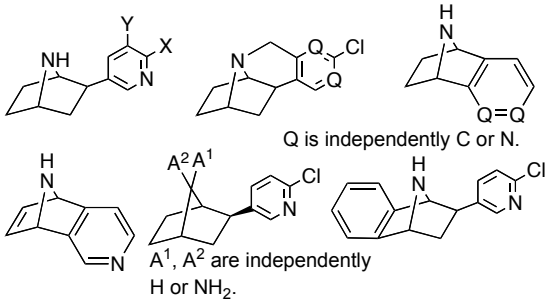
■ MEMORY OF DR. JOHN W. DALY

■ MEMOIRES

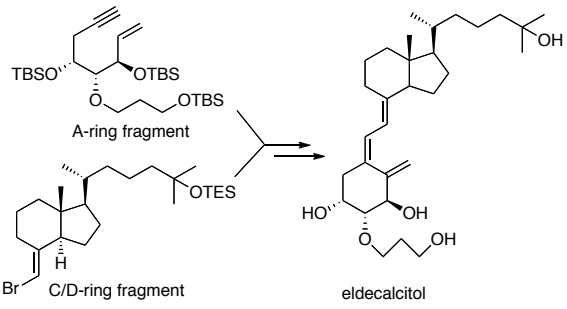
■ **REVIEWS**



Epibatidine Analog Nicotine Pharmcophore Radioligand Agonist/Antagonist

Clausena excavata Burm.f (Rutaceae)

Clausena excavata Coumarin Carbazole Alkaloid Limonoid Anti-HIV

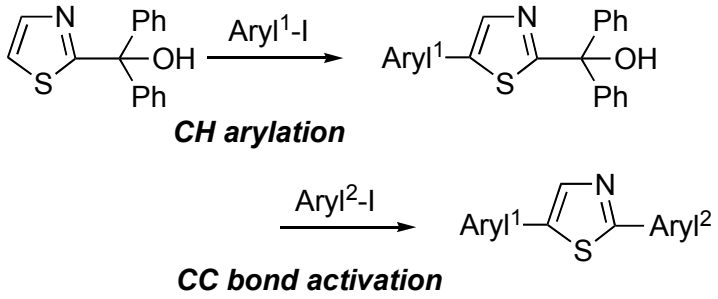


Active Vitamin D_3 Analog Calcitriol 1α,25-Dihydroxy-2β-(3-hydroxypropoxy)vitamin D_3 Eldecalcitol Trost Convergent Methodology

■ COMMUNICATIONS

303 **Palladium-Catalyzed Arylation at C-H and C-C Bonds of Masked Thiazole Derivatives**

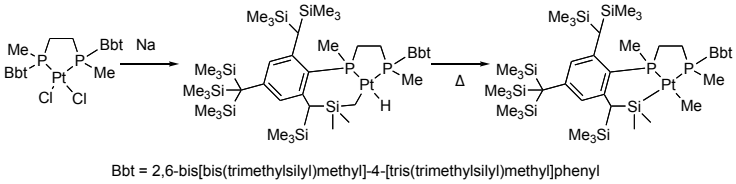
Hirotoshi Furukawa, Suguru Matsumura, Atsushi Sugie, Daiki Monguchi, and Atsunori Mori*



Thiazole C-C Bond Activation CH Arylation Masked Group Palladium Catalyst

311 **Intramolecular Si-C and C-H Bond Activation in a Platinum Complex Leading to the Formation of the Platinacycles**

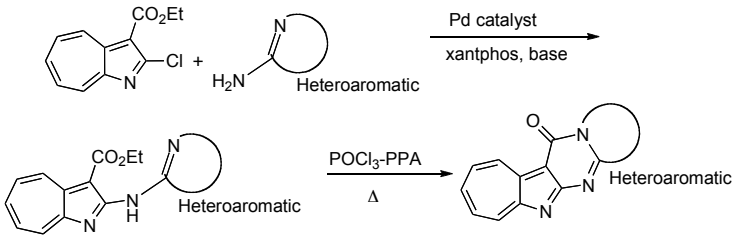
Norihiro Tokitoh,* Masahiro Kawai, Nobuhiro Takeda, and Takahiro Sasamori



Platinacycle Si-C Bond Activation C-H Bond Activation Pt(0) Complex Bulky Bisphosphine Ligand

319 **Palladium-Catalyzed Heteroarylamination of Ethyl 2-Chloro-1-azaazulene-3-carboxylate and Annulation of Heteroarylamino-1-azaazurenes**

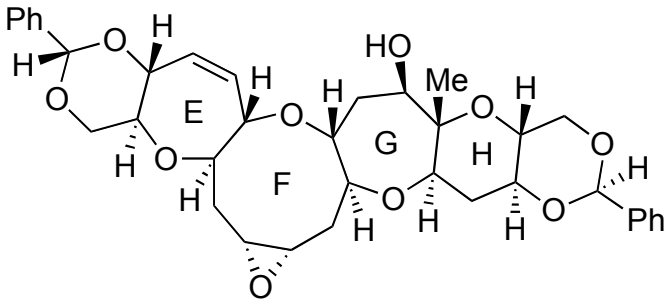
Kazuya Koizumi, Kunitaka Shimabara, Aya Takemoto, Shinya Yamazaki, Noriko Yamauchi, Hiroyuki Fujii, Masaki Kurosawa, Takeo Konakahara, and Noritaka Abe*



