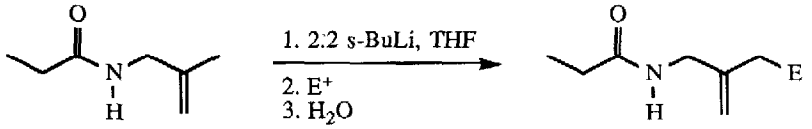
GRAPHICAL ABSTRACTS

Tetrahedron Lett. 30, 2029 (1989)

REMOTE LITHIATION OF *N*-METHALLYL AMIDES

Dale J. Kempf
Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL 60064

Metalation of *N*-methallyl amides occurs at nitrogen and at the remote methyl group. Further treatment with electrophiles gives rise to γ-substituted derivatives.

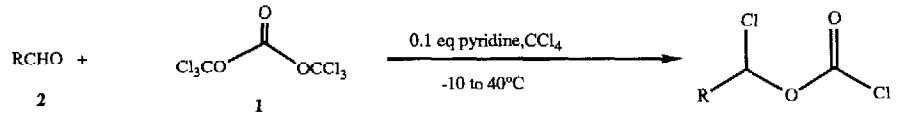


Tetrahedron Lett. 30, 2033 (1989)

TRICHLOROMETHYL CARBONATE AS A PRACTICAL PHOSGENE SOURCE: APPLICATION TO THE SYNTHESIS OF α-CHLORO CHLOROFORMATES

Michael J. Coghlan* and Blake A. Caley
Lilly Research Laboratories, A Division of Eli Lilly and Co., Greenfield, Indiana 46140

Summary: Trichloromethyl carbonate **1** is used as a phosgene equivalent in the preparation of α-chloro chloroformates. This stable, crystalline reagent smoothly delivers products **3** in good yields under mild conditions.

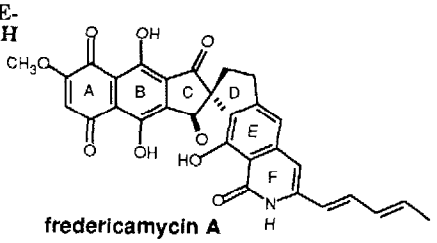


Tetrahedron Lett. 30, 2037 (1989)

STUDIES ON THE TOTAL SYNTHESIS OF FREDERICAMYCIN A: DEVELOPMENT OF AN INTERMOLECULAR ALKYNE-CHROMIUM CARBENE COMPLEX CYCLIZATION APPROACH TO THE ABCDE RING SYSTEM

Dale L. Boger* and Irina C. Jacobson
Departments of Chemistry and Medicinal Chemistry
Purdue University, West Lafayette, Indiana, 47907, USA

The development of a synthetic approach to the fredericamycin A ABCDE ring system based on a regiospecific intermolecular alkyne-chromium carbene complex cyclization is detailed.



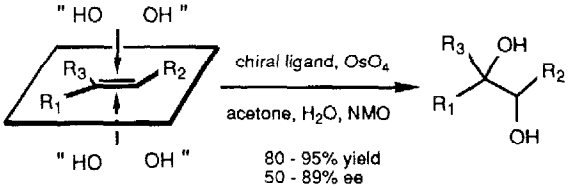
fredericamycin A

Tetrahedron Lett. 30, 2041 (1989)

DOCUMENTING THE SCOPE OF THE CATALYTIC ASYMMETRIC DIHYDROXYLATION

B. Bhushan Lohray, Thomas H. Kalantar, B. Moon Kim, Christine Y. Park
Tomoyuki Shibata, John S. M. Wai, and K. Barry Sharpless
Department of Chemistry, Massachusetts Institute of Technology, Cambridge, Massachusetts 02139

A wide variety of functionalized and unfunctionalized olefins are efficiently converted to the corresponding cis vicinal diols in moderate to good enantiomeric excess via "slow addition" enhanced catalytic asymmetric osmylation process

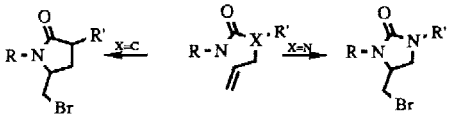


Tetrahedron Lett.30,2045(1989)

HALOCYCLIZATIONS: THE CYCLIZATION OF HETEROCYCLIC OLEFINIC AMIDES AND UREAS

T.W. Balko, R.S. Brinkmeyer*, and N.H. Terando
Lilly Research Laboratories, Eli Lilly and Co., Greenfield, Indiana 46140

Studies of the halocyclization of olefinic amides and ureas were undertaken and demonstrated cyclization on nitrogen depended on the substituent on the amide nitrogen.

