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Graphical Abstract

Heterocyclic Letters 6: iss.-1 (2016), 11-14

2,4-Dihydroxy-3-(indol-2-)-yl-quinoline via A Substantial Methodology – Fisher Indole Synthesis.

P.Pitchai,[1]* A. Nepolraj,[1] M. Sathiyaseelan[1] And R. M. Gengan[2]

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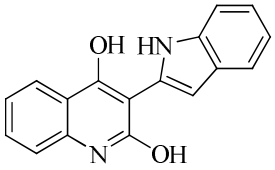
Fisher indole methodology, a simple application was used to generate indole as a substitution on the quinoline ring. Conventional heating and microwave irradiation was compared. The ease of work-up procedure, reduced time and moreover the high yield is exceptional however microwave irradiation presented more advantages.



Heterocyclic Letters 6: iss.-1 (2016), 15-22

Synthesis of novel derivatives of 3-cyanopyridine- 2(1*h*)-thione, thieno[2,3,-*b*]pyridine and pyrido[3`,2`:4,5]thieno[3,2-*d*]pyrimidine

Mohamed S.A.EL-gaby[1]*, Abael Haleem M.Hussein[1], Ahamedm.Sh.El-Sharief[2], Yousry A.Ammar[2], Ahamed A.Khames[1]

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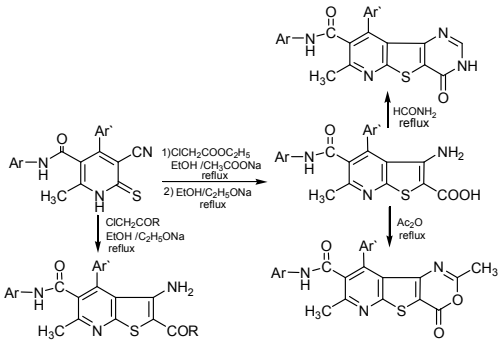
[2]Department of Chemistry, Faculty of Science, Al Azhar University, Nasr city. Cairo, Egypt

New 3-cyanopyridine-2(1*H*)-thione, 3-amino-thieno[2,3-*b*]pyridine and pyrido[3`,2`:4,5] thieno[3,2-*d*]pyrimidine derivatives have been synthesized utilizing inexpensive acetoacetanilide intermediate as starting material.



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Heterocyclic Letters 6: iss.-1 (2016), 23-30

Solvent-free synthesis of 1,8-dioxo-octahydroxanthenes and 1,8-dioxo-decahydroacridines using [BPy]HSO_4 as an efficient reusable catalyst

Liangliang Han, Yuliang Zhang and Zhongqiang Zhou*

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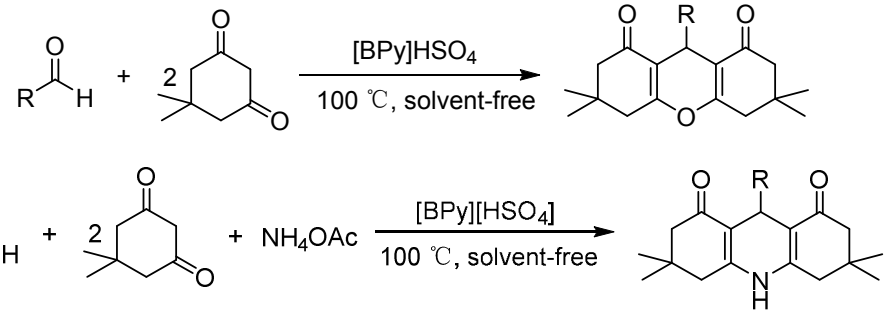
An efficient synthesis of 1,8-dioxo-octahydroxanthenes and 1,8-dioxo-decahydroacridines using [BPy]HSO_4 as catalyst under thermal, solvent-free conditions is described. This new approach has advantages such as short reaction time, high yields, cleaner reaction profiles, simple work-up, and reusable catalyst.