Palladium-Catalyzed Heteroarylamination Heteroarylamino-1-azaazulene Annulation Fused 1-Azaazulene Anticancer Activity

325 **Design and Synthesis of a Conformationally Restricted Analogue of the EFGH-Ring System of Ciguatoxin**

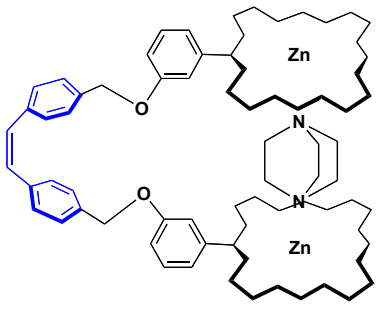
Nayoung Lee, Masayuki Inoue,* and Masahiro Hirama*



Conformation Dynamic NMR Spectrometry Medium-Sized Ring Epoxidation Natural Product

331 **High Binding Affinity of DABCO with Porphyrin in a Porphyrin-*cis*-Stilbene-Porphyrin Triad**

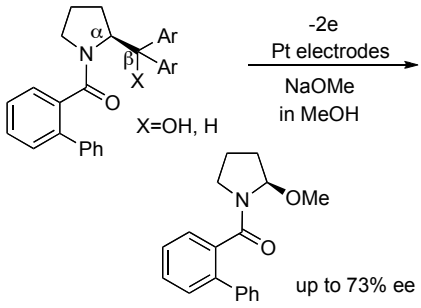
Md. Wahadoszamen, Takashi Yamamura, Atsuya Momotake, Yoshinobu Nishimura, and Tatsuo Arai*



Porphyrin Stilbene DABCO Host-Guest Chemistry Association Constant

339 **Memory of Chirality in the Electrochemical Oxidation of *N*-*o*-Phenylbenzoylated Prolinols**

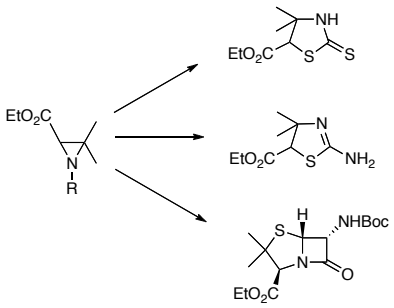
George Ng'aNg'a Wanyoike, Yoshihiro Matsumura, and Osamu Onomura*



Electrochemical Oxidation Memory of Chilarity C-C Bond Cleavage Chiral *N,O*-Acetal Prolinol

347 **Regioselective Ring Expansion of 3,3-Dimethylaziridin-2-carboxylate and a Photochemical Entry to the Penem Nucleus**

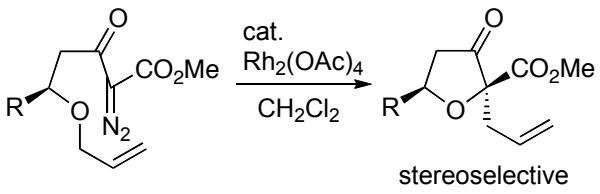
James D. White* and Takuya Furuta



Thiazolidine Hydantoin Thiazoline Thioaldehyde Penicillinate

353 **Stereoselective Synthesis of 5-Substituted 2-Allyl-3-oxotetrahydrofuran-2-carboxylates Using Rhodium(II)-Catalyzed Oxonium Ylide Formation–[2,3] Shift**

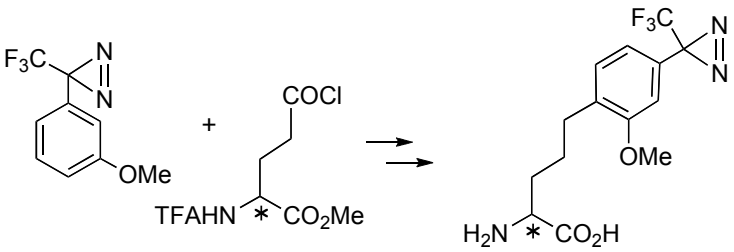
Takayuki Yakura,* Katsuaki Matsui, Kazumasa Matsuzaka, and Masayuki Yamashita



Dirhodium(II) Tetraacetate 5-Allyloxy-2-diazo-3-keto Ester Oxonium Ylide Formation-[2,3] Shift Heliespirone 3-Oxotetrahydrofuran

359 **Effective Synthesis of Optically Active 3-Phenyl-3-(3-trifluoromethyl)diazirinyl Bishomophenylalanine Derivatives**

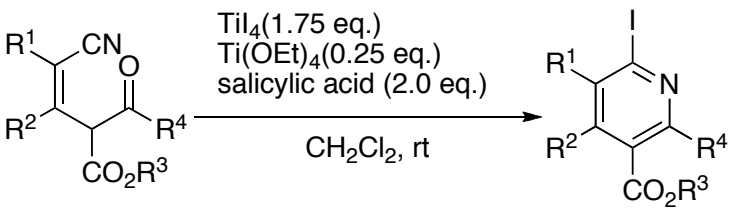
Yuta Murai, Yasumaru Hatanaka, Yuichi Kanaoka, and Makoto Hashimoto*