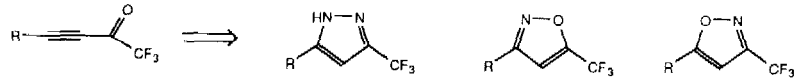


Tetrahedron Lett.30,2049(1989)

AN EFFICIENT METHOD FOR THE SYNTHESIS OF TRIFLUOROMETHYL SUBSTITUTED HETEROCYCLES

Russell J. Linderman* and Kirollos S. Kirollos, Department of Chemistry, North Carolina State University, Raleigh, NC 27695

Trifluoromethyl substituted pyrazoles and isoxazoles have been prepared regiospecifically from trifluoracetyl acetylenes

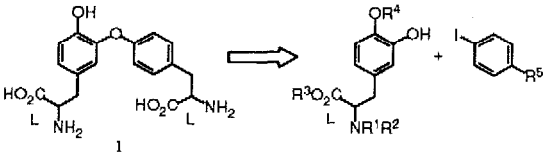


Tetrahedron Lett.30,2053(1989)

SYNTHESIS OF L,L-ISODITYROSINE

Dale L. Boger* and Daniel Yohannnes
Departments of Chemistry and Medicinal Chemistry, Purdue University, W. Lafayette, Indiana 47907 USA

A study of the development of reaction conditions for implementation of an activated Ullmann diaryl ether condensation reaction that may be conducted without amino acid racemization and that has proven suitable for the incorporation of a selectively protected catechol is described and its application to the synthesis of LL-isodityrosine (1) is detailed.

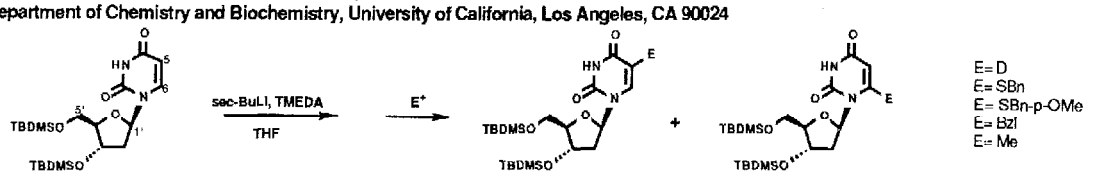


1

Tetrahedron Lett.30,2057(1989)

SYNTHESIS OF 5-SUBSTITUTED NUCLEOSIDES VIA THE REGIOSELECTIVE LITHIATION OF 2'-DEOXY-3',5'-BIS-O-[(1,1-DIMETHYLETHYL)DIMETHYLSILYL]-URIDINE

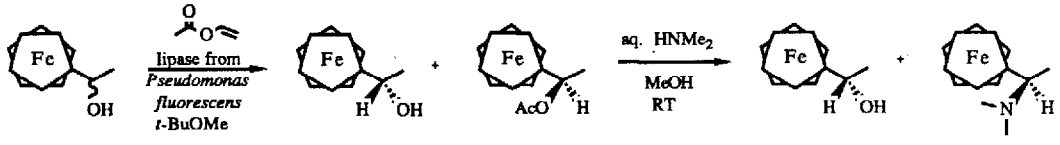
Robert W. Armstrong*, Saaket Gupta, and Fayelle Whelihan
Department of Chemistry and Biochemistry, University of California, Los Angeles, CA 90024



Tetrahedron Lett. 30, 2061 (1989)

Enzymatic Esterification of 1-Ferrocenylethanol: An Alternate Approach to Chiral Ferrocenyl Bisphosphines

Neil W. Boaz
Corporate Research Laboratories, Eastman Kodak Company, Rochester, NY 14650-2115

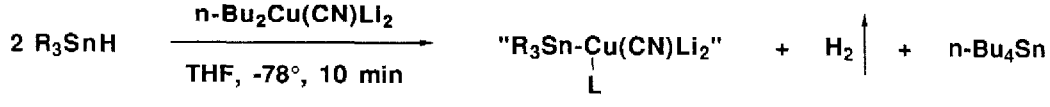


Tetrahedron Lett. 30, 2065 (1989)

