

Graphical Abstracts

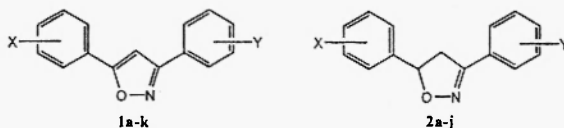
Heterocycl. Commun. 12 (2006) 7-10

¹⁷O NMR spectroscopy of heterocycles: substituent effects in 3,5-diarylisoxazoles and 3,5-diarylisoxazolines

Tomoaki Yuzuri, S. Chandrasekaran, Pedro C. Vasquez and A.L. Baumstark*

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The natural abundance ¹⁷O NMR chemical shift data for 3,5-diarylisoxazoles (**1a-k**) and 3,5-diarylisoxazolines (**2a-j**) in acetonitrile at 75 °C are reported. The ¹⁷O NMR signals for the isoxazole oxygen of the 3-aryl-5-phenylisoxazoles showed a good correlation vs σ constants ($\rho = 6.3$) while those for the isoxazoline oxygen of the 3-aryl-5-phenylisoxazolines showed an excellent correlation vs σ constants ($\rho = 13.4$). The ¹⁷O NMR data for the ring oxygen of 3-phenyl-5-arylisoxazoles and the 3-phenyl-5-arylisoxazolines, respectively, showed little or no sensitivity to substituent effects.



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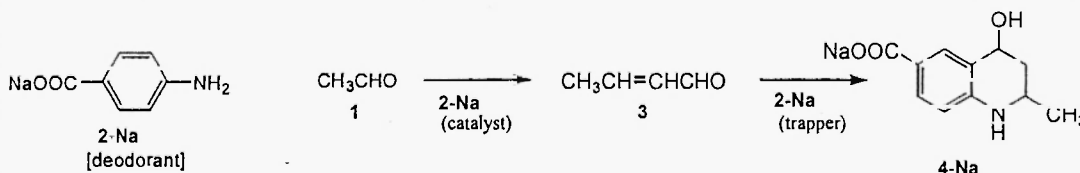
Trapping mechanism of ethanal with deodorant sodium *p*-aminobenzoate in ambient air: quinoline ring formation to prevent its release

Masahiro Sugiura, *¹ Kazuhiro Fukumoto¹ and Masatomi Ohno²

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Effective trapping of ethanal with deodorant sodium *p*-aminobenzoate is attributed to irreversible formation of non-volatile quinoline ring.



Heterocycl. Commun. 12 (2006) 15-18

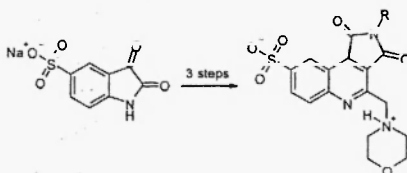
New variant of the pfizinger reaction. Synthesis and chemical transformations of substituted 2-aminomethyl-quinoline-3,4-dicarboxylic acids

Dmitri V. Kravchenko,^a Volodymyr M. Kysil,^b Sergey E. Tkachenko,^{b,c} Sergey Maliarchouk,^{b,d} Ilya M. Okun,^{b,d} Alexandre V. Ivachtchenko,^{a,b}

^aDepartment of Organic Chemistry, ^cDepartment of Medicinal chemistry, ^dDepartment of Molecular Biology and HTS, Chemical Diversity Research Institute, Khimki, Moscow Reg., Russia, ^bChemDiv, Inc., San Diego, CA USA

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We present a convenient synthesis of novel 8-sulfonyl-4-(morpholin-4-ylmethyl)-1,3-dioxo-2,3-dihydro-1*H*-pyrrolo[3,4-*c*]quinolines using a modification of the classical Pfitzinger reaction. According to our method, the 5-sulfonylated isatins were reacted with a mixture of ethyl 4-chloro-3-oxo-butanoate and morpholine. The resulting quinoline-3,4-dicarboxylic acids were then successively converted into the corresponding furo[3,4-*c*]quinoline-1,3-diones and pyrrolo[3,4-*c*]quinoline-1,3-diones. The latter appeared to be effective nonpeptide inhibitors of caspase-3 enzyme.