Diazirine Friedel-Crafts Reaction Amino Acid Photoaffinity Labeling Triflic Acid

365 **Titanium Tetraiodide Induced Cyclization of 2-(2-Cyanoalk-1-enyl)-β-keto Esters into 2-Iodopyridines**

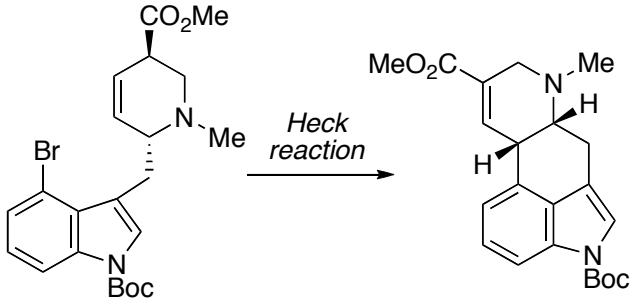
Iwao Hachiya, Yushi Minami, and Makoto Shimizu*



Titanium Tetraiodide Cyclization Iodopyridine β-Keto Ester Alkynyl Ketone

373 **Synthetic Studies toward (+)-Lysergic Acid: Construction of the Tetracyclic Ergoline Skeleton**

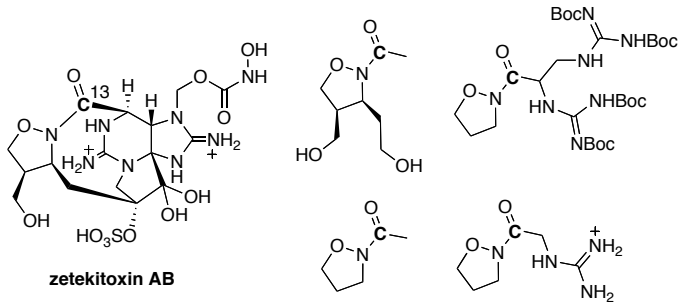
Tohru Inoue, Satoshi Yokoshima, and Tohru Fukuyama*



Alkaloid Indole Electrocyclic Reaction Heck Reaction Carbonylation

379 **Syntheses of *N*-Acylisoxazolidine Derivatives, Related to a Partial Structure Found in Zetekitoxin AB, a Golden Frog Poison**

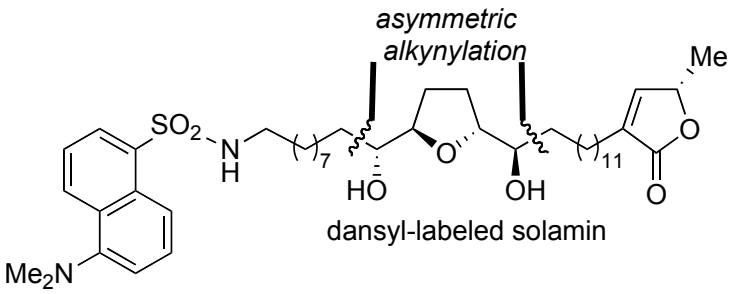
Toshio Nishikawa,* Daisuke Urabe, and Minoru Isobe



1,3-Dipolar Cycloaddition ^{13}C NMR Spectrum Guanidine Nitrile Oxide *N*-Alkoxyamide

387 **Convergent Synthesis of Fluorescence Labeled Solamin**

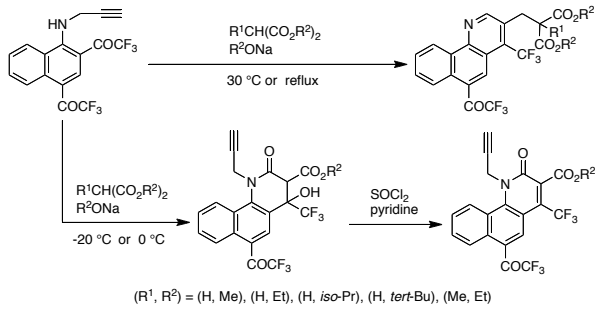
Naoto Kojima, Takekuni Morioka, Masahiro Yano, Yuki Suga, Naoyoshi Maezaki, and Tetsuaki Tanaka*



Annonaceous Acetogenin Fluorescent Labeled Analogue Convergent Synthesis Antitumor Activity Asymmetric Alkynylation

395 **An Efficient and Selective Synthetic Method for Fluorine-Containing Benzo[*h*]quinolines and 1*H*-Benzo[*h*]quinolin-2-ones from *N*-Propargyl-2,4-bis(trifluoroacetyl)-1-naphthylamine**

Etsuji Okada,* Dai Shibata, Norikado Tsukushi, Masato Dohura, and Maurice Médebielle



Benzo[*h*]quinoline 1*H*-Benzo[*h*]quinolin-2-one Fluorine Compound *N*-Propargyl-1-naphthylamine Dialkyl Malonate

403 **Total Synthesis and the Confirmation of the Revised Structures of Botcinins A and B**

