Graphical Abstracts

Heterocycl. Commun. **5** (1999) 203–212

Reactions of 3,3-diamino-2-cyanoacrylates with substituted ureas and dichloromethylenedialkyliminium chlorides

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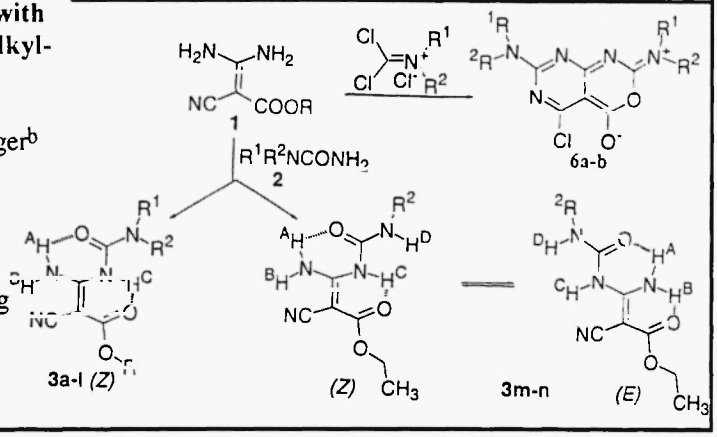

Heterocycl. Commun. **5** (1999) 213–216

SYNTHESIS OF NEW PHTHALAZINYL COMPOUNDS AS POTENTIAL INHIBITORS OF ALDOSE REDUCTASE AND SORBITOL DEHYDROGENASE

E. Fourmaintraux, P. Depreux,* I. Lesieur

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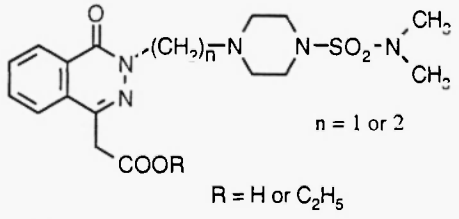
The production of sorbitol and fructose in chronic diabetes wich leads to long term complications (neuropathy, nephropathy, retinopathy, cataract) is due to an increase of the glucose flux to the polyol pathway which is regulated by 2 enzymes : aldose reductase (AR) and sorbitol deshydrogenase (SDH). We envisaged the synthesis of new phthalazinyl ligands in order to obtain compounds inhibiting both AR and SDH and thus to prevent complications.



Heterocycl. Commun. **5** (1999) 217–226

CHEMISTRY OF SILYL THIOKETONES :
STUDIES CONCERNING THE REGIOCHEMISTRY OF THE CYCLOADDITION WITH SUBSTITUTED 1,3-DIENES.

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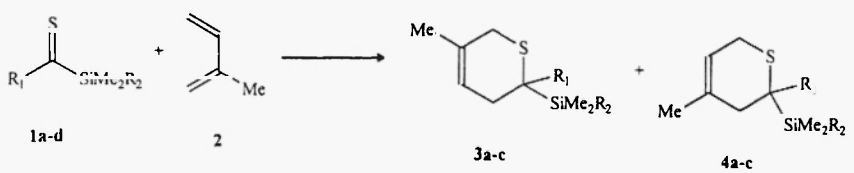
Dipartimento di Chimica Organica "A.Mangini", Università di Bologna. Viale Risorgimento 4, I-40136 Bologna

The cycloaddition between a series of silyl thioketones **1a-d** and substituted, open-chain 1,3-dienes was investigated: mixtures of regioisomeric 5,6-dihydro (2H) thiopyrans are formed. The structures of the major isomers were assigned on the basis of both spectroscopic analysis and chemical correlations.



1a : R_1 = Ph, R_2 = Me; **1b** : R_1 = t-Bu, R_2 = Me; **1c** : R_1 = Cyclopropyl, R_2 = Ph ; **1d** : R_1 = Mesityl, R_2 = Ph

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Chemo- and Regioselective Synthesis of New Phosphorated 4,5-Dihydroisoxazoles from Different Monoterpenes.

