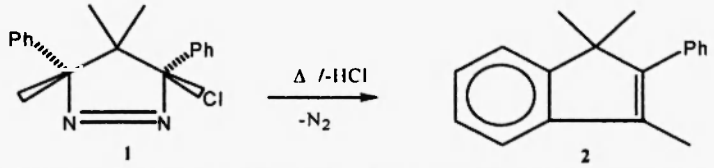
Heterocycl. Commun. 15 (2005) 375 – 378

Thermolysis of trans-3-chloro-4,4,5-trimethyl-3,5-diphenyl-4,5-dihydro-3*h*-pyrazole

Nebiyou Desalegn, Pedro C. Vásquez, Paul J. Franklin, G. Davon Kennedy and A.L. Baumstark
Department of Chemistry, Georgia State University, Atlanta, Georgia 30303-3083, USA

The thermal decomposition of trans-3-chloro-4,4,5-trimethyl-2,5-diphenyl-4,5-dihydro-3*H*-pyrazole (**1**) produced 1,1,3-trimethyl-2-phenylindene (**2**) in excellent yield. A kinetic analysis showed that the reaction involved isolable diene intermediate(s) and yielded activation parameters for thermolysis of **1** of: $\Delta H^{\ddagger}$= 32.9 kcal/mole; $\Delta S^{\ddagger}$= -2.4 eu; $\Delta G^{\ddagger}$= 33.9 kcal/mole; k_1 (180 °C) = $1.3 \times 10^{-3} s^{-1}$.



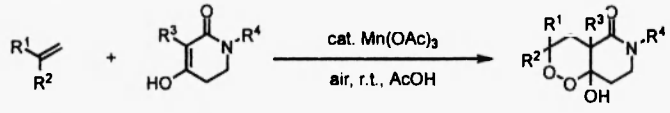
1 2

Heterocycl. Commun. 15 (2005) 379 – 384

Synthesis of 8-aza-2,3-dioxabicyclo[4.4.0]decan-7-ones using manganese(iii)-catalyzed aerobic oxidation

Kentaro Asahi[a] *and Hiroshi Nishino*[b]
[a] Department of Science and Technology for Chemistry and Physics, Graduate School of Science and Technology, Kumamoto University, Kurokami 2-39-1, Kumamoto 860-8555, Japan
[b] Department of Science, Faculty of Science, Kumamoto University, Kurokami 2-39-1, Kumamoto 860-8555, Japan

The reaction of 1,1-disubstituted ethenes with 2,4-piperidinediones in the presence of a catalytic amount of manganese(III) acetate was carried out in acetic acid at room temperature in air, producing 1-hydroxy-8-aza-2,3-dioxabicyclo[4.4.0]decan-7-ones in excellent yields. The structures of the azadioxabicyclo[4.4.0]decanones and the catalytic reaction mechanism were discussed.



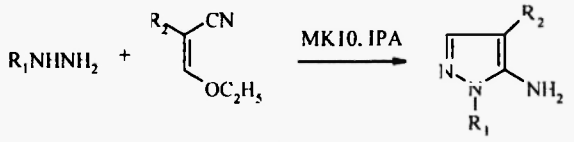
1 2 3

Heterocycl. Commun. 15 (2005) 385 – 388

A clean and rapid synthesis of 5-aminopyrazole-4-carboxylic acid esters and nitriles using montmorillonite K10

*G. Jagath Reddy *. S. Sailaja, 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 and Md. Khalilullah and D. Latha
Department of Chemistry, Jawaharlal Nehru Technological University, Hyderabad - 500 072, India

A series of 5-aminopyrazoles-4-carboxylates (4a-f) and nitriles (5a-f) have been synthesized under heterogeneous catalytic conditions using montmorillonite.



