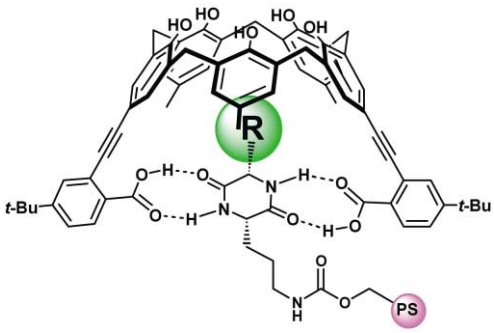
On-beads screening of solid-attached diketopiperazines for calix[5]arene-based receptor

Tetrahedron Letters 44 (2003) 3889

Takeharu Haino, Hisashi Mitsuhashi and Yoshimasa Fukazawa*

Department of Chemistry, Graduate School of Science, Hiroshima University, 1-3-1 Kagamiyama, Higashi-Hiroshima, 739-8526, Japan

The library of DKPs on solid support was synthesized in a parallel fashion. On-beads binding studies of solid-bound DKPs to the calix[5]arene-based receptor were achieved.



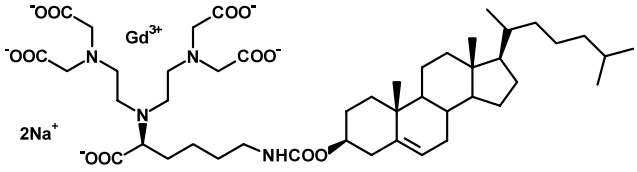
Synthesis of Gd-DTPA-cholesterol: a new lipophilic gadolinium complex as a potential MRI contrast agent

Tetrahedron Letters 44 (2003) 3893

Luciano Lattuada* and Giovanna Lux

Bracco Imaging Spa, Milano Research Centre, via E. Folli 50, 20134 Milan, Italy

A straightforward synthesis of Gd-DTPA-cholesterol, a new lipophilic gadolinium complex, is reported.



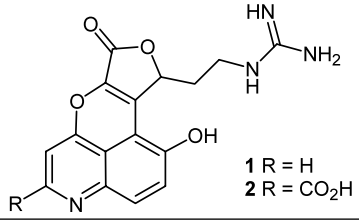
Distomadines A and B, novel 6-hydroxyquinoline alkaloids from the New Zealand ascidian, *Pseudodistoma aureum*

Tetrahedron Letters 44 (2003) 3897

A. Norrie Pearce,[a] David R. Appleton,[a] Russell C. Babcock[b] and Brent R. Copp[a,*]

[a]*Department of Chemistry, University of Auckland, Private Bag 92019, Auckland, New Zealand*

[b]*Leigh Marine Laboratory, University of Auckland, Private Bag 92019, Auckland, New Zealand*



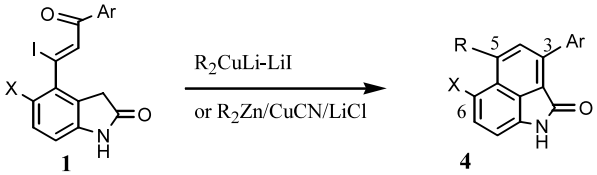
Organometallic reagent-mediated one-pot synthesis of 3,5,6-trisubstituted naphthostyrils

Tetrahedron Letters 44 (2003) 3901

Jin-Jun Liu,* Fred Konzelmann and Kin-Chun Luk

Department of Discovery Chemistry, Hoffmann-La Roche Inc., 340 Kingsland Street, Nutley, NJ 07110, USA

A one-pot synthesis of 3,5,6-trisubstituted naphthostyrils is described. Addition of organometallic reagents to β-iodovinyl ketone **1** followed by elimination gave the *Z*-form β-alkyl vinyl ketone **15**. Intramolecular cyclization under the reaction conditions afforded 3,5,6-trisubstituted naphthostyrils **4**.