Hiroki Fukui, Keisuke Tsuji, Yuma Umezaki, and Isamu Shiina*

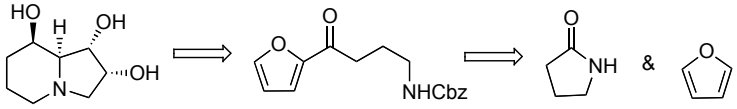
	R^1	R^2			R^1	R^2
botcinin A (**1**)	^{n}Bu	Ac	←	3-*O*-acetyl-2-epibotcinolide (**5**)	^{n}Bu	Ac
botcinin B (**2**)	^{n}Hex	Ac	←	3-*O*-acetyl-2-epihomobotcinolide (**6**)	^{n}Hex	Ac
botcinin E (**3**)	^{n}Bu	H	←	2-epibotcinolide (**7**)	^{n}Bu	H
homobotcinin E (**4**)	^{n}Hex	H	←	2-epihomobotcinolide (**8**)	^{n}Hex	H

Botcinin A Botcinin B Botcinolide Total Synthesis Structural Revison

■ **PAPERS**

521 **De Novo Asymmetric Approach to 8a-*epi*-Swainsonine**

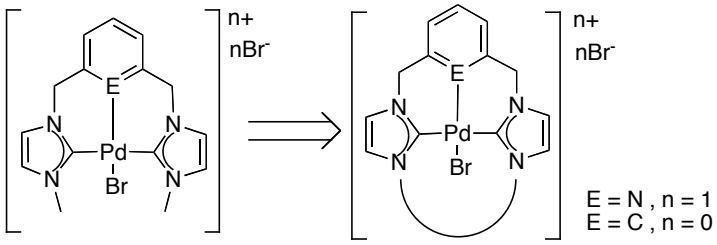
Jason A. Coral, Haibing Guo, Mingde Shan, and
George A. O'Doherty*



De Novo Asymmetric Synthesis Noyori Reduction Acylfuran Swainsonine 8a-*epi*-Swainsonine

531 **Synthesis, Structure and Catalytic Activity of Macrocyclic NHC Pd Pincer Complexes**

Noriaki Watarai, Hiroyasu Kawasaki, Isao Azumaya,
Ryu Yamasaki, and Shinichi Saito*

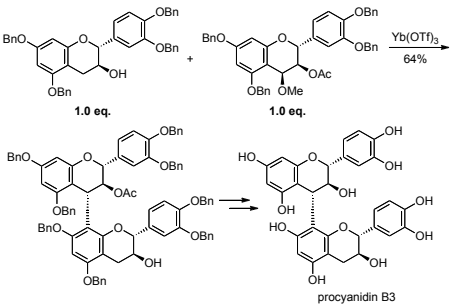


macrocyclic Pd pincer complexes

N-Heterocyclic Carbene Palladium Complex Macrocycle Chirality Palladium Catalyst

549 **An Efficient Synthesis of Procyanidins Using Equimolar Condensation of Catechin and/or Epicatechin Catalyzed by Ytterbium Triflate**

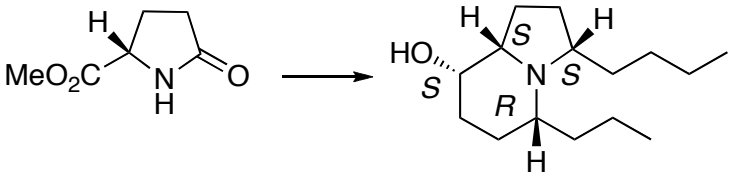
Yoshihiro Mohri, Masayoshi Sagehashi, Taiji Yamada,
Yasunao Hattori, Keiji Morimura, Yasunori Hamauzu,
Tsunashi Kamo, Mitsuru Hirota, and Hidefumi Makabe*



Polyphenol Lewis Acid Rare Metal Antioxidant Condensation

565 **Synthesis, Determination of the Absolute Stereochemistry, and Evaluations at the Nicotinic Acetylcholine Receptors of a Hydroxyindolizidine Alkaloid from the Ant *Myrmicaria melanogaster***

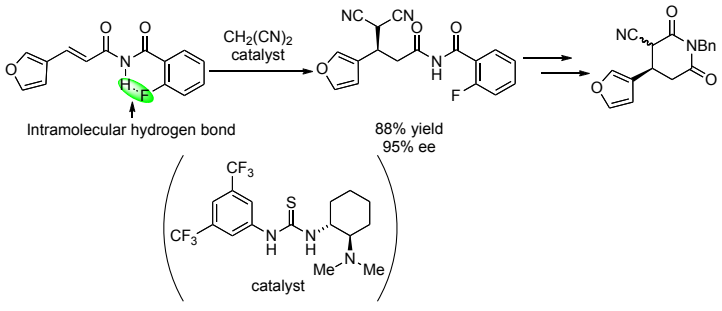
Dejun Zhou, Naoki Toyooka,* Hideo Nemoto,
Kaoru Yamaguchi, Hiroshi Tsuneki, Tsutomu Wada,
Toshiyasu Sasaoka, Hideki Sakai, Yasuhiro Tezuka,
Shigetoshi Kadota, Tappey H. Jones, H. Martin Garraffo,
Thomas F. Spande, and John W. Daly