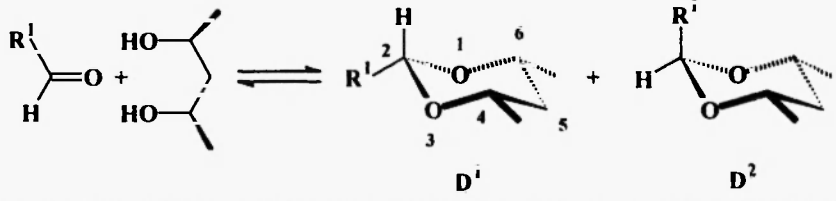
1 2 $R_2 = CO_2C_2H_5$; 3 R_2 = CN 4 $R_2 = CO_2C_2H_5$; 5 R_2 = CN

Heterocycl. Commun. 15 (2005) 389 – 394

New 2,4,6-substituted 1,3-dioxanes: synthesis, stereochemistry and thermodynamic data determinations by *cis-trans* isomers equilibrium

M. Balog[a,b], *Y. Ramondenc*[b], *I. Oprean*[a], *I. Grosu*[a*] *and G. Ple*[b]
[a]"Babes-Bolyai" University, Organic Chemistry Department and CSOFSTM, 11 Arany Janos str., 400028, Cluj-Napoca, Romania
[b]Universite de Rouen, IRCOF, UMR 6014, Faculté des Sciences, 76821Mont Saint-Aignan, Cedex, France.

The synthesis and the stereochemistry of new 2,4,6-substituted-1,3-dioxane derivatives are reported.

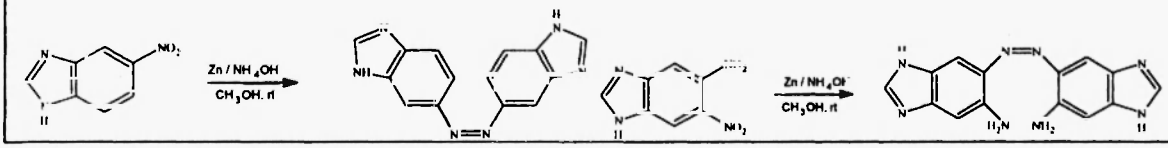


Heterocycl. Commun. 15 (2005) 395 – 398

Coupling of nitrobenzimidazoles by zinc-ammonia reduction

Sebla Dincer
Department of Chemistry, Ankara University, 06100 Ankara, Turkey

Synthesis and spectroscopic studies of (1) and (2) have been reported.

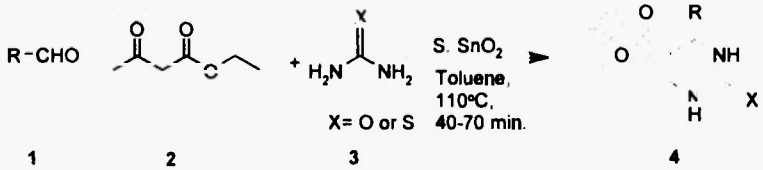


Heterocycl. Commun. 15 (2005) 399 – 402

An efficient and improved method of the biginell reactions using solid superacid (sulphated sno_2)

Rajesh S. Bhosale,[a] *Arshad M. Hashmi,*[a] *P. K. Zubaidha,*[a*] *and M. K. Dongre*[b]
a) School of Chemical Sciences, S. R. T. M. University, Nanded – 431606, India
b) Catalysis Division, National Chemical Laboratory, Pune – 411008, India

One-pot synthesis of 3,4-dihydropyrimidin-2(1*H*)-one (DHPM) has been effected efficiently by coupling of the three components aldehyde, ethyl acetoacetate and urea / thiourea in the presence of solid superacid (sulphated SnO_2). The method presented herein is attractive with respect to yield, reaction time, workup procedure and reusable catalyst.

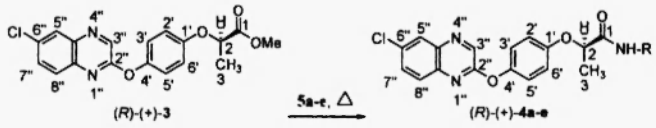


Heterocycl. Commun. 15 (2005) 403 – 406

An efficient synthesis of optically active 2-[4-(6-chloro-2-quinoxalinyloxy)-phenoxy]-propionamide derivatives

József Kövér[a], József Tompa[b], Sándor Antus[a] and Tamás Gunda[c]*
[a]Department of Organic Chemistry, University of Debrecen, H-4010 Debrecen, P. O. Box 20
[b]ICN Hungary Ltd, H-4440 Tiszavasvári, P. O. Box 1
[c]Research Group of Antibiotics of the Hungarian Academy of Sciences, University of Debrecen, H-4010 Debrecen, P. O. Box 70

An efficient synthesis of (*R*)-(+)-2-[4-(6-chloro-2-quinoxalinyloxy)-phenoxy]-propionamide **4a-e** is described by simple amidation of Quizalofop-methyl® [(*R*)-(+)-**3**]. The reduced susceptibility of quinoxalinyl moiety of (*R*)-(+)-**3** toward nucleophilic reagents was discussed on the basis of QM calculation.



