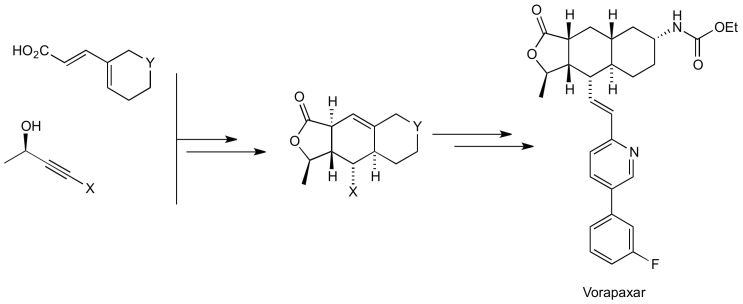
■ REVIEWS

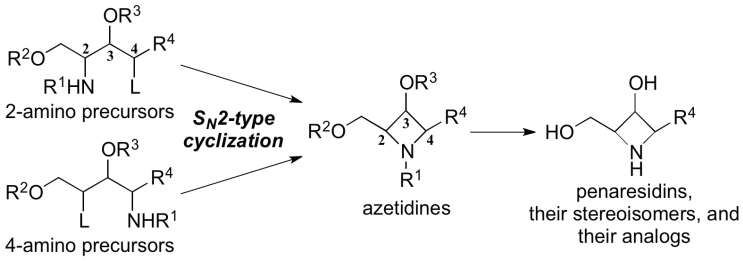
373 **Mini-Review: The Chemistry of Vorapaxar – Is There Any Room for Improvement Left?**
Piotr P. Graczyk* and Sven Nerdinger



Vorapaxar

Vorapaxar Stereoselective Synthesis Racemate Resolution

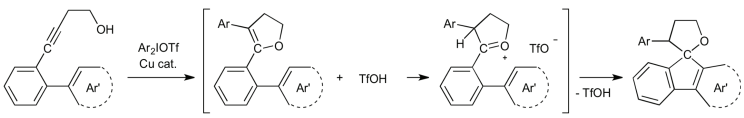
383 **Synthesis of Sphingosine-Related Azetidine Alkaloids, Penaresidins: Construction of Highly Substituted Azetidine Rings**
Tomoya Fujiwara and Takayuki Yakura*



Azetidine Penaresidin S_N2-Type Cyclization

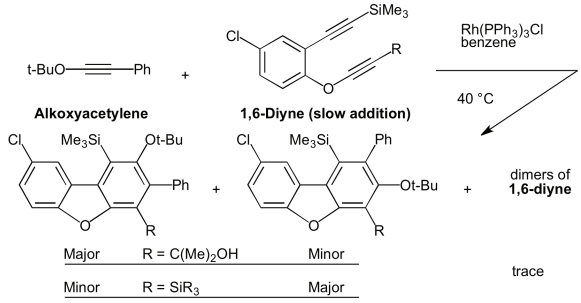
■ COMMUNICATIONS

407 **One-Pot Synthesis of 9-Spirofluorenes via Tandem Copper-Catalyzed Arylative Cyclization and Spirocyclization of Biaryl-Substituted Alkynyl Alcohols**
Noriko Okamoto, Takuya Sueda, and Reiko Yanada*



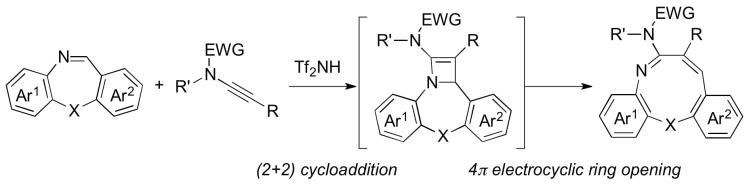
9-Spirofluorene Arylative Cyclization Spirocyclization Alkynyl Alcohol Friedel-Crafts Reaction