TRANSMETALATION REACTIONS OF HIGHER ORDER CYANOCUPRATES: DIRECT FORMATION OF TRIALKYLTIN CUPRATES FROM TIN HYDRIDES WHICH BYPASSES ORGANOLITHIUM INTERMEDIATES

B.H. Lipshutz*, E.L. Ellsworth, S.H. Dimock and D.C. Reuter
Department of Chemistry, University of California
Santa Barbara, CA 93106

Tin cuprates can be prepared by simply mixing a tin hydride with $n\text{-Bu}_2\text{Cu(CN)Li}_2$ at -78°.

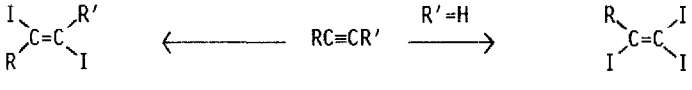


Tetrahedron Lett. 30, 2069 (1989)

THE REACTION OF ALKYNES WITH I_2 ON UNACTIVATED ALUMINA

George Hondrogiannis, Lay Choo Lee, George W. Kabalka* and Richard Pagni*, Department of Chemistry, University of Tennessee, Knoxville, TN 37996-1600 USA

Alkynes react stereospecifically with I_2 on unactivated alumina to form (E)-vicinal diiodoalkenes. Terminal alkynes also yield 1,1,2-triiodoalkenes.

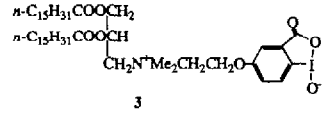


Tetrahedron Lett. 30, 2071 (1989)

IODOSOBENZOATE-FUNCTIONALIZED SURFACTANT VESICLES: ADJUSTABLE REACTIVITY IN REACTIVE PHOSPHATE CLEAVAGE

R.A. Moss and S. Ganguli, Department of Chemistry, Rutgers University, New Brunswick, New Jersey 08903

The reactivity of vesicular iodosobenzoate surfactant 3 toward p-nitrophenyldiphenyl phosphate is strongly potentiated in covesicles with $(n\text{-}C_{16}H_{33})_2N^+Me_2,Br^-$.



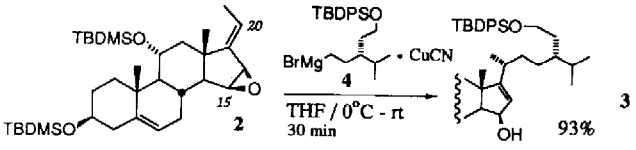
3

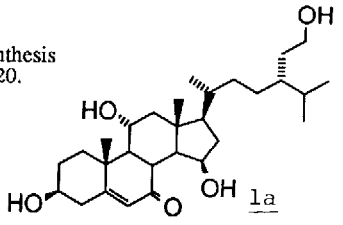
Tetrahedron Lett.30,2075(1989)

THE TOTAL SYNTHESIS OF THE WATER MOLD SEX HORMONE OOGONIOL

Toshiaki Mase, Junji Ichita, Joseph P. Marino, and Masato Koreeda* Department of Chemistry, The University of Michigan, Ann Arbor, Michigan 48109

The total synthesis of the water mold sex hormone oogoniol (**1a**) is described. The synthesis features the 1,4-addition reaction **2** to **3** as the key stereoinducing step at C-15 and C-20.

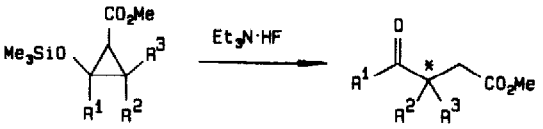




Tetrahedron Lett.30,2079(1989)

ENANTIOSELECTIVE SYNTHESIS OF SILOXYCYCLOPROPANES AND OF γ-OXOCARBOXYLATES BY ASYMMETRIC CATALYSIS

Thomas Kunz, Hans-Ulrich Reissig*
Institut für Organische Chemie der TH,
Petersenstrasse 22, D-6100 Darmstadt



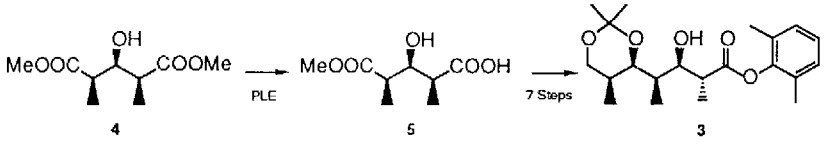
A method to prepare optically active siloxycyclopropanes and γ-oxocarboxylates from silyl enol ethers by asymmetric catalysis is described (maximum ee 48% and 37%, respectively).

