Graphical Abstracts

Heterocycl. Commun. **4** (1998) 105–111

SYNTHESIS OF A CONFORMATIONALLY RESTRAINED 4-ARYLTHIOPHENE-3-HEPTENOIC ACID AND ITS EVALUATION AS AN HMG COENZYME A REDUCTASE INHIBITOR

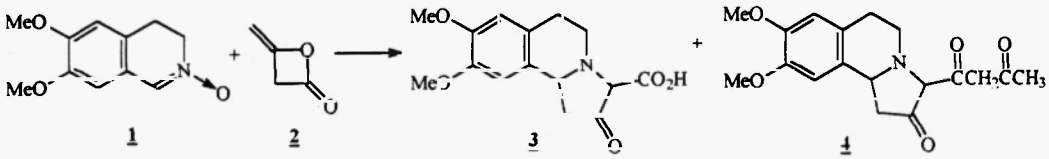
Gray M. Coppola*, Robert E. Damon and Robert G. Engstrom
Department of Metabolic Diseases, Novartis Pharmaceuticals, Route 10, East Hanover, N.J. 07936

A 4-phenylthiophene tethered to the 5-position of the heterocyclic was synthesized from 1-benzosuberone. The key step in the synthesis were the tandem thiolacetate hydrolysis - Michael addition - intramolecular Wittig reaction (**5** → **7**) and the chelation-controlled reduction of the hydroxy β–ketoester (**12** → **13**). The product **14** exhibited nearly identical *in vivo* potency to the non-tethered analogs.

Heterocycl. Commun. **4** (1998) 113–123

1,3-DIPOLAR CYCLOADDITION REACTION OF 6,7-DIMETHOXY-3,4-DIHYDROISOQUINOLINE *N*-OXIDE WITH DIKETENE: A NOVEL CONSECUTIVE REARRANGEMENT TO HEXAHYDROPYRROLO[2,1-*a*]ISOQUINOLINES

Bao-Xiang Zhao and Shoji Eguchi*
Department of Molecular Design and Engineering, Graduate School of Engineering, Nagoya University, Furo-cho, Chikusa-ku, Nagoya 464-01, Japan



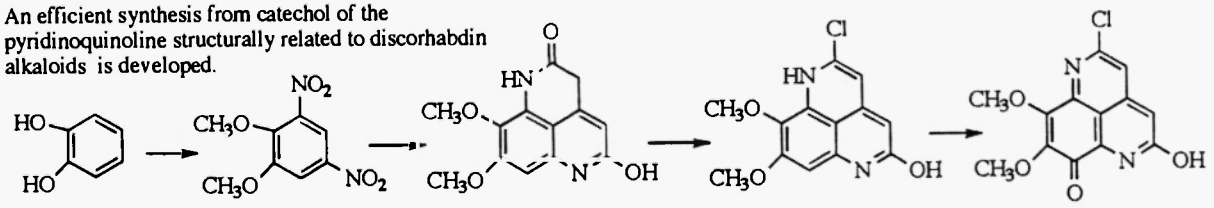
1 2 3 4

Heterocycl. Commun. **4** (1998) 125–129

SYNTHESIS OF THE PYRIDINO[4,3,2-*de*]QUINOLINE NUCLEUS: A DERIVATIVE OF THE DISCORHABDIN ALKALOIDS

Qizhu Ding and J. William Lown*
Department of Chemistry, University of Alberta, Edmonton, Alberta, Canada, T6G 2G2

An efficient synthesis from catechol of the pyridinoquinoline structurally related to discorhabdin alkaloids is developed.

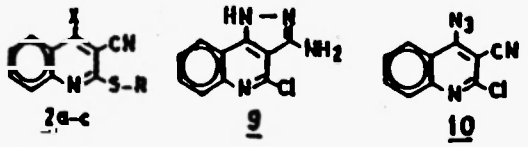


Heterocycl. Commun. 4 (1998) 131–138

NUCLEOPHILIC SUBSTITUTION of 2,4-DICHLOROQUINOLINE-3-CARBONITILE WITH DIFFERENT NUCLEOPHILES. SYNTHESIS of SEVERAL NEW QUINOLINE-3-CARBONITRILE DERIVATIVES.

