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Graphical Abstract

Heterocyclic Letters 9: iss.-1 (2019), 11-19

Design and synthesis of two 3-Aza-bicyclo[3.3.1]nonene-estrone derivatives

Figueroa-Valverde Lauro*, Diaz Cedillo Francisco, Rosas-Nexticapa Marcela, Mateu-Armand Virginia, García-Cervera Elodia, Pool Gómez Eduardo, Hau-Heredia Lenin, Lopez-Ramos Maria,Alfonso-Jimenez Alondra, Cabrera-Tuz Jhair.

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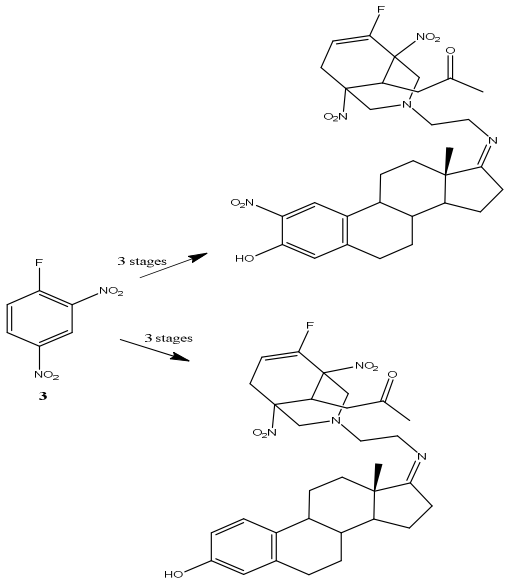
Several 3-Aza-bicyclo[3.3.1]nonene derivatives have been prepared; however, some methods use expensive reagents and require special conditions. The aim of this study is to synthesize two new 3-Aza-bicyclo[3.3.1]nonene-estrone derivatives using a series of reactions which involve; *i*) imination; *ii*)cyclization; *ii*) addition. Chemical structure of the compounds was confirmed using elemental analysis and NMR spectrum. In conclusion, in this study, is reported a facile synthesis of two 3-Aza-bicyclo[3.3.1]nonene-steroid derivatives.



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Heterocyclic Letters 9: iss.-1 (2019), 21-26

Synthesis of 6-aroylmethylsulfinyl-1,4-dihydropyridines as potential multidrug resistance modulators

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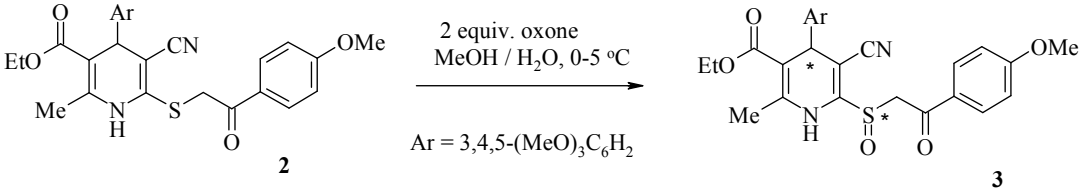
6-Aroylmethylsulfinyl-1,4-dihydropyridines have been prepared by selective oxidation of 6-aroylmethylsulfanyl-1,4-dihydropyridines. Multidrug resistance modulating (P-glycoprotein inhibition) activity of 6-aroylmethylsulfinylderivative **3** bearing 3,4,5-trimethoxyphenyl group at positions 4 of the ring exceeding that of corresponding 6-aroylmethylsulfanyl-1,4-dihydropyridine **2** and verapamil has been revealed.



2 **3**

Heterocyclic Letters 9: iss.-1 (2019), 27-33

Synthesis and Biological Evaluation of N-Arylpyrazole Fused 7-Azaindazole Derivatives as Antibacterial Agents

