

Tetrahedron Letters Vol. 51, No. 38, 2010

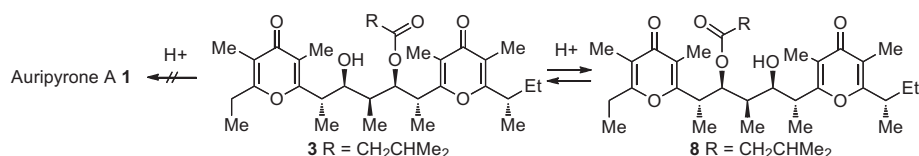
Contents

COMMUNICATIONS

Dipyrone approach toward the synthesis of the cytotoxic natural product auripyronone A

pp 4931–4933

Michael E. Jung*, Ramin Salehi-Rad

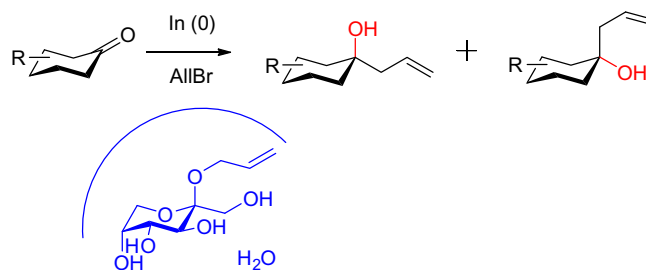


Treatment of the bis(pyrone) alcohol **3** with an acid did not give the desired cytotoxic product, auripyronone A, **1**, but rather an acyl transfer to give **8**. Model studies show this to be a general process and therefore such a cyclization is very difficult.

Allylation of cyclohexanones in aqueous media and influence of facial amphiphilic fructopyranosides

pp 4934–4936

Ana Bellomo, Richard Daniellou*, Daniel Plusquellec*



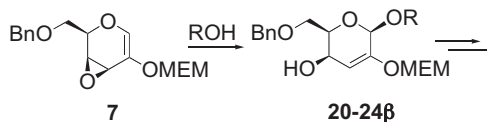
The indium-catalyzed allylation reaction was performed in good yields and short reaction times with various cyclohexanones in water. Aqueous facial amphiphilic carbohydrates solutions were also screened for their potency to modify the stereochemical outcome of the reaction.



Stereoselective synthesis of 2-O-MEM-2,3-unsaturated-β-O-glycosides and elaboration to useful synthetic tools

pp 4937–4941

Valeria Di Bussolo*, Annalisa Fiasella, Ileana Frau, Lucilla Favero, Paolo Crotti*



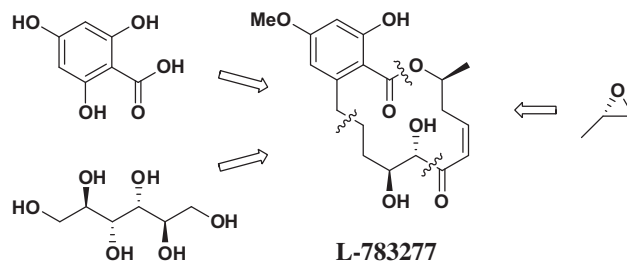
R = Me, Et, *i*-Pr, *t*-Bu, 6-(1,2:3,4-di-*O*-isopropylidene- α -*D*-galactopyranosyl). Glycosides **20–24β** are transformed into corresponding 3-deoxy-glycosides, 3-deoxy-β-hexopyranosid-2-uloses, and 3,4-dideoxy-β-hex-3-enopyranosid-2-uloses.



An efficient and enantioselective total synthesis of naturally occurring L-783277

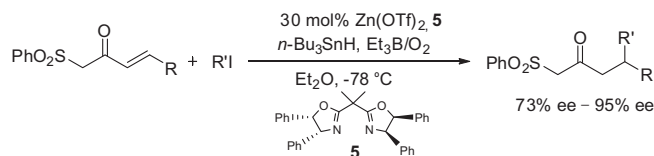
pp 4942–4946

Hwan Geun Choi, Jung Beom Son, Dong-Sik Park, Young Jin Ham, Jung-Mi Hah, Taeho Sim*

**Enantioselective conjugate radical addition to α -phenylsulfonyl enones**

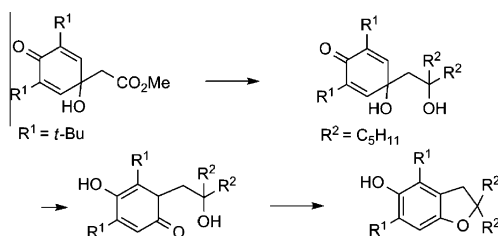
pp 4947–4949

Jin Young Lee, Sundae Kim, Sunggak Kim*

**A new strategy for the synthesis of 4,6-di-*tert*-butyl-2,2-dipentyl-2,3-dihydro-5-benzofuranol (BO-653), a potent antiatherogenic antioxidant**

