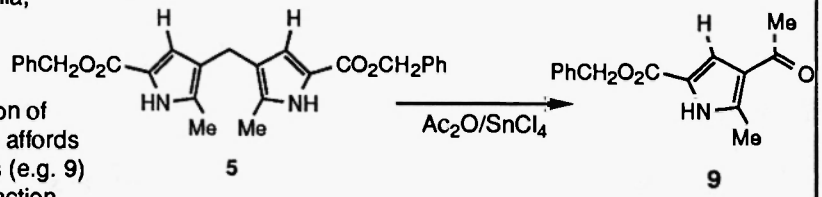
Heterocycl. Commun. 2 (1996) 9-12

ANOMALOUS ELECTROPHILIC SUBSTITUTION REACTIONS IN 3,3'-LINKED DIPYRROMETHANES

Sam H. Leung, Liem T. Nguyen and Kevin M. Smith
Department of Chemistry, University of California, Davis, CA 95616, USA

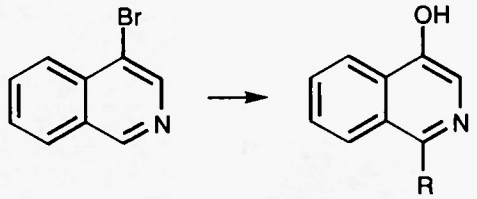


Vilsmeier formylation or Friedel-Crafts acetylation of 4,4' unsubstituted 3,3'-dipyrromethanes (e.g. **5**) affords the corresponding 3-formyl- or 3-acetyl-pyrroles (e.g. **9**) by way of a novel substitution/fragmentation reaction.

Heterocycl. Commun. 2 (1996) 13-16

A NEW SYNTHESIS OF 1-ALKYL(ARYL)-4-ISOQUINOLINOLS

Kevin P. Constable and F. Ivy Carroll*
Chemistry and Life Sciences, Research Triangle Institute, Post Office Box 12194, Research Triangle Park, North Carolina 27709, USA



R = H, CH_3, Et, *i*-Pr, Ph

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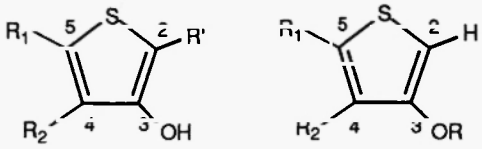
CARBON-13 NMR CHEMICAL SHIFTS OF ALKYL 3-HYDROXYTHIOPHENE-2-CARBOXYLATES AND 3-ALKYLAMINO-I-(3-THIENYLOXY)-2-PROPANOLOLS

Rosa DONOSO, Jose ELGUERO, Pilar GOYA, María Pilar JORDÁN de URRIES and Jaime LISSAVETZKY*

Instituto de Química Médica, CSIC, Juan de la Cierva, 3, 28006 Madrid, Spain.

A compilation of ^{13}C NMR chemical shifts for 35 thiophene derivatives of types **Ia** and **Ib** is reported. The data have been analyzed assuming an additive model $d_n = a_0 + \Sigma a_i x_i$, where n is the thiophene carbon considered (n = 2-5) and a_i the contribution of each substituent ($x_i = 1$ if the substituent is present and $x_i = 0$ if absent).



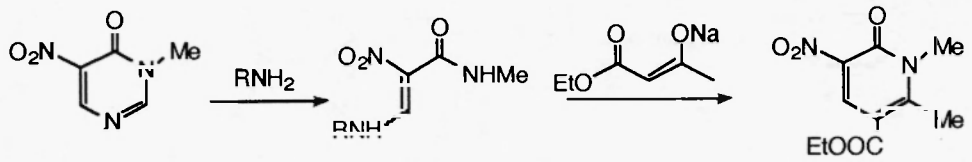
Ia **Ib**

Heterocycl. Commun. 2 (1996) 21-24

FACILE SYNTHESIS OF FUNCTIONALIZED NITROENAMINE BY AMINOLYSIS OF NITROPYRIMIDINONE

Nagatoshi Nishiwaki, Yukiko Mizukawa, Mami Ohta, Reiko Terai, Yasuo Tohda and Masahiro Ariga*
Department of Chemistry, Osaka Kyoiku University,
Asahigaoka 4-698-1, Kashiwara, Osaka 582, Japan

