

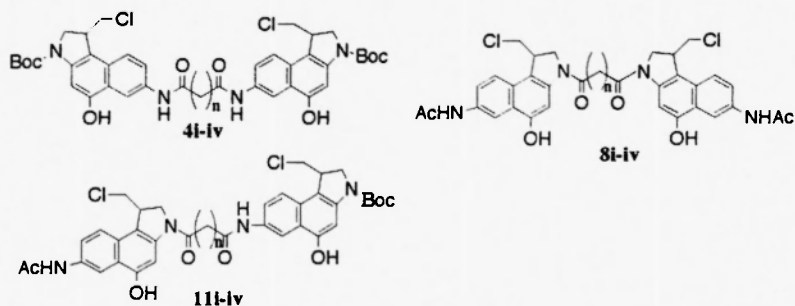
## Graphical Abstracts

Heterocycl. Commun. 5 (1999) 497-502

### Design and Synthesis of 1,2,9a-Tetrahydrocyclopropa[c]benz[e]indole-4-one (CBI) Dimers

Guofeng Jia, Hirokazu Iida and J. William Lown\*

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

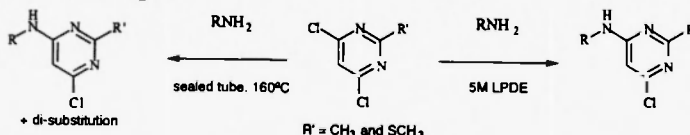


Heterocycl. Commun. 5 (1999) 503-508

### Regiocontrolled Amination of Dichloropyrimidines in LiClO<sub>4</sub> - Et<sub>2</sub>O Solutions.

James Garner and Adam McCluskey\* Department of Chemistry, The University of Newcastle, University Drive, Callaghan, NSW, Australia 2308

Treatment of dichloropyrimidine with amines in 5M LPDE yields only the mono-aminated product allowing for the selective introduction of a second amine in subsequent synthetic steps.

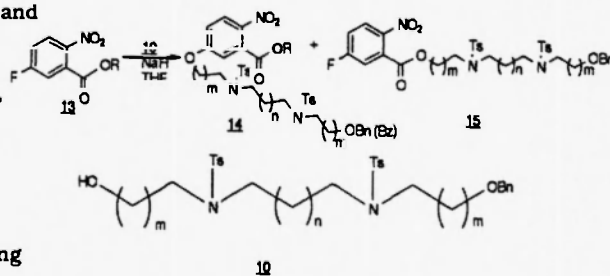


Heterocycl. Commun. 5 (1999) 509-514

### S<sub>N</sub>Ar REACTIONS OF METHYL AND ETHYL 2-NITRO-5-FLUOROBENZOATES IN THE SYNTHESIS OF PYRROLO[2,1-c][1,4]BENZODIAZEPINE PRECURSORS

Hirokazu Iida,<sup>1</sup> Yukihiro Misumi,<sup>1</sup> Kiyoshi Matsumoto,<sup>\*1</sup> and J. William Lown,<sup>\*2</sup>

<sup>1</sup> Graduate School of Human and Environmental Studies, Kyoto University, Kyoto 606-8501, Japan  
<sup>2</sup> Department of Chemistry, University of Alberta, Edmonton, AB, Canada, T6G 2G2



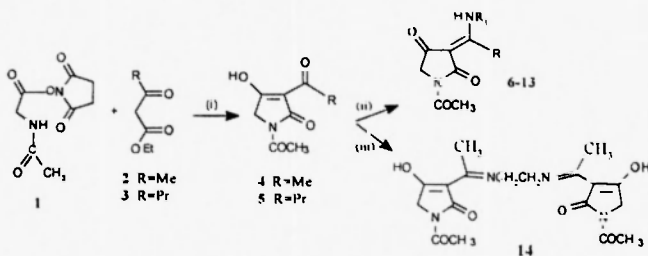
The title reaction was investigated as part of an effective synthesis of pyrrolo[2,1-c][1,4]-benzodiazepines possessing a long alkylamino unit at position 7.

**SYNTHESIS AND NMR SPECTROSCOPIC STUDIES OF NOVEL N-ACETYL-3-AMINOALKYL TETRAMIC ACIDS**

Efstathios Gavrielatos<sup>a</sup>, John Markopoulos<sup>b</sup>, Olga Igglessi-Markopoulou<sup>a\*</sup>.

<sup>a</sup> Laboratory of Organic Chemistry, Department of Chemical Engineering, National Technical University of Athens, Zografou Campus, 157 73 Athens, GREECE.

<sup>b</sup> Laboratory of Inorganic Chemistry, Department of Chemistry, University of Athens, GREECE.



