

## Graphical Abstracts

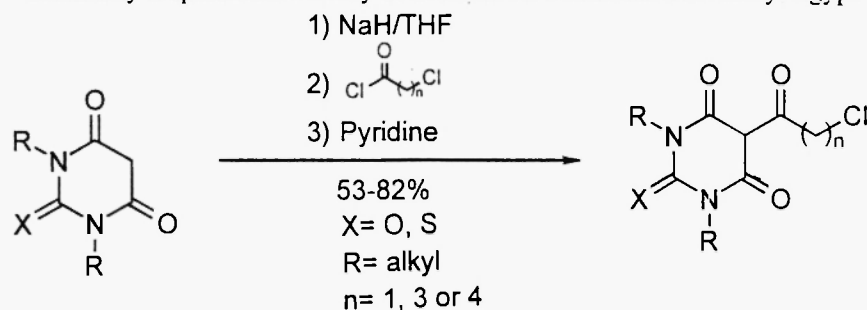
Heterocycl. Commun. **5** (1999) 9–10

### A GENERAL METHOD FOR ACYLATION OF 1,3-DIALKYL-SUBSTITUTED BARBITURIC AND 2-THIOBARBITURIC ACIDS

Lucjan Strekowski,<sup>§</sup> Mohamed A. Ismail<sup>§\*</sup> and Hanafi H. Zoorob<sup>\*\*</sup>

<sup>§</sup> Department of Chemistry, Georgia State University, Atlanta, Georgia 30303, USA

<sup>\*\*</sup> Chemistry Department, Faculty of Science, Al-Mansoura University, Egypt



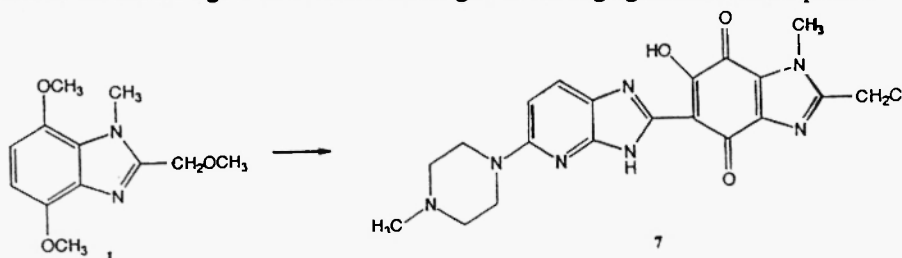
Heterocycl. Commun. **5** (1999) 11–18

### SYNTHESIS OF HOECHST 33258 ANALOGUES DESIGNED TO TARGET HUMAN TUMOR HELICASES

Ashok K. Singh and J.W. Lown

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

Syntheses of certain analogues of the DNA minor groove binding agent have been reported.



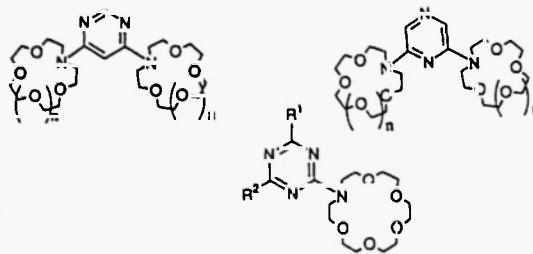
Heterocycl. Commun. **5** (1999) 19–24

### BINDING PROPERTIES OF SOME NEW MONO-, BIS-, AND TRIS-CROWN ETHERS FOR SILVER ION

Kiyoshi Matsumoto,\* Akira Shigeta, Akihiko Okada, Takehiro Kakara and Mitsuo Toda †

Graduate School of Human and Environmental Studies, Kyoto University, Kyoto 606-8501, Japan

† Faculty of Engineering, Shizuoka University, Hamamatsu, 432-8561, Japan



Abstract: For a variety of mono- bis- and tris-crown ethers **1** - **13**, the results of liquid membrane ion transport as well as extraction experiments are described. The <sup>13</sup>C-NMR titration experiments for **1** - **13** were performed in DMF/D<sub>2</sub>O (4/1) upon addition of silver ion. From the chemical shift changes, the binding sites of these crown ethers were deduced.

