

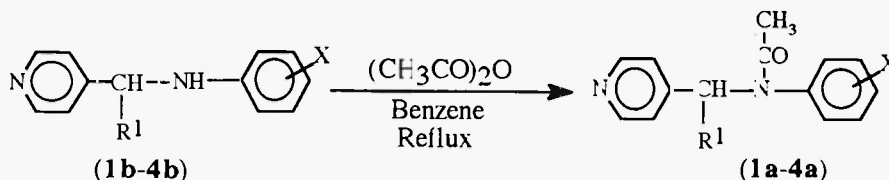
Graphical Abstracts

Heterocycl. Commun. 4 (1998) 7-10

AMINOALKYLPYRIDINES 2⁺. MOLECULAR MODIFICATION BY N-ACYLATION OF AMINO GROUP AND EFFECT ON ANTICONVULSANT ACTIVITY

Zhaiwei Lin and Pankaja K. Kadaba*, K and K Biosciences, Inc., 3411 Brookhaven Drive, Lexington, KY 40502-3330, U.S.A.

N-acetylaminoalkylpyridines (N-acetylAAPs) (1a-4a) were synthesized and their anticonvulsant activity determined in mice, ip, and rats, po. N-acetylation resulted in a decrease in the potent anticonvulsant activity of the parent AAPs, particularly by the oral route, and at the same time, a considerable increase in their neurotoxicity by the ip route.

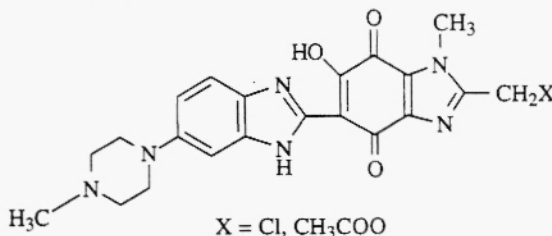


Heterocycl. Commun. 4 (1998) 11-19

SYNTHESIS OF BIOREDUCTIVELY ACTIVATED ALKYLATING HOECHST 33258 ANALOGUES

Rulin Zhao and J. William Lown*

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



A series of DNA-interactive bis-benzimidazole analogues of Hoechst 33258 was prepared to explore the potential for anticancer activity mediated for certain of the drugs *via* bioreductive activation by endogenous NADH or NADPH.

Heterocycl. Commun. 4 (1998) 21-24

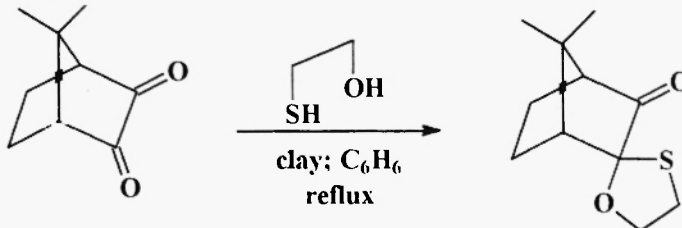
A FACILE METHOD TO OBTAIN OXATHIOLANES BY BENTONITIC EARTH (TAAF) CATALYST

M.Vargas(1), G.A. Arroyo(1), R. Miranda(1), J.M. Aceves(1), B. Velasco(1), F. Delgado(2).

(1) Facultad de Estudios Superiores Cuautitlán, Universidad Nacional Autónoma de México, Campo 1, Cuautitlán Izcalli, Estado de México, CP 54740.

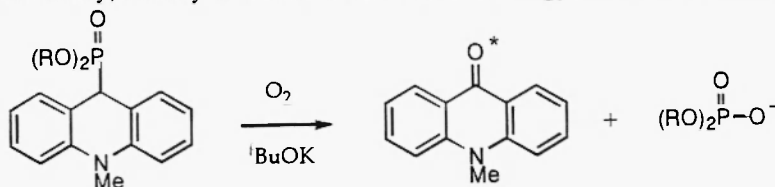
(2) Escuela Nacional de Ciencias Biológicas, Instituto Politécnico Nacional, Prolongación Carpio y Plan de Ayala, Casco de Santo Tomás, México, D.F., CP 11340.

Several carbonyl substrates were treated with 2-mercaptoethanol in the presence of Tonsil Actisil FF (TAAF) as the catalyst, the corresponding oxathiolanes were afforded.



