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# **Graphical Abstract**

Heterocyclic Letters 10: iss.-4 (2020), 537-542

Facile Synthesis of Highly Funtionalized Butyrolactones Through an Unprecedented Base-Catalyzed Condensation

#### Ashutosh Pal\* and Bimal Krishna Banik\*

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Heterocyclic Letters 10: iss.-4 (2020), 543-549

New Synthesis Route to N,-TriazolylAmidines.Synthesis of New 1,2,4-Triazolo-1,3,5,2-Triazaphosphorine-2-Oxide derivatives.

### Abdelbari BEN ABDESSALEMa, Donia JAMMAZI a and Raoudha ABDERRAHIM..

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Heterocyclic Letters 10: iss.-4 (2020), 551-558

Maghnite-H<sup>+</sup> clay as a green catalyst was used to synthesis of new 1,4- dihydropyrimido[1,2-a]benzimidazole derivatives

 $Hadda\ Ben\ messaoud^1,\ Amar\ Djemoui\ ^1, Lahcene Souli^1,\ Boumadiene Benlahrech^2,\ Abdelkader\ Naouri^3,\ and\ Mokhtar Boualem Lahrech^{1*}$ 

<sup>1</sup>Laboratory of Organic Chemistry and Natural Substance, Faculty of Exact Sciences and informatics, ZianeAchour University-Djelfa, Algeria.

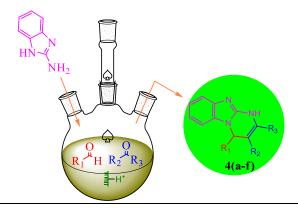
<sup>2</sup>Laboratory of Fine Chemistry, Department of Chemistry, Faculty of Exact and Applied Sciences, University of Oran-1 Ahmed Ben Bella, PB 1524 El M'naouer, Algeria.

<sup>3</sup> Centre of Scientific and Technical Analyses Physico – Chemical, Seat former Pasna, Industrial Zone Bou-Ismail CP 42004 Tipaza, Alveria

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A series of new 1, 4- dihydropyrimido[1,2-*a*]benzimidazole derivatives (DHPBz) has been successfully synthesized by one-pot three compounds reaction of an aromatic aldehydes, ketones and 2-aminobenzimidazole in presence of Maghnite-H<sup>+</sup>, a proton exchanged Algerian montmorillonite clay as a green catalyst. The Magnite-H<sup>+</sup> is an efficient catalyst, it is cheap, recyclable and eco-friendly catalyst. The catalytic effect of the Maghnite-H<sup>+</sup> for the condensation reaction is very considerable giving a high yield (72-84%) in short time. All the synthesized 1,4-dihydropyrimido[1,2-*a*]benzimidazole derivativescompounds were characterized by FT-IR, <sup>1</sup>H-NMR and <sup>13</sup>C-NMR.



Heterocyclic Letters 10: iss.-4 (2020), 559-565

 $Spectral, Thermal, XRD \ Study \ of \ New \ La(III), \ Ce(III), \ Nd(III), \ metal \ Complexes \ of \ Asymmetrical \ Ligand \ Derived \ from \ Dehydroacetic \ Acid$ 

Shantilal D Rathod\* Narayan P Adlinge<sup>1</sup>, Shyam R Annapure<sup>2</sup>

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Solid numerous colored complexes of La(III), Ce(III), Nd(III) from tetradentate Schiff bases are synthesized from ophenylenediamine,3-Acetyl-6-methyl-pyran-2,4-dione and 5-bromo Salicylaldehyde. The structures of ligand and complexes are characterized by elemental analysis, magnetic susceptibility, thermal analysis, X-ray diffraction, <sup>1</sup>H-NMR, mass, IR,UV-visible spectra, and conductometry. TGA/DSC spectral and kinetic parameter of the complexes was observed keenly. The x-ray diffraction data proposes Monoclinic crystal system for La (III)complexes and orthorhombic for Ce (III) and Nd (III) complexes. The ligand and their metal complexes were subjected for antibacterial activity against *Escherichia coli* and *Staphylococcus aureus*, *Pseudomonas Aeruginosa* and antifungal activity is observed by poison plate method against *Aspergillus Niger*, *Aspergillus flavus*, *Penicilliumchrysogenum*.