## SYNTHESIS OF NEW PHENYLPYRROLIZINONES DERIVATIVES, VIA A MANNICH REACTION

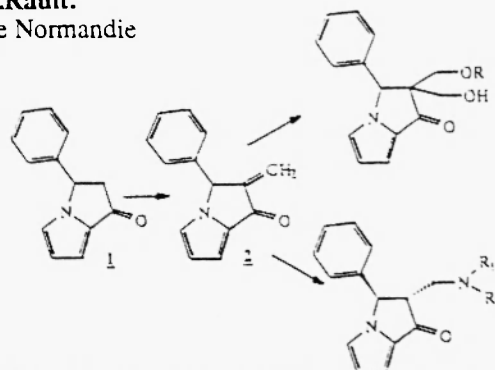
P.Sonnet\*, H.Miel, J.Guillon, P.Dallemagne and S.Rault.

Centre d'Etudes et de Recherche sur le Medicament de Normandie

U.F.R. des Sciences Pharmaceutiques

1, rue Vaubenard 14032 Caen FRANCE

Synthesis of intermediate **2** is described. This synthon is used for the syntheses of addition products.



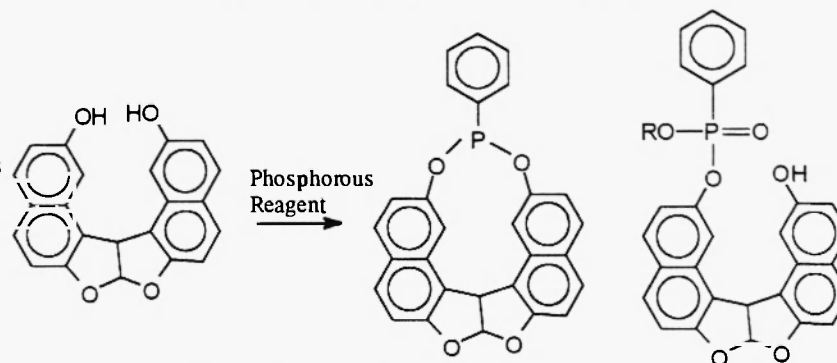
Phosphorous Esters of 2,13-dihydroxy-7a, 14c-dihydronaphtho[1',2':4,5]furo[3,2-d]furan

Nilgün Kızılcın<sup>a</sup>, Ahmet Akar<sup>a\*</sup>, Naciye Talınlı<sup>a</sup>, Salih Yaşlak<sup>b</sup>

<sup>a</sup>Istanbul Technical University, Faculty of Science, Department of Chemistry, Maslak 80626 Istanbul, TURKEY

<sup>b</sup>Marmara University, Faculty of Science, Department of Chemistry, Göztepe, Istanbul, TURKEY

Both cyclic and acyclic phosphorous esters of 2,13-dihydroxy-7a, 14c-dihydronaphtho[1',2':4,5]furo[3,2-d]furan are prepared.

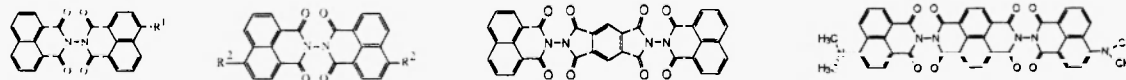


## SYNTHESIS OF BIS- AND TRIS-1,8-NAPHTHALIMIDES BRIDGED BY N-N BOND

Tao Xu, Jianhua Su, Kongchang Chen and He Tian\*

Institute of Fine Chemicals, East China University of Science and Technology, Shanghai 200237, P. R. China

Convenient synthesis of some novel 4-alkylamino substituted bis- or tris-1,8-naphthalimides bridged by N-N bond is reported. 400MHz NMR shows that the number of peaks and chemical shift of aromatic H are closely associated with the peri-effect of different 4-amino substituents.

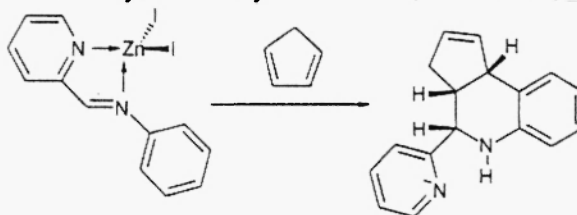


-R<sup>1</sup> = -NH<sub>2</sub>, -N(CH<sub>3</sub>)<sub>2</sub>, -NH(CH<sub>3</sub>)<sub>2</sub>CH<sub>3</sub>, -NH(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>, -NHCH<sub>2</sub>CH<sub>2</sub>OH, -NHCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -N(CH<sub>2</sub>)<sub>2</sub>NH

