Graphical Abstract
Heterocyclic Letters, Vol. 1, Issue. 1, 2011

Heterocyclic Letters 1 (2011), 9 - 16

Click Chemistry As An Efficient Tool To Access6-Amino-5-Cyano-2(1 *H*)-Pyrimidinone Dimers

Ennaji Najahi[1,2], Jan Sudor [2,3], Françoise Nepveu[2,3,*], Fethi Zribi[1], Romain Duval[2,3] and Fakher Chabchoub[1]

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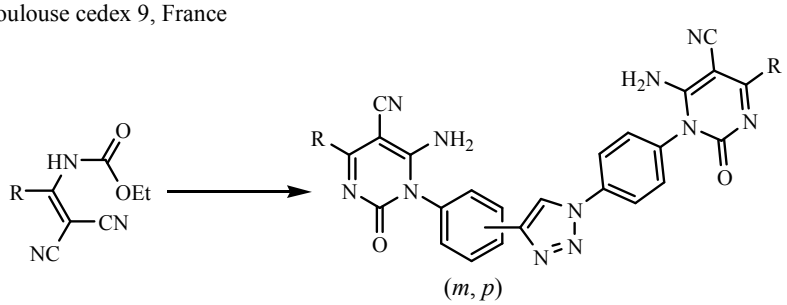

(*m*, *p*)

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PTSA Catalyzed KSF solid supported Michael addition on styrylisoxazoles and their reductive cyclization to azepines

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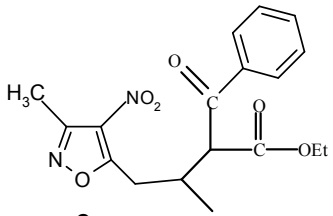
The Michel addition of styrylisoxazoles with ethyl benzoyl acetate in presence of *p*-toluene sulfonic acid (PTSA) catalyst supported on KSF solid furnished the Michael adducts in excellent yields in short time. The Michael adducts underwent reductive cyclization on treatment with $SnCl_2$- MeOH to afford isoxazolo [4,5-*b*] azepines in high yields.



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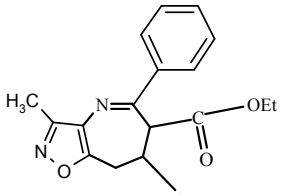

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Synthesis, Characterization and Antimicrobial Activity of substituted Phenyl Benzisoxazole

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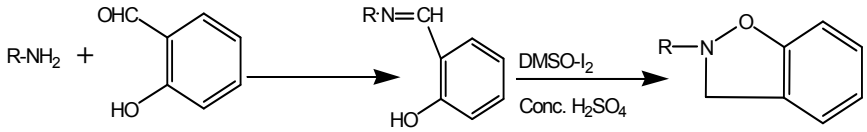
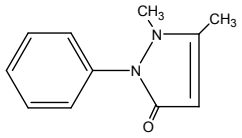
Substituted 1,2-benzisoxazole have been prepared by the condensation reaction of Schiffs base with DMSO-I_2-H_2SO_4. The structures of all these newly synthesized compounds have been confirmed by spectral and analytical data and the compounds have been screened for their antifungal activities.



1a-e **2a-e**

a = 4-F.C_6H_4,
b = 2-F.C_6H_4
c = 2-CF_3.C_6H_4
d = 2-Cl-5-CF_3-C_6H_3

e = 

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Synthesis and antibacterial activity of new 3-methyl-2-phenylspiro[pyrano[2,3-f]chromone-8,1'-Cycloalkan/8,4'-piperidin]-4,10-diones

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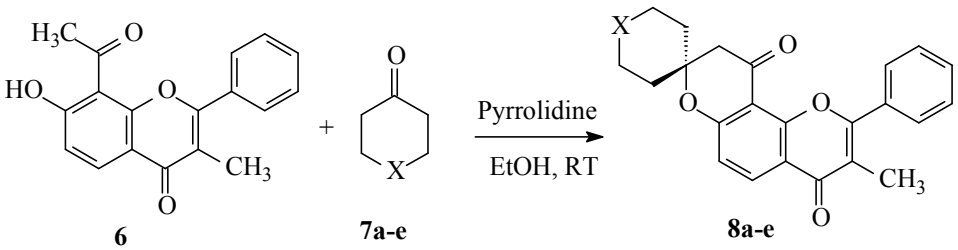
8-Acetyl-7-hydroxy-3-methylflavone **(6)** on reaction with cycloalkanones/N-substituted piperidones **(7a-e)** in presence of pyrrolidine as catalyst gave 3-methyl-2-phenylspiro[pyrano[2,3-f]chromone-8,1'-cycloalkan/8,4'-piperidin]-4,10-diones **(8a-e)** in good yields. Some of them have shown very good antibacterial activity.