Hydroxyindolizidine Alkaloid *Myrmicaria melanogaster* Neuronal Nicotinic Acetylcholine Receptor Martin's Protocol α4β2 Nicotinic Receptor

573 **Asymmetric Synthesis of 4-Substituted 2,6-Dioxopiperidine-3-carbonitrile by Using Thiourea-Catalyzed Asymmetric Michael Addition**

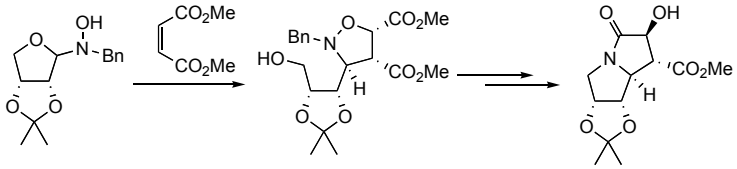
Tsubasa Inokuma, Yuuki Nagamoto, Shota Sakamoto,
Hideto Miyabe, Kiyosei Takasu, and Yoshiji Takemoto*



Michael Reaction Asymmetric Organocatalysis Hydrogen Bond Bifunctional Thiourea Piperidine

883 ***N*-Glycosylhydroxylamines as Masked Polyhydroxylated Chiral Nitrones in Cycloaddition Reactions: An Access to Pyrrolizidines**

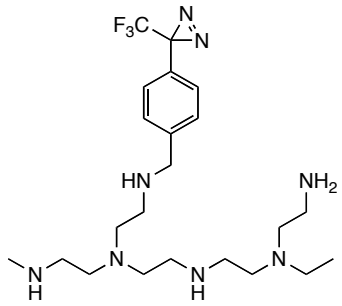
Marco Marradi, Massimo Corsi, Stefano Cicchi, Marco Bonanni, Francesca Cardona, and Andrea Goti*

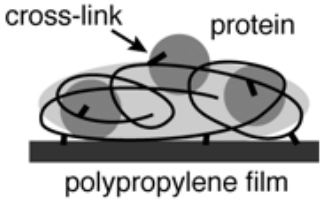


Nitrogen Heterocycle Alkaloid Glycosidase Inhibitor Solvent Free Condition Carbohydrate

897 **Hydrophilic Diazirine Polymer for One-Step Photo-Fabrication of Proteins on Polypropylene Surface**

Takenori Tomohiro, Norie Tachi, Yusuke Azuma, and Yasumaru Hatanaka*

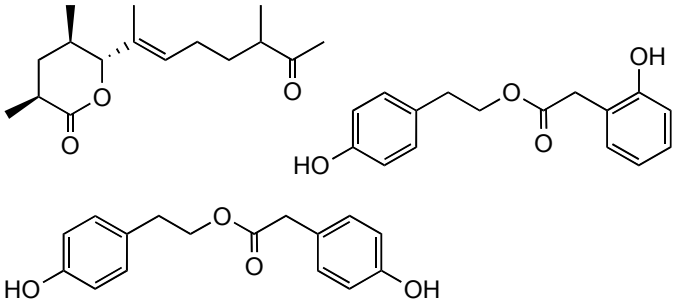




Photoactivatable Polymer Surface Fabrication Diazirine Cross-Link Protein Immobilization

909 **Antineoplastic Agents. 575. The Fungus *Aspergillus phoenicis***

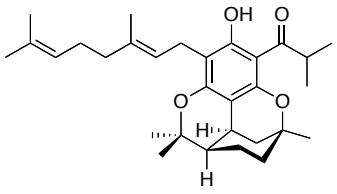
George R. Pettit,* Jiang Du, Robin K. Pettit, John C. Knight, and Dennis L. Doubek



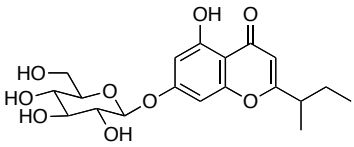
Lactone Aromatic Alcohol Cancer Cell Inhibition Fungal Metabolite

917 **Petiolins D and E, Phloroglucinol Derivatives from *Hypericum pseudopetiolatum* var. *kiusianum***

Naonobu Tanaka, Takaaki Kubota, Haruaki Ishiyama, Yoshiki Kashiwada, Yoshihisa Takaishi, Junji Ito, Yuzuru Mikami, Motoo Shiro, and Jun'ichi Kobayashi*



petiolin D

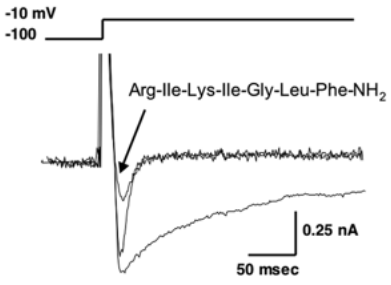


petiolin E

Hypericum pseudopetiolatum var. *kiusianum* Phloroglucinol Derivative Chromone Glucoside Clusiaceae

925 **A Synthetic Approach to Develop Peptide Inhibitors Selective for Brain-Type Sodium Channels on the Basis of Pompilidotoxin Structure**