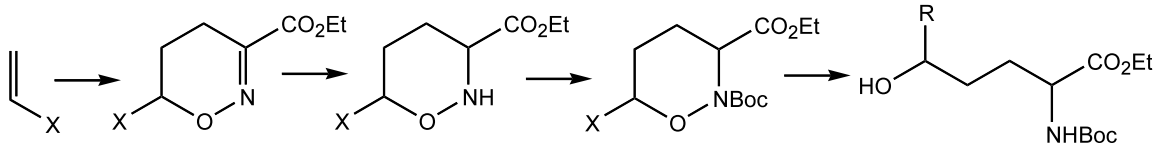


Tetrahedron Letters 44 (2003) 3905

The hetero-Diels–Alder addition of ethyl 2-nitrosoacrylate to electron-rich alkenes as a route to unnatural α-amino acids

John K. Gallos,* Vassiliki C. Sarli, Anastassia C. Varvogli, Constantina Z. Papadoyanni, Sofia D. Papaspyrou and Nicolaos G. Argyropoulos

Department of Chemistry, Aristotle University of Thessaloniki, Thessaloniki 541 24, Greece

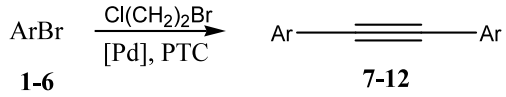


Tetrahedron Letters 44 (2003) 3911

A new pathway for the preparation of diaryl acetylenes

Edgars Abele,* Ramona Abele, Pavel Arsenyan and Edmunds Lukevics

Latvian Institute of Organic Synthesis, 21 Aizkraukles Street, Riga LV-1006, Latvia



1-6 **7-12**

Ar = Ph, 2-thienyl, 3-thienyl, 3-methyl-2-thienyl, 2-pyridyl, 3-pyridyl

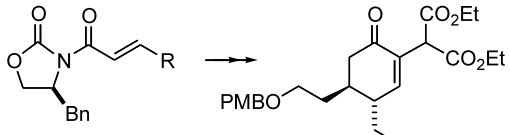
Tetrahedron Letters 44 (2003) 3915

Evaluation of asymmetric Diels–Alder approaches for the synthesis of the cyclohexene subunit of CP-225,917 and CP-263,114

Alan Armstrong,* Nicholas G. M. Davies, Nathaniel G. Martin and Alistair P. Rutherford

Department of Chemistry, Imperial College London, South Kensington, London SW7 2AZ, UK

Asymmetric synthesis of a functionalised cyclohexenone required for total synthesis of CP-225,917 and CP-263,114 is reported, using a Lewis acid promoted Diels–Alder reaction between a 2-silyloxydiene and a dienophile bearing an oxazolidinone auxiliary. A novel method for appendage of an exocyclic malonate unit to the silyl enol ether, via cyclopropane ring opening, is also described.



10c R=$(CH_2)_3$OPMB

Tetrahedron Letters 44 (2003) 3919

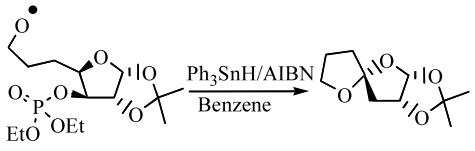
Diastereoselective synthesis of 1,2-*O*-isopropylidene-1,6-dioxaspiro[4,4]nonane applying the methodology of generation of radical cations under non-oxidizing conditions

Fernando Sartillo-Piscil,[a,*] Mónica Vargas,[a] Cecilia Anaya de Parrodi[b] and Leticia Quintero[a,*]

[a]*Centro de Investigación de la Facultad de Ciencias Químicas, Benemérita Universidad Autónoma de Puebla, 72570 Puebla, Mexico*

[b]*Departamento de Química y Biología, Universidad de las Americas-Puebla, 72820, Santa Catarina Mártir, Puebla, Mexico*

We report the stereoselective synthesis of an optically pure spiroketal via an intramolecular tandem hydrogen abstraction reaction promoted by an alkoxy radical. Expanding the use of alkene radical cation under non-oxidizing conditions in the synthetic scenario.



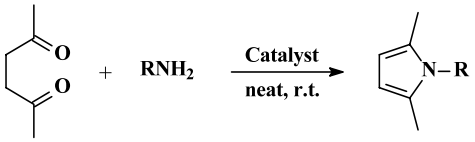
Layered zirconium phosphate and phosphonate as heterogeneous catalyst in the preparation of pyrroles

Tetrahedron Letters 44 (2003) 3923

Massimo Curini,[a,*] Francesca Montanari,[a] Ornelio Rosati,[a] Eduardo Lioy[b] and Roberto Margarita[b]

[a]*Dipartimento di Chimica e Tecnologia del Farmaco, Sezione di Chimica Organica, Via del Liceo 1, Università degli Studi, 06123 Perugia, Italy*
[b]*Bristol Myers Squibb Srl, Via del Murillo Km 2.8, 04010 Sermoneta (LT), Italy*

Pyrroles may be prepared by condensation of alkyl and aryl amines and 1,4-diketones (Paal–Knorr reaction) with potassium exchanged layered zirconium phosphate or zirconium sulfophenyl phosphonate catalyst in solvent free conditions.



