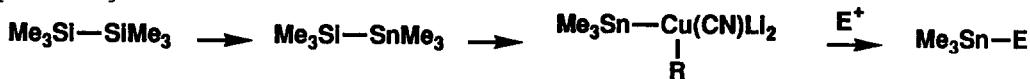


## GRAPHICAL ABSTRACTS

*Tetrahedron Lett.* 1990, 31, 7253

**A NEW TRANSMETALLATION ROUTE TO MIXED TRIMETHYLTANNYL CUPRATES:  $\text{Me}_3\text{Sn}(\text{R})\text{Cu}(\text{CN})\text{Li}_2$**   
 Bruce H. Lipshutz,\* Sunaina Sharma, and Deborah C. Reuter  
 Department of Chemistry, University of California, Santa Barbara, CA 93106

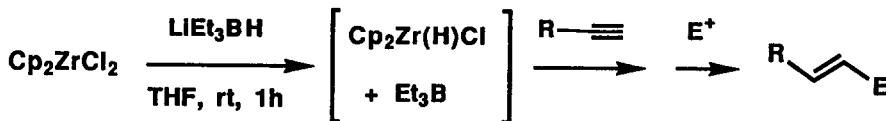
A 1-pot procedure for generating stannylcuprates is described starting with  $\text{Me}_3\text{Si-SiMe}_3$ . Reactions of these reagents selectively deliver the trimethylstannyl group to several types of organic educts.



**A NEW METHOD FOR THE IN SITU GENERATION OF  $\text{Cp}_2\text{Zr}(\text{H})\text{Cl}$  (SCHWARTZ' REAGENT)**

Bruce H. Lipshutz,\* Robert Keil, Edmund L. Ellsworth  
 Department of Chemistry, University of California, Santa Barbara, CA 93106

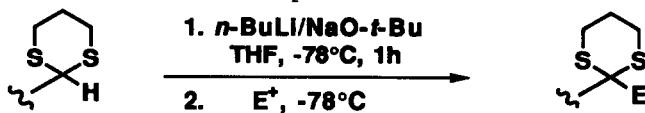
Combining  $\text{Cp}_2\text{ZrCl}_2$  with  $\text{LiEt}_3\text{BH}$  in THF affords  $\text{Cp}_2\text{Zr}(\text{H})\text{Cl}$ , together with a Lewis acid ( $\text{Et}_3\text{B}$ ) which allow for hydrozirconations to occur on 1-alkynes containing acid-sensitive groups.



**QUANTITATIVE METALATIONS OF 2-SUBSTITUTED 1,3-DITHIANES AT -78°C USING  $n\text{-BuLi}/\text{Na-O-t-Bu}$**

Bruce H. Lipshutz\* AND Emiliiano Garcia  
 Department of Chemistry, University of California, Santa Barbara, CA 93106

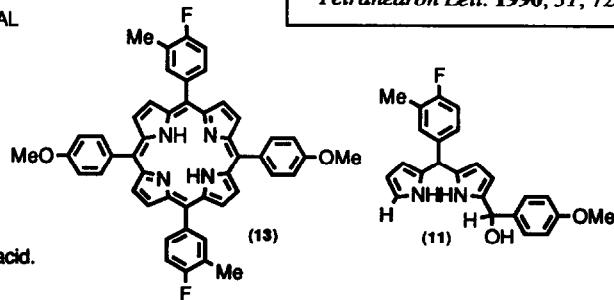
Using  $n\text{-BuLi}$  in the presence of  $\text{Na-O-t-Bu}$ , substituted dithianes are readily metalated under very mild conditions and at low temperatures.



**STEPWISE SYNTHESSES OF UNSYMMETRICAL TETRA-ARYLPORPHYRINS. ADAPTATION OF THE MACDONALD DIPYRROLE SELF-CONDENSATION METHODOLOGY.**  
 David M. Wallace and Kevin M. Smith,\*  
 Department of Chemistry, University of California, Davis, CA 95616.

Tetra-arylporphyrins (e.g. 13) with rotational symmetry are obtained by self-condensation of di-aryl-dipyrromethanes (e.g. 11) in propionic acid.