Tetrahedron Lett.30,2083(1989)

Synthesis of a versatile chiral synthon corresponding to the C(1) to C(7) segment of 14-membered macrolide antibiotics.

Marco Born and Christoph Tamm*, Institut für Organische Chemie der Universität, St. Johanns-Ring 19, CH-4056 Basel

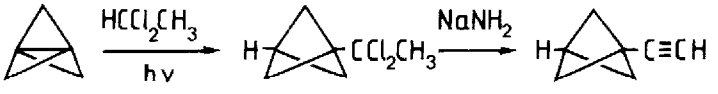
The chiral monoester **5** obtained in high enantiomeric purity from **4** was used to synthesize **3** in 30% overall yield from **4**.



Tetrahedron Lett.30,2087(1989)

SYNTHESIS OF 1-ALKINYLBICYCLO[1.1.1]PENTANES

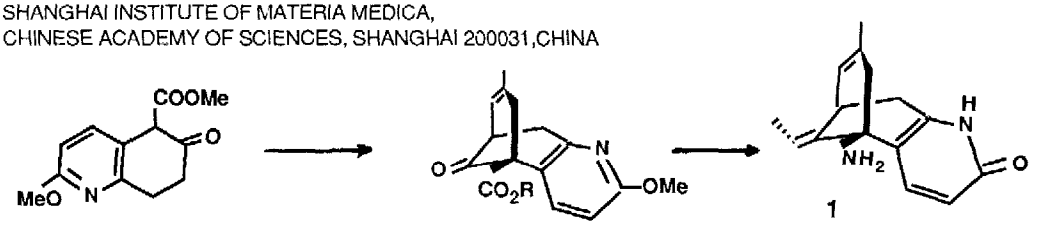
Uwe Bunz and Günter Szeimies
Institut für Organische Chemie der Universität München, Karlstraße 23, D-8000 München 2
Federal Republic of Germany



Tetrahedron Lett.30,2089(1989)

A TOTAL SYNTHESIS OF (+-)-HUPERZINE A

LIGANG QIAN AND **RUYUN JI**
SHANGHAI INSTITUTE OF MATERIA MEDICA,
CHINESE ACADEMY OF SCIENCES, SHANGHAI 200031,CHINA



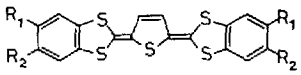
1

Tetrahedron Lett.30,2091(1989)

NEW EXTENDED DONORS, 2,2'-(2,5-DIHYDROTHIOPHENE-2,5-DIYLIDENE)BIS(1,3-BENZODITHIOLE)S AND THEIR ELECTRO-CONDUCTIVE COMPLEXES WITH ELECTRON ACCEPTORS

Kazuko Takahashi,* Takayasu Nihira, Kahei Takase, and Katsumasa Shibata
Department of Chemistry, Faculty of Science, Tohoku University, Sendai 980, Japan

The synthesis of new donors (2, 3, and 4), description of their electrochemical properties, and conductivities of their complexes are presented.



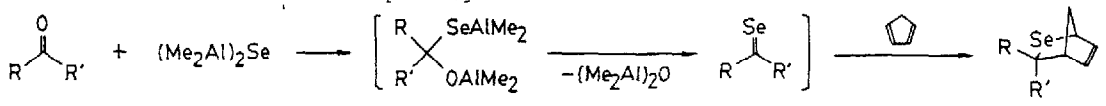
2 : $R_1=R_2=H$, 3 : $R_1=Me$, $R_2=H$, 4 : $R_1=R_2=Me$

Tetrahedron Lett.30,2095(1989)