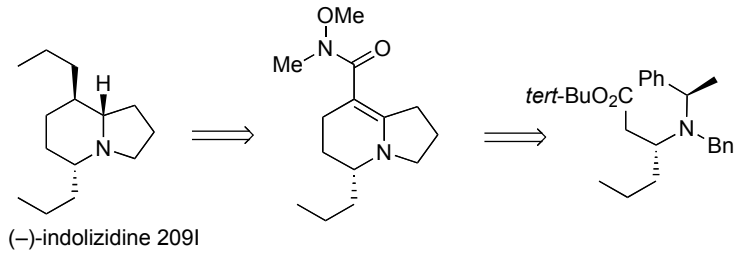
Sawana Yokote, Ritsuko Setoguchi, Eisuke Shimizu, Naoki Mishima, Kohichi Kawahara, Akihiko Kuniyasu, Tetsuya Shirasaki, Kazuo Takahama, Katsuhiro Konno, Nobufumi Kawai, Kaoru Yamaoka, Eiji Kinoshita, and Hitoshi Nakayama*



Pompilidotoxin Analog Peptide Inhibitor Brain-Type Sodium Channel Brain Ischemia Whole-Cell Clamp

935 **Formal Synthesis of (5*R*,8*R*,8a*S*)-Indolizidine 209I *via* Enaminones Incorporating Weinreb Amides**

Charles B. de Koning, Joseph P. Michael,* and Darren L. Riley

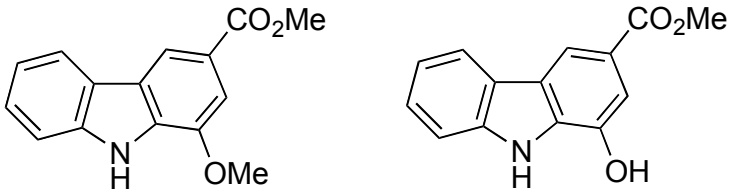


(–)-indolizidine 209I

Indolizidine Alkaloid Enaminone Weinreb Amide Sulfide Contraction Vinylogous Urea

955 **A New Synthetic Route to the 1-Oxygenated Carbazole Alkaloids, Mukonine and Clausine E (Clauzoline I)**

Shigeo Tohyama, Tominari Choshi, Shuhei Azuma, Haruto Fujioka, and Satoshi Hibino*

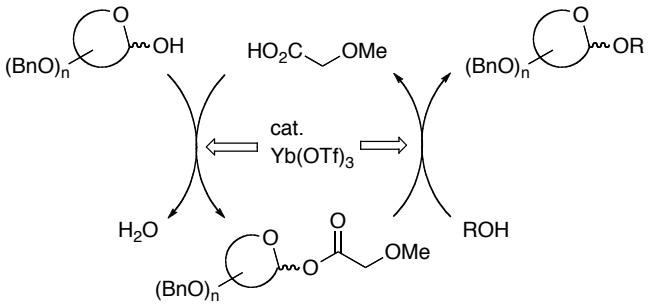


mukonine clausin E (clauzoline I)

Mukonine Clausine E 1,3-Disubstituted Carbazole Alkaloid Total Synthesis Electrocyclic Reaction

967 **Tandem Catalysis Strategy for Direct Glycosylation of 1-Hydroxy Sugars. Methoxyacetic Acid as an Effective Catalytic Mediator**

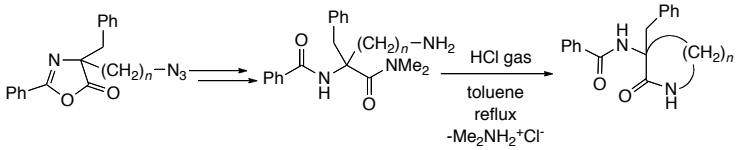
Yasuo Yokoyama,* Takeshi Hanamoto, Shoko Suzuki, Kosuke Shimizu, Hiroshi Furuno, and Junji Inanaga*



Dehydrative Glycosidation Selective Esterification Lanthanoid Triflate Rare Earth Catalyst Environmentally-Friendly Waste-Free Process

985 **Synthesis of Macrocyclic Lactams from 2-(ω-Aminoalkyl)-2-benzoylamino-3-phenyl-*N*,*N*-dimethylpropanamides *via* Direct Amide Cyclization**

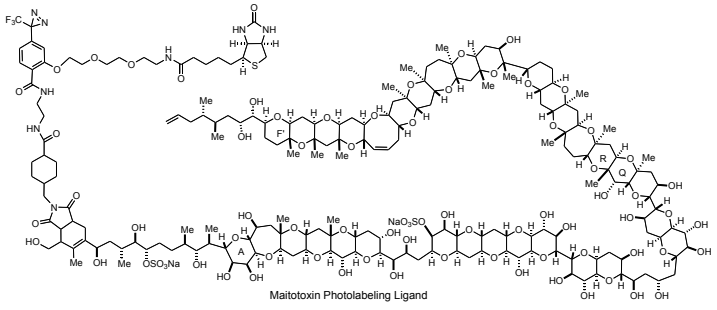
Stephan P. Fritschi, Anthony Linden, and Heinz Heimgartner*