*Tetrahedron Lett.* 1990, 31, 7265



## FAST OLIGONUCLEOTIDE DEPROTECTION

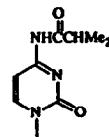
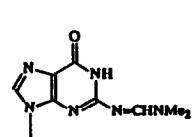
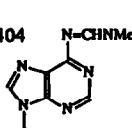
## PHOSPHORAMIDITE CHEMISTRY FOR DNA SYNTHESIS

Huynh Vu, Christie McCollum, Karen Jacobson, Pete Theisen,

Ravi Vinayak, Elizabeth Spless, and Alex Andrus\*

Applied Biosystems Inc., 850 Lincoln Centre Dr. Foster City, CA 94404

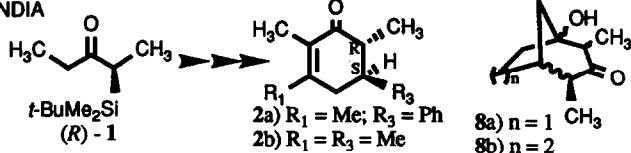
A new set of base protecting groups for cyanoethylphosphoramidite nucleosides and supports have been developed which require only 1 hour at 55°C or 8 hours at room temperature for complete deprotection. Dimethylformamidine phosphoramidites exhibit enhanced resistance to depurination compared to the standard, or even the phenoxyacetyl, phosphoramidites.

SILICON DIRECTED DIASTERO- AND ENANTIOSELECTIVE MUKAIYAMA  
MICHAEL TANDEM ALDOL CONDENSATION: A NOVEL STRATEGY FOR  
SIX MEMBERED RING CYCLIZATION

Braj B. Lohray\* and R. Zimbiniski

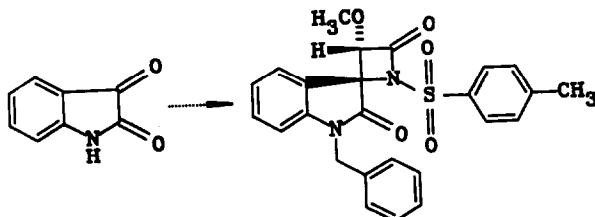
Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA 02139, USA  
and National Chemical Laboratory, Pune 411 008, INDIA

Silicon directed stereoselective conjugate addition of silyl enol ether to enones are reported which undergo intramolecular aldolization upon treatment with HBF<sub>4</sub>.

SPIRO INDOLINONE BETA-LACTAMS, INHIBITORS  
OF POLIOVIRUS AND RHINOVIRUS 3C-PROTEINASES

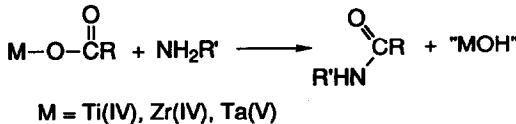
Jerry W. Skiles\* and Daniel McNeil  
Department of Medicinal Chemistry  
Boehringer Ingelheim Pharmaceuticals, Inc.  
90 East Ridge, P.O. Box 368  
Ridgefield, Connecticut 06877

The preparation of spiro indolinone  $\beta$ -lactams and their evaluation as poliovirus and human rhinovirus 3C-proteinase inhibitors is described.

HIGH OXIDATION STATE TRANSITION METAL  
CARBOXYLATES AS ACYLATING AGENTS

Joel Recht, Brett I. Cohen, Alan S. Goldman\* and Joachim Kohn\*  
Department of Chemistry, Rutgers, The State University of New Jersey  
P.O.Box 939, Piscataway, NJ 08855-0939

Carboxylate complexes of high oxidation state transition metals are found to undergo nucleophilic attack at the carbonyl carbon by primary amines and amino acids to yield the corresponding amides.