Ramadan A. Mekheimer[1]* and T. Kappe[2]
[1]Chemistry Department,Faculty of Science,Minia University 61519, Minia, Egypt.
[2]Institute of Organic Chemistry, Karl-Franzens University, A-8010 Graz, Austria.

Nucleophilic substitution of 2,4-dichloroquinoline-3-carbonitrile1 with some nucleophiles such as thiolate anions; N2H4 and azide anion gave 2a-c; 9 and 10 , respectively.

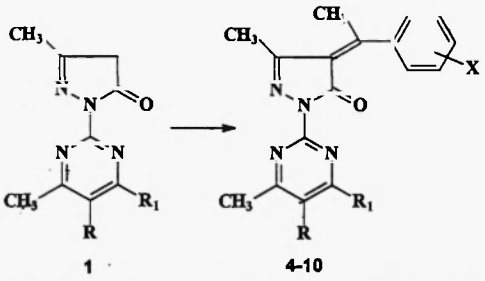


Heterocycl. Commun. 4 (1998) 139–143

SYNTHESIS AND STRUCTURAL STUDY OF SOME 4-(α-ARYLETHYLIDENE)-1-PYRIMIDINYL-2-PYRAZOLIN-5-ONES.

Ioan Cristea* and Ioan Panea
Department of organic Chemistry, "Babeş-Bolyai" University, 11 Arany Janoş Str., 3400 Cluj-Napoca, Romania.

The compounds 4-10 were synthesized by Knoevenagel condensation of corresponding 1-pyrimidinylpyrazolin-5-ones 1 with some aromatic ketones. The structural assignments and the stereochemistry of these compounds were confirmed by UV and NMR methods.

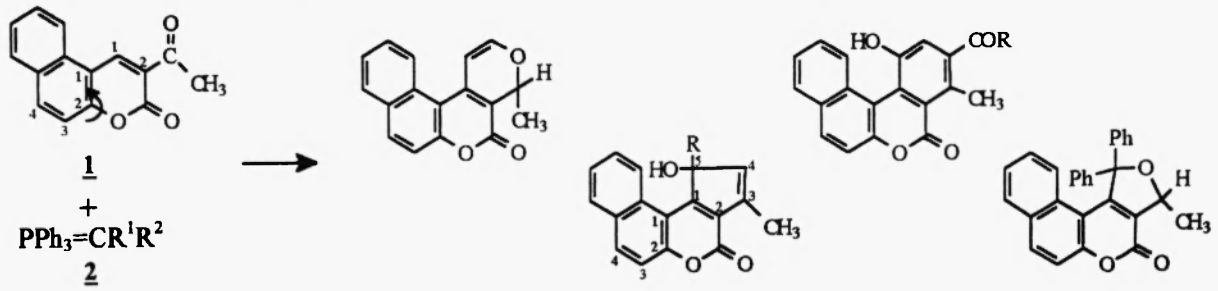


Heterocycl. Commun. 4 (1998) 145–150

THE REACTIVITY OF 2-ACETYL (3H)NAPHTHO[2,1-*b*]-PYRAN-3-ONE TOWARDS SOME PHOSPHORUS YLIDES: SYNTHESIS OF COUMARINYL[2,1-*b*]-FUSED CYCLIC COMPOUNDS

Wafaa M. Abdou,[a]* Monier A. I. Salem,[b] and Ashraf A. Sediek[b]
[a] *National Research Centre, Dokki, Cairo, Egypt*
[b] *Department of Chemistry, Ain Shams University, Cairo, Egypt*

Synthesis of different types of coumarinyl[2,1-*b*]-fused cyclic compounds were accomplished by applying some phosphonium ylides 2 on 2-acetyl (3H)naphtho[2,1-*b*] pyran-3-one 1.