NOVEL ROUTE TO SELENOKETONES FROM KETONES BY THE USE OF BIS(DIMETHYLALUMINUM) SELENIDE

Masahito Segi, Tadashi Koyama, Tadashi Nakajima, Sohei Suga
Department of Chemistry and Chemical Engineering, Faculty of Technology, Kanazawa University, Kodatsuno, Kanazawa 920, Japan
Shinji Murai, Noboru Sonoda
Department of Applied Chemistry, Faculty of Engineering, Osaka University, Suita 565, Japan

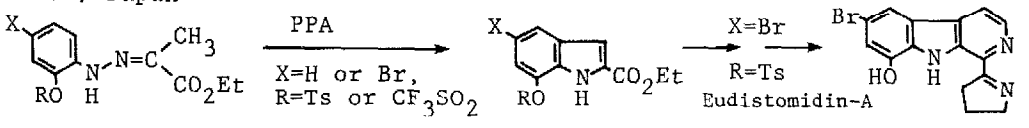
Bis(dimethylaluminum) selenide reacted with ketones in the presence of a diene to give Diels-Alder adducts of the corresponding selenoketones and the diene.



Tetrahedron Lett.30,2099(1989)

THE IMPROVED SYNTHESIS OF 7-OXYGENATED INDOLES BY FISCHER INDOLIZATION AND ITS APPLICATION TO THE FIRST TOTAL SYNTHESIS OF EUDISTOMIDIN-A

Yasuoki Murakami,* Hiroyuki Takahashi, Yoshie Nakazawa, Michie Koshimizu, Toshiko Watanabe, and Yuusaku Yokoyama
School of Pharmaceutical Science, Toho University, 2-2-1, Miyama, Funabashi, Chiba 274, Japan



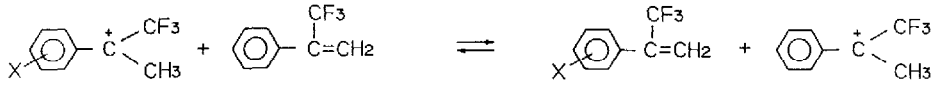
Eudistomidin-A

Tetrahedron Lett.30,2101(1989)

GAS PHASE SUBSTITUENT EFFECTS IN A HIGHLY ELECTRON DEFICIENT SYSTEM. INTRINSIC RESONANCE DEMAND OF 1-ARYL-1-(TRIFLUOROMETHYL)ETHYL CATION

Masaaki MISHIMA, Hiroki INOUE, Mizue FUJIO, and Yuho TSUNO*
Department of Chemistry, Faculty of Science, Kyushu University 33,
Hakozaki, Higashi-ku, Fukuoka 812, Japan

The substituent effect for the gas-phase stability of 1-aryl-1-(trifluoromethyl)ethyl cation is analyzed by means of LArSR (Yukawa-Tsuno) equation.

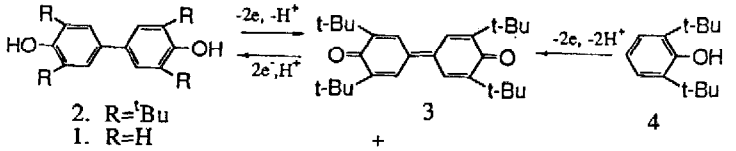


Tetrahedron Lett.30,2105(1989)

Electrooxidative Coupling of Phenols. I. Product-Selective Electrosynthesis of 2,2',6,6'-Tetra-tert-butyl-1,1'-biphenol from 2,6-Di-tert-butylphenol

Sigeru Torii,* Anne-Lise Dhimane, Yoshitaka Araki, and Tsutomu Inokuchi
Department of Applied Chemistry, Faculty of Engineering,
Okayama University, Okayama, Japan 700

2,2',6,6'-Tetra-t-butyl-1,1'-biphenol (2) is synthesized directly from 2,6-di-t-butylphenol (4) by electrooxidation in a MeOH/CH_2Cl_2-$LiClO_4$-(Pt) system with using either a divided or an undivided cell.



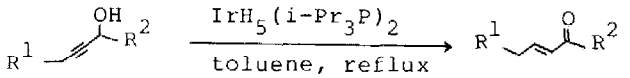
2. R=^{t}Bu
1. R=H

Tetrahedron Lett.30,2109(1989)

ISOMERIZATION OF PROPARGYLIC ALCOHOLS CATALYZED BY AN IRIDIUM COMPLEX

Dawei Ma and Xiyan Lu*
Shanghai Institute of Organic Chemistry, Academia Sinica, Shanghai, China

α,β-Enones were synthesized by the isomerization of propargylic alcohols catalyzed by an iridium pentahydride complex.

