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Graphical Abstract

Heterocyclic Letters 9: iss.-4 (2019), 361-368

Facile synthesis of three azetidine derivatives using some chemical strategies

Garcimarero-Espino E. Alejandra, Figueroa-Valverde Lauro, Camacho-Luis Abelardo, Rosas-Nexticapa Marcela Mateu-Armand Virginia, Diaz-Cedillo Francisco, Lopez-Ramos Maria, Borges-Ballote Yaritza.

University Autonomous of Campeche, Av. Ex Hacienda Kalá s/n, 24085, San Francisco de Campeche, Mexico.

The aim of this study was to synthesize three azetidine derivatives using some chemical tools. The chemical structure of azetidine derivatives was confirmed through both ¹H and ¹³C-NMR spectra.In conclusion, in this study is reported a facile synthesis of three azetidine derivatives using reagents that are not expensive and are easy to handle

Heterocyclic Letters 9: iss.-4 (2019), 369-373

o-Sulfanilic Acid as a new catalyst for Biginelli type compounds under solvent-free conditions

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Biginelli compounds (3,4-Dihydropyrimidin-2(1H)-ones/thiones) were synthesized by three component cyclocondensation of ethylacetoacetate, arylaldehydes, urea and thiourea under solvent-free conditions and using *o*-Sulfanilic acid as catalyst. The protocol is featured by high yields, easy workup, short reaction time and solvent-free conditions.

OEt + R-CHO +
$$H_2N$$
 NH_2 O -Sulfanilic acid solvent-free, $100^{\circ}C$ NH X $X = O,S$ 1 2 3 (a-1)

 $\begin{array}{l} \textbf{X=O, R=C_6H_{5,2}\text{-}ClC_6H_{4,4}\text{-}BrC_6H_{4,2}\text{-}NO_2C_6H_{4,4}\text{-}OCH_3C_6H_{4,2}\text{-}OHC_6H_{4,4}\text{-}CH_3C_6H_{4,}CH=CHC_6H_5.} \\ \textbf{X=S, R=C_6H_{5,2}\text{-}ClC_6H_{4,4}\text{-}OCH_3C_6H_{4,2}\text{-}OHC_6H_4.} \end{array}$

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Fatty acid disubstituted 1, 2, 3-triazoles: microwave irradiated synthesis and in vitro evaluation of antimicrobial potential

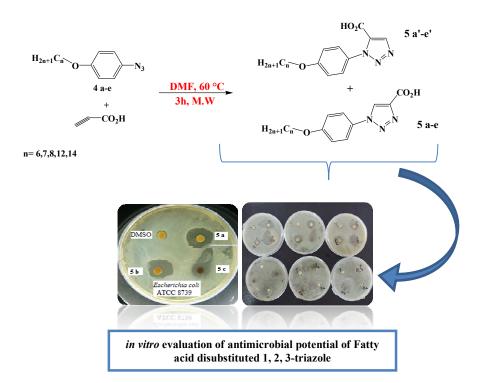
Fatima Zohra Abdelhadi-Bessedik^a, SoulefDib^b, Valérie Rolland ^c, Nadia Kambouche^a, Salima Bellahouel-Benzine^{a*}.

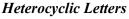
^aLaboratoire de Synthèse Organique Appliquée, Département de Chimie, Université Oran1, BP 1524 EL Mnaouer, 31000 Oran, Algérie

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Fatty acid disubstituted 1,2,3-triazoles were prepared under optimum conditions via Huisgen's terminally induced 1,3-dipolar cycloaddition between azide and propargylic acid under microwave irradiations and then evaluated for their antibacterial and antifungal properties. Use of dimethylformamide as solvent at temperature of 60°C and after 3hours was found to be the best combination to improve reaction yield. The synthesized compounds were obtained with high yields and characterized by spectroscopy ¹H and ¹³C nuclear magnetic resonance NMR, highperformance liquid chromatography HPLC and mass spectrometry coupling with liquid chromatography LC-MS, their antibacterial and antifungal activities were studied *in vitro* using the disc diffusion method. The results showed that the 1,4 isomer is predominant. Activity against two bacterial strains (Gram positive bacteria and Gram negative bacteria) and two fungal strains was discussed. The obtained new triazoles derivatives showed potential activity against assayed bacteria (*Escherichia coli*ATCC 8739, *Staphylococcusaureus*ATCC 6538) and fungal strain *Candidaalbicans*ATTC 1023.