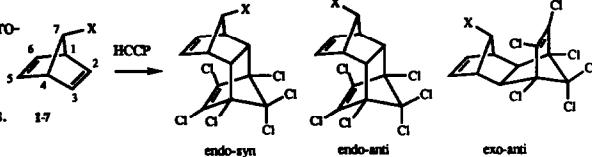


M = Ti(IV), Zr(IV), Ta(V)

CYCLOADDITIONS OF HEXACHLOROCYCLOPENTADIENE TO 7-SUBSTITUTED NORBORNADIENES: REMOTE SUBSTITUENT EFFECTS ON REACTIVITY AND STEREOSELECTIVITY

K. N. Houk\*, Paul H. Mueller, Yun-Dong Wu, Paul H. Mazzocchi, David Shook, Frederick Khachik, Department of Chemistry, University of Pittsburgh, Pennsylvania 15260, Department of Chemistry and Biochemistry, University of California, Los Angeles, California 90024 and Department of Chemistry, University of Maryland, College Park, Maryland 20742

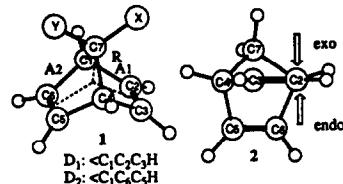
The rates and stereoselectivities of the cycloadditions of hexachlorocyclopentadiene to norbornadienes substituted at the 7-position by *tert*-butyl, trimethylsilyl, methoxy, acetoxy, hydroxy, methoxymethyl, and methoxycarbonyl groups have been measured. The rates correlate with substituent electronegativities.



THEORY OF RATES AND STEREOSELECTIVITIES OF CYCLOADDITIONS TO 7-SUBSTITUTED NORBORNADIENES

K. N. Houk\*, Paul H. Mueller, Yun-Dong Wu, Pierluigi Caramella, Michael N. Paddon-Row, Shigeru Nagase, Paul H. Mazzocchi, Department of Chemistry, University of Pittsburgh, Pennsylvania 15260, Department of Chemistry and Biochemistry, University of California, Los Angeles, California 90024, Dipartimento di Chimica, Università di Ferrara, Italy, Department of Chemistry, University of New South Wales, New South Wales, Australia, Department of Chemistry, Faculty of Education, Yokohama National University, Yokohama, Japan, and Department of Chemistry, University of Maryland, College Park, Maryland 20742

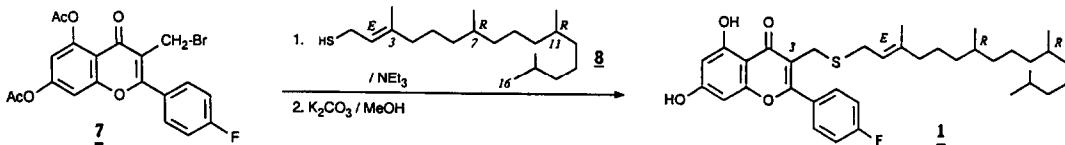
The structures of 7-substituted norbornadienes have been studied theoretically with the 3-21G basis set. The stereoselectivities and rates of electrophilic cyclo-additions of HCCP to these norbornadienes are rationalized.



SYNTHESIS OF A NEW FLAVONOID-ANTIOXIDANT

G. Beck, A. Bergmann, K. Kebeler, G. Wess  
Hoechst AG, Postfach 80 03 20, D-6230 Frankfurt/Main-80

A new, highly lipophilic inhibitor 1 of LDL-oxidation has been synthesized from 3-bromo-methyl-flavonoid 7 and isomerically pure 2(E)-phytyl-mercaptopan 8.

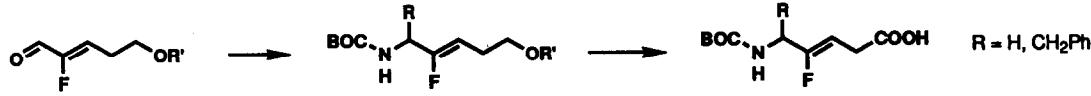


FLUOROOLEFIN DIPEPTIDE ISOSTERES - I. The Synthesis of Gly $\Psi$ (CF=CH)Gly and Racemic Phe $\Psi$ (CF=CH)Gly

Thomas Allmendinger\*, Pascal Furet and Ernst Hungerbühler

Ciba-Geigy AG, CH-4002 Basel, Switzerland

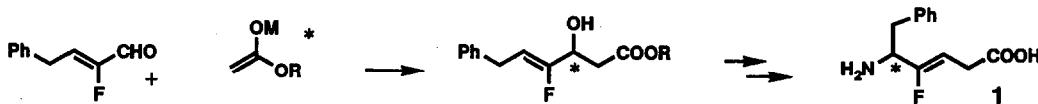
Theoretical considerations suggest the fluoroolefin moiety to be a better peptide bond substitute than the corresponding trans-olefin. We describe the preparation of the first examples of a new class of dipeptide isosteres.