Heterocyclic Letters 6: iss.-1 (2016), 31-42

Green approach for the facile construction of pyrazolylpyrazoline bearing benzothiazole derivatives and its biological evaluation

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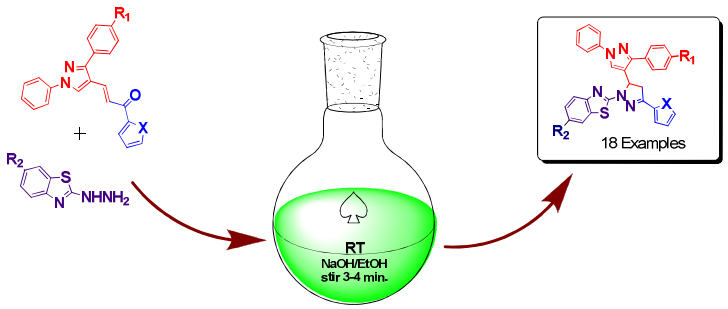
A new, facile and environment friendly protocol for the synthesis of 1,3-diphenyl-1*H*-pyrazolyl-2-benzo[d]thiazole-2-pyrazoline derivatives **5a-r** have been achieved from the more reactive pyrazole-chalcone and hydrazinobenzothiazole in presence of NaOH in EtOH at room temperature. The reaction proceeded efficiently to get the 2-pyrazoline in excellent yields (85-94%). While reaction medium was simple conventional method, mild reaction condition, easy isolation of product and short reaction times are additional process for the green purpose. In addition, target compounds were screened for their *in vitro* antibacterial, antifungal and antituberculosis activity and some of them shows good to excellent activity as compare to standard drugs.



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Heterocyclic Letters 6: iss.-1 (2016), 43-51

Synthesis of pyrazolin-5-one derivatives containing quinoline moiety using knoevenagel condensation : a novel class of potential antibacterial and antifungal agents

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Ananthapuramu-515 003, Andhra Pradesh, India.
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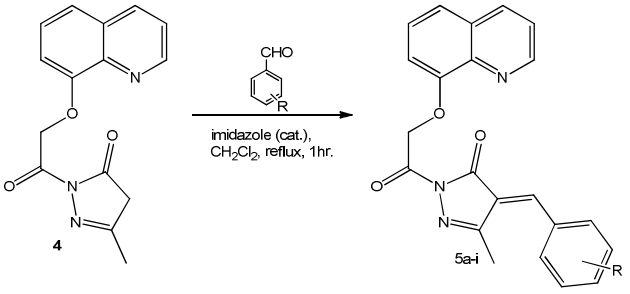
A new series of 4-(substituted benzylidene)-3-methyl-1-(2-(quinolin-8-yloxy)acetyl)-1*H*-pyrazol-5(4*H*)-ones have been designed and synthesized. These newly synthesized quinoline derivatives containing pyrazolin-5-one moiety were screened for their minimum inhibitory concentration by antibacterial and antifungal activities. The results showed that some of the compounds exhibited moderate to good antibacterial activity against both the strains and a few compounds were active in antifungal activity. The studies indicated that variation of substituent in the aromatic rings changes the antibacterial activity.



R = (a) -H, (b) 2-OH, (c) 4-OH, (d) 2-OCH_3, (e) 4-OCH_3, (f) 2-NO_2, (g) 4-NO_2, (h) 2-Cl, (i) 4-Cl

Heterocyclic Letters 6: iss.-1 (2016), 53-56

Formation of benzimidazolium salt in the complexation of 2–substituted benzimidazole derivative.

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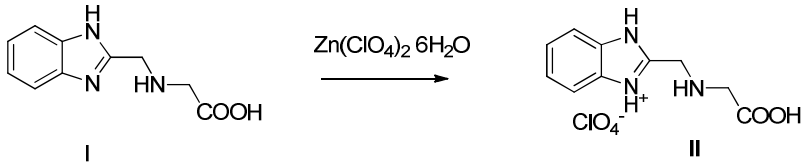
In an attempted synthesis of Zn(II) complex of a tridentate ligand[2-((1H-benzimidazol-2-yl)methylamino)acetic acid] (BIG), benzimidazolium salt was formed instead of Zn(II) complex. Benzimidazolium salt was structurally characterized by single crystal X-ray diffraction . The compound is crystallized in the monoclinic system and crystallographic details of X-Ray structure of benzimidazolium salt are :Space group: $P2_1/c$, a (Å) =7.021(6), b (Å)= 19.934(18), c (Å) =9.869(9), V (Å^3) =1371(2), α(º)=90, β (º)= 96.858(14), γ (º)= 90, Z= 4, R factor was 0.1080 for 7381 observed reflections.