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Synthesis of new pyrazole containing 1, 4-dihydroquinoxaline-2-carboxylate moiety

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In this work chalcones considered as a key material for synthesis of newpyrazole 1, 4-dihydroquinoxaline-2-carboxylate derivatives in good yield and purified substances.

Heterocyclic Letters 9: iss.-4 (2019), 397-410

Synthesis of a series of 2,4-dioxabicyclo[3.3.1]nona-1(9),5,7-triene derivatives and theoretical activity evaluation on both μ and κ -opioid receptors.

Figueroa-Valverde Lauro¹, Garcimarero-Espino E. Alejandra, López-Ramos Maria, Camacho-Luis Abelardo, Rosas-Nexticapa Marcela, Díaz-Cedillo Francisco, Mateu-Armand Virginia, Hernandez-Vasquez Patricia, Pool-Gómez Eduardo, Borges-Ballote Yaritza, Ortiz-Ake Yazmin.

¹Laboratory of Pharmaco-Chemistry, Faculty of Chemical Biological Sciences, University Autonomous of Campeche.

The aim of this study was to synthesize a series of 2,4-dioxabicyclo[3.3.1]nona-1(9),5,7-triene derivatives to evaluate their theoretical activity on both μ and κ -opiodreceptors using a Docking model.

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Heterocyclic Letters 9: iss.-4 (2019), 411-416

Synthesis of substituted thiourea on azetidin-2-one moiety and their antioxidant activity

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It was thought interesting to synthesized derivative of thiourea. A simple and efficient procedure for the synthesis of substituted thiourea. In this work new substituted thiourea have been reported from 3-chloroazetidin-2-one. 3-chloro azetidin-2-one in turn were obtained from schiff base which product of substituted aldehyde and substituted aniline in presence of H_2SO_4 . The newly synthesized compound were fully characterized by spectroscopic data and screened for their in vitro antioxidant activity using 1,1-diphenylpicrylhydrazyl (DPPH) radical scavenging methods by this method. Thus the title compounds are a new class of potent antioxidant agent and worthy of further investigation.

Heterocyclic Letters 9: iss.-4 (2019), 417- 426

Stable, reusable MoO_3/ZrO_2 solid acid catalyst for the synthesis of Diphenylmethane via Friedel-Craft alkylation under solvent free condition.

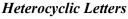
Vijayacharan Guguloth, Battu Satyanaryana*

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1-(2-(furan-2-yl)-1-(4-nitrophenyl)-4oxoazetidin-3-yl)-3-phenylthiourea

MoO₃/ZrO₂Catalyzed Synthesis of a few substituted diphenylmethane in friedel craft alkylation has been reported. The synthesized compounds have been characterized by their elemental analyses and spectral characteristics.

R = H, OCH₃, CH₃, Br, Cl, 1,3-Di Cl, 1,3-Di OCH₃, 1,2,3 tri OCH₃, 1,4-Di Cl,



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Synthesis and anti microbial activity of tetrazolo quinoxaline containing pyrazole analogues

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A series of substituted 5-methyl-2-(tetrazolo[1,5-a]quinoxalin-4-yl)-2,4-dihydro-3*H*-pyrazol-3-ones **4(a-h)** and substituted 1-(tetrazolo[1,5-a] quinoxalin-4-yl) pyrazo- lidin-3,5-diones **5(a-h)** derivatives have been synthesized. The bioassay results revealed that most of the synthesized compounds exhibited good anti-microbial activity. The compounds **4e** and **5e** was noticed significant anti-bacterial activity against *E.Coli* and *S. aureus* respectively and the compounds **4f**, **4h**, **4f** shown notable activity against both bacterial strains tested. Compounds **4e** showed moderate anti-fungal activity against *C. albicans* and *A. niger*, remaining compounds does not shows activity against tested fungal strains.