A RELATIONSHIP BETWEEN CHEMILUMINESCENCE AND REACTIVITY OF WITTIG TYPE REACTIONS OF PHOSPHONATE CARBANIONS

Jiro Motoyoshiya,* Shuji Tsuboi, Keisuke Kokin, Yutaka Takaguchi, Sadao Hayashi and Hiromu Aoyama
Department of Chemistry, Faculty of Textile Science & Technology, Shinshu University, Ueda, Nagano 386, Japan



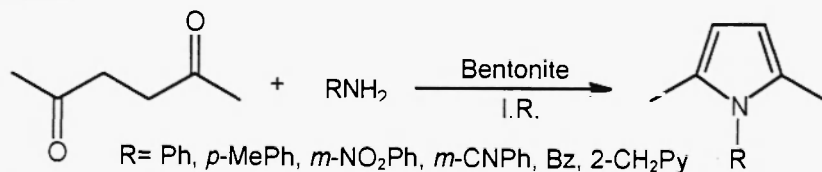
R = Et, CF₃CH₂, 2,4-difluorophenyl, Ph

The dihydroacridine carbanions with electron negative phosphorus substituents showed higher chemiluminescence quantum yields in oxygenation, which was considered comparing the reactivity of the phosphonoacetates involving such phosphorus substituents in the Horner-Wadsworth-Emmons (HWE) reactions.

A NEW STRATEGY FOR THE SYNTHESIS OF N-SUBSTITUTED 2,5-DIMETHYLPYRROLES IN HETEROGENEOUS MEDIUM

Guillermo Penieres C.⁽¹⁾, Veronica Soto R.⁽¹⁾, Cecilio Alvarez⁽²⁾, Olivia Garcia⁽¹⁾ and Jose G. Garcia E.⁽¹⁾
(1) Facultad de Estudios Superiores Cuautitlán-Universidad Nacional Autónoma de México, Sección de Química Orgánica, Av. 1 de Mayo s/n, Cuautitlán Izcalli, Estado de México, C.P. 54740, México.
(2) Instituto de Química-UNAM. Circuito Exterior, Ciudad Universitaria. México D.F. C.P. 04510.

A novel preparation method in dry medium of N-substituted 2,5-dimethylpyrroles using a clay as catalyst and infrared energy is described.



UNUSUAL ONE-POT "SUBSTITUTION" OF 3- ACETYL AND 3-ETHOXYCARBONYL FUNCTIONS FOR CYANO GROUP IN COUMARINS

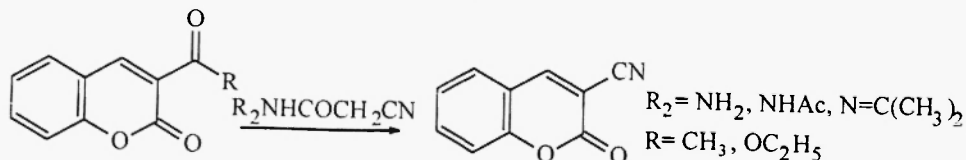
Valery F. Traven*^a, Violeta D. Dimitrova^a, Andrey L. Sedov^a, Roman V. Rozhkov^a,
Michael P. Nemeryuk^a, Maduar R. Salem^b, and Edward A. Carberry^c

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

b: Department of Chemistry, Faculty of Science, Al- Baath University, Homs, Syria

c: Department of Chemistry, Southwest State University, 56258 Marshall, MN, USA

Interaction of 3-ethoxycarbonyl and 3-acetylcoumarins with cyanoacetylhydrazide or its N-acetyl and N-ethoxycarbonyl derivatives in the Michael reaction conditions leads to 3-cyanocoumarin. Apparently the reaction undergoes through pyrone ring opening and recyclization steps.



CORRELATION ANALYSIS OF IR AND NMR SPECTRAL CHARACTERISTICS OF GLYCIDYL ETHERS

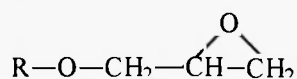
^aHu Geng Yuan, ^aYe Hua Hua, ^bPavol Koiš, ^cNad'a Prónayová, ^aLiu Quing, and ^bAlexander Perjéssy*

^aDept Chem. Zhejiang Univ. 20 Yugu Road, 310027 Hangzhou, P.R. China.

^bDept Organic Chemistry, Fac Natural Sci. Mlynska dolina CH-2, SK 842 15 Bratislava, Slovakia.

