

■ FOREWORD

- 1 **Preface to Heterocycles Special Issue
Honoring the 80th Birthday of Professor Dr. Somsak
Ruchirawat: a Great Teacher and Compassionate Mentor**
Minoru Isobe* and Poonsakdi Ploypradith*
-

■ CURRICULUM VITAE

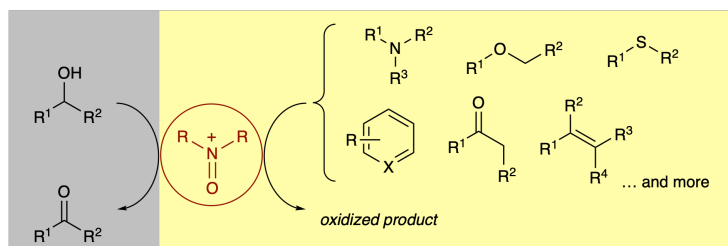
- 7 **Curriculum Vitae**
Somsak Ruchirawat*
-

■ PUBLICATIONS

- 9 **Publication List**
Somsak Ruchirawat*
-

REVIEWS

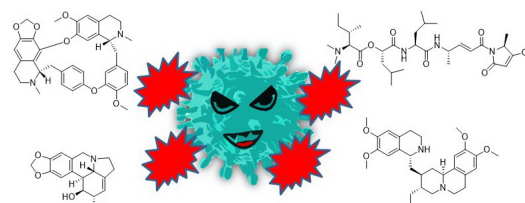
- 61 **The Utility of Oxoammonium Species in Organic Synthesis: Beyond Alcohol Oxidation**
Shota Nagasawa, Yusuke Sasano, and Yoshiharu Iwabuchi*



Oxidation Oxoammonium Salt Nitroxyl Radical Catalysis Redox

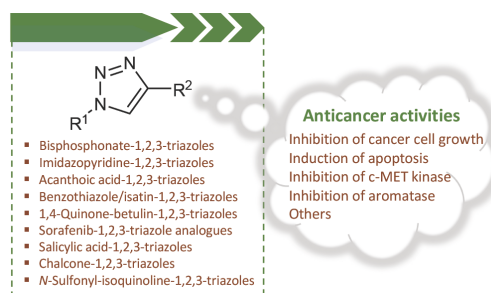
- 115 **Alkaloids and Alkaloid-Like Compounds are Potential Scaffolds of Antiviral Agents against SARS-CoV-2 (COVID-19) Virus**
Prasat Kittakoop,* Dhanushka Darshana, Rapeepat Sangsuwan, and Chulabhorn Mahidol

Antiviral alkaloids and alkaloid-like compounds against SARS-CoV-2 or COVID-19 virus



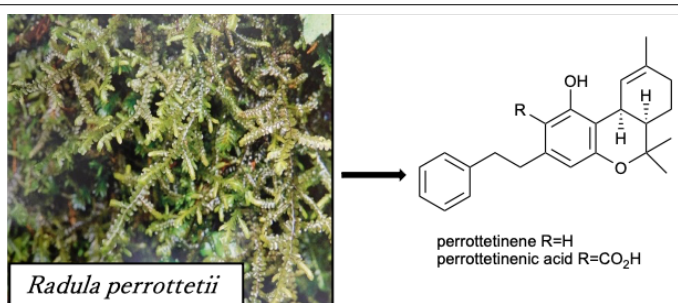
Alkaloid Alkaloid-Like Compound Antiviral Agent SARS-CoV-2 COVID-19

- 147 **1,2,3-Triazole Scaffold in Recent Medicinal Applications: Synthesis and Anticancer Potentials**
Vanida Choomuenwai,* Ronnakorn Leechaisit, Ratchanok Pingaew,* Veda Prachayasittikul, Supaluk Prachayasittikul, and Virapong Prachayasittikul



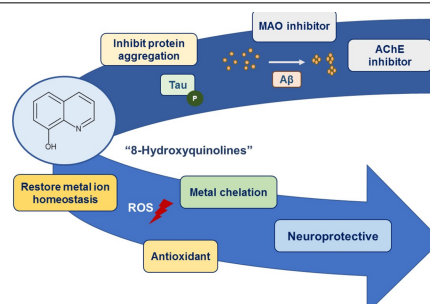
1,2,3-Triazole Click Reaction Anticancer Activity Mechanism of Action

