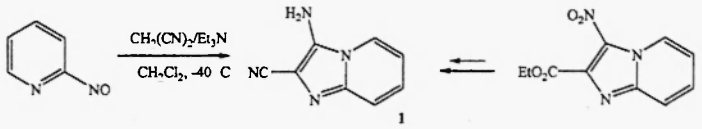
Graphical Abstract

Heterocycl. Commun. 5 (2006) 307-312

Synthesis of enaminonitrile imidazo[1. 2-*a*]pyridine through an ehrlich–sachs type reaction on 2-nitrosopyridine

Hector Salgado-Zamora[1] and Edward C. Taylor[2]
[1] Departamento Quimica Orgánica. Escuela Nacional Ciencias Biológicas, I.P.N. Prolongación Carpio y PlandeAyala S/N Mexico 11340 D.F. [2] Department of Chemistry. Princeton University, Princeton, NJ, USA

An Erlich-Sachs type reaction on 2-nitrosopyridines with malononitrile and a few drops of triethylamine produced 3-amino-2-cyanoimidazo[1,2-*a*]pyridines directly. The structure of the enaminonitrile was confirmed by an independent synthesis and chemical behavior. The imidazopyridine nitroester derivative used in the synthesis was employed as a synthon in an alternative route to pyridoimidazopyrimidones.



Heterocycl. Commun. 5 (2006) 313-318

Synthesis and stereochemistry of some new spiro benzo-1,3-dioxane derivatives

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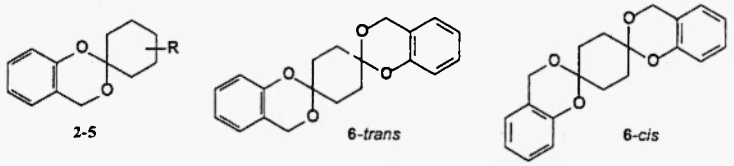
The synthesis and the stereochemistry of new spiro (**2-5**) and dispiro (**6**) benzo-1,3-dioxanes are reported.



Heterocycl. Commun. 5 (2006) 319-322

Tautomerism of 4-hydroxy-4(1*h*) quinolon

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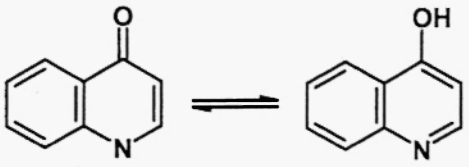
[b] Institut für Anorganische Chemie, J. W. Goethe-Universität Frankfurt,
Marie-Curie-Strasse 11, 60439 Frankfurt/Main, Germany

The tautomerism of 4-Hydroxy-4(1*H*) quinolon **I** was studied using infrared spectroscopy, ^{1}H,^{13}C NMR spectroscopy and X-ray crystallography. The keto-form of **I** is favored in the crystal form and at room temperature in polar solutions like water and dimethylsulfoxide.



Heterocycl. Commun. 5 (2006) 323-328

A new entry to antihypertensive active pharmaceutical ingredient, irbesartan and its analogues

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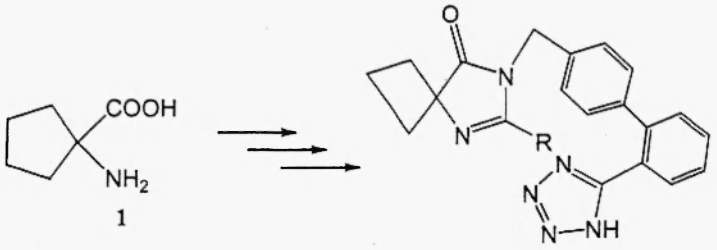
DRL Pub. No. IPDO-IPM 00019

Abstract : A new synthesis of Irbesartan, an antihypertensive active pharmaceutical ingredient and its analogues is reported.



