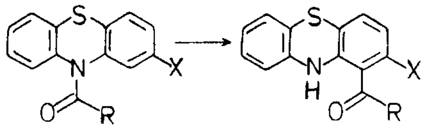
GRAPHICAL ABSTRACTS

Tet.Lett.,27,18,1959 (1986)

AN INTRAMOLECULAR ANIONIC FRIES REARRANGEMENT OF N-ACYLPHENOTHIAZINES

Anders Hallberg, A.Svensson and Arnold R. Martin
Department of Pharmaceutical Sciences, College of Pharmacy, University of Arizona, Tucson, AZ 85721 U.S.A.

Certain N-Acylphenothiazines undergo a rapid intramolecular N⟶C rearrangement on reaction with Lithium Dialkylamides at -78°.



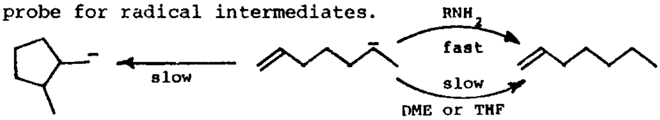
R = CF_3, 3-Pyridyl
X = H, Cl, CH_3

Tet.Lett.,27,18,1963 (1986)

SUPPRESSING THE CYCLIZATION OF (1-METHYL-5-HEXENYL)SODIUM

John F. Garst,* John B. Hines, Jr., and John D. Bruhnke
Department of Chemistry, School of Chemical Sciences
The University of Georgia, Athens, GA 30602

In DME or THF, tert-butylamine traps (1-methyl-5-hexenyl)sodium, suppressing its cyclization and validating the 1-methyl-5-hexenyl probe for radical intermediates.

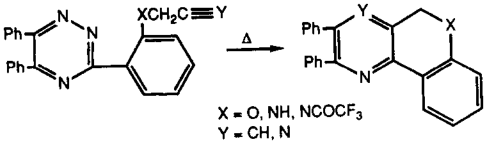


Tet.Lett.,27,18,1967 (1986)

INTRAMOLECULAR DIELS-ALDER REACTIONS OF 1,2,4-TRIAZINES: SYNTHESIS OF TRICYCLIC CONDENSED PYRIDINES AND PYRAZINES

Edward C. Taylor* and Larry G. French
Department of Chemistry, Princeton University, Princeton, NJ 08544

Syntheses of several 6,6,6-tricyclic condensed pyridines and pyrazines utilizing intramolecular Diels-Alder reactions of 1,2,4-triazines with alkyne and nitrile dienophiles are detailed. The cyclizations are facilitated by the presence of conformationally restrictive planar aromatic rings in the chain tethering the diene and the dienophile.



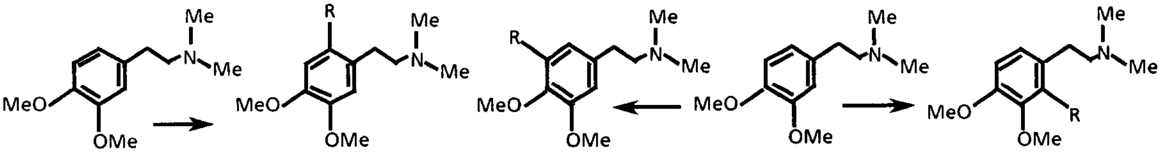
X = O, NH, $NCOCF_3$
Y = CH, N

Tet.Lett.,27,18(1971 (1986)

REGIOSELECTIVE AROMATIC RING FUNCTIONALIZATION OF DOPAMINE ANALOGUES

C. D. Liang
Department of Medicinal Chemistry, Division of G. D. Searle & Co.
4901 Searle Parkway Skokie, Illinois 60077 U.S.A.

Regioselective aromatic ring functionalization of tetramethyl dopamine was accomplished via cyclometallation or butyllithium at different temperatures.

