GRAPHICAL ABSTRACTS

Palladium Catalyzed Coupling of Aryl Chlorides with Arylboronic Acids

Wang Shen, Department 47B, Cancer Research, Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL 60064

Efficient Suzuki couplings of electron deficient aryl chlorides with arylboronic acids have been achieved.

R
$$Cl$$
 + Ar-B(OH)₂ CsF , NMP, 100 - 120 CsF Ar

L = dppp, Cy₃P, Ph₃P X = Cl, OAc 56-98%

Synthesis of Substituted Tetrahydrofuranones and Tetrahydropyranones: Photocycloaddition/Fragmentation Reactions of Dioxinones

Jay H. Dritz and Erick M. Carreira*

Arnold and Mabel Beckman Laboratory for Chemical Synthesis Division of Chemistry and Chemical Engineering California Institute of Technology, Pasadena, California 91125

The combination of dioxinone aldol addition methodology and [2+2]–Photocycloaddition/fragmentation reactions can provide access to substituted tetrahydrofuran–3—ones and tetrahydropyran—4—ones, subunits abundantly found in biologically active natural products. Intramolecular photocyclization of vinyl and allyl ethers with dioxinones, followed by fragmentation in alkaline MeOH (K2CO3) leads to tetrahydrofuran–3—ones and tetrahydropyran–4—ones, providing a practical route to versatile building blocks for complex molecule synthesis.

Tetrahedron Letters, 1997, 38, 5579

Tetrahedron Letters, 1997, 38, 5575

Tetrahedron Letters, 1997, 38, 5583

A NEW FRAMEWORK FOR THE CYCLOAROMATIZATION OF ENEDIYNES UNDER MILD CONDITIONS.

M. F. Semmelhack, Yansong Gu, and Douglas M. Ho, Department of Chemistry, Princeton University, Princeton, NJ 08544

A bicyclo[8.3.0] framework as a potential functional model for the enedigne toxins has been designed, synthesized, and evaluated.

ArOCH₂Li O OTBS
$$t_{1/2} = 13-14 \text{ h/25} ^{\circ}\text{C}$$
 AcQ OTBS $t_{1/2} = 13-14 \text{ h/25} ^{\circ}\text{C}$

A Synthesis of Quinoline-5,8-quinones via the Benzannulation of 1,4-Dihydro-2-pyridyl Carbene Complexes.

Tetrahedron Letters, 1997, 38, 5587

Glen A. Peterson and William D. Wulff *
Department of Chemistry, The University of Chicago,
Chicago, Illinois 60637

A method is reported for the preparation of 1,4-dihydro-2-pyridyl carbene complexes and their conversion to quinoline-5,8-quinones.

$$\bigcap_{N \to R_S}^{O} \stackrel{R_L}{\Longrightarrow} \stackrel{\bigcap_{N \to Cr(CO)_5}}{\Longrightarrow} \stackrel{\bigcap_{N \to Cr(CO)_5}}{\Longrightarrow} \stackrel{\bigcap_{N \to R_S}}{\Longrightarrow}$$

Synthesis of Small and Medium Sized

2,2-Disubstituted Lactams via the "Intramolecular" Three Component Ugi

Reaction. Geraldine C.B. Harriman, LeukoSite, Inc., 215 First Street, Cambridge, MA 02142

A series of small and medium sized lactams were synthesized through the use of tethered keto-acids in an "intramolecular" multicomponent Ugi type reaction. This chemistry afforded five-, six-, seven- and eight-membered lactams in good yields.

A Novel 5'-Iodonucleoside Allows Efficient Nonenzymatic Ligation of Single-Stranded and Duplex DNAs

Yanzheng Xu, Eric T. Kool* Department of Chemistry, University of Rochester, Rochester, NY 14627, U.S.A.

A new iodothymidine phosphoramidite (1) enables the placement of a 5'-iodide into oligonucleotides; the iodide is stable to ammonia deprotection and allows facile nonenzymatic ligations of DNA.