Catalyst = α-$Zr(KPO_4)_2$ or α-$Zr(CH_3PO_3)_{1.2}(O_3PC_6H_4SO_3H)_{0.8}$

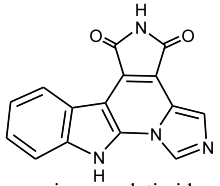
Synthesis of isogranulatimide analogues possessing a pyrrole moiety instead of an imidazole heterocycle

Tetrahedron Letters 44 (2003) 3927

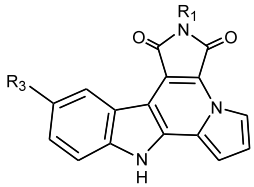
Bernadette Hugon,[a] Bruno Pfeiffer,[b] Pierre Renard[b] and Michelle Prudhomme[a,*]

[a]*Université Blaise Pascal, Synthèse et Etude de Systèmes à Intérêt Biologique, UMR 6504 du CNRS, 63177 Aubière, France*
[b]*Les Laboratoires SERVIER, 1 rue Carle Hébert, 92415 Courbevoie, France*

An efficient four step synthesis of isogranulatimide analogues was performed from commercial indoles bearing or not an electron withdrawing substituent. In the new compounds, a pyrrole moiety replaces the imidazole unit.



isogranulatimide



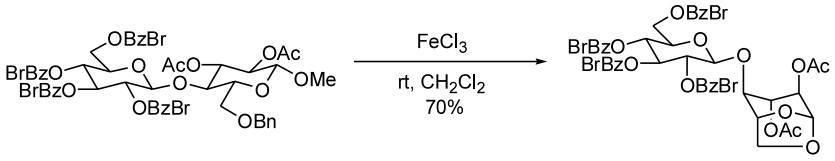
R_1 =H, CH_3
R_2 = H, OBn, Cl, Br, OH

Ferric chloride: a mild and versatile reagent for the formation of 1,6-anhydro glucopyranoses

Tetrahedron Letters 44 (2003) 3931

Pedro O. Miranda, Ignacio Brouard, Juan I. Padrón* and Jaime Bermejo*

Instituto Universitario de Bio-Orgánica 'Antonio González', Instituto de Productos Naturales y Agrobiología del C.S.I.C., Avenida Astrofísico Fco Sánchez 3, 38206 La Laguna, Tenerife, Canary Islands, Spain



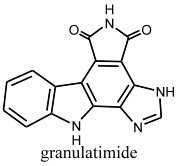
Synthesis of granulatimide analogues bearing a maleimide instead of an imidazole heterocycle

Tetrahedron Letters 44 (2003) 3935

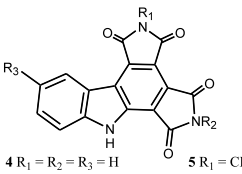
Bernadette Hugon,[a] Bruno Pfeiffer,[b] Pierre Renard[b] and Michelle Prudhomme[a,*]

[a]*Université Blaise Pascal, Synthèse et Etude de Systèmes à Intérêt Biologique, UMR 6504 du CNRS, 63177 Aubière, France*
[b]*Les Laboratoires SERVIER, 1 rue Carle Hébert, 92415 Courbevoie, France*

The synthesis in a few steps of a new family of granulatimide analogues was performed. In the new compounds, a maleimide moiety replaces the imidazole unit of the granulatimide aromatic framework.



granulatimide



4 $R_1 = R_2 = R_3 = H$ **5** $R_1 = CH_3$, $R_2 = R_3 = H$
6 $R_1 = CH_3$, $R_2 = CH_3$, $R_3 = H$ **7** $R_3 = OBn$, $R_1 = R_2 = CH_3$
9 $R_1 = -(CH_2)_2-NEt_2$, HCl, $R_2 = CH_3$, $R_3 = H$