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Heterocyclic Letters 6: iss.-1 (2016), 57-60

Scope and mechanism of Cu-catalyzed reactions of 2-aminobenzothiazole and 1-benzyl-2-aminobenzoimidazole with 1-bromo-2-iodobenzene

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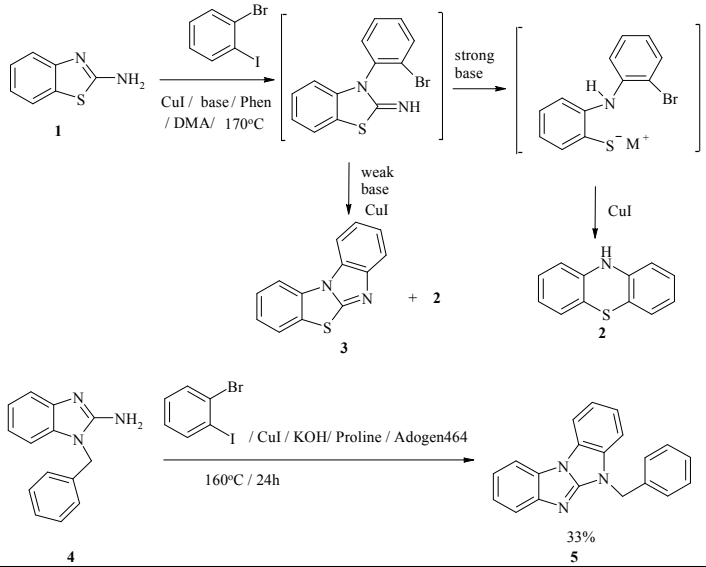
Latvian Institute of Organic Synthesis, 21 Aizkraukles Street, Riga, LV-1006, Latvia

Selectivity of synthesis of phenothiazine or benzoimidazo[2,1-*b*]benzothiazole by Cu-catalyzed reaction of 2-aminobenzothiazoles with 1-bromo-2-iodobenzene was strongly influenced by added base. The reaction of 2-aminobenzothiazole with 1-bromo-2-iodobenzene in the presence of inorganic bases (KOH and Cs_2CO_3) selectively leads to phenothiazine in 52 or 57% yields, correspondingly. Similar Cu-catalyzed reaction in the presence of DBU leads to a mixture of phenothiazine (26%) and benzoimidazo[2,1-*b*]benzothiazole (47%). 1-Benzyl-2-aminobenzoimidazole and 1-bromo-2-iodobenzene in the systems CuI/ Cs_2CO_3 / Phen/ DMA and CuI / Proline/ KOH / Adogen464/ H_2O at 160°C selectively leads to 5-benzylbenzoimidazo[2,1-b]benzoimidazole as novel heterocyclic system.



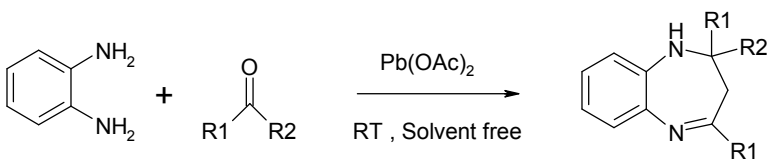
Heterocyclic Letters 6: iss.-1 (2016), 61-69

One-pot synthesis of 1,5-benzodiazepine derivatives catalyzed lead acetate under solvent free condition

Vishvanath D. Patil*, Ketan P. Patil, Nagesh. R. Sutar, Prathamesh V. Gidh.

