Heterocyclic Letters
Vol. 6| No.4 |576-589|May-July| 2016
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
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Graphical Abstract

Heterocyclic Letters 6: iss.-4 (2016), 591-593

The Reaction of Isatoic Anhydride with Dimethyl Sulfoxide. Isolation of Rearrangement Products Through a Putative Pummerer Rearrangement

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Reaction of isatoic anhydride with dimethyl sulfoxide at 150 °C results in the formation of S-methyl-2-aminobenzothioate as the result of a Pummerer rearrangement. While the reaction can be conducted in the absence of a base, yields of isolated product are higher in the presence of a base. The reaction appears to be optimal using dimethyl sulfoxide as the substrate.

Heterocyclic Letters 6: iss.-4 (2016), 595-600

Tetrabutylammonium hexatungstate [tba]$_2$[w$_6$o$_{19}$]: an efficient catalyst for the synthesis of pyrano[2,3-*d*]pyrimidinederivatives under solvent-free conditions

MahsaMashayekhi[a], AbolghasemDavoodnia[*,a], Mehdi Pordel[a] and Amir Khojastehnezhad[*,b]

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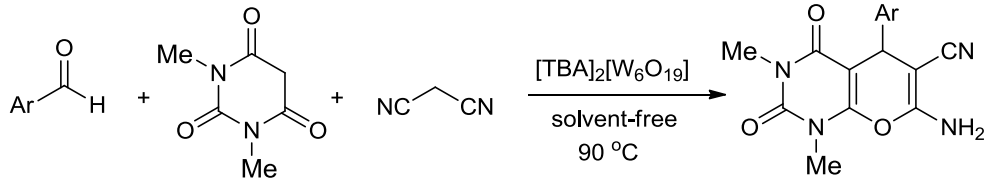
Email: adavoodnia@yahoo.com, akhojastehnezhad@yahoo.com



1a-f **2** **3** **4a-f**

An efficient and one-pot multi-component procedure for the synthesis of pyrano[2,3-*d*]pyrimidine derivatives in the presence of tetrabutylammoniumhexatungstate $[TBA]_2[W_6O_{19}]$ under solvent-free conditions has been developed. This heterogeneous catalyst shows environmentally benign character, which can be easily separated from the reaction mixture and recovered several times without significant loss of catalytic activity. Furthermore, the present method offers several advantages, such as easy experimental and work-up procedures, short reaction times (2-8 min) and excellent yields (96-98 %).

Heterocyclic Letters
Vol. 6| No.4 |576-589 |Aug-Oct| 2016
ISSN : (print) 2231–3087 / (online) 2230-9632
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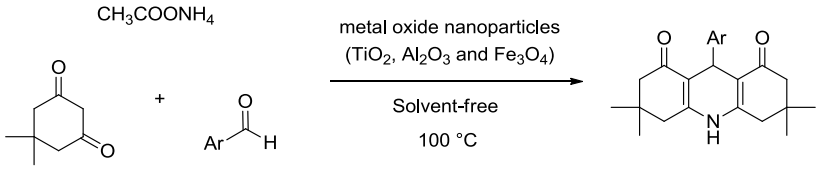
http://heteroletters.org

Heterocyclic Letters 6: iss.-4 (2016), 601-608

Application of Metal Oxide Nanoparticles as Reusable Heterogeneous Catalysts in the Synthesis of 1,8-Dioxodecahydroacridines (A Comparative Study)

Ahmad Nakhaei[1]*, Abolghasem Davoodnia[2], and Sepideh Yadegarian[1]

[1]*Young Researchers and Elite Club, Mashhad Branch, Islamic Azad University, Mashhad, Iran*
[2]*Department of Chemistry, Mashhad Branch, Islamic Azad University, Mashhad, Iran*



In this work, comparative study of Al_2O_3, TiO_2, and Fe_3O_4 nanoparticles as reusable heterogeneous catalysts in the synthesis of 1,8-Dioxodecahydroacridines has been reported. The results showed that nano Fe_3O_4 acts as more effective heterogeneous catalyst than others and the reaction proceeded more easily and gave the highest yields of the products in shorter reaction times under thermal solvent-free conditions. Moreover, magnetically recyclable of Fe_3O_4 nanoparticles makes it superior over other reported methods.

Heterocyclic Letters 6: iss.-4 (2016), 609-614

One-pot synthesis of some new 4-aryl-2,6-di(benzofuran-2-yl)pyridines using a Brønsted-acid ionic liquid

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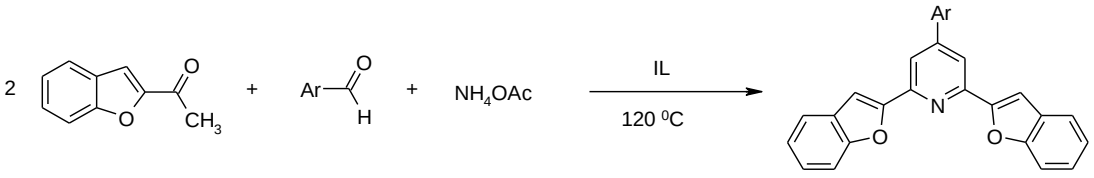
The one-pot synthesis of some new 4-aryl-2,6-di(benzofuran-2-yl)pyridinesfrom 2-acetylbenzofuran, aromatic aldehydes and ammonium acetate in presence of 3-methyl-1-(4-sulfonic acid)-butylimidazolium hydrogen sulfate [MIM-$(CH_2)_4SO_3H$][HSO_4], a Brønsted-acid ionic liquid as a green and reusable catalyst in solvent-free conditions has been reported. The synthesized compounds have been characterized by their elemental analyses and spectral characteristics.