^cCentral Labs. Fac Chem Technol, Slovak Techn Univ. Radlinského 9, SK 812 37 Bratislava, Slovakia

Infrared, ¹³C NMR and natural abundance ¹⁷O NMR spectra were measured for a series of eleven glycidyl ethers. Three sufficiently sensitive spectral characteristics of the glycidyl group, i.e. the wave numbers of antisymmetric C-O-C stretching vibration, chemical shifts of the α-carbon atom and that of the acyclic oxygen atom gave statistically significant mutual correlations and correlations with inductive substituent constants.



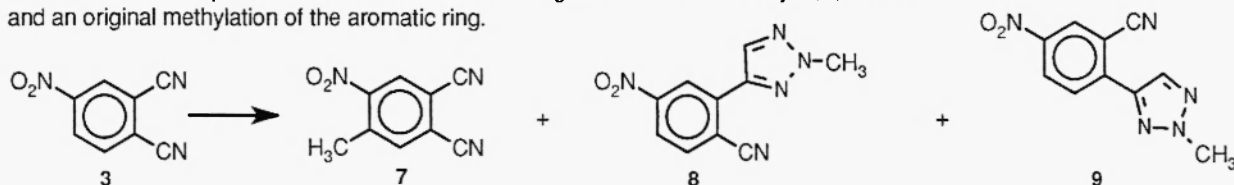
ADDITION OF DIAZOMETHANE TO 3 AND 4-NITROPHTHALODINITRILES

S. Danoun ¹, G. Baziard-Mouysset ^{1*}, J.L. Stigliani ¹, M. Payard ¹, M. Selkti ², B. Viossat ², A. Tomas ²

¹ Laboratoire de Chimie Pharmaceutique, Faculté de Pharmacie, 35, chemin des Maraîchers, F 31062 Toulouse Cedex

² Laboratoire de Physique, Faculté de Pharmacie, 4, avenue de l'Observatoire, F 75270 Paris Cedex 06.

The reaction of nitroptthalodinitrile with diazomethane gives isomeric N-methyl 1,2,3 triazoles and an original methylation of the aromatic ring.



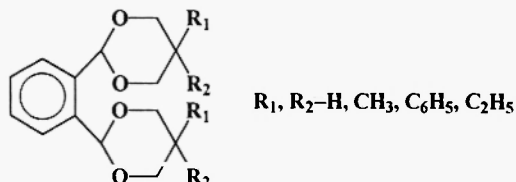
SYNTHESIS AND STEREOCHEMISTRY OF SOME NEW 1,3-DIOXANE DERIVATIVES OF o-PHTHALDIALDEHYDE

I. Grosu^a, S. Mager^a, E. Mesaros^a, and G. Ple^b

^a"Babes-Bolyai" University, Organic Chemistry Department, 11 Arany Janos str., RO-3400, Cluj-Napoca, Romania

^bUniversité de Rouen et IRCOF, UPRES-A-6014, Faculté de Sciences, 76821 Mont Saint Aignan, Cedex, France

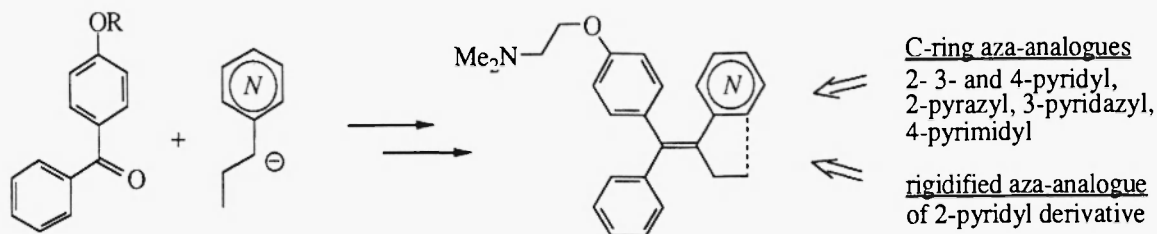
The synthesis and the stereochemistry of some 1,3-dioxane derivatives (**1-5**) of *ortho*-phthalaldehyde are reported. The *trans*, *trans*, *cis*, *cis* and *cis*, *trans* diastereomers of some of these compounds have been identified using NMR methods.



