## ISSN: 2231 – 3087(print) / 2230 – 9632 (Online) Vol. 2: (1), 2012, 2-11

# **Graphical Abstract**

Heterocyclic Letters 2: iss.-1, (2012), 13-18

Palladium-catalyzed route to novel five- and six-cyclic heterocyclic systems containing thiazole, imidazole and oxepine rings

Tatjana Beresneva, Sergey Belyakov, Edgars Abele

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

Novel and simple two step catalytic method for the preparation of novel five- and six-cyclic heterocyclic systems containing thiazole, imidazole and oxepine rings from 3-chloromethylbenzo[4,5]imidazo[2,1-b]thiazole and o-bromobenzyl alcohols or 2-bromo-3-hydroxymethylpyridine was described.

X = CH, N; R = H;  $RR = O(CH_2)_2O$ 

Heterocyclic Letters 2: iss.-1, (2012), 19-26

One-pot, three-component, green synthesis of some indeno[2`,3`:5,6]pyrido[2,1-b]benzothiazoles and indeno[2`,3`-e]thiazolo[3,2-a]pyridines

Essam M. Hussein

Department of Chemistry, Faculty of Science, Assiut University, Assiut 71516, Egypt e-mail: essam.hussein78@yahoo.com

The green synthesis of some indeno [2,3:5,6] pyrido [2,1-b] benzothiazoles (4a-f) and indeno [2,3]-e] thiazolo [3,2-a] pyridines (6a-f) via a one-pot, three-component reaction of indan-1,3-dion (1), aromatic aldehydes (2a-f), (benzothiazol-2-yl)acetonitrile (3) or 2-cyanomethyl-4-thiazolinone (5), and catalyzed by p-toluene sulfonic acid (p-TSA) using water as reaction medium is reported.

## Heterocyclic Letters 2: iss.-1, (2012), 27-30

## Synthesis and characterization of some Mannich base new 1,3,4-oxadiazole-2-thione derivatives

Iraj Sadrayi<sup>1</sup>, Abolfazle Seyed Sajadi<sup>2</sup>, Shahriar Ghammamy<sup>3,\*</sup>, Masoumeh Alem<sup>4</sup>, Zahra Shokri<sup>3</sup>, Hajar Sahebalzamani<sup>5</sup>

\*Department of Chemistry, Faculty of Science, Imam Khomeini International University, Qazvin, Iran \*E-mail: shghamami@yahoo.com

Two new oxadiazole compounds 3,3'-[methylin-bis(1,4-phenyline-iminomethyline]-bis-(5-phenyl-1,3,4-oxadiazol)-2,3(H)-thione and 5-phenyl3-[4-(5-phenyl-1,3,4-oxadiazole-3yl) methyl]amino]phenyl)amino]methyl1,3,4-oxadiazolidine-2-thione thiooxamethane have been synthesized. The reaction was done in pH= 7 and in presences of amin molecules by Mannich reaction. The structures of the compounds were characterized by FT-IR, <sup>13</sup>C NMR and <sup>1</sup>H NMR techniques.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

#### Heterocyclic Letters 2: iss.-1, (2012), 31-36

#### A Solventless Synthesis of 2-aminothiophenes via the Gewald Reaction under Ultrasonic Conditions

Bruno Dias de C.F. dos Santos<sup>a</sup>, Josué S. Bello Forero<sup>a</sup>, Erika M. de Carvalho<sup>b</sup>, Joel Jones Junior<sup>a</sup> and FlaviaM.daSilva<sup>a</sup>

<sup>a</sup>DeptQuímicaOrgânica - Instituto de Química - UFRJ- CP 68.584, 21941-972, Rio de Janeiro, RJ, Brasil – mail: soa@soa.pro.br <sup>b</sup>Instituto de TecnologiaemFármacos, Far-Manguinhos, RuaSizenandoNabuco, 100, 21041-250, Rio de Janeiro, RJ, Brasil

A simple, fast and efficient one-pot, three-component, solventless procedure for the synthesis of 2-aminothiophene derivatives under ultrasonic conditions was developed. The combined advantages of sonochemistry, such as mild reaction conditions, good yield and short reaction times, enabled progress to be made on the synthesis of 2-aminothiophenes via the Gewald reaction.