Heterocyclic Letters
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Heterocyclic Letters 6: iss.-4 (2016), 615-621

Synthesis of 1,8-dioxodecahydroacridines using Zn(L-proline)$_2$ as an organometallic catalyst under solvent-free conditions

MojtabaAbbaszadeh, AbolghasemDavoodnia*, Mehdi Pordel, Amir Khojastehnezhad*

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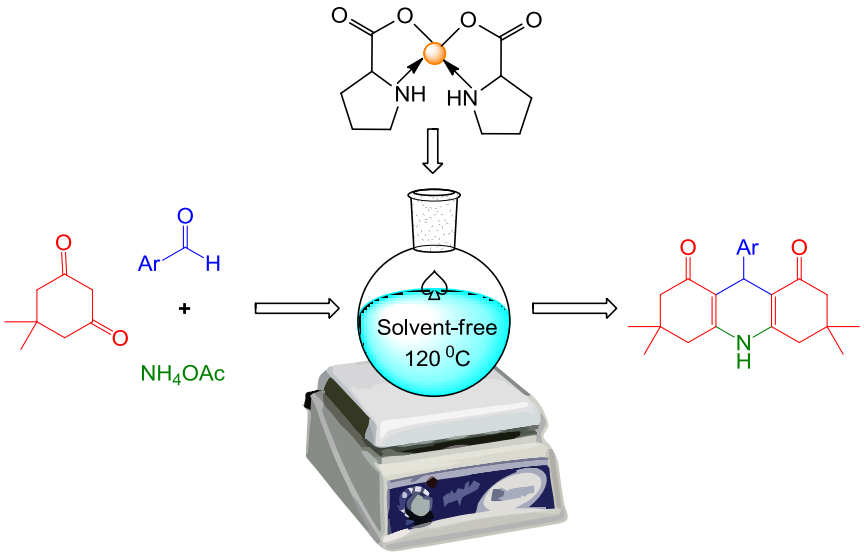
A efficient, greener approach was adopted for the synthesis of 1,8-dioxodecahydroacridines using Zn(L-proline)$_2$ as a lewis acid, recyclable organometallic catalyst in solvent-free conditions employing aromatic aldehydes, dimedone and ammonium acetate.

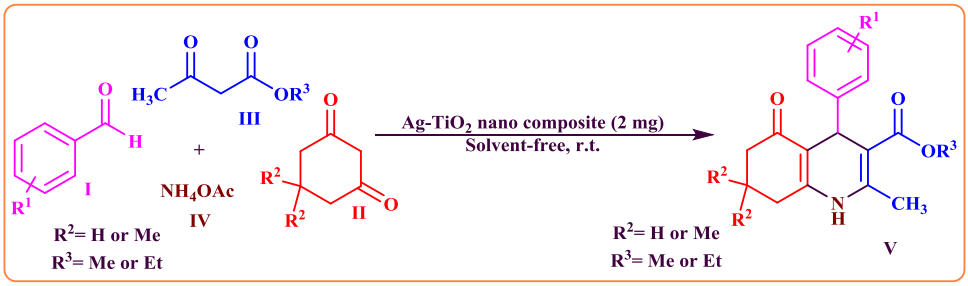


Heterocyclic Letters 6: iss.-4 (2016), 623-630

Ag-TiO$_2$nano composite as an efficient and recyclable catalyst for the Hantzsch synthesis of polyhydroquinolines

Vida Izadkhah* and JafarMahmoodi

Department of Chemistry, Islamic Azad University, Hamedan Branch, Iran
E-mail:vida.izadkhah@yahoo.com



Ag-TiO$_2$nano composite as an efficient and recyclable catalyst was used for the Hantzsch four-component synthesis of polyhydroquinolines under solvent-free conditions at room temperature.

Heterocyclic Letters
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Heterocyclic Letters 6: iss.-4 (2016), 631-636

A Versatile and One-pot Strategy to Synthesis of 1,3,5-Trisubstituted-1,2,4-triazoles Having the Cyano Substitution

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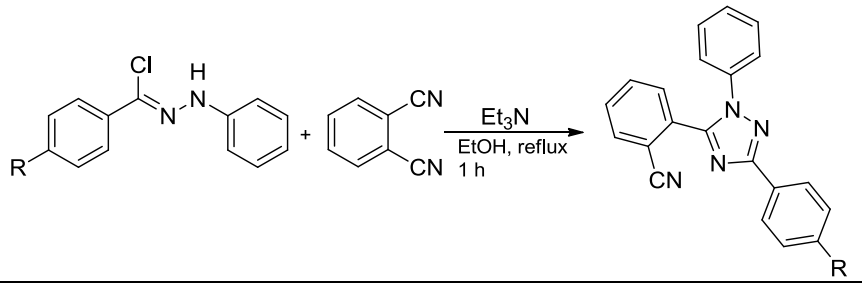
We introduce an efficient synthesis of 1,3,5-trisubstituted-1,2,4-triazoles base on the 1,3-dipolar cycloaddition between hydrazonoyl chlorides and phthalonitrile in the presence of Et_3N, in EtOH. Simple operations, short reaction time, absence of transition metal catalysts and strong bases or acids are benefits of this methodology.