Synthesis of 3-(N-1,3-diaryl pyrazol-5-yl) amino-2H-[1,4]-benzoxa/thiazines and 3-(N-1,3-diarylpyrazol-5-yl)iminomethyl chromones

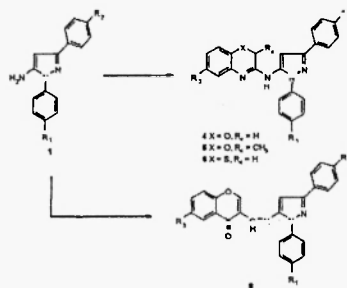
G. Jagath Reddy * D. Manjula and K. Srinivasa Rao

R & D Laboratories, Dr. Jagath Reddy's Heterocyclics, 81, S.V.Co-op. Industrial Estate, Balanagar, Hyderabad – 500 037, India. E-mail: jagathreddy@usa.net; Fax # 91-40-23773487.

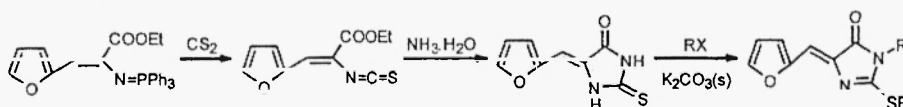
Md. Khalilullah, D. Latha and C. Thirupathiah

Department of Chemistry, Jawaharlal Nehru Technological University, Hyderabad 500 072, India.

A series of new 3-(N-1,3-diarylpyrazol-5-yl)amino-2H-[1,4]-benzoxa/ thiazines (**4a-c**, **5a** & **6a-c**) and 3-(N-1,3-diarylpyrazol-5-yl)iminomethyl chromones (**8a-e**) have been synthesized from 5-amino-1,3-diarylpyrazoles (**1**).

**An efficient synthesis of 2-alkylthio-3-alkyl-5-furfurylidene-4h-imidazol-4-ones**Yong Sun^A, Li-Ping Gao^A and Ming-Wu Ding^BDepartment of Chemistry, Yuyang Teachers College, Danjiangkou, 442700, P.R. China^A and College of Chemistry, Central China Normal University, Wuhan, 430079, P.R. China^B

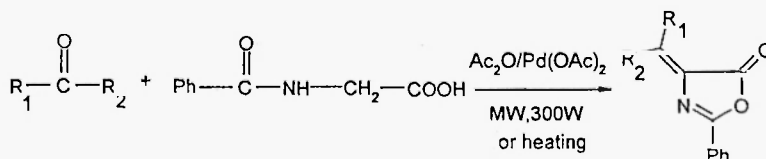
2-Alkylthio-3-alkyl-5-furfurylidene-4H-imidazol-4-ones **4** were synthesized by N-alkylation and S-alkylation of 2-thioxo-5-furfurylidene-4-imidazolidinone **3**, which was obtained via cyclization of vinyl isothiocyanate **2** with excess ammonium hydroxide (28% NH₃ in water).

**Novel synthesis of unsaturated 5(4h)-oxazolone derivatives with using palladium(ii) acetate as a catalyst and microwave irradiation in solvent-free condition**

Hooshang Hamidian and Ahmad Momeni Tikdari*

Department of Chemistry, Shahid Bahonar University of Kerman, Kerman 76175-133, Iran

Unsaturated 5(4H)-oxazolones have emerged as an important class of synthons. These compounds have prepared with using palladium(II) acetate under free-solvent conditions with excellent yields and microwave irradiation

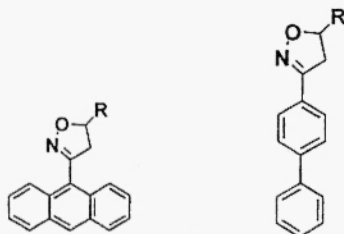


Δ^4 -isoxazoline derivatives as antimicrobials

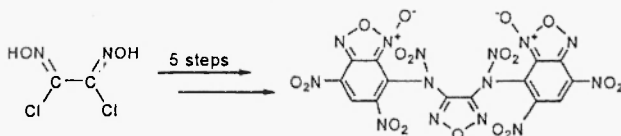
B.S. Priya, Basappa and K.S. Rangappa*

Department of Studies in Chemistry, University of Mysore, Manasagangotri, Mysore-570006, INDIA.