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Heterocyclic Letters 10: iss.-4 (2020), 567-574

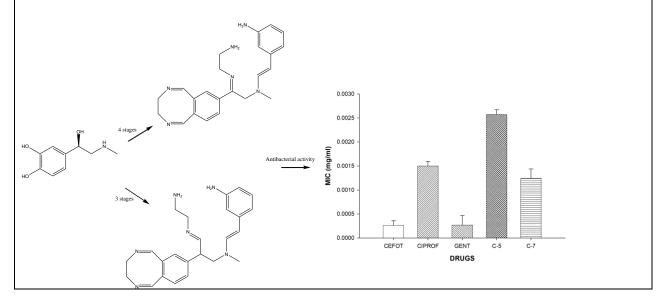
Synthesis and antibacterial evaluation exerted by two aniline derivatives against Escherichiacoli or Staphylococcus aureus

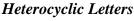
Figueroa-Valverde Lauro, López-Ramos Maria, Díaz-Cedillo Francisco, Rosas-Nexticapa Marcela, Mateu-Armad Maria Virginia, Garcimarrero E. Alejandara, Alvarez-Ramirez Ma. Magdalena, Cauich-Carrillo Regina

Laboratory of Pharmaco-Chemistry, Faculty of Chemical Biological Sciences, University Autonomous of Campeche, Av. Agustín Melgar s/n, Col Buenavista C.P. 24039 Campeche, Camp., México.

Email: lfiguero@uacam.mx

Synthesis of two aniline derivatives using some chemical strategies. The chemical structure was evaluated through both <sup>1</sup>H NMR and <sup>13</sup>C NMR spectroscopic analysis. In addition, the biological activity of the aniline derivatives against *Escherichia coli* or *Staphylococcus aureus* was evaluated.





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Synthesis, Antioxidant and Antimicrobial Activities of Novel 4-(2-Cinnamoyloxybenzylidenamino)-4,5-dihydro-1*H*-1,2,4-triazol-5-one Derivatives

Ozlem Gursoy-Kol $^{1*}$ ,Sevda Manap $^1$ ,Gul Ozdemir $^1$ , Murat Beytur $^1$ ,Esra Agdas $^1$ ,Fatih Azap $^1$ ,Sema Yuca $^1$ ,Muzaffer Alkan $^2$ , Haydar Yuksek $^1$ 

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The 3-alkyl(aryl)-4-(2-cinnamoyloxy)-benzylidenamino-4,5-dihydro-1*H*-1,2,4-triazol-5-ones (**3a-i**) have been obtained from the reactions of 3-alkyl(aryl)-4-amino-4,5-dihydro-1*H*-1,2,4-triazol-5-ones (**1a-i**) with compound **2** which are synthesized by the reactions of 2-hydroxybenzaldehyde with cinnamoyl chloride by using triethylamine. In addition, the reactions of compounds **3a-c,3e,3g**, with acetic anhydride are investigated, and 1-acetyl-3-alkyl(aryl)-4-(2-cinnamoyloxy)-benzylidenamino-4,5-dihydro-1*H*-1,2,4-triazol-5-ones (**4a-c, 4e, 4g**) are also prepared. Then, 1-(morpholine-4-yl-methyl)-3-alkyl(aryl)-4-(2-cinnamoyloxy)-benzylidenamino-4,5-dihydro-1*H*-1,2,4-triazol-5-ones (**5a-e, 5g**) are synthesized by the reactions of compounds **3a-e, 3g** with formaldehyde and morpholine. The structures of twenty 4,5-dihydro-1*H*-1,2,4-triazol-5-one derivatives have been confirmed by IR, <sup>1</sup>H NMR and <sup>13</sup>C NMR spectral data. These compounds are evaluated for their antioxidant and antimicrobial activity and shown promising significant results.

