Graphical Abstracts

Heterocycl. Commun. **8** (2002) 521-530

Synthesis of Novel Thiazole-containing Bis-1-Chloromethyl-5-hydroxy-1,2-dihydro-3*H*-benz[e]indole (*seco*-CBI)-Polyamide Conjugates as Anticancer Agents

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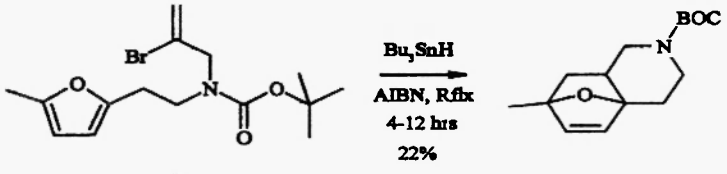
Department of Chemistry, University of Alberta, Edmonton, AB, Canada, T6G 2G2

The synthesis of novel thiazole-containing bis -1-chloromethyl- 5-hydroxy-1,2-dihydro-3*H*-benz[*e*]indole (*seco*-CBI)-polyamide conjugates (**23-25** and **29-31**) as anticancer agents is described.

Heterocycl. Commun. **8** (2002) 531-536

PREPARATION OF TRICYCLIC NITROGEN HETEROCYCLE VIA INTRAMOLECULAR DIELS-ALDER REACTION

Aydm Demircan[a,b] and Philip J. Parsons[a*]

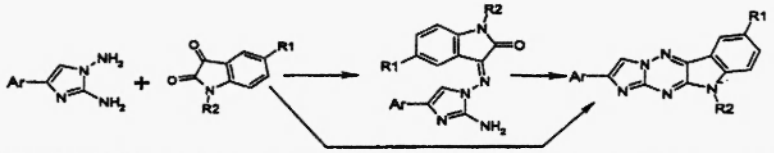


9 **10**

Heterocycl. Commun. **8** (2002) 537-542

CONDENSATION OF 1,2-DIAMINOIMIDAZOLES WITH ISATINS

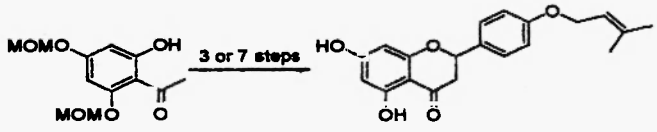
Alexandre Ivachtchenko,* Alexander Manaev, Elena Poutsykine, Iouri Poutsykine, Valeri Traven, and Dina Ugoleva
Chemical Diversity Labs. Inc., 11575 Sorrento Valley Rd., S.211, San Diego, CA 92121, USA; The Mendeleev University of Chemical Technology of Russia, Moscow, Russia.



Heterocycl. Commun. **8** (2002) 543-548

A SIMPLE SYNTHESIS OF SELINONE, AN ANTIFUNGAL COMPONENT OF *MONOTES ENGLERI*

Ágnes Kenéz, László Juhász and Sándor Antus*
Department of Organic Chemistry, University of Debrecen, P.O. Box 20, H-4010 Debrecen, Hongary



2 ***rac*-1a**

The new synthesis of racemic *selinone* (*rac*-**1a**) possessing antifungal activity has been accomplished by two routes starting from MOM-protected phloracetophenone (**2**)

Heterocycl. Commun. 8 (2002) 549-552

SYNTHESIS OF 7-BROMO/8,9-DIMETHYLPHENOTHIAZINE SULFONES

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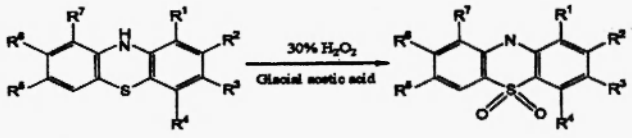
Department of Chemistry, University of Rajasthan, Jaipur – 302 004, INDIA
e-mail : rrg_vg@yahoo.co.in; dr_vandana27@yahoo.co.in

7-Bromo/8,9-dimethylphenothiazmes-5,5-dioxide (II_{a-f}) haa been prepared by treating phenothiazine with 30% hydrogen peroxide in glacial acetic acid.