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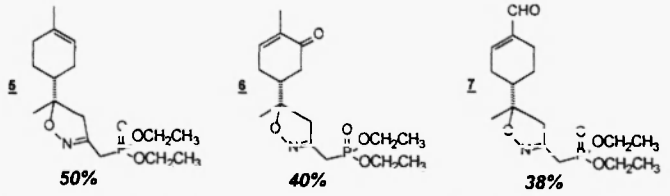
[1]Departamento de Engenharia Quimica, Instituto Militar de Engenharia.
[2]DQO, Instituto de Química, Universidade Federal do Rio de Janeiro, Cidade Universitana – Ilha do Fundão, Centro de Tecnologia, Bloco A – Sala 611, 21949-900, Brazil.

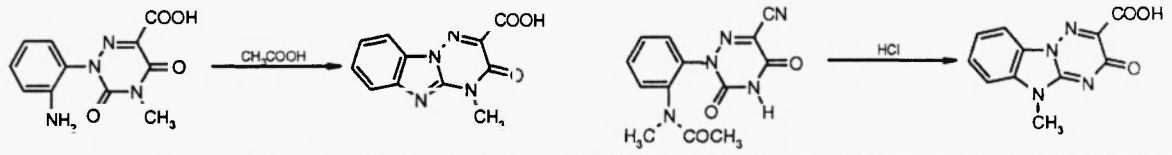
The cycloaddition of diethoxyphosphoryl nitrile oxide, generated *in situ*, to limonene, carvone and perilaldehyde afforded three new phosphorated 4,5-dihydroisoxazoles with yield of 50%, 40% and 38% respectively. The products were isolated and characterized by FTIR, MS, ^{13}C and ^{1}H NMR.



Heterocycl. Commun. **5** (1999) 231–234

Cyclocondensation Reactions of Heterocyclic Carbonyl Compounds VI: Cyclocondensation of the isomeric 1-(2-aminophenyl)-6-azauracil-5-carboxylic acid N-methylderivatives.

Petr Bílek*, Jan Slouka,
Department of Organic Chemistry, Palacky University, 771 46 Olomouc, Czech Republic E-mail: bilek@risc.upol.cz



Heterocycl. Commun. **5** (1999) 235–240

9,10-(3',4'-PYRROLIDINO)-9,10-DIHYDROANTHRACENE AND STRUCTURALLY RELATED COMPOUNDS AS SYNERGISTIC ANTIMALARIAL DRUGS

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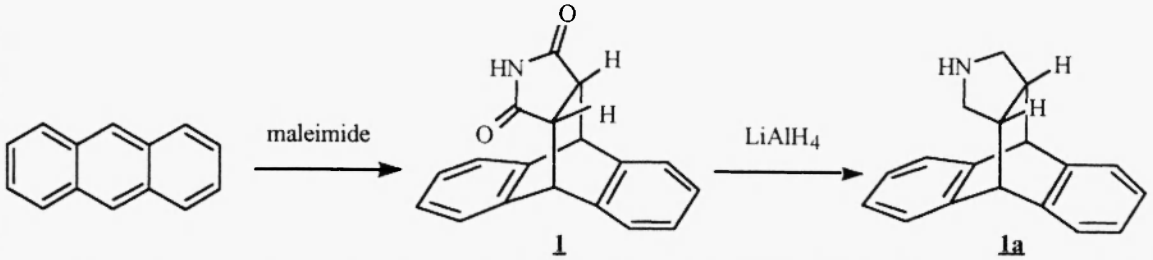
b)Institut de Medecine Tropicale, Service de Sante des Armees, Le Pharo, Marseille-France.

9,10-(3',4'-pyrrolidino)-9,10-dihydroanthracene and amino derivatives of 9,10-dihydro-9,10-ethano and 9,10-ethenoanthracenes have been synthesized and evaluated for their intrinsic antimalarial activity and their capability to induce antimalarial synergy with chloroquine as well.

