

Graphical Abstracts

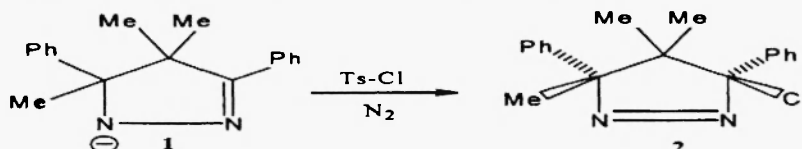
Heterocycl. Commun. 10 (2004) 133-134

SYNTHESIS OF *trans*-3-CHLORO-4,4,5-TRIMETHYL-3,5-DIPHENYL-4,5-DIHYDRO-3*H*-PYRAZOLE

John Szwec, Pedro C. Vásquez, Paul J. Franklin, G. Davon Kennedy* and A.L. Baumstark*

Department of Chemistry, Georgia State University, Atlanta, Georgia 30303-3083, USA

Abstract. The reaction of the lithium salt of 3,4,4-trimethyl-3,4-diphenyl-2*H*-pyrazole, **1**, with tosyl chloride unexpectedly produced the C-chlorinated pyrazoline, **2**, in high yield.



Heterocycl. Commun. 10 (2004) 135-138

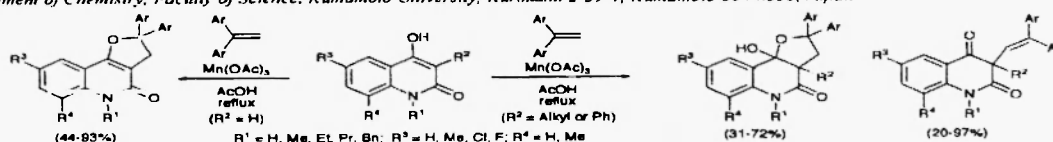
CONVENIENT ROUTE TO 2*H*-FURO[3,2-*c*]QUINOLIN-4-ONE FRAMEWORK USING Mn(III)-BASED OXIDATIVE RADICAL CYCLIZATION

Ryokou Kumabe^a and Hiroshi Nishino^{b*}

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A mixture of alkenes with 3-substituted 4-hydroxy-2-quinolinones was oxidized with manganese(III) acetate in boiling acetic acid to give 9b-hydroxy-3,3a,5,9b-tetrahydro-2*H*-furo[3,2-*c*]quinolin-4-ones and alkenylquinolinediones in moderate to good yields.

Heterocycl. Commun. 10 (2004) 139-144

SYNTHESIS AND STEREOCHEMISTRY OF SOME NEW 1,3-DIOXANE DERIVATIVES OBTAINED FROM 2-ACETYL AND 2,6-DIACETILPYRIDINE

M. Balog^a, S. Totos^a, C. M. Florian^a, I. Grosu^a, G. Plé^b, L. Toupet^c, Y. Ramondenc^b, N. Dinca^d

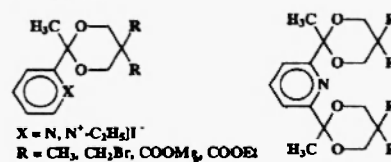
^a Babes-Bolyai University, Organic Chemistry Department and CSOFSTM, 11 Arany Janos str., RO-3400, Cluj-Napoca, Romania

^b Université de Rouen, IRCOF, UMR 6014, Faculté des Sciences, 76821 Mont Saint-Aignan, Cedex, France.