- 179 **Heterocyclic Stilbene and Bibenzyl Derivatives in Liverworts: Distribution, Structures, Total Synthesis and Biological Activity**
Yoshinori Asakawa* and Fumihiro Nagashima



Liverwort Bis-bibenzyl Biological Activity Total Synthesis Biosynthesis

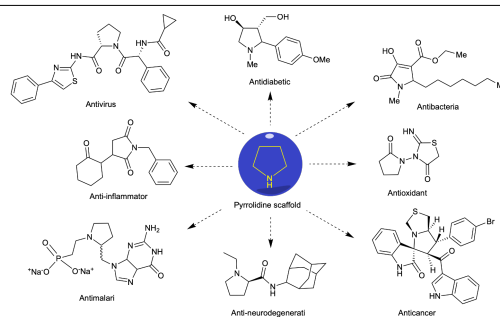
- 202 **8-Hydroxyquinolines: A Promising Pharmacophore Potentially Developed as Disease-Modifying Agents for Neurodegenerative Diseases: A Review**
Veda Prachayasittikul,* Ratchanok Pingaew, Supaluk Prachayasittikul, and Virapong Prachayasittikul



8-Hydroxyquinoline Neuroprotective Alzheimer's Disease Metal Chelator Disease-Modifying Agent

244 Five-Membered Nitrogen Heterocycles as New Lead Compounds in Drug Discovery

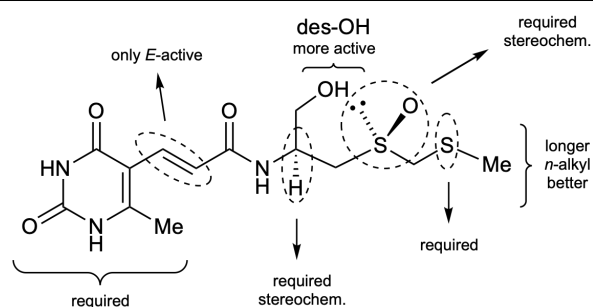
Agustono Wibowo, Mohd Fazli Mohammat, Zurina Shaameri, Fatin Nur Ain Abdul Rashid, Noor Hidayah Pungot, and Ahmad Sazali Hamzah*



Pyrrolidine Tetramic Acid 2,3-Dioxopyrrolidine 2,5-Dioxopyrrolidine Drug Discovery

287 Sparsomycin – a Review and Re-assessment

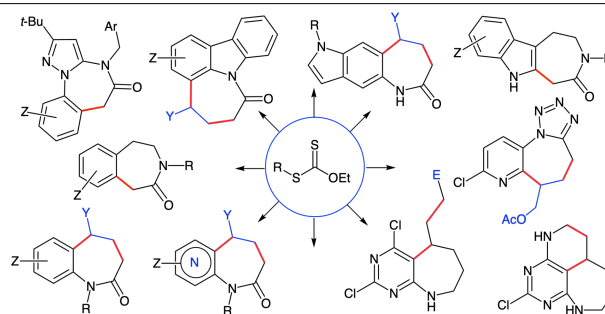
Geoffrey A. Cordell* and Sharna-kay Daley



Sparsomycin Synthesis Biology Biosynthesis

309 The Xanthate Route to Benzazepinones and Their Aza Congeners

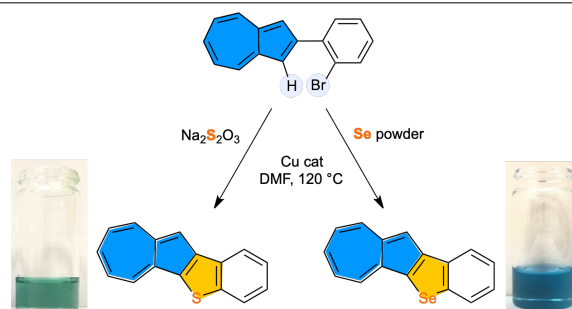
Béatrice Quiclet-Sire and Samir Z. Zard*