Organic Chemistry Research Laboratory, Department of Chemistry, C.K.ThakurA.C.S.College New Panvel, Raigad, Maharashtra, India
*E mail:**ketanpatil999@rediffmail.com*** *Fax: 022 7467600*

A simple and efficeint method has been developed for synthesis of 1,5-benzdiazepines from o- phenylenediamine and substituted ketones in presence of a catalytic amount of Lead acetate at room temperature under solvent free condition.The remarkable selectivity under mild, neutral and, inexpensive catalystare attractive features.



R1=R2 = alkyl, aryl

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Heterocyclic Letters 6: iss.-1 (2016), 71-74

Synthesis and Biological Evaluation of some Pyrimidine-2-one Derivatives

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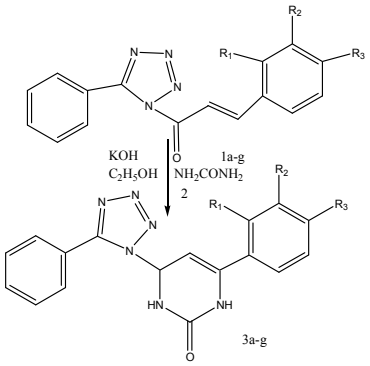
Pyrimidine-2-one derivatives(3a-g) were synthesized by reacting the chalcones(1a-g) with urea(2) in presence of potassium hydroxide in ethanol .The chemical structure were confirmed by means of FT-IR, ^{1}H NMR, mass spectra and elemental analysis . The compounds were screened for antimicrobial activity. The antimicrobial activities are attributed to the presence of 4-NO_2 , 4-OH and 4-Cl in phenyl ring at 6-position of pyrimidine ring of synthesised compounds. In some cases their activities are equal or more potent than the standard drugs.



Synthesis of pyrimidine -2-one derivatives

3a. R_1= H , R_2 =H, R_3 =H 3d . R_1= H , R_2= H, R_3=OCH_3 3g, R_1 = H , R_2 = H, R_3 = $N(CH_3)_2$
3b. R_1 = H, R_2 =H, R_3 = Cl 3e. R_1 = H , R_2 = H, R_3 =OH
3c. R_1 = H, R_2 =H, R_3 = Br 3f . R_1 = H , R_2 = H, R_3 =NO_2

Heterocyclic Letters 6: iss.-1 (2016), 75-82

Cyanuric chloride catalyzed synthesis of 2-amino, 5-substituted (aryl/heterocyclic) 1, 3, 4-thiadiazole

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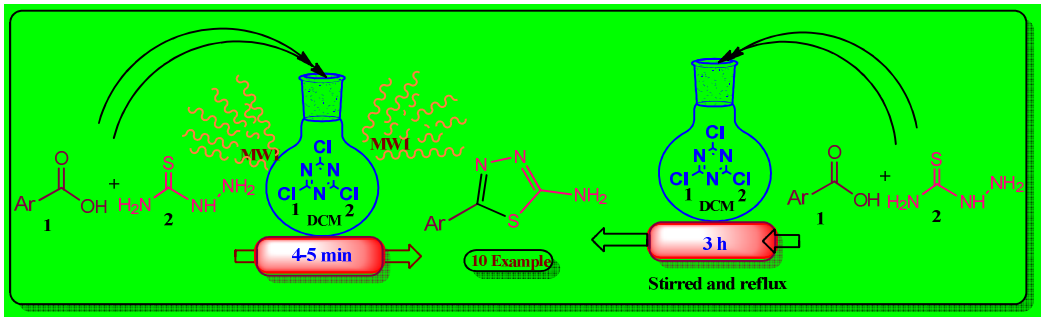
[b]Sant Ramdas Arts, Commerce & Science College, Ghansavangi, Jalna, (MS) India.
Email : rajendrakpardeshi@gmail.com



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Heterocyclic Letters 6: iss.-1 (2016), 83-97

A common catalyst for C-C and C-N bond formation of 6-bromo-2-cyclopropyl-3-(pyridyl-3-ylmethyl) quinazolin-4(*3H*)-one and their anti-microbial activity studies

