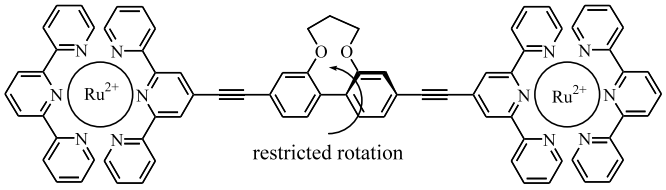
Building molecular-scale bridges having restricted rotation

Tetrahedron Letters 44 (2003) 4167

Andrew C. Benniston,* Anthony Harriman, Peiyi Li and Craig A. Sams

Molecular Photonics Laboratory, Bedson Building, School of Natural Sciences (Chemistry), University of Newcastle, Newcastle-upon-Tyne NE1 7RU, UK

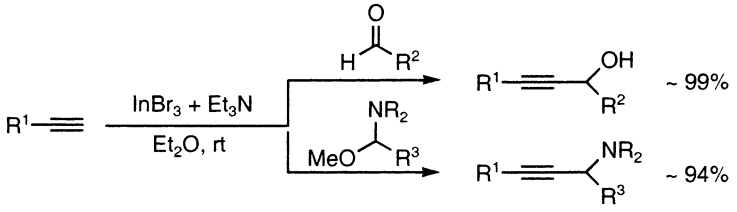


$InBr_3$–Et_3N promoted alkynylation of aldehydes and *N,O*-acetals under mild conditions: facile and simple preparation of propargylic alcohols and amines

Tetrahedron Letters 44 (2003) 4171

Norio Sakai,* Maki Hirasawa and Takeo Konakahara*

Department of Pure and Applied Chemistry, Faculty of Science and Technology, Tokyo University of Science, Noda, Chiba 278-8510, Japan

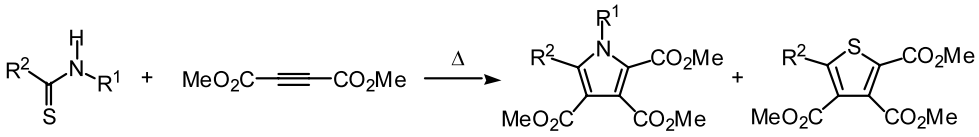


Unexpected formation of novel pyrrole derivatives by the reaction of thioamide with dimethyl acetylenedicarboxylate

Tetrahedron Letters 44 (2003) 4175

Hirofumi Nakano,* Tomoaki Ishibashi and Toshihiko Sawada

Department of Chemistry, Aichi University of Education, Igaya, Kariya, Aichi 448-8542, Japan

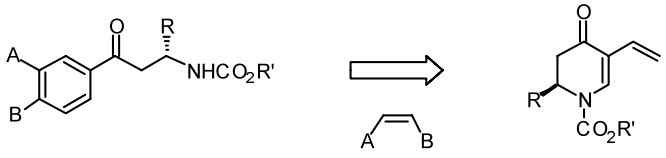


Tandem Diels–Alder cyclization/aromatization reactions of 5-vinyl-1-acyl-2-aryl-2,3-dihydro-4-pyridones

Tetrahedron Letters 44 (2003) 4179

Jeffrey T. Kuethe and Daniel L. Comins*

North Carolina State University, Department of Chemistry, Raleigh, NC 27695, USA



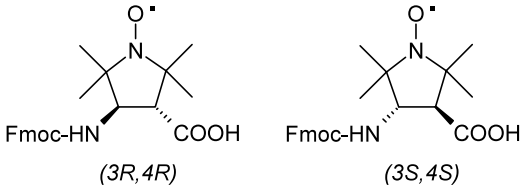
First access to the spin-labelled β-amino acid POAC in an enantiopure state by resolution through its binaphthyl esters

Tetrahedron Letters 44 (2003) 4183

Karen Wright,[a,*] Fernando Formaggio,[b] Claudio Toniolo,[b] Roland Török,[c] Antal Péter,[c] Michel Wakselman[a] and Jean-Paul Mazaleyrat[a]