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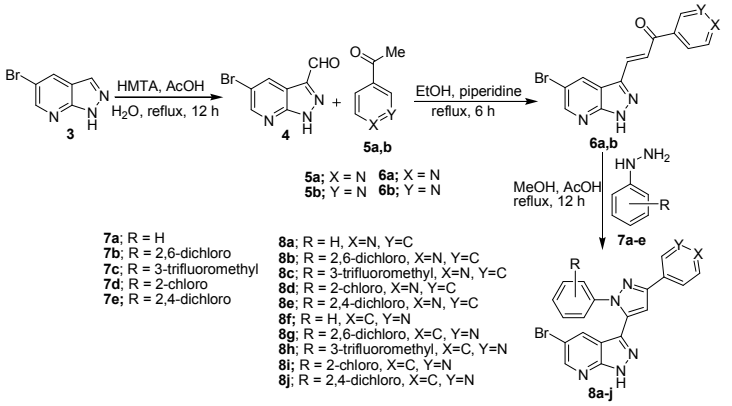
A new series of N-arylpyrazolo-7-azaindole derivatives (8a-j) and their structures were synthesised and confirmed by ^{1}HNMR, ^{13}CNMR and mass spectral analysis. Further, all these newly compounds were evaluated for their antibacterial activity against both gram negative (Pseudomonas aeruginosa, Escherichia coli) and gram positive (Bacillus subtilis, Staphylococcus aureus) bacterial strains.



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Heterocyclic Letters 9: iss.-1 (2019), 35-39

Polyaniline sulfate salt mediated one-pot three-component synthesis of triheterocyclic 4*H*-pyrimido[2,1-*b*]benzothiazole derivatives

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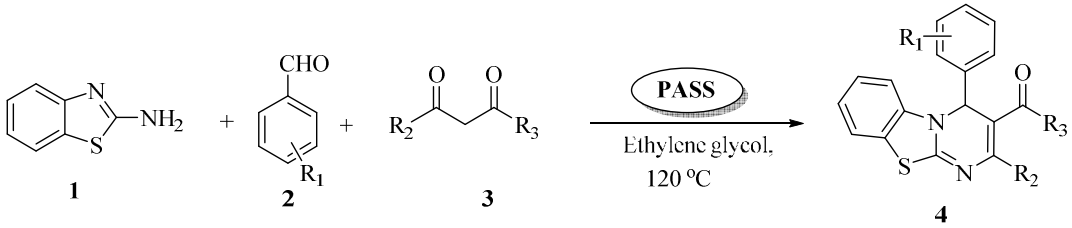
Corresponding author E-mail: thyp123@gmail.com

An alternative route have been developed for the synthesis of triheterocyclic 4*H*-pyrimido[2,1-*b*]benzothiazole derivatives using polyaniline-sulfate salt as a recyclable catalyst by the condensation reaction of aldehydes, *β*-dicarbonyls and 2-aminobenzothiazole in good to excellent yields.



Heterocyclic Letters 9: iss.-1 (2019), 41-45

Synthesis of some novel 2,14-dimethyl/2,3,13,14-teramethyl-8-aryl-5,6,7,9,10,11-hexahydrobenzo[6,1] cyclohepta[b,e]pyridine derivatives from sustituted benzosuberones

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Maisammaguda,Dhoolapalli, Hyderabad,Telangana, 500 014, India.
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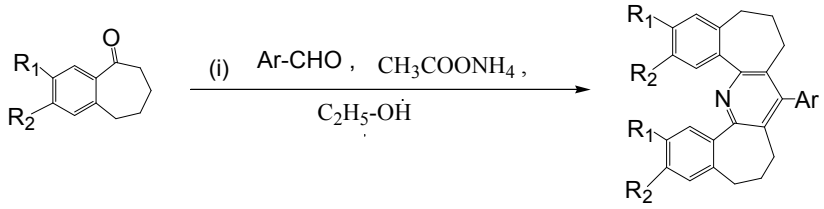
2,14-dimethyl/2,3,13,14-tetramethyl-8-aryl-5,6,7,9,10,11-hexahydrobenzo[6,1]cyclohept [b,e]pyridine derivatives obtained by the condensation of 3-methyl benzocyclohepten-5-one with appropriate aromatic aldehydes and ammonium acetate. The structures were confirmed from their spectral analysis.



