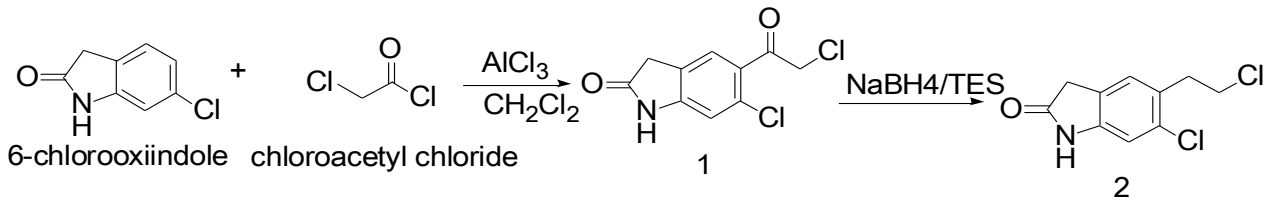
Heterocyclic Letters 4: iss.-1 (2014), 93-99

Cost effective one pot synthesis of 6-chloro-5-(2-chloroethyl) oxindole

Bapu R Thorat[a], Shivaji Kolekar[b], Dnyaneshwar Shelke and Ramesh Yamgar

[a]*Chemistry Research Center, Govt. of Maharashtra, Ismail Yusuf College of Arts, Science and Commerce, Jogeshwari (East), Mumbai 400 060.*
[b]*JJT University, Rajasthan*
***Corresponding Author e-mail**: iycbrthorat@gmail.com*

The current process for ziprasidone involves preparation and isolation of the key intermediate 6-chloro-5-(2-chloroethyl) oxindole (**III**). An improved process for the synthesis of this intermediate is reported here. The new process involves use of a sodium borohydride in presence of triethylsilyl hydride. The new method affords the desired compound in a one-pot process obviating the need for isolation of the potentially hazardous precursor ketone.



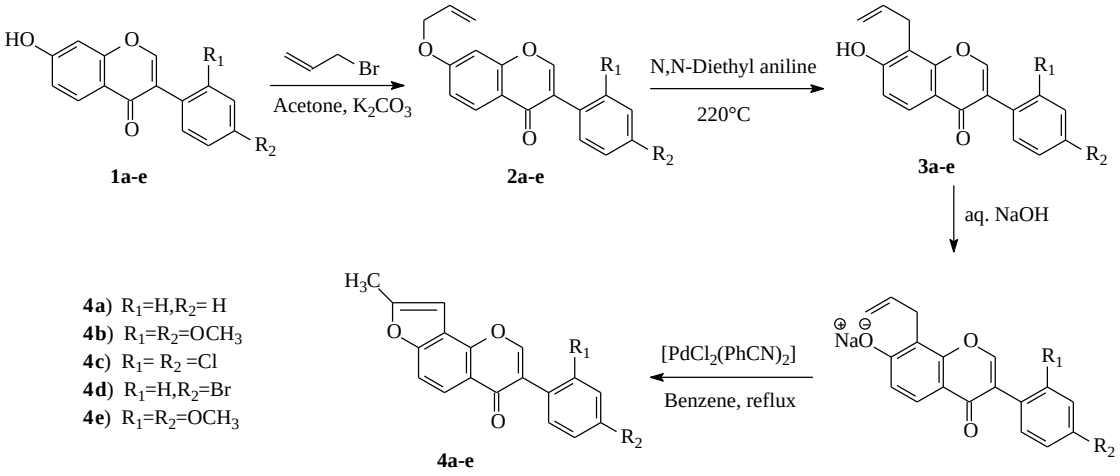
Heterocyclic Letters 4: iss.-1 (2014), 101-107

Facile synthesis of 8-methyl-4*h*-furo[2,3-h]isoflavones

Daniel.V, Sreenivas. P, Jayaprakash Rao. Y & David Krupadanam. G. L.*

Department of Chemistry, Osmania University, Hyderabad-500 007, A. P., India.
e-mail:davidkrupa@hotmail.com

A new route for the synthesis of 8-methyl-4*H*-furo[2,3-h]isoflavones 4a-e by the oxidative cyclization of sodium salt of 7-hydroxy-8-allylisoflavones 3a-e using $[PdCl_2(PhCN)_2]$ complex has been developed.