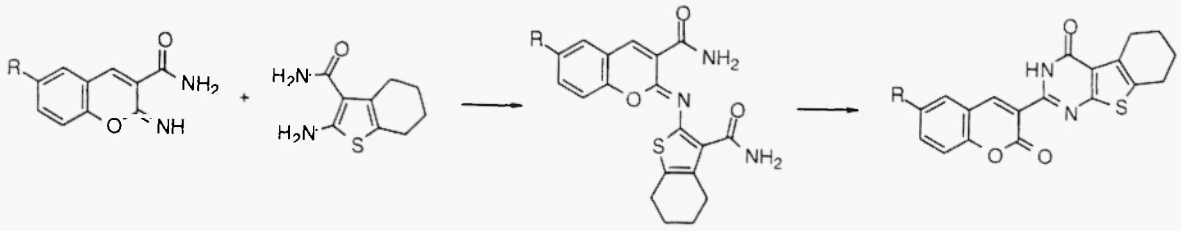


Heterocycl. Commun. **5** (1999) 241–242

A FACILE METHOD FOR SYNTHESIS OF HETEROCYCLES CONTAINING TETRAHYDROBENZO[4,5]THIENO[2,3-*d*]PYRIMIDINE AND COUMARIN MOIETIES

Maxym V. Vasylyev,* Yaroslav V. Bilokin,*1 Olena V. Branytska, Sergiy M. Kovalenko, and Valentyn P. Chernykh

Department of Organic Chemistry, Ukrainian Academy of Pharmacy, Kharkov 310002, UKRAINE



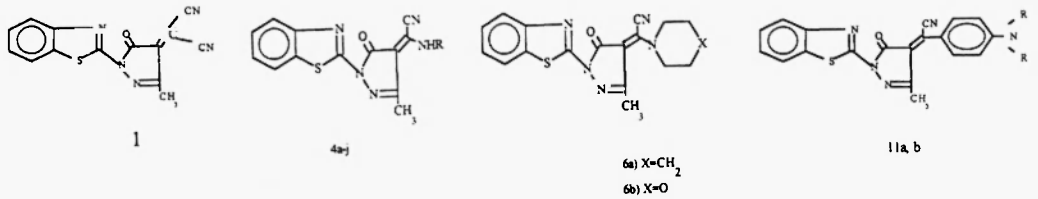
Heterocycl. Commun. **5** (1999) 243–247

Reactions of 1-(2-benzothiazolyl)-4-(dicyanomethylene)-3-methyl-2-pyrazolin-5-one towards Amines

Aly H. Atta

Chemistry Department; Faculty of Education (Suez); Suez Canal University; Suez; Egypt

The dicyanomethylene derivatives (1) reacts with primary and secondary amines to give the corresponding (4a-j) and (6a,b) respectively. Tertiary amines adds to (1) in exclusion of light to give adducts (10 a,b), which give the condensation products (11 a,b) by heating in DMF



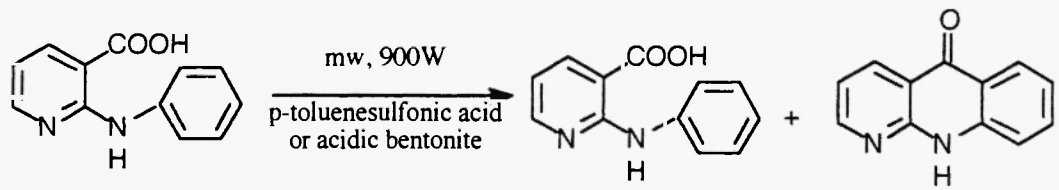
Heterocycl. Commun. **5** (1999) 249–252

MICROWAVE ACTION ON 2-(ARYLAMINO)-NICOTINIC ACID DERIVATIVES

Cerasella AFLOROAEI*[a], Mircea VLASSA[a], Agnes BECZE[a], Pierre BROUANT[b] and Jacques BARBE[b]

[a] Babes-Bolyai University, Faculty of Chemistry and Chemical Engineering, 11 Arany Janos street, Cluj-Napoca, RO-3400, Romania.

[b] GERCTOP-UPRES A CNRS 6009, Faculte de Pharmacie, 27, bd Jean-Moulin, 13385 Marseille cedex 5, France.