417 **An Approach to a 2-Hydroxy-3-phenyldibenzofuran Skeleton Based on $Rh(PPh_3)_3Cl$-Catalyzed [2+2+2] Cycloaddition between a 1-Ethynyl-2-(ethynyloxy)-benzene and an (Alkoxyethynyl)benzene**
Daisuke Sato, Kenshu Fujiwara,* Yoshihiko Kondo, Uichi Akiba, and Tetsuo Tokiwano



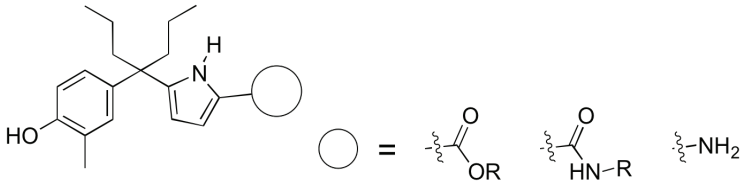
Rh-Catalyzed [2+2+2] Cycloaddition 2-Hydroxy-3-phenyldibenzofuran Chemoselective and Regioselective Cycloadditions Wilkinson Catalyst

423 **Synthesis of Dibenzoxazonines by Domino [2+2] Cycloaddition—4π Electrocyclic Ring Opening Reaction of Cyclic Imines with Ynamides**
Kiyosei Takasu,* Masaki Tsutsumi, Tomohiro Ito, Hiroshi Takikawa, and Yousuke Yamaoka



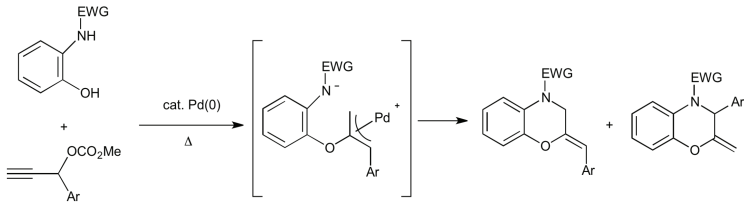
Oxazonine Medium-Sized Ring 4π Electrocyclic Ring Opening (2+2) Cycloaddition Ynamide

429 **Design and Synthesis of 4-(2-Pyrrolyl)-4-phenylheptane Derivatives as Estrogen Receptor Antagonists**
Miyako Naganuma, Hidetomo Yokoo, Takashi Misawa, Kenji Matsuno, Genichiro Tsuji,* and Yosuke Demizu*



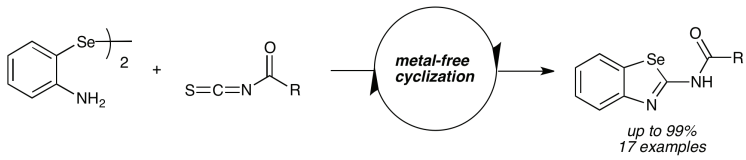
Estrogen Receptor Antagonist Pyrrole Breast Cancer

435 **Synthesis of Dihydrobenzo[1,4]oxazines by Palladium-Catalyzed Cyclization of *N*-Substituted 2-Aminophenols with Propargylic Carbonates**
Masahiro Yoshida,* Shunya Mori, Kenji Matsumoto, and Tsukasa Hirokane



Cyclization Palladium Catalyst Nucleophile Propargylic Carbonate Benzooxazine

444 **Synthesis of 2-Amino-1,3-benzoselenazole via Metal-Free Cyclization from Isothiocyanate and Bis(*o*-aminophenyl)-diselenide**
Hayato Ichikawa,* Naoka Miyashi, Yui Ishigaki, and Minako Mitsuhashi

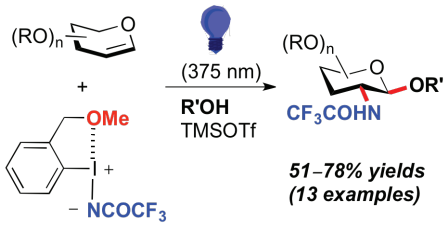


Benzoselenazole Isothiocyanate Diselenide

■ PAPERS

453 **Photo-Irradiation-Promoted Aminoetherification of Glycals with *N*-Acyliminoiodinane and Alcohols**