**FLUOROOLEFIN DIPEPTIDE ISOSTERES - II. Enantioselective Synthesis of the Phe-Gly Dipeptide Mimic.**

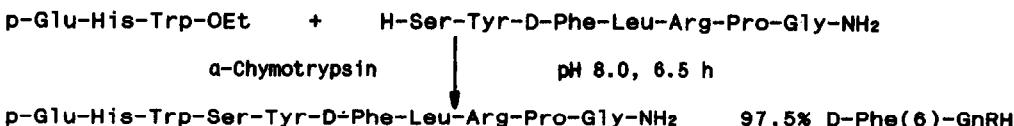
Thomas Allmendinger\*, Eduard Felder and Ernst Hungerbühler;  
Ciba-Geigy AG, CH-4002 Basel, Switzerland

The title compounds **1** are prepared by the reaction of asymmetrically modified ester enolates with the fluorinated crotonal derivative followed by Overman rearrangement. They have been elongated to the whole sequence of the undecapeptide substance P.



**CHYMOTRYPSIN CATALYZED FRAGMENT COUPLING  
SYNTHESIS OF D-PHE(6)-GNRH**

V. Schellenberger\*, U. Schellenberger\*, H.-D. Jakubke\*, A. Hänsicke\*, M. Bienert\*, E. Krause\*  
\* Karl-Marx-Universität Leipzig, Sektion Biowissenschaften, Leipzig, DDR;  
\* Berlin-Chemie AG, Berlin; × Institut für Wirkstoffforschung der AdW, 1136 Berlin, DDR



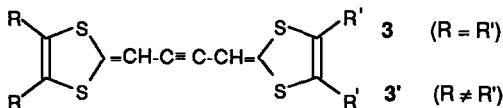
**2-BUTYNE-1,4-DIYLIDENE-2,2'BIS(1,3-DITHIOLE):**

**ACETYLENIC ANALOGS OF TETRATHIAFULVALENE**

Abdallah KHANOUS, Alain GORGUES\* and Fernand TEXIER.

Laboratoire de Synthèse Organique et d'Electrochimie, Université d'Angers, 2, Bd Lavoisier, 49045 Angers, France.

The title compounds **3'**) are prepared through Wittig and Wittig-Horner reactions between P-reagents bearing the 1,3-dithiole-2- ylidene moiety and OCH-C≡C-CHO or its mono-diethyl- acetal .



**ACETYLENIC ANALOGS OF TETRATHIAFULVALENE :**

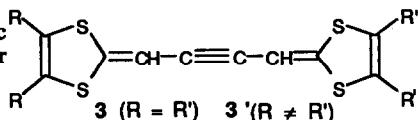
**ALKYNES-HEXACARBONYLCOBALT COMPLEXES**

**MEDIATED SYNTHESIS AND π-DONOR PROPERTIES**

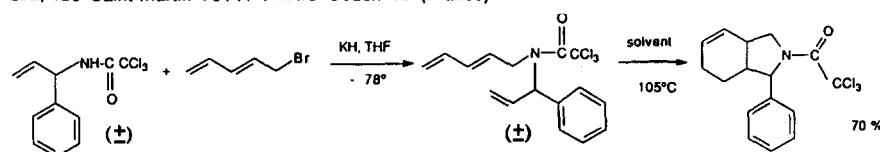
Abdallah KHANOUS, Alain GORGUES\* and Michel JUBAULT.\*

Laboratoire de Synthèse Organique et d'Electrochimie, Université d'Angers, 2 Boulevard Lavoisier, 49045 Angers , France.

An improved synthesis of **3'**) thanks to an organometallic route with alkynes-Co<sub>2</sub>(CO)<sub>6</sub> complexes is presented and their π-donor properties are evaluated by cyclic voltammetry.