Heterocycl. Commun. 4 (1998) 151–154

SYNTHESIS OF 3,4,4a,12b-TETRAHYDRO-2,5,5-TRIMETHYL-2H-BENZO[b]-NAPHTHO[2,3-b]PYRAN-7,12-DIONE

Ricardo A. Tapia,* Omar Navarro, Luz Alegría, and Jaime A. Valderrama
Facultad de Quimica. Pontificia Universidad Católica de Chile. Casilla 306, Santiago 22. Chile

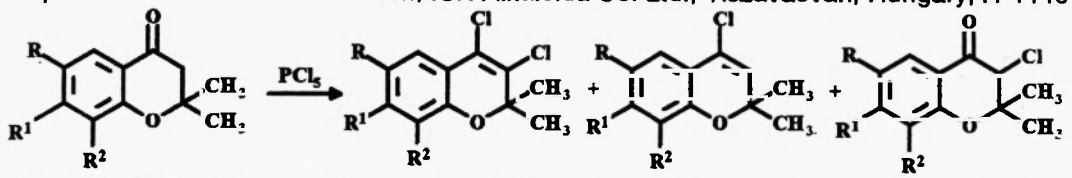
Benzonaphthopyranoquinone 8 was synthesized by a tandem-Knoevenagel hetero-Diels-Alder reaction of 2-hydroxy-1,4-naphthoquinone 3 with citral.

8

Heterocycl. Commun. 4 (1998) 155–156

ON THE FORMATION OF 3,4-DICHLORO-2,2-DIMETHYL-2*H*-CHROMENES FROM 2,2-DIMETHYL-4-CHROMANONES

Tibor Eszenyi, Zsolt Zsoter, Péter Sebők and Tibor Timár*
Department of Chemical Research, ICN Alkaloida Co. Ltd., Tiszavasvári, Hungary, H-4440



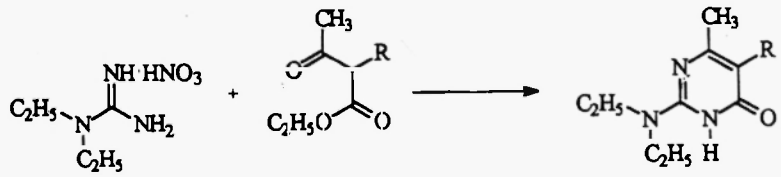
The product distribution for the reactions between the acetoxy derivatives of 2,2-dimethyl-4--chromanones and PCl_5 have been studied to confirm an extended pathway.

Heterocycl. Commun. 4 (1998) 157–162

SYNTHESIS AND STRUCTURAL INSIGHTS OF NOVEL 2-DIETHYLAMINO-6-METHYL-4(3H)-PYRIMIDINONES

Liliana Craciun, Dalila Kovacs, Radu Craciun and Sorin Mager
"Babes Bolyai" University, Department of Organic Chemistry, 11 Arany Janos Str., 3400 Cluj-Napoca

The synthesis of 2-diethylamino-6-methyl-4(3H)-pyrimidinones via the condensation reaction of ethyl 2-alkylacetoacetates with N,N-diethylguanidine is described. Tautomerism is discussed.



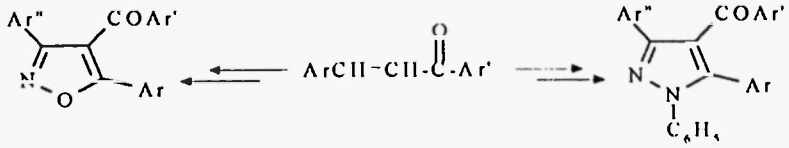
Heterocycl. Commun. **4** (1998) 163–168

INTERMOLECULAR CYCLOADDITION OF NITRILE IMINES AND NITRILE OXIDES TO 1,3-DIARYL PROP-2-EN-1-ONES

V. Padmavathi, R.P.Sumathi, A.V.Bhaskar Reddy & D.Bhaskar Reddy*

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

The reaction of nitrile imines and oxides generated *in situ* from the arylhydrazones 2 and araldoximes 5 in the presence of chloramine-T with α,β-unsaturated ketones 1 results tetrasubstituted pyrazolines 3 and trisubstituted isoxazolines 6. The latter on aromatization with chloranil furnished pyrazoles 4 and isoxazoles 7.