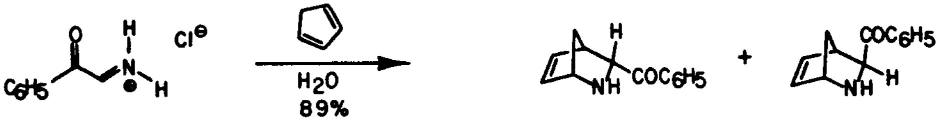


Tet.Lett.,27,18,1975 (1986)

AZA DIELS-ALDER REACTIONS IN WATER: CYCLOCONDENSATION OF C-ACYL IMINIUM IONS WITH CYCLOPENTADIENE

Paul A. Grieco,* Scott D. Larsen and William F. Fobare
Department of Chemistry, Indiana University, Bloomington, IN 47405

C-Acyl iminium ions react with cyclopentadiene in water at ambient temperature giving rise to aza Diels-Alder adducts.

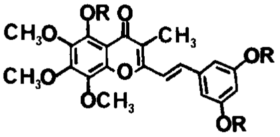


Tet.Lett.,27,18,1979 (1986)

HORMOTHAMNIONE, A NOVEL CYTOTOXIC STYRYLCHROMONE FROM THE MARINE CYANOPHYTE HORMOTHAMNION ENTEROMORPHOIDES GRUNOW

William H. Gerwick,*[a] Albert Lopez,[a] Gregory D. Van Duyne,[b] Jon Clardy,[b] Wanda Ortiz,[c] and Adriana Baez[c]; [a]College of Pharmacy, Oregon State University, Corvallis, Oregon 97331, [b]Department of Chemistry - Baker Laboratory, Cornell University, Ithaca, NY 14853, [c]Department of Pharmacology, University of Puerto Rico, School of Medicine, San Juan, Puerto Rico 00936

Triacetate derivative 2 was determined by x-ray crystallography. The natural product (1) is a very potent cytotoxin to cancer cells.



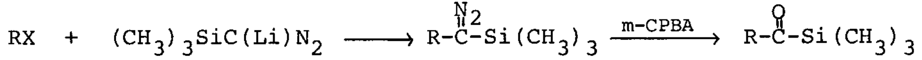
1 = H
2 = $COCH_3$

Tet.Lett.,27,18,2005 (1986)

NEW METHODS AND REAGENTS IN ORGANIC SYNTHESIS. 62. TRIMETHYLSILYLDIAZOMETHANE: A CONVENIENT REAGENT FOR THE PREPARATION OF ACYLSILANES

Toyohiko Aoyama* and Takayuki Shioiri*
Faculty of Pharmaceutical Sciences, Nagoya City University, Tanabe-dori, Mizuho-ku, Nagoya 467, Japan

Acylsilanes(α-ketosilanes) were prepared in two steps from alkyl halides by alkylation of the lithium salt of trimethylsilyldiazomethane, followed by oxidation with m-CPBA.



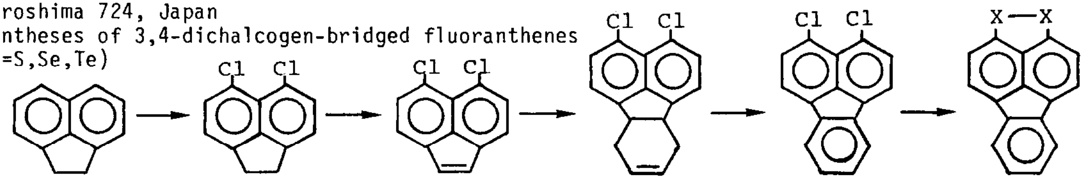
$$RX + (CH_3)_3SiC(Li)N_2 \longrightarrow R\text{-}\overset{N_2}{\overset{\|}{C}}\text{-}Si(CH_3)_3 \xrightarrow{\text{m-CPBA}} R\text{-}\overset{O}{\overset{\|}{C}}\text{-}Si(CH_3)_3$$

