

COVER PICTURE

The cover picture shows *Euphorbia resinifera* Berg and its constituent resiniferatoxin (RTX), a phorboid that acts as an ultrapotent biological analogue of capsaicin, the hot principle of chili pepper and the archetypal vanilloid. Ever since its isolation, interest within the organic community for phorbol has been high on account of its challenging structure, puzzling reactivity, and remarkable bioactivity. Diacyl derivatives of phorbol can act as ultrapotent analogues of the endolipid sn-1,2-diacylglycerol (DAG) and show powerful tumour-promoting properties. The more recent discovery that certain non-tumour-promoting phorbol derivatives and phorbol-related compounds can activate vanilloid receptors of the TRPV1 and TRPV4 type has made phorbol very interesting also for neurosciences. The article by G. Appendino et al. on p. 3413 ff. describes the synthesis of hybrid phorbol-resiniferatoxin of interest for the study of vanilloid receptors, which discloses some new and unpredictable aspects of the chemistry of phorbol. (Photo courtesy by Prof. Mauro Ballero, University of Cagliari)



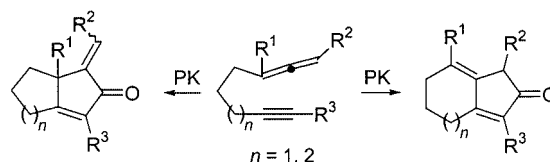
MICROREVIEWS

Contents

3377 B. Alcaide,* P. Almendros*

The Allenic Pauson–Khand Reaction in Synthesis

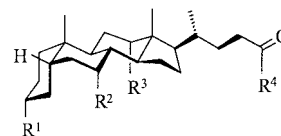
Keywords: Allenes / Carbonylation / Cycloaddition / Organometallics / Synthetic methods



3385 E. Virtanen,* E. Kolehmainen

Use of Bile Acids in Pharmacological and Supramolecular Applications

Keywords: Applications / Bile acids / Molecular recognition / Pharmacology / Receptors

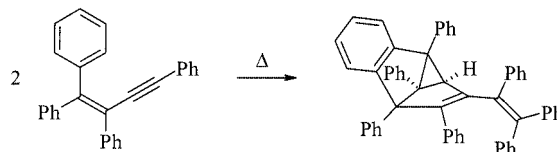


SHORT COMMUNICATIONS

3401 H. Berger, H. Hopf,* I. Dix, P. G. Jones

A New Route for the Thermal Isomerization of a Highly Substituted Hexadienyne Derivative

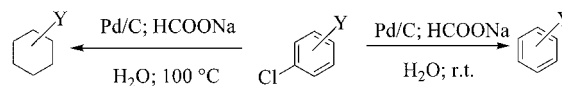
Keywords: Thermal isomerization / Isobenzene / Cycloaromatization / Condensed aromatic hydrocarbons / Semibullvalene / Cyclization



3404 A. Arcadi,* G. Cerichelli, M. Chiarini, R. Vico, D. Zorzan

Pd/C-Catalyzed Transfer Reduction of Aryl Chlorides with Sodium Formate in Water

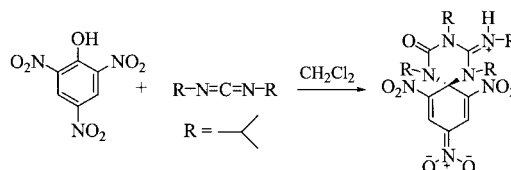
Keywords: Reductive dechlorination / Palladium / Catalysis / Sodium formate / Aryl chlorides



3408 R. O. Al-Kaysi,* G. Guirado,* E. J. Valente

Synthesis and Characterization of a New Fluorescent Zwitterionic Spirocyclic Meisenheimer Complex of 1,3,5-Trinitrobenzene

Keywords: Nucleophilic aromatic substitution / Zwitterions / Meisenheimer complexes / Fluorescence spectroscopy / Picric acid