Heterocyclic Letters 6: iss.-4 (2016), 637-642

Studies on metal chelates of heterocyclic azo ligand derived from benzoresorcinol

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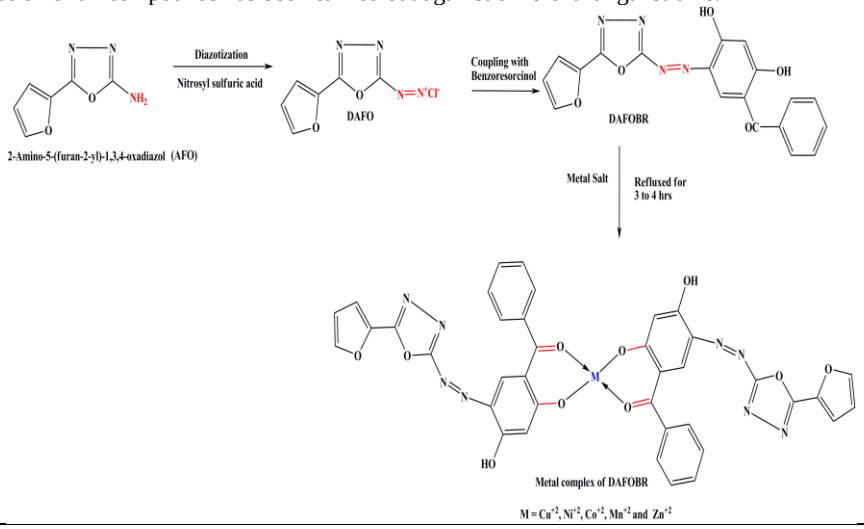
The synthesis of transition metal chelates of heterocyclic azo ligand containing oxidazole derivative and benzoresorcinol has been reported. The synthesized compounds have been characterized by their elemental analyses and spectral characteristics. Also the antifungal evaluation of all compounds has been carried out against different fungal strains.



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Heterocyclic Letters 6: iss.-4 (2016), 643-662

Design and facile synthesis of 6-(thiophen-3-yl)-3-para-substituted-[1,2,4] triazolo[3,4-*a*] phthalazine derivatives as anti-microbial agents

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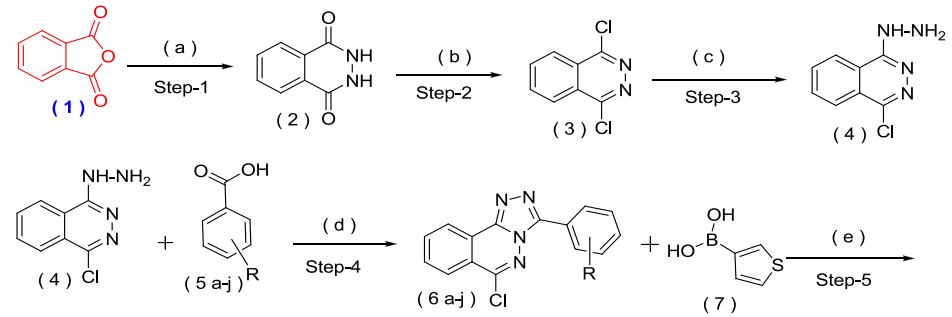
*.*Corres. Author E-mail:-Virupakshi.prabhakar@gmail.com*

In the present communication Synthetic methodology involves the reaction of an Pthalic anhydride (1) with Hydrazine hydrate to get -2,3-dihydrophthalazine-1,4-dione (2) intermediate , which were further treated with $POCl_3$ to get 1,4-dichlorophthalazine (3) derivative. Next 1,4-dichlorophthalazine (3) reacts with hydrazine hydrate in methanol for 4 hrs to get 1-chloro-4-hydrazinyl phthalazine (4), which further reacts with different carboxylic acids (5 a-j) in $POCl_3$ a series of novel fused 1,2,4 triazole derivatives (6a-j) , which were reacts with Thiophene Boronic acid (7) under Suzuki reaction conditions to get (8 a-j) target compounds with good yields. The structures of the synthesized compounds were provided by spectral analysis, and. The Synthesised compounds were tested for their antimicrobial activity against different fungi and bacteria species in vitro. The compounds are characterizes by IR, NMR, Mass analysis. Anti-bacterial and Anti-fungal Activities were evaluated and compared with the standard drugs, some compounds of the series Exhibited Promising Anti-microbial and Anti-fungal Activity Compared to Standard Drugs.

SYNTHETIC SCHEME I



R = -H, -4 CH_3, -4 OCH_3, -4 NO_2, 3,4 di methoxy, -4 F, 2,5 DI Fluoro, -4 CF_3 , -4 OCF_3, -2,4 di nitro

R = -Phenyl, -4 Methyl phenyl, -4 Methoxy phenyl, -4 Fluoro phenyl, -4 Tri fluoro phenyl, -4 Chloro Phenyl, -4 Bromo Phenyl, -4 Nitro Phenyl, -2 thiophene, -2 Indole, iso nicotinic acids.

Reagents and Reaction conditions: **(a)** Acetic acid, Hydrazine hydrate, Reflux, 4 hrs **(b)** $POCl_3$, Reflux, 6 hrs (**c**) Ethanol, Hydrazine hydrate, Na_2CO_3, RT **(d)** $POCl_3$, Reflux **(e)** K_2CO_3, $PdCl_2(Ph_3P)_2$, 1,4-dioxane, H_2O, micro wave, 120^0C**.**

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Heterocyclic Letters 6: iss.-4 (2016), 663-667

Condensation of aromatic aldehydes, substituted amines and thioglycoic acid under catalyst free conditions

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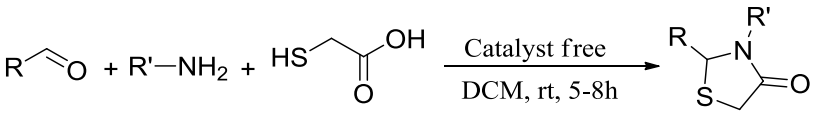
A convenient and catalyst free protocol for 4-thiazolidinones synthesis with aromatic aldehydes, substituted amines and acid as substrates has been developed.