Tet.Lett.,27,17,2011 (1986)

3,4-DICHALCOGEN-BRIDGED FLUORANTHENES AS NEW ELECTRON DONORS

Hisakazu Miyamoto, Koji Yui, Yoshio Aso, Tetsuo Otsubo, and Fumio Ogura*
Department of Applied Chemistry, Faculty of Engineering, Hiroshima University, Saijo, Higashi-Hiroshima 724, Japan

Syntheses of 3,4-dichalcogen-bridged fluoranthenes (X=S,Se,Te)

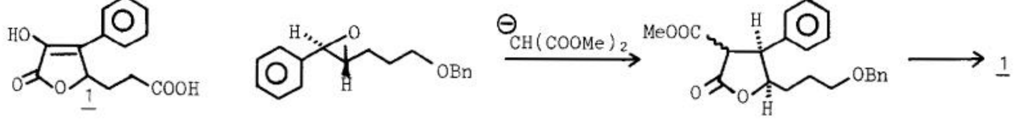


Tet.Lett.,27,18,2015 (1986)

STRUCTURE AND SYNTHESIS OF WF 3681, A NOVEL ALDOSE REDUCTASE INHIBITOR

Itsuo Uchida*, Yoshikuni Itoh, Takayuki Namiki, Motoaki Nishikawa, and Masashi Hashimoto
Exploratory Research Laboratories, Fujisawa Pharmaceutical Co., Ltd.
5-2-3 Tokodai, Toyosato-machi, Tsukuba-gun, Ibaraki 300-26, Japan

Structural elucidation of a fungal metabolite,WF3681(1)and confirmation by a total synthesis.



Tet.Lett.,27,18,2019 (1986)

A REDOX COMBINED PHOTOCATALYSIS: NEW METHOD OF N-ALKYLATION OF AMMONIA BY TiO_2/Pt SUSPENDED IN ALCOHOLS

Bunsho Ohtani, Haruyoshi Osaki, Sei-ichi Nishimoto, and Tsutomu Kagiya
Department of Hydrocarbon Chemistry, Faculty of Engineering, Kyoto Univ., Kyoto 606, Japan

A couple of processes, photocatalytic dehydrogenation of alcohols and thermal hydrogenation of an imine intermediate, led to the efficient conversion of ammonia to tertiary amines.

$$3RCH_2OH + NH_3 \longrightarrow (RCH_2)_3N + 3H_2O \quad (R = H,\ CH_3,\ CH_3(CH_2)_2,\ CH_2OH)$$

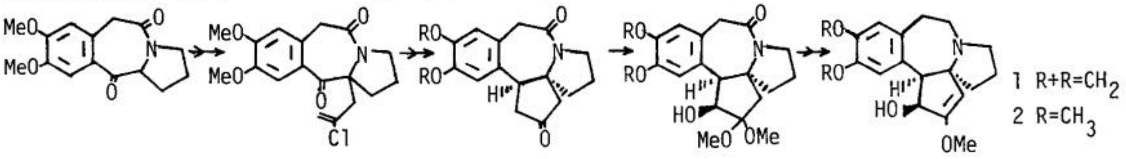
$$\left[RCH_2OH \xrightarrow[h\nu>300\ nm]{TiO_2/Pt} RCHO + H_2,\ \ NH_3 + 3RCHO + 3H_2 \xrightarrow{Pt} (RCH_2)_3N + 3H_2O\right]$$

Tet.Lett.,27,18,2023 (1986)

A NOVEL AND STEREOSELECTIVE SYNTHESIS OF (±)-CEPHALOTAXINE AND ITS ANALOGUE

Shingo Yasuda, Tōru Yamada, and Miyoji Hanaoka*
Faculty of Pharmaceutical Sciences, Kanazawa University, Takara-machi, Kanazawa 920, Japan

Cephalotaxine (1) and its analogue (2) were synthesized through cationic cyclization.