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[1]*Department of Chemistry, Sri Krishnadevaraya University, Ananthapuramu, India*
[2]*Department of Research and Development Virchow Labs Pvt Ltd, Hyderabad, India*
[3]*Department of Bio-technology, Sri Krishnadevaraya University, Ananthapuramu, India*
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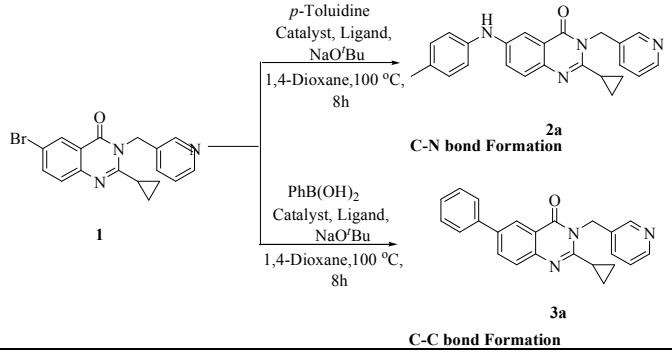
We demonstrate herein a common catalyst for C-C and C-N bond formation reactions of 6-bromo-2-cyclopropyl-3-(pyridyl-3-ylmethyl) quinazolin-4(3H)-one derivative with the aryl, heteroaryl and alkyl boronic acids and amines. Optimization of reaction conditions with different catalysts, ligands, bases, and solvents were conducted. The combination of $Pd_2(dba)_3$ with DavePhos (L3) proved to be best for these conversions in the presence of NaO^tBu in 1,4-dioxane at 100 ^oC. The relative reactivities of p-toluidine and phenyl boronic acid with 6-bromo -2,3-disubstitued quinazolinone was conducted and majority of the product formed was with C-C bond formation reaction compared to C-N bond formation reaction.. We evaluated biological significance of our analogs by screening anti-microbial agents



Heterocyclic Letters 6: iss.-1 (2016), 99-104

Microwave assisted [TCT-DMF] catalyzed formylation of substituted coumarin

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[b]*Department of chemistry, Sant Ramdas College Ghansawangi Jalna, 431203 (India)*
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Formylation of Coumarin derivatives have been synthesized by using facile and effective an environmentally benign reagent [TCT-DMF] in dichloromethane under microwave irradiation method.

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Heterocyclic Letters 6: iss.-1 (2016), 105-109

Bridgehead nitrogen heterocyclic systems : Facile synthesis, stereochemistry and antimicrobial activity of *cis*-8, 8a -dihydropyrazolo [3',4' : 4,5] thiazolo[2,3-*b*]-*s*-triazolo[3,4-*b*] [1,3,4]thiadiazole

Anju RatheeAhlawat

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Maharaja Surajmal Institute of Technology, Janakpuri New Delhi-110058
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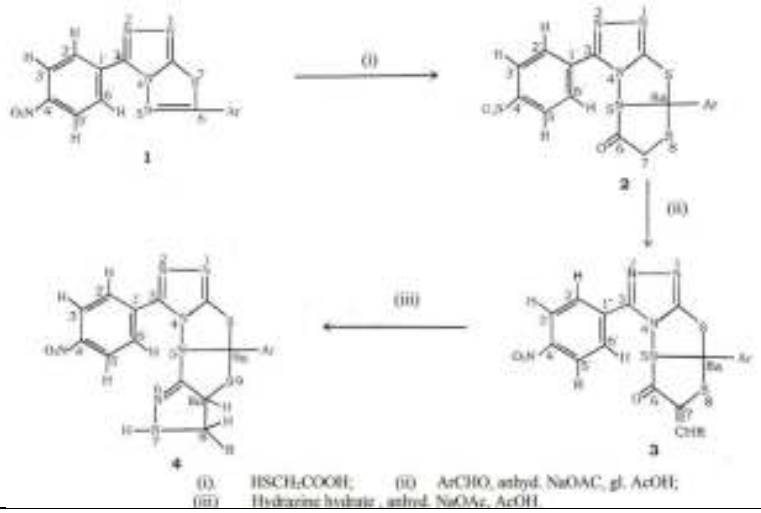
A facile synthesis of 9a-aryl-7H-8-aryl-3-(p-nitrophenyl)-cis-8, 8a-dihydropyrazolo[3',4' : 4,5]thiazolo[2,3-b]-s-triazolo[3,4-b][1,3,4] thiadiazole 4 has been achieved. Condensation of 3-(p-nitrophenyl)-6-aryl-s-triazolo[3,4-b][1,3,4] thiadiazole 1 with thioglycollic acid yield 8a-aryl-3-(p-n itrophenyl)-thiazolo [2 , 3-b]-s-triazolo [3 ,4-b] [1,3, 4]-thiadiazol-6 (7H)-one 2. The thiazolidinones 2 on reaction with p-chlorobenzaldehyde yield 7-p-chlorobenzylidene-8a-aryl-3-(p-nitropherryl)-thiazolo[2,3-b]-s-triazolo[3,4-b] [1,3,4]-thiadiazol-6(7H)-one 3. Condensation of 3 with hydrazine hydrate furnish 4. The antibacterial and antifungal activity of some of the compounds have also been evaluated.