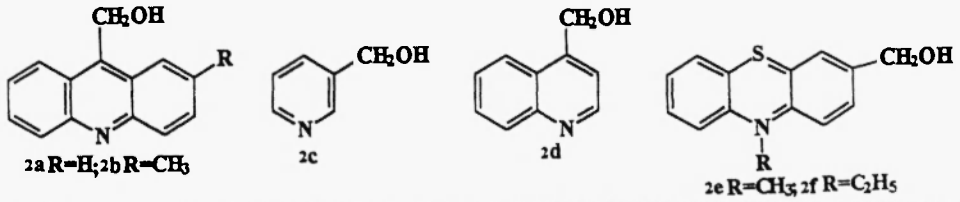


Heterocycl. Commun. **5** (1999) 253–256

BIOREDUCTION WITH BAKERS' YEAST OF π - DEFICIENT HETEROCYCLIC ALDEHYDES

Florin Dan IRIMIE, Cesarella AFLOROAEI*, Monica TOSA, Csaba PAIZS,
"Babes-Bolyai" University, Faculty of Chemistry and Chemical Engineering, Arany Janos 11 street,
3400 Cluj, Romania

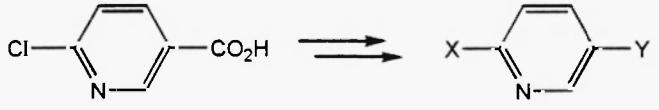
The reduction of a few π-deficient heterocyclic aldehydes (acridine, pyridine, quinoline and phenothiazine) by bakers' yeast (Saccharomyces cerevisiae) is presented. The structure of alcohols obtained, is supported by spectral and elemental analysis. As a matter of example, the following compounds have been prepared from the corresponding aldehydes.



Heterocycl. Commun. **5** (1999) 257–262

A SIMPLE ACCESS TO KEY PYRIDINE BUILDING BLOCKS.

Isabelle Cabanal-Duvillard and Jean-François Berrien*. Institut de Chimie des Substances Naturelles du CNRS, 91190 Gif-sur-Yvette (France).
*Laboratoire de chimie organique, UPRES-A CNRS, Biocis 8076, Faculte de Pharmacie, 92296 Châtenay-Malabry Cedex, France.



X = Cl or MeO

Y = CO_2H, COCl, CO_2Me, CHO, CH_2OH, CH_2Cl, CH_2Br, CH_2I, CH_2Ts, vinyl, etc...

A wide variety of 2,5-disubstituted pyridines were synthesized in good yields from 6-chloronicotinic acid.

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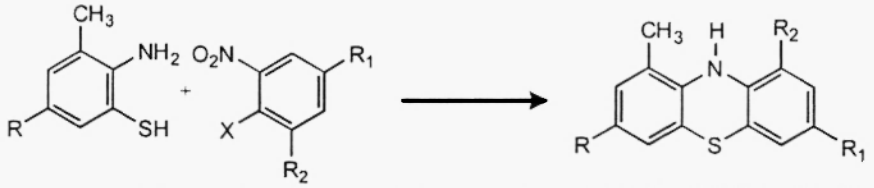
SYNTHESIS OF 1-METHYL-3,7-DISUBSTITUTED PHENOTHIAZINES.

Rajini Gupta, Vandana Gupta, Neerja Sharma, M.Y. Hamadi, P.S. Verma* and R R. Gupta
Department of Chemistry, University of Rajasthan, Jaipur-302004 (India)

Synthesis of title compound is reported via Smiles rearrangement.

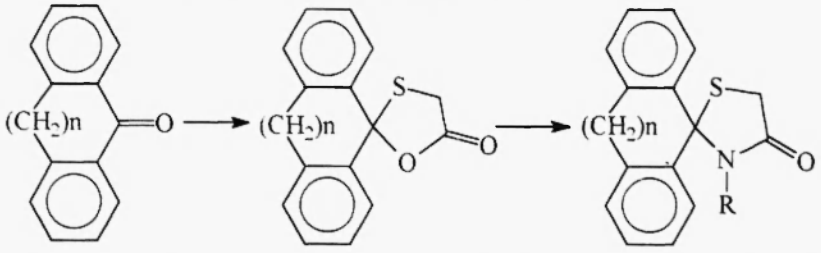


Heterocycl. Commun. **5** (1999) 269–274

SYNTHESIS OF SPIROHETEROCYCLES RELATED TO SPIRO[FLUREN-9,2'-(1',3'-OXATHIOLAN)]-5'-ONE AND SPIRO[ANTHRACEN-9(10)H,2'-(1',3'-OXATHIOLAN)]-5'-ONE

Z. A. Hozien, A. A. O. Sarhan and O. S. Mohamed
Chemistry Department, Faculty of Science, Assiut University, Assiut 71516, Egypt

Preparation of spiro[fluren-9,2'-(1',3'-oxathiolan)]-5'-one and spiro[anthracen-9(10)H,2'-(1',3'-oxathiolan)]-5'-one via the method reported. Subsequent several derivatives of the compounds have been synthesized.