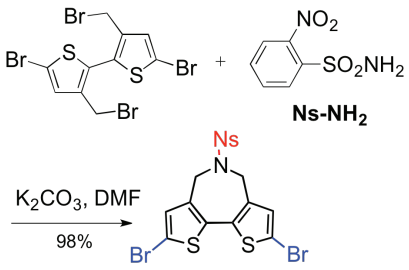
Sota Masakado, Yusuke Kobayashi, and Yoshiji Takemoto*

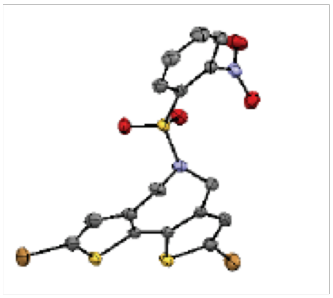


Aminosugar Photoreaction Glycosylation Trifluoromethyl Group Asialoglycoprotein Receptor

461 **Formation of Seven-Membered-Ring Fused Bithiophene Derivatives by Nosyl Annulation**

Atsunori Mori,* Masayasu Hayashi, Mitsuru Matsuoka, Shiomi Ashida, Yukiko Ito, Kohei Hosokawa, Toyoko Suzuki, Kentaro Okano, Chi-Hsien Wang, and Masaki Horie

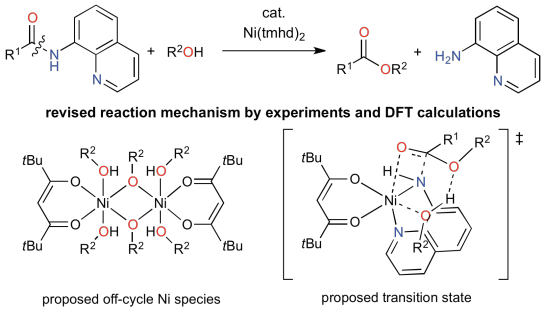




Bithiophene Nosyl Annulation 5-7-5 Fused Compound Denosylation Coupling Reaction

471 **Mechanistic Studies of Nickel(II)-Catalyzed Direct Alcoholysis of 8-Aminoquinoline Amides**

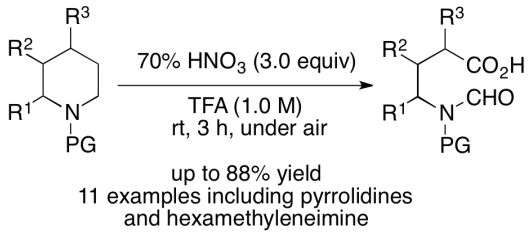
Hiroyuki Morimoto,* Walaa Akkad, Toru Deguchi, and Takashi Ohshima*



Alcoholysis Reaction Mechanism Nickel Catalyst 8-Aminoquinoline Amide

486 **Oxidative C-C Bond Cleavage of N-Protected Cyclic Amines by HNO_3-TFA System**

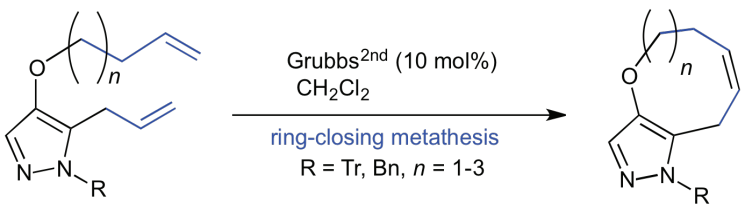
Kosuke Yamamoto, Hiroyuki Toguchi, Toshihiro Harada, Masami Kuriyama, and Osamu Onomura*



N-Heterocycle Trifluoroacetic Acid ω-Amino Acid Oxidation C-C Bond Cleavage

496 **Synthetic Challenges in the Construction of 8- to 10-Membered Pyrazole-Fused Rings via Ring-Closing Metathesis**

