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Vol. 5: (2), 2015, 148-156

# **Graphical Abstract**

Heterocyclic Letters 5: iss.-2 (2015), 157-167

Cyanoacetanilide intermediate in heterocyclic synthesis, part 8:preparation of thiazolidine, benzo[d][1,3]oxazine,4-aminothiophene and 4-aminothiazole derivatives strating from 2-(2-cyanoacetamido)-benzoate

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The synthesis of versatile 4-thiazolidinone, multi-substituted thiophene, and aminothiazole derivatives utilizing inexpensive 2-(2-cyanoacetamido)-benzoate as starting material is reported.

Heterocyclic Letters 5: iss.-2 (2015), 169-172

Rapid and convenient microwave-assisted synthesis of aza michael type addition of substituted aniline to  $\alpha$ ,  $\beta$  - unsaturated ester

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The rapid, simple microwave-assisted synthesis of N-aryl functionalized  $\beta$ -amino esters using aza Michael addition reaction is presented. Reactions are performed neat at 200°C for 20 minutes and are catalyzed by acetic acid.

$$R_1$$
 = Br, Cl, OMe, Me etc.  $R_2$  = Me, Et

Scheme-1

Heterocyclic Letters 5: iss.-2 (2015), 173-176

#### Synthesis and spectral studies of novel thioamido linked glycosyl heterocycles

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Glycosyl isothiocyanates being a versatile reagent in carbohydrate chemistry is widely used in the synthesis of glycosyl heterocycles. Several thioamido linked glycosyl thiazole II, glycosyl pyridine III and glycosyl pyrazine IV has been synthesised by the condensation of glycosyl isothiocyanate Ia-c with amino thiazole, amino pyridine and amino pyrazine respectively. Structures of these compounds were confirmed on the basis of IR, H NMR and mass spectral study.

Where, R= a) Per-O-acetyl glucosyl, b) Per-O-acetyl lactosyl, c) Per-O-acetyl maltosyl

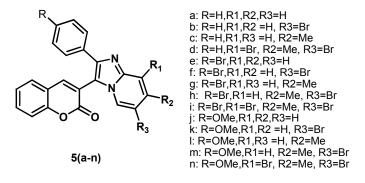
Heterocyclic Letters 5: iss.-2 (2015), 177-184

Synthesis and biological activity studies of some novel substituted imidazo[1,2-a]pyridine

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Reaction of salicylaldehyde and 4-substituted benzoylpropionic acid **1(a-c)** in presence of sodium acetate and acetic anhydride to give 4-substituted 3-(2-(4-phenyl)-2-oxoethyl)-2H-chromen-2-one **2(a-c)** which further treated with bromine in acetic acid to give 4-substituted 3-(1-bromo-2-(4-phenyl)-2-oxoethyl)-2H-chromen-2-one **3(a-c)**. Compound **3(a-c)** heated with 2- aminopyridine 4(a-e) in chloroform to give imidazo[1,2-a]pyridine **5(a-n)**. The substituted imidazo[1,2-a]pyridine are characterized by NMR and mass spectra. These newly synthesized compounds were tested in vitro for their antibacterial activity.



Heterocyclic Letters 5: iss.-2 (2015), 185-193

Synthesis and characterization of novel phosphorylated derivates of zidovudine: anticancer activity against human breast cancer cell lines (mcf7)

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Heterocyclic Letters 5: iss.-2 (2015), 195-203

Synthesis, characterization and pharmacological evaluation of 2-acetamido-4-(5-substituted-phenyl-4H-[1,2,4]triazol-3-yl)methylthiazoles

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A new series of 2-acetamido-4-(5-substituted-phenyl-4*H*-[1,2,4]triazol-3-yl)methylthiazole **(5a-m)** were synthesized by the one pot cyclocondensation reaction of 2-(2-acetamido-thiazol-4-yl)acetohydrazide **(3)** with different substituted aromatic/heterocyclic aldehyde **(4a-m)** in presence of ammonium acetate in acetic acid. The structures of new compounds were determined by analytical and spectral (IR, <sup>1</sup>H NMR, <sup>13</sup>C NMR, EIMS) methods and were tested for their antimicrobial activity against three Gram-positive bacteria and four Gramnegative bacteri and against four fungi, using ciprofloxacin and miconazole as standard drug for bacteria and fungi respectively. Bioassay results showed that most of the synthesized compounds exhibited promising activity against tested bacterial and fungal strains.

$$H_2N$$
 $OC_2H_5$ 
 $OC_2H_5$ 

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### Heterocyclic Letters 5: iss.-2 (2015), 205-212

Structure and synthesis of some imidazole derivatives containing 2-(4-chlorophenyl)-4, 5-diphenyl-1*H*-imidazole moiety as anti-inflammatory, antimicrobial agents and antifungal activity.