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Heterocyclic Letters 9: iss.-1 (2019), 47-54

Design, synthesis and characterization of some novel isoquinoline associated 1,2,3-triazoles as modern antifungal agents

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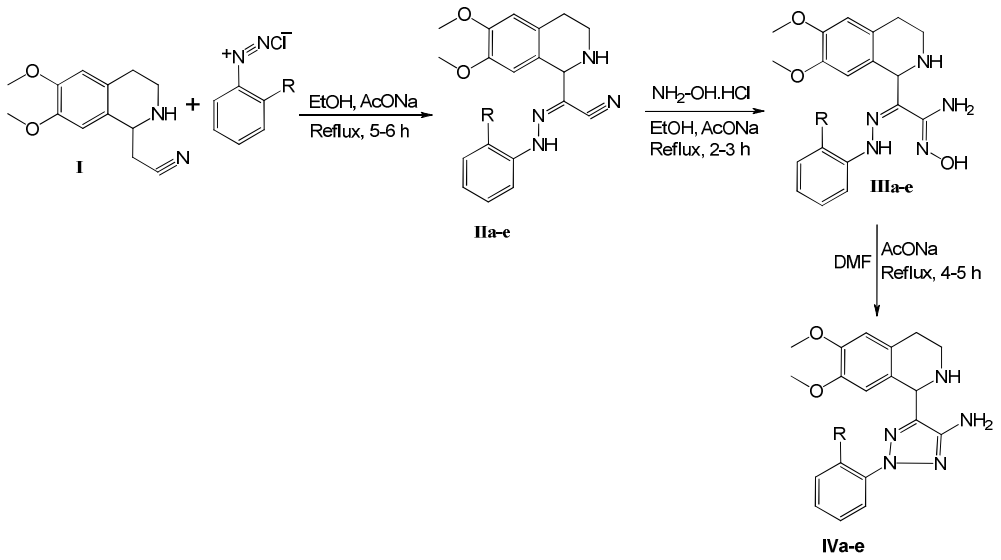
A novel series of 5-(1,2,3,4-tetrahydro-6,7-dimethoxyisoquinoline-1-yl)-2-phenyl-2*H*-1,2,3-triazol-4-amines (IVa-e) were synthesized in good yields from the raw material, 2-(1,2,3,4-tetrahydro-6,7-dimethoxyisoquinolin-1yl)acetonitrile (I) and by involving (*Z*)-2-(2-phenylhydrazono)-2-(1,2,3,4-tetrahydro-6,7-dimethoxyisoquinolin-1yl)-acetonitriles (IIa-e) and (1*Z*,2*Z*)-2-(2-phenylhydrazono)-2-(1,2,3,4-tetrahydro-6,7-dimethoxyisoquinolin-1yl)-*N*′-hydroxy acetamides (IIIa-e) as intermediates. The resulted compounds have been characterized via elemental analysis and different spectroscopic techniques. In addition, an antifungal screening of the title compounds was also done against four representative fungal strains and all tested compounds exhibited moderate to good growth inhibition activity with degree of variation.



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Heterocyclic Letters 9: iss.-1 (2019), 55-62

Synthesis of biologically active bromo derivative of [b] carbazole by an electrophilic and free radical bromination

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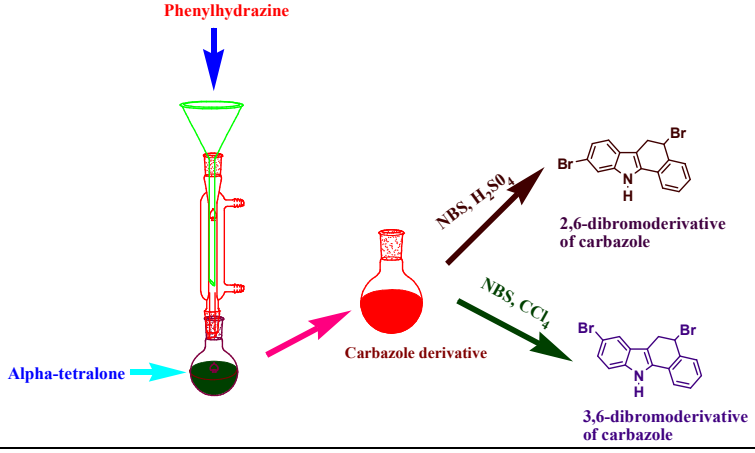
The prevalence of heterocycles in medicinally important compounds continues to derive the need for new methods for their preparation. Carbazole derivatives are known to have important biological properties. 5,9-Dibromo-6,11-dihydro-5H- benzo [α]carbazole was prepared in good yield by an electrophilic bromination using NBS/ con.H_2SO_4. The synthesized compound were characterized and confirmed by FTIR, ^{1}H NMR, ^{13}C NMR and Mass spectroscopy. The synthesized compounds were carried out under antibacterial evaluation. The results showed that the synthesized compounds exhibit good antibacterial activity.