1 6

Heterocycl. Commun. 5 (2006) 329-336

Unexpected cyclotrimerization of phenyl cyanate; does chapman rearrangement occurred in the mass spectrometric ionization of 2,4,6-triphenoxy-1,3,5-*s*-triazine?

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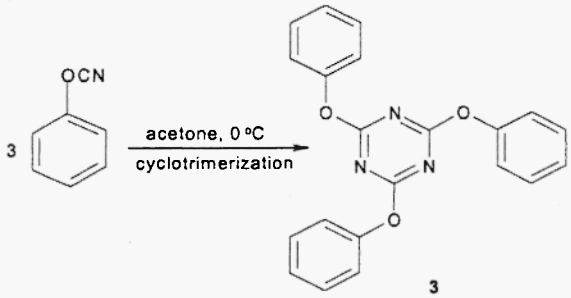
Unexpected novel cyclotrimerization of phenyl cyanate gave 2,4,6-triphenoxy-1,3,5-*s*-triazine **3** with excellent yield. No special catalyst is used in this reaction!. The mass spectra of **3** is investigated and it shows some fragments generated by McLafferty and Chapman rearrangements.



3 3

Heterocycl. Commun. 5 (2006) 337-340

Synthesis of 3,3,4,4,5-pentasubstituted-5-vinyl-4,5-dihydro-3*h*-pyrazoles: route to vinylcyclopropanes

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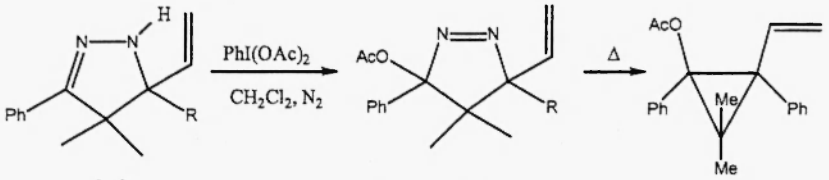
Department of Chemistry, Center for Biotech and Drug Design, Georgia State University, Atlanta, Georgia 30303-3083, USA

The reaction of vinyl-substituted-3,4-dihydro-2H-pyrazoles, **1a** (R=Ph) and **b** (R=Me) [synthesized by reaction of vinyllithium with the corresponding cyclic azines], with iodobenzene diacetate produced the vinyl substituted-4,5-dihydro-3H-pyrazoles, pyrazolines **2a-b**, in fair yield. Thermal decomposition of **2a** yielded the highly substituted vinylcyclopropane, **3**, as the only observable product.



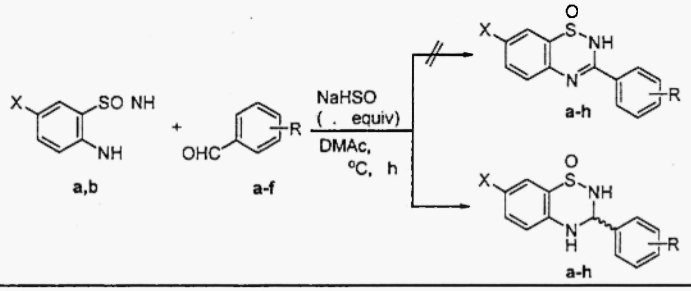
1a-b 2a-b 3

Heterocycl. Commun. 5 (2006) 341-346

Synthesis and preliminary cytotoxic evaluation of novel 3,4-dihydro-2*H*-1,2,4-benzotiadiazine-1,1-dioxide derivatives

Jelem Restrepo,[1] Yelsi Perez,[1] José Salazar,[1] Jaime Charris[2], Francisco Arvelo[3] and Simon E. Lopez.[1]* [1]*Laboratorio de Química Medicinal y Heterociclos, Departamento de Química, Universidad Simon Bolivar, Valle de Sartenejas, Baruta, Caracas 1080-A, Apartado 89000, Venezuela.* [2]*Unidad de Síntesis de Medicamentos, Laboratorio de Síntesis Organica, Facultad de Farmacia, Universidad Central de Venezuela, Caracas, Venezuela.* [3]*Laboratorio de Cultivo de Tejidos y Biología de Tumores, Instituto de Biología Experimental, Universidad Central de Venezuela, Los Chaguaramos, Caracas, Venezuela.*

