

■ PREFACES

1 Preface

Gilbert Stork*

2 Preface

Edward C. Taylor*

3 Preface of the Special Issue "30 Years Heterocycles"

Arnold Brossi*

4 Preface

Teruaki Mukaiyama*

EDITOR'S NOTE

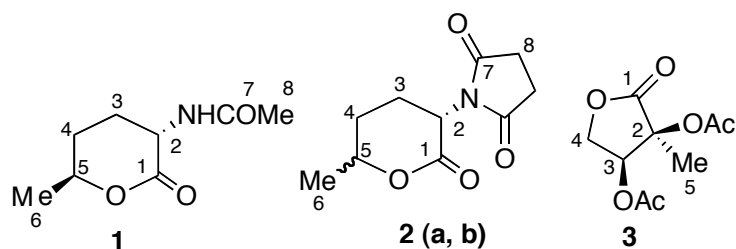
5 Editor's Note

Keiichiro Fukumoto*

COMMUNICATIONS

9 2-Amino-5-hydroxyhexanoic Acid and Its Succinyl Derivatives as Their Lactone Forms from Kobutorisou, an Antagonizing Plant to Nematode

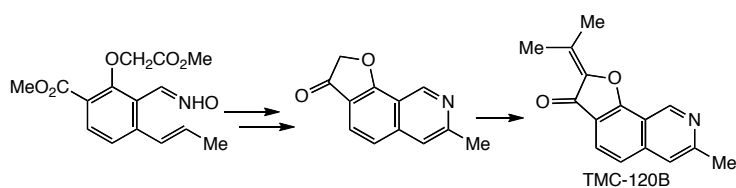
Yuuki Shimizu, Kenji Fujisawa, and Tadahiro Kato*



Kobutorisou Antagonizing Plant δ -Lactone Amino Acid Nematode

13 A Total Synthesis of a New Type of Furo[3,2-*f*]-isoquinoline Alkaloid, TMC-120B

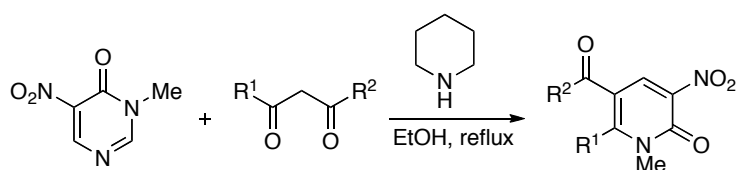
Junko Nobuhiro, Yohhei Takahashi, Mitsuko Sera, Aki Hirata, Tominari Choshi, Teppei Kumemura, and Satoshi Hibino*



Furo[3,2-*f*]isoquinoline TMC-120B Electrocyclic Reaction 1-Azahexatriene Total Synthesis

19 A Novel Ring Transformation of Nitropyrimidinone Leading to Polyfunctionalized Pyridones

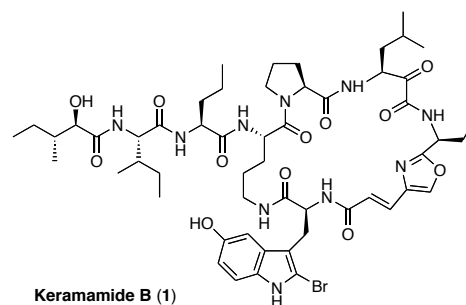
Mina Tamura, Kazuo Matsushima, Hiromi Morimura, Nagatoshi Nishiwaki, and Masahiro Ariga*



Nitropyrimidinone Ring Transformation Dipolar α -Nitroacrylamide Polyfunctionalized Pyridone Active Methylene Compound

23 **Toward a Total Synthesis of Keramide B**

Robert John Hughes and Takayuki Shioiri*

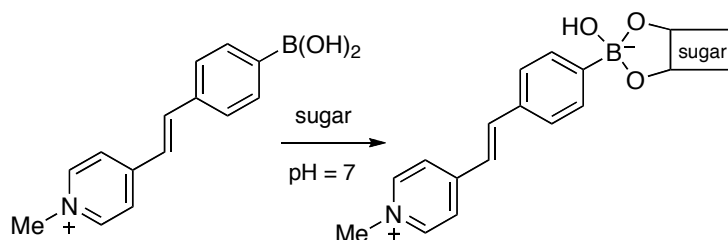


Keramide B (1)

Marine Natural Product Oxazole 2-Bromo-5-hydroxytryptophan Diethyl Phosphorocyanidate Chemical Manganese Dioxide

31 **Stilbazolium Boronic Acid/Borate - A New Stilbazolium Betaine Dye: An Optical Molecular Sensor for Monosaccharides**

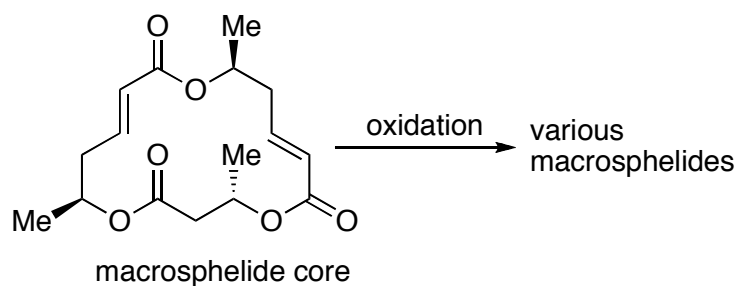
Takamichi Yamagishi, Sadao Arai, Akiko Sone, and Kiyoshi Sato*



Stilbazolium Boronic Acid Molecular Sensor Sugar Binding Betain Dye

39 **Synthesis of Various Macrosphelides by Oxidative Derivatization of the Macrosphelide Core**

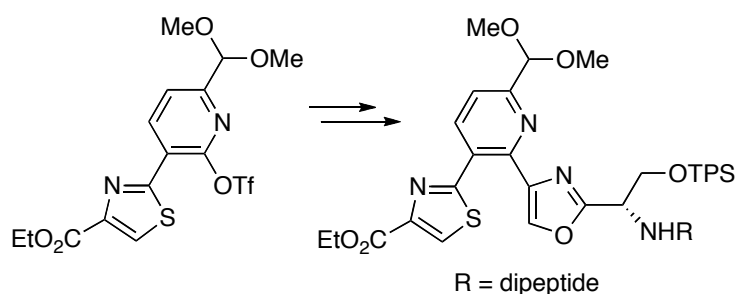
Takanori Kawaguchi, Yuji Matsuya, and Hideo Nemoto*