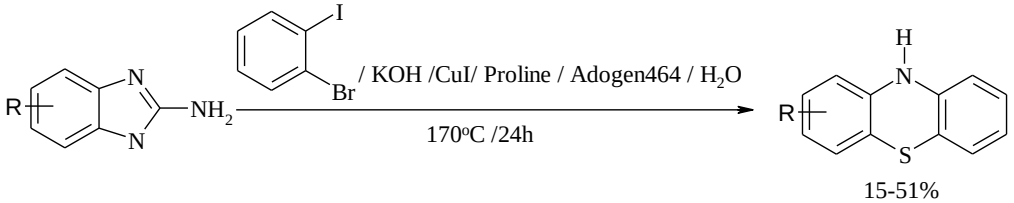
Heterocyclic Letters 4: iss.-1 (2014), 109-113

Cu-catalyzed "on-water" rearrangement of 2-aminobenzothiazoles to phenothiazines. Reactions of substituted 2-aminothiazoles and 2-amino-3-benzylbenzothiazol-3-ium bromide with 1,2-dibromobenzenes and tetrachloroethylene

Edgars Abele, Ramona Abele

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

Novel "on-water" catalytic system (1-bromo-2-iodobenzene, CuI (10 mol.%) / proline (20 mol %) / Adogen 464 (methyltrialkylammonium chloride) (100 mg) / KOH / H_2O) for the rearrangement of 2-aminobenzothiazoles to phenothiazines was developed. It have been found that substituted 2-aminothiazoles and 2-amino-3-benzylbenzothiazol-3-ium bromide in the presence of 1,2-dibromobenzenes or tetrachloroethylene undergo rearrangement to 1,4-benzothiazines.



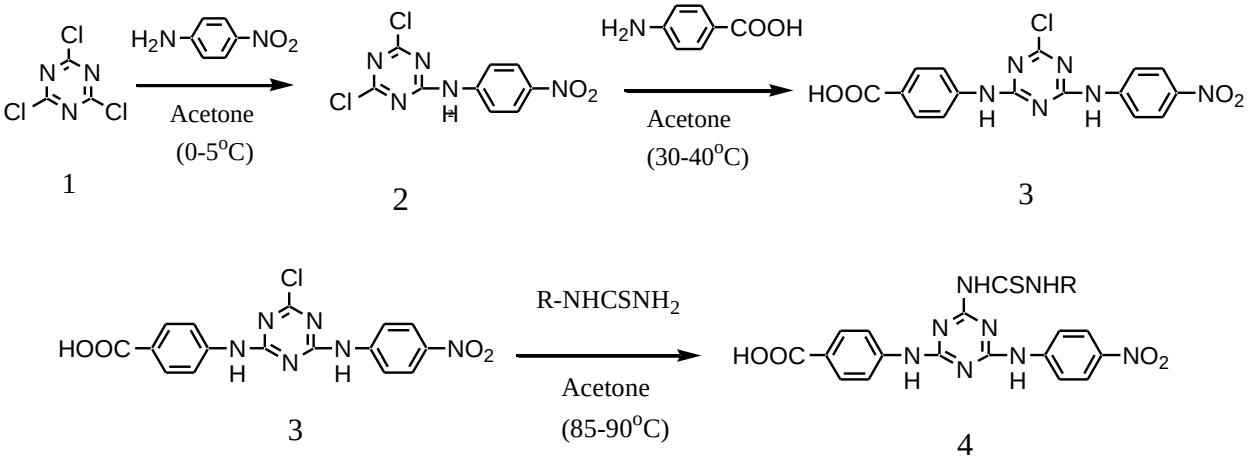
Heterocyclic Letters 4: iss.-1 (2014), 115-118

Synthesis of some new 2-(4-nitroanilino)-4-(4-carboxyanilino)-6-(substituted thioureido)-1,3,5-triazines

V. Sareen, V. Khatri and V. Kumar

Department of Chemistry, University of Rajasthan, Jaipur-302004, India
E-mail: sareenparmod@yahoo.com

Some new 2-(4-nitroanilino)-4-(4-carboxyanilino)-6-(substituted thioureido)-1,3,5-triazines have been prepared by reacting 2,4,6-trichloro-1,3,5-triazine with nucleophilic reagents, 4-nitroaniline, 4-carboxyaniline and different substituted thioureas to give the title compounds. The structure of these compounds has been confirmed by IR, ^{1}H NMR spectra data and elemental analysis.