The preparation of novel 3,4-dihydro-2*H*-1,2,4-benzothiadiazine-1,1-dioxide derivatives through the condensation of halogenated 2-aminobenzenesulfonamides and benzaldehydes using sodium hydrogen sulfite is described. Contrary to previous reports for non substituted 2-aminobenzenesulfonamides, sodium hydrogen sulfite does not effect the dehydrogenation of 3,4-dihydro compounds to the corresponding 3,4-unsaturated 2*H*-1,2,4-benzothiadiazines. The preliminary cytotoxic evaluation of some of these new compounds toward several human tumor cell lines is also reported.



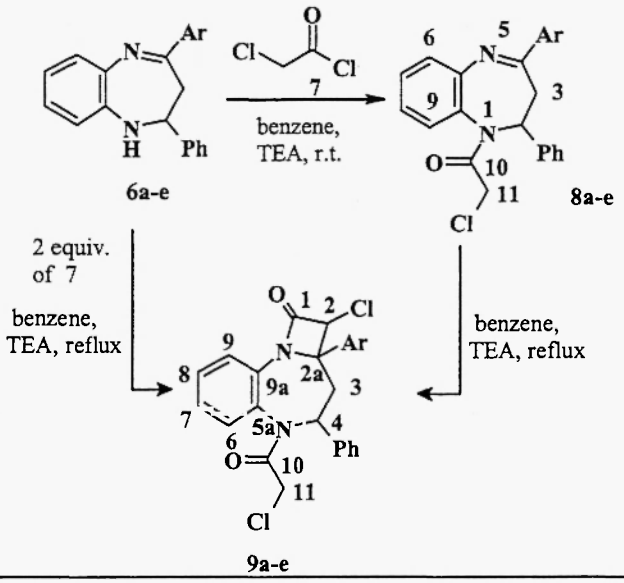
a,b a-f

Heterocycl. Commun. 5 (2006) 347-354

Reaction of 4-aryl-2,3-dihydro-2-phenyl-1*h*-1,5-benzodiazepines with 2-chloroacetyl chloride. synthesis of n-acyl- and azeto[1,2-*a*]-1,5-benzodiazepines

Braulio Insuasty,[a,*] Jairo Quiroga,[a,*] Rodrigo Abonía[a], Hnery Insuasty,[b] Henry Escobar,[b] Emilso Diaz[b] and Manuel Nogueras[c]
[a]Grupo de Investigacion de Compuestos Heterocíclicos, Departamento de Química, Universidad del Valle, A. A. 25360, Cali – Colombia; [b]Departamento de Química, Universidad de Nariño, A. A. 1175, Pasto, Colombia; [c]Departamento de Química Inorgánica y Orgánica, Universidad de Jaén, 23071 Jaén, España.

It was found that 2-chloroacetyl chloride 7 reacts primarily over the NH-group at position 1 of 1,5-benzodiazepines 6a-e in dry benzene at room temperature in the presence of TEA to render the N-acetylderivatives 8a-e in good yields. Subsequently, cycloaddition reaction of compounds 8a-e with 7 in dry benzene-TEA lead to the formation of the new azeto[1,2-*a*][1,5]benzodiazepines 9a-e in moderate yields, involving the imino (C=N) moiety at position 4. The structure of compounds 8a-e and 9a-e was assigned by ^{1}H and ^{13}C NMR spectra and 2D experiments.