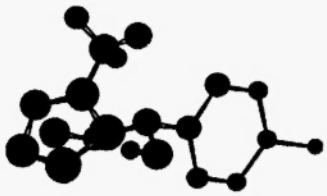


I_{a-f} II_{a-f}

Heterocycl. Commun. 8 (2002) 553-558

AN UNEXPECTED PREFERRED CROWDED CONFORMATION IN 1-ARYL-2-(3-METHYL-1,2,4-TRIAZOL-4-YL)ETHANOL DERIVATIVES

Héctor Salgado-Zamora,* Alicia Reyes, Oscar Terrón, Ma Elena Campos, Rogelio Jiménez, and Hugo Jiménez. Departamento de Química Orgánica, Escuela Nacional de Ciencias Biológicas IPN, México 11340 D.F México



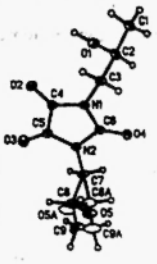
A sterically crowded conformation in solution for 1-aryl-2-(3-methyl-1,2,4-triazol-4-yl)ethanol derivatives **3** was suggested from spectroscopic data. The X-ray diffraction analysis of structures **3a** and **3d** confirmed the unexpected synclinal conformations for these products in the solid state.

Heterocycl. Commun. 8 (2002) 559-563

BIS(HYDROXYALKYLATED) DERIVATIVES OF PARABANIC ACID

Iwona Zarzyka-Niemiec,[a] Jacek Lubczak,[a]* Zbigniew Ciunik,[b] Stanisław Wołowiec,[a,b] and Tomasz Ruman[a]

[a] Faculty of Chemistry, Rzeszów University of Technology, 6 Powstańców Warszawy Ave., 35-959 Rzeszów, Poland
[b] Faculty of Chemistry, University of Wrocław, 14 Joliot-Curie Str., 50-383 Wrocław, Poland



Parabanic acid reacts with formaldehyde, ethylene oxide, and propylene oxide under mild conditions to give hydroxyalkylated derivatives. The products were isolated in high yields from the stoichiometric reaction mixtures. The N,N'-bis(hydroxymethyl) paraban-ate (**1**), N,N'-bis(hydroxyethyl)parabanate (**2**), and N,N'-bis(2-hydroxy-propyl)parabanate (**3**) were identified on the basis of IR, ^1H , and ^{13}C NMR spectroscopy and X-ray crystallography for **3**.

Heterocycl. Commun. 8 (2002) 565-568

AMINOALKYLPYRIDINES 3: SYNTHESIS OF PYRIDYL SUBSTITUTED HYDRAZINES BY BORANE REDUCTION OF HYDRAZONES

Zhaiwei Lin and P.K. Kadaba*, K and K Biosciences, Inc., 2504 Century Lane, Chadds Ford, PA 19317, USA

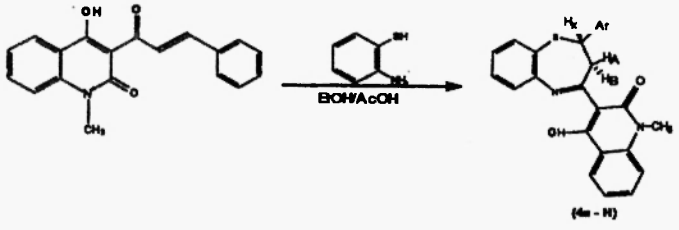
Heterocycl. Commun. 8 (2002) 569-572

SYNTHESIS OF NOVEL1,5 – BENZOTHIAZEPINES CONTAINING 2H(1)QUINOLIN-2-ONE

Oraganic Chemistry Division II, IICT, Hyderabad

K.Suchets and B.Vittal Rao*

Novel 1,5-benzothiazepine derivatives have been synthesised from propenones and 2-aminothio phenol. Some of them have Shown very good anti-bacterial activity.



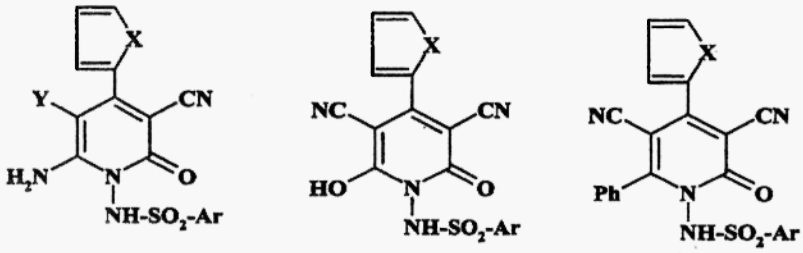
Heterocycl. Commun. 8 (2002) 573-578

REGIOSELECTIVE SYNTHESIS OF A NEW CLASS OF N-ARYLSULFONYLAMINATED BIHETEROCYCLES

Galal Hamza Elgemeie* and Shahinaz Hassan Sayed

Chemistry Department, Faculty of Science,
Helwan University, Ain-Helwan, Cairo, Egypt

A novel and efficient method for the synthesis of a new variety of novel N-arylsulfonylamino derivatives of biheterocycles by the reaction of *N*-cyanoaceto-arylsulphonylhydrazides with α,β-unsaturated nitriles. The synthetic potential of the method is demonstrated.