[a]*SIRCOB, UMR CNRS 8086, Bât. Lavoisier, University of Versailles, F-78000 Versailles, France*
[b]*Institute of Biomolecular Chemistry, CNR, Department of Organic Chemistry, University of Padova, I-35131 Padova, Italy*
[c]*Department of Inorganic and Analytical Chemistry, University of Szeged, PO Box 440, H-6701 Szeged, Hungary*

Practical resolution of *trans*-3-amino-1-oxyl-2,2,5,5-tetramethylpyrrolidine-4-carboxylic acid (POAC) to both its (3*R*,4*R*) and (3*S*,4*S*) enantiomers has been achieved through esterification of its N^{α}-Fmoc protected derivative with (*aR*) binaphthol.

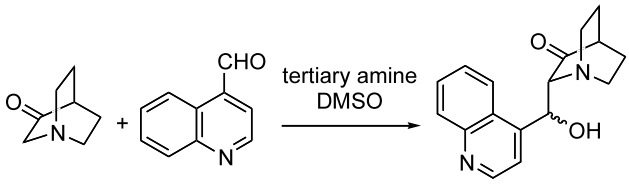


Synthetic approaches to *Cinchona* alkaloids: the C-8/C-C-9 disconnection strategy

Tetrahedron Letters 44 (2003) 4187

Raphaël Jankowski,[a] Delphine Joseph,[a] Christian Cavé,[a] Françoise Dumas,[a] Michèle Ourevitch,[a] Jacqueline Mahuteau,[a] Georges Morgant,[b] Nada Bosnjaković Pavlović[c] and Jean d'Angelo[a,*]

[a]*Unité de Chimie Organique, UMR CNRS 8076, Centre d'Etudes Pharmaceutiques, Université Paris-Sud, 5 rue Jean-Baptiste Clément, 92296 Châtenay-Malabry, France*
[b]*Laboratoire de Cristallochimie Bioinorganique, Centre d'Etudes Pharmaceutiques, Université Paris-Sud, 5 rue Jean-Baptiste Clément, 92296 Châtenay-Malabry, France*
[c]*SPMS, UMR CNRS 8580, Ecole Centrale de Paris, Grande Voie des Vignes, 92296 Châtenay-Malabry, France*

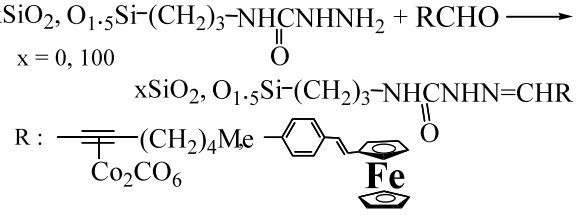


Synthesis and chemical reactivity of semicarbazide-supported silicas

Tetrahedron Letters 44 (2003) 4191

Carl Blanchet,[a] Pascal Joly,[c] Michel Granier,[a] Gérard F. Lanneau,[a] Marc Cretin,[b] Michel Persin,[b] Oleg Melnyk[c,*] and Jean-Olivier Durand[a,*]

[a]*Chimie Moléculaire et Organisation du Solide, UMR 5637, cc007, Université Montpellier 2, place Eugène Bataillon, F-34095 Montpellier cedex 05, France*
[b]*Institut Européen des Membranes, UMR 5635, cc047, Université Montpellier 2, place Eugène Bataillon, F-34095 Montpellier cedex 05, France*
[c]*Institut de Biologie de Lille, UMR CNRS 8525, 1, rue du Professeur Calmette, 59021 Lille cedex, France*



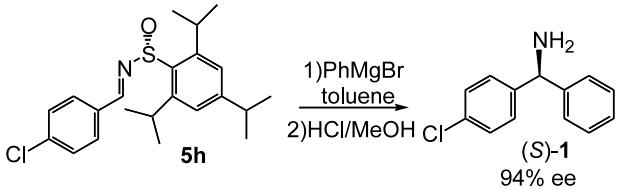
The synthesis of xerogels possessing a Fmoc-protected semicarbazide group covalently linked to a silica matrix is described. BET and fluorescent analyses showed the homogeneity of the xerogels. After deprotection, the reactivity of the supported semicarbazide group with model aldehydes was examined.