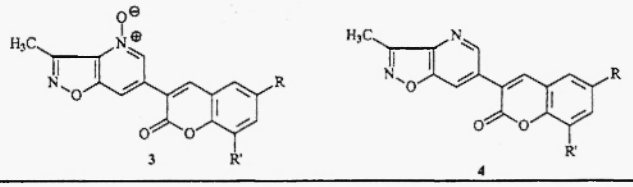


Heterocycl. Commun. 5 (2006) 355-360

A facile one step synthesis of 3-(3-methyl-isoxazolo-[4,5-b]pyridin-N-oxide-6-yl)chromen-2-ones and their deoxygenation

E. Rajanarendar*, D. Karunakar, P. Ramesh & E. Kalyan Rao
Department of Chemistry, Kakatiya University, Warangal – 506 009, India.

A simple and efficient method has been developed for the synthesis of chromene substituted isoxazolo[4,5-*b*]pyridine-*N*-oxides 3 from 3,5-dimethyl-4-nitroisoxazole 1 and substituted 3-acetyl-2-oxo-2*H*-3-chromenes 2 in presence of piperidine. Pyridin-*N*-oxides 3 are deoxygenated to corresponding pyridines 4 by treatment with PCl_3.

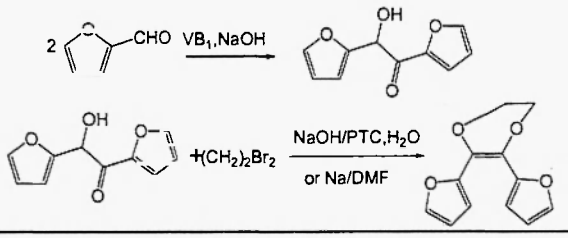


Heterocycl. Commun. 5 (2006) 361-364

Synthesis of 2,3-di(furan-2-yl)-5,6-dihydro-1,4-dioxine

Li Yazhuo, Zhang Feng, Jia Xuefeng,Gao Dawei*, Sun Jikui, Zhang Yumin, Zhao Tianqi
College of Chemistry, Jilin University, Qianjin Street 2699, Changchun, Jilin, China 130012
and Chen Xiaodong
Experimental Center of Testing Science of Jilin University

Furoin transfers into enol-form under the alkali environment,responds with 1,2 saturated dihalide to obtain 2,3-di(furan-2-yl)-5,6-dihydro-1,4-dioxine.The structure of the compound was confirmed on the bases of elemental analysis and spectral studies.And tetrabutylammomum bromide has been found to promote the yields significantly.

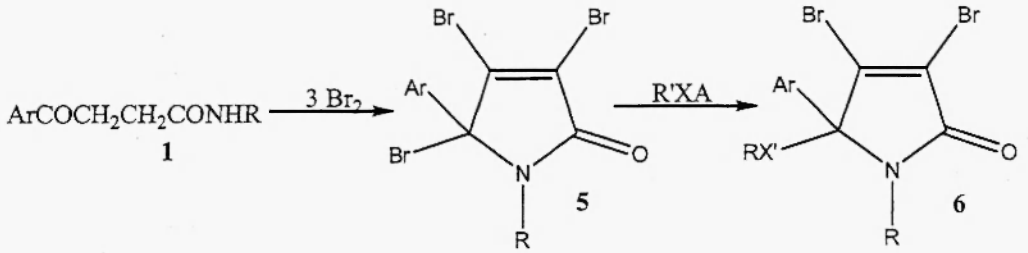


Heterocycl. Commun. 5 (2006) 365-368

An unexpected synthesis of 1,5,5-trisubstituted 3,4-dibromo-3-pyrrolin-2-ones from an open-chain tautomer γ-ketoamide

Georgia Tsolomiti, Kyriaki Tsolomiti and Athanase Tsolomitis*
The Laboratory of Organic Chemistry, The School of Chemical Engineering, The National Technical University of Athens, Athens 157 80, Greece.

The reaction of tribromopyrrolinone **5**, resulting from a simple bromination reaction on the open chain tautomer γ-keto amide **1**, with some nucleophiles, to the corresponding 5-substituted pyrrolinones **6**, is described here.