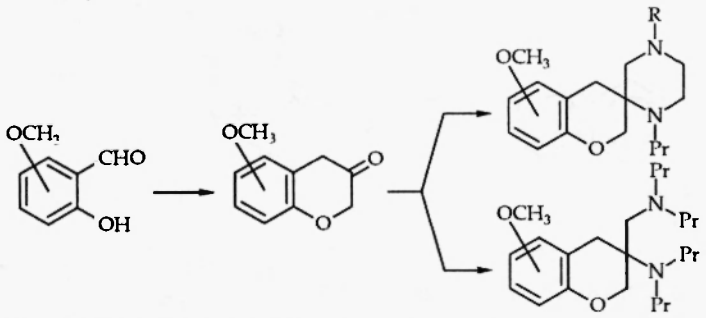
Aminolysis of nitropyrimidinone afforded the functionalized nitroenamine which was converted to the polysubstituted pyridone.



Heterocycl. Commun. 2 (1996) 25-33

SYNTHESIS OF 3-AMINO-3-AMINOMETHYL-2*H*-1-BENZOPYRAN AND SPIRO[1-BENZOPYRAN-3(*2H*),2'-PIPERAZINE] DERIVATIVES.

C. Comoy and G. Guillaumet*.
Laboratoire de Chimie Bioorganique et Analytique, URA CNRS n°499, Universite d'Orleans, B.P. 6759, 45067 Orleans Cedex 2, France.



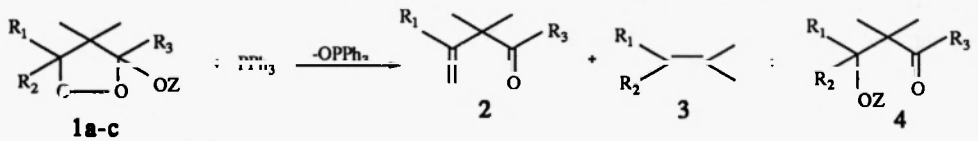
Preparation of new benzopyrane derivatives using a Strecker reaction with the 5- or 6-methoxy-3,4-dihydro-*2H*-1-benzopyran-3-one as intermediate is described.

Heterocycl. Commun. 2 (1996) 35-40

THE REACTION OF TRIPHENYL-PHOSPHINE WITH 3-METHOXY- AND 3-ACETOXY-4,4,5,5-TETRASUBSTITUTED-1,2-DIOXOLANES,

A.L. Baumstark,* P.C. Vasquez and Y.-X. Chen
LBCS, Department of Chemistry, Georgia State University, Atlanta, Georgia 30303

The reaction proceeds via initial formation of phosphoranes which undergo fragmentation via three ionic routes.

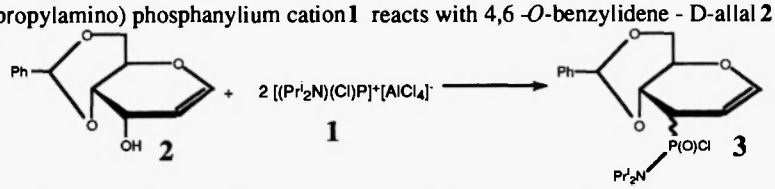


Heterocycl. Commun. 2 (1996) 41-46

PHOSPHONYLATION OF SUGAR DERIVATIVES USING PHOSPHANYLIUM CATION

Tatsuji Kasaka,[a] Makoto Kyoda,[a] Tetsuya Fujimoto,*[,a] Kazuchika Ohta,[a] Iwao Yamamoto*[,a] and Akikazu Kakehi[b]
[a] *Department of Functional Polymer Science, Faculty of Textile Science and Technology, Shinshu University, Ueda, Nagano 386, Japan,* [b] *Department of Chemistry and Material Engineering, Faculty of Engineering, Shinshu University, Wakasato, Nagano 300, Japan*

The chloro (diisopropylamino) phosphanylium cation **1** reacts with 4,6 -*O*-benzylidene - D-allal **2** to give phosphonylated sugar **3**, regioselectively .