Heterocyclic Letters 9: iss.-1 (2019), 63-69

Protection of aluminium, mild steel and carbon steel in 3 m sulfuric acid medium by acetaminophen: heterocyclic compound as anticorrosion agent

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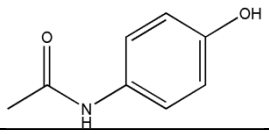
**Corresponding author: rcbhat3@gmail.com*

The corrosion inhibition effect of heterocyclic compound (Acetaminophen) on aluminium, mild steel and carbon steel in 3 M sulfuric acid (H_2SO_4) medium was examined through gasometric and weight loss technique. The results show that, the metal corrosion rate (aluminium, mild steel and carbon steel) was greatly decreased with rise in the Acetaminophen concentrations. The increase in the solution temperature leads to slightly decrease the protection efficiency of the Acetaminophen. The slight deviation observed in the gasometric and weight loss results. The different technique and condition is the main reason for the observed deviation in the results. The Acetaminophen protect the metal from 3 M sulfuric acid system in the order of Carbon steel > Mild steel > aluminium.



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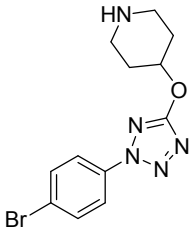
Heterocyclic Letters 9: iss.-1 (2019), 71-77

A facile synthesis and docking studies of 2,5-disubsituted 2H-tetrazole derivatives

Srivani K[1,2], Giri T[1], Laxminarayana E[3] and Thirumala Chary M[1*]

[1]*Jawaharlal Nehru Technological University Hyderabad, Kukatpally, Hyderabad, Telangana -500 085 India*
[2]*SR Engineering College (Autonomous), Ananthsagar,Hasanparthy, Warangal, Telangana 506371*
[3]*Sreenidhi Institute of Science and Technology (Autonomous) Yamnampet, Ghatkesar, Hyderabad- 501301, Telangana, India*

Interest in tetrazole chemistry over the past few years has been increasing rapidly, mainly as a result of the role played by this heterocyclic functionality in medicinal chemistry as a metabolically stable surrogate for carboxylic acid functionalities.
A simple and efficient method was developed to synthesize 4-((2-(4-bromophenyl)-2H-tetrazol-5-yl)oxy)piperidine from 1-fluoro-4-nitrobenzene. All the synthesized compounds were characterized by spectral analysis.



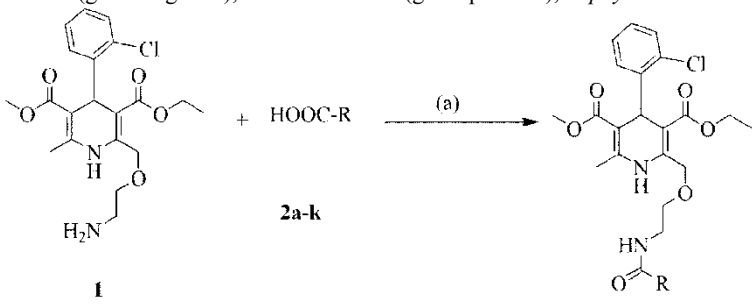
Heterocyclic Letters 9: iss.-1 (2019), 79-83

Design and synthesis of 3-ethyl 5-methyl 2-((2- substituted aminoethoxy)methyl)-4-(2-chlorophenyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate analogues as anti-tubercular and anti-bacterial agents

Gutala Jeevan Raghavendra* and V Siddaiah

Department of Organic chemistry & FDW, Andhra University, Vishakapatnam-530003
E-mail: jeevan.gutala@gmail.com

A series of eleven novel 3-ethyl 5-methyl 2-((2- substituted aminoethoxy)methyl)-4-(2-chlorophenyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate analogues were synthesized, characterized (^{1}H NMR, ^{13}C NMR and MS) and screened for their *in vitro* anti-tubercular activity against $MTBH_{37}Rv$ strain and anti-bacterial activity against *Pseudomonas aeruginosa* (gram-negative), *Escherichia coli*(gram-negative), *Bacillus subtilis* (gram-positive),*Staphylococcus aureus* (gram-positive).