Ar=Ph, R=$PhCH_2$
R'XA: water, alcohol, amine, carboxylic acid salt
R'X=HO-, MeO-, EtO-, n-PrO-, i-PrO-, n-BuO-, $PhCH_2$-, MeCOO-

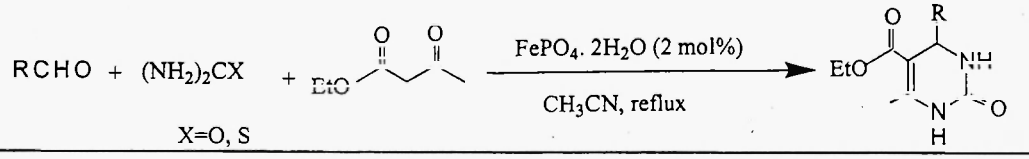
yields 64-79%

Heterocycl. Commun. 5 (2006) 369-372

Iron (III) phosphate dihydrate – Catalyzed One-Pot Synrhesis of Dihydropyrimidinones and thiones : An Improved procedure for the Biginelli Reaction

Majid M. Heravi*, Farahnaz K. Behbahani, V. Zadsirjan, Hossien A. Oskooie
Department of Chemistry, School of Sciences, Azzahra University, Vanak, Tehran

A fast and high yielding one-pot synthesis of 3,4-dihydropyrimidin-2(1H)-ones with various aliphatic and aromatic aldehydes using $FePO_4.2H_2O$ as heterogeneous catalyst was carried out in acetonitrile.



Heterocycl. Commun. 5 (2006) 373-376

An improved one-pot synthesis of *n*-(2,3-dihydrobenzo[1,4]dioxin-2-carbonyl)piperazine -- Useful intermediate for anti-hypertensive drug – doxazosin

Chakka Ramesh[#], Reguri Buchi Reddy[$] and Ghanta Mahesh Reddy[*#]
[*]Department of Research and Development; Dr. Reddys Laboratories Limited,7-1-27, Ameerpet, Hyderabad - 500 016, Andhra Pradesh, India.
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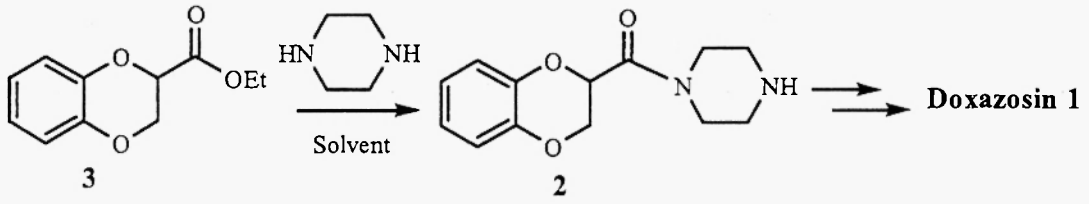
DRL-IPDO-IPM Communication # 000017

An improved process for the preparation of *N*-(2,3-dihydrobenzo[1,4]dioxin-2-carbonyl)piperazine **2** and its hydrochloride from ethyl-2,3-dihydro-1,4-benzodioxin-2-carboxylate **3** and piperazine in a single step has been described. The compound **2** is an important intermediate in the preparation of anti-hypertensive agent, Doxazosin.



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Heterocycl. Commun. 5 (2006) 377-382

Synthesis of some new bioactive 1-n-acid hydrazide substituted pyrazolines

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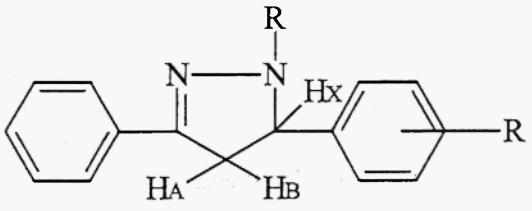
Department of Chemistry, Quaid-i-Azam University, Islamabad – 45320, Pakistan

A series of 14 new bioactive 1-N-acid hydrazide substituted pyrazolines were synthesized by cyclization of variably substituted chalcones and different acid hydrazides, using acetic acid as a solvent. The chemical structure of the compounds was characterized by FTIR, EIMS and ^{1}H NMR spectroscopy. The antibacterial activities of these compounds were evaluated by agar well diffusion method. 1-N-picolinic acid hydrazide pyrazoline was found to be more active as compared to the standard antibiotic Roxithromycin.