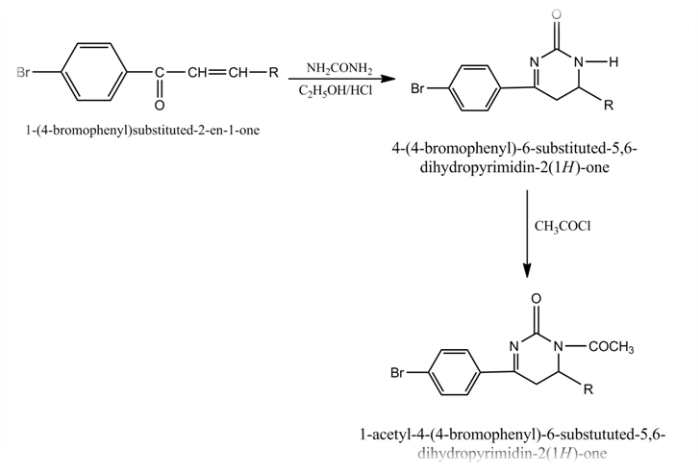


Heterocyclic Letters 4: iss.-1 (2014), 119-124

Synthesis of some novel pyrimidinone and pyrimidine derivatives and their antimicrobial activity

Ketan s patel[1], rajarshi n patel[1], nilam c boricha[1] and dharam m pandya[1]

[1] chemistry department, shree p. M. Patel institute of p.g. studies and research in science,
sardar patel university, anand-388 001, gujarat- india
E-mail: ketan_patel1268@yahoo.com
Phone no: + 91-2692-266258



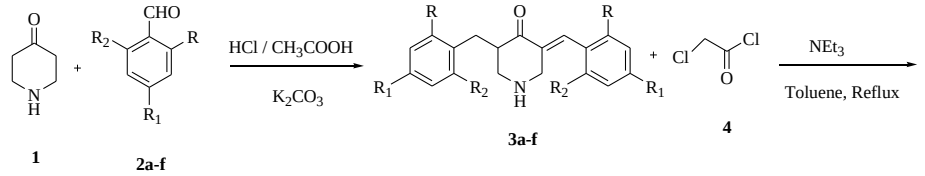
Heterocyclic Letters 4: iss.-1 (2014), 125-131

Facile synthesis of 3,5-di-benzylidene(2-morpholin/piperidin-4-yl-1-yl-acetyl) piperidin-4-ones

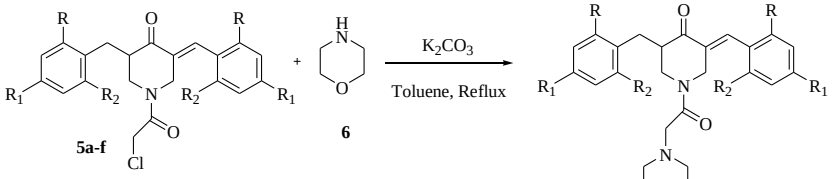
Chunduru Srinivasa Rao, Dr.Ch. Venkata Rami Reddy,Dr.B.Ramadevi, Dr.C.Jyotna , Shakil.s.sait*

Department of Chemistry, JNTU, Kukatpally, Hyderabad-500085
Dr.Reddy Labs, Bachupally, Hyderabad-500090, Andhra Pradesh, India.
Email: chemistrycsr@gmail.com

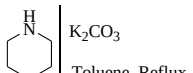
The Claisen-Schmidt condensation of 3,5-dibenzylidene-1-(2-chloro-acetyl)-piperidin-4-one**(5a-f)** react with morpholine**(6)** in presence of K_2CO_3 to gave 3,5-dibenzylidene-1-(2-morpholin-4-yl-acetyl)piperidin-4-ones**(7a-f)**, and **(5a-f)** react with piperidin**(8)** in presence of K_2CO_3 to gave 3,5-dibenzylidine-1-(2-piperidin-1-yl-acetyl)-piperidin-4-ones **(9a-f)** in good yields.