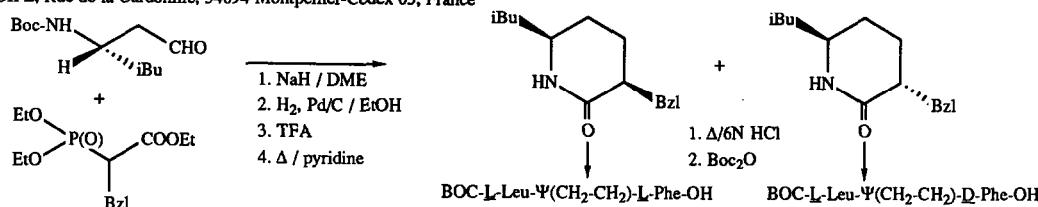
Alain GUY\* and Yvonne GRAILLOT

Laboratoire de Chimie Organique Conservatoire National des Arts et Métiers  
292, rue Saint-Martin 75141 PARIS Cedex 03 (France)

The four diastereomers are obtained, the cycloadducts arising from the transition states where the phenyl group is in the axial position, were favoured in both the syn and anti conformations.

**"CARBA" PEPTIDE BOND SURROGATES: SYNTHESIS OF BOC-L-LEU-Ψ(CH<sub>2</sub>-CH<sub>2</sub>)-L-PHE-OH AND BOC-L-LEU-Ψ(CH<sub>2</sub>-CH<sub>2</sub>)-D-PHE-OH THROUGH A HORNER-EMMONS REACTION.** Marc Rodriguez, Annie Heitz & Jean Martinez\*

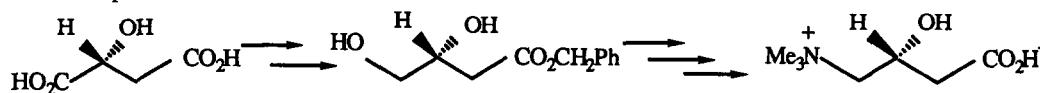
CCIPE, Rue de la Cardonille, 34094 Montpellier-Cédex 05, France

**A NEW, SHORT, AND EFFICIENT SYNTHESIS OF BOTH ENANTIOMERS OF CARNITINE**

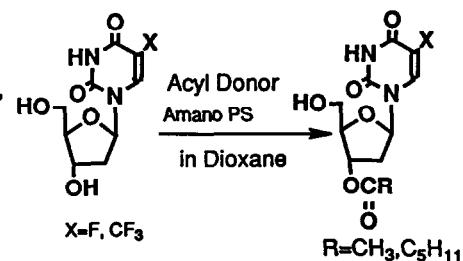
F.D. Bellamy, M. Bondoux, P. Dodey

Laboratoires Fournier, Research Center, 21121 Daix (France)

The synthesis of enantiomerically pure (R)- and (S)-Carnitine using malic acids as chiral precursors is described:

**Enzymatic Regioselective Acylation of 3'-Hydroxyl groups of 2'-Deoxy-5-fluorouridine (FUdR) and 2'-Deoxy-5-Trifluoromethyluridine (CF3UdR).**

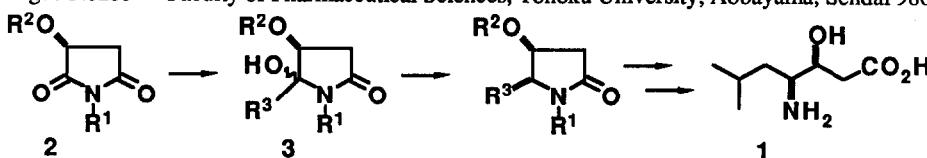
Kenji Nozaki\*, Atsuhiko Uemura, Jun-ichi Yamashita, and Mitsugi Yasumoto

Chemical Synthesis Research Lab. Taiho Pharmaceutical Co.,Ltd.  
Kodama-gun, Saitama, 367-02. Japan

## DIASTEREOSPECIFIC SYNTHESIS OF (-)-STATINE

Tomihisa Ohta, Sojiro Shiokawa, Rumiko Sakamoto, and

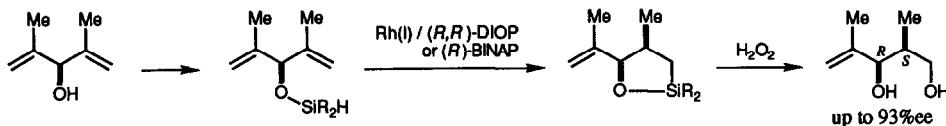
Shigeo Nozoe\* Faculty of Pharmaceutical Sciences, Tohoku University, Aobayama, Sendai 980, Japan

(-)-Statine was synthesized from *L*-malic acid in a diastereospecific manner.