Allylic Oxidation Epoxidation Macrolide

45 **Useful Synthesis of the Main Central 2,3,6-Trisubstituted Pyridine Skeleton of Various Thiostrepton-Type Macrocylic Antibiotics**

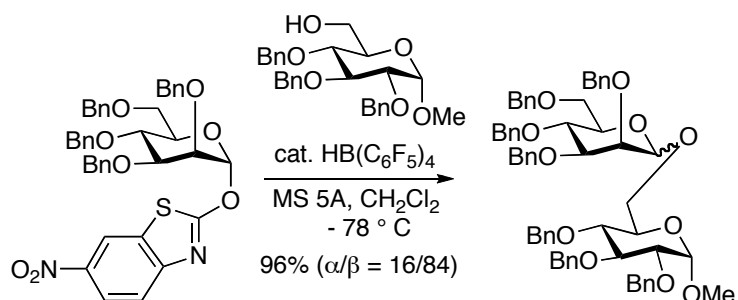
Yasuchika Yonezawa, Hirofumi Saito, and Chung-gi Shin*



Dehydropeptide Bromination Cyclization Oxazole Antibiotics

51 **Effective Induction of β -Selectivity Using α - or β -Mannosyl 6-Nitro-2-benzothiazate in Mannosylation**

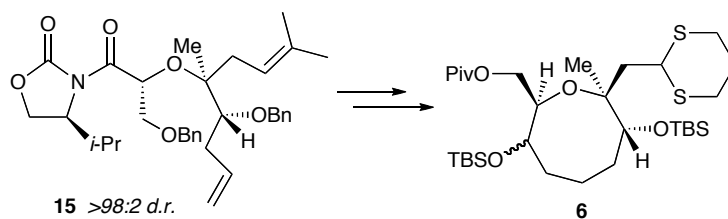
Takashi Hashihayata and Teruaki Mukaiyama*



β -Selective Mannosylation Tetrakis(pentafluorophenyl)boric Acid *in situ* Anomerization Benzothiazole Trichloroacetimidate

87 Enantioselective Synthesis of the G-Ring of Brevetoxin A

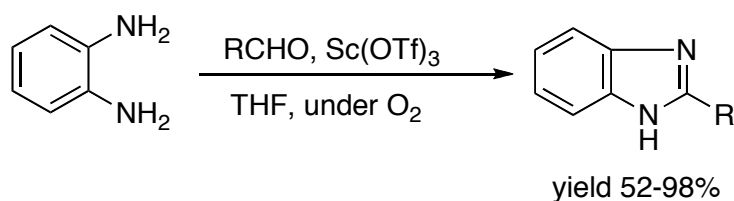
Pamela A. Cleary and Michael T. Crimmins*



Tetrahydropyranones Olefin Metathesis Asymmetric Alkylation

93 Synthesis of 2-Substituted Benzimidazoles by Reaction of *o*-Phenylenediamine with Aldehydes in the Presence of $\text{Sc}(\text{OTf})_3$

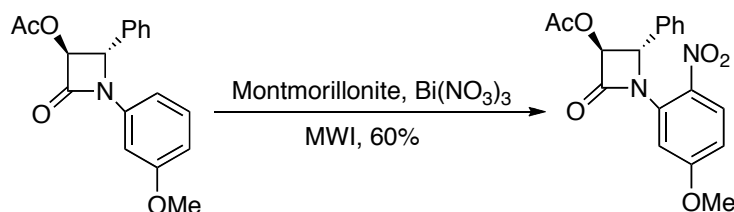
Hiroyuki Ishikawa, Takashi Itoh, Kazuhiro Nagata, and Akio Ohsawa*



Scandium Triflate Benzimidazole Oxidation *o*-Phenylenediamine Aldehyde

97 Montmorillonite Impregnated with Bismuth Nitrate: Microwave-assisted Facile Nitration of β -Lactams

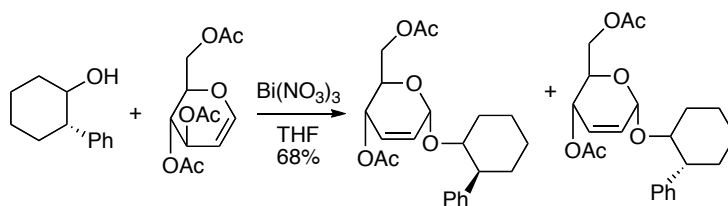
Jennie Hann, Sze Sze Ng, Indrani Banik, Susanta Samajdar, and Bimal K. Banik*



Environmentally Friendly Selective Chiral Compound

101 A New Bismuth Nitrate-induced Stereospecific Glycosylation of Alcohols

Neeta Srivastava, Phuong Nguyen, Dorothy Adler, and Bimal K. Banik*

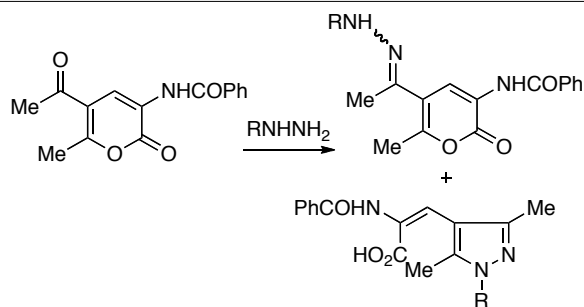


Ferrier Rearrangement β -Lactam Resolution

■ PAPERS

105 Transformation of *N*-(5-Acetyl-6-methyl-2-oxo-2*H*-pyran-3-yl)benzamide with Hydrazines in the Presence of an Acidic Catalyst

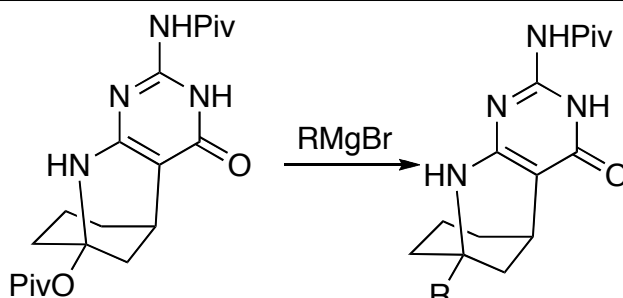
Slovenko Polanc, Lidija Vranicar, and Marijan Kočevar*