Radical Cyclization Xanthate Benzazepinone Pyridoazepinone Indoloazepinone

COMMUNICATIONS
337 Synthesis and Optical Properties of Azuleno[1,2-*b*]-benzothiophene and Selenophene

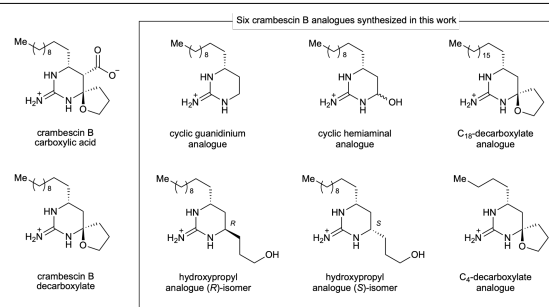
Mio Matsumura,* Taiki Kamiya, Masato Kawakubo, Yukako Hayashi, Tadashi Hyodo, Yuki Murata, Kentaro Yamaguchi, and Shuji Yasuike*



Azulene Benzothiophene Benzoselenophene Copper Catalyzed C-H Chalcogenation Tandem Cyclization

343 The Synthesis of Simplified Analogues of Crambescin B Carboxylic Acid and Their Inhibitory Activity of Voltage-Gated Sodium Channels: New Aspects of Structure–Activity Relationships

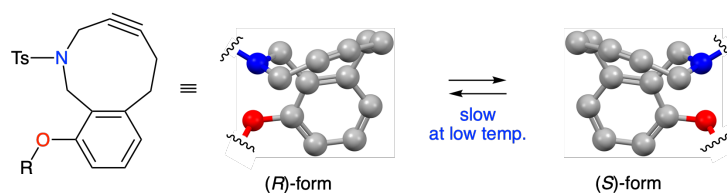
Atsuo Nakazaki,* Shunsuke Mouri, Yoshiki Nakane, Yuki Ishikawa, Mari Yotsu-Yamashita, and Toshio Nishikawa



Asymmetric Synthesis Voltage-Gated Sodium Channel Guanidine Alkaloid Structure-Activity Relationship N-Amidinylium Ion

352 Synthesis and Stereochemical Analysis of Planar Chiral Nine-Membered Aza-Orthocyclophene

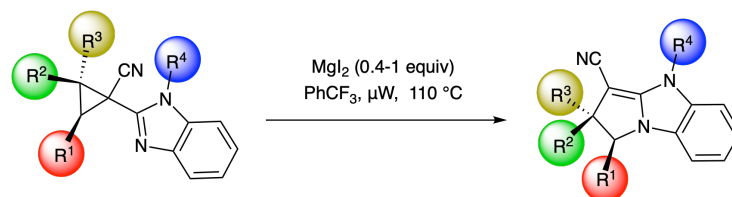
Yuuya Kawasaki, Sumire Tanaka, Kazunobu Igawa, and Katsuhiko Tomooka*



Orthocyclophene Planar Chirality Nitrogen Cycle Cyclic Alkyne

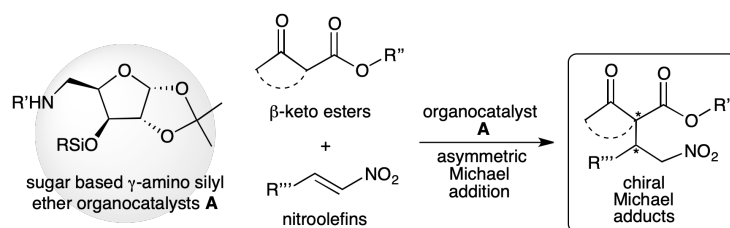
358 Synthesis of Benzo[*d*]pyrrolo[1,2-*a*]imidazoles by Iminocyclopropane Rearrangement of C-Cyclopropylbenzimidazoles