Heterocyclic Letters 6: iss.-1 (2016), 111-121

Synthesis, characterization, antibacterial, antifungal and antioxidant activities of novel heterocyclic derivatives

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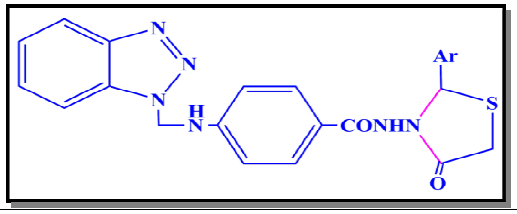
A novel heterocyclic compounds, 4-((1H-benzo[d][1,2,3]triazol-1-yl)methylamino)-N-(4-oxo-2-arylthiazolidin-3-yl)benzamide derivatives have been synthesized and evaluated for their in-vitro antimicrobial and antioxidant activity. Among them compounds containing hydroxyl group on phenyl ring showed good antioxidant activity.



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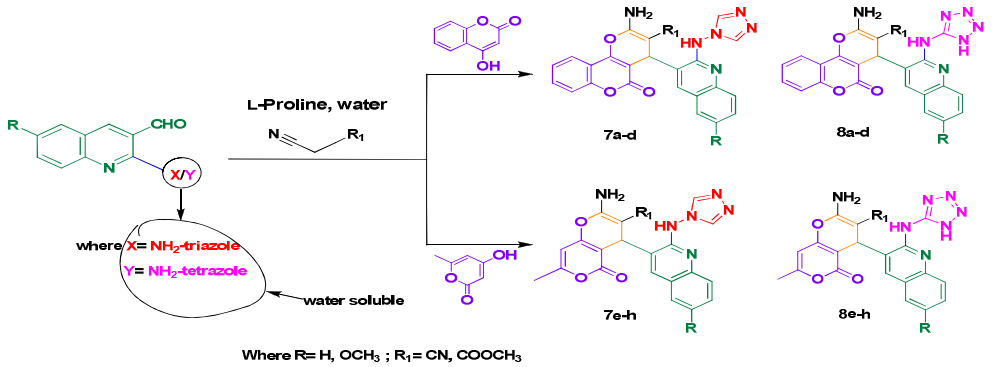
Heterocyclic Letters 6: iss.-1 (2016), 123-132

Comparative study on the use of conventional, microwave and ultrasound-irradiation for the synthesis of pyrano[3,2-*c*]chromene and benzopyrano[4,3-*b*]chromene derivatives in water

Jayvirsinh D. Gohil, Haresh B. Patel, Manish P. Patel*

Department of Chemistry, Sardar Patel University, Vallabh Vidyanagar, Gujarat, India.
E-mail: patelmanish1069@yahoo.com

An efficient one-pot synthesis using multi-component system (MRCs) for the preparation of pyrano-chromene and benzopyrano-chromene derivatives from the reaction of 6-(un)substituted-2-(amino triazole/tetrazole)quinoline-3-carbaldehydes 2a-b/3a-b, 4-hydroxy coumarin 5/4-hydroxy-6-methyl pyran 6 and malononitrile 4a/methyl cyanoacetate 4b using water as a solvent and L-proline as a catalyst. The reactions were carried out by three different techniques, conventional heating, microwave irradiation and ultrasound irradiation. But ultrasound method is better than the other methods on the basis of their attractive features like mild conditions, high atom-economy, less reaction time and excellent yields. The structures of all compounds were established on the basis of their spectral data.