Tet.Lett.,27,18,2031 (1986)

A SIMPLE SYNTHESIS OF α-METHYLENE-β-HYDROXYALKANONES

D. Basavaiah and V.V.L. Gowriswari
School of Chemistry, University of Hyderabad
Hyderabad-500 134, India

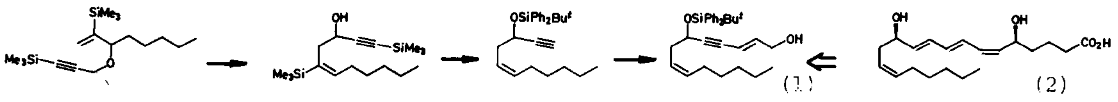
Synthesis of α-Methylene-β-hydroxyalkanones via the reaction of methyl vinyl ketone with representative aldehydes catalyzed by DABCO in THF as solvent.

Tet.Lett.,27,18,2033 (1986)

STEREOSELECTIVE SYNTHESIS OF Z-DISUBSTITUTED OLEFINS VIA 2,3-SIGMATROPIC REARRANGEMENTS. AN APPROACH TO LEUKOTRIENES.

Aston D. Kaye, Gerald Pattenden* and Stanley M. Roberts,
Department of Chemistry, The University, Nottingham, NG7 2RD.

Stereoselective 2,3-sigmatropic rearrangement of propargyl2-silyallyl ethers leads to vinyl silanes which, after protiodesilylations and palladium catalysed coupling to 3-bromoprop-2-enol, produces the Z,E-dienynol(1) a key intermediate in leukotriene B_4(2) synthesis.

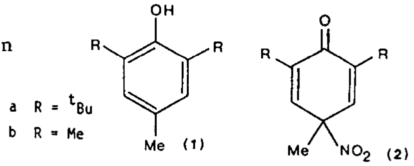


Tet.Lett.,27,18,2037 (1986)

THE KINETICS OF THE REACTIONS OF 2,6-DI-t-BUTYL-4-METHYLPHENOL AND 2,4,6-TRIMETHYLPHENOL WITH NITROGEN DIOXIDE IN SOLUTION

Robert G. Coombes and Andrew W. Diggle, Department of Chemistry, The City University, London EC1V OHB and Stewart P. Kempsell, Shell Research Limited, Thornton Research Centre, P.O. Box No. 1, Chester

For the conversion of (1.a) into (2.a) the rate of reaction is proportional to the concentration of N_2O_4 whereas for (1.b) into (2.b) the rate is independent of the concentration of nitrogen containing species.

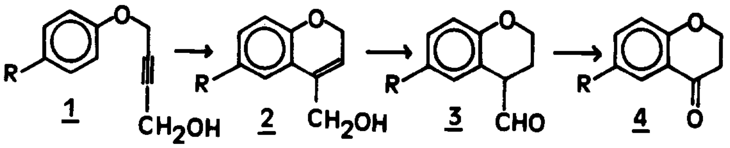


Tet.Lett.,27,18,2041 (1986)

UNEXPECTED FORMATION OF CHROMAN-4-ONES DURING THE SYNTHESIS OF 4-HYDROXYMETHYL-2H-CHROMENES FROM 4-ARYLOXYBUT-2-YN-1-OLS

P. Camps,[a] M.A. Lluch,[b] M.J. Climent,[b] and M.A. Miranda[a]
[a]Departamento Química Orgánica, Facultad Farmacia, Universidad Valencia, Spain
[b]Departamento Química, E.T.S. Ingenieros Industriales, Universidad Politécnica Valencia, Spain

The formation of chromanones 4 by refluxing 4-aryloxybutynols 1 in diethylaniline in an open vessel has been shown to proceed through the chromenes 2 and aldehydes 3.