Adam P. Montoya, Matthew G. LaPorte, and Peter Wipf*

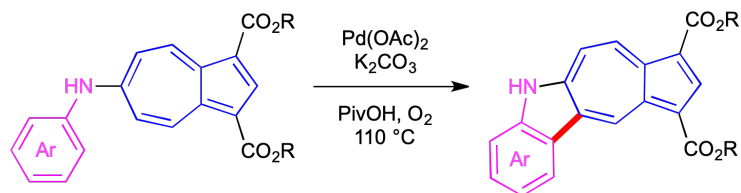

 Pyrrolo[1,2-*a*]imidazole Iminocyclopropane Rearrangement C-Cyclopropylbenzimidazole Benzimidazole Spirocyclic Heterocycle

■ PAPERS
369 New Sugar Based γ -Amino Silyl Ether Organocatalysts for Asymmetric Michael Addition of β -Keto Esters with Nitroolefins

Divakar Ganesan, Perumalsamy Parasuraman, Zubeda Begum, Rajkumar Thiyagarajan, Chigusa Seki, Yuko Okuyama, Eunsang Kwon, Koji Uwai, Michio Tokiwa, Suguru Tokiwa, Mitsuhiro Takeshita, and Hiroto Nakano*

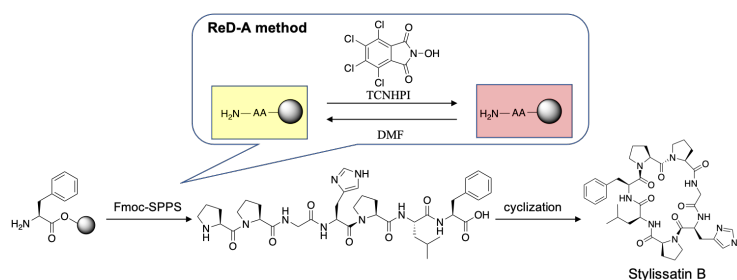

 γ -Amino Silyl Ether Organocatalyst Michael Addition Sugar Asymmetric Reaction

- 383 Azuleno[6,5-*b*]indoles: Palladium-Catalyzed Oxidative Ring-Closing Reaction of 6-(Arylamino)azulenes**
 Taku Shoji,* Yukino Ariga, Shunji Ito, and Masafumi Yasunami



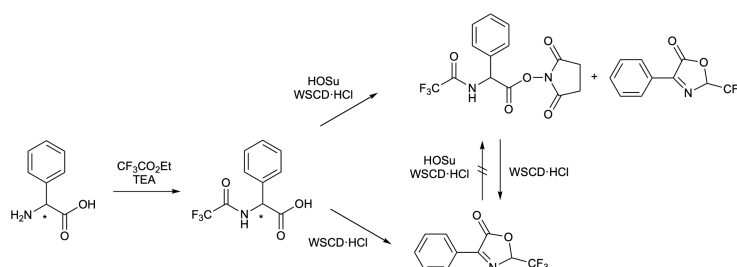
Azulene Indole Palladium Catalyst Oxidative Ring-Closing Reaction Aromatic Nucleophilic Substitution

- 397 Application of Reversible Detection Method for N-Terminus Amino Groups: Solid Phase Synthesis of Stylistatin B**
 Ao Tan, Keigo Takamatsu, Fusheng Xu, Seren Osanai, and Hiroyuki Konno*



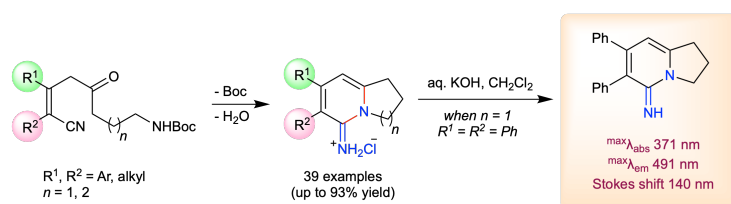
Proline-Rich Cyclic Peptide ReD-A Method Tetrachloro-*N*-hydroxyphthalimide Fmoc-SPPS

- 406 Novel Synthesis and Properties of Optically Pure *N*-Trifluoroacetylphenylglycine Hydroxysuccinimide Ester**
 Zeping Wang, Shoko Ishikawa, Fumina Ohashi, Reo Sagisaka, Yuta Murai, Zetryana Puteri Tachrim, Takeyuki Suzuki, and Makoto Hashimoto*