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A series of imidazole derivatives (**4a**, **4b**, **4c**, **4d and 4e**) have been synthesized from (2-(4-chlorophenyl)-4,5-diphenyl-1-yl)-acetic acid hydrazide under various reaction conditions. Elemental analysis, IR, <sup>1</sup>H NMR and mass spectral data confirmed the structure of the newly synthesized compounds. All the synthesized imidazole derivatives have been investigated for their anti-inflammatory, antibacterial and antifungal activity and showed moderate to good activity.

$$4a \qquad 4b$$

$$4a \qquad 4b$$

$$4a \qquad 4d \qquad 4e$$

Heterocyclic Letters 5: iss.-2 (2015), 213-221

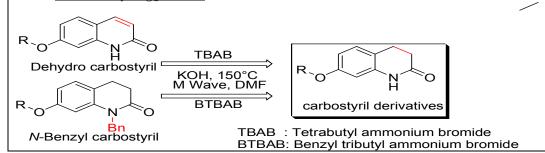
Green methodologies in organic synthesis: microwave-assisted study on carbostyril derivatives under phase transfer catalysis

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### Heterocyclic Letters 5: iss.-2 (2015), 223-239

### Synthesis, characterization, antibacterial, DNA binding and molecular docking studies of novel N-substituted phthalimides

### Pattan Sirajuddin Nayab<sup>1</sup>, Rizwan Arif<sup>1</sup>, Mohd. Arshad<sup>2</sup> and Rahisuddin<sup>1,\*</sup>

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**Scheme I:** Reagents and conditions: (a) ethanol/water, reflux, 2 h; (b) glacial acetic acid, ethanol, reflux, 6-8 h.

# Heterocyclic Letters 5: iss.-2 (2015), 241-244

### A simple and convenient route for the synthesis of (R)-3-aminobutanol, an intermediate for the synthesis of dolutegravir

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A simple, convenient and efficient method for the synthesis of (R)-3-aminobutanol an intermediate of anti-viral drug Dolutegravir sodium from ethylacetoacetate was reported using inexpensive D-(-)-tartaric acid as a resolving agent.

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### Microwave induced synthesis of Oxadiazole

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Novel 2-(4-Chloro-phenyl)-1-{2-[5-(substituted-phenyl)-[1,3,4]oxadiazol-2-yl]-phenyl}-ethanone derivatives were synthesized by converting carboxylic acid to acid chloride by treating with thionyl chloride in MDC to give reactive compound, this compound treated with hydrazine hydrate to give acid hydrazide(2) and with aromatic carboxylic acid to give 1,3,4-oxadiazole derivative compound (3). Representative samples were screened for their anti-microbial activity against Gram-negative bacteria, *E coli* and *P aeruginosa* and Grampositive bacteria, *S aureus*, and *C diphtheriae* using disc diffusion method. The structures of all the molecules were confirmed by IR, <sup>1</sup>H, <sup>13</sup>C NMR and elemental analysis.

#### Reaction Scheme

#### Heterocyclic Letters 5: iss.-2 (2015), 251-259

Synthesis, characterization and fluorescence study of n'-[(e)-(2-hydroxyquinolin-3-yl)methylidene]-1-benzofuran-2-carbohydrazide and its metal complexes

### Mustapha C. Mandewale<sup>1</sup>, Bapu R. Thorat<sup>1</sup>, Dnyaneshwar Shelke, Raghunath Patil<sup>2</sup> and Ramesh Yamgar<sup>3</sup>