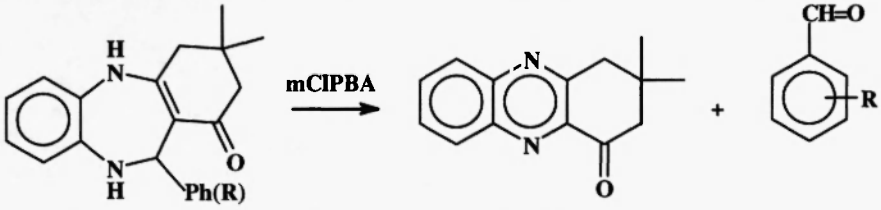


Heterocycl. Commun. 2 (1996) 47-50

Reaction of substituted 2,3,4,5,10,11-hexahydro-3,3-dimethyl-11-phenyl-1H-dibenz[b,e][1,4]diazepin-1-ones with m-chloroperoxybenzoic acid.

Robero Martínez*[a] , Enrique Angeles[b] and Flavia Martínez[b]. [a]Instituto de Química,UNAM [b]FES-Cuautitlan,UNAM.

This reaction gives 3,4-dihydrophenazine-1(2H)-one and benzaldehydes

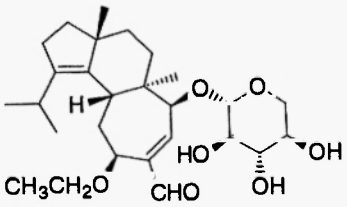


Heterocycl. Commun. 2 (1996) 51-54

ERINACINE D, A STIMULATOR OF NGF-SYNTHESIS, FROM THE MYCELIA OF *HERICIUM ERINACEUM*

Hirokazu Kawagishi,[†*] Atsushi Simada,[†] Kazue Shizuki,[†] Fumihiro Ojima,[††] Hironobu Mori,[††] Kenji Okamoto,[††] Hideki Sakamoto,[††] and Shoei Furukawa[†††]
[†]Department of Applied Biological Chemistry, Faculty of Agriculture, Shizuoka University, 836 Ohya, Shizuoka 422, Japan.
[††]Research Institute, Kagome Co., Ltd., 17 Nishitomiyama, Nishinasuno-machi, Tochigi 329-27, Japan.
[†††]Department of Molecular Biology, Gifu Pharmaceutical University, 5-6-1 Mitahora-Higashi, Gifu 502, Japan.

A novel diterpenoid, erinacine D, was isolated from the mycelia of *Hericium erinaceum*. This compound showed stimulating activity of nerve growth factor (NGF)-synthesis.

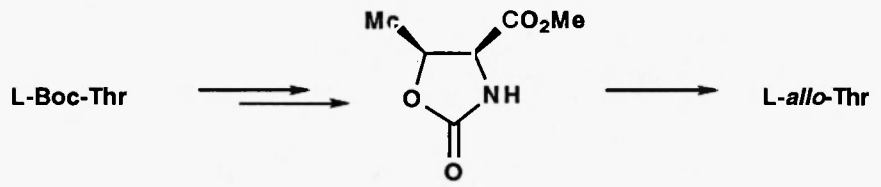


Heterocycl. Commun. 2 (1996) 55-56

A Convenient Synthesis of L-*allo*-Threonine

Lorenzo Williams, Diane B. Hauze and Madeleine M. Joullie*
Department of Chemistry, University of Pennsylvania, Philadelphia, PA 19104-6323, U.S.A.

L-*allo*-Threonine has been synthesized from L-*tert*-butoxycarbonylthreonine *via* oxazolidinone 1.