1,3-Oxazol-5(4*H*)-one Alkylation Cyclization Lactam X-Ray Crystallography

1007 **Maitotoxin-Photoactive Probe Binds to Membrane Proteins in Blood Cells**

Keiichi Konoki,* Masaki Hashimoto, Kaori Honda, Kazuo Tachibana, Rie Tamate, Futoshi Hasegawa, Tohru Oishi, and Michio Murata*

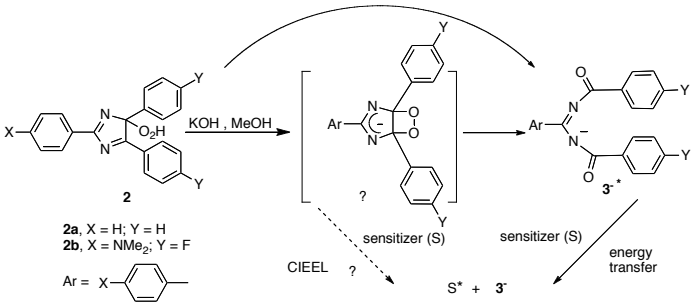


Maitotoxin Photolabeling Ligand

Maitotoxin Polyether Compound Photoaffinity Labelling Brevetoxin Yessotoxin

1019 **An Energy Transfer Chemiluminescent Reaction of Lophine Peroxides**

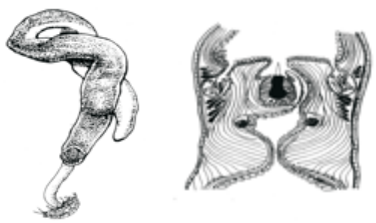
Masaru Kimura,* Kaoru Akaki, Yasunobu Mishima, Hiroyuki Araki, and Takeshi Fukai



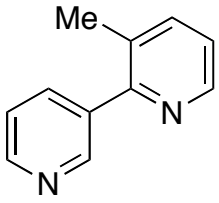
Chemiluminescence Energy Transfer Electron Transfer Imidazole Peroxide

1025 **Synthesis and Spectroscopic Comparison of the Eight Methyl-2,3'-bipyridyls and Identification of a Hoplonemertine Alkaloid as 3-Methyl-2,3'-bipyridyl**

William R. Kem,* James Rocca, H. Martin Garraffo, Thomas F. Spande, John W. Daly, and Ferenc Soti



Chevron Hoplonemertine

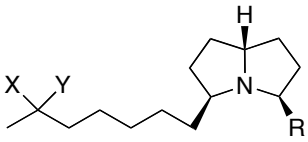


3-Me-2,3'-bipyridyl

Pyridyl Alkaloid Bipyridyl Nemertine Marine Natural Product Bipyridyl Synthesis

1043 **Catalytic Asymmetric Synthesis of Both Enantiomers of Pyrrolizidines 223H', 239K', 265H', and 267H' Found in Madagascan Frogs (*Mantella*) and Their Affinities for Nicotinic Acetylcholine Receptor**

Yukako Saito, Seiki Takahashi, Nehad Azer, Amira T. Eldefrawi, Mohyee E. Eldefrawi, and Hiroki Takahata*

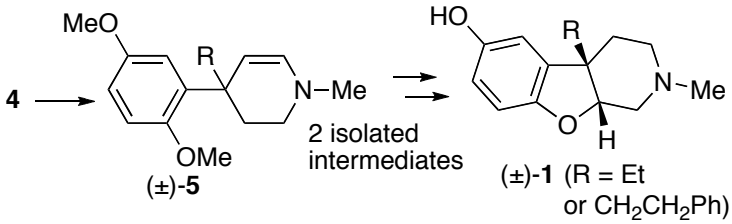


1 R = Me; X = Y = H pyrrolizidine 223H'
2 R = Me; X or Y = OH; Y or X = H pyrrolizidine 239K'
3 R = *n*-Pr; X-Y = O pyrrolizidine 265H'
4 R = *n*-Pr; X or Y = OH; Y or X = H pyrrolizidine 267H'

Catalytic Asymmetric Synthesis Pyrrolizidine Madagascan Frog Affinity for Nicotinic Acetylcholine Receptor Both Enantiomers

1061 **Probes for Narcotic Receptor Mediated Phenomena. 38. An Expeditious Synthesis of *rac-cis*-4a-Ethyl-2-methyl-1,2,3,4,4a,9a-hexahydrobenzofuro[2,3-*c*]pyridin-6-ol and *rac-cis*-2-Methyl-4a-phenethyl-1,2,3,4,4a,9a-hexahydrobenzofuro[2,3-*c*]pyridin-6-ol**

Malliga R. Iyer, Jeffrey R. Deschamps, Arthur E. Jacobson, and Kenner C. Rice*

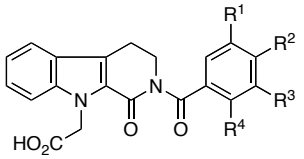


cis-Benzofuropyridin-6-ol and Analogue Synthesis Structurally Rigid Compound C-4a Phenethyl Analogue X-Ray Crystallographic Structure