Hydrazone 2*H*-Pyran-2-one Pyrazole Dehydroamino Acid Benzamide

113 Synthesis and Bridgehead Reactions of 9-Substituted 5,6,7,8,9,10-Hexahydro-5,9-Methanopyrimido[4,5-*b*]-azocin-4(3*H*)-ones

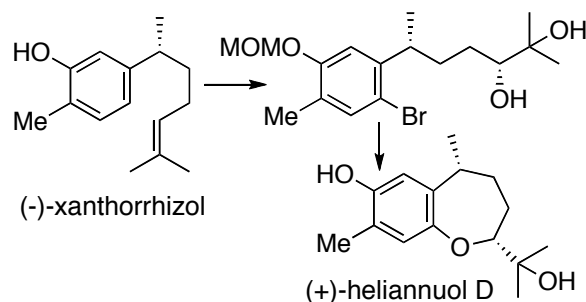
Beena Bhatia and Edward C. Taylor*



6-Amino-4(3*H*)-pyrimidinone 2-Cyclohexen-1-one Michael Addition / Cyclization Bridgehead Displacement DDATHF Analog

125 Enantiocontrolled Total Synthesis of (+)-Heliannuol D *via* Palladium-mediated Heterocyclization

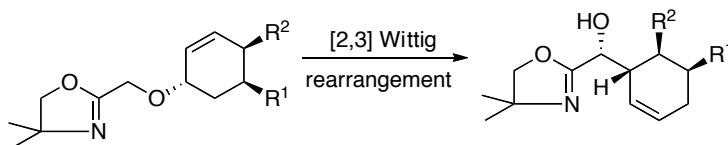
Mitsuru Shindo, Toshiyuki Kakehashi, Tomoyuki Yoshimura, Hidetoshi Kishuku, and Kozo Shishido*



Heliannuol D Sesquiterpene Total Synthesis Ring Closing Metathesis Palladium-mediated Cyclization

133 Stereoselective Construction of Four Consecutive Stereocenters Using [2,3]-Wittig Rearrangement Reaction

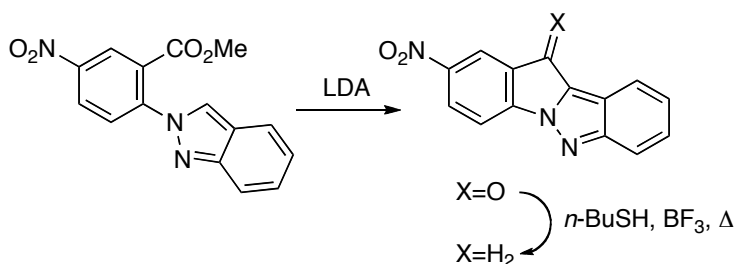
Takanobu Asoh, Masako Hirota, Masahiro Toyota, and Masataka Ihara*



HMG-CoA Reductase Inhibitor Compactin Mevinolin [2,3] Wittig Rearrangement Oxazoline

147 Synthesis of Indolo[1,2-*b*]indazole Derivatives

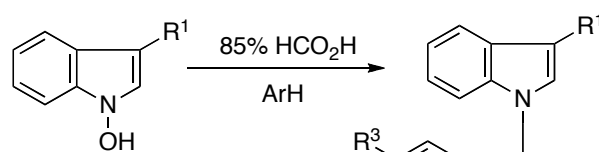
Kazuhiko Shiono, Noriyuki Takatsu, Yoshimitsu Kiryu, Osamu Kato, Kimiyoshi Kaneko, Yong-ming Zhu, and Hajime Katayama*



Cyclization Indazole Thiol Reduction Hydrogenation

163 Nucleophilic Substitution Reaction at the 1-Position of 1-Hydroxytryptamine and -tryptophan Derivatives

Yoshitomo Saga, Toshikatsu Hayashi, Wu Peng, Aya Goto, Fumio Yamada, and Masanori Somei*

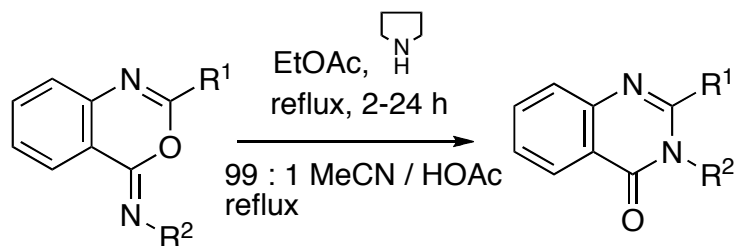


ArH = indole, 1-methylindole, or 5-methoxyindole
R¹ = an appropriate substituent
R² = H or Me; R³ = H or OMe

SN2 Mechanism 1-Hydroxytryptamine 1-Hydroxytryptophan 1-(Indol-3-yl)indole Nucleophilic Substitution Reaction

173 Amine-induced Rearrangement of 4-Imino-4*H*-3,1-benzoxazines to 4-Quinazolines via Amidine Carboxamides

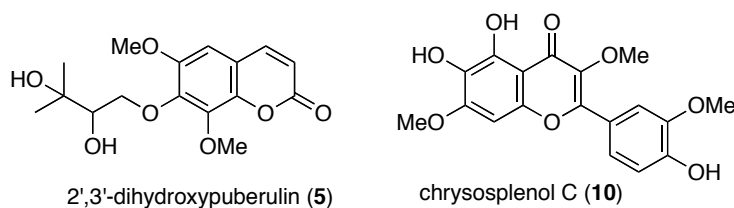
Hongbo Zeng and Barry B. Snider*



Quinazolinone Amidine 4-Imino-4*H*-3,1-benzoxazine Rearrangement

183 Chemical Constituents of *Pterocaulon redolens*

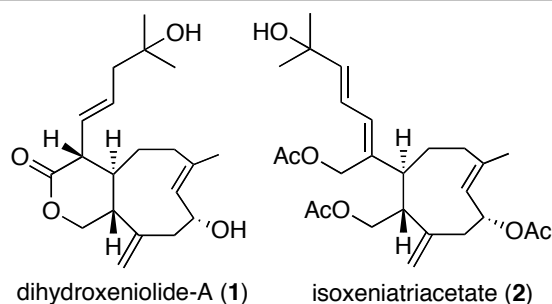
Toshiko Watanabe, Nijsiri Ruangrungsi, Mayuree Kanlayavattanakul, and Tsutomu Ishikawa*