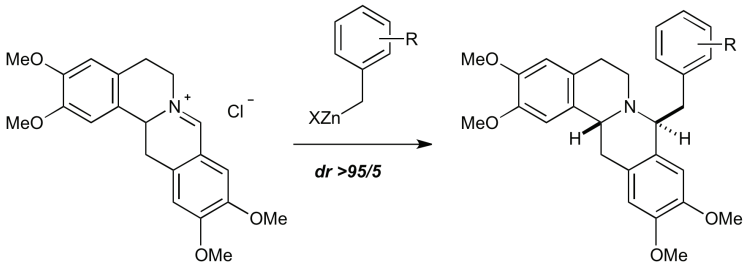
Yoshihide Usami,* Yasuyuki Tsujiuchi, Yudai Machiya, Akihiro Chiba, Tomomi Ikawa, Hiroki Yoneyama, and Shinya Harusawa



Trihydrooxocino[3,2-*c*]pyrazole Tetrahydrooxonino[3,2-*c*]pyrazole Pentahydrooxecino[3,2-*c*]pyrazole Ring-Closing Metathesis

512 **Stereoselective Construction of a Berberine C-8 Benzyl Group for the Synthesis of Javaberine Derivatives**

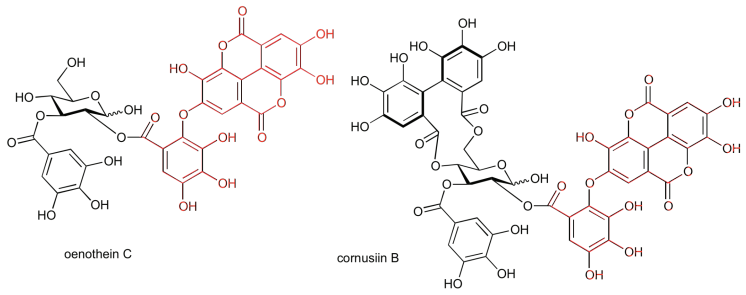
Rina Kakigi, Mai Nakano, Ayana Ueno, Akari Miyawaki, Kiyoshi Tomioka, and Yasutomo Yamamoto*



Berberine Alkaloid

524 **Synthesis of Lactonized Valoneoyl Group-Containing Ellagitannins, Oenothein C and Cornusiin B**

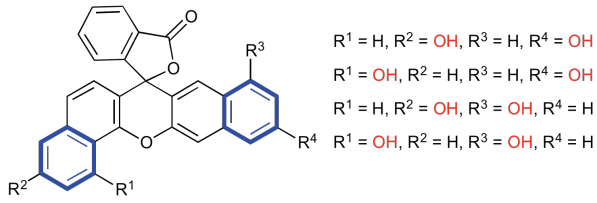
Hitoshi Abe,* Haruka Imai, Daichi Ogura, and Yoshikazu Horino



Ellagitannin Diaryl Ether Ullmann Reaction Lactone

536 **Synthesis and Optical Properties of L-Shaped Dinaphthofluoresceins with Two Peripheral Hydroxy Groups**

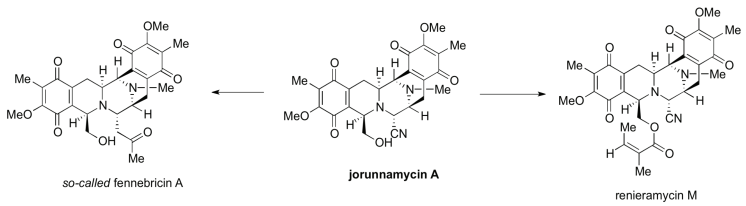
Hikari Yamashita, Chihiro Minari, Eriko Azuma, Kouji Kuramochi, Ayumi Imayoshi, and Kazunori Tsubaki*



Dinaphthofluorescein Fluorescein

548 **Chemistry of Renieramycins Part 18. Synthesis of Renieramycin M and So-called Fennebricin A from (+/-)-Jorunnamycin A**