# Heterocyclic Letters 2: iss.-1, (2012), 37-42

#### Solvent-Free Splendid One Pot Synthesis Of 2-Amino-6-(Substitutedphenyl)-5-Methylpyrimidin-4-Ol Using Peg-400

Suresh Maddila<sup>1</sup>, Sreekanth B Jonnalagadda<sup>1</sup>, Venkatarao Chunduri<sup>2</sup>, Palakondu Lavanya<sup>2\*</sup>

<sup>1</sup>School of Chemistry, University of KwaZulu-Natal, West Ville Campus, Chilten Hills, Private Bag 54001, Durban-4000, South Africa. <sup>2</sup>Department of Chemistry, Sri Venkateswara University College of Sciences, Sri Venkateswara University, Tirupati-517 502, India. E-mail:gajulapallilavanya@gmail.com.

The simple and efficient approach towards one step synthesis of 2-amino-6-(substitutedphenyl)-5-methylpyrimidin-4-ol derivatives has been developed by three component condensation of aromatic aldehydes, ethyl propionate and guanidine hydrochloride using PEG as reaction medium.

#### Heterocyclic Letters 2: iss.-1, (2012), 43-51

## An efficient one-pot synthesis of 1,8-dioxo-decahydroacridines by indium(III)chloride under ambient temperature in ethanol

Seyed Mohammad Vahdat<sup>1</sup>\* and Saeed Baghery<sup>2</sup>

<sup>1</sup>Department of Chemistry, Ayatollah Amoli Branch, Islamic Azad University, Amol, Iran <sup>2</sup>Young Researchers Club, Ayatollah Amoli Branch, Islamic Azad University, Amol, Iran Fax:(+98)-121-2517087; E-mail: <a href="mailto:m.vahdat@iauamol.ac.ir">m.vahdat@iauamol.ac.ir</a>; vahdat \_mohammad@yahoo.com

Indium(III)chloride was employed as a catalyst for facile preparation of 1,8-dioxo-decahydroacridines via the one-pot condensation of various aldehydes, 1,3-diketones with aromatic amines or ammonium acetate. Various aromatic aldehydes were utilized in the reaction and in all situations the desired product were synthesized successfully. The described novel synthesis method propose several advantages of mild condition, short reaction times, high yields, simplicity and easy workup compared to the traditional method of synthesis.

## Heterocyclic Letters 2: iss.-1, (2012), 53-70

## Synthesis, Antimicrobial And Antioxidant Activities Of Pyrimido [5,4-e]Thiazolo[3,2-a]Pyrimidines Linked To Indole Nucleus

Saundane Anand R\*, Prabhaker Walmik Katkar Vijaykumar and Yarlakatti Manjunatha.

Department of Postgraduate Studies and Research in Chemistry, Gulbarga University, GULBARGA-585 106, Karnataka, India.

E-mail:arsaundane@rediffmail.com

In the present investigation we have prepared the substituted indol-3-yl thiazolopyrimidopyrimidines (3, 4, 5 and 6) in which indole, thiazole and pyrimidine systems are embedded in one molecule so to get the enhanced biological activities. These compounds have been evaluated for their antimicrobial and antioxidant activities.

5

# Heterocyclic Letters 2: iss.-1, (2012), 71-78

# Synthesis And Antimicrobial Evaluation Of 3-Amino-11-Cyano-4-Imino- Pyrazolo [4, 5-E]-4h-Pyrimido [2, 1-B] Quinoline And Their Substuited Derivatives

Sambhaji P. Vartale\*, Nilesh K. Halikar & Yogesh D. Pawar

P.G. Research centre, Department of chemistry, Yeshwant Mahavidyalaya, Nanded-431602(MS)India.

Email: <a href="mailto:spvartale@gmail.com">spvartale@gmail.com</a>.

Heterocyclic Letters 2: iss.-1, (2012), 79-83

# Regioselective Synthesis Of Novel 1,4 Disubstituted Bis 1,2,3 Triazoles: Click Chemistry Approach

Hemasri Y1\* and Srinivas A2

<sup>1</sup> A.V.P.G.Centre Gaganmahal (affiliated to Osmania University), Hyderabad, India 500029 Email: <a href="https://hemay2@yahoo.com">hemay2@yahoo.com</a>

<sup>2</sup> Post Graduate College of Science, Saifabad, Osmania University, Hyderabad, India 500004.