-R<sup>2</sup> = -NH<sub>2</sub>, -N(CH<sub>3</sub>)<sub>2</sub>

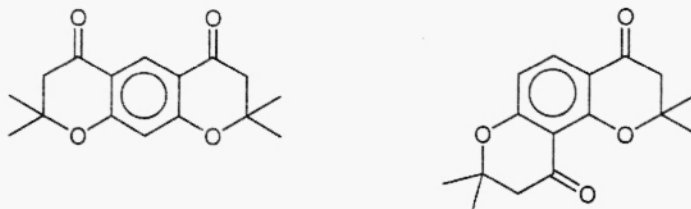
**CHIRAL CHELATING SYSTEMS:  
UNUSUAL FORMATION AND X-RAY-STRUCTURE OF RAC-  
3A(R),4(S),5,9B(S)-TETRAHYDRO-4-(PYRID-2-YL)-3H-  
CYCLOPENTA[C]QUINOLINE**

Klaus Wurst, Michael R. Buchmeiser\*, Institutes of General, Inorganic and Theoretical Chemistry and of Analytical Chemistry and Radiochemistry, University of Innsbruck, Innrain 52a, A-6020 Innsbruck, AUSTRIA



**ON THE SYNTHESIS OF BENZODIPYRANS**

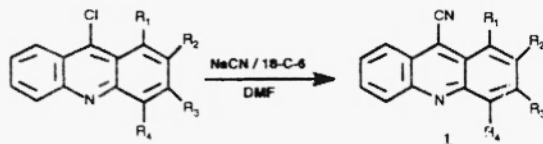
Tibor Eszenyi, Tibor Timar\*, Peter Sebök, and Jozsef Jekő  
Department of Chemical Research, ICN Hungary Co. Ltd., Tiszavasvári, Hungary, H-4440



The reaction of 2,2-dimethyl-7-hydroxy-4-chromanone and 3-methylbut-2-enoic acid in zinc chloride/phosphorus oxychloride and aluminum chloride/phosphorus oxychloride leads to the formation of a series of benzodipyrans derivatives.

**APPLICATION OF PHASE TRANSFER CATALYSIS IN THE ACRIDINE SERIES VII (1). SYNTHESIS OF 9-CYANOACRIDINE DERIVATIVES**

Mircea Vlassa<sup>1\*</sup>, Cerasella Afloreaei<sup>1</sup>, Nicu Dulamita<sup>1</sup>, Pierre Brouant<sup>2</sup> and Jacques Barbe<sup>2</sup>



<sup>1</sup>"Babeş-Bolyai" University, Faculty of Chemistry and Chemical Engineering, 11 Arany Janos Str., 3400 Cluj-Napoca, Romania and <sup>2</sup>GERCTOP - UPRES A CNRS 6009 Faculté de Pharmacie, 27 bd Jean-Moulin 13385, Marseille Cedex 5, France.

9-Cyanoacridine derivatives were obtained in high yields under mild reaction conditions in the presence of 18-crown-6 as catalyst.

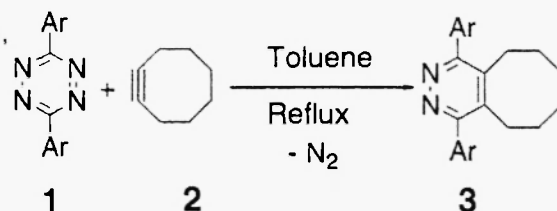
**INVERSE ELECTRON DEMAND DIELS-ALDER REACTIONS OF 3, 6-DIARYL-1, 2, 4, 5-TETRAZINES WITH CYCLOOCTYNE**

Yukio Ikemi,<sup>1</sup> Akihiro Okada,<sup>2</sup> Hideki Katsura,<sup>2</sup> Shinichi Otani,<sup>1</sup> and Kiyoshi Matsumoto,<sup>\*2</sup>

<sup>1</sup> Faculty of Integrated Human Studies, Kyoto University, Kyoto 606-8501, Japan

<sup>2</sup> Graduate School of Human and Environmental Studies, Kyoto University, Kyoto 606-8501, Japan

Cyclooctyne **2** underwent inverse electron demand Diels-Alder reaction with a variety of 3,6-diaryl-1, 2, 4, 5-tetrazines **1** giving the corresponding pyridazines in excellent yields. LUMO **1** -HOMO **2** energy gaps between **1** and **2** are obtained by semi-empirical molecular orbital calculations.