Heterocycl. Commun. 15 (2005) 407 – 410

A simple synthesis of piperlonguminine

*Seung Ho Lee, Dong Hyun Kim, Jeong Ah Kim and Yurngdong Jahng**
College of Pharmacy, Yeungnam University, Kyongsan 712-749, Korea

A simple and practical method for the synthesis of an alkaloid piperlongumine, an efficient inhibitor of α-melanocyte stimulating hormone, was established by employing Wadsworth-Horner modified Wittig reaction as a key step.

Key: a) $(EtO)_2P(O)CH_2CH=CHCOOEt/NaH$, b) i) KOH, ii) H_3O^+, c) isobutylamine, $B(OH)_3$ (1 mmol%)

Heterocycl. Commun. 15 (2005) 411 – 414

Microwave synthesis and biochemical studies of boron(iii) complexes

*S. Gaur, S. Maanju, N. Fahmi and R.V. Singh**
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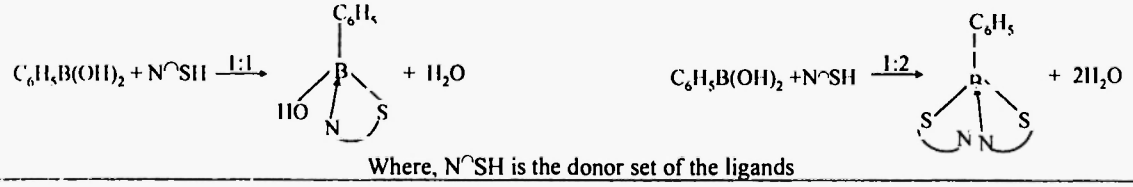
E-mail : singh-rv@uniraj.ernet.in ; kudiwal@datainfosys.net

Microwave assisted synthesis of boron(III) complexes of isobutylmethylketonebenzothiazoline and isobutylmethyliketonedithiocarbazate has been reported. The spectral data are consistent with a tetracoordinated environment around the boron atom in which the ligands act as monobasic bidentate, coordinating through the nitrogen and sulfur atoms. Ligands and their chelates were tested against certain microorganisms to assess their antimicrobial properties and the results are indeed positive.



Where, N^SH is the donor set of the ligands

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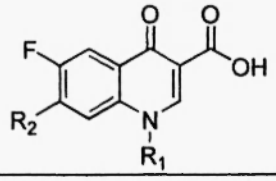
Convenient one pot synthesis of some fluoroquinolones in aqueous media

M. Saeed Abaee;[1] Ruhollah Sharifi[2] Shahin Borhani;[2] Majid M Heravi.;[3] and Hossein Motahari.[4]
[1]Chemistry and Chemical Engineering Research Center of Iran, P.O.Box 14335-186, Tehran, Iran
[2]Chemical Engineering Department, Amir Kabir University, Hafez Ave., Tehran, Iran
[3]Department of Chemistry, School of Sciences, Al-Zahra University, Vanak, Tehran, Iran
[4]TEMAD Company (Active Pharmaceutical Ingredients), Karaj Special road, Tehran, Iran

A one pot synthetic strategy for the preparation of fluoroquinolones from 1 is introduced. Product 3 was condensed with piperazine in an aqueous media to produce pharmaceutical grade ciprofloxacin in 86% yield. The method was extended to the synthesis of some other fluoroquinolones with pharmaceutical grade quality.

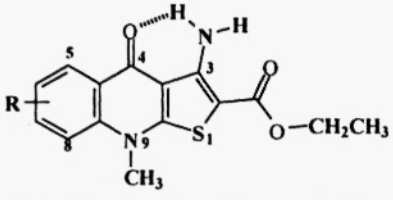


Heterocycl. Commun. 15 (2005) 419 – 422

Synthesis, ^{1}H-NMR and ^{13}C-NMR spectral characterization of some ethyl 3-amino-9-methylthieno[2,3-b]-4-quinolone carboxylates as potential antimalarial agents

J. E. Charris,[1] J. N. Dominguez,[1] N. Gamboa,[2] J. Rodrigues[2], and J. E. Angel.[3]
[1]Laboratorio de Síntesis Organica, [2]Departamento de Biologia, Facultad de Farmacia, Universidad Central de Venezuela, Aptdo. 47206, Los Chaguaramos 1041-A, Caracas, Venezuela. [3]Departamento de Química, Facultad Experimental de Ciencias, Universidad del Zulia, Maracaibo, Venezuela.