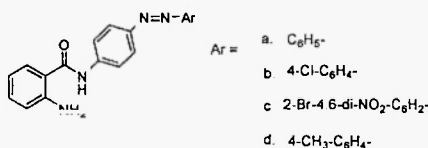
The inhibitory effect of newly synthesized C- (anthranyl and biphenyl)-5-substituted- δ^2 -isoxazolines were characterized by IR, ^1H NMR, ^{13}C NMR and CHN analysis and evaluated for their antimicrobial activity against different strains. Such as *Bacillus subtilis*, *Escherichia coli*, *Pseudomonas fluorescens*, *Xanthomonas campestris pvs*, *Xanthomonas oryzae*, *Aspergillus niger*, *Aspergillus flavus*, *Fusarium oxysporum*, *Trichoderma* species and *Fusarium monaliforme*. Among the newly synthesized compounds 6b III, 6b IV and 6b VI showed better inhibitory activity than the standards that we used.

**Synthesis of N^3, N^4 -bis (2,4-dinitrobenzofuroxan) - N^3, N^4 -dinitro-1, 2, 5-oxadiazole-3, 4-diamine**Wu-Wei Wang^a, Nai-Xing Wang^{a,b*}, Ya-Lan Xing^b, Rui long Sheng^a, Jia Zhao^a^aTechnical Institute of Physics and Chemistry, Chinese Academy of Sciences, 100101, Beijing, China^bBeijing University of Chemical Technology, 100029, Beijing, China

A new benzenefuroxan derivative, which has very low hydrogen content and very high nitrogen content, was synthesized by two different routes and the structure of the compound was characterized. The compound can be utilized as an energetic material.

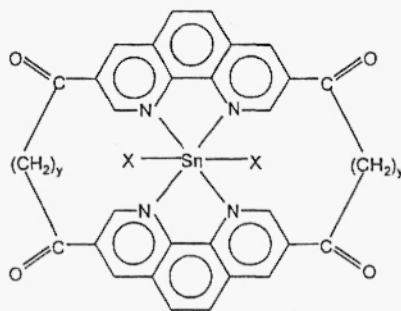
**Reaction of isatoic anhydride with aminoazobenzene derivatives: synthesis of some new anthranilamide and quinazolin-2,4-dione dyes**A.A.Fadda^{1*}, Hala M. Refat², M. E. A. Zaki³ and Eman Monier¹¹Department of Chemistry, Faculty of Science, Mansoura University, El Mansoura, Egypt²Department Of Chemistry, Faculty Of Education, Suez Canal University, Al-Arish, ³Chemistry department, School of Science, Minho University, Braga, Portugal

A new synthesis of quinazolin-2,4-dione derivatives and substituted anthranilamide based on the reaction of isatoic anhydride (I) with different aminoazobenzene derivatives



Studies on potentially biodynamic heterocyclic organotin(ii) macrocyclic complexesAshu Chaudhary^a, A. Phor^b and R.V. Singh^{**}^aDepartment of Chemistry, University of Rajasthan, Jaipur – 302 004, India^bDepartment of Chemistry, Hindu College Sonapat, Haryana - 131001, India

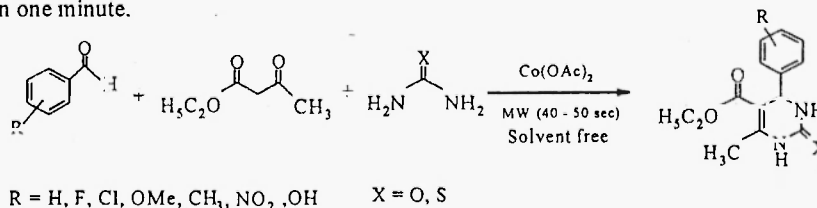
The present communication deals with the synthesis and spectroscopic characterization of organotin(II) macrocycles. The *in vitro* activity of the synthesized complexes has been examined against a number of gram positive and gram negative bacteria. The antifertility activity on male albino rats has also been discussed.