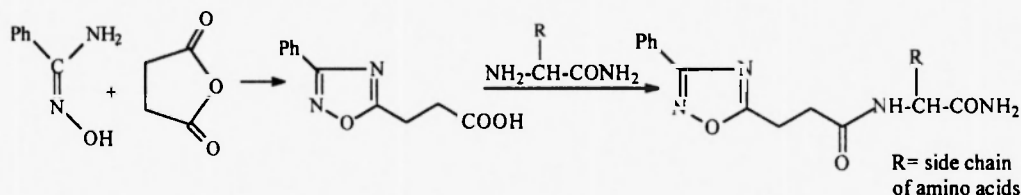
**Scheme 1.** (i) NaH, PhH, r.t., (ii) H<sub>2</sub>NR<sub>1</sub>, absolute ethanol, reflux 2.5 h. (iii) H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, absolute ethanol, reflux 2.5 h

**SYNTHESIS OF NEW 1,2,4-OXADIAZOLES-DERIVED DIPEPTIDOMIMETICS, A POTENTIAL CLASS OF ANTIINFLAMMATORY DRUGS**

R. F. Vieira<sup>1</sup>, D. J. Brondani<sup>1</sup>, A. R. de Faria<sup>1</sup>, R. M. Srivastava<sup>2</sup> & A. C. Lima Leite<sup>1\*</sup>

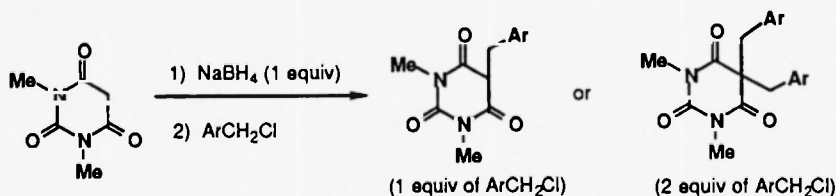
<sup>1</sup>Laboratorio de Planejamento, Avaliação e Síntese de Fármacos - LABSINFA, Laboratorio de Tecnologia Químico-Farmacéutica - Departamento de Farmácia - CCS Universidade Federal de Pernambuco - Rua Prof. Artur Sa S/N, CDU, 50740-520, Recife-PE-Brasil <sup>2</sup>Departamento de Química Fundamental - CCEN - UFPE - e-mail: ac1b02@elogica.com.br

A new series of 1,2,4-oxadiazoles containing pseudopeptide moiety as the side chain has been synthesized in good yield using a strategy of peptide synthesis.



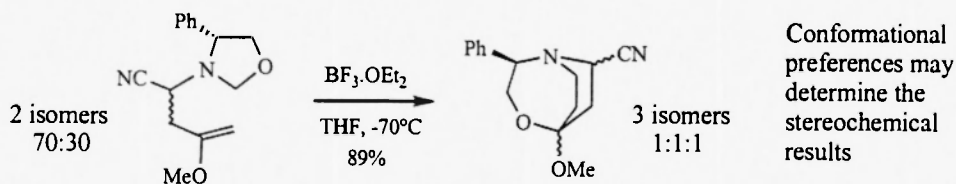
**SODIUM BOROHYDRIDE MEDIATED BENZYLATION OF 1,3-DIMETHYLBARBITURIC ACID**

Lucjan Strekowski\* and Mohamed A. Ismail, Department of Chemistry, Georgia State University, Atlanta, Georgia 30303, USA; Hanafi H. Zoorob, Faculty of Science, Al-Mansoura University, Al-Mansoura, Egypt

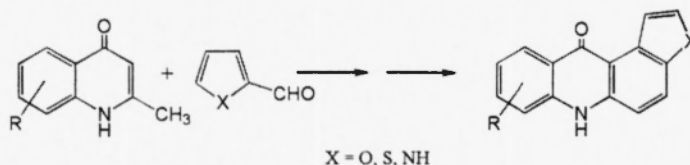


**STEREOCHEMICAL EFFECTS IN THE EFFICIENT  
CYCLISATION OF *N*-(1-CYANO-3-METHOXY-BUT-3-ENYL)-4-PHENYLOXAZOLIDINE**

David J. Aitken,\* Laure Besson, Karolin Partogyan-Halim, Henri-Philippe Husson

Laboratoire de Chimie Thérapeutique-CNRS, Faculté de Pharmacie, Université Paris V, 4 avenue de l'Observatoire,  
75270 Paris cedex 06, France, andLaboratoire SEESIB-CNRS, Département de Chimie, Université Clermont-Ferrand II, 24 avenue des Landais,  
63177 Aubière cedex, France**SYNTHESIS OF FURO- THIENO- AND PYRROLO- [3,2-a]ACRIDONES**