1 2a-f 3a-f 4

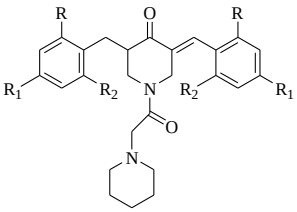


5a-f 6 7a-f



8

a. R=H, R_1=Cl, R_2=H
b. R=H, R_1=NO_2, R_2=H
c. R=H, R_1=H, R_2=H
d. R=H, R_1=OCH_3, R_2=H
e. R=Cl, R_1=H, R_2=Cl
f. R=H, R_1=CH_3, R_2=H



9a-f

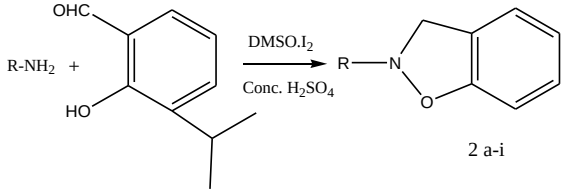
Heterocyclic Letters 4: iss.-1 (2014), 133-135

Synthesis and characterization of some new 3h-n-(substituted phenyl)-1,2-benzisoxazoles

V. Sareen, V. Khatri and V. Kumar

Department of Chemistry, University of Rajasthan, Jaipur-302004, India
E-mail: sareenparmod@yahoo.com

Some new 3H-N-(2-substituted phenyl)-1,2-benzisoxazoles have been prepared by refluxing Schiff bases with DMSO.I_2-H_2SO_4. The structures of all these newly synthesized compounds have been confirmed by IR, ^{1}H NMR spectra and elemental analysis.



2 a-i

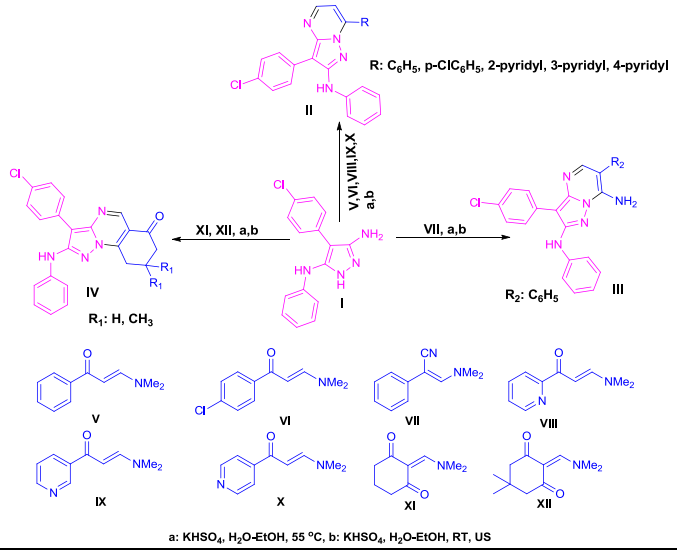
Heterocyclic Letters 4: iss.-1 (2014), 137-145

A facile ultrasound-assisted regioselective synthetic strategy for pyrazolo[1,5-*a*]pyrimidines assisted by khso$_4$ in aqueous media

Utpalparna Kalita[a], Shunan Kaping[a], Joseph Nellanant[a], Philippe Helissey[b] and Jai N. Vishwakarma[a]*

[a]Organic Research Lab., Department of Chemical Science, Assam Don Bosco University, Guwahati-781017, Assam, India, E-mail: jnvishwakarma@rediffmail.com
[b]Laboratoire de Chimie Thérapeutique, UMR CNRS No. 8638, Université Paris Descartes, Faculte des Sciences Pharmaceutiques et Biologiques, Paris, France

The synthesis of pyrazolo[1,5-*a*]pyrimidine derivatives involving the nucleophilic attack of 3-aminopyrazole with enaminones/enaminonitriles in aqueous media mediated by $KHSO_4$ under thermal as well as ultrasound irradiation has been reported. The products have been characterized by their spectral and analytical data.