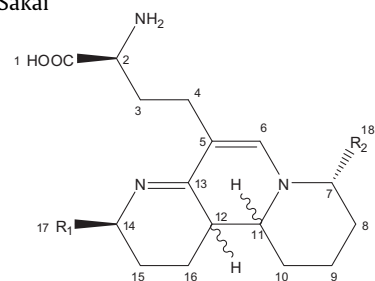
pp 4950–4952

Masatoshi Murakata*, Masahiro Kimura

Efficient synthesis of a titled compound has been developed by the use of Grignard reagent with $MgBr_2$ followed by the base-promoted dienone-phenol rearrangement reaction.**Oryzamutaic acids H–J, new alkaloids from an *Oryza sativa* mutant with yellow endosperm**

pp 4953–4956

Hiroshi Nakano*, Seiji Kosemura, Mitsuru Yoshida, Rika Iwaura, Toshisada Suzuki, Ryota Kaji, Makoto Sakai



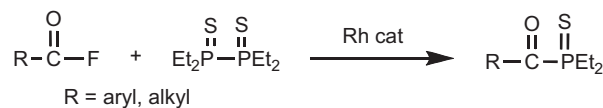
Three new nitrogen-containing heterocyclic alkaloids, oryzamutaic acids H–J (**1–3**), were isolated from the endosperm (polished rice) of an *Oryza sativa* mutant. The structures and relative stereochemistries of **1–3** were elucidated on the basis of spectroscopic analyses.

1 $R_1 = COOH$, $R_2 = COOH$, \cdots H-11, \blacktriangle H-12
2 $R_1 = COOH$, $R_2 = OH$, \cdots H-11, \blacktriangle H-12
3 $R_1 = H$, $R_2 = COOH$, \cdots H-11, \cdots H-12

Synthesis of acylphosphine sulfides by rhodium-catalyzed reaction of acid fluorides and diphosphine disulfides

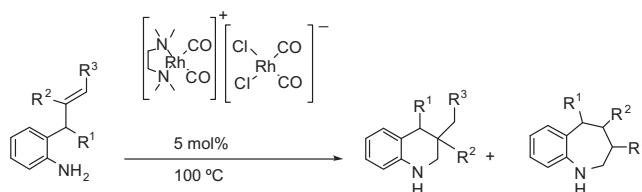
pp 4957–4958

Mieko Arisawa, Toru Yamada, Masahiko Yamaguchi*

**Ionic diamine rhodium complex catalyzed hydroaminomethylation of 2-allylanilines**

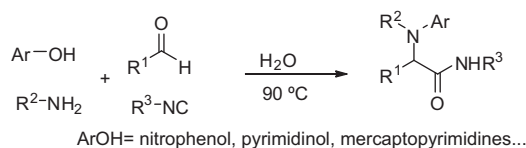
pp 4959–4961

Kazumi Okuro, Howard Alper*

**Ugi–Smiles couplings in water**

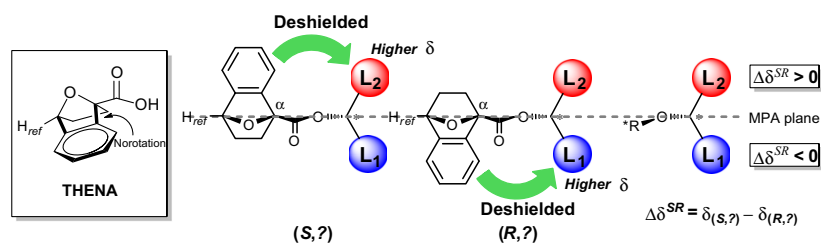
pp 4962–4964

Laurent El Kaïm*, Laurence Grimaud*, Srinivas Reddy Purumandla

**Tetrahydro-1,4-epoxynaphthalene-1-carboxylic acid: a chiral derivatizing agent for the determination of the absolute configuration of secondary alcohols**

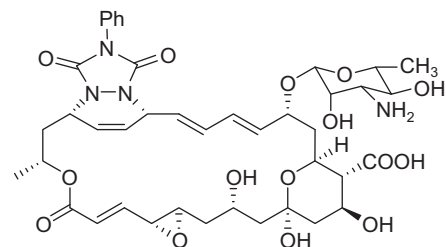
pp 4965–4967

Suttipun Sungsuwan, Nopporn Ruangsapichart, Samran Prabpai, Palangpon Kongsaree, Tienthong Thongpanchang*