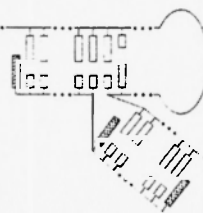
S. Thamarai Selvi and P.S.Mohan, Department of Chemistry, Bharathiar University, Coimbatore-641 046, INDIA

**MULTIPLE LID STABILIZATION OF THE DNA THREE-WAY JUNCTION.  
INSERTION OF *N*<sup>3</sup>-(1-PYRENYLMETHYL)THYMIDINE.**

Sherif A. El-Kafrawy and Erik B. Pedersen\*

Department of Chemistry, University of Southern Denmark, Odense University, DK-5230 Odense M, Denmark.

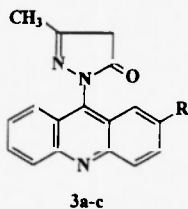
The DNA three-way junction is stabilized when stacking moieties cover the inclined arm at the branch point and the free ends of the duplex arms. *N*<sup>3</sup>-(1-pyrenylmethyl)thymidine, used as the stacking moiety, is easily synthesized by *N*<sup>3</sup>-alkylation of adequately protected thymidine.



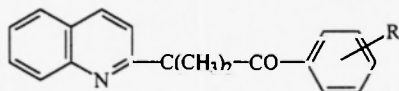
## 1-(ACRIDIN-9'-YL)-PYRAZOLIN-3 AND 5-ONES. A NEW CLASS OF HETEROCYCLES WITH POTENTIAL BIOLOGICAL ACTIVITY.

Ioan Cristea<sup>a</sup>, Mariana M. Popovici, Maria T. Mendel<sup>b</sup>, Ioan Silaghi-Dumitrescu<sup>a</sup> and Erika Kozma<sup>a</sup><sup>a</sup>Department of Organic Chemistry, "Babeş-Bolyai" University, Str. Arany Janos 11, 3400 Cluj-Napoca. Romania<sup>b</sup>Chemical and Pharmaceutical Research Institute, Str. Fabricii 126. 3400 Cluj-Napoca. Romania

1-(Acridin-9'-yl)-3-methylpyrazolin-5-ones **3a-c** were synthesised by cyclocondensation of 9-hydrazinoacridine derivatives and 1-(acridin-9'-yl)-5-methylpyrazolin-3-ones **4a-c** respectively, by arylation of 3-methyl-5-pyrazolone with 9-chloroacridine derivatives.



## SYNTHESIS AND NMR SPECTRA OF 2-METHYL-2-QUINOLIN-2-YL-PROPIOPHENONES

Ryszard Gawinecki,<sup>\*\*</sup> Erkki Kolehmainen,<sup>b</sup> Borys Osmialowski,<sup>a</sup> Peter Palkovič<sup>c</sup> and Majja Nissinen<sup>b</sup><sup>a</sup> Department of Chemistry, Technical and Agricultural University, Seminaryjna 3, PL-85-326 Bydgoszcz, Poland;<sup>b</sup> Department of Chemistry, University of Jyväskylä, P.O. Box 35, FIN-40351 Jyväskylä, Finland;<sup>c</sup> Department of Chemistry, Comenius University, Mlynská dolina CH 2, SK-842 15 Bratislava, Slovakia

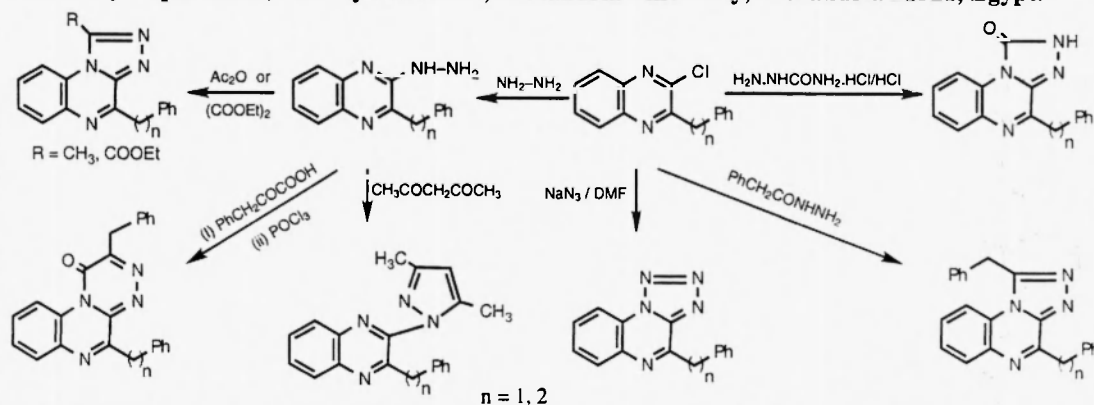
R = 4-CF<sub>3</sub>, 3-CF<sub>3</sub>, 3-Cl, 3-F, 4-Cl, 4-Br, 3-OMe, 4-F, H, 3-Me, 4-Me, 4-OMe

A series of 2-methyl-2-quinolin-2-yl-propiofenones was synthesized. Structure of these compounds was studied by IR, <sup>1</sup>H, <sup>13</sup>C and <sup>15</sup>N NMR and X-ray methods.