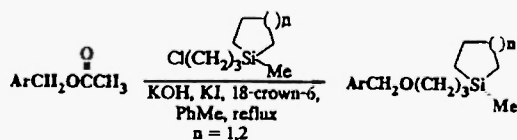


**A SIMPLE PHASE TRANSFER CATALYZED SYNTHESIS OF BENZYL AND HETARYLMETHYL SILACYCLOALKYL ETHERS FROM ACETATES**

Edgars Abele, Ramona Abele, Ilze Sleiksa, Edmunds Lukevics\*

Latvian Institute of Organic Synthesis, Aizkraukles 21, Riga, LV-1006, Latvia

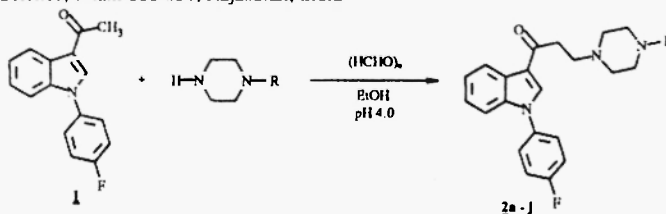
Simple and one-pot phase transfer catalytic method for the preparation of benzyl and hetaryl silacycloalkyl ethers from corresponding acetates in the system chloropropylsilane / KOH / KI / 18-crown-6 was elaborated. The corresponding benzyl and hetaryl ethers were obtained in 56 → 78 % yield.



**SYNTHESIS OF NEW PIPERAZINYL INDOLYL PROPANONES AND PRELIMINARY CNS PHARMACOLOGICAL EVALUATION IN MICE**

A.R. Subramanian, S.A.V. Raghavan, R.J. Babu, C.N.V.H.B. Gupta, N. Sridhar, A. Vecranjaneyulu, THE LATE P. Parimoo and P. Srinivas,<sup>1</sup> Department of Pharmacy, Birla Institute of Technology & Science, Pilani-333 031, Rajasthan, India

Novel piperazinyl indolyl propanones-aryl piperazinyl manich bases of 1-(4-fluorophenyl)-3-acetyl indole **1** yield compounds (**2a-j**) showing an antipsychotic profile.



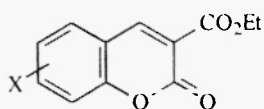
### NEW WAYS OF LACTONE RING SHORTENING AND CYCLOPROPANATION IN COUMARIN DERIVATIVES

Valery F. Traven\*, Alexander Yu. Tolmachev, Natalja Ya. Podhaluzina, Dmitrii S. Kanevskii,

Natalja P. Solovieva

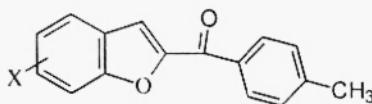
Department of Organic Chemistry, D.Mendeleev University of Chemical Technology of Russia, 125047 Moscow, Russia

Substituted 3-carboethoxycoumarins **1a-d** react with 4-methylphenacylbromide via lactone ring shortening to **4a-b** or via lactone ring cyclopropanation to **5a-b**.



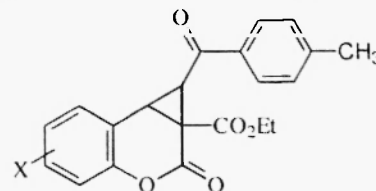
**1a**: X=7-OH    **1c**: X=H

**1b**: X=6-NO<sub>2</sub>    **1d**: X=7-OCH<sub>3</sub>



**4a**: X=6-OCH<sub>2</sub>CO-C<sub>6</sub>H<sub>4</sub>-CH<sub>3</sub>

**4b**: X=5-NO<sub>2</sub>



**5a**: X=H

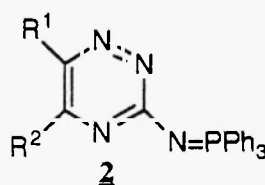
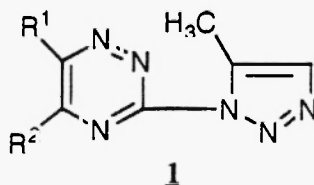
**5b**: X=7-OCH<sub>3</sub>

### REACTIONS OF 1,2,4-TRIAZINE AZIDES WITH $\alpha$ -KETO AND $\alpha$ -ESTER PHOSPHORUS YLIDES. SYNTHESIS OF SOME NEW 1-TRIAZINO-1,2,3-TRIAZOLES.

Yehia O. El-Khoshniah

National Research Centre, Dokki, Cairo, Egypt.

New heterocyclic compounds incorporating 1,2,4-triazine moiety (1,2,3-triazoles **1** and imino-phosphoranes **2**) were prepared for biological evaluation.