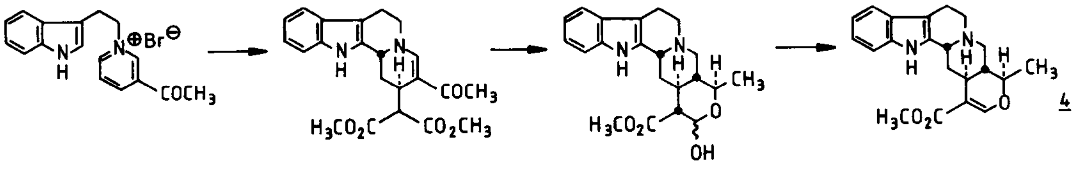


Tet.Lett.,27,18,2043 (1986)

STEREOSELECTIVE TOTAL SYNTHESIS OF (±)-3-ISO-19-EPIAJMALICINE

Mauri Lounasmaa* and Reija Jokela
Department of Chemistry, Technical University of Helsinki, SF-02150 Espoo, Finland

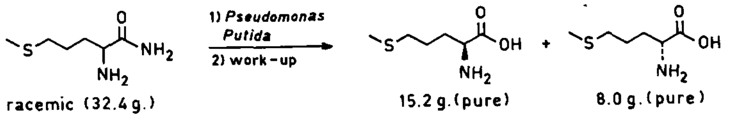
A stereoselective three-step synthesis of (±)-3-iso-19-epiajmalicine 4.



Tet.Lett.,27,18,2045 (1986)

RESOLUTION OF 2-AMINO-5-METHYLTHIO PENTANOIC ACID (HOMOMETHIONINE) WITH AMINOPEPTIDASE FROM PSEUDOMONAS PUTIDA OR CHIRAL PHOSPHORIC ACIDS

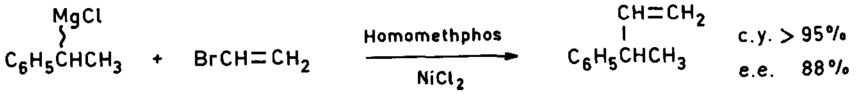
B.K. Vriesema, W. ten Hoeve, H. Wynberg, Richard M. Kellogg*, W.H.J. Boesten, E.M. Meijer, H.E. Schoemaker; University of Groningen, 9747 AG Groningen; DSM Research and Patents, 6160 MD Geleen, The Netherlands



Tet.Lett.,27,18,2049 (1986)

DESIGN OF LIGANDS FROM SULFUR CONTAINING AMINO ACIDS FOR ENANTIOSELECTIVE CROSS COUPLING CATALYZED BY NICKEL. INTRAMOLECULAR PARTICIPATION OF SULFIDE

B.K. Vriesema, R.M. Kellogg*, Department of Organic Chemistry,
University of Groningen, Nijenborgh 16, 9747 AG Groningen, The Netherlands



$$C_6H_5\overset{MgCl}{C}HCH_3 + BrCH{=}CH_2 \xrightarrow[NiCl_2]{Homomethphos} C_6H_5\overset{CH{=}CH_2}{C}HCH_3 \quad \begin{array}{l} c.y. > 95\% \\ e.e.\ \ 88\% \end{array}$$

Tet.Lett.,27,18,2061 (1986)

IMMOBILIZED BENZYLPENICILLIN ACYLASE: APPLICATION TO THE SYNTHESIS OF OPTICALLY ACTIVE FORMS OF CARNITIN AND PROPRANALOL

Claudio Fuganti, Piero Grasselli, P.Fausto Seneci, Stefano Servi (Dipartimento di Chimica del Politecnico, 20133 Milano, Italy) and Paolo Casati (Sclavo, Divisione Biochimica DE.BI. 20060 Cassina de'Pecchi, Italy)

The hydrolysis at pH 7.5 and 28 °C of the N-phenacetyl derivatives (3)-(5) in the presence of immobilized benzylpenicillin acylase affords the amines (6)-(8) and the amides (9)-(11)