## SYNTHESIS AND ANTIMICROBIAL ACTIVITY OF CONDENSED AND UNCONDENSED QUINOXALINES

A. M. El Massry

Chemistry Department, Faculty of Science, Alexandria University, Alexandria 21321, Egypt.



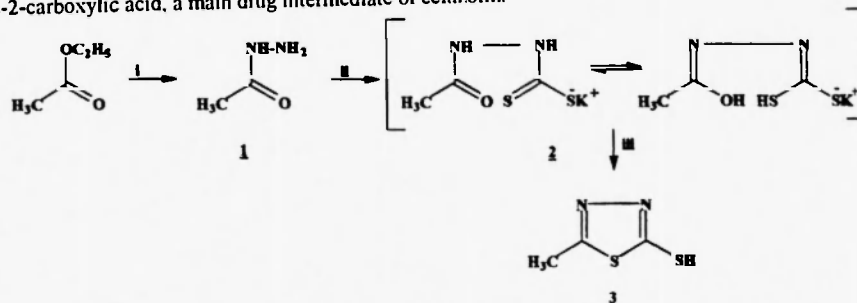
**A SIMPLE AND ECONOMICAL METHOD FOR THE SYNTHESIS OF INTERMEDIATES OF CEFAZOLIN.**

Heterocycl. Commun. 5 (1999) 565-568

Ranesh Chandra\* and Narendra N. Ghosh

Dr. B.R. Ambedkar Center for Biomedical Research, University of Delhi, Delhi-110007, India.

A simple convenient method of synthesis of 2-mercapto-5-methyl-1,3,4-thiadiazole (MMTD) is described which was then converted into 7-Amino-3-[[5-methyl-1,3,4-thiadiazole-2-yl]thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]-oct-2-ene-2-carboxylic acid, a main drug intermediate of cefazolin.



Heterocycl. Commun. 5 (1999) 569-576

**SYNTHESIS OF 4-SUBSTITUTED PYRIDIN-2(1H)-ONES, PYRIDINE-2(1H)-THIONES, RELATED DERIVATIVES AS ANALOGUES OF CARDIOTONIC DRUG OF MILRINONE**

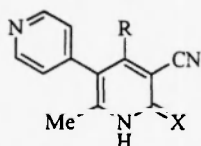
A. Krauze\*<sup>a</sup>, R. Vitoliņa<sup>a</sup>, V. Garalene<sup>b</sup>, H.-J. Jänsch<sup>c</sup>, G. Duburs<sup>a</sup>

<sup>a</sup>Latvian Institute of Organic Synthesis, Riga, Aizkraukles 21, LV-1006, Latvia

<sup>b</sup>Lithuanian Institute of Cardiology, Kaunas, Lithuania LT-3007

<sup>c</sup>Arzneimittelwerk Dresden, Stadtbetrieb des Pharmazeutischen kombinats Germed, Dresden, BRD

A convenient method of synthesis of 4-substituted 5-(4'-pyridyl)pyridine-2(1H)-ones and -thiones was elaborated by Michael reaction of 4-pyridylacetone and 2-cyano-3-R-acrylamides (thioamides) with subsequent heterocyclization, dehydration and dehydrogenation. Their cardiovascular activity in vitro was screened.



X = O; R = 2-F<sub>2</sub>HCOC<sub>6</sub>H<sub>4</sub>; 4-ClC<sub>6</sub>H<sub>4</sub>; 4-Pyridyl;  
X = S; R = 4-Pyridyl

Heterocycl. Commun. 5 (1999) 577-584

Synthesis of substituted quinolines and heterocyclo[x,y-c]quinolines by the nucleophilic substitution and rearrangements of 4-chloro-2-methyl-3-nitroquinolines

A. I. khodair, M. M. A. Abbasi\*, El-Sayed I. Ibrahim, A. H. Soliman and El-Sayed H. El-Ashry\*\* Chemistry

Department, Faculty of Science, Suez Canal University, \*Tanta University, and \*\*Alexandria university, Egypt.