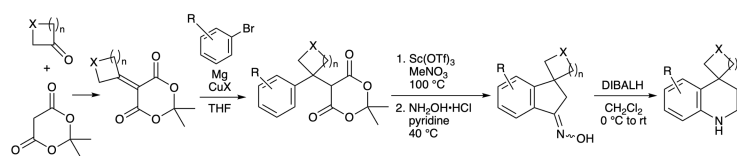
Phenylglycine *N*-Hydroxysuccinimide Ester Peptide Racemization

- 417 Synthesis of Novel Fluorescent Bicyclic Amidines and Evaluation of Their Photophysical Properties**
 Wannaporn Disadee,* Kittiporn Trisupphakant, and Somsak Ruchirawat



Amidine Fluorescence Photophysical Property Alkaloid Cyclization

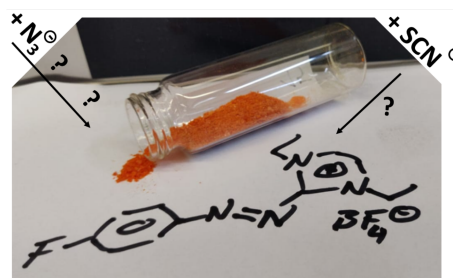
- 438 Construction of Tetrahydroquinolines with Spirocyclic Structures at the 4-Position**
 Yuko Wakahara, Takahiro Noro, Juri Sakata, Hirofumi Ueda, and Hidetoshi Tokuyama*



Tetrahydroquinoline Spirocyclic Indanone Oxime Reduction

461 2-Arylazoimidazoles Revamped by Quarternization or Dimerization; Another Gain in Functionality of an Industrial Dyestuff Family by Task-Specific Side-Chain Substituents

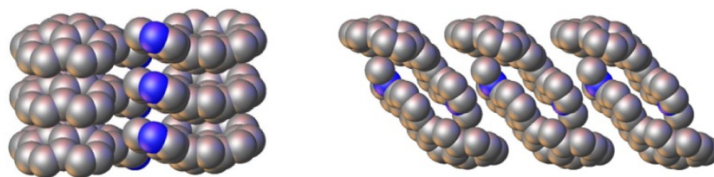
Sandro Neuner, Heidi A. Schwartz, Christoph Kreutz, Thomas Müller, Paul Mayer, Günther Bonn, Thomas Gelbrich, Ulrich J. Griesser, Klaus Wurst, Volker Kahlenberg, Sven Nerdinger,* and Herwig Schottenberger*



2-Arylazoimidazole Cationic Direct Dye Hot Melt Contact SNAr Replacement

477 N-Heterocyclic Analogs of Indenocorannulene

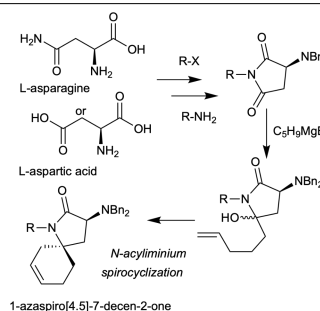
Ansu Li, Jun Xu, Kim K. Baldrige, and Jay S. Siegel*



N-Heterocyclic Curve Polynuclear Aromatic Chirality and Configurational Assignment Indenocorannulene Lead Scaffold

487 Synthesis of 1-Azaspiro[4,5]-7-decen-2-one from L-Asparagine and L-Aspartic Acid

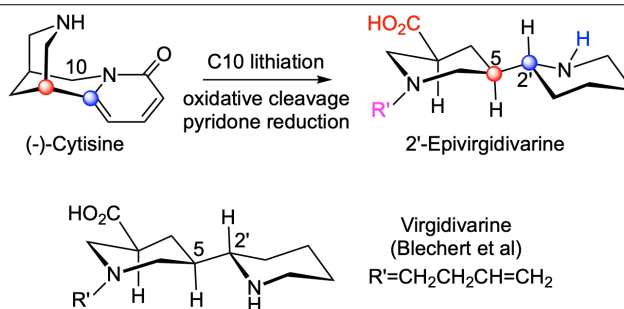
Punlop Kuntiyong,* Sunisa Moongmai, Natida Thongluar, and Ittiphat Klaypan