6 **7a-e** **8a-e**

X=CH_2, Nil, N-Me, N-Boc, N-Bn

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Synthesis And Antibacterial Activity Of Some Novel Substituted Imidazole Derivatives

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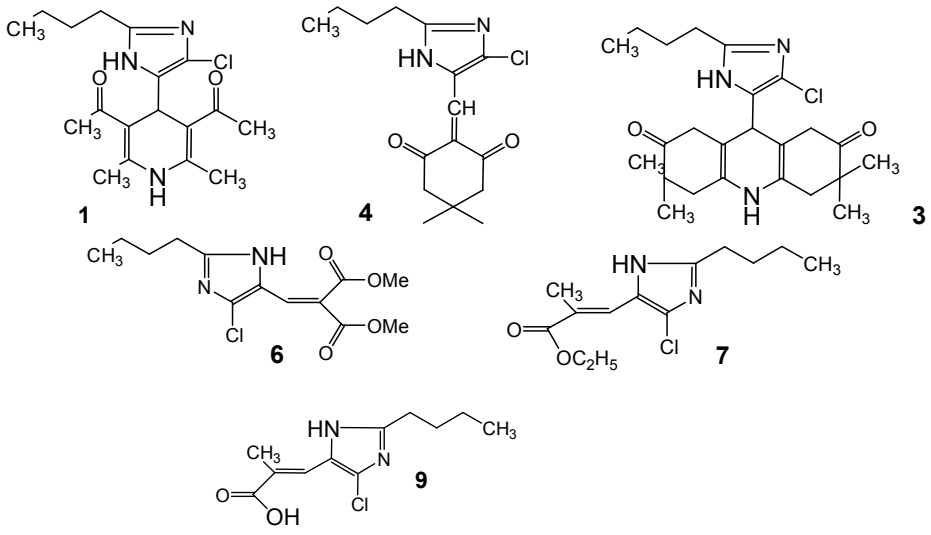
Compound **1** is synthesized by reacting acetyl acetone with 2-butyl-5- chloro-4-formaldehyde-1, 3 imidazole at reflux condition in presence of ammonium acetate. Compound **2** is synthesized by reacting Ethyl acetoaceate, ammonium acetate and 2-butyl-5- chloro-4-formaldehyde-1, 3 imidazole at reflux condition. Dimedone reacted with ammonium acetate and 2-butyl-5- chloro-4-formaldehyde-1, 3 imidazole at reflux conditon to give compounds **3** and **4**. Compounds **5** and **6** are prepared by reacting diethyl malonate and dimethyl malonate with ammonium acetate and 2-butyl-5- chloro-4-formaldehyde-1, 3 imidazole at reflux conditon. Compound **7** is prepared by stirring mixture of 2-butyl-5-chloro-4-formaldehyde-1, 3 imidazole and triphenyl phosporonium salt of ethyl propionate at room temperature in presence of isopropyl acetate as solvent. Compound **8** is synthesized by refluxing compound **7** with sodium methoxide in methanol and compound **9** is synthesized by refluxing compound **7** or **8** with sodium methoxide and water in methanol.



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An efficient synthesis of 1,2-oxazino[4,5-*b*]quinolin-1-one

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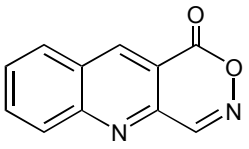
and Science College, Coimbatore 641 029, Tamil Nadu, INDIA, Department of Chemistry, Thiruvalluvar Government Arts College, Rasipuram-637 401, Tamil Nadu, INDIA).

A simple and efficient synthesis of 2-oxazino[4,5-*b*]quinolin-1-ones from 2-formyl-3-carbethoxy quinolines is described



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Heterocyclic Letters 1(2011) 47- 54

Synthesis and cytotoxicity of N-hydroxy-ω-(hetarylmethoxy or hetarylthio)-alkanamidines

Ivars Kalvinsh, Ramona Abele, Lena Golomba, Kira Rubina,
Julija Visnevska, Tatjana Beresneva, Irina Shestakova, Elina
Jaschenko, Veronika Bridane, Edgars Abele