Effective tuning of the arene and alkanesulfinamides for highly enantioselective synthesis of (*S*)-4-chlorophenylphenylmethylamine, a key intermediate for antihistamic (*S*)-cetirizine

Tetrahedron Letters 44 (2003) 4195

Zhengxu Han,* Dhileepkumar Krishnamurthy, Paul Grover, Q. Kevin Fang, Derek A. Pflum and Chris H. Senanayake*

Chemical Process Research and Development, Sepracor, Inc., 84 Waterford Dr., Marlborough, MA 01752, USA

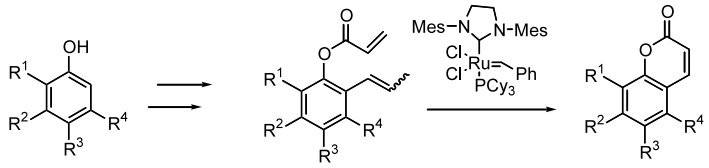


Tetrahedron Letters 44 (2003) 4199

Synthesis of coumarins by ring-closing metathesis using Grubbs' catalyst

Tuyen Nguyen Van, Silvia Debenedetti and Norbert De Kimpe*

Department of Organic Chemistry, Faculty of Agricultural and Applied Biological Sciences, Ghent University, Coupure links 653, B-9000 Ghent, Belgium

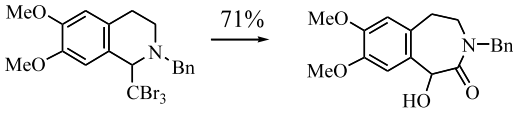


Tetrahedron Letters 44 (2003) 4203

Silver nitrate-promoted ring enlargement of 1-tribromomethyl-1,2-dihydro- and 1-tribromomethyl-1,2,3,4-tetrahydro-isoquinoline derivatives: application to the synthesis of the anti-anginal zatebradine

Mickaël Pauvert, Sylvain Collet and André Guingant*

Laboratoire de Synthèse Organique, Faculté des Sciences et des Techniques, 2 rue de la Houssinière, BP 92208-44322 Nantes Cedex 03, France



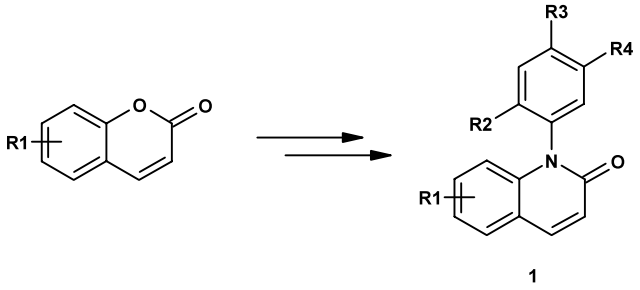
Tetrahedron Letters 44 (2003) 4207

An efficient route from coumarins to highly functionalized *N*-phenyl-2-quinolinones via Buchwald–Hartwig amination

Thomas Ullrich* and Francis Giraud

Novartis Forschungsinstitut GmbH, Medicinal Chemistry Unit, Brunner Strasse 59, A-1235 Wien, Austria

N-Phenyl-2-quinolinones of the general formula **1** were obtained in a convenient four-step route from a variety of commercially available coumarins, utilizing customized Buchwald–Hartwig amination protocols for the key reaction.