A synthetic and in silico study on the highly regioselective Diels–Alder reaction of the polyenic antifungal antibiotics natamycin and flavofungin pp 4968–4971

Zsolt Fejes, Attila Mándi, István Komáromi*, László Majoros, Gyula Batta, Pál Herczegh*



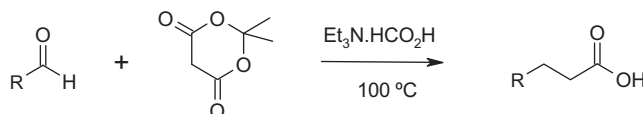
Diels-Alder adduct of natamycin

The tetraenic macrolide antibiotic natamycin and the pentaenic macrolide flavofungin gave monoadducts on Diels–Alder reaction with 4-phenyl-1,2,4-triazoline-3,5-dione. The regioselectivity of the reaction as well as the conformation of the products was studied using theoretical calculations.



One-pot conversion of alkyl aldehydes into substituted propanoic acids via Knoevenagel condensation with Meldrum's acid pp 4972–4974

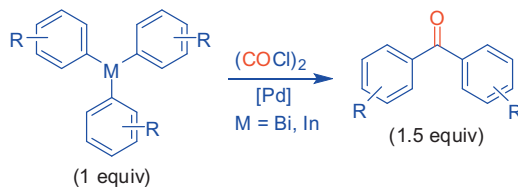
Harminder Mudhar*, Andrew Witty



Reaction of a range of alkyl aldehydes and Meldrum's acid in triethylammonium formate (TEAF) at 100 °C generates substituted propanoic acids in a single step.

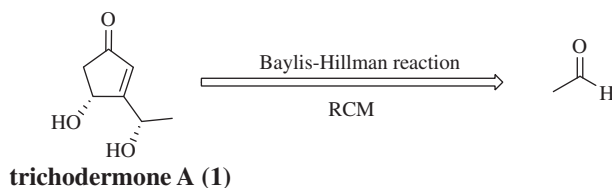
Oxalyl chloride as carbonyl synthon in Pd-catalyzed carbonylations of triarylbi- and triaryli- organometallic nucleophiles pp 4975–4980

Maddali L. N. Rao*, Varadhachari Venkatesh, Priyabrata Dasgupta



First stereoselective total synthesis of trichoderme A pp 4981–4983

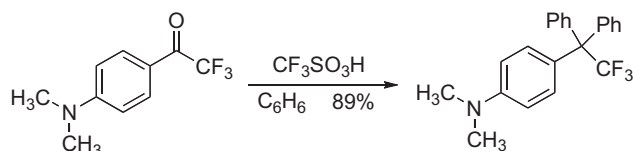
Palakodety Radha Krishna*, Raghu Ram Kadiyala



Condensations of aryl trifluoromethyl ketones with arenes in acidic media

pp 4984–4987

Matthew J. O'Connor, Kenneth N. Boblak, Ashley D. Spitzer, Peter A. Gucciardo, Andrew M. Baumann, Joshua W. Peter, Connie Y. Chen, Ronald Peter, Adam A. Mitton, Douglas A. Klumpp*

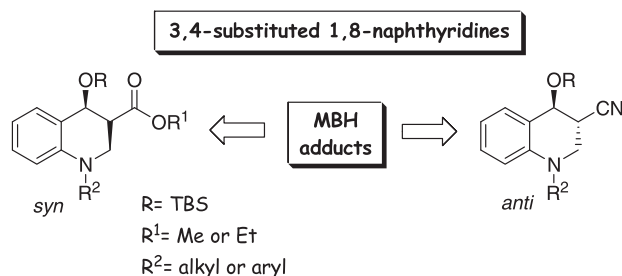


Synthetic and mechanistic studies related to condensations at trifluoromethyl ketones.

Simple and highly diastereoselective access to 3,4-substituted tetrahydro-1,8-naphthyridines from Morita–Baylis–Hillman adducts

pp 4988–4990

Manoel T. Rodrigues Jr., Juliana C. Gomes, Joel Smith, Fernando Coelho*

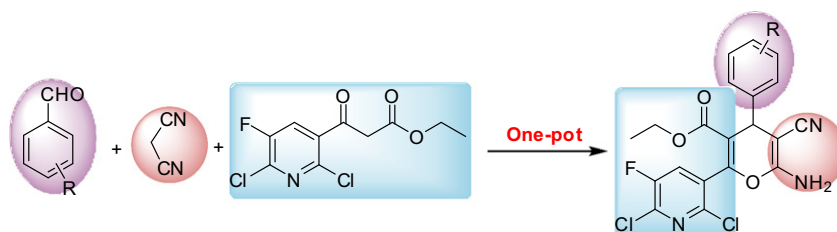


We described herein a facile and diastereoselective method to 3,4-substituted 1,8-naphthyridines from Morita–Baylis–Hillman adducts.