SYNTHESIS OF C-RING AZA-ANALOGUES OF TAMOXIFEN

Chantal Olier-Reuchet, David J. Aitken*, Henri-Philippe Husson*

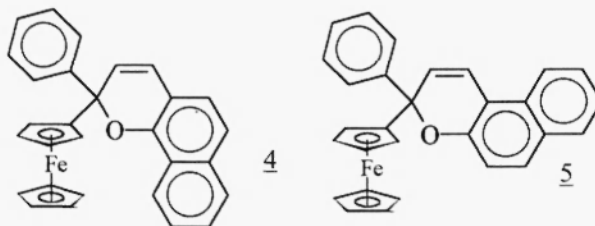
Laboratoire de Chimie Thérapeutique associé au CNRS, Faculté des Sciences Pharmaceutiques et Biologiques, Université René Descartes (Paris V), 4 avenue de l'Observatoire, 75270 Paris cedex 06, France



NEW FERROCENYL-NAPHTHOPYRANS WITH ORIGINAL PHOTOCHROMIC BEHAVIOUR

Stéphane Anguille, Pierre Brun* and Robert Guglielmetti, GCOPL, ERS CNRS 158, Faculté des Sciences de Luminy, Case 901, F-13288 Marseille cedex 09, France

The synthesis of a new family of naphthopyrans (-3-ferrocenyl-3-phenyl-naphthopyrans) (4-5) is presented and their photochromic properties (original behaviour) are compared with those of the parent -3,3-diphenyl-naphthopyrans.

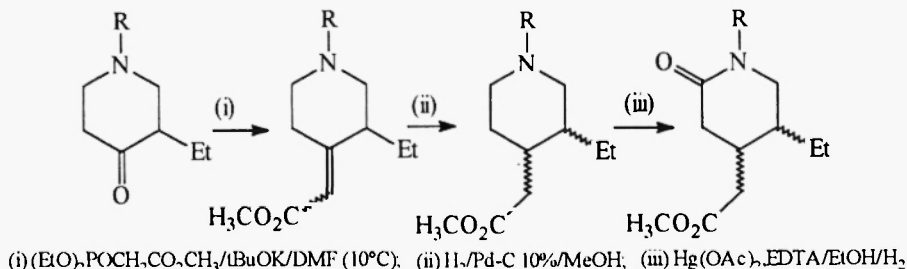


SYNTHESIS OF 4,5-DISUBSTITUTED 2-PIPERIDINONES FROM 4-PIPERIDINONES

Mohammed Hichour,¹ Yves Blache*,¹ Olivier Chavignon,² Jean-Claude Teulade,² and Jean-Pierre Chapat¹

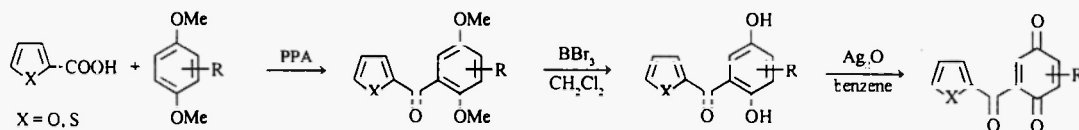
¹Laboratoire de Chimie Organique Pharmaceutique, 15 Avenue Charles Flahault, Faculté de Pharmacie, 34000 Montpellier.

²Laboratoire de Chimie Organique Pharmaceutique, Groupe de Recherche en Pharmacochimie, UFR de Pharmacie, 28 Place Henry Dunant, B.P. 38, 63001 Clermont-Ferrand.



SYNTHESIS OF HETEROACYL-1,4-QUINONES AS PRECURSORS FOR HETEROANTHRACYCLINONES**R.T. Pardasani^a, P. Pardasani^a, S. Muktaawat^a, R. Ghosh^a and T. Mukherjee^b**^aDepartment of Chemistry, University of Rajasthan, Jaipur-302004, India^bChemistry Division, Bhabha Atomic Research Centre, Mumbai-400085, India

A facile three step synthesis of heteroacyl-1,4-quinones is described.

**PREPARATION AND CHARACTERIZATION OF NEW SUBSTITUTED PHENYLTHIENYL ACETYLENES: COMPARISON OF THREE POSSIBLE PATHWAYS.**

D. Latassa, D. Prim and G. Kirsch*; Groupe de Synthèse Organique et Hétérocyclique; Laboratoire de Chimie Organique; Université de Metz; Ile du Saulcy; F-57012 METZ Cedex 01.

A study of three possible routes to new polysubstituted phenyl thienyl acetylenes is described.