1 **2** **3** **4**

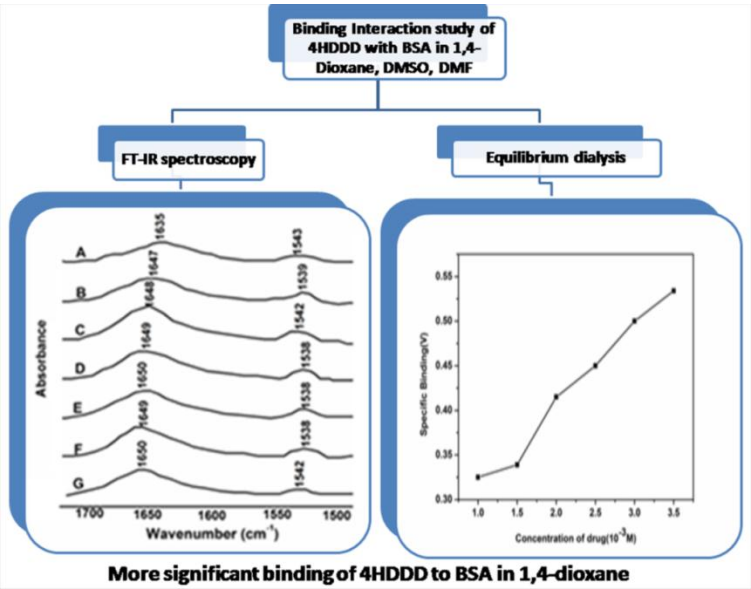
Heterocyclic Letters 6: iss.-4 (2016), 679-686

Effect of solvent on binding of diethyl 4-(4-hydroxyphenyl)-2, 6-dimethyl-1, 4-dihydropyridine-3, 5-dicarboxylate to bsa

Ajay pisudde[1], pradip tekade*[1], sonal bajaj[1], shrikant thakare[1]

[1]*department of chemistry, jankidevi bajaj college of science ,jamnalal bajaj marg, civil lines, wardha (india*).

This paper presented the binding interaction of diethyl 4-(4-hydroxyphenyl)-2,6-dimethyl-1,4-dihydropyridine-3,5-dicarboxylate (4HDDD) to the BSA by FT-IR spectroscopy and equilibrium dialysis at physiological pH 7.4 in solvents 1,4-dioxane, dimethyl sulphoxide (DMSO) and dimethyl formamide (DMF).



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Heterocyclic Letters 6: iss.-4 (2016), 687-699

Design and facile synthesis of 2h-chromene chalcone derivatives as anti-microbial agents

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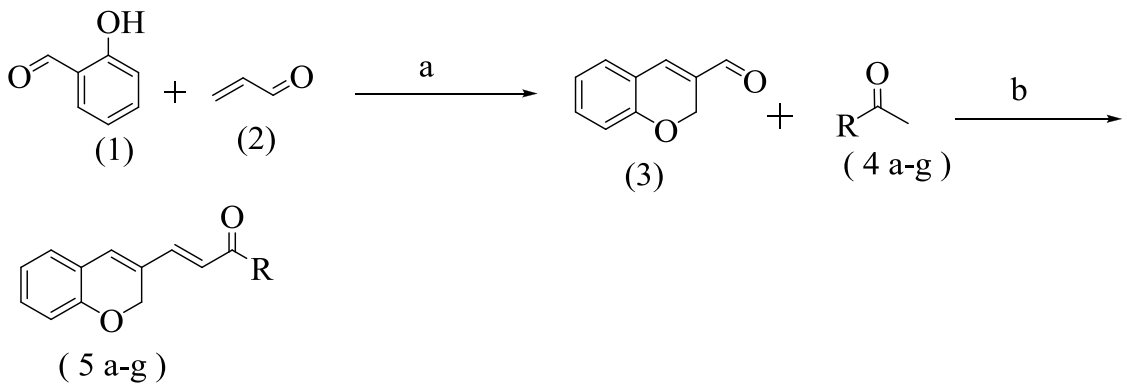
The effectively synthesized novel 2H-chromene chalcone derivatives 5(a-j) has been reported and confirmed by IR, ^{1}H,^{13}C NMR, Elemental analysis. Further these successfully synthesized novel 2H-chromene chalcone derivatives 5(a-j) have been screened for their anti microbial activities. from anti microbial screening results, it has been observed that compounds 5g,**5**b and 8a possess good activity.

Synthetic Scheme



Reagents and Reaction Conditions : (a) 1,4 di oxane, K_2CO_3, 100^{o}C, Reflux, 24 hrs (b) NaOH, Ethanol, RT, 18 hrs

The title compounds 5(a-j) were synthesised in two sequential steps using different reagents and reaction conditions, the 5(a-j) were obtained in moderate yields. The structure were established by spectral (IR, ^{1}H-NMR, ^{13}C-NMR and mass) and analytical data.

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http://heteroletters.org

Heterocyclic Letters 6: iss.-4 (2016), 701-707

Synthesis of Benzoxanthenes and 1-amidoalkyl-2-naphthols using solid supported $PbCl_2$ under solvent free condition.