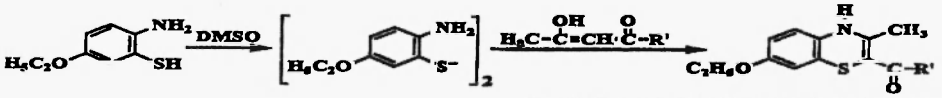


Heterocycl. Commun. 8 (2002) 579-582

SYNTHESIS OF 7-ETHOXY-3-METHYL-4H-1,4-BENZOTHIAZINES

Thandi Lal Kachhee, Vandana Gupta, Rajani Gupta and D.C. Gautam
Department of Chemistry, University of Rajasthan, Jaipur-302004 (India).
E-mail : rrg_vg@yahoo.co.in; dr_vandana27@yahoo.co.in

Synthesis of 4H-1,4-benzothiazines is reported by the condensation of 5-ethoxy-2-aminobenzethiol with β-diketones in DMSO. 2-Aminobenzenethiol readily oxidized to bis-(2-aminophenyl)disulfides which on reaction with β-diketones cyclize to 4H-1,4-benzothiazines via intermediate formation of enaminoketones.



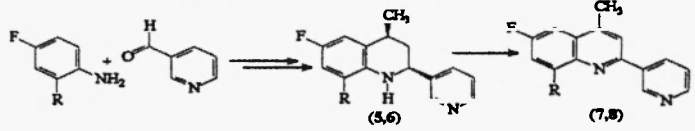
R = $C_6H_4CH_3$(m), $C_6H_4C_3H_8$(p), C_6H_4Br(m), C_6H_4Cl(p), $C_6H_4OC_2H_5$(p), C_6H_4Br(p)

Heterocycl. Commun. 8 (2002) 583-586

4-N-ARYL(BENZYL)AMINO-4-HETARYL-1-BUTENES AS BUILDING BLOCKS IN HETERO-CYCLIC SYNTHESIS. 3. A SIMPLE SYNTHESIS OF FLUORINATED 4-METHYL-2-(3-PYRIDYL)-1,2,3,4-TETRAHYDROQUINOLINES AND THEIR RESPECTIVE QUINOLINES

Leonor Y. Vargas Méndez, Vladimir Kouznetsov
Laboratory of Fine Organic Synthesis, Research Center for Biomolecules, School of Chemistry, Industrial University of Santander, A.A. 678, Bucaramanga, Colombia

6-Fluoro- and 6,8-difluro-4-methyl-2-(3-pyridinyl)-1,2,3,4-tetrahydroquinolines (5,6) and their respective quinolines (7,8) were obtained by a two or three step synthesis, starting from the corresponding aldimines (1,2) preformed from 4-fluoro- or 2,4-difluoroanilines and 3-pyridinecarboxaldehyde via a sequence of reactions that included nucleophilic addition of Grignard reagents to the aldimines and an acid-mediated intramolecular cyclisation of the homoallylamines with fluorinated substituents (3,4).

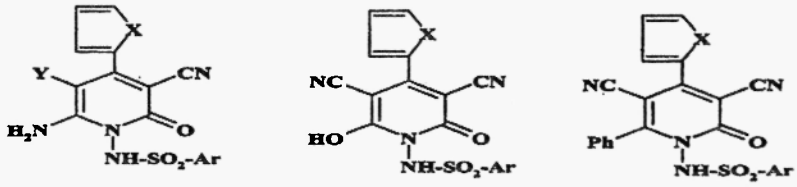


Heterocycl. Commun. 8 (2002) 587-592

REGIOSELECTIVE SYNTHESIS OF A NEW CLASS OF N-ARYLSULFONYLAMINATED BIHETEROCYCLES

Galal Hamza Elgemeie* and Shahinaz Hassan Sayed
Chemistry Department, Faculty of Science,
Helwan University, Ain-Helwan, Cairo, Egypt

A novel and efficient method for the synthesis of a new variety of novel N-arylsulfonylamino derivatives of biheterocycles by the reaction of *N*-cyanoaceto-arylsulphonylhydrazides with α,β-unsaturated nitriles. The synthetic potential of the method is demonstrated.