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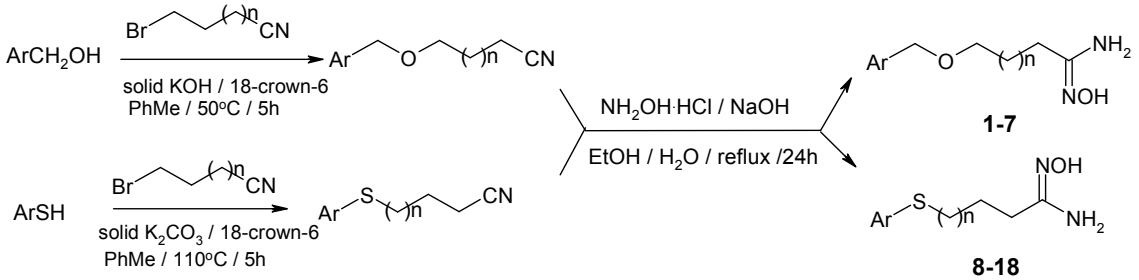
Synthesis of novel N-hydroxy-ω-(hetarylmethoxy or hetarylthio)-alkaneamidines was carried out in two steps. N-hydroxy-ω-(quinolylthio)-alkaneamidines exhibit high activity *in vitro* on monolayer tumor cell lines: MG-22A (mouse hepatoma) and HT-1080 (human fibrosarcoma).



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Manganese perchlorate catalyzed facile synthesis of polyhydroquinolines via Hantzsch multi-component condensation under ultrasonication

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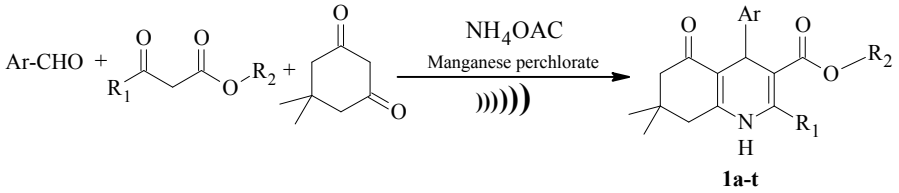
A facile one pot synthesis of polyhydroquinoline derivative **1a-t** from the condensation of aromatic aldehydes, ammonium acetate, dimedone and β-Keto esters has been achieved using hydrated manganese perchlorate as catalyst under ultrasonic irradiation.



1a-t

Heterocyclic Letters 1(2011) 61-67

A new protocol for the synthesis of 2-aminothiophenes through the gewald reaction in solvent-free conditions.

Josué S. Bello Forero[a], Erika M. de Carvalho[b], Joel Jones Junior[a]* and Flavia M. da Silva[a*]
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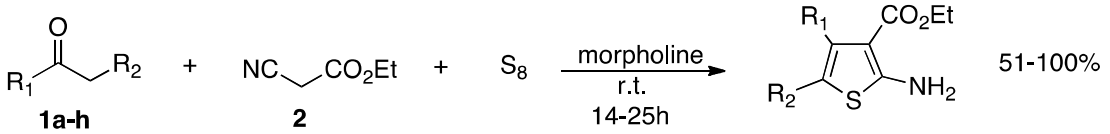
[b]Instituto de TecnologiaemFármacos, Far-Manguinhos, RuaSizenandoNabuco, 100, 21041-250, Rio de Janeiro, RJ, Brasil

A new set of green conditions have been developed for the preparation of tetrasubstituted 2-aminothiophene derivatives through the Gewald reaction between the respective ketones, ethyl cyanoacetate and elemental sulfur in the presence of morpholine. The synthesis was carried out under solvent-free conditions by stirring components at room temperature.



1a-h **2** **3a-h**

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Synthesis, characterization and biological activity of 1-[6-(2,4-dinitro-phenyl)-3-ethoxy-4-(substitutedphenyl)-5-phenyl-1, 3a, 4, 5-tetrahydro-pyrazolo-[3, 4-c]-pyrazole and 6-(2,4-dinitro-phenyl)-4-ethoxy-3-(substituted-phenyl)-3a, 6-dihydro-3H-pyrazolo-[3, 4-c]-isoxazole