^c Université de Rennes 1, UMR C-6626, Campus de Beaulieu, Bat. 11A, 35042 Rennes, Cedex, France

^d Aurel Vlaicu University, Arad, 81 Revolutiei b-l, Arad, RO-2900, Romania

The synthesis and the stereochemistry of new 1,3-dioxane derivatives obtained from 2-acetyl and 2,6-diacetylpyridine are reported



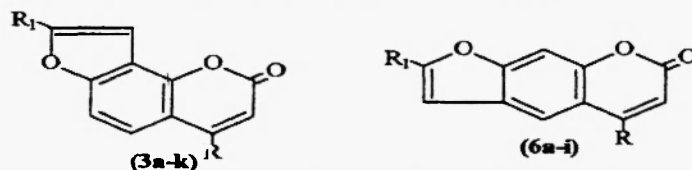
Heterocycl. Commun. 10 (2004) 145-150

Synthesis of aminomethyl angelicins and Psoralens as potential chemotherapeutic agents

Samir Mistry, Suresh Desai, S. S. Madhava Rao and Amrish Shah*

Department of Chemistry, Faculty of Science, M. S. University of Baroda, Baroda - 390 002, India.

A convenient synthesis of active aminomethyl angelicins and psoralens is described.



R = H, CH₃; R₁ = piperidinomethyl, morpholinomethyl, N,N-dichanolaminomethyl, N-methylpiperazinomethyl etc.

NOVEL SYNTHESIS OF 1,2,4-OXADIAZOLES BY CONDENSATION OF ARYLAMIDOXIMES WITH *N*-SUBSTITUTED IMINOETHERS

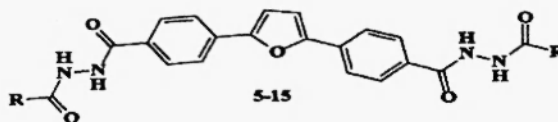
Anis Romdhane, Rafik Gharbi and Zine Mighri*

Laboratoire de Chimie des Substances Naturelles et de Synthèse Organique.
Faculté des Sciences de Monastir - 5000, Monastir, Tunisia.

SYNTHESIS OF 2,5-BIS-[4-(BENZHYDRAZIDO)-PHENYL]FURANS AND EVALUATION OF THE ANTIMALARIAL, TRYPANOCIDAL, AND CYTOTOXIC ACTIVITIES

J. Charris^a, J. Camacho^a, A. Barazarter^a, J. Domínguez^a, S. López^b, and D. Boykin^c^aLaboratorio de Síntesis Orgánica, Facultad de Farmacia, Universidad Central de Venezuela, Aptdo. 47206, Los Chaguaramos 1041-A, Caracas, Venezuela, ^bDpto. de Química, Universidad Simón Bolívar, Aptdo. 89000, Caracas, Venezuela, ^cDepartment of Chemistry and Center for Biotechnology and Drug Design, Georgia State University, Atlanta, GA 30303, USA.

2,5-bis-[4-(benzhydrazido)-phenyl]furans were synthesized and evaluated for their inhibition of the *Trypanosoma vivax* cysteine protease and their activity against cultured *P. falciparum* parasites, and their cytotoxicity against three cancer cell lines. Compounds 8, 9 exhibited slight antimalarial activity, 8 was the most promising compound as cytotoxic against two cancer cell lines. Their activities against the *Trypanosoma vivax* cysteine protease shown all to be inactive.



SYNTHESIS OF PYRAZOLO[5',1':2,3]PYRIMIDO[4,5-b][1,4]-BENZOXAZINES, A NEW HETEROCYCLIC RING SYSTEM FROM 5(3)-AMINOPYRAZOLES

P.S.N. Reddy* and Pragati Reddy

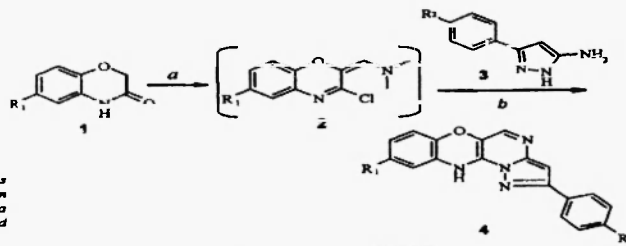
Department of Chemistry, Osmania University, Hyderabad-500 007, India, E-mail: psreddy@u@yahoo.com