Heterocycl. Commun. 8 (2002) 593-600

MESOIONIC 5-ALKYL-1,3-DITHIOLIUM-4-THIOLATES: SYNTHESIS AND BRINE SHRIMP TOXICITY

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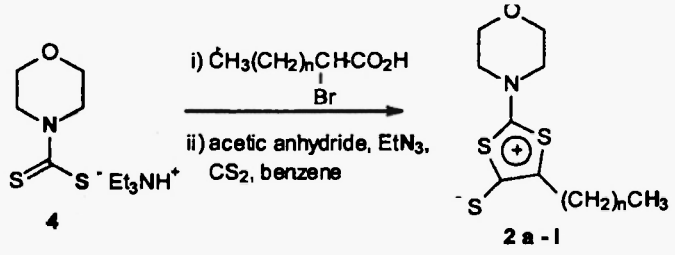

Heterocycl. Commun. 8 (2002) 601-606

Synthesis and Insecticidal Activity of Neonicotinoids Derivatives

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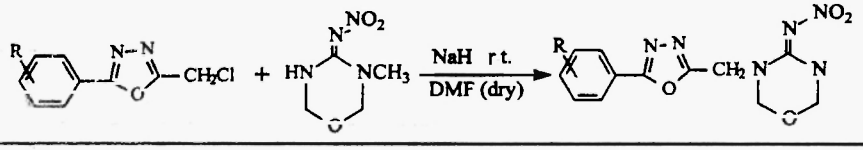
[b]State Key Laboratory of Fine Chemicals, Dalian University of Technology, Dalian 116012, PR China



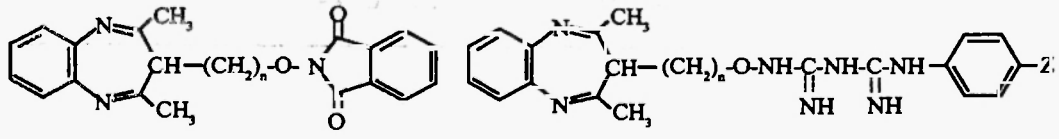
Heterocycl. Commun. 8 (2002) 607-612

SYNTHESIS OF 3-ALKOXY-(SUBSTITUTED ARYL) BIGUANIDINO-4-METHYL-2,3-DIHYDRO (1H)-1,5-BENZODIAZEPINE-2-ONES AND RELATED COMPOUNDS

Lalit Kumar Baregama, Bhawani Singh and G.L.Talesara*

University Department of Chemistry M. L. Sukhadia University Udaipur-313 001 (Raj.), India

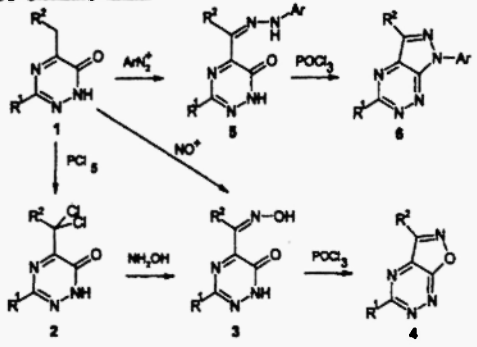
Condensation of 3-alkoxyphthalimidopentane 2,4-dione **5a-c**, 3-alkoxyaminopentane 2,4-dione salts **7a-c**, and 3-alkoxy 5(*p*-substituted aryl) biguanidinopentane 2,4-diones **10a-o** with o-phenylenediamine in xylene gave the corresponding 3-alkoxyphthalimido 2,4-dimethyl (3H) 1,5-benzodiazepines **6a-c**, 3-alkoxyamino 2,4-dimethyl (3H) 1,5-benzodiazepines **8a-c**, 3-alkoxy (*p*- substituted aryl) biguanidino 2,4-dimethyl (3H) 1,5-benzodiazepines **11a-o**.



n = 2, 3, 4; Z = H, CH_3, Cl, Br, NO_2; R = CH_3, OC_2H_5

Heterocycl. Commun. 8 (2002) 613-618

SYNTHESIS OF THE NEW SERIES OF NOVEL HETEROCYCLIC SKELETON ISOXAZOLO [4,5-e] 1,2,4-TRIAZINES AND NEW SERIES OF THE PYRAZOLO [4,3-e] 1,2,4-TRIAZINES.

Tomáš Gucký, Karel Nálepa and Iveta Wiedermannová

Department of Organic Chemistry, Palacky University, Tr. Svobody 8, 771 46 Olomouc, Czech Republic, E-mail: gucky@prfnw.upol.cz