**Co(OAc)₂-Catalyzed Tandem reaction: Synthesis of 3,4-dihydropyrimidin-2(1H)-ones/thiones from β-keto ester, substituted aldehydes and urea / thiourea using microwaves under solvent free condition**

Md. Afzal Pasha* and Jayashankara Vaderapura Puttaramgowda

Department of Studies in Chemistry, Central College Campus, Bangalore University, Bangalore-560 001, INDIA

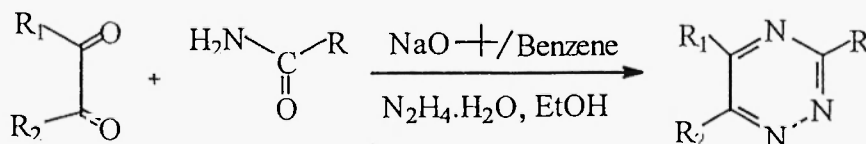
The Cobalt (II) acetate efficiently catalyzes the three-component coupling of β-keto ester, substituted aldehyde and urea or thiourea to afford the corresponding 3,4-dihydropyrimidin-2(1H)-ones/thiones respectively, the new protocol for the Biginelli reaction under microwave irradiation works in the absence of solvent, the yields are high and the reactions go to completion within one minute.

**Novel one pot synthesis of substituted 1, 2, 4-triazines**

Rishan Lang Nongkhlaw* and Bekington Myrboh

Department of Chemistry, North Eastern Hill University, Shillong-793022, Meghalaya, INDIA.

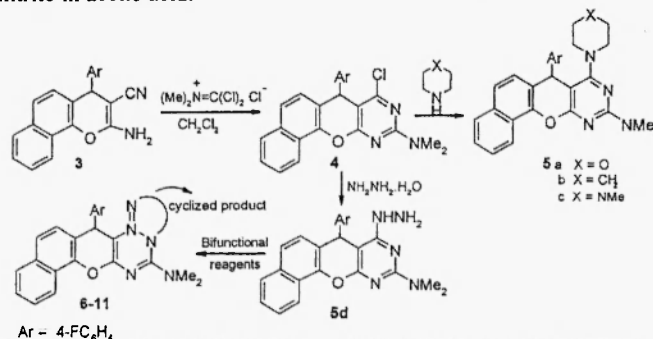
Di- and tri-substituted-1, 2, 4-triazine were conveniently prepared in one pot by the condensation of amides and 1,2-diketones in presence of base, followed by cyclisation with hydrazine hydrate.



New routes to pyrano[2,3-*d*]pyrimidine derivatives from β -enamino nitrile and phosgene iminium chloride

N. A. Hassan*, Kh. M. Abu-Zeid, A. B. El-Gazzar
National Research Centre, Dokki, Cairo, Egypt.

The reaction of 2-amino-4-(4-fluorophenyl)-4*H*-benzo[*h*]chromene-3-carbonitrile (**3**) with *N,N*-dimethyldichloromethyleniminium chloride gave the tetracyclic chloro compound **4** which reacted readily with nucleophilic agents such as morpholine, piperidine, methylpiperazine to afford **5a-c**. Furthermore, displacement of the halogen atom of compound **4** by hydrazine yielded **5d**. Compound **5d** served as the precursor to pyranopyrimidine derivatives **6-11** by the reactions with triethyl orthoformate, *N,N*-dimethyldichloromethyleniminium chloride, carbon disulfide, ethyl acetoacetate, ethyl cyanoacetate and sodium nitrite in acetic acid.



Synthesis of some novel imidazolinones

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a. Industrial Chemistry Department, V. P. & R. P. T. P Science College,
b. Post Graduate Department of Chemistry, Sardar Patel University, Vallabh Vidyanagar-388 120. Anand, Gujarat, India

Imidazolinone derivatives of **4a-l** have been prepared by the condensation of known heterocyclic drug derivative with 5-oxazolone derivatives, which were prepared by Erlenmeyer condensation of benzoyl glycine with different aldehydes in the presence of sodium acetate and acetic anhydride. The compounds **3a-l** were further reacted with 5*H*-dibenzo(*b,f*)azepine-5-acid hydrazide **2** to give **4a-l** in basic condition. The constitution of the products has been supported by IR, ¹H-NMR, Mass spectra and elemental analysis data.