1-Azaspiro[4,5]-7-decen-2-one N-Acyliminium Ion Spirocyclization L-Asparagine L-Aspartic Acid

500 Oxidative Fragmentation of Cytisine as an Entry to the Bis(piperidine) Scaffold of Virgdivarine

Worawat Niwetmarin* and Timothy Gallagher*

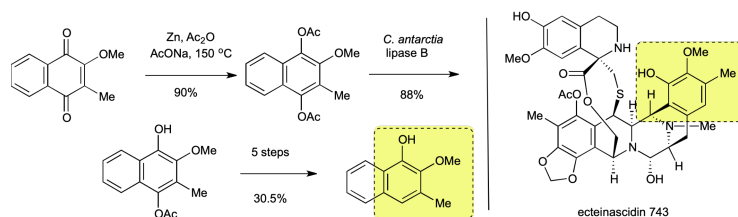


Cytisine Oxidative Fragmentation Virgdivarine Bispiperidine Lithiation

■ SHORT PAPERS

- 511 Lipase-Catalyzed Site-Selective Deacetylation of 2-Methoxy-3-methylnaphthalene-1,4-diol Diacetate for Construction of Characteristic Substituted 1,2,3,4-Tetrahydroisoquinoline Derivative of Novel Ecteinasclidin Marine Natural Product**

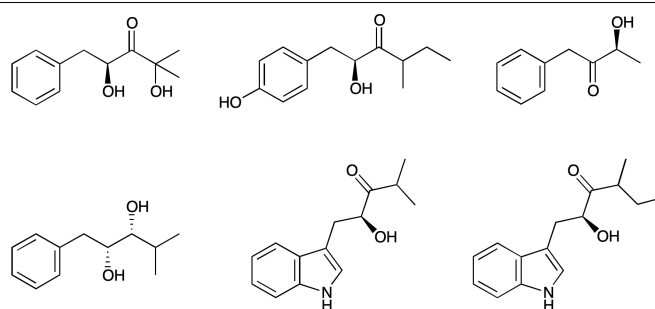
Masashi Yokoya,* Ryo Sato, and Naoki Saito



Naphthoquinone Site-Selective Deacetylation Transformation Ecteinasclidin *Candida antarctica*

- 523 Isolation of Ikahtonone, 4-Methyl-2,4-dihydroxy-3-pentanone from *Bacillus cereus* IFM12235**

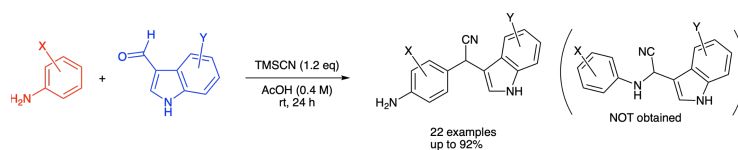
Yasumasa Hara,* Mareno Chiba, Keichiro Watanabe, and Masami Ishibashi*



Bacillus cereus 4-Methyl-2,4-dihydroxy-3-pentanone Calculated ECD Spectrum Antibacterial Activity NO Production

- 532 Abnormal Strecker Reaction of 3-Formylindole and Aniline**

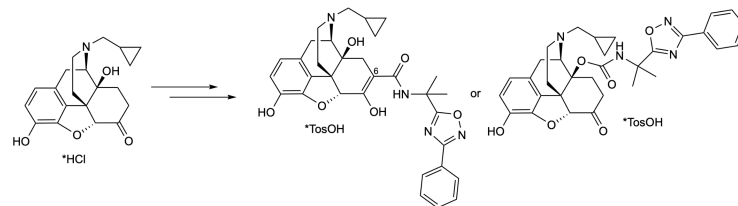
Tomohiro Yazawa, Masaya Nakajima,* and Tetsuhiro Nemoto*



Indole Cyano Compound Strecker Reaction

- 544 Improved Synthesis of Naldemedine Tosylate and Crystal Structures of Four Related Solid Forms**