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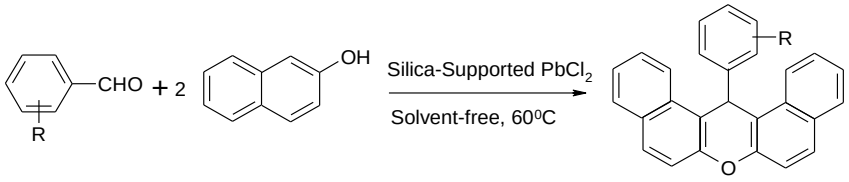
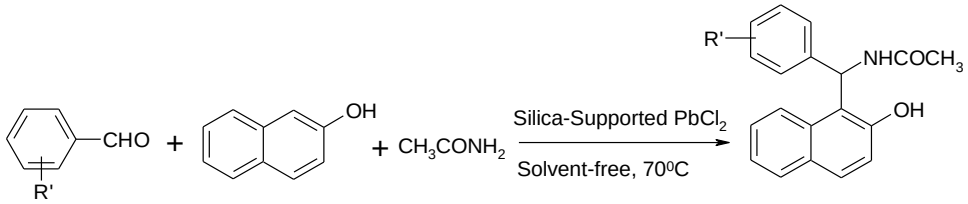
Silica supported anhydrous $PbCl_2$ was prepared using simple method. The prepared catalyst was found to be thermally stable up to 220^0C. It was found to be heterogeneous and recyclable catalyst for the synthesis of Benzoxanthenes and 1-amidoalkyl-2-naphthols under solvent free condition. In both cases, good to excellent yields were obtained.



1(a-j)



2(a-j)

Heterocyclic Letters 6: iss.-4 (2016), 709-715

Efficient procedure for synthesis of 1,4-Dihydropyridines under Green Chemistry Conditions

Sharda Goel*, Vijender Goel, Anju Bajwan

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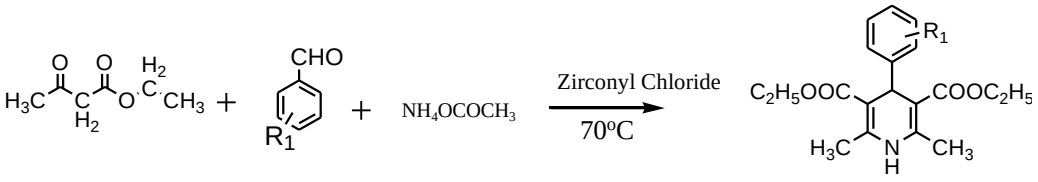
A simple, efficient and economic multicomponent reaction for synthesis of various 1,4-dihydropyridine derivatives from an aryl aldehyde, ethylacetoacetate and ammonium acetate using Zirconyl chloride as catalyst avoiding the use of any organic solvent at mild conditions in the absence of any other co-catalyst is illustrated. The process is straightforward, environmentally benign and easily leads to the synthesis of desired product. The catalyst is easily available and inexpensive. This method proves to be advantageous in terms of excellent yield and short reaction time.



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Heterocyclic Letters 6: iss.-4 (2016), 717-723

A Convenient One-pot synthesis of Hexahydroquinolines and Evaluation of Their Anticancer Activity against MCF-7 Cells

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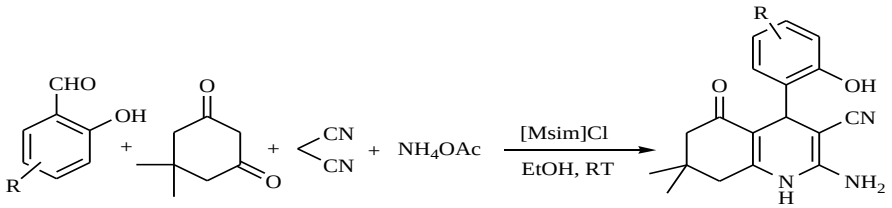
Department of chemistry, Dnyanopasak College, Parbhani-431401, Maharashtra, India.
E-mail: bhusare71@yahoo.com



1a-j **2** **3** **4** **5a-j**

A convenient protocol was described for the synthesis of hexahydroquinoline derivatives by reaction of a dimedone, substituted salicylaldehyde, malononitrile and ammonium acetate using [Msim]Cl (10 mol %) as a catalyst. All the synthesized derivatives were evaluated for inhibition of cancer cell.

Heterocyclic Letters 6: iss.-4 (2016), 725-739

Synthesis and evaluation of anti-bacterial activities of novel quinazoline derivatives

Dr Virupakshi Prabhakar[*1], Sura Jagadeesh[2], Punagani Bhargavi[2], Dr C. Divya Vani[3]

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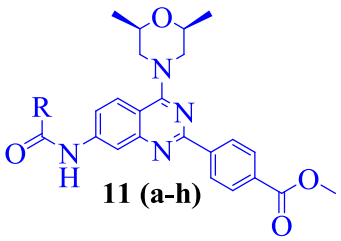
[2] Prajna generics Pvt ltd , Hyderabad, Telangana, India
[3]Department of Chemistry, Sri Padmavati Women's Degree and PG College, Tirupati, Andhra Pradesh, India
**Corres. Author E-mail:- Viruchem765@gmail.com*