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Heterocyclic Letters 9: iss.-4 (2019), 437-445

An efficient and green synthesis of substituted piperidine derivatives using cerium chloride heptahydrate as catalyst

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^bHomi Bhabha Centre for Science Education (TIFR), Mankhurd, Mumbai-400088, INDIA.

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A number of substituted piperidine derivatives were synthesized using a five component reaction in ethanol, with cerium chloride heptahydrate (CeCl₃.7H₂O), as a catalyst. This protocol provides a clean, safe, quick and efficient single pot route for the synthesis of the target molecules in good yields. The method uses a green solvent, an inexpensive and reusable catalyst and yields products in much less times than previously reported in literature.

$$\begin{array}{c} 2\\ R_2 \\ \end{array}$$
 NH₂
$$2 + \frac{1}{4}$$
 NH₂
$$CeCl_3 \cdot 7H_2O_1 \ 10 \ mo \ 966 \\ ethyl \ alcohol, \ reflux \\ R_3 \\ \end{array}$$
 R₁ = -CH₃, -CH₂CH₃
$$R_3 + \frac{1}{4}$$
 R₂
$$R_3 + \frac{1}{4}$$
 R₃ +CH₃, -CH₂CH₃
$$R_3 + \frac{1}{4}$$
 R₃ +CH₃, -CH₂CH₃
$$R_3 + \frac{1}{4}$$
 R₃ +CH₃, -CH₂CH₃
$$R_3 + \frac{1}{4}$$
 R₄ CH₃, -CH₂CH₃, -CH₂CH₃
$$R_3 + \frac{1}{4}$$
 R₅ +CH₃, -CH₂CH₃ R₇ A+OC₃, -CH₂CH₃ R₇ A+OC₃, -CH₂CH₃ R₇ A+OC₃, -CH₂CH₃ R₇ A+OC₃, -CH₂CH₃ R₇ A+OC₃ R₇ A+OC₃

Heterocyclic Letters 9: iss.-4 (2019), 447- 453

Synthesis, characterization and antimicrobial screening of new bi-heterocyclic azo derivative of quinazoline compound

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The destination of mixed N-heterocyclic azo compound is established by the reaction between 3-diazonium pyridine salt with alkaline solution of quinazoline compound. Pure crystalline reddish-brown 2-[(3'-pyridyl)azo] quinazoline compound is obtained after the chromatographic separation of crude product. Finally, the compound is characterized by the experimental supporting spectral data such as IR, UV-Vis, ¹HNMR and also C, H, N analysis data. Antimicrobial activity of the newly synthesized compound was also done on both Gram positive, Gram negative bacteria.

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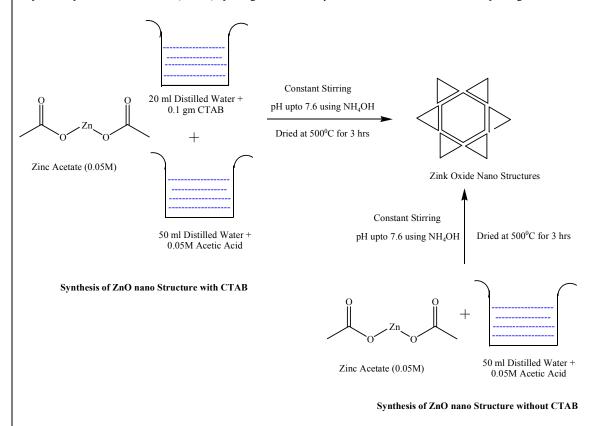
Synthesis and comparative study of nano zinc oxide structures with and without cetyltrimethylammonium bromide using sol-gel method

J. S. Godse¹, S. B. Gaikwad², V. B. Bhise³, S. T. Gaikwad⁴, R. P. Pawar¹, S. B. Ubale⁵*

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Comparative study of synthesis of ZnO nanoparticles without using cetyltrimethylammonium bromide (CTAB) and using cetyltrimethylammonium bromide (CTAB) by sol–gel method in aqueous medium as an environmentally benign method.



