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Graphical Abstract

Heterocyclic Letters 2: iss.-2, (2012), 146-149

Palladium catalyzed mono- and diarylation of 2-methylquinolines.

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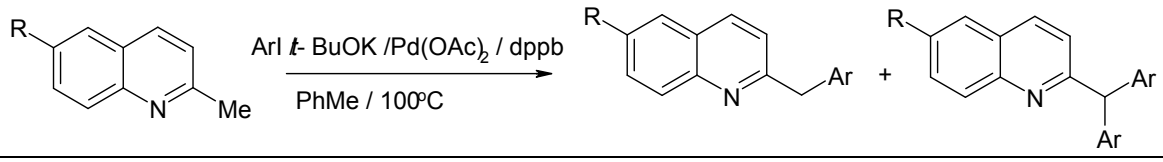
Reactions of 2-methylquinolines with aryl iodides in the system $Pd(OAc)_2$ (5 mol.%)/ dppb (1,4-bis(diphenylphosphino)butane) (10 mol.%) / *t*-BuOK (1.3 eq.) in toluene afforded mono- and diarylated products in yields up to 44 %.



Heterocyclic Letters 2: iss.-2, (2012), 150-153

Synthesis of some new pyrazolo [1,5-a] pyrimidines and their CNS activity

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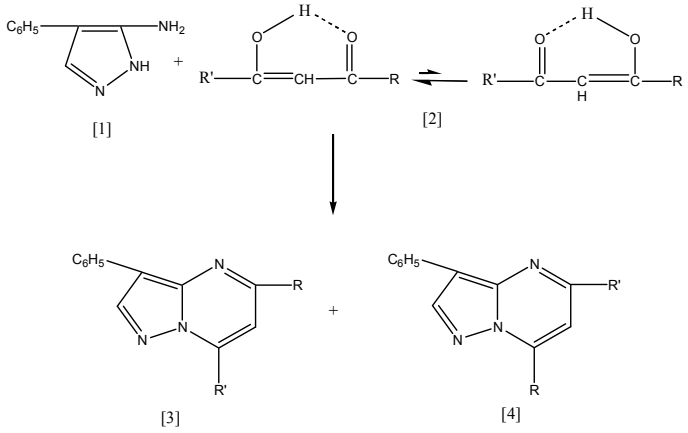
3-amino-4-phenylpyrazole condenses with appropriate fluorinated 1,3-diketone in absolute ethanol in presence of piperidine to give pyrazolo [1,5-a] pyrimidines. The structures of all these compounds have been confirmed by their IR, ^{1}H NMR, ^{19}F NMR, mass spectral data and elemental analysis. Compounds have been found to possess CNS depressant activity.



Heterocyclic Letters 2: iss.-2, (2012), 154-161

Synthesis and Biological Activities of 3-{6-[3-(substituted phenyl)-1-phenyl-1H-pyrazol-4-yl]-2-thioxo-1,2,5,6-tetrahydropyrimidin-4-yl}-2H-chromen-2-ones

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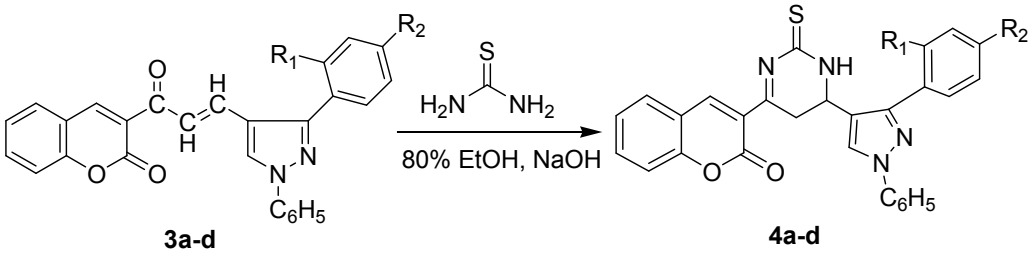
A series of 3-{6-[3-(substituted phenyl)-1-phenyl-1H-pyrazol-4-yl]-2-thioxo-1,2,5,6-tetrahydropyrimidin-4-yl}-2H-chromen-2-ones **(4a-d)** have been prepared by cyclisation of chalcones **(3a-d)** with thiourea. The structures of these newly synthesized compounds have been confirmed on the basis of elemental analyses and spectral studies. The newly synthesized compounds have been screened for their antimicrobial and antioxidant activities.