**One-pot synthesis of polyfunctionalized 4H-pyran derivatives bearing fluoro-chloro pyridyl moiety**

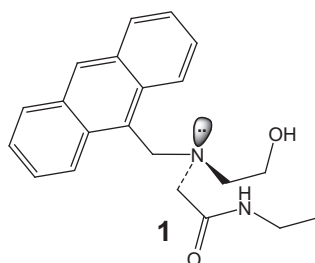
pp 4991–4994

Zhenjun Ye, Renbo Xu, Xusheng Shao, Xiaoyong Xu, Zhong Li*

**Selective sensing of Zn(II) ion by a simple anthracene-based tripodal chemosensor**

pp 4995–4999

Kumaresh Ghosh*, Indrajit Saha

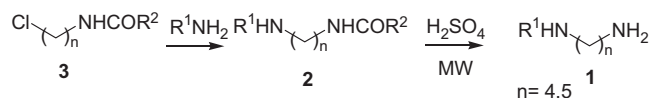


An easy-to-make simple tripodal shaped chemosensor **1**, comprising an anthracene moiety as a fluorophore and amide, alcohol functionalities as ligating groups has been designed and synthesized for Zn(II). In CH₃CN containing 0.1% DMSO, upon excitation at 370 nm, the chemosensor **1** exhibited an emission at 412 nm, which increased to a large extent upon complexation of Zn(II). Among the other metal ions examined in the study, Cd²⁺ moderately perturbed the emission of **1** under similar conditions.



New synthetic route for selectively substituted 1,*n*-diamines. Synthesis of *N*-aryl tetra- and pentamethylenediamines pp 5000–5002

María A. Ramirez, María V. Corona, María M. Blanco, Isabel A. Perillo, Williams Porcal, Alejandra Salerno*

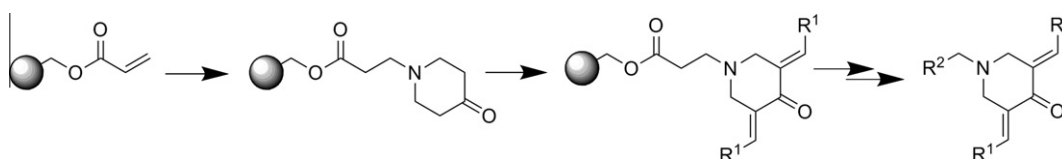


A new procedure for the synthesis of *N*-aryl tetra- and pentamethylenediamines **1** by acid hydrolysis of *N*-acyl-*N*-arylalkylenediamines **2** under microwave irradiation is described.

**Traceless solid-phase synthesis of *N*-substituted 3,5-bis(substituted-ide) piperidin-4-one derivatives**

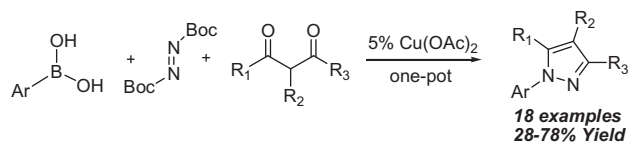
pp 5003–5004

Zhang Liu, Jose L. Medina-Franco, Richard A. Houghten, Marc A. Giulianotti*

**One-pot copper-catalyzed synthesis of *N*-functionalized pyrazoles from boronic acids**

pp 5005–5008

Ramsay E. Beveridge*, Dilinie Fernando, Brian S. Gerstenberger

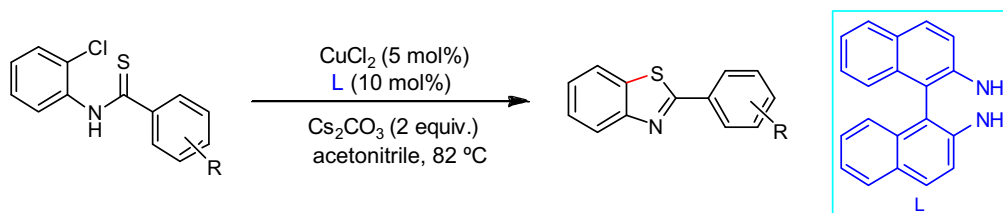


A variety of *N*-aryl pyrazoles difficult to access via conventional methods were formed in a one-pot copper-catalyzed boronic acid coupling and cyclization protocol.