and

G. Jagath Reddy and K. Srinivas 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

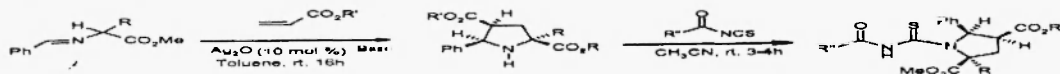
A series of pyrazolo[5',1':2,3]pyrimido[4,5-b][1,4]benzoxazines (4a-n), a new heterocyclic ring system has been synthesized starting from 2H-3-oxo-[1,4]-benzoxazines (1a-d) and 5(3)-aminopyrazoles (3a-d) via the intermediates 3-chloro-2-formylidene-1,4-benzoxazines (2a-d) obtained under Vilsmeier-Haack reaction conditions.



Novel Highly Functionalized Benzoylaminocarbothioyl Pyrrolidine from Benzoylthiocyanate and Substituted Pyrrolidine Derived From α -Aminoacid Ester via Imino-Azomethine Ylide-1,3-Dipolar Cycloaddition Cascade

H. Ali Dondas*^a and Ozgul Altunbas^b^a Mersin University, Faculty of Pharmacy, Chemistry Department, Yenisehir Campus, 33342, Mersin-Turkey^b Mersin University, Faculty of Science and Arts, Chemistry Department, Mezitli, 33342 Mersin-Turkey

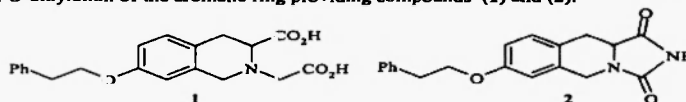
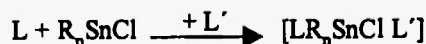
A series of novel highly functionalized benzoylaminocarbothioyl pyrrolidines were prepared by the reaction of benzoylthiocyanate with substituted pyrrolidine derived from α -aminoacid ester via metal catalyzed imine-azomethine ylides-1,3-Dipolar Cycloaddition in excellent yield. An example of chiral version benzoylaminocarbothioyl pyrrolidine were also reported. The chirality originated from menthyl acrylate as chiral dipolarophile in the cycloaddition cascade and induced three novel chiral centres.



SYNTHESIS OF 7-PHENYLETHOXY-1,2,3,4-TETRAHYDROISO-QUINOLINE DERIVATIVES

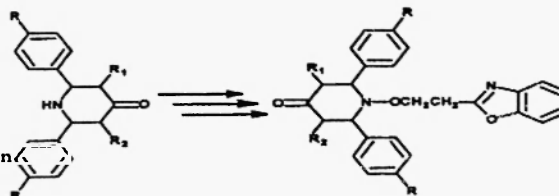
Virginie Caubert and Marie-Claude Viaud-Massard*

EA 3247 GRCHT Laboratoire de Chimie Organique, UFR des Sciences Pharmaceutiques, Université de Tours, 31 Avenue de Monge, 37200 Tours - France. Phone : 33 247 36 72 27 ; Fax : 33 247 36 72 29 ; E-mail : mcviaud@univ-tours.fr

Synthesis of 7-hydroxy-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid derivatives is described, from diiodotyrosine, using a Pictet-Spengler reaction and further *O*-alkylation of the aromatic ring providing compounds (1) and (2).**ISOLATION, CHARACTERIZATION AND ANTI-TUMOUR PROPERTIES OF NOVEL CHIRAL ORGANOTIN(IV) COMPLEXES OF PHENANTHROLINES**Ashu Chaudhary^a, Anita Phor^b, Shweta Gaur^a and R.V. Singh^a^aDepartment of Chemistry, University of Rajasthan, Jaipur – 302 004, India^bHindu College, Sonapat – 131 001, IndiaSynthesis and spectroscopic studies of novel chiral complexes of tin have been reported. The *in vitro* antitumour activity of the synthesized compounds has also been examined against *Candida albicans*.**SYNTHESIS OF SOME BENZOXAZOLYLETHOXY PIPERIDONES**