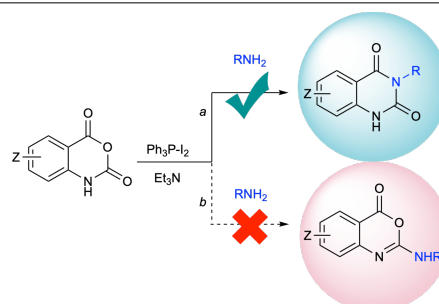
Josef Spreitz, Thomas Gelbrich, Sven Nerdinger,* Marijan Stefinovic, and Ulrich J. Griesser



Lewis Acid Catalyst Crystal Structure Polymorph

- 556 Synthesis of N3-Substituted Quinazoline-2,4-diones via C-4 Amination-Cyclization of Isatoic Anhydrides**

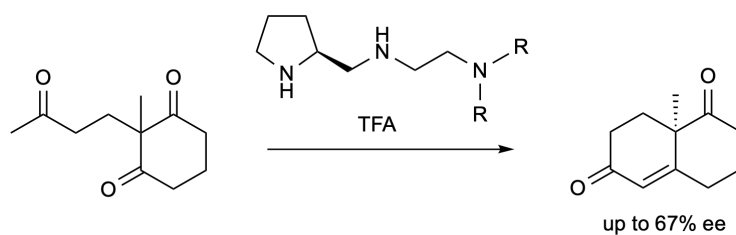
Nittaya Wiriya, Dolnapa Yamano, Surat Hongsibsong, Mookda Pattarawarapan, and Wong Phakhodee*



Isatoic Anhydride Quinazoline-2,4-dione Triphenylphosphine Iodine Amination

566 Asymmetric Intramolecular Aldol Reactions Mediated by Chiral Triamines Bearing a Pyrrolidine Scaffold to Provide a Wieland–Miescher Ketone

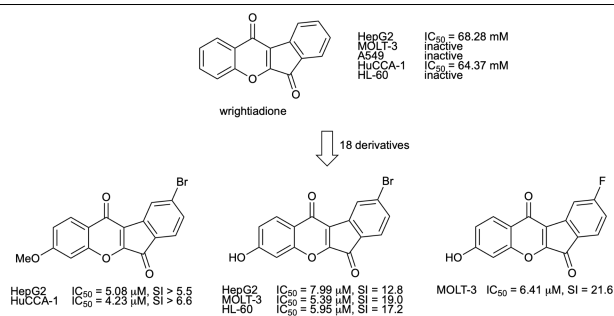
Yuichi Akahane and Kohei Inomata*



Organocatalysis Wieland-Miescher Ketone Chiral Triamine Intramolecular Aldol Reaction

576 Synthesis and Cytotoxic Activity of Wrightiadione and Its Derivatives

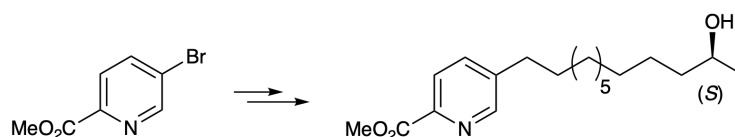
Sanit Thongnest and Jutatip Boonsombat*



Wrightiadione Friedel-Crafts Reaction Cytotoxic Activity Isoflavone Tryptanthrin

588 Synthesis of Penicolinates A, C and D

Angela Qi Yun Chiu, Krishna Ramesh, Ma Yadanar Phyo, Patcharaporn Sae-Lao, and Roderick Wayland Bates*