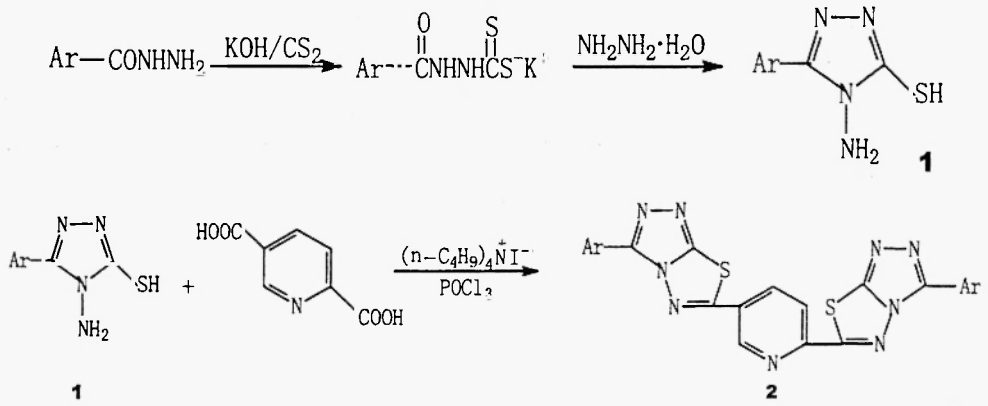


Heterocycl. Commun. 5 (2006) 383-388

Synthesis and fungicidal activities of 2,5-bis[(3-aryl)-1,2,4-triazolo[3,4-*b*]-[1,3,4]thiadiazole-6-yl]pyridines

De-Jiang Li*[a] and HE-Qing FU[b]
Department of Chemistry, Yunyang Teachers College, Danjiangkou, Hubei 442700, P. R. China[a] and Research Institute of Chemical Engineering, South China University of Technology, Guangzhou 510640, P. R. China [b]

In search of better bio-active compounds, a series of novel 2,5-bis[(3-aryl)-1,2,4-triazolo[3,4-b]-[1,3,4]thiadiazole-6-yl]pyridines 2 were synthesized in high yields by cyclization of 3-aryl-4-amino-5-mercapto-1,2,4-triazoles 1 with 2,5-pyridine dicarboxylic acid. 2 exhibited good fungicidal activities against *Cerospora beticola sacc.*



Heterocycl. Commun. 5 (2006) 389-394

Development of new molecular entities as potent non -steroidal non -acidic anti-inflammatory agents - part-i: synthesis of some substituted pyrazolo-[3, 4-a] thiozolo [2', 3'-b] quinazolines

Kalyan Chakravarthy Akula, Suresh Tatikonda and Malla Reddy Vanga
Medicinal Chemistry Research Laboratory, University College of Pharmaceutical Sciences, Kakatiya University, Warangal, India.

Eight 6-aryl-2,3,4,5-tetrahydro-7,8,9,10-tetrahydro-11H-pyrazolo [3,4-a] thiazolo [2,3-b] quinazolines were prepared **5a-h** from the respective 5H-5-aryl-6,7,8,9-tetrahydro thiazolo[2,3-b]quinazolin-3(2H)-ones by a cycloaddition reaction of hydrazine / phenylhydrazine. The thiazoloquinazolinones, on the other hand were obtained from a fusion reaction of 4-aryl-3,4,5,6,7,8-hexahydroquinazonin-2-thiones with chloroacetic acid. The final compounds were purified and characterized by their analytical and spectral (IR & ^{1}HMR) data. Their pharmacological studies are in progress.