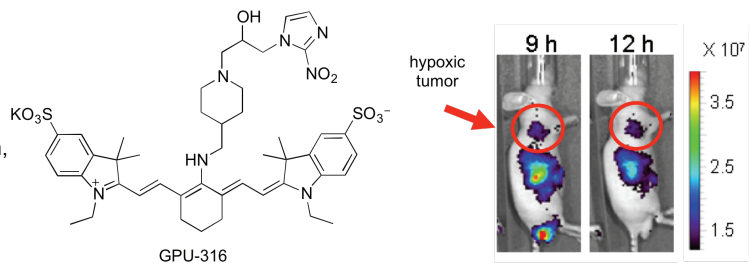
Masashi Yokoya,* Kento Monden, Mitsuhiro Sato, Natchanun Sirimangkalakitti, and Naoki Saito*



Synthesis Marine Natural Product Anticancer Activity Isoquinoine Renieramycin

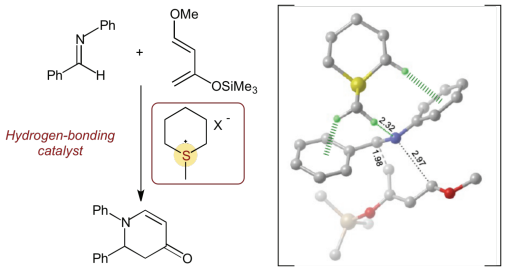
559 **Development of Near-Infrared Fluorescent Probes with Large Stokes Shifts for Non-Invasive Imaging of Tumor Hypoxia**

Kensuke Okuda, Bahaa G. M. Youssif, Ryosuke Sakai, Takahiro Ueno, Takayuki Sakai, Tetsuya Kadonosono, Yasuyuki Okabe, Ola I. Abdel Razek Salem, Alaa M. Hayallah, Mostafa A. Hussein, Shinae Kizaka-Kondoh, and Hideko Nagasawa*



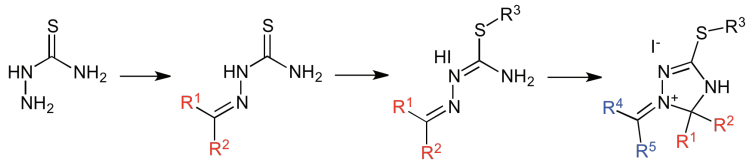
Near-Infrared Fluorescent Probe Tumor Hypoxia Tricarbocyanine Dye

580 **Trialkylsulfonium and Tetraalkylammonium Salts as Hydrogen-Bonding Catalysts in an Aza-Diels-Alder Reaction: Experimental and Computational Studies**
Masahiro Yamanaka,* Ayaka Mochizuki, Takumi Nakamura, Keiji Maruoka, and Seiji Shirakawa*



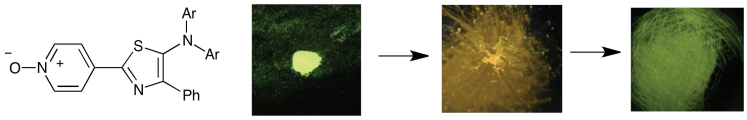
Hydrogen-Bonding Catalysis Tetraalkylammonium Salt Trialkylsulfonium Salt DFT Calculation

593 **Expedient Routes to 1,2,4-Triazolinium Salts**
Lukas Fliri, Gabriel Partl, Thomas Gelbrich, Sven Nerdinger,* Klaus Wurst, and Herwig Schottenberger



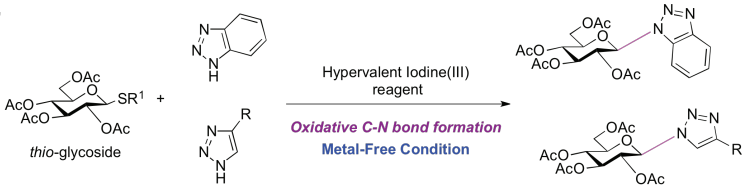
Non-Aromatic Triazoline Salt Guanazine Hydroiodide Fluorosurfactant Imine-Iminium Cyclization Crystal Structure

611 **5-Amino-2-thiazolylpyridine *N*-Oxides: Synthesis and Properties**
Toshiaki Murai,* Yuuta Nakatsu, Yuki Tsuchiya, Kirara Yamaguchi, Toshifumi Maruyama, Yohei Miwa, and Shoichi Kutsumizu