1

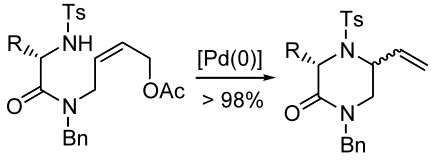
Tetrahedron Letters 44 (2003) 4213

A new access to 3,5-disubstituted piperazinones via Pd(0)-catalyzed amination

Benoit Ferber,[a] Sébastien Lemaire,[a] Mary M. Mader,[b] Guillaume Prestat[a] and Giovanni Poli[a,*]

[a]*Laboratoire de Chimie Organique, UMR 7611 CNRS, Université Pierre et Marie Curie, Tour 44-45, Boîte 183, 4, Place Jussieu, F-75252 Paris, Cedex 05, France*

[b]*Eli Lilly and Company, Lilly Corporate Center, Drop Code 1523, Indianapolis, IN 46285, USA*



Tetrahedron Letters 44 (2003) 4217

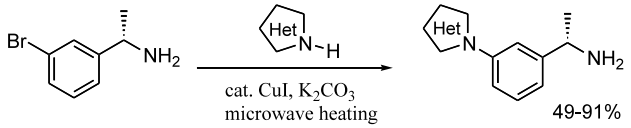
Copper-catalyzed coupling of (*S*)-1-(3-bromophenyl)-ethylamine and N–H containing heteroarenes using microwave heating

Yong-Jin Wu,[a,*] Huan He[a] and Alexandre L'Heureux[b]

[a]*Department of Neuroscience Chemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, 5 Research Parkway, Wallingford, CT 06492, USA*

[b]*Department of Medicinal Chemistry Research, Bristol-Myers Squibb Pharmaceutical Research Institute, 100 de l'Industrie Blvd., Candiac, Quebec, Canada J5R 1J1*

The Ullmann coupling of (*S*)-[1-(3-bromophenyl)-ethyl]-ethylamine with N–H heteroarenes using microwave heating is described.



Tetrahedron Letters 44 (2003) 4219

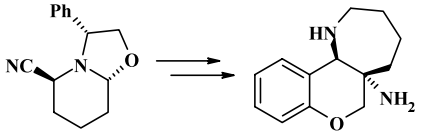
Synthesis of hexahydrochromeno[4,3-*b*]azepine derivatives

Grégoire Pavé,[a] Jean-Michel Léger,[b] Christian Jarry,[b] Marie-Claude Viaud-Massuard[c] and Gérald Guillaumet[a,*]

[a]*Institut de Chimie Organique et Analytique, UMR CNRS 6005, Université d'Orléans, BP 6759, 45067 Orléans Cedex 2, France*

[b]*EA 2962 Pharmacochimie, UFR de Pharmacie, Université Victor Segalen Bordeaux 2, 33076 Bordeaux Cedex, France*

[c]*Groupe de Recherche en Chimie Heterocyclique et Thérapeutique, EA 3247, UFR Sciences Pharmaceutiques, Université de Tours, 31 avenue Monge, 37200 Tours, France*

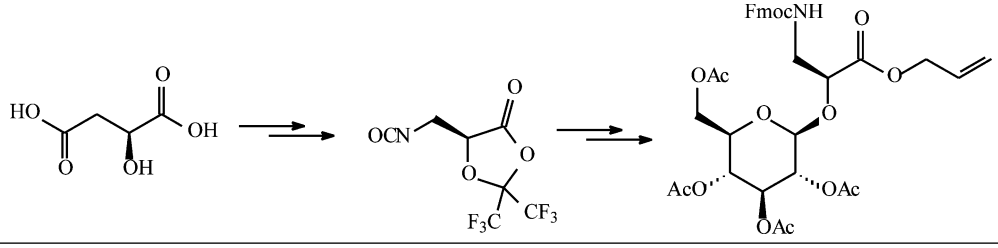


Tetrahedron Letters 44 (2003) 4223

New types of glycoconjugates: *O*-glycosylated, *N*-glycosylated and *O*-,*N*-diglycosylated isoserine derivatives

Christoph Böttcher and Klaus Burger*

Department of Organic Chemistry, University of Leipzig, Johannisallee 29, D-04103 Leipzig, Germany