Tetrahedron Letters 44 (2003) 3939

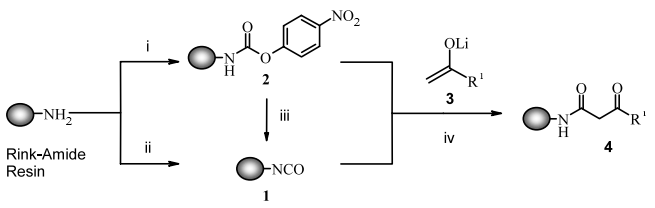
Solid-phase synthesis of 3-aryl-3-oxo-propan amides by reaction of lithium enolates with 4-nitrophenyl carbamate resin or polymer-bound isocyanate

Alexander G. Groß,[a,*] Holger Deppe[b] and Andreas Schober[a]

[a]*Institute for Physical High Technologies, Micro Systems Division, POB 100239, D-07702 Jena, Germany*

[b]*Merck KGaA, Preclinical Research, Medicinal Chemistry CVS, D-64271 Darmstadt, Germany*

A straightforward and efficient solid-phase synthesis of 3-aryl-3-oxo-propan amides (β-keto amides) is described. Lithium enolates are added to an immobilized isocyanate or activated carbamate. Generated immobilized β-keto amides may serve as intermediates for the preparation of structurally diverse libraries.

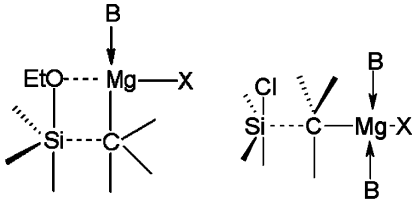


Tetrahedron Letters 44 (2003) 3943

On the mechanism derived from kinetic solvent effects of Grignard reactions with silanes

Ants Tuulmets,* Dmitri Panov and Meeri Sassian

Institute of Organic and Bioorganic Chemistry, University of Tartu, Tartu 51014, Estonia

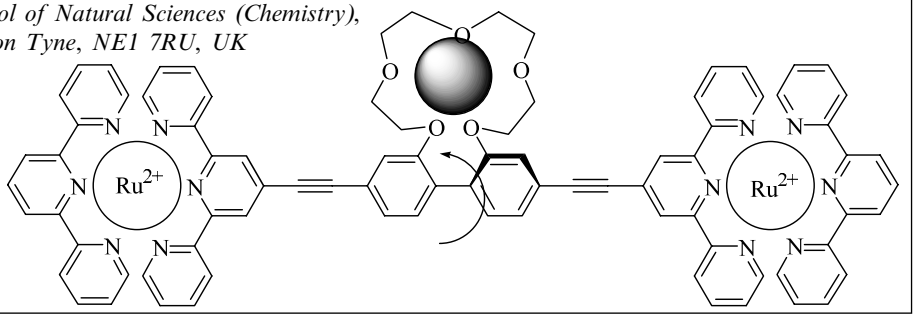


Tetrahedron Letters 44 (2003) 3947

Controlling the torsion angle via adventitious cation binding

Andrew C. Benniston,* Peiyi Li and Craig Sams

Molecular Photonics Laboratory, School of Natural Sciences (Chemistry), University of Newcastle, Newcastle upon Tyne, NE1 7RU, UK

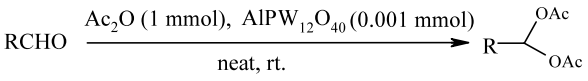


Tetrahedron Letters 44 (2003) 3951

Aluminum dodecatungstophosphate ($AlPW_{12}O_{40}$) as an efficient heterogeneous inorganic catalyst for the chemoselective synthesis of geminal diacetates (acylals) under solvent-free conditions

Habib Firouzabadi,* Nasser Iranpoor,* Farhad Nowrouzi and Kamal Amani

Department of Chemistry, Shiraz University, Shiraz 71454, Iran



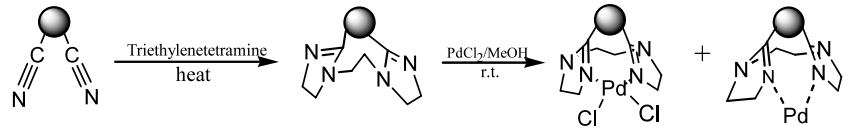
Tetrahedron Letters 44 (2003) 3955

Polymer (fiber)-supported palladium catalyst containing imidazolinyl rings and its application to the Heck reaction

Kunhua Lin,[a] Maoping Song,[a,*] Dongmei Cai,[b] Xinqi Hao[a] and Yangjie Wu[a,*]

[a]*Department of Chemistry, Zhengzhou University, Zhengzhou 450052, PR China*
[b]*Department of Chemistry, University of Science and Technology of China, Hefei 230026, PR China*

A polymer (fiber)-supported Pd catalyst was synthesized simply from polyacrylonitrile fiber. Its high activity and selectivity for Heck reactions were measured; its properties remained unchanged after recycling 20 times.