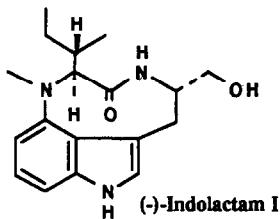
## CATALYTIC ASYMMETRIC INTRAMOLECULAR HYDROSILATION

Kohei Tamao,\* Toshihiko Tohma, Naoki Inui, Osamu Nakayama, and Yoshihiko Ito\*

Department of Synthetic Chemistry, Faculty of Engineering, Kyoto University, Kyoto 606, Japan

ISOLATION AND BIOSYNTHESIS OF (-)-INDOLACTAM I,  
A NEW CONGENER OF INDOLE ALKALOID TUMOR  
PROMOTER TELEOCIDINSK. Irie,\* S. Kajiyama, K. Koshimizu, H. Hayashi<sup>a</sup> and M. Arai<sup>a</sup>

Dept. of Food Sci. and Tech., Fac. of Agric., Kyoto Univ., Kyoto 606, Japan

<sup>a</sup>Dept. of Agric. Chem., Coll. of Agric., Univ. of Osaka Pref., Sakai 591, Japan

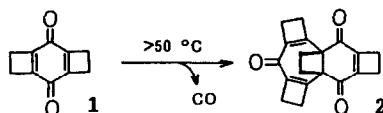
## NOVEL THERMAL DECARBOXYLATIVE DIMERIZATION OF 1,2,3,4,5,6-HEXAHYDROBENZO 1,2;4,5 DICYCLOBUTENE-3,6-DIONE

Masaji Oda\*, Keiji Okada, and Takeshi Motegi

Department of Chemistry, Faculty of Science, Osaka University, Osaka 560, Japan

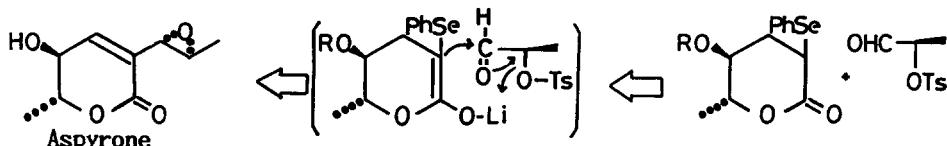
Ling-Kang Liu\* and Yuh-Sheng Wen

Institute of Chemistry, Academia Sinica, Nankang, Taipei, Taiwan 11529, Republic of China

The title compound **1** yields novel decarbonylated dimer **2**, with unusual easiness, upon heating either at solid or in solution.

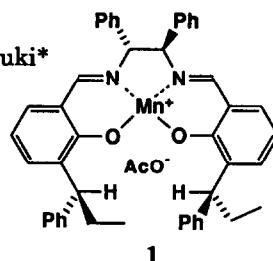
## A CONVERGENT SYNTHESIS OF OPTICALLY ACTIVE ASPYRONE.

Takeyoshi Sugiyama,\* Tetsuya Murayama and Kyohei Yamashita,  
Dept. of Agric. Chem., Fac. of Agric., Tohoku Univ., Aoba-ku, Sendai 981, Japan.