Pterocaulon redolens Coumarin Flavonoid Antituberculosis Activity Cytotoxicity

189 Two New Xenicane Diterpenoids from Okinawan Soft Coral of the Genus, *Xenia*

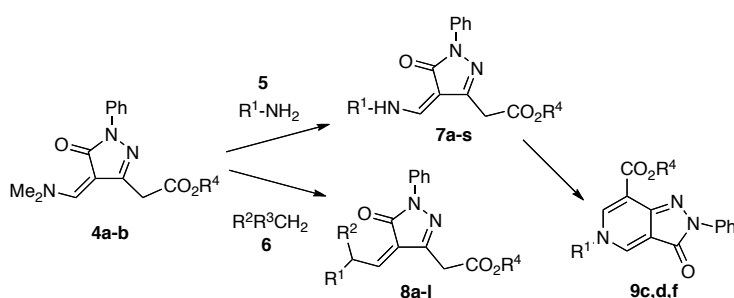
Kazuo Iguchi, Masakazu Nakano, Hiroaki Miyaoka, and Yasuji Yamada*



Xenia Xenicane Diterpene Marine Diterpenoid Soft Coral

197 Transformations of Alykl (5-Oxo-1-phenyl-4,5-dihydro-1*H*-pyrazol-3-yl)acetates into 5-Heteroaryl-3-oxo-2-phenyl-3,5-dihydro-2*H*-pyrazolo[4,3-*c*]pyridine-7-carboxylates

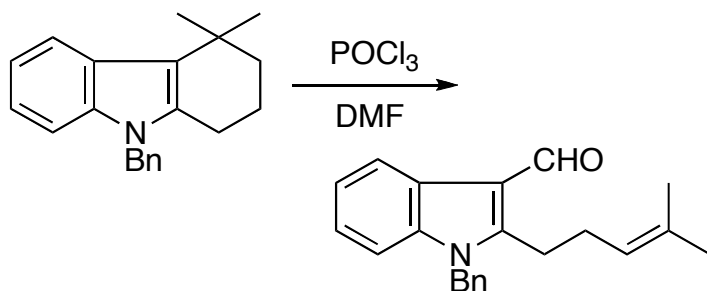
Ljubo Golic, Amalija Golobic, Jurij Svete, Renata Jakse, David Bevk, and Branko Stanovnik*



(4,5-Dihydro-1*H*-pyrazol-3-yl)acetate 3,5-Dihydro-2*H*-pyrazolo[4,3-*c*]pyridine-7-carboxylate Dimethylaminomethylidene Derivative

225 **The Vilsmeier-Haack Reaction on Methyl Homologues of *N*-Benzyltetrahydrocarbazole (Synthetic Studies on Indoles 52)**

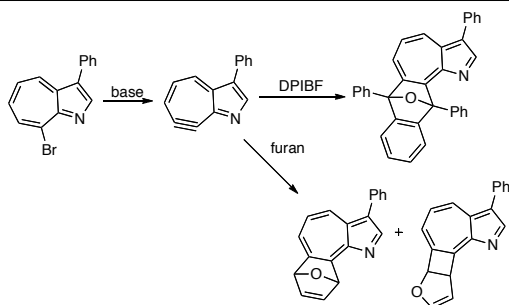
Yuusaku Yokoyama, Masanobu Tani, Hideharu Suzuki, Jin-ichi Muto, Tomiko Tashiro, Keiko Hosokawa, Hiroko Okahira, Hidehiko Ozawa, Akira Ishii, and Yasuoki Murakami*



Vilsmeier-Haack Reaction Formylation *N*-Alkyltetrahydrocarbazole Ring Cleavage Substitution on Benzene Ring

237 **Generation and Reactions of 3-Phenyl-7,8-dehydro-1-azaazulene**

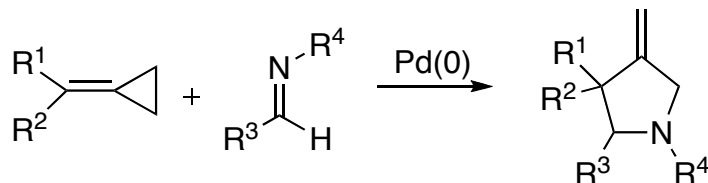
Akikazu Kakehi, Hiroyuki Fujii, Masao Yoshitsugu, and Noritaka Abe*



Aryne Azaazulene Cycloaddition X-Ray Structure Analysis Nucleophilic Substitution

247 **Synthesis of 3-Methylenepyrrolidines by Palladium-catalyzed [3+2] Cycloaddition of Alkylidenecyclopropanes with Imines**

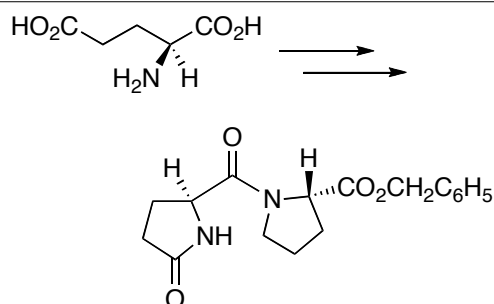
Shinichi Saito, Itaru Nakamura, Byoung Ho Oh, and Yoshinori Yamamoto*



Pyrrolidine Alkylidenecyclopropane Imine Palladium Cycloaddition

259 **Hexafluoroacetone as Protecting and Activating Reagent: An Efficient Strategy for Activation of Pyroglutamic Acid and Homologues**

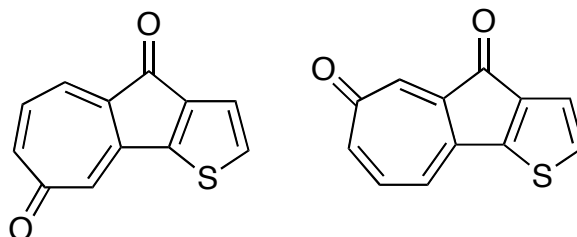
Susanna Fehn, Christoph Böttcher, Ksenia Pumpor, and Klaus Burger*



Hexafluoroacetone Glutamic Acid Pyroglutamic Acid α -Aminoacidic Acid 6-Oxopipercolic Acid