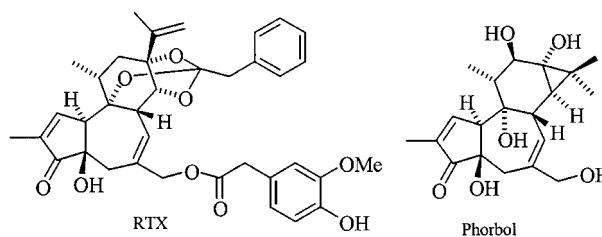


FULL PAPERS

3413 G. Appendino,* A. Bertolino, A. Minassi, R. Annunziata, A. Szallasi, L. de Petrocellis, V. Di Marzo*

Synthesis and Biological Evaluation of Phorbol-Resiniferatoxin (RTX) Hybrids

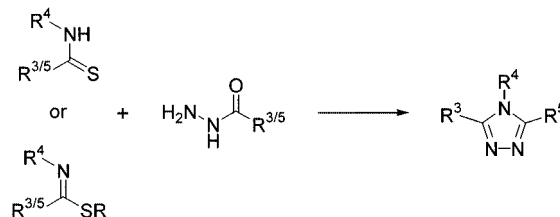
Keywords: Natural products / Phorbol / Resiniferatoxin / Terpenoids / Vanilloids



3422 M. H. Klingele, S. Brooker*

From *N*-Substituted Thioamides to Symmetrical and Unsymmetrical 3,4,5-Trisubstituted 4*H*-1,2,4-Triazoles: Synthesis and Characterisation of New Chelating Ligands

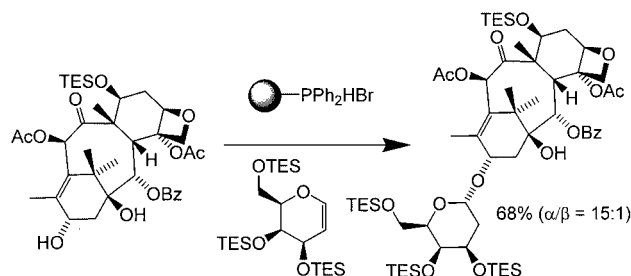
Keywords: 1,2,4-Triazoles / Thioamides / N ligands / NMR spectroscopy / X-ray diffraction



3435 J. Jaunzems, D. Kashin, A. Schönberger, A. Kirschning*

Polymer-Bound Diphenylphosphane Hydrobromide, a Mild Acid for the Activation of Enol Ethers: Applications in Polymer-Assisted Glycosidations

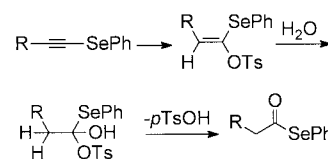
Keywords: Baccatin III / Glycosidation / Glycoconjugates / Multistep synthesis / Polymer-bound reagents / Protecting group



3447 M. Tiecco,* L. Testaferri, A. Temperini, L. Bagnoli, F. Marini, C. Santi, R. Terlizzi

Synthesis of Substituted *Se*-Phenyl Selenocarboxylates from Terminal Alkynes

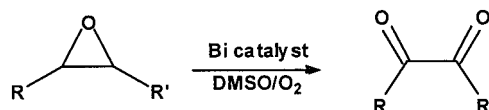
Keywords: *Se*-Phenyl selenocarboxylates / Selenoacetylenes / Alkynes / Acyl transfer



3459 S. Antoniotti, E. Duñach*

Mechanistic Aspects of the Bismuth-Catalysed Oxidation of Epoxides to α -Diketones

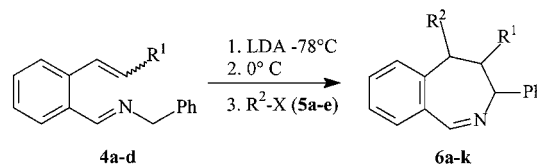
Keywords: Bismuth / Catalysis / Oxidation / Molecular oxygen / Epoxides / α -Diketones