**An efficient copper(II)-catalyzed synthesis of benzothiazoles through intramolecular coupling-cyclization of *N*-(2-chlorophenyl)benzothioamides**

pp 5009–5012

E. A. Jaseer, D. J. C. Prasad, Arpan Dandapat, Govindasamy Sekar*

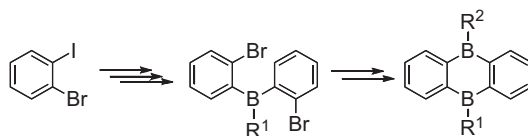


A wide range of 2-aryl or 2-alkyl-substituted benzothiazoles are synthesized through intramolecular C_(aryl)-S bond forming-cyclization using copper(II)-BINAM-catalyzed coupling of less reactive *N*-(2-chlorophenyl)benzo or alkylthioamide under mild reaction conditions (82 °C).

Stepwise synthesis and properties of a 9,10-dihydro-9,10-diboraanthracene

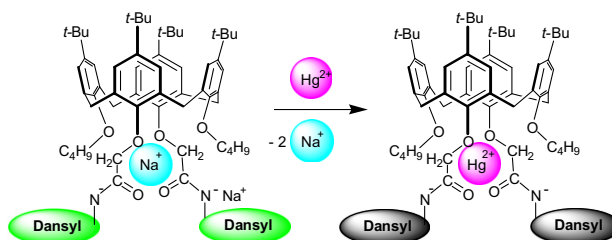
pp 5013–5015

Tomohiro Agou, Masaki Sekine, Takayuki Kawashima*

**A new fluorogenic calix[4]arene *N*-dansylcarboxamide in the *cone* conformation for selective optical recognition of mercury(II)**

pp 5016–5019

Pogisego Dinake, Polina E. Prokhorova, Vladimir S. Talanov, Raymond J. Butcher, Galina G. Talanova*

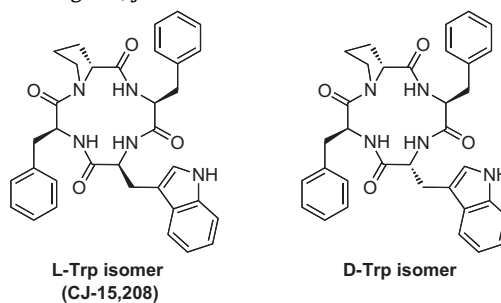


Preorganization of the dansyl-containing calix[4]arene in the *cone* conformation preferred by the flexible prototype in its Hg^{2+} complex yielded a novel fluoroionophore with improved sensorial characteristics towards this hazardous metal ion.

**Synthesis of CJ-15,208, a novel κ -opioid receptor antagonist**

pp 5020–5023

Nicolette C. Ross, Santosh S. Kulkarni, Jay P. McLaughlin, Jane V. Aldrich*

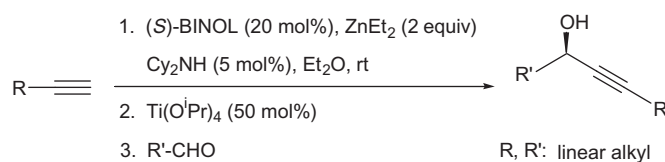


A strategy to select linear precursor peptides favoring cyclization was developed and cyclization conditions were optimized.

**Highly enantioselective addition of linear alkyl alkynes to linear aldehydes**

pp 5024–5027

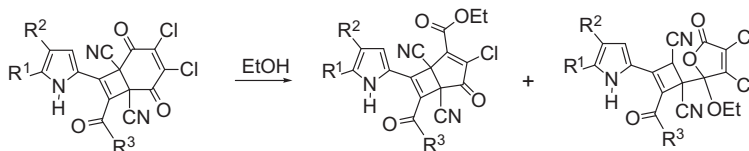
Yuhao Du, Mark Turlington, Xiang Zhou*, Lin Pu*



Rearrangements of the [2+2]-cycloadducts of DDQ and 2-ethynylpyrroles

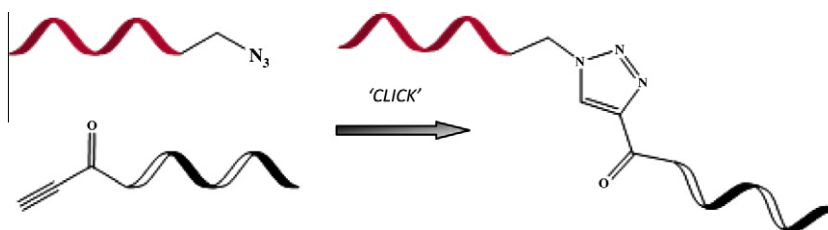
pp 5028–5031

Boris A. Trofimov*, Lyubov N. Sobenina, Zinaida V. Stepanova, Igor A. Ushakov, Albina I. Mikhaleva, Denis N. Tomilin, Olga N. Kazheva, Grigori G. Alexandrov, Anatolii N. Chekhlov, Oleg A. Dyachenko