Regioselective synthesis of a series of new 1,4-disubstituted bis 1,2,3-triazoles **3a-j** from bis propargyloxy acetophenones and organic azides are reported. Optimal experimental conditions were established for these triazoles catalysed by copper (II) sulfate pentahydrate in presence of sodium ascorbate by the conventional click chemistry. The compounds are characterized by the IR, <sup>1</sup>H NMR, MS.

$$R_{2}-N_{3}$$

$$CusO_{4}.5H_{2}O$$

$$Sodium Ascorbate$$

$$R_{2} = CH_{2}Ph, \qquad H_{2}CCO-N$$

$$R_{1}$$

$$R_{2} = CH_{2}Ph, \qquad H_{2}CCO-N$$

$$R_{3}$$

$$R_{2}$$

$$R_{4}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{2}$$

$$R_{4}$$

$$R_{5}$$

$$R_{6}$$

$$R_{7}$$

$$R_{1}$$

$$R_{2}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{6}$$

$$R_{1}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{6}$$

$$R_{1}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{6}$$

$$R_{7}$$

$$R_{1}$$

$$R_{2}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{6}$$

$$R_{7}$$

$$R_{7}$$

$$R_{7}$$

$$R_{7}$$

$$R_{8}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{7}$$

$$R_{7}$$

$$R_{7}$$

$$R_{8}$$

$$R_{9}$$

$$R_{1}$$

$$R_{1}$$

$$R_{2}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{7}$$

$$R_{7}$$

$$R_{7}$$

$$R_{7}$$

$$R_{8}$$

$$R_{9}$$

$$R_{1}$$

$$R_{1}$$

$$R_{2}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{7}$$

$$R_{7}$$

$$R_{7}$$

$$R_{8}$$

$$R_{9}$$

$$R_{1}$$

$$R_{1}$$

$$R_{2}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{7}$$

$$R_{8}$$

$$R_{9}$$

$$R_{1}$$

$$R_{1}$$

$$R_{2}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{7}$$

$$R_{7}$$

$$R_{8}$$

$$R_{9}$$

$$R_{1}$$

$$R_{2}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{7}$$

$$R_{7}$$

$$R_{8}$$

$$R_{9}$$

$$R_{1}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{7}$$

$$R_{8}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{7}$$

$$R_{8}$$

$$R_{8}$$

$$R_{9}$$

$$R_{1}$$

$$R_{1}$$

$$R_{2}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{7}$$

$$R_{8}$$

$$R_{1}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{7}$$

## Heterocyclic Letters 2: iss.-1, (2012), 85-89

A new pathway for the preparation of 3-substituted 1,2,4-oxadiazepines by intramolecular palladium catalyzed cyclization of (E)-O-(2-iodophenylmethyl) amidoximes

Edgars Abele, Kira Rubina, Lena Golomba, Ramona Abele

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

A simple synthesis of novel class of heterocyclic compounds - 3-substituted 1,2,4-oxadiazepines from corresponding (E)-O-(2-iodophenylmethyl)amidoximes in the system  $Pd_2(dba)_3/X$  antphos / solid  $Cs_2CO_3$  / dioxane has been developed.

## Heterocyclic Letters 2: iss.-1, (2012), 91-98

## Novel β -Lactum-1,2,3-Triazoles- Their Synthesis and Antibacterial activity

Vijay V. Dabholkar\*, S. N. Gandhale and N.B. Shinde

Organic Research Laboratory, Department of Chemistry,

Mumbai University, K.C. College, Churchgate, Mumbai-400 020, India

E-mail: <u>vijaydabholkar@gmail.com</u> <u>sopan\_gandhale@rediffmail.com</u>

## Heterocyclic Letters 2: iss.-1, (2012), 99-105

# Synthesis Of New Heterocyclic Schiff Base, Thiazolidinone And Azetidinone Compounds And Their Antibacterial Activity And Anti-Hiv Activities

Rajarshi n. Patel<sup>1</sup>\*, p.v.patel<sup>2</sup>,k.r. Desai<sup>2</sup>,p.y. Purohit<sup>2</sup>,k.s.nimavat<sup>3</sup> and k.b. Vyas<sup>4</sup>

- <sup>1</sup> Suleshvari pharma, Ankleshwar -393 002, Gujarat, India.
- <sup>2</sup> Department of Chemistry, South Gujarat University, Surat 395007 (India)
- <sup>3</sup> Department of Chemistry, Government Science College ,Gandhinagar-38015,Gujarat,India.
- <sup>4</sup> Department of Chemistry, Sheth .L.H. Science Collage– Mansa-382 845, Gujarat, India.