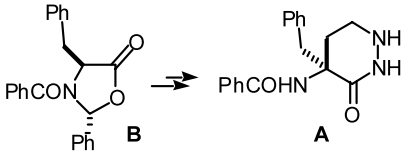
Tetrahedron Letters 44 (2003) 4227

A diastereoselective synthesis of the tetrahydropyridazinone core of 2-oxo-1,6-diazobicyclo[4.3.0]nonane-9-carboxylate-based peptidomimetics starting from (*S*)-phenylalanine

James Gardiner and Andrew D. Abell*

Department of Chemistry, University of Canterbury, Christchurch, New Zealand

A diastereoselective synthesis of the tetrahydropyridazinone **A**, an important component of β-strand mimetics, is presented based upon a stereoselective allylation of the (*S*)-phenylalanine derived oxazolidinone **B**, followed by methanolysis of the oxazolidinone, ozonolysis, hydrazone formation and finally $NaB(CN)H_3$ reduction.



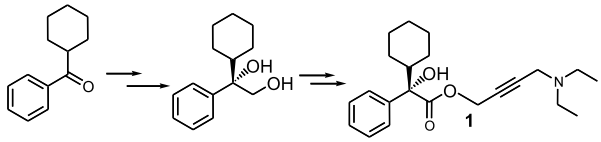
Tetrahedron Letters 44 (2003) 4231

An asymmetric dihydroxylation route to (*S*)-oxybutynin

Priti Gupta, Rodney A. Fernandes and Pradeep Kumar*

Division of Organic Chemistry: Technology, National Chemical Laboratory, Pune 411008, India

A convenient synthesis of (*S*)-oxybutynin **1** is reported using the Sharpless asymmetric dihydroxylation (SAD) of α-cyclohexylstyrene as the key step.

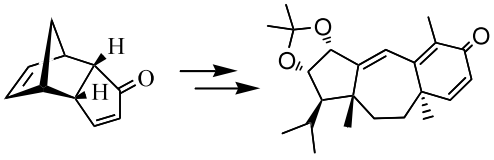


Tetrahedron Letters 44 (2003) 4233

Guanacastepene-A total synthesis: construction of the tricyclic *iso*-guanacastepane, *epi*-guanacastepane and guanacastepane frameworks

Goverdhan Mehta,* Jayant D. Umarye and Kalidindi Srinivas

Department of Organic Chemistry, Indian Institute of Science, Bangalore 560 012, India

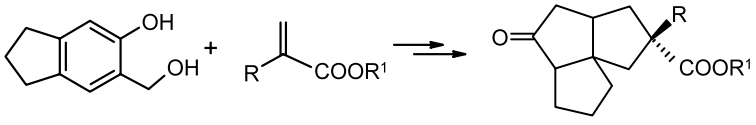


Tetrahedron Letters 44 (2003) 4239

$\pi^{4s}+\pi^{2s}$ Cycloaddition between electron deficient π-systems and photoreaction of β,γ-enones: a novel stereoselective entry into angular triquinanes

Vishwakarma Singh* and Sanjoy Lahiri

Department of Chemistry, Indian Institute of Technology, Bombay 400076, India

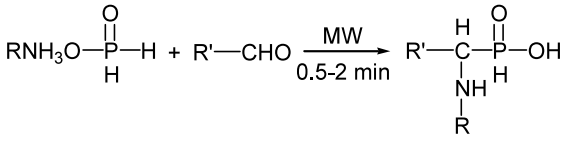


Tetrahedron Letters 44 (2003) 4243

Microwave-assisted synthesis of α-aminophosphinic acids from hypophosphorus acid salts under solvent free conditions

Babak Kaboudin* and Nasser As-habei

Department of Chemistry, Institute for Advanced Studies in Basic Sciences (IASBS), Gava Zang, Zanjan 45195-159, Iran