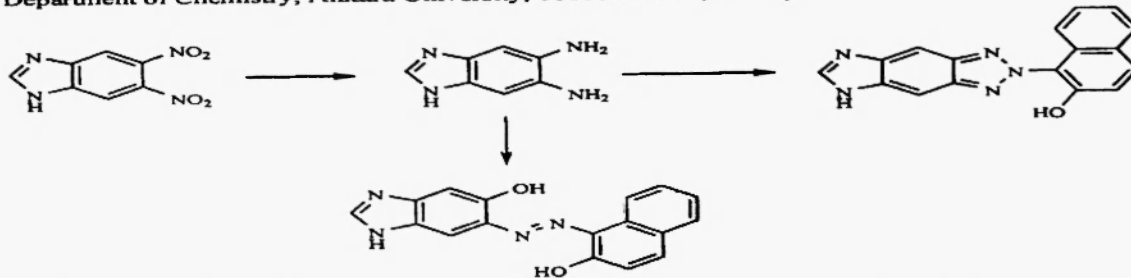
C. Ramalingan, S. Balasubramanian, & S. Kabilan*

Department of Chemistry, Annamalai University, Annamalaiagar -- 608 002, India.

1-Hydroxy-2,6-diarylpiperidin-4-ones obtained from the corresponding 2,6-diarylpiperidin-4-ones upon cyanoethylation followed by condensation with *o*-aminophenol afforded 1-[2-(benzoxazol-2-yl)ethoxy]-2,6-diarylpiperidin-4-ones.**DIAZOTISATION OF 5,6-DIAMINO-1H-BENZIMIDAZOLE**

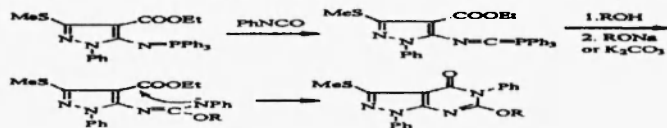
Sebla Dincer

Department of Chemistry, Ankara University, 06100 Ankara, Turkey.

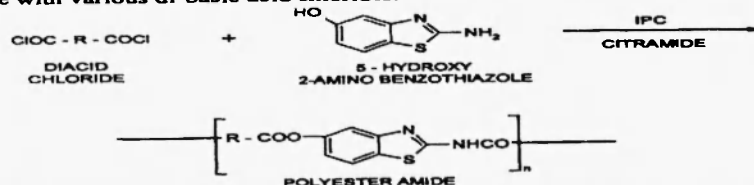


A FACILE SYNTHESIS OF 6-ALKOXYL (AROXYL)-1,5-DIHYDROPYRAZOLO-[3,4-d]PYRIMIDIN-4-ONE DERIVATIVESHong-Qing WANG^{1,2} Li-Min Yang¹ Zhao-Jie LIU^{1,*} Ming-Wu DING¹¹ Institute of Organic Synthesis, Central China Normal University, Wuhan, 430079, P.R.China.² College of Chemistry & Chemical Engineer, Nanhua University, Hengyang, 421001, P.R.China.

A facile synthesis access to 6-alkoxyl (aroxyl)-3-methylthio-1,5-diphenyl-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one derivatives via reaction of functionalized carbodiimide with ROH was reported and a series of new compounds had been prepared.

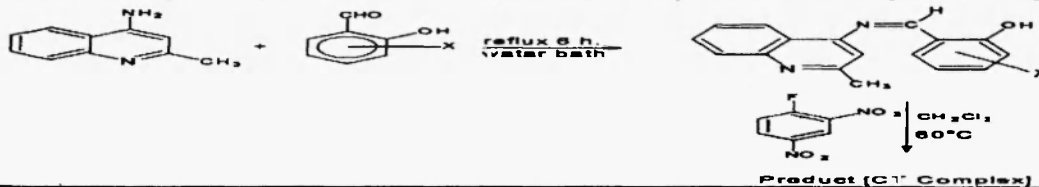
**SYNTHESIS AND CHARACTERIZATION OF NOVEL POLY (ESTER AMIDE)s CONTAINING BENZTHIAZOLE HETEROCYCLIC RING**M. G. PATEL^a, K. R. DESAI^a and H. S. PATEL^b^aDepartment of Chemistry, South Gujarat University, Surat, (Gujarat)^bDepartment of Chemistry, Sardar Patel University, Vallabh Vidyanagar, (Gujarat)

The novel Poly (ester-amide)s (PEAs) were prepared by inter-facial polycondensation of 5-hydroxy-2-amino benzthiazole with various di-basic acid chlorides.