Heterocyclic Letters 6: iss.-1 (2016), 133-147

“Comparison of Urate-Lowering Efficacy and Safety of Febuxostat and allopurinol in Gout Patients”

[1]Gajendera Singh, [2]Hemant Kumar,[3]Udit Soni,[4]Shikhar Tyagi,[4]Kritika Aggarwal,[5]Kavita Verma,[1]Sumit Kumar

1: Department of Chemistry, Deshbandhu College, University of Delhi, Delhi-19, India
2: Department of Chemistry, Ramjas College, University of Delhi, Delhi-07, India
3: Department of Biotechnology, Teri University, Vasantkunj New Delhi-70, India
4: Department of Translational and Clinical Research, Faculty of Science, JamiaHamdard University, Delhi-110062, India
5: Department of Chemistry, Rajdhani College, University of Delhi, Delhi-15, India

From this study it was concluded that Visual analogue scales proved to be a valid measure of gout activity. It was found that patients tend to slightly overestimate their level of disease activity when comparing patient responses to those of physician. VAS pain, SF-36 pain and patient global VAS are valid outcome measures in patients with chronic gout. Febuxostat and allopurinol provided symptomatic and functional relief in the patients with gout. However, in the view of statistical data, we consider that febuxostat may be first choice if early considerable symptomatic improvement is required.Both allopurinol and febuxostat are effective in the treatment of chronic hyperuricemia. Febuxostat has some advantages over allopurinol, being a non-purine xanthine oxidase inhibitor with lesser side effects and drug interaction. Long term use of these drugs reduces the gout flare, tophi and maintains the sUA< 6.0mg/dl.

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Heterocyclic Letters 6: iss.-1 (2016), 149-153

Condensed bridgehead nitrogen heterocyclic systems : Synthesis and bioactivity of imidazo [2, 1-*b*]-1,3,4-thiadiazolo [2, 3-*c*]-*s*-triazoles,*s*-triazolo[3,4-*b*]-1,3,4-thIadiazolo [3,2-*b*] imidazo[4,5-*b*] quinoxaline and *bis*-(*s*-triazolo[3,4-*b*]-1,3,4-thiadiazolo[3,2-*b*][imidazo[4,5-*b*] cyclohexane]-5a,6a-diene)

Anju RatheeAhlawat

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Maharaja Surajmal Institute of Technology, Janakpuri New Delhi-110058
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E.Mail: anu.ahlawat@gmail.com

Condensation of 4-amino-5-mercapto-3-(*p*-nitrophenyl)-*s*-triazole1 with cyanogen bromide gives 6-amino-3-(*p*-nitrophenyl)-*s*-triazolo[3,4-*b*]-1,3,4-thiadiazole 2 which on condensation with chloranil yields 3,9-di-(*p*-nitrophenyl)-6,14-dioxo-*bis*-(*s*-triazolo[3,4-*b*]-1,3,4-thiadiazolo [3,2-*b*] [imidazo [4, 5-*b*] cyclohexane]-5a, 6a-diene) 3. 3-(*p*-nitrophenyl)-*s*-triazolo [3,4-*b*]-1,3,4-thiadiazolo [3,2-*b*]imidazo[4,5-*b*]quinoxaline4 is obtained by a similar condensation of 2 with 2,3-dichloroquinoxaline. The reaction of 2 with α-haloketones followed by bromination affords 7-aryl-3-(*p*-nitrophenyl)-imidazo [2,1-*b*]-1,3,4-thiadiazolo[2,3-*c*]-*s*-triazoles5 and their 6-bromo analogues 6 respectively. The antibacterial and antifungal activities of some of the compounds have also been evaluated.