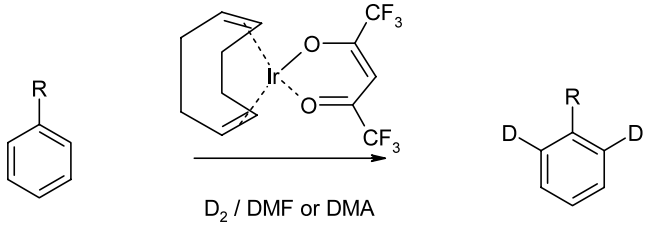


Tetrahedron Letters 44 (2003) 3959

Iridium-catalysed labelling of anilines, benzylamines and nitrogen heterocycles using deuterium gas and cycloocta-1,5-dienyliridium(I) 1,1,1,5,5,5-hexafluoropentane-2,4-dionate

Michael J. Hickey,[a] John R. Jones,[b] Lee P. Kingston,[a] William J. S. Lockley,[b,*] Andrew N. Mather,[a] Barry M. McAuley[a] and David J. Wilkinson[a]

[a]*AstraZeneca R&D Charnwood, Bakewell Rd, Loughborough, Leics. LE11 5RH, UK*
[b]*Department of Chemistry, University of Surrey, Guildford, Surrey GU2 7XH, UK*



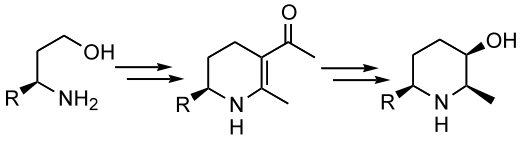
R = Directing group for *ortho*-metallation

Tetrahedron Letters 44 (2003) 3963

Stereospecific route to enantiopure all *cis*-2,3,6-trisubstituted piperidines. Facile synthesis of (−)-deoxocassine and (+)-azimic acid

Dawei Ma[a,*] and Nan Ma[b]

[a]*State Key Laboratory of Bioorganic and Natural Products Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, 354 Fenglin Lu, Shanghai 200032, China*
[b]*Department of Chemistry, Fudan University, Shanghai 200433, China*

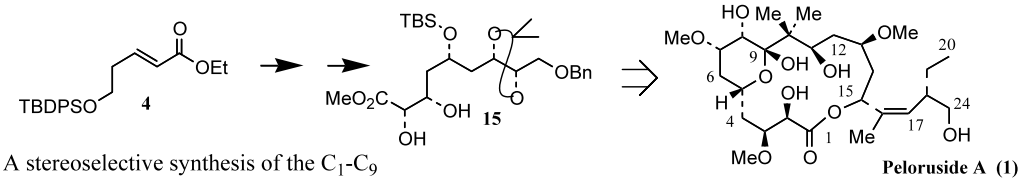


Tetrahedron Letters 44 (2003) 3967

An enantioselective synthesis of the C_1–C_9 segment of antitumor macrolide peloruside A

Arun K. Ghosh* and Jae-Hun Kim

Department of Chemistry, University of Illinois at Chicago, 845 West Taylor Street, Chicago, IL 60607, USA



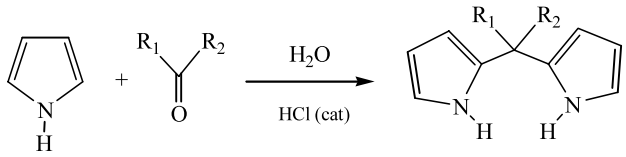
A stereoselective synthesis of the C_1-C_9 segment of peloruside A is described.