Reaction of 2-amino-4-nitro benzoic acid (1) and urea(2) without solvent to give 7-nitroQuinazoline-2, 4-diol (3) which further treated with $POCl_3$ to give 2, 4-dichloro-7-nitroquinazoline (4). Compound 4 treated with Cis 2,6 di methyl morpholine in DCM to get (2S, 6R)-4-(2-chloro-7-nitro quinazolin-4-yl)-2,6-dimethylmorpholine (6). Compound (6) treated with 4-methoxy carbonyl phenyl boronic acid(7) under suzuki reaction conditions to get methyl 4-(4-((2S, 6R)-2, 6-di methyl morpholino)-7-nitro Quinazolin-2-yl) benzoate compound (8), which is treated with iron powder in acetic acid to get methyl 4-(7-amino-4-((2S,6R)-2,6-dimethylmorpholino)quinazolin-2-yl)benzoate compound (9), which is reacted with different acid chlorides in DCM in presence of Organic base to get Target Compounds 11(a-h). which are characterized by IR,NMR and mass spectra. All the synthesized products were evaluated for their antimicrobial activity. All the compounds exhibited significant to moderate antimicrobial activity. Compounds 11h, 11e, and 11c demonstrated good antimicrobial activity against all the tested microbial strains.



11 (a-h)

R = 4'-isopropylbiphenyl-4- carbonyl chloride, 4'-methoxybiphenyl-4- carbonyl chloride, 6-(4-isopropyl phenyl)nicotinic carbonyl chloride, 4'-methyl biphenyl-4- carbonyl chloride, 4'-nitrobiphenyl-4- carbonyl chloride, 4'-chloro biphenyl-4- carbonyl chloride, 4'-bromo biphenyl-4- carbonyl chloride, thiophene-2-carbonyl chloride.

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Heterocyclic Letters 6: iss.-4 (2016),741-747

Synthesis, characterisation and antibacterial activity of benzohydrazones derived from 3-hydroxy-5-hydroxymethyl-2-methylpyridine-4-carboxaldehyde

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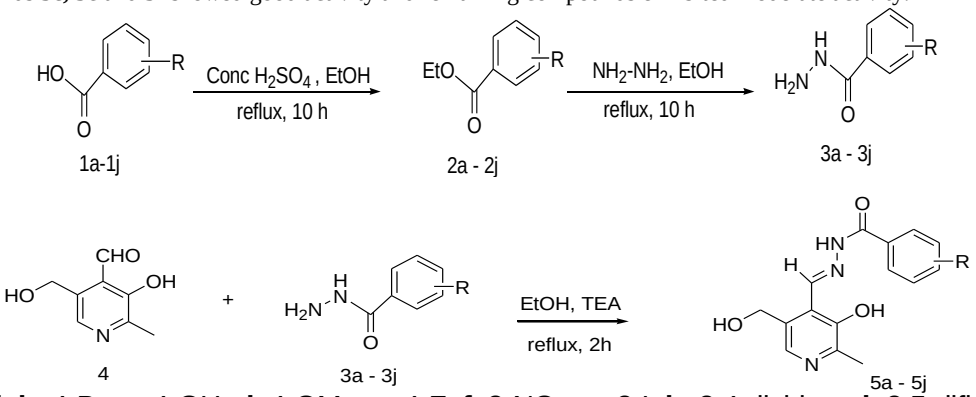
New Schiff bases derived from 3-hydroxy-5-hydroxymethyl-2-methylpyridine-4-carboxaldehyde have been synthesized and characterized by various spectro-analytical techniques like IR, ^{1}H-NMR, ^{13}C-NMR and Mass spectroscopy. The compounds were screened for antibacterial activity against Gram negative bacteria (Escherichia coli and Pseudomonas aeruginosa and Gram positive bacteria (Staphylococcus aureus and Bacillus cereus). Compounds **5h** and **5j** showed excellent antibacterial activity while compounds **5c, 5e** and **5f** showed good activity and remaining compounds exhibited moderate activity.



R = **a**: 4-Cl, **b**: 4-Br, **c**: 4-OH, **d**: 4-OMe, **e**: 4-F, **f**: 3-NO_3, **g**: 2-I, **h**: 2,4-dichloro, **i**: 2,5-difluoro, **j**: 3,4,5- trimethoxy.

Heterocyclic Letters 6: iss.-4 (2016), 749-756

Design, synthesis and biological evaluation of novel quinazoline derivatives as potential anti-bacterial agents

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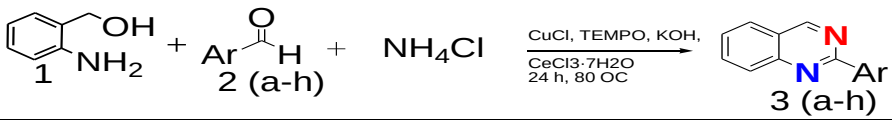
A series of Novel Quinazoline derivatives was designed and synthesized. The chemical structures of the synthesized compounds were confirmed by FT-IR, ^{1}H NMR, ^{13}C NMR and mass spectral studies. Eight new compounds (3a–h) were tested in vitro for their antimicrobial activity against clinically isolated strains. All the synthesized products were evaluated for their antimicrobial activity. All the compounds exhibited significant to moderate antimicrobial activity. Compounds 3h, 3g, and 3e demonstrated good antimicrobial activity against all the tested microbial strains.

SCHEME



Heterocyclic Letters
Vol. 6| No.4 |576-589|May-July| 2016
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Heterocyclic Letters 6: iss.-4 (2016), 757-766

Synthesis, characterization and antioxidant activity of some new 4-thiazolidinonyl-4*h*-1, 2, 4-triazole derivatives.