i) AcOH, reflux, 1h; ii) Ac<sub>2</sub>O, reflux; iii) CH<sub>2</sub>O, morpholine, reflux a) R = CH<sub>3</sub>, b) R = CH<sub>2</sub>CH<sub>3</sub>, c) R = CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, d) R = CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, e) R = CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>3</sub> (*p*-), f) R = CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>OCH<sub>3</sub> (*p*-), g) R = CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>Cl (*p*-), h) R = CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>Cl (*m*-), i) R = C<sub>6</sub>H<sub>5</sub>



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Heterocyclic Letters 10: iss.-4 (2020), 589-593

#### A Convenient Reduction of Pyrido[1,2]a pyrimidin-acetic acid using the NABH<sub>4</sub>/I<sub>2</sub> System

#### Abinaya Anbazhagan\*, Sharulatha Venugopal

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A method for the reduction of pyrido[1,2]a pyrimidin-acetic acid (**I**) system to their corresponding alkanes is reported. The reduction of (Z)-2-(2-oxo-2H-pyrido[1,2-a]pyrimidin-3(4H)-ylidene)acetic acid on reduction with sodium borohydride as the reducing agent in the presence of the iodine in DMF at 0°C yield (E)-3-ethylidene-3,4-dihydro-2H-pyrido[1,2-a]pyrimidine(**II**) derivatives in good yields. The structures of the synthesized compounds are discussed using IR, <sup>1</sup>H NMR, <sup>13</sup>C NMR and Mass Spectrum. The reagent used is safe, simple and economical.

$$(Z)\text{-}2\text{-}(2\text{-}oxo\text{-}2H\text{-}pyrido[1,2\text{-}a]pyrimidin-}3(4H)\text{-}ylidene)acetic acid} \\ R=H/I/Br$$

Heterocyclic Letters 10: iss.-4 (2020), 595-601

Calcinized Eggshell: A Highly Efficient Catalyst for the Synthesis of Pyrano [2, 3-c] pyrazoles under Solvent-Free Condition

### Anil G. Gadhave<sup>1</sup>, Vijay. A. Kadnor<sup>2</sup>, Bhausaheb U. Patil<sup>3</sup>and Bhagwat K. Uphade<sup>1\*</sup>

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<sup>2</sup>Department of Chemistry, Arts, Science and Commerce College, Satral.

<sup>3</sup>Department of Chemistry and Research Center, HPT and RYK College, Nashik.

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Pyrano pyrazoles are synthesized by hydrazine hydrate, ethyl acetoacetate, aromatic aldehyde and malononitrile using grinding method with calcinized eggshell catalyst. The calcinized eggshell catalyst was obtained from chicken eggshell waste. The calcinized eggshell is a safe, naturally available and inexpensive catalyst with high catalytic efficiency. The short reaction time, high yield, easy work-up, grinding technique, room temperature, solvent free, mild reaction condition and reusability of the catalyst are the advantages of this method. The scope of this reaction was to develop multicomponent organic reaction by using green catalyst at room temperature. The products were characterized by IR, <sup>1</sup>H NMR, <sup>13</sup>C NMR and GC-MS techniques.

R 
$$\stackrel{\text{CHO}}{=}$$
  $\stackrel{\text{CN}}{=}$   $\stackrel{\text{CN}}{=}$ 



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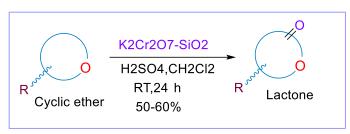
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Heterocyclic Letters 10: iss.-4 (2020), 603-608