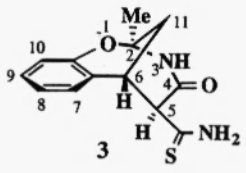
Heterocycl. Commun. **4** (1998) 169–170

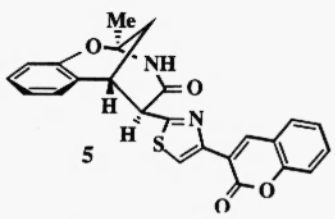
STEREOSELECTIVE SYNTHESIS AND STRUCTURE DETERMINATION OF A NEW 2-METHYL-4-OXO-2,6-METHANO-3,4,5,6-TETRAHYDRO-2H-1,3-BENZOXAZOCINE-5-THIOCARBOXAMIDE

Yaroslav V. Bilokin (Belokon),* Sergey N. Kovalenko, and Valentin P. Chernykh

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

Stereoselective one-step synthesis of a new 2-methyl-4-oxo-2,6-methano-3,4,5,6-tetrahydro-2H-1,3-benzoxazocine-5-thiocarbox-amide **3** from readily available 2-oxo-2H-1-benzopyran-3-thio-carboxamide **2** has been described. The structure of **3** has been elucidated by X-ray crystallography and **NMR** spectroscopy. Using the thioamide group of **3** as a synthon, compound **5** with 1,3-benzoxazocine, thiazole and coumarin moieties has been synthesized.

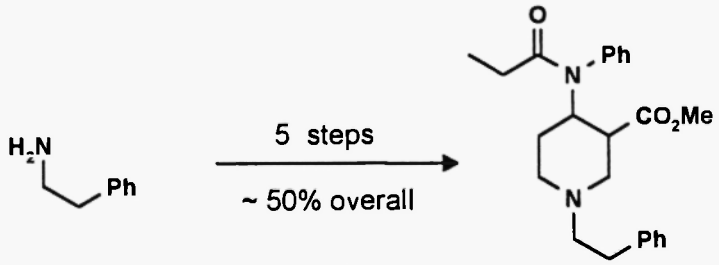




Heterocycl. Commun. **4** (1998) 171–179

3-CARBOMETHOXY FENTANYL: SYNTHESIS, PHARMACOLOGY AND CONFORMATIONAL ANALYSIS

I. V. Mićović,* M. D. Ivanovic, S. Vučković, D. Jovanović-Mićić, D. Beleslin, Lj. Došen-Mićović and V. D. Kiricojević



5a*, (±) *cis* ; *5b*, (±) *trans

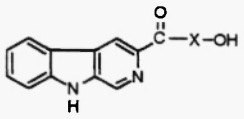
Heterocycl. Commun. **4** (1998) 181–186

SYNTHESIS OF N-[(β -CARBOLINE-3-YL)-FORMYL]-L-AMINO ACID DERIVERTIVES

Chao Wang[a*], Shiqi Peng[a] and Ming Yang[b]

a) Department of Pharmaceutical chemistry,
b) National Research Laboratories of Natural and Biomimetic Drugs,
Beijing Medical University, Beijing 100083, China

Four N-[(β -carboline-3-yl)-formyl]-L-Amino Acids have been synthesized by condensation of 3-carboxyl- β -carboline with corresponding protected amino-acids in the presence of dicyclohexylcarbodiimide(DCC), and removal of the protected groups.



A: X=Ser
B: X=Lys
C: X=Glu
D: X=Gly

Heterocycl. Commun. **4** (1998) 187–190

ONE POT SYNTHESIS OF 7-FLUORO-5-METHYL-4H-1,4-BENZOTHIAZINES.

Mahendra Kumar, Neerja Sharma, Rajni Gupta and R.R. Gupta*
Department of Chemistry, University of Rajasthan, Jaipur-302004, India

One-pot synthesis of 4H-1,4-benzothiazines is reported involving the condensation and oxidative cyclisation of 2-amino-5-fluoro-3-methyl benzenethiol with β–diketone/β–ketoester.