**CHARGE-TRANSFER COMPLEXES OF QUINALDINE-ARYLIDEN SCHIFF BASES WITH FLUORANIL AND 2,4-DINITROFLUOROBENZENE**E.H. El-Mossalamy and Shaeel A. Al-Thabaiti^{*}

Chemistry Department, Faculty of Science, Benha University, Benha-Egypt * Chemistry Department, Faculty of Science, King Abdulaziz University, P.O. BOX 80 303, Jeddah 21585, Kingdom of Saudi Arabia

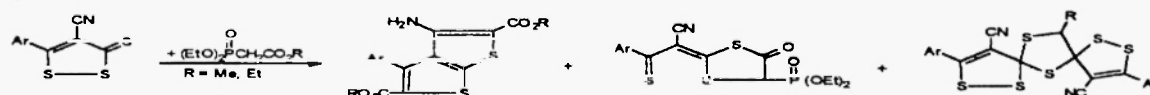
Abstract: Molecular complexes of charge transfer of some 4-(2-hydroxy-4'-substituted benzylidene amino)-2-methyl quinoline with fluoranil and 2,4-dinitrofluorobenzene have been investigated by IR, ¹H-NMR, electronic absorption and ESR spectroscopy.

**SIMILARITY AND DISSIMILARITY BETWEEN WITTIG- AND WITTIG-HORNER SYNTHON REACTIVITY TOWARD CYCLIC AND ACYCLIC cis-DISULFIDES**Wafaa M. Abdou^{*}, Maha D. Khidre and Azza A. Kamel

Department of Pesticide Chemistry, National Research Centre, Dokki, Cairo, Egypt.

The reactions of 5-(4-chlorophenyl)-4-cyano-1,2-dithiol-3-thione and tetramethylthiuram disulfide with a series of Wittig-Horner reagents has been investigated.

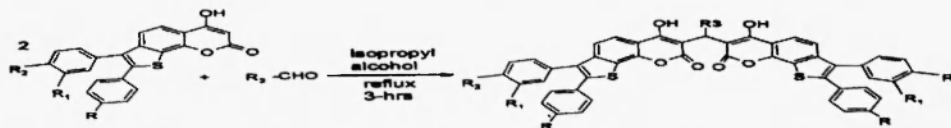
e.g.:



ONE-STEP SYNTHESIS OF 7,7'-ARYLDIENE BIS-[6-HYDROXY,SUBSTITUTEDTHIENO(3,2-h)COUMARINS]

G.V.P. CHANDRAMOULI*, P. NAVEEN KUMAR AND P. VIJAY REDDY.
Department of Chemistry, National Institute of Technology, Warangal, India 506 004

One step synthesis of 7,7'-Aryldiene bis-[6-hydroxy,substituted thieno(3,2-h)coumarins] (3a-d), (4a-d), (5a-d) & (6a-d) was described by the condensation of 6-hydroxy,substituted thieno(3,2-h)coumarins (1a-d) with substituted aromatic aldehydes (2a-d) in the presence of Isopropyl alcohol.