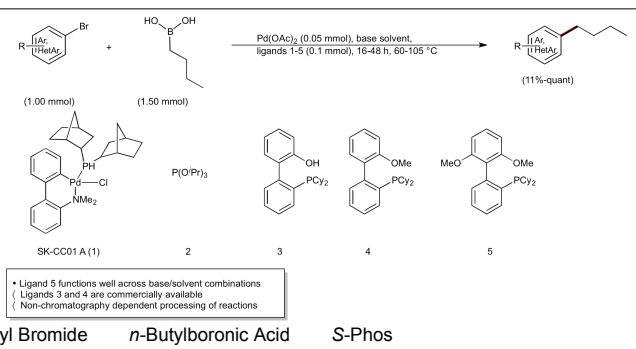
5-Aminothiazole Pyridine *N*-Oxides Mechanofluorochromism

621 ***N*-Glycosylation Reaction of *Thio*-Glycoside Using Hypervalent Iodine(III) Reagent**
Koji Morimoto, Kana Yanase, Takumi Ikeda, Chihiro Uchikawa, Yasuyuki Kita,* and Tetsuya Kajimoto*



Glycosylation Hypervalent Iodine(III) Reagent Azole C-N Bond Formation

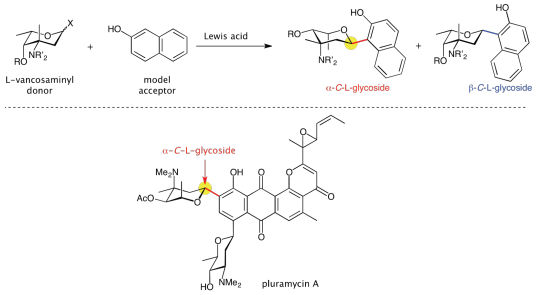
631 **Ligand Assessment for the Suzuki-Miyaura Cross Coupling Reaction of Aryl and Heteroaryl Bromides with *n*-Butylboronic Acid. The Advantages of Buchwald's *S*-Phos**
Thomas Jagusch, Sven Nerdinger, Bernd Lehnemann, Stefan Scherer, Andreas Meudt, Victor Snieckus,* Sandro Neuner, and Herwig Schottenberger



Suzuki-Miyaura Coupling Reaction Cross Coupling Aryl and Heteroaryl Bromide *n*-Butylboronic Acid *S*-Phos

645 **α-L-Vancosamine Aryl *C*-Glycosides, Less Stable Anomers: A Problem in Synthesis of Pluramycin-Class Antibiotics**

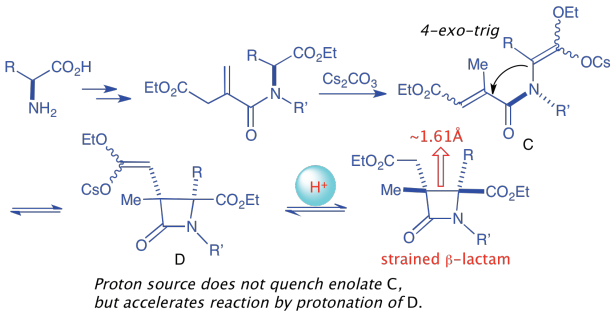
Yoshio Ando, Hiromune Asahina, Kei Kitamura, Takashi Matsumoto, and Keisuke Suzuki*



Pluramycin Ary C-Glycoside α-C-Anomer C-Glycosidation Natural Product

664 **Protonation-Assisted Conjugate Addition of Axially Chiral Enolates: Asymmetric Synthesis of β-Lactams with Contiguous Tetrasubstituted Stereocenters from α-Amino Acids via Memory of Chirality**

Pan Yang, Tomoyuki Yoshimura, Takahiro Sasamori, Norihiro Tokitoh, Kazuhiro Morisaki, and Takeo Kawabata*