Synthesis of Lactones from Cyclic Ethers by silica mediated Jones reagent

#### Chennakesava Reddy Ka,ba,Sandeep Mohantya,Amrendra Kumar Roya,Sandeep Reddy Ga and Shivakumar Kb

<sup>a</sup>Dr. Reddy's Laboratories Limited, Process Research and Development, API Plant, Bollaram-II, Plot No's 116,126C, Survey No.157, S.V. Co-operative Industrial Estate, IDA Bollaram, Jinnaram Mandal, Medak District, Hyderabad 502325, Telangana, India. <sup>b</sup>Chemisrty dept., Gitam university, Rudraram, Hyderabad, 502329, Telangana., India email: kesavareddykc@drreddys.com



Ring size =5,6,7 membered R = Aryl, alkyl,

- One pot annulation
- Atom economy
- Stable and novel functionalized compounds
- Metal free reaction
- Broad substrate scope
- Gram scale experiment

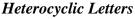
Heterocyclic Letters 10: iss.-4 (2020), 609-617

 $Synthesis\ and\ biological\ studies\ of\ cinnamal dehyde\ based\ mannich\ base$ 

# Swati Singh\*, Ravi Bansal, Jyoti Sharma and A.K.Halve

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A convenient and efficient synthesis of mannich base by one-pot reaction of cinnamaldehyde, various anilines and ammonium acetate using ethanol as solvent at room temperature. Synthesized compounds have been characterized by FT-IR, 1H NMR, 13C NMR, mass spectrometry and elemental analysis. The antibacteral activity of newly synthesized compounds were evaluated against four bacterial strains *Staphylococcus aureus*, *Bacillus subtilis*, *Salmonella typhi*, *Micrococcus luteus* and *Bacillus licheniformis*. These compounds were also tested for their anti-parkinson's activity against S. aureus biofilm.



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Heterocyclic Letters 10: iss.-4 (2020), 619-625

Effect of concentration and temperature on the inhibition power of (1-napthylmethyl)-triphenylphosphonium chloride for mild steel corrosion in acidic medium

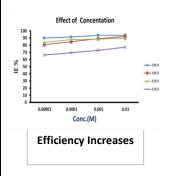
## Ajai Kumar<sup>1, 2</sup>, Reena Jain<sup>1</sup>, and Sudershan Kumar<sup>1\*</sup>

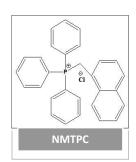
<sup>1</sup>Department of Chemistry, Hindu College, University of Delhi, Delhi-110007(India)

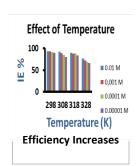
<sup>2</sup>Department of Applied Chemistry, Shri Venkateshwara University, Gajraula, UP-244236 (India)

\*Coressponding Author: sudershankumar@hindu.du.ac.in

Quaternary phosphonium compound namely (1-NapthylMethyl)-triphenylphosphonium Chloride (NMTPC) is reported as a potential inhibitor for mild steel (MS) corrosion in  $0.5 \text{ M H}_2\text{SO}_4$  using weight loss technique. It is observed that with an increase in the inhibitor concentration there is an irregular increase in the inhibition efficiency and the reverse trend is seen with an increase in the temperature. Highest Inhibition efficiency of 93.84% was observed at the concentration  $(10^{-2}\text{M}, 10^{-3}\text{M})$  at 298 K and minimum Inhibition efficiency 66.18% was shown by inhibitor at the concentration of  $(10^{-5}\text{M})$  at highest temperature of 328 K. Maximum protection is shown at 298 K at the highest concentration of  $10^{-2} \text{ M}$  and least coverage is observed at the lowest concentration







Heterocyclic Letters 10: iss.-4 (2020), 627-630

## Synthesis and characterization of sulfanyl diazene oxadiazole derivatives

#### Natasha Naval Aggarwal, B.C. Revanasiddappa\*

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Email: revan@nitte.edu.in

The title compounds Sulfanyldiazene oxadiazole derivatives (**2a-f**) were yielded by the condensation of diazonium salts and 4-(5-mercapto-1,3,4-oxadiazol-2-yl)phenol (**1**) in DMF medium. The structures of the new compounds were established on the basis of FT-IR, <sup>1</sup>H-NMR and Mass spectral data.