■ NOTES

1073 **Design, Synthesis, and Biological Effect of (1-Oxo-1,2,3,4-tetrahydro-β-carbolin-9-yl)acetic Acids as Inhibitor of Aldose Reductase 2**

Naoki Toyooka,* Daisuke Takeda, Yuka Minoshima, Atsushi Kato, and Isao Adachi

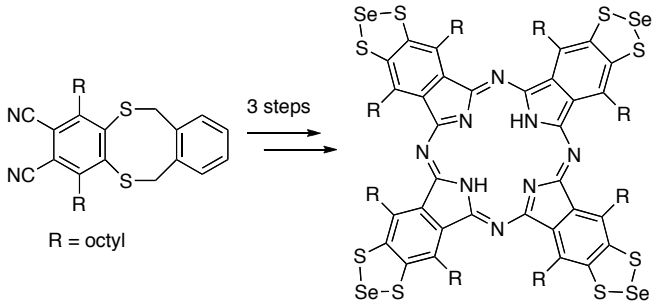


4a: R^1, R^3, R^4 = H; R^2 = F — IC_{50} for ALR2 (21.7 μM)
4b: R^1, R^3, R^4 = H; R^2 = Br — IC_{50} for ALR2 (34.6 μM)
4c: R^1, R^3, R^4 = H; R^2 = OMe — IC_{50} for ALR2 (35.8 μM)
4d: R^1, R^3 = H; R^2, R^4 = F — IC_{50} for ALR2 (45.3 μM)
4e: R^1, R^3 = F; R^2, R^4 = H — IC_{50} for ALR2 (34.5 μM)

Polyol Pathway Aldose Reductase 2 Rhetsinine 1-Oxo-1,2,3,4-tetrahydro-β-carbolin-9-ylacetic Acid Aldehyde Dehydrogenase

1081 **Preparation and Electrochemical and Optical Properties of Tetrakis(dithiaselenolo)phthalocyanine**

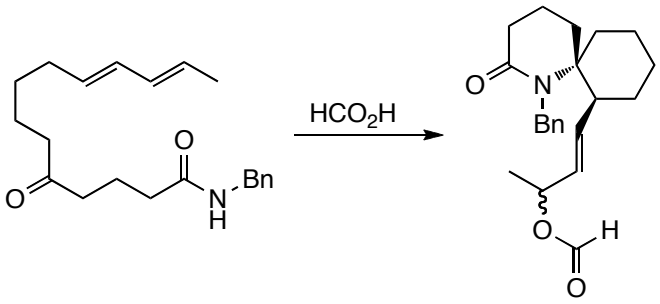
Takeshi Kimura,* Toshiharu Namauo, Akio Yamakawa, and Yutaka Takaguchi



Phthalocyanine Dithiaselenole Ring Protonation UV-Vis Spectra NMR Spectra

1087 **Spirocyclization of Six-Membered Cyclic *N*-Acyliminium Ions with a Conjugated Diene**

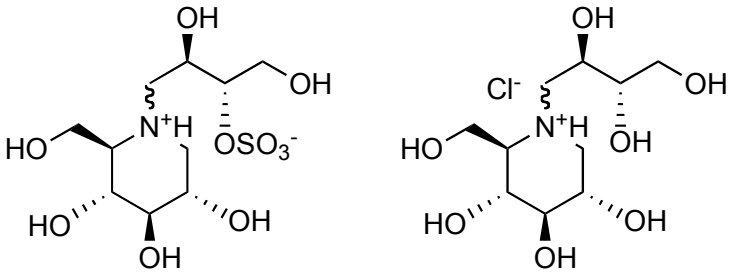
Hideki Abe, Yoshinori Muramatsu, Kazuhiro Watanabe, Sakae Aoyagi,* Chihiro Kibayashi, and Tadashi Katoh*



Spirocyclization *N*-Acyliminium Ion Cunjugated Diene Formic Acid Endocyclic Amide Carbonyl Group

1093 **Syntheses and Evaluation as Glycosidase Inhibitor of 1,5-Dideoxy-1,5-imino-D-glucitol Analogs of Salacinol, a Potent α-Glucosidase Inhibitor Isolated from Ayurvedic Medicine, *Salacia reticulata***

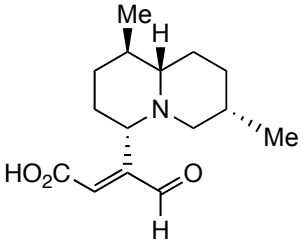
Genzoh Tanabe, Takanori Hatanaka, Toshie Minematsu, Hisashi Matsuda, Masayuki Yoshikawa, and Osamu Muraoka*



Salacinol Deoxynojirimycin *N*-Alkylated 1,5-Dideoxy-1,5-imino-D-glucitol Ammonium Sulfate Inner Salt α-Glucosidase Inhibitor

1101 **Nupharic Acid, a New Sesquiterpene Alkaloid from *Nuphar japonicum***

Noriyuki Kogure, Akiko Nozoe, Mariko Kitajima, and Hiromitsu Takayama*



nupharic acid

Nuphar japonicum Alkaloid Nupharic Acid Sesquiterpene Structure Elucidation

Contributors To This Issue