3a-d **4a-d**

a: R_1 =R_2=H b: R_1=OCH_3, R_2=OCH_3
c: R_1=H, R_2=NO_2 d: R_1=OH, R_2=H

Heterocyclic Letters 2: iss.-2, (2012), 162-166

An efficient one pot synthesis of 3-phenyl and 3-naphthylcoumarins using microwave irradiations

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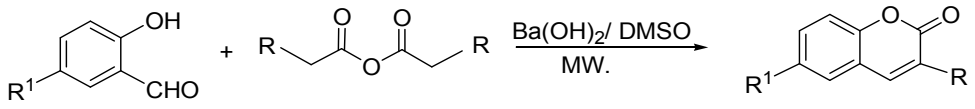
Microwave assisted an efficient modification of Perkin method has been obtained for the synthesis of 3-phenyl and 3-naphthylcoumarins by reacting 2-hydroxy benzaldehydes with phenylacetic anhydride/naphthylacetic anhydride in presence of activated barium hydroxide in DMSO medium.



Heterocyclic Letters 2: iss.-2, (2012), 168-173

Synthesis (Z)-3-(3-chloro-2-oxo-4-phenyl azetidin-1-yl)-4-(2`-(4`-substituted phenyl) hydrazono)-1-((5-thioxo-4,5-dihydro-1,3,4-oxadiazol-2-yl)methyl)-1H-pyrazol-5(4H)-one derivatives.

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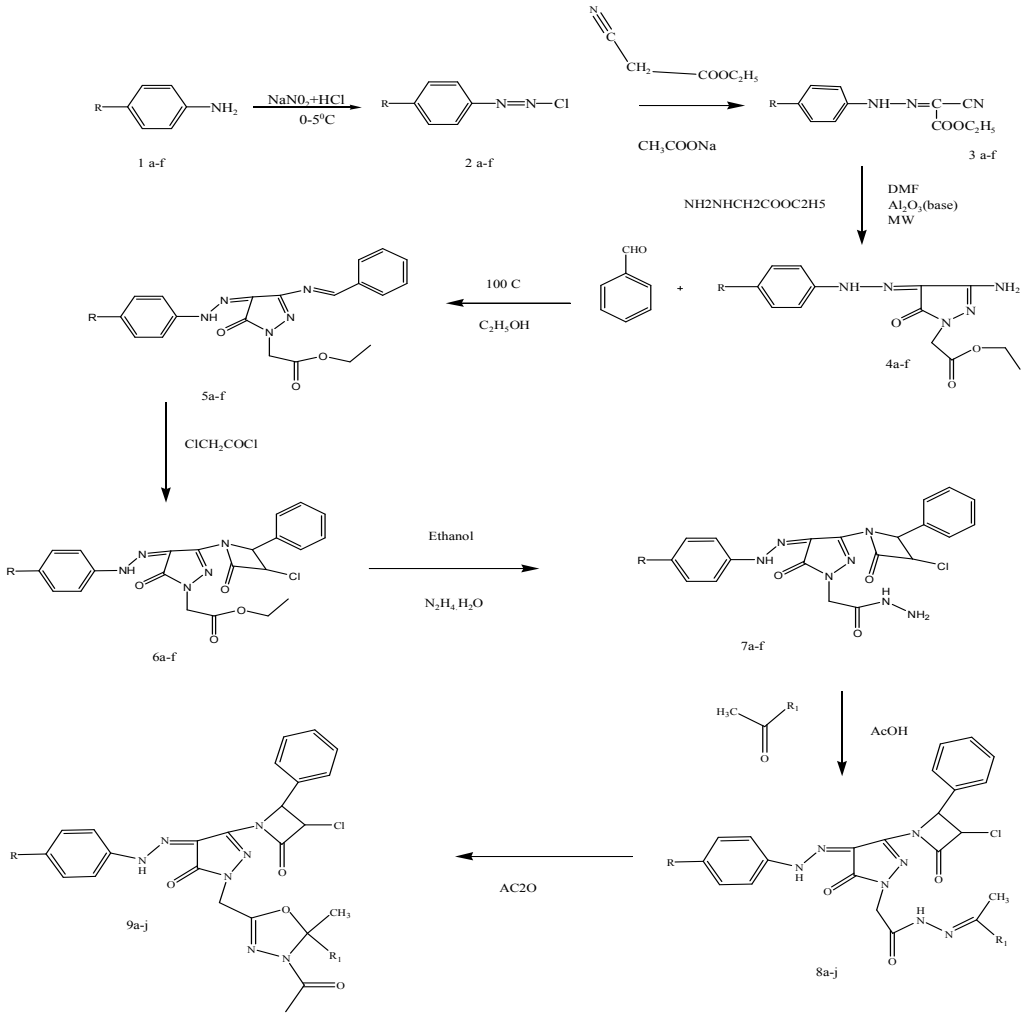
In present investigation, we have synthesised the Substituted 1, 3, 4-Oxadiazoles with oxophenylazetidine and pyrazoline ring systems to enhance the required biological activity. We have synthesised the required biologically active molecules by easily ongoing, cost effective, easily reproducible and feasible synthetic routs. Innovate synthetically most important and active molecules towards targeted diagnostic diseases and exhibit antibacterial, anticonvulsant, anticancer activities. The structures of all these compounds have been confirmed by IR, [1]HNMR, and elemental analysis.