271 **Synthesis of Azulenequinones Fused with Thiophene and Furan**

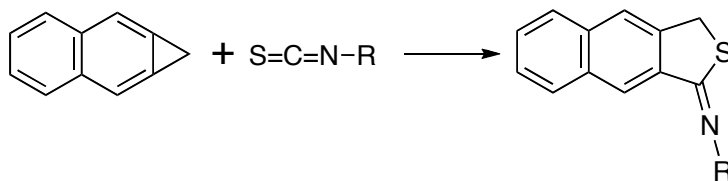
Tetsuo Nozoe, Masafumi Yasunami, Akikazu Kakehi, Akira Ohta, Hideyuki Matsuo, and Kunihide Fujimori*



Azulene Azulenequinone Quinone Thienoazulenequinone Furoazulenequinone

281 Reactions of Naphtho[*b*]cyclopropene with Isothiocyanate Derivatives to Give [2 π + 2 σ] Type Cycloadducts Using the Thiocarbonyl Moieties as 2 π Components

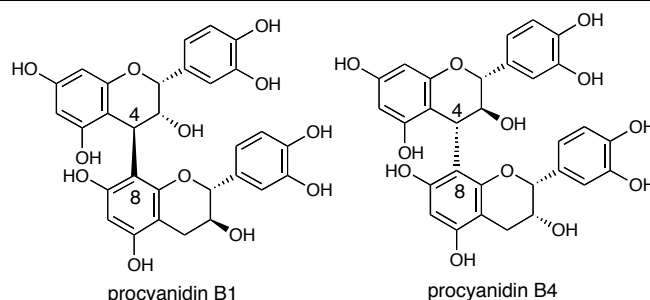
Masakazu Ohkita, Katsuhiko Ono, Tomoko Kuriyama, Naoko Ito, Satoshi Hagari, and Katsuhiko Saito*



[2 π + 2 σ] Reaction Cycloaddition Reaction Isothiocyanate Naphtho[*b*]cyclopropene Ytterbium Complex

287 Synthetic Studies of Proanthocyanidins. Part 4. The Synthesis of Procyanidin B1 and B4: TMSOTf-catalyzed Cyclization of Catechin and Epicatechin Condensation

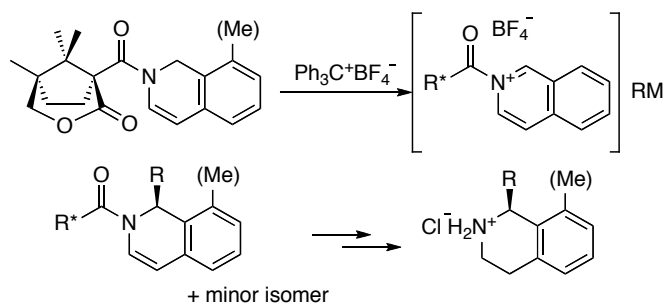
Makoto Ubukata, Akira Tanaka, Akiko Saito, and Noriyuki Nakajima*



Polyphenol Oligomeric Flavonoid Condensed Tannin Catechin Dimer B-Type Procyanidin

299 Asymmetric Synthesis of 1-Substituted 1,2,3,4-Tetrahydroisoquinolines by Asymmetric Electrophilic α -Amidoalkylation Reactions

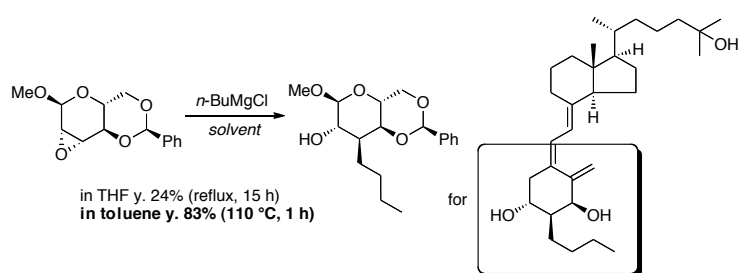
K. Polborn, Matthias Ludwig, and Klaus T. Wanner*



N-Acyliminium Ion Amidoalkylation Tetrahydroisoquinoline Asymmetric Synthesis Molecular Structure

327 Synthesis of A-Ring Synthon of 2 α -Substituted Vitamin D₃ Analogues Utilizing Grignard Reaction towards Methyl 2,3-Anhydro-4,6-*O*-benzylidene- α -D-mannopyranoside

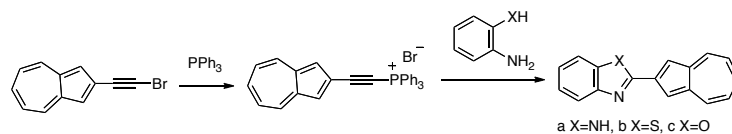
Hiroaki Takayama, Koshiro Hirasaka, Yasuhiro Yamamoto, Shinobu Honzawa, and Atsushi Kittaka*



Vitamin D Analogue Convergent Synthesis Grignard Reagent Epoxy Ring Opening Solvent Effect

339 Synthesis of Azulenylheterocyclic Compounds Using 2-(2-Azulenyl)ethynyltriphenylphosphonium Bromide

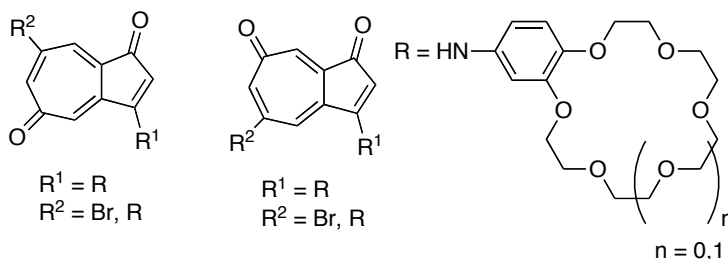
Kunihide Fujimori, Masafumi Yasunami, Takahiro Kubo, Masataka Watanabe, Masashi Nakashima, Shiro Moriyama, Shunji Ito, and Noboru Morita*



Azulene 2-(2-Azulenyl)-benzimidazole, -benzothiazole, -benzoxazole and -ethynyltriphenylphosphonium Bromide

349 Synthesis and the Extractability of Aminobenzocrown Ether Derivatives Connected by an Azulenequinone: Azulene-bis(aminobenzo-15-crown-5) Framework as a Useful Potassium Cation Capture