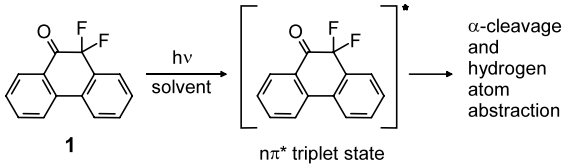


Tetrahedron Letters 44 (2003) 4247

Photolysis of 10,10-difluorophenanthren-9(10*H*)-one. Evidence for solvent-assisted α-cleavage

Boris Šket,* Berta Košmrlj, Maja Harej and Darko Dolenc

University of Ljubljana, Faculty of Chemistry and Chemical Technology, Aškerčeva 5, SI-1000 Ljubljana, Slovenia

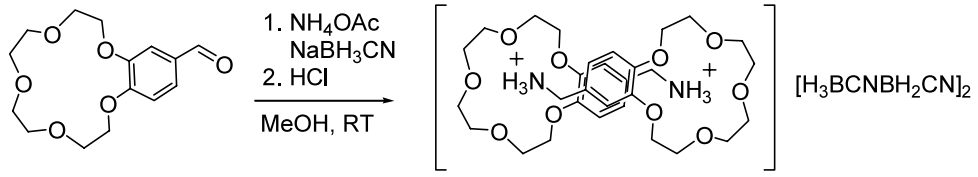


Tetrahedron Letters 44 (2003) 4251

Proton-induced supramolecular dimerization of aminomethylbenzo-15-crown-5 accompanied by a covalent dimerization of cyanoborohydride anion

Olga P. Kryatova, Ivan V. Korendovych and Elena V. Rybak-Akimova*

Department of Chemistry, Tufts University, Medford, MA 02155, USA

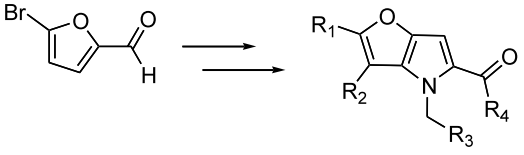


Tetrahedron Letters 44 (2003) 4257

Synthesis of a novel series of tetra-substituted furan[3,2-*b*]pyrroles

Karen L. Milkiewicz,* Daniel J. Parks and Tianbao Lu

3-Dimensional Pharmaceuticals, 665 Stockton Drive, Exton, PA 19341, USA



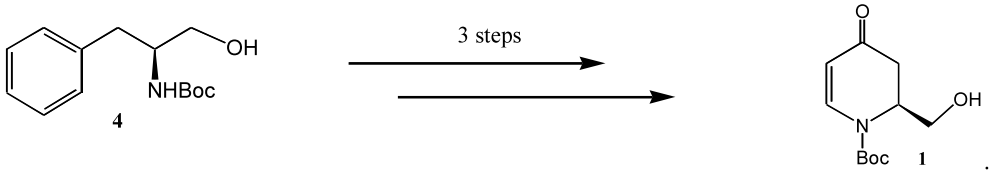
Tetrahedron Letters 44 (2003) 4261

A versatile and efficient synthesis of (2*S*)-2-(hydroxymethyl)-*N*-Boc-2,3-dihydro-4-pyridone

A. Shashidhar Kumar, B. Haritha and B. Venkateswara Rao*

Organic Chemistry Division III, Indian Institute of Chemical Technology, Hyderabad 500007, India

The synthesis of **1** was achieved by a novel strategy involving Birch reduction and ozonolysis.



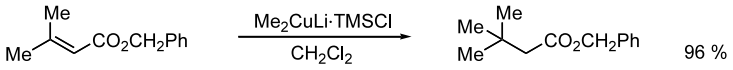
Tetrahedron Letters 44 (2003) 4265

$Me_2CuLi{\cdot}TMSCl$ in CH_2Cl_2'. The most powerful methylating agent for sterically congested α,β-enoates

Naoki Asao, Sunyoung Lee and Yoshinori Yamamoto*

Department of Chemistry, Graduate School of Science, Tohoku University, Sendai 980-8578, Japan

The reaction of Me_2CuLi with sterically congested α,β-unsaturated esters in the presence of TMSCl in CH_2Cl_2 proceeded very smoothly to produce the conjugate addition products in high yields.