E-mail: Dadaji.raja@gmail.com Phone: +91-9033231942

Thiazolidines and azetidinopnes have been prepared by the reaction of various Schiff bases with thioglycine acid chloroacetyl chloride respectively. The intermediate Schiff bases were synthesized by the condensation of 4-amino-2,4'-dichloro diphenyl ether with various aldehydes. The structures of the compounds have been confirmed by elemental analysis and spectral analysis. The antibacterial and anti HIV activities of the compounds have been also screened.

## Heterocyclic Letters 2: iss.-1, (2012), 107-115

# Application of Schotten-Baumann and Gabriel- Michael reaction for Synthesis of novel Phthalazine Derivatives

Vijay K. Rakholiya<sup>1\*</sup>, Rohan V. Bamane<sup>1</sup>, Trupti S. Chitre<sup>1</sup>, Sanjay I. Sutaria<sup>2</sup>, Deepak K. Landge<sup>1</sup>.

<sup>1</sup> Department of Pharmaceutical Chemistry (PG), AISSMS College of Pharmacy, Kennedy Road, Near RTO, Pune – 411001, Maharashtra, India.

<sup>2</sup> Department of Pharmaceutical Chemistry (PG), Modern College of Pharmacy, Sector No. 21, Yamunanagar, Nigdi, Pune – 411044, Maharashtra, India.

E-Mail: vijayrakholiya@gmail.com

A simple and convenient procedure has been developed for the synthesis of Novel 1-phthalazinone and 1, 4-phthalazinedione derivatives using Schotten–Baumann reaction and Gabriel- Michael reaction respectively. All compounds have been characterized by IR, NMR, and MASS spectroscopy.

# Heterocyclic Letters 2: iss.-1, (2012), 117-128

## Synthesis of novel analogs 3,4- dihydro-1*H*-quinolin-2-one derivatives as typical antidepressant, sedative and anti-parkinson agents.

Nandini R. Pai \* and Deeptaunshu Atul Pusalkar, Dileep Khandekar

Department of Chemistry,

D.G. Ruparel College, S. Bapat Marg, Mahim,

Mumbai 400016,

Maharashtra, INDIA

E-mail: <u>nandini\_pai@hotmail.com</u> or <u>d.pusalkar@yahoo.com</u> or <u>dileepkhandekar@hotmail.com</u>

This study aimed at synthesis of the potential Antidepressant and Sedative ,anti-parkinson's activity of structurally diverse derivatives of lead compound 3, 4-dihydro-1*H*-quinolin-2-one; synthesized via straightforward and efficient synthetic process. The structures of the compounds were characterized by spectral data (IR and 1H-NMR).

## Heterocyclic Letters 2: iss.-1, (2012), 129-136

#### **Synthesis And Microbial Activity Of Novel Quinoxaline Derivatives**

Vijay V. Dabholkar\* and Sunil R.Patil, Rajesh V. Pandey

Organic Research Laboratory, Department of Chemistry, Mumbai University, K.C. College, Churchgate, Mumbai-400 020, India E-mail: vijaydabholkar@gmail.com srpatil1684@gmail.com

Quinoxaline-2,3(1H,4H)-dione 1 was chlorinated by using SOCl<sub>2</sub>/DMF, to form 2,3-dichloroquinoxaline 2, The dichloro compound 2 was subjected to reaction with Substituted Triazole, 1,10-diaminonaphthalene, 2-aminothiophenol, o-phenylenediamine, 1,2-diaminoethane,Sodim azide, thiocarbohydrazones and thiosemicarbazones to furnish 3'-substituted-(1',2',4') triazolo [5,6-b] [quinoxalo (2,3-e)]-1,3,4-thiadiazine 3a-c, Quinoxalino [1,4-b]-1,4-dihydronaphto[18-ef][1,4]-diazepine 4, 7-substituted-1,4-benzothiazino[2,3-b]-quinoxalines 5a-c, benzopiperazino[2,3-b]-quinoxalines 6, piperazino[2,3-b]-quinoxalines 7, bis-triazo-[4,5-a/c]-quinoxalines 8, 2-(benzylidine)-hyrazino-1,3,4-thiadiazino [5,6-b]-quinoxalines 9 and 2-(benzylidine)-imino-1,3,3-thiadiazino [5,6-b]-quinoxalines 10 respectively. Representative samples were screened for their anti-microbial activity against gram-negative bacteria, E coli and Paeruginosa and gram-positive bacteria, S aureus, and C diphtheriae using disc diffusion method. The structures of the products were confirmed by IR, <sup>1</sup>H, <sup>13</sup>C NMR and elemental analysis.

$$\begin{array}{c} \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \end{array}$$

11