**Conjugation of an oligonucleotide to Tat, a cell-penetrating peptide, via click chemistry**

pp 5032–5034

Sarah D. Brown, Duncan Graham*



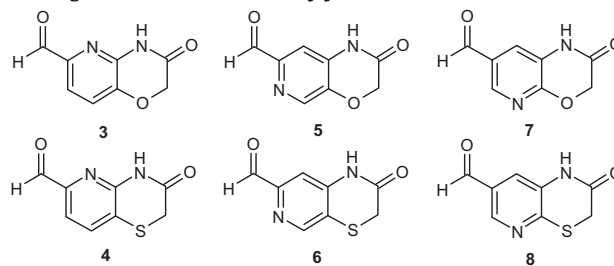
Tat peptide has been shown to have excellent cell-penetrating properties. Here, we provide the first report on the modification of Tat peptide and oligonucleotides to form an oligonucleotide–peptide conjugate using copper-catalysed azide–alkyne cycloaddition. Highly denaturing conditions were used to ensure that the biomolecules were tethered covalently as opposed to electrostatically.

**The design of efficient and selective routes to pyridyl analogues of 3-oxo-3,4-dihydro-2H-1,4-(benzothiazine or benzoxazine)-6-carbaldehydes**

pp 5035–5037

Gerald Brooks, Steven Dabbs, David T. Davies, Alan J. Hennessy, Graham E. Jones, Roger E. Markwell, Timothy J. Miles*, Nathan A. Owston, Neil D. Pearson, Tony W. Peng

Six different routes to give gram quantities of the following challenging aldehydes

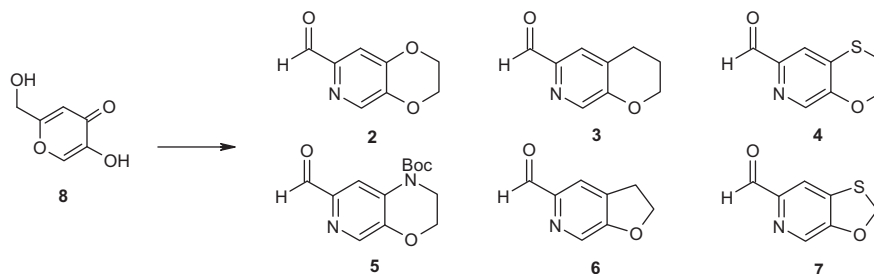


This Letter describes the synthesis of six challenging aldehydes that were unknown in the literature at the time of this work. Six different routes are discussed that are high yielding, contain no major purification issues and have been used to give gram quantities of each aldehyde.

The design of efficient and selective routes to pyridyl analogues of 2,3-dihydro-1,4-benzodioxin-6-carbaldehyde

pp 5038–5040

Christopher W. Barfoot, Pamela Brown, Steven Dabbs, David T. Davies, Alan J. Hennessy, Timothy J. Miles*, Neil D. Pearson

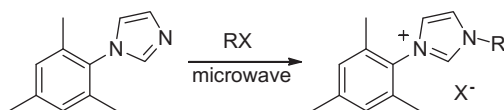


This Letter describes the synthetic routes to challenging pyridyl analogues of 2,3-dihydro-1,4-benzodioxin-6-carbaldehyde starting from kojic acid (8), and have been used to give gram quantities of each aldehyde.

Expedient synthesis of *N'*-substituted *N*-mesitylimidazolium salts as NHC precursors

pp 5041–5043

Byron J. Truscott, Rosalyn Klein, Perry T. Kaye*

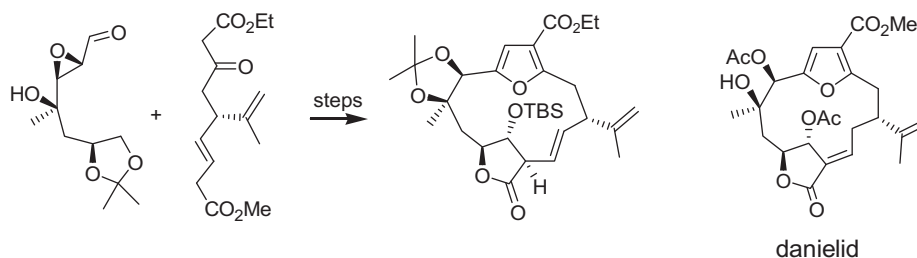


Microwave irradiation provides rapid and convenient access to unsymmetrical *N'*-substituted *N*-mesitylimidazolium salts, which are important precursors for NHC ligands.