V. Sareen*, V. Khatri, D. Shinde and S. Sareen

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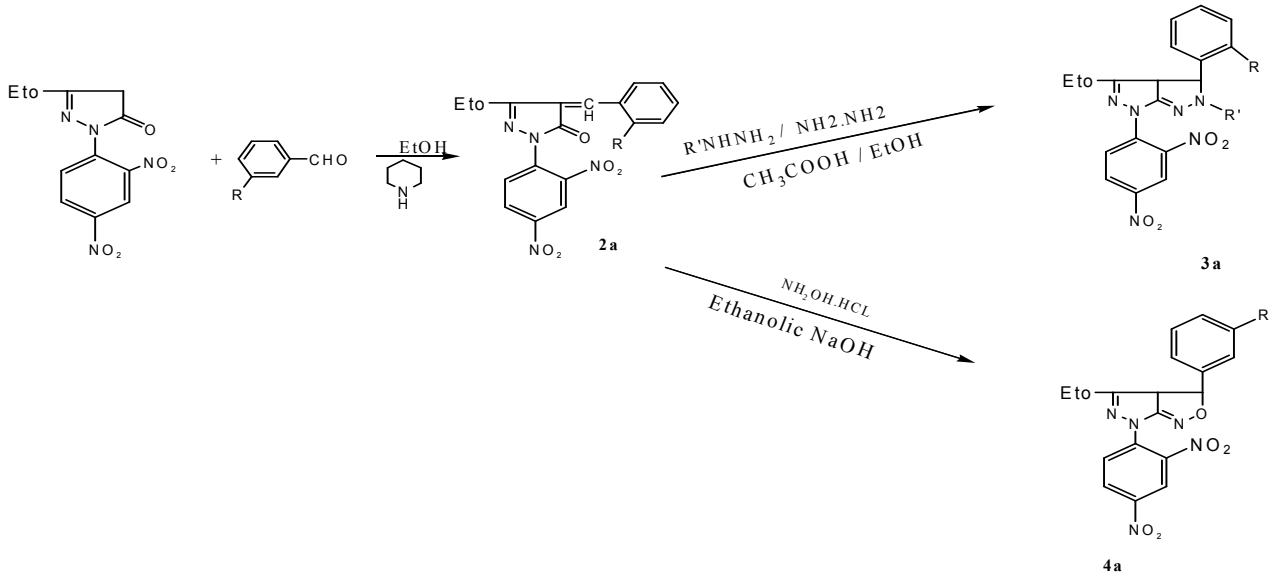
Substituted tetra hydro-pyrazolo-[3,4-c]-pyrazole and dihydro-3H-pyrazolo-[3,4-c]-isoxazole have been prepared by the reaction of 2-(2,4-dinitro-phenyl)-5-ethoxy-4-(substituted benzylidene)-2,4-dihydro-pyrazole-3-one with phenyl hydrazine and hydrazine hydrate in acetic acid and hydroxyl-amine hydrochloride in ethanolic NaOH.
The structures of all these newly synthesized compounds have been confirmed by spectral and analytical data and the compounds have been screened for their antifungal activities against *Macrophomina phaseolina* and *Alternaria burnsii* and insecticidal activities against *Corcyra cephalonica*



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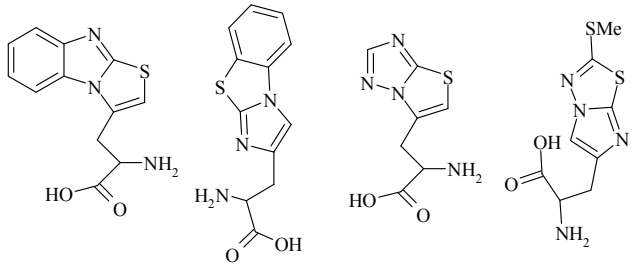
Synthesis of novel bicyclic and tricyclic thiazole and imidazole containing 2-aminopropionic acids

Tatjana Beresneva, Edgars Abele

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

Synthesis of four novel bicyclic and tricyclic amino acids (2-amino-3-benzo[4,5]imidazo[2,1-*b*]thiazol-3-ylpropionic acid (**4**), 2-amino-3-benzo[*d*]imidazo[2,1-*b*]thiazol-2-ylpropionic acid (**8**), 2-amino-3-thiazolo[3,2-*b*][1,2,4]triazol-6-ylpropionic acid (**12**), 2-amino-3-(2-methylsulfanylimidazo[2,1-*b*][1,3,4]thiadiazol-6-yl)propionic acid (**17**)) were carried out in three and four steps.



4 **8** **12** **17**

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Phase Transfer Catalyst: Synthesis Of Some Novel Biological Active Substituted Imidazole Derivatives

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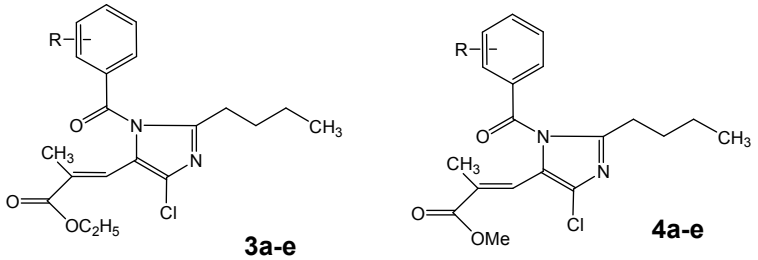
Compounds **3(a-e)** were synthesized by reacting compound **1** with substituted benzoyl chloride using phase transfer catalyst as well as without using phase transfer catalyst. Similarly compounds **4(a-e)** were synthesized by reacting compound **2** with substituted benzoyl chloride using phase transfer catalyst as well as without using phase transfer catalyst. Isolation of **3(a-e)** and **4(a-e)** were done by column chromatography using Ethyl acetate : n-Hexane (5:95) solvent mixture. Effect of Phase transfer catalyst to improvise the yield of the compounds **3 (a-e)** and **4(a-e)** have been studied.



3a-e **4a-e**