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Heterocyclic Letters 9: iss.-1 (2019), 85-92

Synthesis, characterization and biological activity of some novel benzimidazole linked 1,3,4-oxadiazoles

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***Corresponding author:** Chunduri Venkata Rao*
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***ORCID**: 0000-0001-8008-3763*

Novel benzimidazole-1,3,4-oxadiazole derivatives (**4a-o**) were prepared from ethyl 3-((5-chloro-2-nitro phenyl)(phenyl)amino)-3 oxo propanoate (**1**) and tested for their antimicrobial activity. They (**4a-o**) were characterized by ^{1}HNMR, IR and Mass spectral data. Among the synthesized compounds **4l** and **4m** showed significant antibacterial activity.

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[DBU][OAc] mediated: One pot, four-component synthesis dihydrophthalazin-4-heteroyl - 4h-pyrans

Rama Koteshwar Rao* and Shravankumar Kankala

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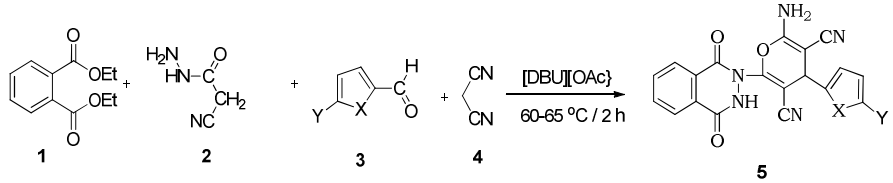
One pot, four-component environmentally synthesis of 2-amino-6-(1,4-dioxo-3,4- dihydrophthalazin-2(1H)-yl-4-heteroyl-4H-pyran-3,5-dicarbonitriles **5a-5f** have been synthesisied by condensing diethyl phthalate **1**, ethyl cyanohydrazide **2**, heteroaromatic aldehydes **3a-3f** and active methylene compounds **4** in [DBU][OAc] medium1,8-diazabicyclo[5.4.0]-undec-7-en-8-ium acetate, at 60-65 ^oC for 2 h. Particularly valuable features of this method include high yield, broad substrate scope, shorter reaction times and straightforward procedure.



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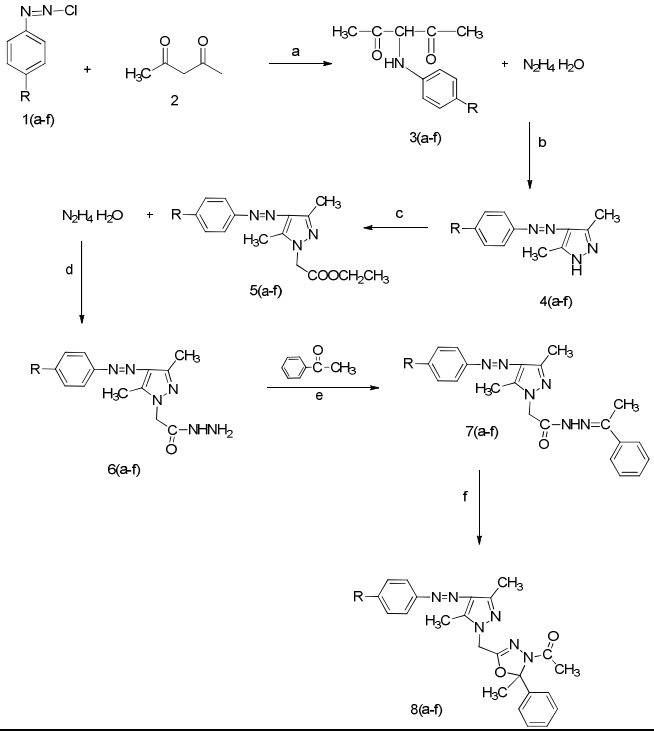
Heterocyclic Letters 9: iss.-1 (2019), 101-108