Heterocyclic Letters 2: iss.-2, (2012), 174-180

Synthesis,Characterization And Biocidal Activity Of Novel Halogenated - 4-[(Substituted-Benzothiazol-2-Yl) Hydrazono]-2-(Substituted-Phenyl)-5-Methyl /Ethoxy -2,4-Dihydro-Pyrazol-3-One Derivatives

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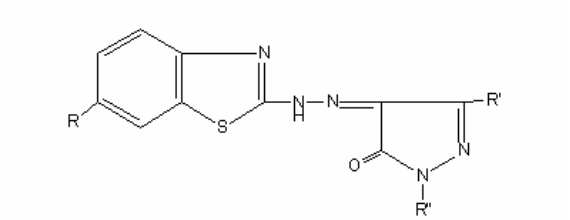
Some new 4-[(substituted-benzothiazol-2-yl)hydrazono]-2-(substituted-phenyl)-5-methyl/ethoxy-2,4-dihydro-pyrazol-3-one(4) have been synthesized by reacting substituted 2-amino benzothiazol (1) with acetoacetic ester and malonic ester (2). 2-[(substituted-benzothiazol-2-yl)hydrazono]-3-oxo-butyric acid ethyl ester and 2-[(substituted-benzothiazol-2-yl)hydrazono]- malonic acid diethyl ester (3) react with different hydrazines to give the title compounds(4)and evaluated for antifungal and insecticidal activities.



Heterocyclic Letters 2: iss.-2, (2012), 182-191

A new copper-catalyzed pathway to benzo and pyridyl fused imidazo-, triazolo- and pyrimido-thiazines

Edgars Abele, Tatjana Beresneva, Sergey Belyakov, Juris Popelis, Kira Rubina

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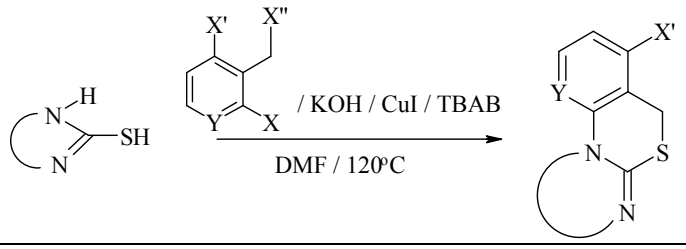
A simple one flask method for the selective preparation of benzo and pyridyl fused imidazo[2,1-b][1,3]thiazines, [1,2,4]triazolo[5,1-b][1,3]thiazines, and pyrimido[2,1-b][1,3]thiazin-6-ones from corresponding thiols and o-halobenzyl bromides or 2-bromo-3-chloromethylpyridine in the system solid KOH / CuI / TBAB / DMF has been developed.



Heterocyclic Letters 2: iss.-2, (2012), 192-197

Synthesis of derivatives of 8*H*-indeno[1,2-d]thiazol-2-amines *via* α-bromo, α,α-dibromo and α-tosyloxy carbonyl compounds

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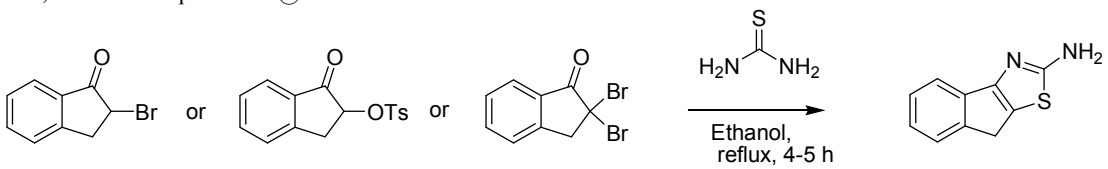


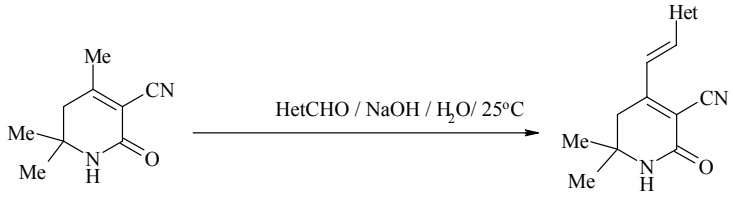
Heterocyclic Letters 2: iss.-2, (2012), 198-205

Synthesis and cytotoxicity of 4-[(*E*)-hetaryl-vinyl]-6,6-dimethyl-2-oxo-1,2,5,6-tetrahydro-pyridine-3-nitriles