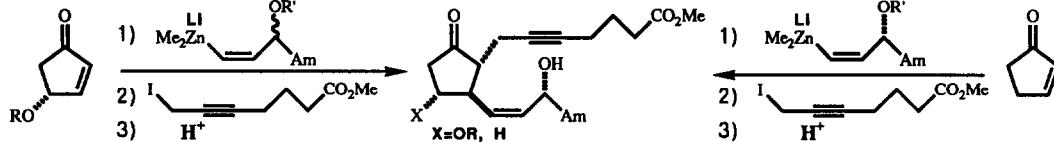
## CATALYTIC ASYMMETRIC EPOXIDATION OF UNFUNCTIONALIZED OLEFINS

Ryo Irie, Keiko Noda, Yoshio Ito, Naohide Matsumoto, and Tsutomu Katsuki\*  
Department of Chemistry, Faculty of Science, Kyushu University 33,  
Hakozaki, Higashi-ku, Fukuoka 812, Japan



## CHIRAL PROSTAGLANDIN SYNTHESES VIA CONJUGATE ADDITION OF (Z)-VINYLNICKELATE INVOLVING KINETIC RESOLUTION AND ENANTIOFACE SELECTION.

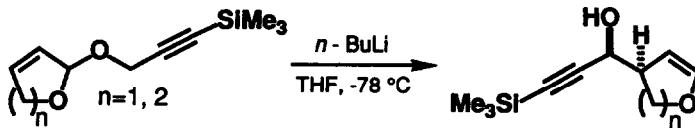
Takashi Takahashi,\* Makoto Nakazawa, Mikiya Kanoh, and Keiji Yamamoto  
Department of Chemical Engineering, Tokyo Institute of Technology, Meguro, Tokyo 152, JAPAN



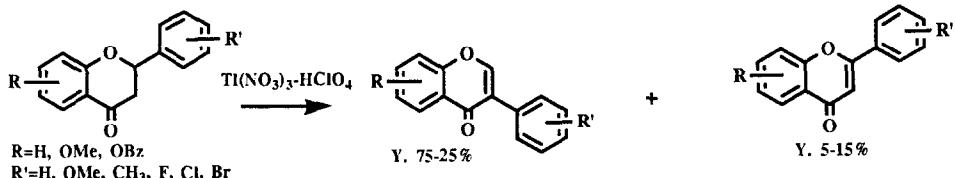
## HIGHLY PERISELECTIVE [2,3]WITTIG REARRANGEMENTS ON DIHYDROFURAN AND DIHYDROPYRAN RINGS

Katsuhiro Tomooka, Masashi Watanabe, and Takeshi Nakai\*  
Department of Chemical Technology, Tokyo Institute of Technology, Meguro-ku, Tokyo 152, Japan

The carbanion [3,5]-rearrangements involving the dihydrofuran and dihydropyran rings as migrating groups are shown to afford exclusively the [2,3]Wittig products with a remarkably high periselectivity and stereoselectivity.



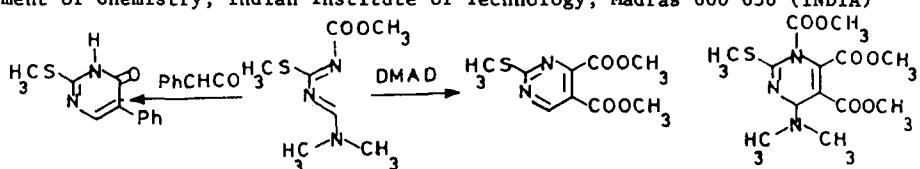
## ONE-STEP CONVERSION OF FLAVANONES INTO ISOFLAVONES: A NEW FACILE BIOMIMETIC SYNTHESIS OF ISOFLAVONES

Takeshi Kinoshita,<sup>a,\*</sup> Koji Ichinose<sup>b</sup> and Ushio Sankawa<sup>b,\*</sup><sup>a</sup>Faculty of Pharmaceutical Sciences, Teikyo University, Sagamiko-machi, Tsukui-gun, Kanagawa 259-001, Japan and <sup>b</sup>Faculty of Pharmaceutical Sciences, University of Tokyo, Hongo, Bunkyo-ku, Tokyo 113, Japan

## SYNTHESIS AND DIELS-ALDER REACTION OF STABLE ARYL FREE 1,3-DIAZABUTADIENE

Ibrahim Ibnusaad, E.J. Padma Malar and Narayanaswamy Sundaram\*

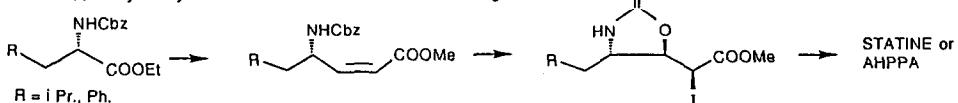
Department of Chemistry, Indian Institute of Technology, Madras 600 036 (INDIA)