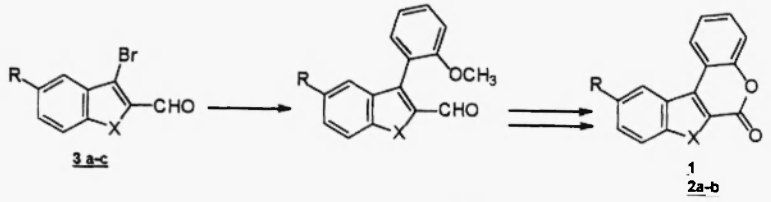


Heterocycl. Commun. **5** (1999) 275–280

Synthesis of new heterocyclic analogues of Isocoumestane

Stephanie Deprets and Gilbert Kirsch*
Groupe de Synthèse Organique et Hétérocyclique, Laboratoire de Chimie Organique, Universite de Metz, Ile du Saulcy, 57012 Metz Cedex 01, France

The synthesis of Isocoumestan 1 and heterocyclic analogues 2 in three steps from bicyclic aldehydes 3 is reported.



3 a-c

1
2a-b

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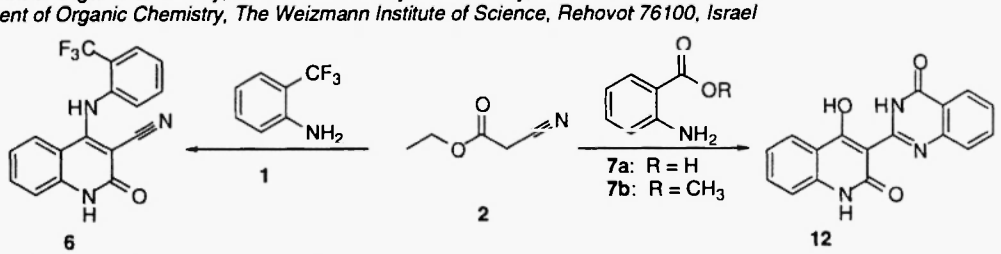
SPECIFIC FEATURES OF REACTIONS OF 2-AMINOBENZOTRIFLUORIDE AND ANTHRANILATES WITH ETHYL CYANOACETATE — EXPEDITIOUS ROUTES TO 3-SUBSTITUTED 4-AMINO- AND 4-HYDROXYQUINOLIN-2(1*H*)-ONES

Igor E. Bylov,[a*] Yaroslav V. Bilokin,[b*] and Sergiy M. Kovalenko[a]
[a] *Department of Organic Chemistry, Ukrainian Academy of Pharmacy, Kharkiv 310002, Ukraine*
[b] *Department of Organic Chemistry, The Weizmann Institute of Science, Rehovot 76100, Israel*



2-Quinolones **6** and **12**, compounds of biological importance, were obtained in moderate yields by three-component reactions of 2 equivalents of 2-aminobenzotrifluoride **1** or 2 equivalents of anthranilates **7** with ethyl cyanoacetate (**2**)

Heterocycl. Commun. **5** (1999) 285–290

SELENA AND THIADIAZOLE FUSED POLYCYCLIC POLYTHIA COMPOUNDS - PART-III

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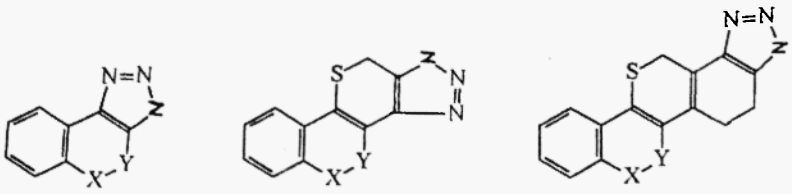
Department of Chemistry, Sri Venkateswara University, Tirupati - 517 502, India

The synthesis of the following compounds has been described



$X = S;\ Y = CH_2$: Z=Se/S
$X = CH_2;\ Y = S$: Z=Se/S