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Heterocyclic Letters 10: iss.-4 (2020), 631-640

Design, Synthesis and *In-Vitro* Anti-Inflammatory, Antimicrobial Activities of Some Novel Mannich Bases Of Pyrazole-1-Carbothioamide Derivatives

## SATISH B. JADHAV<sup>1\*</sup>, SUNIL S. BHAGAT<sup>1</sup>, BALAJI D. RUPNAR<sup>1</sup>, SANTOSH S. UNDARE<sup>2</sup>

- 1. Department of Chemistry, R. B. Attal Arts, Science & Commerce College, Georai (MS) India.
- 2. Department of Chemistry, Balbhim Arts, Science & Commerce College, Beed (MS) India. Email Id: orgchem.jadhav@gmail.com

A novel series of Mannich Bases of Pyrazole-1-Carbothioamide Derivatives i.e. 4-(((4-chlorophenyl)amino)methyl)-5-(6-methoxynaphthalen-1-yl)-3-(Substituted phenyl)-4,5-dihydro-1H-pyrazole-1-carbothioamide(**7a-g**) was described and which are obtained in excellent yield which also possesses promising to moderately *In-vitro* anti-inflammatory, antibacterial and antifungal activities

Heterocyclic Letters 10: iss.-4 (2020), 641-644

 $A \quad facile \quad synthesis \quad of \quad (3-(7-(benzo[d]oxazol-2-yl)-3-hydroxyquinoxalin-2-yl)-5-mercapto-4H-1,2,4-triazol-4-yl)(aryl)methanone derivatives$ 

#### Rajeshwari Madipelly

Telangana University Dichpally, Nizamabad, Telangana 503322 Email: rajeshwarimadipelly79@gmail.com

A general and efficient method for the preparation of (3-(7-(benzo[d]oxazol-2-yl)-3-hydroxyquinoxalin-2-yl)-5-mercapto-4H-1,2,4-triazol-4-yl)(aryl)methanone derivatives has been developed via three simple steps. All the compounds synthesized were characterized by spectral analysis.



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Designing and biological prediction study of some 4-phenyl-6 (substituted-phenyl)-[1,3,5]triazin-2-ylamine/-ol/-thiol derivatives as potent phosphodiesterase ii inhibitor, ubiquinol-cytochrome-c reductase inhibitor and pterindeaminase inhibitor

#### Savita R. Dhongade<sup>a\*</sup>, Sandeep A.Kenawade<sup>a</sup>, Amar C. Bhosale<sup>b</sup>

<sup>a</sup>Research Laboratory in Heterocyclic Chemistry, Devchand College, Arjunnagar, Maharashtra(India) <sup>b</sup>TisangiMahavidyalaya, Tisangi, Maharashtra(India) E-mail:savitadesai2010@gmail.com

A series of 4-phenyl-6 (substituted-phenyl)-[1,3,5]triazin-2-ylamine/-ol/-thiol[4(a-l)] derivatives have been synthesized by one pot multicomponent reaction between aromatic ester or acid, urea/guanidine hydrochloride/thiourea, and benzonitrile by exposing to microwaves at 40% microwave power (280 W). The accomplishment of the reaction was checked by TLC. Its structure was elucidated by <sup>1</sup>H–NMR and the <sup>13</sup>C-NMR spectra. In addition, biological prediction study of some [1,3,5]triazin-2-ylamine/-ol/-thiol[4(a-l)] derivative having good activity against Phosphodiesterase II inhibitor, Ubiquinol-cytochrome-c reductase inhibitor and Pterindeaminase inhibitor.