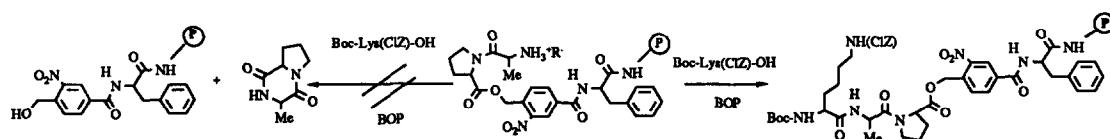
## A STEREOSELECTIVE SYNTHESIS OF (3S,4S) STATINE AND RELATED COMPOUNDS

D. Misiti,<sup>a</sup> G. Zappia<sup>a,b</sup>

a) Dip. Studi di Chimica e Tecnologia delle Sostanze Biolog. Attive, Università "La Sapienza", P.le A. Moro 5, 00185 Roma (Italy); b) Lab. Ricerca Chimica, Sigma Tau S.p.A., via Pontina km 30,400, 00040 Pomezia (RM) (Italy)

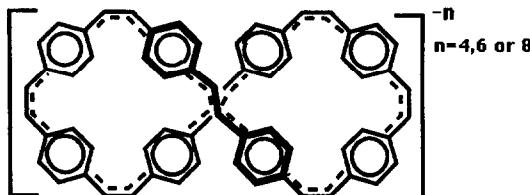


## Use of BOP Reagent for the Suppression of Diketopiperazine Formation in Boc/Bzl Solid-Phase Peptide Synthesis

Margarida Gairí, Paul Lloyd-Williams, Fernando Albericio and Ernest Giralt,  
Departament de Química Orgànica, Universitat de Barcelona, E-08028 Barcelona, Spain.

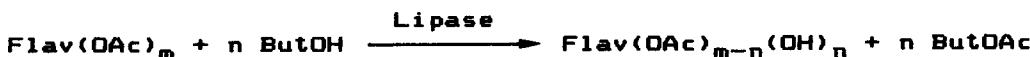
MULTIPLY CHARGED ANIONS FROM MOLECULES WITH EXTENDED  $\pi$ -SYSTEMS

Rainer Schenk and Klaus Müllen\*,  
 Max-Planck-Institut für Polymerforschung,  
 Ackermannweg 10, D-6500 Mainz, FRG  
 Olof Wannerström\*,  
 Department of Organic Chemistry,  
 Chalmers University of Technology,  
 S-412 96 Göteborg, Sweden.



## ENZYME-CATALYZED ALCOHOLYSIS OF FLAVONE ACETATES IN ORGANIC SOLVENT

Mariapina Natoli, Giovanni Nicolosi\* and Mario Piattelli  
 Istituto CNR Sostanze Naturali, viale A. Doria 6, 95125 Catania, ITALY

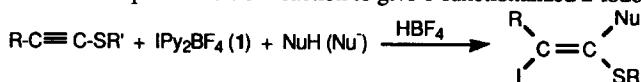


*Pseudomonas* sp. lipase in THF was used to deacylate flavone acetates.  
 Regioselectivity of the reaction has been observed.

IODOFUNCTIONALIZATION OF ALKYNYLSULFIDES WITH  $\text{IPy}_2\text{BF}_4$ 

José Barluenga,\* Pedro J. Campos, Fernando López, Isidro Llorente, and Miguel A. Rodríguez  
 Departamento de Química Organometálica, Universidad de Oviedo, 33071-Oviedo, Spain

Alkynylsulfides react with bis(pyridine)iodine (I) tetrafluoroborate (1) and nucleophiles in a regio and stereoselective electrophilic addition reaction to give 1-functionalized 2-iodovinylsulfides



## HETARYLATION OF C-NUCLEOPHILES: A NEW COURSE FOR THE REACTION OF N-FLUOROPYRIDINIUM SALTS WITH CARBANIONS.

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The N-fluoropyridinium tetrafluoroborate reacts with carbanions with the formation of 2- or 4-pyridyl derivatives.