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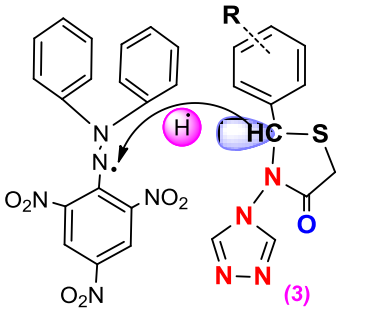
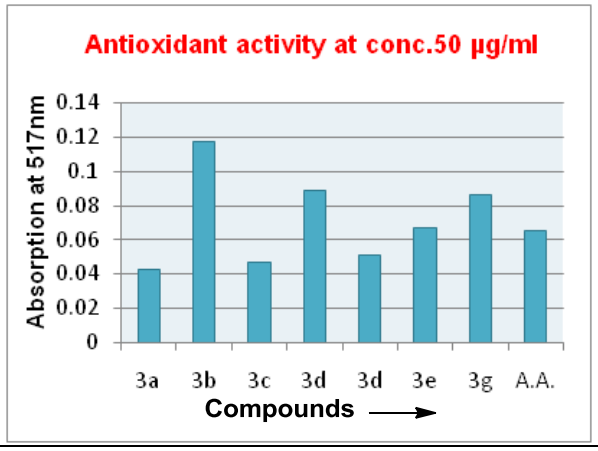
A rapid and efficient synthesis of 4-thiazolidinone fused with 1,2,4-triazole has been developed. So we have synthesized different new compounds in which 4-oxo-thiazolidines coupled with 1,2,4-triazole ring. All synthesized compounds were characterized by their spectral studies and elemental analysis, and DPPH radical scavenging essay of certain new triazolo-schiff bases derivatives bearing aryl moiety is described.



R= (3a) p-Br (3b) p-Cl; (3c) p-NO_2;
(3d) p-OH; (3e) p-OMe;
(3f) p-Me; (3g) o-Br.



Heterocyclic Letters 6: iss.-4 (2016), 767-774

One pot synthesis of 4h-pyrano [2, 3,-c] pyrazole using ni-ferrite nanoparticles.

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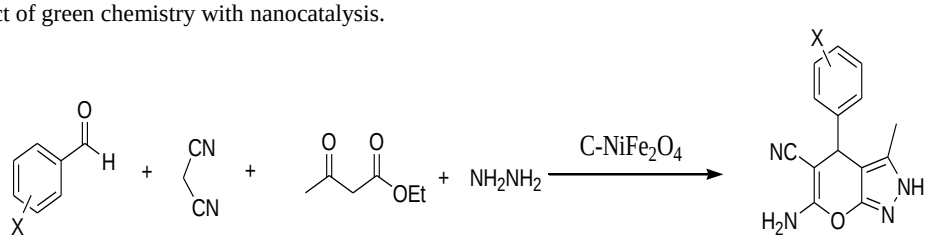
An efficient $NiFe_2O_4$ heterogeneous basic nanocatalyst catalyzed One-pot four component synthesis of '4H-pyrano[2,3,-c]pyrazole' using substituted aromatic aldehyde, malononitrile, ethyl acetoacetate and hydrazine hydrate at room temperature. Particularly valuable feature of this method includes shorter reaction time, low catalyst loading, use of recyclable heterogeneous $NiFe_2O_4$ catalyst, straightforward procedure and synthesis of product in excellent yield is reported. It combines successfully the synergistic effect of green chemistry with nanocatalysis.



Heterocyclic Letters
Vol. 6| No.4 |576-589 |Aug-Oct| 2016
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Heterocyclic Letters 6: iss.-4 (2016), 775-793

Palladium catalyzed suzuki coupling reaction for synthesis of novel di substituted quinazoline-sulphonamide derivatives and their biological screening

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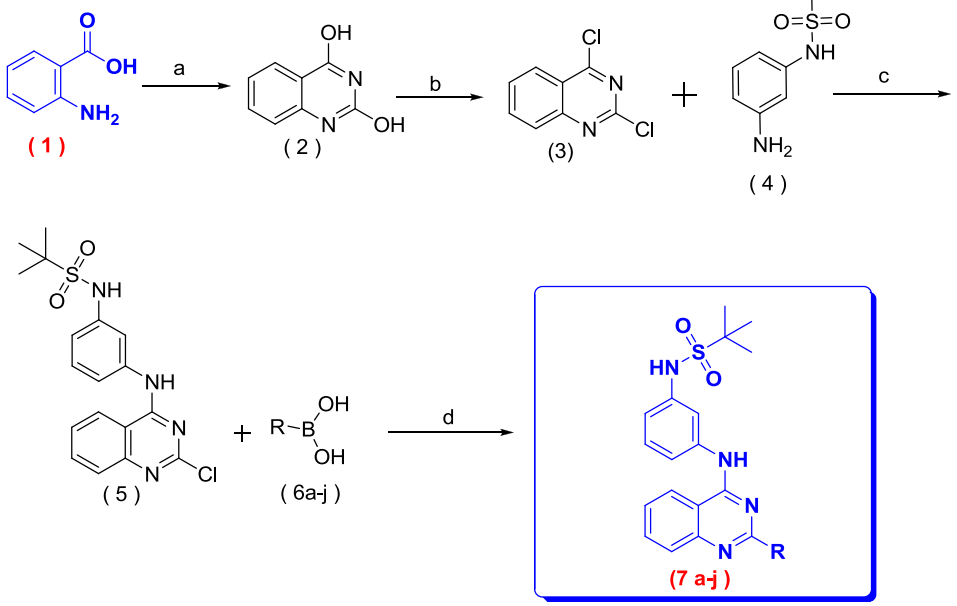
[1&2] Department of Chemistry, Sri Krishnadevaraya University, Anantapuramu, (A P) INDIA.
[3]R & D Center, BioMax Life Sciences, HYDERABAD, (T.S.) INDIA.