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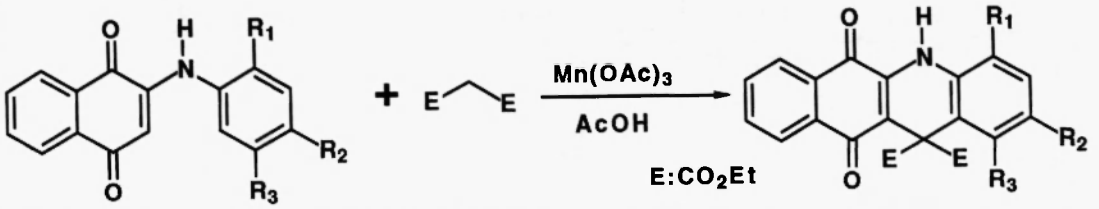
Heterocycl. Commun. 2 (1996) 57-61

Manganese (III) Acetate Initiated Oxidative Free Radical Reaction Between 2-Anilino-1,4-naphthoquinones And Diethyl Malonate

Che-Ping Chuang* and Sheow-Fong Wang
Department of Chemistry, National Cheng Kung University, Tainan, Taiwan, 70101, R.O.C.

A free radical reaction between 2-anilino-1,4-naphthoquinones and diethyl malonate initiated by manganese (III) acetate is described. This reaction provides a novel method for the synthesis of naphtho[2,3-b]quinoline-5,12-diones.

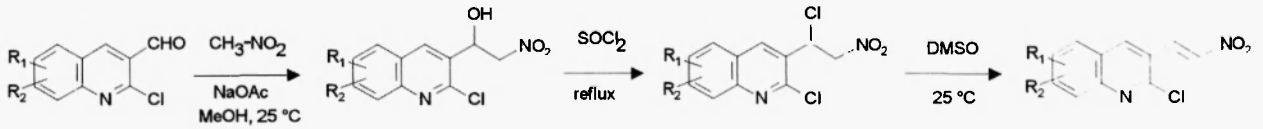


Heterocycl. Commun. 2 (1996) 63-70

SYNTHESIS AND ANTIMYCOTIC ACTIVITY OF NEW 2-CHLORO-3-(2-NITRO)ETHYL- AND (2-NITRO)VINYLQUINOLINES

Zoltán Cziáky, Ferenc Kóródi, László Frank and Irén Czink
Alkaloida Chemical Company Ltd., Tiszavasvári, P.O. Box 1, H-4440, Hungary

2-Chloro-3-(2-nitro)ethyl- and (2-nitro)vinylquinolines have been synthesized and tested *in vitro* for their antimycotic activity against *Aspergillus fumigatus*, *Trichophyton mentagrophytes*, *Microsporum gypseum*, *Epidermophyton floccosum* and *Candida albicans*. Several compounds exhibited strong inhibition to microorganisms.

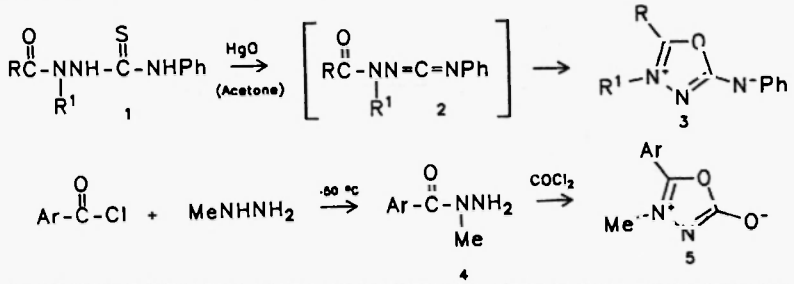


Heterocycl. Commun. 2 (1996) 71-79

MESOIONIC 1,3,4-OXADIAZOLIUM-2-AMINIDE AND 1,3,4-OXADIAZOLIUM-2-OLATE: SYNTHESIS, GEOMETRY, ELECTRONIC STRUCTURE AND ANTIBIOTIC ACTIVITY

C. A. Montanari*,[a,d] A. M. Giesbrecht,[c] J. P. B. Sandall,[b] Y. Miyata,[c] and J. Miller*,[d,e]

An alternative route to prepare 3 is presented. Compounds 3 show a broad spectrum of antimicrobial activities whereas 5 do not elicit any biological response.