One-step synthesis of dipyrromethanes in water

Tetrahedron Letters 44 (2003) 3971

Abílio J. F. N. Sobral,[a,*] Nuno G. C. L. Rebanda,[a] Melo da Silva,[a] Sandra H. Lampreia,[a] M. Ramos Silva,[b] A. Matos Beja,[b] J. A. Paixão[b] and António M. d'A. Rocha Gonsalves[a]

[a]*Chemistry Department, FCT, University of Coimbra, Portugal*
[b]*CEMDRX, Physics Department, FCT, University of Coimbra, Portugal*



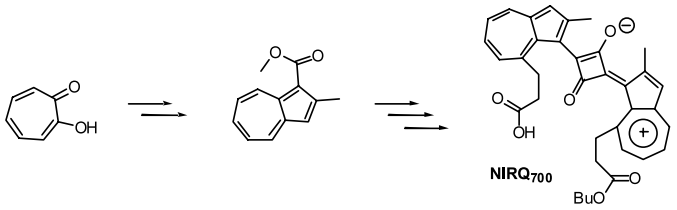
A practical approach for the preparation of monofunctional azulenyl squaraine dye

Tetrahedron Letters 44 (2003) 3975

Wellington Pham, Ralph Weissleder and Ching-Hsuan Tung*

Center for Molecular Imaging Research, Massachusetts General Hospital, Harvard Medical School, Charlestown, MA 02129, USA

A non-fluorescence azulene molecule, **NIRQ$_{700}$**, absorbed in a 600–700 nm range was synthesized. This non-fluorescence molecule potentially can be used to quench a number of available near-infrared fluorochromes in order to extend the spectrum of biological quenching assays.

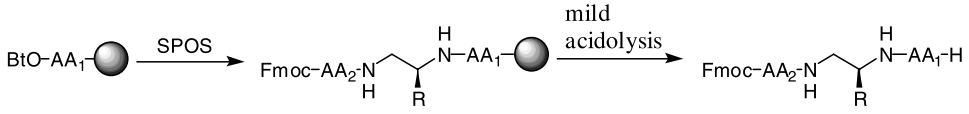


Solid phase insertion of diamines into peptide chains

Tetrahedron Letters 44 (2003) 3979

Manolis Karavoltsos, Spyros Mourtas, Dimitrios Gatos and Kleomenis Barlos*

Department of Chemistry, University of Patras, Patras, Greece

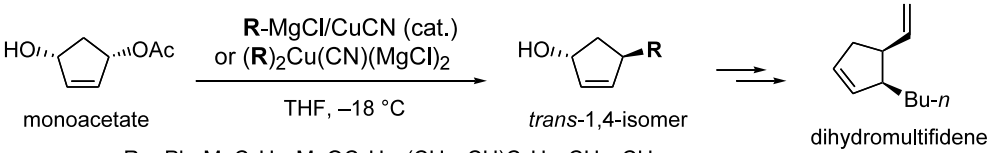


A new reagent system for installation of an aryl group onto the monoacetate of 4-cyclopentene-1,3-diol

Tetrahedron Letters 44 (2003) 3983

Takayuki Ainai, Michiko Ito and Yuichi Kobayashi*

Department of Biomolecular Engineering, Tokyo Institute of Technology, 4259 Nagatsuta-cho, Midori-ku, Yokohama 226-8501, Japan



monoacetate — *trans*-1,4-isomer — dihydromultifidene

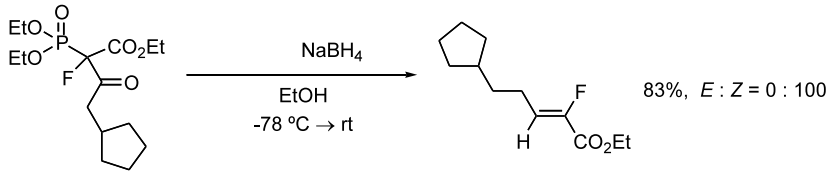
R = Ph, MeC_6H_4, $MeOC_6H_4$, $(CH_2{=}CH)C_6H_4$, $CH_2{=}CH$-

Tetrahedron Letters 44 (2003) 3987

Tandem reduction–olefination for the stereoselective synthesis of (*Z*)-α-fluoro-α,β-unsaturated esters

Shigeki Sano,* Katsuyuki Saito and Yoshimitsu Nagao*

Faculty of Pharmaceutical Sciences, The University of Tokushima, Sho-machi, Tokushima 770-8505, Japan



Tetrahedron Letters 44 (2003) 3991

A concise and stereoselective synthesis of the brassinolide and related compounds' side chains

Lizeng Peng, Yulin Li* and Weidong Z. Li*

National Laboratory of Applied Organic Chemistry, Institute of Organic Chemistry, Lanzhou University, Lanzhou 730000, PR China