We report the synthesis, ^{1}H-NMR and ^{13}C-NMR chemical shifts and J(H,H), J(H,F) and J(C,F) coupling constants (Hz) of several ethyl 3-amino-9-methylthieno[2,3-b]-4-quinolone derivatives, some of them with a moderate activity against *in vitro* non-enzymatic heme polymerization. They were characterized and assigned on the basis of ^{1}H, ^{13}C and ^{13}C-^{1}H (short and long range) correlated spectra.

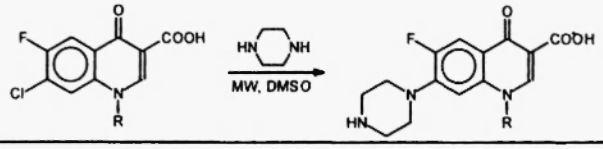


Heterocycl. Commun. 15 (2005) 423 – 426

An expeditious synthesis of quinolone antibacterials

Majid M. Heravi, Hossein A. Oskooie, Radineh Motamedi and Mitra Ghassemzadeh
[a]Department of Chemistry, School of Sciences, Azzahra University, Vanak, Tehran, Iran
[b]Chemistry & Chemical Engineering Research Center of Iran, Tehran, Iran

A facile and rapid synthesis of ciprofloxacin under microwave irradiation is described. The product ciprofloxacin was isolated and the impurity was characterized as the product of substitution of fluorine instead of chlorine in acid. Similonly norfloxacin was synthesized.

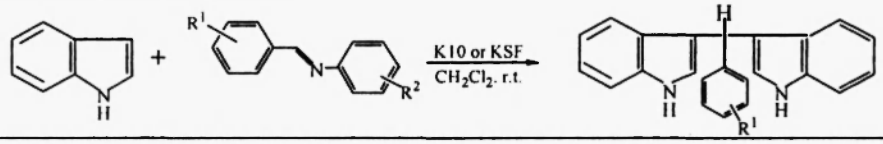


Heterocycl. Commun. 15 (2005) 427 – 432

Synthesis of diindolylmethanes — montmorllonite clay k10 and ksf catalyzed condensation of indole with imines

*Xin-Liang Feng, Ye Zhang, Zheng-Huan Lin and Cheng-Xue Zhao**
Department of Chemistry, Shanghai Jiaotong University,
Shanghai 200240, China and
Tahsin Chow, Institute of Chemistry, Academia Sinica, Taipei 115

Condensation of indole with imines was catalyzed by montmorllonite clay K10 and KSF under mild conditions to give diindolylmethanes (DIM) as the sole product.

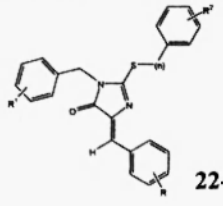


Heterocycl. Commun. 15 (2005) 433 – 440

Synthesis and anti-inflammatory activity of some New *n* and *s*-alkylated arylidene-thioxo-imidazolidinones

L.C. Santos, R.H.V. Mourão*, F.T. Uchoa*, T.G. Silva*, D.J.N. Malta*, R.O. Moura*,
M.C.A. Lima*, S.L. Galdino*, I.R. Pitta*, and J. Barbe**
* Universidade Federal de Pernambuco, Departamento de Antibióticos 50.670-901 Recife, Brasil
** GERCTOP – UMR CNRS 6178, Université de la Méditerranee, Faculté de Pharmacie, 13385 Marseille cedex 5, France.

New arylidene-thioxo-imidazolidinones and *S*-alkylated arylidene-imidazolidinone derivatives were prepared from substituted 2-thioxo-imidazolidin-4-one by nucleophilic addition of cyanoacrylates. *N* and *S*-alkylation was achieved treating 5-arylidene-2-thioxo-imidazolidin-4-ones with benzyl or phenyloxoethyl chlorides under alkaline conditions. The anti-inflammatory activity of the synthesized imidazolidines was evaluated by the air pouch test and the carrageenan-induced paw edema test.