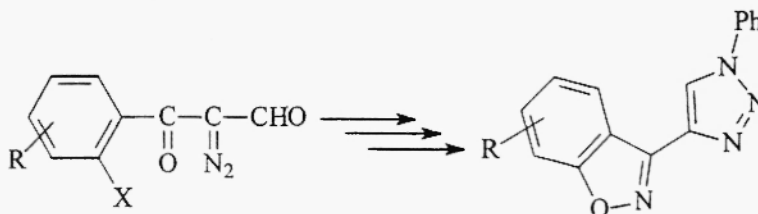


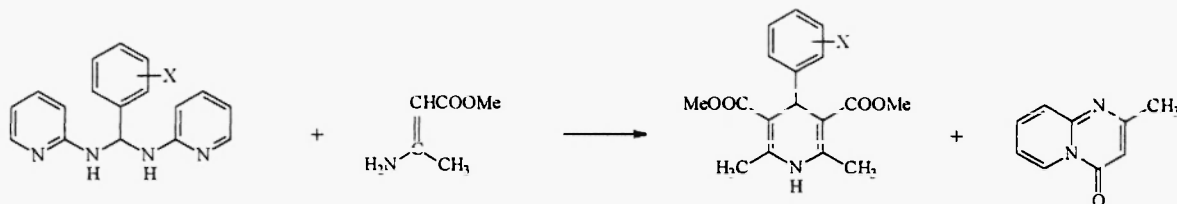
### SYNTHESIS OF TRIAZOLYLBENZOISOXAZOLE DERIVATIVES

Özkan Sezer, Kadir Dabak, Olcay Anaç, Ahmet Akar

Istanbul Technical University, Faculty of Sciences, Department of Chemistry, 80626 Maslak, Istanbul, Turkey.

New benzoisoxazole derivatives were obtained starting from  $\alpha$ -diazo- $\beta$ -oxoaldehydes.



**SOLID ACID CATALYZED REACTION OF AMINALS WITH METHYL 3-AMINOCROTONATE**Mária Balogh<sup>1</sup>, André Gerstmans<sup>2</sup>, István Hermeecz<sup>1</sup><sup>1</sup>CHINOIN Pharmaceutical and Chemical Works Ltd., H-1325 Budapest, P.O.Box 110, Hungary<sup>2</sup>Universite de Liège, Sart-Tilman par 4000 Liège, Belgium

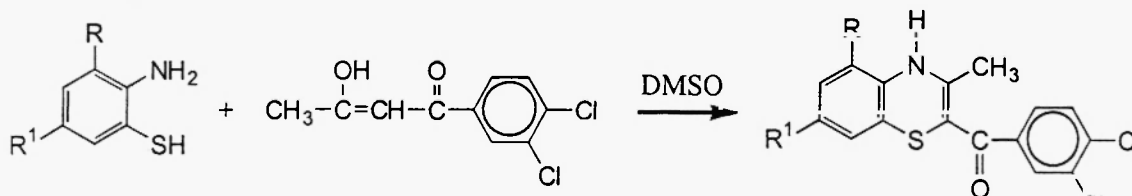
Symmetrically substituted 1,4-dihydropyridines were prepared from aminals and methyl 3-aminocrotonate in the presence of aluminosilicates (clays or zeolites). 2-Methyl-4*H*-pyrido[1,2-*a*]pyrimidin-4-one was formed from 2-aminopyridine eliminated from the aminals.

**SINGLE STEP SYNTHESIS OF 3-METHYL-5/7-SUBSTITUTED 4H-1,4-BENZOTHAZINES**

P.S. Verma, Rajni Gupta, Neerja Sharma, M. Yasir Hamadi, Vandana Gupta and R.R. Gupta

Department of Chemistry, University of Rajasthan, Jaipur - 302004, INDIA

Single step synthesis of 3-methyl-5/7-substituted-4*H*-1,4-benzothiazines involves condensation and oxidative cyclization of 2-aminobenzenethiols with 3,4-dichlorobenzoylacetone ( $\beta$ -diketone) in dimethyl sulphoxide.



$R/R^1$  -  $\text{CH}_3/\text{H}$ ,  $\text{OC}_2\text{H}_5/\text{H}$ ,  $\text{H}/\text{CH}_3$ ,  $\text{H}/\text{OC}_2\text{H}_5$ ,  $\text{H}/\text{OCH}_3$ ,  $\text{H}/\text{Cl}$ ,  $\text{CH}_3/\text{CH}_3$