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Heterocyclic Letters 9: iss.-4 (2019), 461-466

Synthesis, characterization and antimicrobial evaluation of quinolonyl imidazole derivatives

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Two series of imidazole derivatives (D_1-D_4) and (D_5-D_8) containing substituted quinolones were designed and synthesized. The chemical structures of both the series of quinolone-imidazole derivatives have been elucidated by spectral studies (IR, 1H NMR and Mass spectra). The compounds were screened for their antibacterial activity against *Escherichia coli* ATCC 25922, *Shigella flexneri* ATCC 9199, *Staphylococcus aureus* ATCC 12600, *Bacillus cereus* ATCC 10876 by Luria Bertani (LB) media technique. Among the synthesized compounds 1-(7-hydroxy-4-methyl-2-oxoquinolin-1(2H)-yl)-4-methyl-1H-imidazole-2,5-dione (D_1) and 1-(4,7-dimethyl-2-oxoquinolin-1(2H)-yl)-4-methyl-1H-imidazole-2,5-dione (D_2) were found to show antibacterial activity against both Gram +ve and Gram –ve bacteria, indicating broad range spectrum of activity. Compound 5,7-dihydroxy-4-methyl-1-(4-methyl-5-oxo-2-thioxo-2H-imidazol-1(5H)-yl)quinolin-2(1H)-one (D_8) and 1-(4,6-dimethyl-2-oxoquinolin-1(2H)-yl)-4-methyl-1H-imidazole-2,5-dione (D_3) was found to show antibacterial activity (zone of inhibition more than 1.0 cm) against *Escherichia coli* and and *Shigella flexneri* both belonging to Gm –ve genotypes. However growth of *Bacillus cereus* (Gram +ve) was also inhibited by (D_8) and (D_3) compounds but *Staphylococcus aureus* (Gram +ve) growth was not affected, indicating narrow spectrum of antibacterial activity. All other compounds had shown mild to moderate antibacterial and antifungal activities.

R = 7-OH; 7-CH₃; 6-CH₃; 5, 7-di-OH in the compounds D_1 to D_4 respectively.

Scheme 1

R = 7-OH; 7-CH₃; 6-CH₃; 5, 7-di-OH in the compounds D_5 to D_8 respectively. **Scheme 2**



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Base Catalysed One Pot Multicomponent Synthesis of Novel 6-(3-nitrophenyl)-7, 9-dihydro-1H-purine-2,8 (3H,6H)-dithionevia athree-component biginelli type condensation derivatives under Microwave Irradiation

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An efficient one-pot synthesis of novel 6-(3-nitrophenyl)-7,9-dihydro-1H-purine-2,8 (3H,6H)-dithione via a three-component Biginelli type condensation of 2- Thiohydantoin, Aldehyde and urea/thiourea in the presence of fused Sodium acetate and acetic acid as a solvent using microwave irradiation was carried out.

Heterocyclic Letters 9: iss.-4 (2019), 473-479

Biochemical asymmetric reduction of prochiral ketones by biocatalysis using dagla baida (phoenix dactylifera l), fruit grown in south oases of algeria

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The asymmetric biochemical reduction of prochiral produces optically secondary alcohols which are important in synthesis as intermediate compounds in the biological and medical field. This is done using chemical and biochemical catalysts but the latter attracted much attention because of the low cost, high efficiency and special selectivity for its environmental friendliness and its contribution to certain recommended green chemistry principles. Our aim in this research was to contribute to this area by using biochemical catalysts with plant sources that develop the oases of southern Algeria such as the fruits of the dagla baida (*Phoenix dactylifera L*) by different states (Fresh, Juice, dry powder). The acetophenone and 4'-haloacetophenones (X = F, Cl, and Br) were chosen as typical ketones and the yield was (40% and 78%) and optical purity (50% -96%). The obtained results indicate that the white dactylifera fruits can be used as biochemical catalysts to contribute to the preparation of many pharmaceutical compounds.