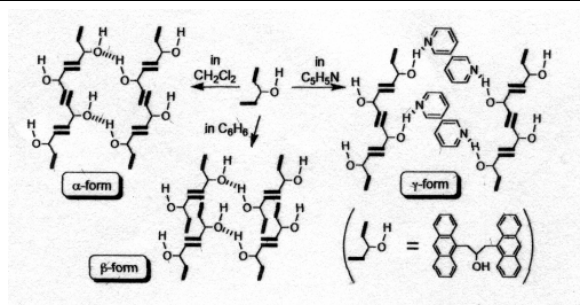
Josuke Tsunetsugu, Tsuyoshi Okano, Koichi Kaneko, and Ohki Sato*



Aminobenzocrown Ether Azulenequinone Nucleophilic Substitution Extractability Potassium Cation

357 Effect of Pyridine on Polymorphic Crystallization of 1,3-Di(9-anthryl)propan-2-ol. Does It Affect π/π Interaction or Hydrogen Bonding?

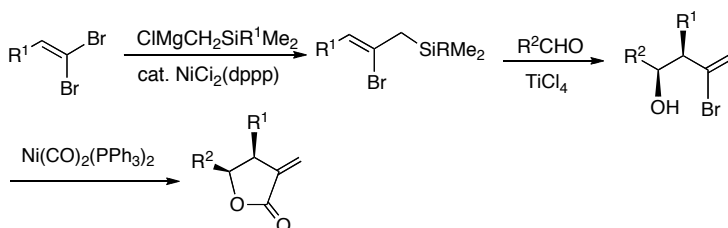
Naoki Tsukamoto, Kumiko Yamaguchi, Takashi Mori, Naoto Hayashi, and Kiyoshi Matsumoto*



Pyridine Polymorphism X-Ray Structure Hydrogen Bond π/π Stacking

365 Synthesis and Reaction of Bromoallylsilane: A Short Access to β,γ -Disubstituted α -Methylene- γ -butyrolactone

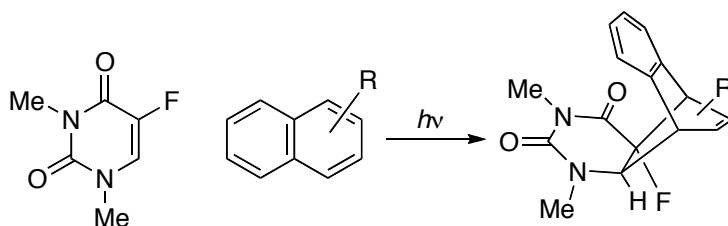
Masashi Ohmi and Jun'ichi Uenishi*



Bromoallylsilane α -Methylene- γ -butyrolactone Stereoselective Reaction Allylation Cross-Coupling Reaction

377 Facile Synthesis of 4a-Fluoro-5,10-ethenobenzo[*f*]quinazolines through 1,4-Photocycloaddition of 5-Fluoro-1,3-dimethyluracil with Substituted Naphthalenes

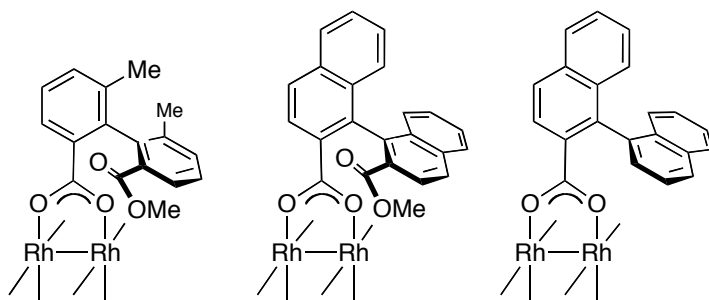
Yuji Kuge, Ken-ichi Nishijima, Kazuya Aizawa, Tetsuya Ishihara, Tatsuyuki Sugaoi, Kazue Ohkura, and Koh-ichi Seki*



Photocycloaddition 1,4-Cycloaddition 5-Fluoro-1,3-dimethyluracil Naphthalene Benzopyrimidobarrelene

391 Synthesis and Evaluation of Novel Dirhodium(II) Carboxylate Catalysts with Atropisomeric Biaryl Backbone

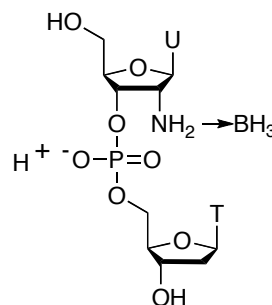
Motoo Shiro, Makoto Nakajima, Seiichi Nakamura, Masahiro Anada, Shinji Kitagaki, Ken Hikichi, and Shunichi Hashimoto*



Enantioselection Intramolecular C-H Insertion α -Diazoacetamide 2-Azetidinone Crystallography

403 Synthesis and Conformational Studies of 3'-(2'-Amino-borane-2'-deoxyuridyl)-5'-thymidyl Hydrogen Phosphate to be Used in the Construction of Oligonucleotide Sequences

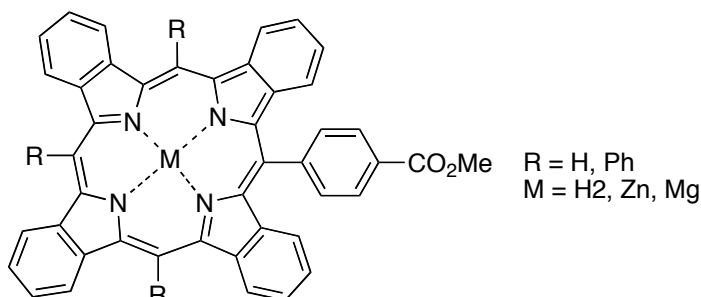
Federico Corelli, Stefano Alcaro, Claudia Mugnaini, Luigi Piero Stasi, and Maurizio Botta*



Boronated Nucleoside Digonucleotide Conformation BNCT Dimer

417 Syntheses and Spectroscopic Properties of Methylbenzoate Derivatives of Tetrabenzoporphyrin, Application to Circular Dichroism Studies