Pyridine Alkyne Sonogashira Coupling

Contributors To This Issue

- 566 Akahane, Yuichi
 383 Ariga, Yukino
 179 Asakawa, Yoshinori
 477 Baldrige, Kim K.
 588 Bates, Roderick Wayland
 369 Begum, Zubeda
 461 Bonn, Günther
 576 Boonsombat, Jutatip
 523 Chiba, Mareno
 588 Chiu, Angela Qi Yun
 147 Choomuenwai, Vanida
 287 Cordell, Geoffrey A.
 287 Daley, Sharna-kay
 115 Darshana, Dhanushka
 417 Disadee, Wannaporn
 500 Gallagher, Timothy
 369 Ganesan, Divakar
 461, 544 Gelbrich, Thomas
 461, 544 Griesser, Ulrich J.
 244 Hamzah, Ahmad Szali
 523 Hara, Yasumasa
 406 Hashimoto, Makoto
 337 Hayashi, Yukako
 556 Hongsibsong, Surat
 337 Hyodo, Tadashi
 352 Igawa, Kazunobu
 566 Inomata, Kohei
 523 Ishibashi, Masami
 406 Ishikawa, Shoko
 343 Ishikawa, Yuki
 1 Isobe, Minoru
 383 Ito, Shunji
 61 Iwabuchi, Yoshiharu
 461 Kahlenberg, Volker
 337 Kamiya, Taiki
 337 Kawakubo, Masato
 352 Kawasaki, Yuuya
 115 Kittakoop, Prasat
 487 Klayparn, Ittiphat
 397 Konno, Hiroyuki
 461 Kreuzt, Christoph
 487 Kuntiyong, Punlop
 369 Kwon, Eunsang
 358 LaPorte, Matthew G.
 147 Leechaisit, Ronnakorn
 477 Li, Ansu
 115 Mahidol, Chulabhorn
 337 Matsumura, Mio
 461 Mayer, Paul
 244 Mohammat, Mohd Fazli
 358 Montoya, Adam P.
 487 Moongmai, Sunisa
 343 Mouri, Shunsuke
 461 Müller, Thomas
 406 Murai, Yuta
 337 Murata, Yuki
 61 Nagasawa, Shota
 179 Nagashima, Fumihiro
 532 Nakajima, Masaya
 343 Nakane, Yoshiki
 369 Nakano, Hiroto
 343 Nakazaki, Atsuo
 532 Nemoto, Tetsuhiro
 461, 544 Nerdinger, Sven
 461 Neuner, Sandro
 343 Nishikawa, Toshio
 500 Niwetmarin, Worawat
 438 Noro, Takahiro
 406 Ohashi, Fumina
 369 Okuyama, Yuko
 397 Osanai, Seren
 369 Parasuraman, Perumalsamy
 556 Pattarawarapan, Mookda
 556 Phakhodee, Wong
 588 Phyo, Ma Yadanar
 147, 202 Pingaew, Ratchanok
 1 Ploypradith, Poonsakdi
 147, 202 Prachayasittikul, Supaluk
 147, 202 Prachayasittikul, Veda
 147, 202 Prachayasittikul, Virapong
 244 Pungot, Noor Hidayah
 309 Quiclet-Sire, Béatrice
 588 Ramesh, Krishna
 244 Rashid, Fatin Nur Ain Abdul
 7, 9, 417 Ruchirawat, Somsak
 588 Sae-Lao, Patcharaporn
 406 Sagisaka, Reo
 511 Saito, Naoki
 438 Sakata, Juri
 115 Sangsuwan, Rapeepat
 61 Sasano, Yusuke
 511 Sato, Ryo
 461 Schottenberger, Herwig
 461 Schwartz, Heidi A.
 369 Seki, Chigusa
 244 Shaameri, Zurina
 383 Shoji, Taku
 477 Siegel, Jay S.
 544 Spreitz, Josef
 544 Stefinovic, Marijan
 406 Suzuki, Takeyuki
 406 Tachrim, Zetryana Puteri
 397 Takamatsu, Keigo
 369 Takeshita, Mitsuhiko
 397 Tan, Ao
 352 Tanaka, Sumire
 369 Thiyagarajan, Rajkumar
 487 Thongluar, Natida

- 576 Thongnest, Sanit
369 Tokiwa, Michio
369 Tokiwa, Suguru
438 Tokuyama, Hidetoshi
352 Tomooka, Katsuhiko
417 Trisupphakant, Kittiporn
438 Ueda, Hirofumi
369 Uwai, Koji
438 Wakahara, Yuko
406 Wang, Zeping
523 Watanabe, Keiichiro
244 Wibowo, Agustono
358 Wipf, Peter
556 Wiriya, Nittaya
461 Wurst, Klaus
397 Xu, Fusheng
477 Xu, Jun
337 Yamaguchi, Kentaro
556 Yamano, Dolnapa
337 Yasuike, Shuji
383 Yasunami, Masafumi
532 Yazawa, Tomohiro
511 Yokoya, Masashi
343 Yotsu-Yamashita, Mari
309 Zard, Samir Z.