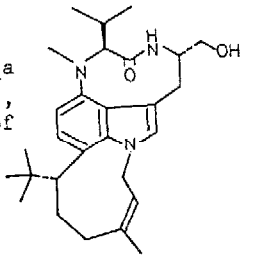


Tetrahedron Lett.30,2113(1989)

STRUCTURE OF BLASTMYCETIN E, A NEW TELEOCIDIN-RELATED COMPOUND

Kazuhiro Irie, Atsushi Funaki, Koichi Koshimizu,* Hideo Hayashi[a] and Motoo Arai[a]: Dept. of Food Sci. and Tech., Fac. of Agric., Kyoto Univ., Kyoto 606 and [a]Dept. of Agric. Chem., Coll. of Agric., Univ. of Osaka Pref., Sakai 591 Japan

Structure of blastmycetin E isolated from streptoverticillium blastmyceticum was elucidated by spectroscopic evidences and chemical correlation with olivoretin E.

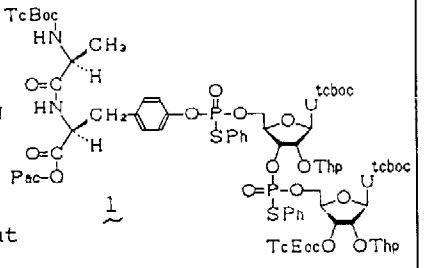


Tetrahedron Lett.30,2117(1989)

STUDIES ON THE SYNTHESIS OF NUCLEOTIDYL-PEPTIDE.I: A FACILE SYNTHESIS INVOLVING SELECTIVE P-S BOND CLEAVAGE.

Hitoshi Hotoda, Yoshihito Ueno, Mitsuo Sekine, and Tsujiaki Hata
Department of Life Chemistry, Tokyo Institute of Technology, Nagatsuta, Midoriku, Yokohama 227, JAPAN

A dinucleotide dipeptide (H-Ala-Tyr(pUpU)-OH) was synthesized via a phosphorothioate triester intermediate (1). It was found that the P-S bond was selectively cleaved by the use of $(n\text{-}Bu_3Sn)_2O$ without undesired dephosphorylation of tyrosine.



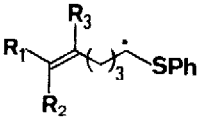
1

Tetrahedron Lett.30,2121(1989)

THE STUDY OF INTRAMOLECULAR FREE RADICAL CYCLIZATION OF α-SULFENYL RADICAL

Yeun-Min Tsai,* Fu-Chang Chang, Jimin Huang, and Chi-Lung Shiu
Department of Chemistry, National Taiwan University Taipei 10764, Taiwan, Republic of China

The intramolecular free radical cyclization of **3** was studied.

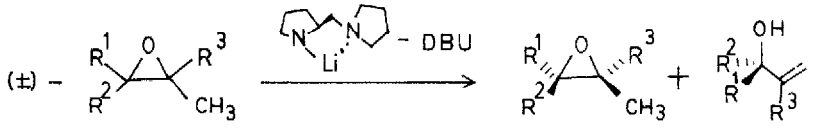


3

Tetrahedron Lett.30,2125(1989)

A KINETIC RESOLUTION OF RACEMIC EPOXIDES BY A CHIRAL LITHIUM AMIDE

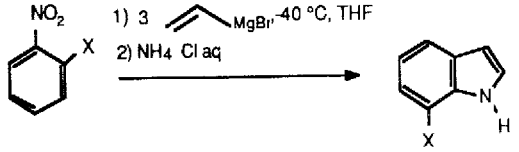
Masatoshi Asami* and Noriko Kanemaki
Department of Chemistry, Faculty of Education, Yokohama National University, Hodogaya-ku, Yokohama 240, Japan



Tetrahedron Lett.30,2129(1989)

THE REACTION OF VINYL GRIGNARD REAGENTS WITH 2-SUBSTITUTED NITROARENES: A NEW APPROACH TO THE SYNTHESIS OF 7-SUBSTITUTED INDOLES