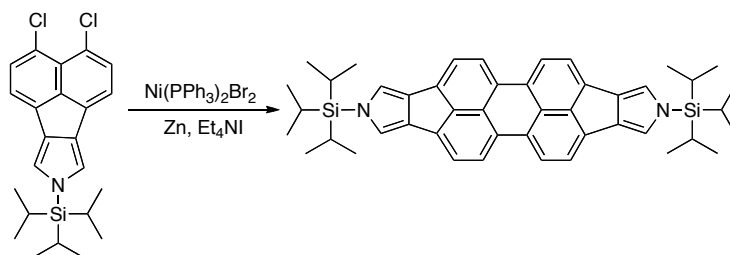
Nina Berova, Gloria Proni, Maryline Giraud-Roux, and Koji Nakanishi*



Red-shifted Chromophore UV-VIS Spectrum Fluorescence Molecular Recognition

433 A New Synthesis of Functional Dyes from 2-Acenaphtho[1,2-*c*]pyrrole

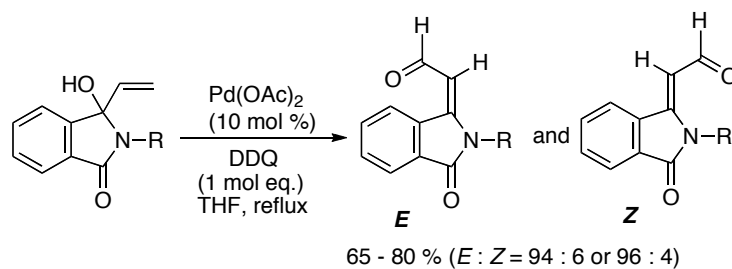
Takashi Murashima, Hidemitsu Uno, Tomoko Yano, Ryouhei Utsunomiya, Mitsuo Wada, Kenji Kuroki, Naomi Shimada, Takanori Yamamoto, and Noboru Ono*



Acenaphtho[1,2-*c*]pyrrole Polymer Porphyrin Boron Dipyrromethene Dye Fluorescence

449 A New Convenient Method for Synthesis of 3-(2-Oxoethylidene)isoindolin-1-ones Employing Palladium(II) Acetate and DDQ

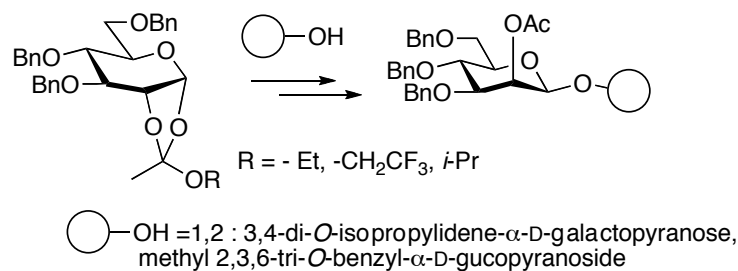
Shigeki Sano, Takashi Ooi, Masunori Kumazawa, Satoru Tanaka, Akiharu Ueki, and Yoshimitsu Nagao*



Dehydration Hydration Oxidation *E*-Selectivity Oxoethylidene

459 Stereospecific Access to β -Mannosides from Glucose-derived 1,2-Orthoesters as Glycosyl Donors

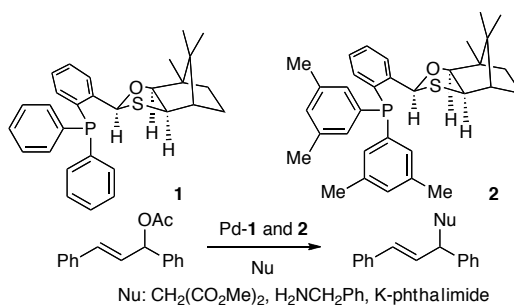
Mayumi Yamakawa, Mina Kobayashi, Yusuke Watanabe, Yugo Hosokawa, and Eisuke Kaji*



β -Mannoside Oligosaccharide 1,2-Orthoester β -Glycosylation S_N2 Mechanism

471 New Chiral Phosphinoxathiolane Ligands for Palladium-catalyzed Asymmetric Allylations

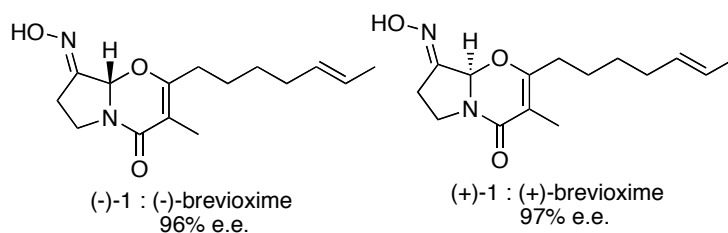
Reiko Fujita, Ryo-suke Takahashi, Yuko Okuyama, and Hiroto Nakano*



Carbon-Carbon Bond Formation Pd-catalyzed Allylation S-P Typed Ligand Phosphinoxathiolane Chiral Ligand

481 Synthesis of Both Enantiomers of Brevioxime and Determination of Its Absolute Configuration

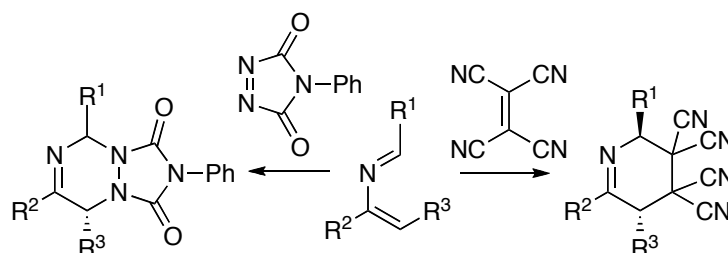
Takeshi Kitahara, Yutaka Nishimura, and Ken Ishigami*



Brevioxime Anti-JH Agent Amino Cyclization Insecticide Absolute Configuration

493 [4+2] Cycloaddition Reactions of Neutral 2-Azadienes with Electron-deficient Dienophiles

José María Ezpeleta, Cristina Tobillas, Gloria Rubiales, Concepción Alonso, and Francisco Palacios*