New benzodiazaphosphorin-2-oxide derivatives 3 were synthesized and characterized and conformed by IR, NMR, Mass Spectral analysis. Further these successfully synthesized Quinazoline derivatives (7a-j) have been screened for their Antimicrobial activities. From anti-bacterial and anti-fungal activity screening results, it has been observed that compounds 7i, 7j, 7d possess good activity.

Synthetic Scheme



Reagents and Reaction conditions: (a) Urea, 150°C, 3 hrs (d) $POCl_3$, N-ethyl - N,N di isopropyl amine Reflux, 6 hrs (c) *tert*-BuOH, N,N Di isopropyl Ethyl amine, 90°C, 16 hrs (d) Toluene, Na_2CO_3, Water, $Pd(PPh_3)_4$, RT-110°C, 12 hrs.

Heterocyclic Letters
Vol. 6| No.4 |576-589|May-July| 2016
ISSN : (print) 2231–3087 / (online) 2230-9632
CODEN: HLEEAI
http://heteroletters.org

Heterocyclic Letters 6: iss.-4 (2016), 795-803

Synthesis and Antimicrobial Studies of indolyl pyrimidines

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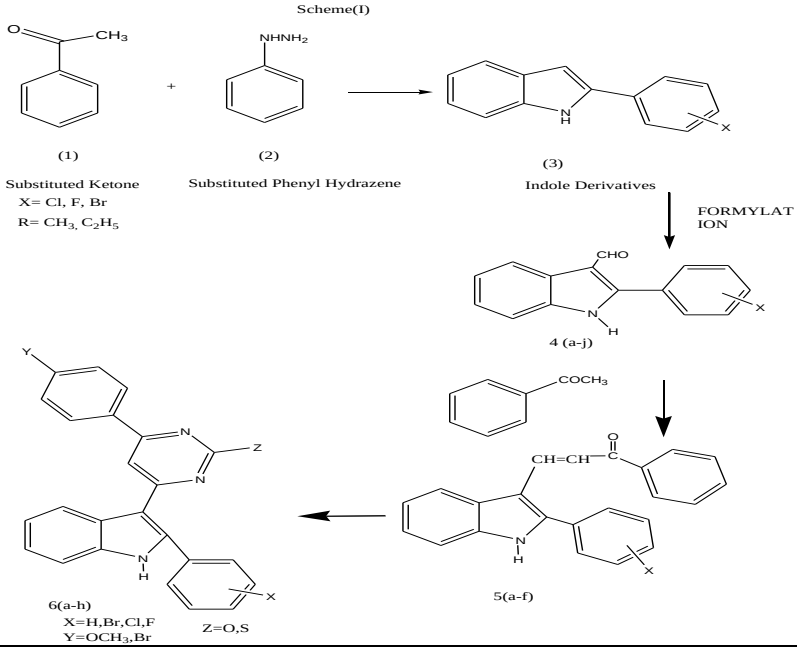
Many classes of chemotherapeutic agents containing pyrimidine nucleus are in clinical use such as antibacterial (Sulfadiazine,sulfamerazine and sulfamethazine), anticancer (5- fluorouracil and ftorafur), antiviral (iodoxuridine, trifluridine and zidovudine)agents. The reaction of indolyl chalcone with urea or thiourea gave indolyl pyrimidines derivatives. All the synthesized compounds have been characterized by elemental and spectral (IR, PMR and Mass) analyses. All representative compounds have been evaluated for their antibacterial and antifungal activities.

Scheme(I)



Heterocyclic Letters
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CODEN: HLEEAI
http://heteroletters.org

Heterocyclic Letters 6: iss.-4 (2016), 805-815

A green, microwave assisted and efficient protocol for synthesis of 2-(4-substituted phenyl)-1*h*-benzimidazole catalyzed by nickel nitrate and their molecular docking study

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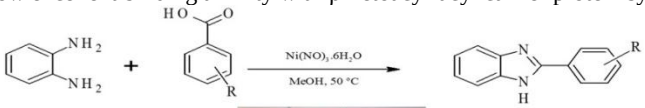
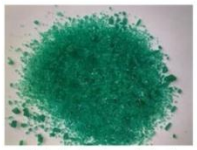
A simple green, efficient method have been developed here for the synthesis of 2-(4-substituted phenyl)-1*H*-benzimidazole derivatives via cyclocondensation of o-phenylenediamine with aromatic carboxylic acids under microwave irradiation using transition metal nitrates as a catalyst in an organic solvent. Moreover, molecular docking study of synthesized compounds have been done and they found to show excellent binding affinity with β-ketoacyl-acyl carrier protein synthase (1HNJ).





Nickel nitrate catalyst

REVIEW

Heterocyclic Letters 6: iss.-4 (2016), 817-831

Biological Activities of Various Pyrrolopyrimidine Derivatives: A Mini Review

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Pyrrolopyrimidine is a bicyclic nitrogen containing compound where a pyrimidine nucleus is fused to a pyrrole. There are five different structural variations of a basic ring systems [2,3-d], [3,2-d], [3,4-d], [1,2-a] and [1,2-c] are possible. Pyrrolopyrimidine derivatives have been posses different types of pharmacological properties like such as antimicrobial, diuretics, antioxidant, anti-inflammatory, analgesic, antidiabetic, antiviral and anti-cancer and other anticipated activities.