3465 K. Gerdes, P. Sagar, R. Fröhlich, B. Wibbeling, E.-U. Würthwein*

4,5-Dihydro-3*H*-benzo[*c*]azepines from 1,7-Electrocyclization Reactions of 2-Aza-4,5-benzoheptatrienyllithium Compounds

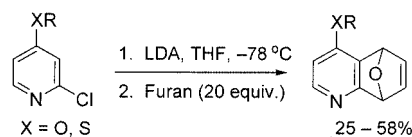
Keywords: 1,7-Anionic electrocyclization reaction / Dihydrobenzo[*c*]azepines / 2-Azaheptatrienyl anions / Lithium compounds / Quantum chemical calculations



3477 S. J. Connon, A. F. Hegarty*

Stabilised 2,3-Pyridyne Reactive Intermediates of Exceptional Dienophilicity

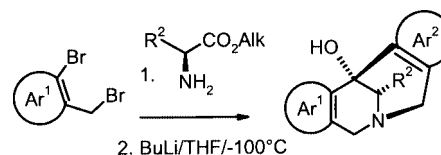
Keywords: Lithium / Substituent effects / Metalation / Nitrogen heterocycles



**3484 H. Faltz, C. Bender, B. M. Wöhrl,*
K. Vogel-Bachmayr, U. Hübscher,
K. Ramadan, J. Liebscher***

Double Cyclization of Bis(α -hetarylmethyl)-amino Esters to Optically Active Bridged N-Heterocycles of HIV-Inhibiting Activity

Keywords: Nitrogen heterocycles / Cyclization / Lithiation / Antiviral agents / Inhibitors

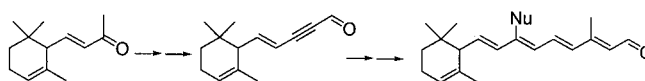


3497 Y. Wang, J. Lugtenburg*



4,5-Didehydro-9-demethyl-9-halo-5,6-dihydro-retinals and Their 9-Cyclopropyl and 9-Iso-propyl Derivatives – Simple Preparation of α -Ionone Derivatives and Pure (*all-E*)-, (9*Z*)- and (11*Z*)- α -Retinals

Keywords: (11*Z*)- α -Retinal / α -Ionone derivatives / Cyclopropyl derivatives / Stereoisomeric synthesis

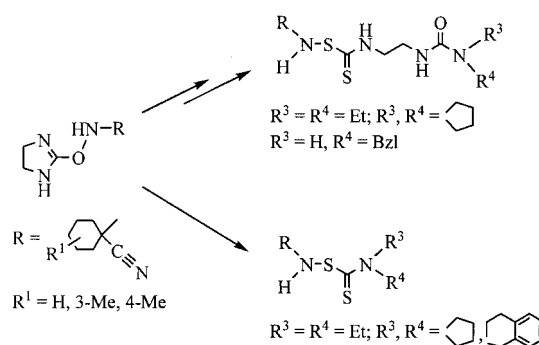


**3511 F. Sączewski,* A. Kornicka, M. Gdaniec,
R. Hałasa, W. Werel**



First Stable *O*-Amidinyldihydroxylamines and Their Transformations into Sulfenamides by Intramolecular 1,5-*O*→*S* Amine Migration

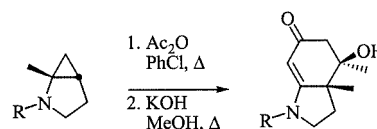
Keywords: *O*-Amidinyldihydroxylamines / Thiocarbonylsulfenamides / Tandem nucleophilic-electrophilic amination / 1,5-*O*→*S* Amine migration / Anticancer activity / Antibacterial activity



3517 L. Larquetoux, J. A. Kowalska, Y. Six*

The Formal [3+2+1] Cyclisation of Cyclopropylamines with Carboxylic Anhydrides: A Quick Access to Polysubstituted 2,3,3a,4-Tetrahydro-6(5*H*)-indolone Ring Systems