(SCHEME 1)

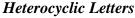
$$R^3$$
 $R^2$ 
 $R=H, C_2H_5$ 
 $R=1$ 
 $R=$ 

Heterocyclic Letters 10: iss.-4 (2020), 655-666

Archana Rajput<sup>1,\*</sup>, Archana Dhakar<sup>2</sup>, M. S. Kaurav<sup>2</sup>, Laxmi Sharma<sup>1</sup>, Ghazala Khanum<sup>2</sup>, Monika Gaur<sup>2</sup>, Aysha Fatima<sup>2</sup> Sadhana Shrivastav<sup>1</sup>, D.D. Agarwal<sup>2</sup>

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- 2. School of Studies in Chemistry, Jiwaji University, Gwalior-474011

Amberlite IR-120, an ion-exchanger resin, can catalyze the three component reaction. The newly synthesized series of 4H-Pyran derivatives have been synthesized via one pot three component reaction of malanonitrile, aromatic aldehyde and a ketoester in the presence of Amberlite IR-120 acidic cation exchanger resin catalyst at 80°C in solvent free condition. The newly desired synthesis is environment friendly, simple and economic. This method provides several advantages including easy work-up, good yield, short reaction time and reusability of the catalyst. These compounds have been characterized using IR, NMR and LC-MS.



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Heterocyclic Letters 10: iss.-4 (2020), 667-674

### Efficient synthesis of 2, 5-disubstituted 1,3,4-oxadiazole derivatives

#### Bharat K Dhotre 1, Santosh V. Raut 2, Ram.B.Kohire 1, Dnyaneshwar Nagare 3, Pathan Mohd Arif 2

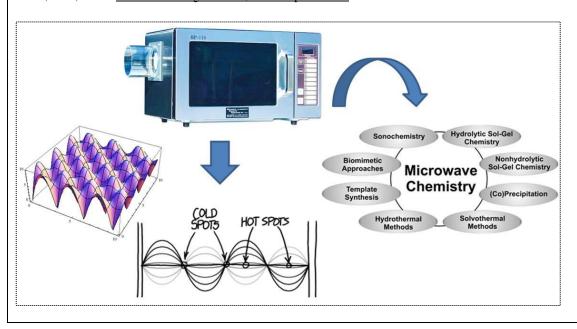
<sup>1</sup>Department of Chemistry, Swami Vivekanand Senior College Mantha, Maharashtra, India

Heterocyclic Letters 10: iss.-4 (2020), 675-689

# Microwave-Assisted Hotspots: Properties and Diverse Applications

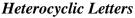
#### Adya Jain\*a and Bimal Krishna Banik\*b

<sup>a</sup>Department of Chemistry, MRK Educational Institutions, IGU Rewari, Haryana; <sup>b</sup>Department of Mathematics and Natural Sciences, College of Sciences and Human Studies, Deanship of Research, Prince Mohammad Bin Fahd University, Al Khobar 31952, KSA; Email: <a href="mailto:bimalbanik10@gmail.com">bimalbanik10@gmail.com</a>; <a href="mailto:bbanik@pmu.edu.sa">bbanik@pmu.edu.sa</a>



<sup>&</sup>lt;sup>2</sup> Department of Chemistry, Maulana Azad College Aurangabad, Maharashtra, India

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Heterocyclic Letters 10: iss.-4 (2020), 691-699

#### An Environmental Benign Approach towards Synthesis of Spiro[indole-pyrazolones] and their Biological Activity

#### Kanti Sharma\*a, Lokesh K. Sharma\*, Deepak Kumarb and RenukaJainb

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E-mail: drkanti@gmail.com

The reactions of 3-(2-oxo-2-(2-thienyl)ethylidene)indol-2-oneswith 2-hydrazinobenzothiazole in different media and solvent were investigated. The impact of substitution on indolyl nitrogen was also studied. The chemical structure of the products was proven on the basis of their spectral (IR, <sup>1</sup>H-NMR, <sup>13</sup>C-NMR, Mass) and analytical studies. All synthesized compounds were screened for antimicrobial activity against *B. subtilis*, *S. aureus*, *E. coli* and *P. aeruginosa* bacteria.