Synthesis Characterization and biological evaluation of novel 1-(5-((3,5-dimethyl-4-((4-(trifluoromethyl)phenyl)diazenyl)-1H-pyrazol-1-yl)methyl)-2-methyl-2-phenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone

***S.Murali Krishna, P. Suresh Babudr. APJ Abdulkalam, IIIT- Ongole**

Rajiv Gandhi University of Knowledge Technologies-ap Alembic Pharmaceuticals Limited, Gorwa, Vadodara, Gujarat 390003A.P.India

The article is aimed to synthesize, characterize and screening the biological activity of 1-(5-((3,5-dimethyl-4-((4-(trifluoromethyl)phenyl)diazenyl)-1H-pyrazol-1-yl)methyl)-2-methyl-2-phenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone 8(a-f). 1-Chloro-2-phenyldiazene and pentane-2,4-dione were dissolved in DMF.To this reaction mixture anhydrous K_2CO_3 was added and the reaction mixture was stirred at room temperature(35^0C) for 8 hours .To afford 3-(phenylamino)pentane-2,4-dione**.** To this reaction mixture added Hydrazine hydride,chloroethyl acetate,acetophenone,EtoH and three drops of acetic acid is added and then heated on a steam bath for 5-6 hrs.To obtain 2-(3,5-dimethyl-4-((4-(trifluoromethyl)phenyl)diazenyl)-1H-pyrazol-1-yl)-N'-(1-phenylethylidene)acetohydrazide Compound(7).Finally compound 7(a) is treated with acetic anhydride to obtained target molecule 1-(5-((3,5-dimethyl-4-((4-(trifluoromethyl)phenyl)diazenyl)-1H-pyrazol-1-yl)methyl)-2-methyl-2-phenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone. The structure of these newly synthesized compounds were characterised by ^{1}H NMR,^{13}CNMR ,Mass ,IR, and elemental analysis. The antimicriobial activity of the novel compounds was screened by agar discdiffusion method.



	7a	7b	7c	7d	7e	7f
Comp	8a	8b	8c	8d	8e	8f
R	-H	-CH_3	-OCH_3	-Cl	-NO_2	-CF_3

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Heterocyclic Letters 9: iss.-1 (2019), 109-111

Eco-friendly synthesis of *n*'-arylidene-6-hydroxy-2-methylpyrimidine-4-carbohydrazides

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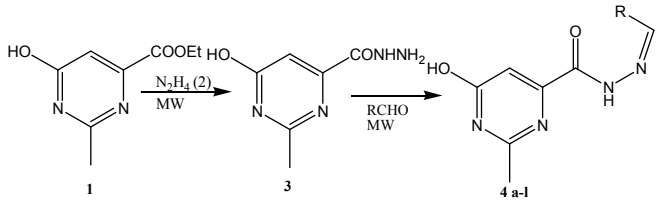
6-Chloro-2-methylpyrimidin-4-ol **(1)** reacts with carbon monoxide to give Ethyl-6-hydroxy-2-methylpyrimidine-4-carboxylate **(2)**. This ester is converted into hydrazide 6-Hydroxy-2-methylpyrimidine-4-carbohydrazide **(3)** and coupled with different aldehyde to obtain *N*'-Arylidene-6-hydroxy-2-methylpyrimidine-4-carbohydrazides **(4)**.



REVIEWS

Heterocyclic Letters 9: iss.-1 (2019), 113-133

A review on the Utility of Cyano Ketene *S,S* And *N,S* Acetals in Heterocyclic Synthesis

Mona Emam Farhan*[a]

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This review article describes the synthesis and the cyclization reactions of cyanoketene *N*, *S* and *S*, *S*-acetalsas a building unit for the synthesis ofa wide variety of fused and five-to seven-membered heterocycles such as pyrazoles, thiazole, thiadiazoles, thiophene,triazole, pyridines, pyrimidines, azepines and quinazoline