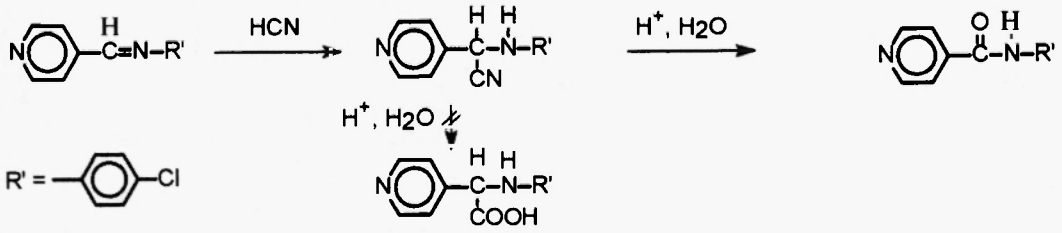


Heterocycl. Commun. 2 (1996) 81-86

TRIAZOLINES 32. ATTEMPTS AT APPLICATION OF THE STRECKER SYNTHESIS TO HETEROCYCLIC SUBSTITUTED AMINO ACIDS

Pankaja K. Kadaba* and Louis P. Glavinos, Division of Medicinal Chemistry and Pharmaceutics, College of Pharmacy, Albert B. Chandler Medical Center, University of Kentucky, Lexington, KY 40536-0082, U.S.A.

The Strecker synthesis fails when applied to a 4-pyridyl substituted heterocyclic amino acid, and yields instead 4-pyridinecarboxanilide.

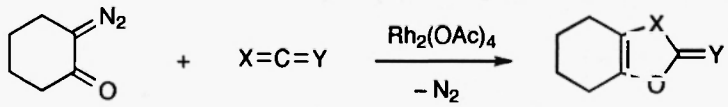


Heterocycl. Commun. 2 (1996) 87-90

REGIO-SELECTIVITY AND RELATIVE REACTIVITY OF YLIDE FORMATION IN THE REACTION OF KETOCARBENOID WITH HETERO-CUMULENES.

Toshikazu Ibata*, Motomu Himori, Kazuaki Fukushima, Hiroyuki Suga, and Hirofumi Nakano, Department of Chemistry, Faculty of Science, Osaka University, Toyonaka, Osaka 560, Japan

The regiochemistry and the relative reactivity of the reacton of ketocarbenoid with phenyl isocyanate, phenyl isothiocyanate, and phenyl isoselenocyanate were studied. The reactivity of these hetero-cumulenes is explained by molecular orbital calculation.



Reactivity of X=C=Y

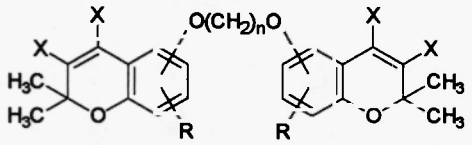
Ph—N=C=Se : Ph—N=C=S : S=C=S : Ph—N=C=O = 102 : 57 : 6 : 1

Heterocycl. Commun. 2 (1996) 91-96

SYNTHESIS OF NEW BISCHROMENES: CHEMICAL PROBES FOR PRECOCENE RECEPTORS

Tibor Timár*, Péter Sebők, Tibor Eszenyi, and József Jekő
Department of Chemical Research, Alkaloida Chemical Company Ltd., Tiszavasvári, Hungary, H-4440

Synthesis of new bischromenes (5-10) using the reaction of α,ω-dibromoalkanes with hydroxy-2,2-dimethyl-4-chromanones (1a-c) is described.



5 - 7 X=H n = 1-3 8 - 10 X=Cl