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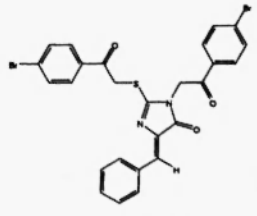

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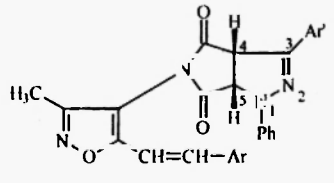
Heterocycl. Commun. 15 (2005) 441 – 444

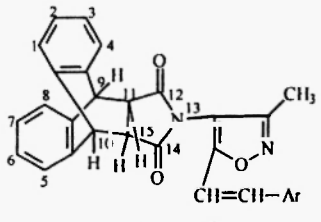
Cycloaddition of nitrile imines and Diels-Alder reaction of anthracene to isoxazolyl maleimides

E. Rajanarendar, M. Srinivas, D. Karunakar & K. Ramu*
Department of Chemistry, Kakatiya University, Warangal – 506 009, India.

Cycloadducts **3** and **4** have been synthesized by nitrileimine and anthracene addition to isoxazolyl maleimides **2**



3



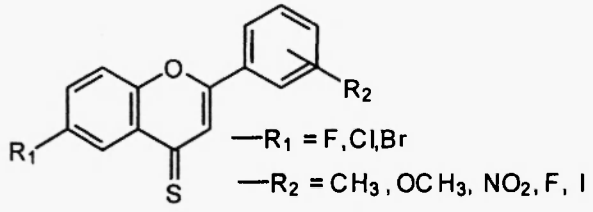
4a *endo*

Heterocycl. Commun. 15 (2005) 445 – 450

A facile and cheap method for the conversion of flavones into 4-thioflavones using phosphorus pentasulfide and sodium hydrogen carbonate

Ehsan Ullah Mughal, Aurangzeb Hasan and Lubna Rasheed*
Department of Chemistry, Quaid-i-Azam University, Islamabad – 45320, Pakistan

A facile, rapid, high yielding and relatively cheaper method has been developed for the synthesis of 4-thioflavones by using phosphorus pentasulfide and sodium hydrogen carbonate. Seven new and five known substituted 4-thioflavones prepared by this method are reported

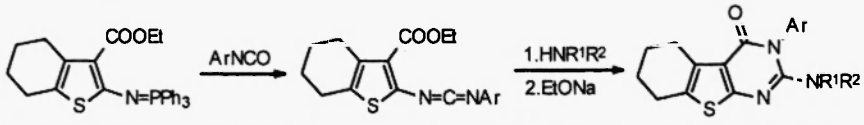


Heterocycl. Commun. 15 (2005) 451 – 454

A rapid parallel synthesis of 2-diaikylamino-5,6,7,8-tetrahydro-benzothieno[2,3-*d*]pyrimidin-4(3*h*)-ones

*Yong Sun,[1] Sheng-Zhen Xu,[2] and Ming-Wu Ding[2]**
[1]Department of Chemistry, Yunyang Teachers College, Danjiangkou Hubei 442700, P. R. China
[2]College of Chemistry, Central China Normal University, Wuhan, 430079, P. R. China

2-Dialkylamino-5,6,7,8-tetrahydro-benzothieno[2,3-*d*]pyrimidin-4(3*H*)-ones **4** were rapidly synthesized by a solution-phase parallel synthetic method, which includes aza-Wittig reaction of iminophosphorane **1** with aromatic isocynate to give carbodiimide **2** and subsequent reaction of **2** with various aliphatic secondary amine in presence of catalytic amount of EtONa in a parallel fashion.



Heterocycl. Commun. 15 (2005) 455 – 458

Synthesis and biological evaluation of some novel n-substitutedphenyl-4-(3',4'-methylenedioxyphenyl)-3-chloro-2-azetidinone derivatives.

R.E.Khadsan and M.V.Kadu ,*
Departmeent of Chemisstry , Anuradha Engineering College,Chikhli-443 201 Dist-Buldana (MS).
A.G.Doshi , Reader & Head, Department of Chemistry,Vidyabharati Mahavidyalaya , Amravati-444 602 (MS)

Synthesis and biological evaluation of some novel N-substituted phenyl-4-(3',4'-methylenedioxyphenyl)-3-chloro-2-azetidinone derivatives.