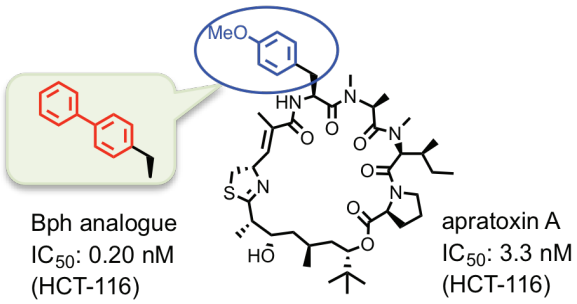


β-Lactam Dynamic Chirality Protonation Memory of Chirality Strain

■ SHORT PAPERS

679 **Synthesis of a Biphenylalanine Analogue of Apratoxin A Displaying Substantially Enhanced Cytotoxicity**

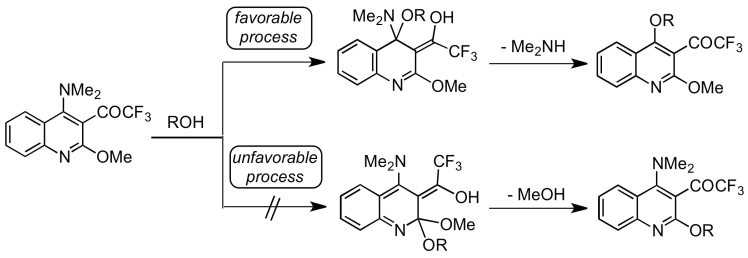
Yuichi Onda, Kazuki Fukushi, Kosuke Ohsawa, Masahito Yoshida, Yuichi Masuda, and Takayuki Doi*



Cyclic Peptide Thiazoline Biphenylalanine Cytotoxicity

692 **Selective Aromatic Nucleophilic Substitution of 4-Dimethylamino-2-methoxy-3-(trifluoroacetyl)quinoline with Alcohols – DFT Calculation Study**

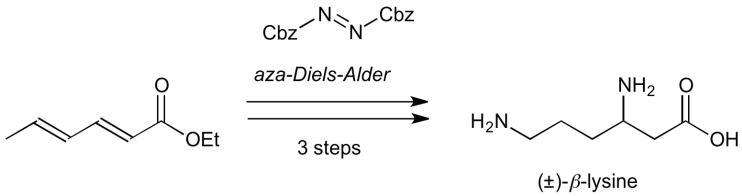
Norio Ota, Yusuke Harada, Yasuhiro Kamitori, and Etsuji Okada*



Selective Aromatic Nucleophilic Substitution Trifluoroacetylquinoline DFT Calculation C-PCM Model Alcohol

701 **A New Entry to the Synthesis of (±)-*β*-Lysine**

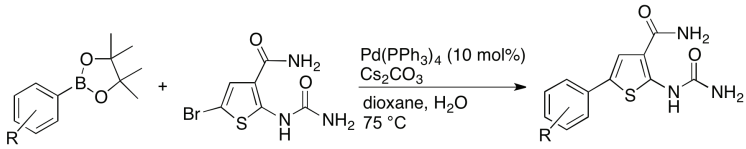
Keisuke Fukaya, Yuri Kono, Makoto Hibi, Yasuhisa Asano, and Daisuke Urabe*



β-Amino Acid β-Lysine Organic Synthesis Aza-Diels-Alder Reaction 1,4-Diamine

707 **Concise Synthesis of TPCA-1 and Related Thiophene-carboxamides by Cross Coupling**

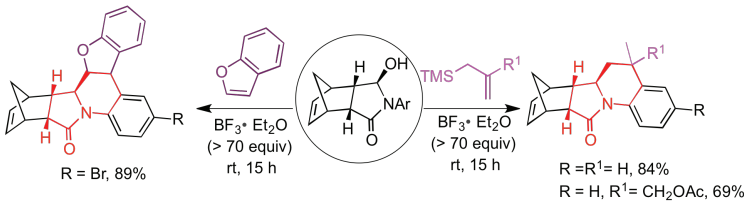
Norihiko Kawasaki, Hayato Fukuda, and Jun Ishihara*