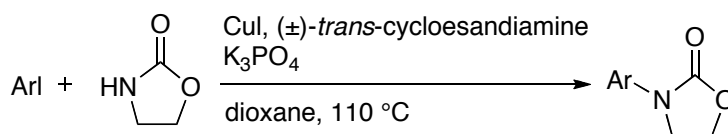


Diels-Alder Reaction Dimerization Tetrahydropyridine Pyridine

■ NOTES

505 Copper-catalyzed *N*-Arylation of 2-Oxazolidinones. An Expedient Route to Toloxatone

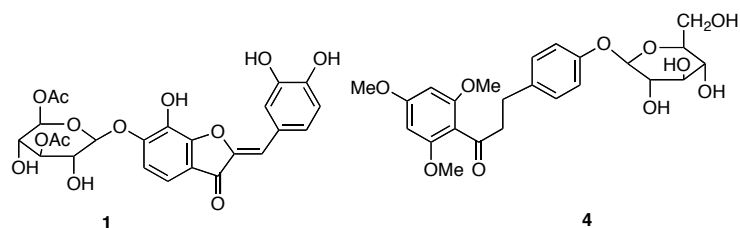
Antonella Goggiamani, Giancarlo Fabrizi, and Sandro Cacchi*



Copper Catalysis 2-Oxazolidinone *N*-Arylation Aryl Iodide

557 **A New Aurone Glucoside and a New Chalcone Glucoside from *Bidens bipinnata* Linne**

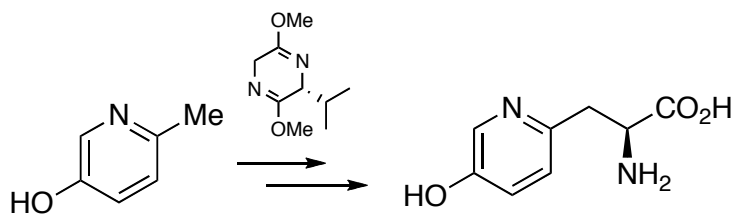
Yoshihito Okada, Hai-Xue Kuang, Shuai Li, and Toru Okuyama*



Bidenoside A Bidenoside B Asterceae

563 **A Stereocontrolled Synthesis of (*R*)- and (*S*)-Azatyrosines**

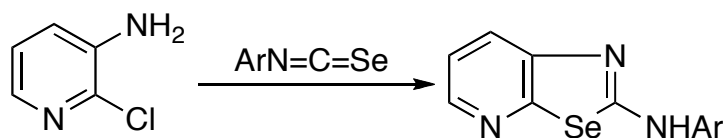
Enrica Pizzatti, Concetta La Rosa, Giuseppe Cremonesi, and Piero Dalla Croce*



Schöllkopf Reagent Amino Acid Stereoselectivity Diphenyl Phosphate Derivative Enolate Alkylation

569 **Selenium-containing Heterocycles from Isoselenocyanates: Synthesis of 2-Arylamino-selenazolo-[5,4-*b*]pyridines**

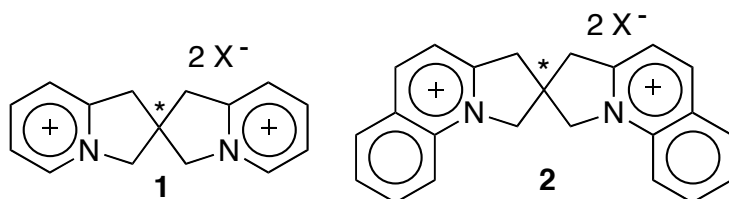
Anthony Linden, Plamen K. Atanassov, and Heinz Heimgartner*



Selenaheterocycle Isoselenocyanate Nucleophilic Aromatic Substitution 3-Amino-2-chloropyridine

581 **Design and Synthesis of Novel Spiro Pyridinium and Quinolinium Salts**

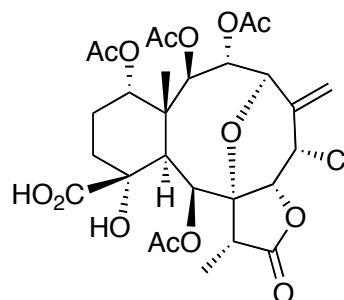
Shinobu Takizawa, Mahesh L. Patil, and Hiroaki Sasai*



Spiro Pyridinium Salt Spiro Chirality *N*-Alkylation Phase Transfer Catalyst Quaternary Ammonium Salt

587 **Juncin N, a New Briarane-Type Diterpenoid from the Gorgonian Coral *Junceella juncea***

Mei-Ru Lin, Guey-Horng Wang, Shwu-Li Wu, Jyh-Horng Sheu, Lee-Shing Fang, Tung-Yung Fan, and Ping-Jyun Sung*

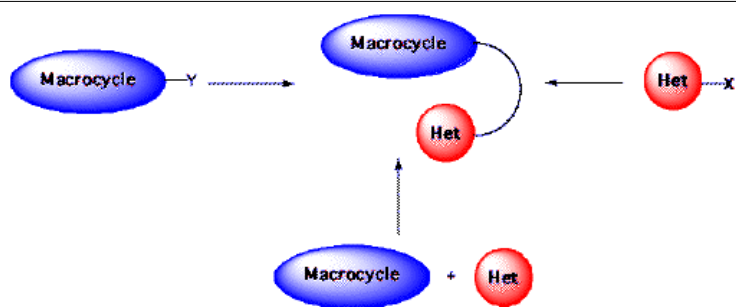


Juncin Briarane Diterpenoid Gorgonian *Junceella*

REVIEWS

593 Modification of Macrocyclic Compounds by Azaheterocycles

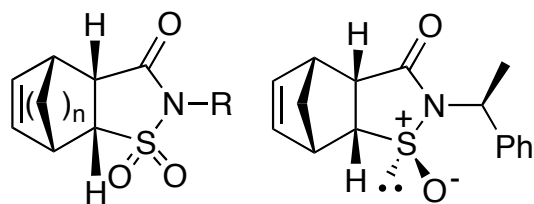
Dmitry G. Beresnev, Gennady L. Rusinov, Nadezhda A. Itsikson, and Oleg N. Chupakhin*



Azaheterocycle Crown Ether Podand Calixarene Resorcinarene

639 $[\pi 4+\pi 2]$ Cycloadditions of Isothiazole Derivatives

Ludmila L. Rodina, Anja Siegemund, Dina Gidon, and Bärbel Schulze*



Diels-Alder Reaction 1,3-Dipolar Cycloaddition Isothiazol 1-Oxide and 1,1-Dioxide γ -Sultam Vinyl π -Tolylsulfilimine

INDEXES

663 Author Index
375 Subject Index