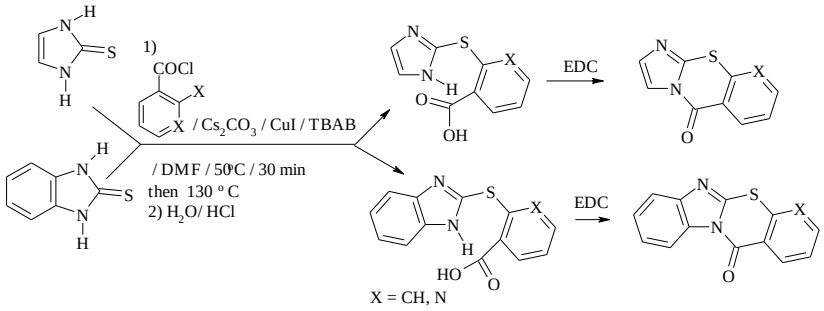


Heterocyclic Letters 4: iss.-1 (2014), 147-152

Cu-catalyzed reactions of 2-imidazolethiones, 2-aminobenzothiazoles and 2-amino-1-benzylbenzoimidazole with o-halogen derivatives of benzoic (or nicotinic) acid chlorides

Edgars Abele, Kira Rubina, Tatjana Beresneva
Latvian Institute of Organic Synthesis, 21 Aizkraukles Street, Riga, LV-1006, Latvia,

A simple one-flask method for the selective preparation of 2(-1H-imidazol-2-ylsulfanyl)benzoic or nicotinic acids directly from imidazole thiones and o-halogen derivatives of benzoic (or nicotinic) acid chlorides in the bicatalytic system solid Cs_2CO_3 / CuI / Bu_4NBr / DMF has been developed. Reactions of 2-(2-mercaptoimidazolyl)-benzoic (or nicotinic) acids with EDC (or $BrCH_2CH_2Br$) leads to imidazo[1,2-a][3,1]thiazin-5-ones as single cyclization products. Cu-catalyzed reaction of 2-aminobenzothiazoles with 2-iodobenzoic acid chloride chloride in the system Et_3N/ CuI / Phen (1,10-phenanthroline**)** / DMF afforded 6a-chloro-6,6a-dihydro-7-thia-6,11b-diazabenzo[c]fluoren-5-ones as main products in yields up to 34%.



REVIEWS

Heterocyclic Letters 4: iss.-1 (2014), 153-182

Synthesis and antimicrobial activity of β lactams: antibacterial activities and antifungal activities.

Nadia Ali Ahmed Elkanzi*[1,2], Nesrin Mahmoud Morsy Mohamed[1,3]

1- *Chemistry Department, Faculty of Science, Al Jouf University, Al Jouf, 2014 Kingdom of Saudi Arabia*
2-*Chemistry Department, Aswan-Faculty of Science, Aswan University, Aswan, 81528, Egypt*
3- *Department of Organometallic and Organometalloid Chemistry, National Research Centre, Dokki, 12622, Cairo, Egypt*
**Corresponding author at: Chemistry Department, Faculty of Science, Al Jouf University, Al Jouf, 2014 Kingdom of Saudi Arabia*.
Tel.: +966.04.6242271; fax: +966.04.6247183. E-mail address: nadiaelkanzi88@yahoo.com (N.A.A. Elkanzi).

This review deals with the preparation of new derivatives of pyrimidine-1-acetic acid hydrazide containing an azetidinone moiety and their biological activity. A series of novel β -lactams derivatives were designed and synthesized by reacting functionalized acylhydrazones with 2-chloroacetyl chloride[25]. The pharmacological importance of β-lactams and their utility as building blocks in organic synthesis have directed considerable research activity toward the synthesis of suitably substituted 2-azetidinone rings.