TPCA-1 IKK-2 Inhibitor Direct Coupling Suzuki Coupling

717 **Lewis Acid Mediated Synthesis of Indolizidine Derivatives**

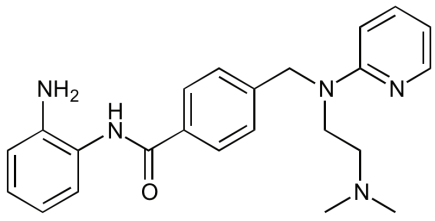
Sambasivarao Kotha* and Sunil Pulletikurti



Indolizidine Lewis Acid Ring-Opening Metathesis Alkaloid

726 **Design, Synthesis and Structure-Activity Relationship Study of Pyrilamine Derivatives as Histone Deacetylase Inhibitors**

Seiya Hiranaka, Mayumi Arata, Akiko Nakata, Akiko Tanaka, Yoshinobu Hashizume, Norio Kudo, Akihiro Ito, Minoru Yoshida, Shinichi Uesato, Yasuo Nagaoka, and Takaaki Sumiyoshi*



HDAC1 IC_{50}: 2.2 μM
HDAC4 IC_{50}: 12.1 μM
HDAC6 IC_{50}: >100 μM
hERG IC_{50}: 10.9 μM
tPSA: 74.0 Å^2
molecular weight: 389.5

Histone Deacetylase Inhibitor hERG Inhibition Structure-Activity Relationship

Contributors To This Issue

524 Abe, Hitoshi
417 Akiba, Uichi
471 Akkad, Walaa
645 Ando, Yoshio
726 Arata, Mayumi
645 Asahina, Hiromune
701 Asano, Yasuhisa
461 Ashida, Shiomi
536 Azuma, Eriko
496 Chiba, Akihiro
471 Deguchi, Toru
429 Demizu, Yosuke
679 Doi, Takayuki
593 Fliri, Lukas
417 Fujiwara, Kenshu
383 Fujiwara, Tomoya
701 Fukaya, Keisuke
707 Fukuda, Hayato
679 Fukushi, Kazuki
593 Gelbrich, Thomas
373 Graczyk, Piotr P.
486 Harada, Toshihiro
692 Harada, Yusuke
496 Harusawa, Shinya
726 Hashizume, Yoshinobu
559 Hayallah, Alaa M.
461 Hayashi, Masayasu
701 Hibi, Makoto
726 Hiranaka, Seiya
435 Hirokane, Tsukasa
461 Horie, Masaki
524 Horino, Yoshikazu
461 Hosokawa, Kohei
559 Hussein, Mostafa A.
444 Ichikawa, Hayato
496 Ikawa, Tomomi
621 Ikeda, Takumi
524 Imai, Haruka
536 Imayoshi, Ayumi
444 Ishigaki, Yui
707 Ishihara, Jun
726 Ito, Akihiro
423 Ito, Tomohiro
461 Ito, Yukiko
631 Jagusch, Thomas
559 Kadonosono, Tetsuya
621 Kajimoto, Tetsuya
512 Kakigi, Rina
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621 Kita, Yasuyuki
645 Kitamura, Kei
559 Kizaka-Kondoh, Shinae
453 Kobayashi, Yusuke
417 Kondo, Yoshihiko
701 Kono, Yuri
717 Kotha, Sambasivarao
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536 Kuramochi, Kouji
486 Kuriyama, Masami
611 Kutsumizu, Shoichi
631 Lehnemann, Bernd
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580 Maruoka, Keiji
611 Maruyama, Toshifumi
453 Masakado, Sota
679 Masuda, Yuichi
435 Matsumoto, Kenji
645 Matsumoto, Takashi
429 Matsuno, Kenji
461 Matsuoka, Mitsuru
631 Meudt, Andreas
536 Minari, Chihiro
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461 Mori, Atsunori
435 Mori, Shunya
471 Morimoto, Hiroyuki
621 Morimoto, Koji
664 Morisaki, Kazuhiro
611 Murai, Toshiaki
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726 Nagaoka, Yasuo
559 Nagasawa, Hideko
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