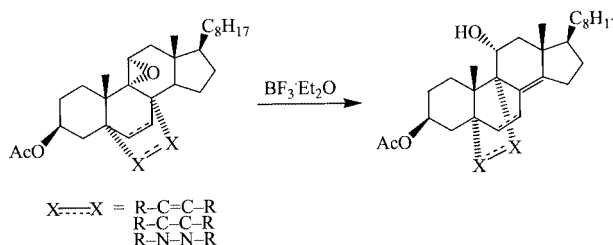
Keywords: Titanium / Cyclopropanes / Anhydrides / Aldol reactions



**3526 L. Bondarenko,* Lj. Lorenc, D. Bläser,
R. Boese**

Synthesis of Novel 5 α ,9 α -Bridged Steroids by a Boron Trifluoride–Diethyl Ether Induced Rearrangement

Keywords: Steroids / Epoxides / Lewis acids / Rearrangements / Lactones

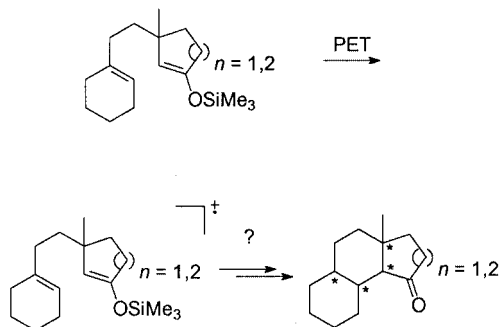


3535 J. O. Bunte, E. K. Heilmann, B. Hein,
J. Mattay*



Cyclizations of Silyl Enol Ether Radical Cations
– The Cause of the Stereoselectivity

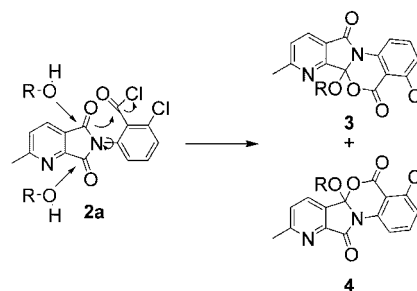
Keywords: Density functional calculation / Electron transfer / Radical cation / Silyl enol ether / Stereoselectivity



3551 G. Hamprecht,* N. Zimmermann,
T. D. Weiß

A New Neighbouring-Group Reaction to Form
Pyridopyrrolobenzoxazinediones

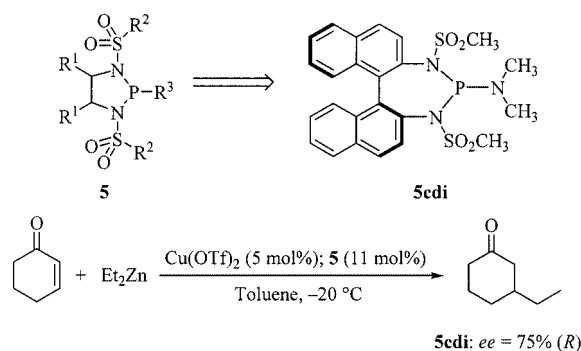
Keywords: Cyclisation / Heterocycles / Multi-component reaction / Neighbouring-group effects / Nucleophilic addition



3557 C. Monti, C. Gennari,* R. M. Steele,
U. Piarulli*

A Modular Approach to a New Class of Mono-
dentate Chiral Phosphorus Ligands and Their
Application in Enantioselective Copper-Cata-
lysed Conjugate Additions of Diethylzinc to
Cyclohexenone

Keywords: Asymmetric catalysis / P ligands / Copper / Michael addition / Enones



3566 L. Bianchi, C. Dell'Erba, M. Maccagno,
A. Mugnoli, M. Novi, G. Petrillo,* E. Severi,
C. Tavani

The Reaction of 3,4-Dinitrothiophene with
Grignard Reagents: Formation of 2-(3-Amino-4-
nitrothiophen-2-yl)phenols

Keywords: Nitrothiophenes / 3,4-Dinitrothiophene / Grignard reagents / Claisen-like rearrangements