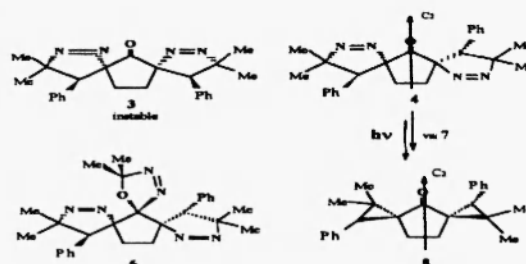


A New spiro-Δ³-(1,3,4)oxadiazole from 2-diazopropane and 2,5-dibenzylidenecyclopentanone

L. Goulaa (a), N. Boukamcha (a)*, M.-T. Martin (b) and A.Khemiss (a)

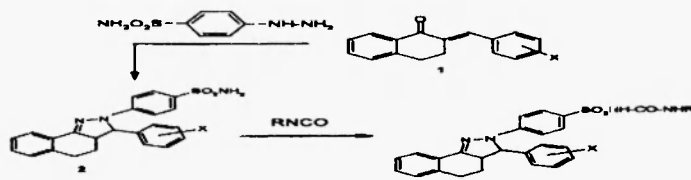
(a) Laboratoire de Synthèse hétérocyclique et de Photochimie, Faculté des Sciences, 5000, Monastir, Tunisie.
(b) Service de RMN, Institut de Chimie des Substances Naturelles-CNRS, 91190, Gif-Sur-Yvette, France.

A new spiro-Δ³(1,3,4)oxadiazole 6 was obtained beside the expected bis-spiro-Δ¹-pyrazolines 3 and 4 by 1,3-cycloaddition of 2-diazopropane on the 2,5-dibenzylidenecyclopentanone. The photolysis of the major 4 gave the stereospecific bis-spiro-gem-dimethyl cyclopropane 8 via 7.



SYNTHESIS AND BIOLOGICAL EVALUATION OF NEW NAPH[1,2-C]PYRAZOLEBENZENESULFONYLUREAS, THIOUREAS AND THEIR CYCLIZED DERIVATIVES

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CHEMISTRY DEPARTMENT, FACULTY OF SCIENCE
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JEDDAH 21589, P.O.BOX 80203 KINGDOM OF SAUDI ARABIA

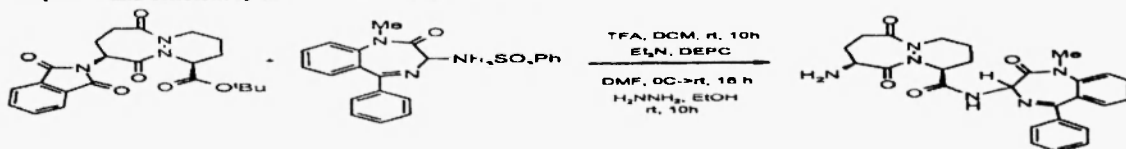


AN EFFICIENT SYNTHETIC METHOD FOR THE SYNTHESIS OF NOVEL CHIRAL ANALOGUES OF CILAZAPRIL AND CCKA ANTAGONISTS

H. Ali Döndaş*

Mersin University, Faculty of Pharmacy, Yenisehir Campus, 33342 Mersin-Turkey

An efficient synthetic method for the synthesis of novel chiral cilazapril and analogous of CCKA antagonists is described. The reactions proceed via acidic deprotection of *tert*-butyl-9(S)-phthalimido octahydro-6,10-dioxo-6H-pyridazo[1,2-a][1,2]diazepine-1(S)-carboxylate, without isolation, which then coupled with 1-methyl-3-amino-1,3-dihydro-5-phenyl-(2H)-1,4-benzodiazepine-2-one and allylamine using diethyl phosphorocyanidate as a coupling reagent afforded novel peptidomimetics in excellent yield. This sequence of reactions provided a simple four-reaction sequence and efficient synthesis of novel peptidomimetics.



SYNTHESIS OF NOVEL PYRAZOLE DERIVATIVES FROM DIARYL 1,3-DIKETONES (PART-II)

Y.C. Joshi**, P. Joshi*, Suresh Singh Chauhan and Sandeep Nigam

**Department of Chemistry, University of Rajasthan, Jaipur-302 004, India

*S.S Jain, Subodh College, Jaipur, India.

Synthesis of various pyrazole derivatives 2a-2f is reported by the condensations of hydrazine hydrate in absolute ethanol with 1,3-diones 1a-1f.