Synthetic studies towards oxygenated and unsaturated furanocembranoid macrocycles. Precursors to plumarellide, rameswaralide and mandapamates

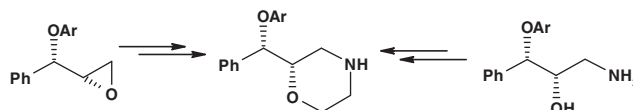
pp 5044–5047

Gerald Pattenden*, Johan M. Winne

**Application of a process friendly morpholine synthesis to (*S,S*)-Reboxetine**

pp 5048–5051

Georges Assaf*, Gemma Cansell, Doug Critcher, Stuart Field, Stewart Hayes, Suju Mathew, Alan Pettman

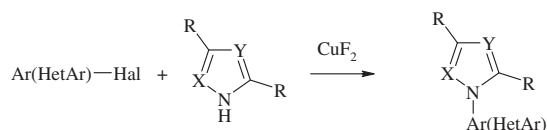


We report our results on the construction of a morpholine ring system from the corresponding epoxide and amino alcohol. From this study, we were able to convert a previous four-step synthesis into a more efficient two-step process.

Copper(II) fluoride-catalyzed *N*-arylation of heterocycles with halothiophenes

pp 5052–5055

Pavel Arsenyan*, Edgars Paegle, Alla Petrenko, Sergey Belyakov

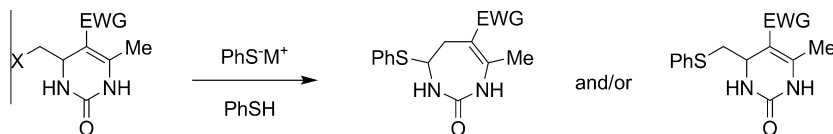


Copper(II) fluoride-mediated *N*-arylation of heterocycles with halothiophenes is described. The yield of the pyrazolylthiophene depends strongly on the nature of the initial thiophene.

The dramatic effect of thiophenol on the reaction pathway of ethyl 4-chloromethyl-6-methyl-2-oxo-1,2,3,4-tetrahydropyrimidine-5-carboxylate with thiophenolates: ring expansion versus nucleophilic substitution

pp 5056–5059

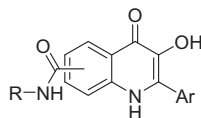
Anastasia A. Fesenko, Ludmila A. Trafimova, Dmitry A. Cheshkov, Anatoly D. Shutalev*

EWG = COOEt, Ts; X = Cl, OMs; M⁺ = Na⁺, K⁺

Fluorescence properties of 2-aryl-3-hydroxyquinolin-4(1H)-one-carboxamides

pp 5060–5063

Kamil Motyka*, Jan Hlaváč, Miroslav Sural, Petr Funk

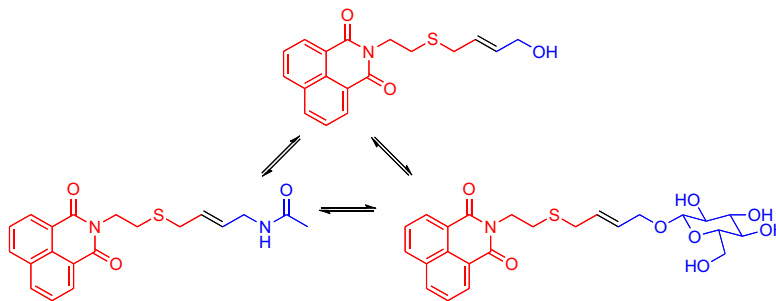


The fluorescence properties of 2-aryl-3-hydroxyquinolin-4(1H)-one-carboxamides (3HQCs) with carboxylic alkylamide groups at positions 6, 7 or 8 (3HQ6Cs, 3HQ7Cs, and 3HQ8Cs) have been studied to evaluate their potential as molecular probes.

Reversible aqueous metathesis reactions for potential application in dynamic combinatorial chemistry

pp 5064–5067

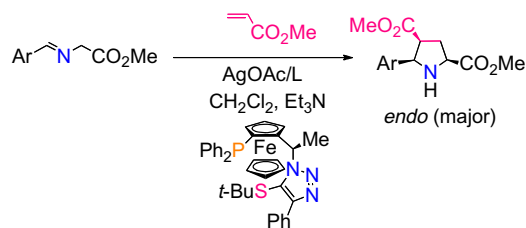
Luke Hunter, Glenn C. Condie, Margaret M. Harding*



Ag/ThioClickFerrophos catalyzed highly enantioselective 1,3-dipolar cycloaddition of azomethine ylides with alkenes