Daina Jansone, Juris Popelis, Elina Jaschenko, Anita Gulbe, Irina Shestakova, Mendel Fleisher, Sergey Belyakov, Edgars Abele

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

A detailed investigation of condensation of 4,6,6-trimethyl-2-oxo-1,2,3,4-tetrahydropyridine-3-nitrile with heteroaromatic aldehydes in the presence of catalytic amounts of NaOH in EtOH were presented. 4-[(E)-Hetaryl-vinyl]-6,6-dimethyl-2-oxo-1,2,5,6-tetrahydro-pyridine-3-nitriles were isolated in 50-97 % yields. The cytotoxicity of studied compounds towards HT-1080 (hyman fibrosarcoma), MG22A (mouse hepatoma) and 3T3 9 (mouse embryonic fibroblasts) were presented. 4-[(E)-2-(6-Bromo-2-pyridyl)-vinyl]-6,6-dimethyl-2-oxo-1,2,5,6-tetrahydro-pyridine-3-nitrile exhibit high activity against MG-22A cancer cell line.



1 **2-8**

Heterocyclic Letters 2: iss.-2, (2012), 206-212

Microwave-Assisted Synthesis of 1,3,4 -Oxadiazoles containing pyrazolones

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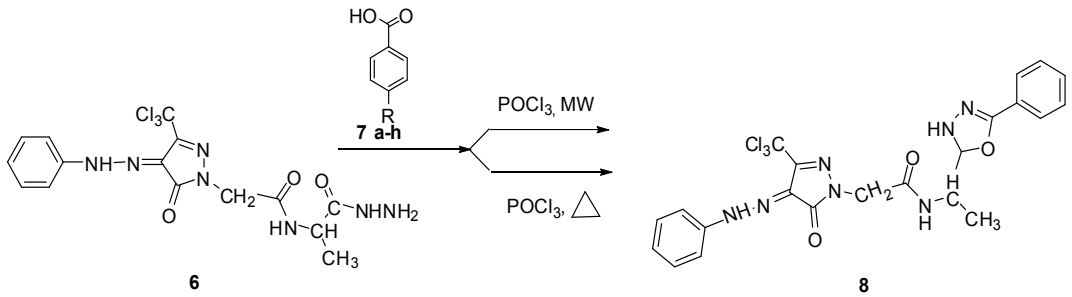

6 **8**

	Heterocyclic Letters 2: iss.-2, (2012), 214-219

A simple synthesis of 2- amino-4-thiophenyl-4H,5H-[1]-benzo pyrano[4,3-b]-pyran-3-carbonitriles and evaluation of antibacterial activity

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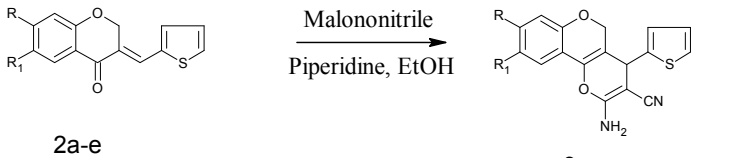
a. Department of Chemistry, Osmania University Hyderabad-500007
b. Department of Chemistry, PG College of Science, Saifabad. Osmania University, Hyderabad 500004

Synthesis of novel densely functionalized 2-amino-4-thiophenyl-4H,5H-[1]-benzo pyrano[4,3-b]-pyran-3-carbonitriles **3a-e** has been accomplished by the Michael addition of malononitrile to the *α,β*-unsaturated -3-thiophenylidene-4-chromonones **2a-e.** The antibacterial activity of new compounds evaluated.



2a-e 3a-e

a) R= OCH_3, R_1= H b) R= H, R_1= Cl c) R= H, R_1= Br

d) R= Cl, R_1= H e) R= Br, R_1= H

Scheme-1

REVIEWS

	Heterocyclic Letters 2: iss.-2, (2012), 220-235

Recent Developments in Fluorination Chemistry of DAST with Special Reference to Alcohols

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Dedicated to late Prof. V. N. Pathak

Fluorine containing organic compounds have influenced both medicinal and agrochemical fields. The presence of fluorine or a fluorine containing group causes notable changes in the physical and chemical properties of ordinary organic compounds. The most significant method to introduce fluorine into organic compounds is the nucleophilic replacement of oxygen with fluorine. Diethylaminosulfur trifluoride (DAST), Bis(2-methoxyethyl)aminosulfur trifluoride (BAST) or deoxofluor are the popular fluorinating reagents. By the use of these reagents, organic compounds that contain oxygen in hydroxyl and carbonyl groups are readily converted into their corresponding fluorinated analogues by the introduction of one or two fluorine atoms respectively. Our interest in applying various synthetic methods to incorporate fluorine or a fluorinated group into a large variety of organic compounds encouraged us to summarize the recent chemistry of DAST.