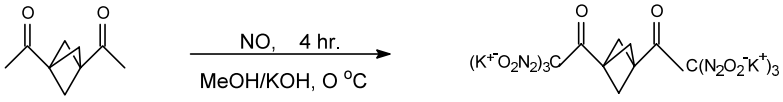
Tetrahedron Letters 44 (2003) 4267

New methanetrisdiazeniumdiolates

Navamoney Arulsamy,[a] D. Scott Bohle,[a,b,*] Andrew L. Korich[c] and Kathleen R. Mondanaro[c,*]

[a]*Department of Chemistry, University of Wyoming, Laramie, WY 82071-3838, USA*
[b]*Department of Chemistry, McGill University, 801 Sherbrooke W., Montreal, Canada H3A 2K6*
[c]*Department of Chemistry, Saint Michael's College, One Winooski Park, Colchester, VT 05439, USA*

Twelve nitric oxides add to 1,3-biacetyl[1.1.1]pentane to give a new bis(methanetrisdiazeniumdiolate).

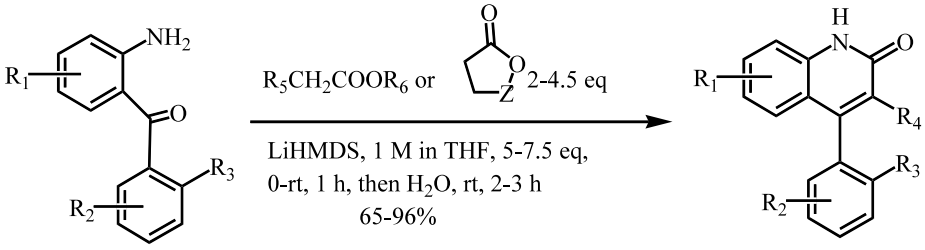


Tetrahedron Letters 44 (2003) 4271

A mild and efficient synthesis of 4-aryl-quinolin-2(1*H*)-ones via a tandem amidation/Knoevenagel condensation of 2-amino-benzophenones with esters or lactones

Jianji Wang,* Robert P. Discordia, Gerard A. Crispino, Jun Li, John A. Grosso, Richard Polniaszek and Vu C. Truc

Bristol-Myers Squibb Pharmaceutical Research Institute, Process Research & Development, One Squibb Drive, New Brunswick, NJ 08903, USA

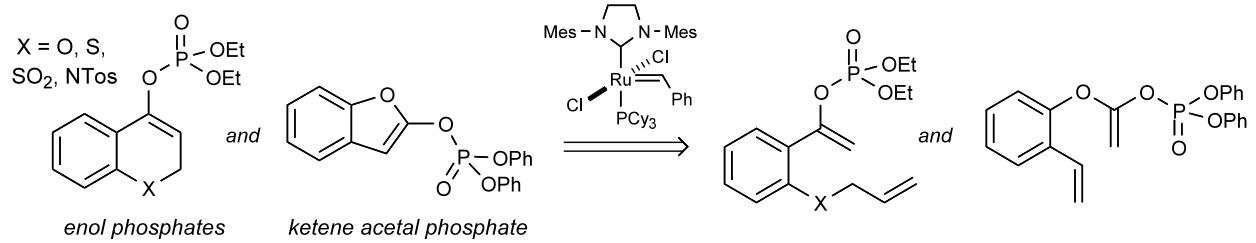


Tetrahedron Letters 44 (2003) 4275

A convenient approach to cyclic enol phosphates via ring-closing metathesis

Alan Whitehead, Joel D. Moore and Paul R. Hanson*

Department of Chemistry, University of Kansas, 1251 Wescoe Hall Drive, Lawrence, KS 66045-7582, USA



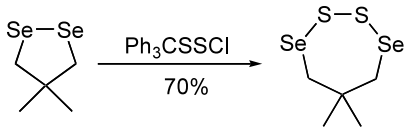
A novel synthesis of organic diselenapolysulfides