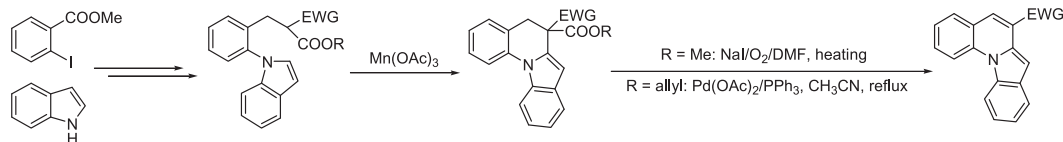
pp 5068–5070

Kenta Shimizu, Kenichi Ogata, Shin-ichi Fukuzawa*



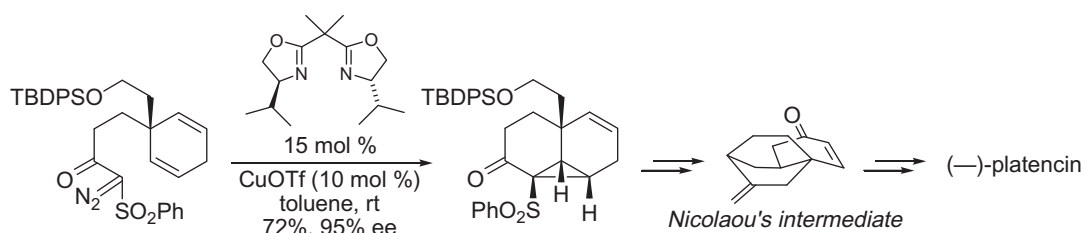
An expedient synthesis of indolo[1,2-*a*]quinolines via Mn(OAc)₃-mediated oxidative free radical cyclization and NaI/O₂- assisted dealkoxycarbonylation/aerobic oxidation cascade

Hyun Seung Lee, Se Hee Kim, Yu Mi Kim, Jae Nyoun Kim*


An enantioselective approach to (–)-platencin via catalytic asymmetric intramolecular cyclopropanation

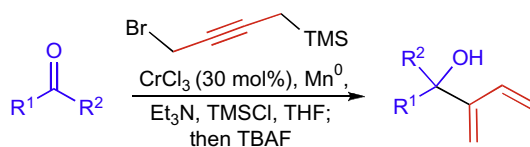
pp 5076–5079

Sho Hirai, Masahisa Nakada*

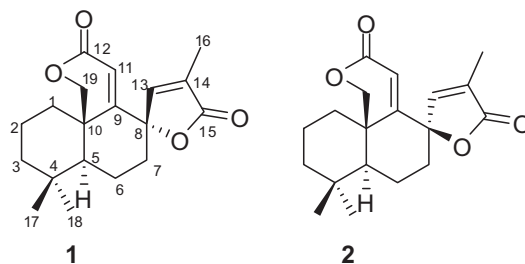

Synthesis of tertiary 1,3-butadien-2-ylcarbinols from chromium-catalyzed addition of (4-bromobut-2-ynyl)trimethylsilane to ketones

pp 5080–5082

María Durán-Galván, James R. Hemmer, Brian T. Connell*


Castanolide and epi-castanolide, two novel diterpenoids with a unique seco-norabietane skeleton from *Salvia castanea* Diels f. *pubescens* Stib.

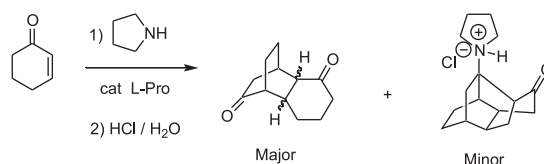
Zheng-Hong Pan, Juan He, Yan Li, Yu Zhao, Xing-De Wu, Kou Wang, Li-Yan Peng, Gang Xu, Qin-Shi Zhao*



Dual mechanisms of an organocatalytic homodimerization reaction

pp 5086–5090

Vanina Guidi, Sergio Sandoval, Michael A. McGregor, William Rosen*

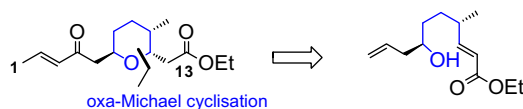


An organocatalytic homodimerization reaction is shown to proceed via a cascade mechanism involving enamine–iminium ion intermediates in competition with a concerted Diels–Alder pathway.

Stereoselective synthesis of the C1–C13 fragment of bistramide A

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Supplementary data available via ScienceDirect

COVER

Naturally occurring L-783277 turned out to be a potent kinase inhibitor against MEK (MAP kinase kinase). An efficient and enantioselective total synthesis of L-783277 was successfully accomplished. Three key steps composed of olefin cross metathesis, addition of acetylene derivative to aldehyde and Yamaguchi macrolactonization were subsequently employed to construct the framework of L-783277.

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