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Synthesis characterization and biological evaluation of novel of (1e)-1-((9-((4,5-dihydro-5-thioxo-1,3,4-oxadiazol-2-yl)methyl)-9h-carbazol-6-yl)methylene)thiosemicarbazide bearing phenyl thiazole

S. Murali Krishna, M. Raveendra

Dr.APJ Abdulkalam, IIIT- ONGOLE Rajiv Gandhi University of Knowledge Technologies-ap Biological E.Ltd company ,shameerpet,Hyd Email ID;-muralisphd@gmail.com

The article is aimed to synthesize, characterize and screening the biological activity of (1E)-1-((9-((4,5-dihydro-5-thioxo-1,3,4-oxadiazol-2-yl)methyl)-9H-carbazol-6-yl)methylene)thiosemicarbazide bearing phenyl thiazole

The structure of these newly synthesized compounds were characterised by ¹H NMR, ¹³CNMR ,Mass ,IR, and elemental analysis. The antimicriobial activity of the novel compounds was screened by agar disc diffusion method

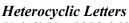
CH

CICH₂COOC₂H₅

$$C_2H_5$$
 C_2H_5
 C_2
 C_2

$$\begin{array}{c} N-NH-CSNH_2 \\ CH \\ R \\ \hline \\ NNH \\ S \\ (3) \end{array}$$

compd	4(a)	4(b)	4(c)	4(d)	4(e)	4(f)
R	-H	-CH ₃	-OCH ₃	-Cl	-NO ₂	-CF ₃



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Heterocyclic Letters 9: iss.-4 (2019), 487-503

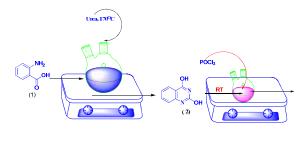
Synthesis, characterisation and biological studies of novel quinazoline derivatives

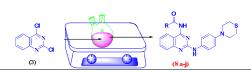
L.Srikanth Reddy¹ and B.Eswara Naik²

¹Faculty of Chemistry, IIIT-R.K.VALLEY, RGUKT-A.P., Kadapa (Dist), Andhrapradesh, INDIA.

In This Article New series of Quinazoline derivatives 8 (a-j) were synthesized by applying the cyclo condensation of Anthranilic acid (1) with urea. The new intermediate Amino quinazoline (4) interaction of various Acid chlorides 5(a-j). The synthesized Quinazoline derivatives 8 (a-j) have been screened for their antimicrobial activity. Some of the compounds exhibited potent antibacterial activity as well as anti-fungal activity. Among the Novel Quinazoline derivatives 8i, 8j, 8d shows Excellent Antimicrobial activity.

Synthetic Scheme





REVIEWS

Heterocyclic Letters 9: iss.-4 (2019), 505-523

Synthesis and characterization of biopolymer from vegetable oil via catalyst free click chemistry reactions - a review

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The reviewed work addressed the shift in focus from conventional polymers to bio-based and renewable polymers. This chapter reviews the most important aspects of the application of click chemistry reactions in polymer science. The click chemistry approaches have revolutionized the polymer chemistry allowing the preparation of a wide range of functional polymers and complex macromolecules as well as facilitating the surface modification of diverse polymeric materials. Concisely, click chemistry encompasses a group of reactions that are fast, efficient, selective, tolerance to a variety of solvents and functionalities, and give high yields. "Click" reactions include several kinds of selective and orthogonal chemical ligations with high efficiency under mild reaction conditions. Different oils including grape, palm, sunflower, sesame, maize, olive etc are collected and have been used for analysis using conventional methods and further by FTNIR, FTIR. The collected spectral data from both NIR &IR are used for development of multivariate methods using unscrambler. This paper focuses on review of a work carried out by researchers in the field of production of biopolymers from different types of oil. This review paper contains the work of past researchers published between 2005 and 2015.

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