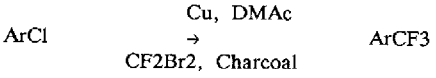
Giuseppe Bartoli*[a], Gianni Palmieri[a], Marcella Bosco[b], Renato Dalpozzo[b]
a.Dipartimento Scienze Chimiche, via S.Agostino 1, 62032 Camerino (Mc), Italy. b. Dipartimento Chimica Organica, viale Risorgimento 4, 40136 Bologna, Italy



Tetrahedron Lett.30,2133(1989)

THE EFFECT OF CHARCOAL ON THE TRIFLUOROMETHYLATION OF ARYL CHLORIDES USING BURTON'S REAGENT

J.H. Clark, M.A. McClinton, C.W. Jones, P. Landon
Department of Chemistry, University of York, York YO1 5DD



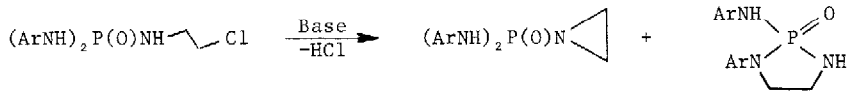
The reactivity of the trifluoromethylating system copper–dibromodifluoromethane–N,N–dimethylacetamide towards aryl chlorides can be enhanced by the addition of charcoal.

Tetrahedron Lett.30,2141(1989)

PHOSPHORIC AMIDES. PART 10. BASE - PROMOTED CYCLIZATION OF PHOSPHOROTRIAMIDATES BEARING THE N-(2-CHLOROETHYL) SUBSTITUENT, AND THE INTERCONVERSION OF CYCLIC PRODUCTS

S. Bauermeister, A.M. Modro and T.A. Modro*
Department of Chemistry, University of Pretoria, Pretoria 0002, South Africa

The base - promoted reactivity of N,N'-diaryl-N''-(2-chloroethyl)phosphorotriamidates is described.

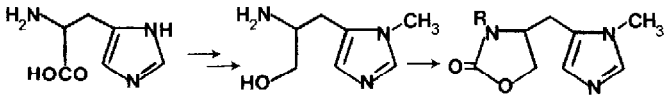


Tetrahedron Lett.30,2145(1989)

SYNTHESIS OF (+)-PILOCARPINE ANALOGS WITH A 2-OXAZOLIDONE STRUCTURE

F. Bermejo González, J. Pérez Baz and M.I. Ruano Espina
Department of Organic Chemistry. F.C. Químicas. University of Salamanca. Spain.

The preparation of three new aza-analogs of the naturally occurring alkaloid (+)-Pilocarpine is described.



Tetrahedron Lett.30,2149(1989)

SOLID PHASE PEPTIDE SYNTHESIS OF UBIQUITIN

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The synthesis of ubiquitin has been achieved using N^{G}-Pmc protection of the Arg residues. Throughout the synthesis the N^{α}-Fmoc deprotection was followed by UV monitoring. Authenticity of the primary structure was confirmed by automated Edman sequencing and enzymatic degradation.

An enantioselective total synthesis of the macrolide Patulolide C.

Tetrahedron Lett. 30, 2153 (1989)

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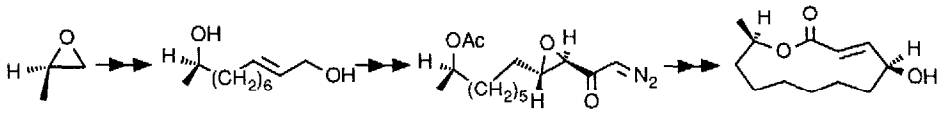
Toernooiveld, 6525 ED Nijmegen, The Netherlands

Strategic use of the photo-induced rearrangement of α,β-epoxy diazomethyl ketones.



Tetrahedron Lett. 30, 2157 (1989)

NUCLEOSIDE H-PHOSPHONATES. X. STUDIES ON NUCLEOSIDE HYDROGENPHOSPHONOTHIOATE DIESTER SYNTHESIS

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University of Stockholm, S-106 91 Stockholm, Sweden

Synthesis and chemical properties of nucleoside H-phosphonothioates are discussed in the context of possible application of these compounds as intermediates in the synthesis of oligonucleotide analogues.