Tetrahedron Letters 44 (2003) 4279

Yihua Hou,[a] Andrzej Z. Rys,[a] Imad A. Abu-Yousef[b] and David N. Harpp[a,*]

[a]*Department of Chemistry, McGill University, Montreal, Canada H3A 2K6*
[b]*Department of Chemistry, American University of Sharjah, PO Box 26666, United Arab Emirates*

Various organic polychalcogenides with a common structure of $RSeS_xSeR$ (with $x = 1, 2, 3$) were synthesized in good yield and high purity from the reaction of Ph_3CS_xCl with corresponding diselenides in chloroform at room temperature.



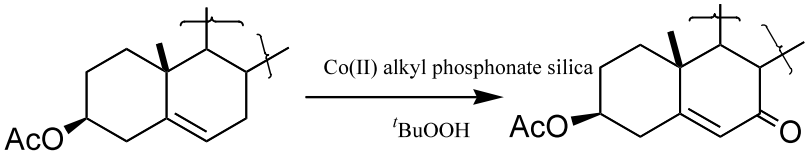
Allylic and benzylic oxidation using cobalt(II) alkyl phosphonate modified silica

Tetrahedron Letters 44 (2003) 4283

Magdalena Jurado-Gonzalez, Alice C. Sullivan* and John R. H. Wilson

Department of Chemistry, Queen Mary, University of London, Mile End Road, London E1 4NS, UK

The allylic and benzylic oxidation of a range of substrates proceeds in good yield using catalytic quantities of cobalt(II) alkyl phosphonate modified silica and *tert*-butyl hydroperoxide.



Condensation of orthoacetates with aldehydes: a new strategy for the preparation of α,β-unsaturated esters

Tetrahedron Letters 44 (2003) 4287

H. M. Sampath Kumar,* M. Shesha Rao, Sipak Joyasawal and J. S. Yadav

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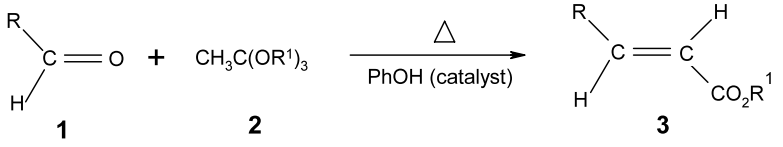

1 2 3

R = aryl, alkyl, heterocyclic and α,β–unsaturated
$R^1 = CH_3, C_2H_5$

Temperature-controlled selective reduction of arenesulfonyl chlorides promoted by samarium metal in DMF

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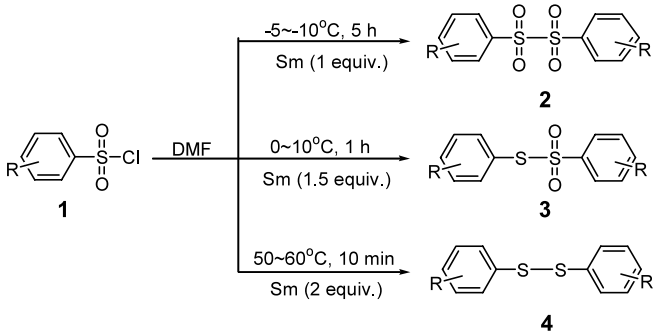
Promoted by samarium in DMF, diaryldisulfones, diarylthiosulfonates and diaryldisulfides can be obtained by selective reduction of arenesulfonyl chlorides via reaction temperature control without pretreating or activating the metallic samarium.



1 2 3 4

Tetrahedron Letters 44 (2003) 4295

Amplification of diastereoselectivity by cyclodextrins in the copper-mediated cleavages of methylphosphonamidothioates

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Cu-mediated cleavage, coupled with diastereoselective binding and orientational preferences supplied by gamma cyclodextrin, lead to substantial kinetic diastereoselectivity between phosphonamidothioate diastereomers.