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A series of Co(II), Ni(II), Cu(II), Zn(II) and La(III) complexes of N-[(E)-(2-hydroxyquinolin-3-yl)methylidene]-1-benzofuran-2-carbohydrazide has been prepared. It is synthesized by the condensation of 2-hydroxy-3-formylquinoline with benzofuran-1-carbohydrazid in absolute ethanol. The prepared hydrazone was characterized by H¹ NMR, FTIR and MASS Spectroscopy. Subsequently fluorescence properties all the prepared complexes and N-[(E)-(2-hydroxyquinolin-3-yl)methylidene]-1-benzofuran-2-carbohydrazide have been studied. The fluorescence wavelength as well as intensity of ligand showed a remarkable change after the formation of metal complex. The hydrazone shows weak emission at 522 nm for the absorption wavelength 356 nm whereas its complexes show moderate to strong emission i.e. Cu(II) complex 524 nm (moderate), Ni(II) complex 526 nm, Co(III) complex 526 nm (moderate), Zn(II) complex 508 nm (strong) and La(III) complex 519 nm (strong). All the synthesized compounds have been screened for the antibacterial study against microorganisms such as Escherichia coli, Salmonella typhi, Corynebacterium diptheriae and Staphyllococus aureus.

$$M = Zn(II), Cu(II), Ni(II), Co(II), La(III)$$

Heterocyclic Letters 5: iss.-2 (2015), 261-268

# Microwave assisted synthesis and bio efficacy evaluation of new 1,5-benzodiazepines

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Benzodiazepine is an important class of pharmacologically eminent organic compounds. Biologically eminent 1,5-benzodiazepines were synthesized in good yields from hydroxypropiophenones and o-phenylenediamine by microwave irradiation method. The synthesized compounds were characterized by IR, <sup>1</sup>HNMR and Mass spectral data. The synthesized compounds were tested for their bacterial and fungal activity. Some compounds showed excellent antimicrobial properties while remaining compounds showed moderate to good antimicrobial activities.

$$\begin{array}{c} & & & & & & & \\ R_1 & & & & & & \\ R_2 & & & & & \\ R_3 & & & & & \\ R_3 & & & & & \\ \end{array}$$

### Heterocyclic Letters 5: iss.-2 (2015), 269-277

Microwave assisted improved method for the synthesis, characterisation and biological activity of substituted benzimidazole carboxamide derivatives.

### Ratnamala S. Bendre, Chakradhar D. Hadole and Sandeep P Makasare

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A series of Benzimidazole derivatives containing substituted carboxamide linkage (5a-j) have been

synthesized from 1-Fluro-2-nitro benzene and spectrally characterized. In vitro antimicrobial activities of synthesized compounds were investigated against Grampositive S. Aureus bacteria,

Gram-negative *E.Coli* bacteria and fungi *A.Niger* in comparison with standard drugs. Some of the tested compounds showed significant antimicrobial activity.

Heterocyclic Letters 5: iss.-2 (2015), 279-283

Remarkably fast and mild conversion of phenacyl bromide into 2-aminothiazole catalyzed by molecular iodine at ambient temperature conditions

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### Heterocyclic Letters 5: iss.-2 (2015), 285-293

Synthesis, characterization, and biological study of 1,7 - diheteroaryl - 1,6 - heptadiene - 3,5 - dione and their metal complexes

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Synthesis of two new curcuminoid ligands 1,7-di(thiophenyl)-1,6-heptadiene-3,5-dione(HL1) and 1,7-di(3-methyl thiophenyl)-1,6-heptadiene-3,5-dione(HL2) were synthesized using the established Pabon method and were characterized using UV, IR, <sup>1</sup>HNMR, <sup>13</sup>C and Mass spectral techniques. The Cu(II) and Al(III) chelates of the above 1,7-diheteroaryl heptanoids were synthesized and characterized. The analytical and mass spectral data gives CuL<sub>2</sub> and AlL<sub>3</sub> stoichiometry. 1,7-Diheteroaryl heptanoids and their metal chelates show significant cytotoxic, antibacterial and *in vivo* antitumour activity.

HL1.

Metal chelates of 1,7-diheteroaryl heptanoids

Heterocyclic Letters 5: iss.-2 (2015), 295-310

Synthesis, Characterization and Biological evaluation of Novel trisubstituted Quinazoline-1,3,4 oxadiazole derivatives bearing cissubstituted thiomorpholine and thiazolidin-4-one moieties

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A series of quinazoline with 1,3,4 oxa di azoles and thiazolidinones derivatives bearing cis substituted thio morpholine were synthesized using 2,4-dichloro-7-nitroquinazoline and Cis 2,6,di methyl thio morpholine as starting materials.