SYNTHESIS OF LARGE CYCLIC CYSTINE-KNOT PEPTIDE BY ORTHOGONAL COUPLING STRATEGY USING UNPROTECTED

PEPTIDE PRECURSOR. James P. Tam and Yi-An Lu, Department of Microbiology and Immunology, Vanderbilt University, A-5119 MCN, Nashville, TN 37232-2363, USA

End-to-end cyclization to form cyclic peptides was achieved between the thiol at α -amine terminus and the α -acyl thioester, then S, N acyl migration.

SYNTHESIS OF 2-NITROINDOLES VIA THE SUNDBERG INDOLE SYNTHESIS

Tetrahedron Letters, 1997, 38, 5603

Tetrahedron Letters, 1997, 38, 5599

Erin T. Pelkey and Gordon W. Gribble*

Department of Chemistry, Dartmouth College, Hanover, New Hampshire 03755 USA

A general synthesis of 2-nitroindoles has been developed utilizing the thermolysis of β -nitro-o-azidonitrostyrenes as the key step.

Palladium Catalyzed Amination of 2-Chloro-1,3-Azole Derivatives: Mild Entry to Potent H₁- Antihistaminic Norastemizole

Tetrahedron Letters, 1997, 38, 5607

Yaping Hong, Gerald J. Tanoury, H. Scott Wilkinson, Roger P. Bakale,

Stephen A. Wald and Chris H. Senanayake*

Department of Process Research and Development, Sepracor Inc., 111 Lock Drive, Marlborough, MA 01752, USA

Palladium-catalyzed selective amination of 4-fluorobenzyl-2-chlorobenzimidazole with 4-aminopiperidine provided a simple solution for the synthesis of norastemizole.

Tetrahedron Letters, 1997, 38, 5611

Diels-Alder Reactions Using Supercritical Water As An Aqueous Solvent Medium.

Michael B. Korzenski, Joseph W. Kolis* Department of Chemistry, Clemson University, Clemson, South Carolina 29634

Diels-Alder reactions have been performed in supercritical water as the reaction medium with medium to high yields of clean products without added catalysts.

SCOPE AND LIMITATIONS IN THE JACOBSEN EPOXIDATION OF DIENYL SULFONES.

Tetrahedron Letters, 1997, 38, 5615

M. F. Hentemann, P. L. Fuchs*

Department of Chemistry, Purdue University, West Lafayette, IN 47907

Tetrahedron Letters, 1997, 38, 5619

SYNTHESIS OF OPTICALLY PURE EPIBATIDINE ANALOGS: (1R, 2R, 5S)-2β-(2-CHLORO-5-PYRIDINYL)-8-AZABICYCLO-

[3.2.1]OCTANE AND (1R, 2S, 5S)-2α-(2-CHLORO-5-PYRIDINYL)-8-AZABICYCLO[3.2.1]OCTANE

FROM (-)-COCAINE. Chunming Zhang[†], Laszlo Gyermek[‡] and Mark L. Trudell*[†], [†]Department of Chemistry,

University of New Orleans, New Orleans, LA 70148; [‡]Department of Anesthesiology, Harbor/UCLA Medical Center Campus, 1000 West Carson, Street, Torrance, CA 90509-2910

Tetrahedron Letters, 1997, 38, 5627

EFFECT OF TETHER POSITION ON THE INTRAMOLECULAR REACTION BETWEEN RHODIUM STABILIZED CARBENOIDS AND FURANS

Huw M. L. Davies* and Rebecca L. Calvo

Department of Chemistry, State University of New York at Buffalo, Buffalo, New York 14260-3000

Building Blocks for Glycoproteins: Synthesis of the Ribonuclease B Fragment 21-25 containing an Undecasaccharide N-Glycan

Carlo Unverzagt*, Institut für Organische Chemie und Biochemie, TU München, Lichtenbergstr. 4,

85748 Garching, Germany

The sialylated glycoprotein fragment 1 was

obtained by chemical and enzymatic synthesis

Tetrahedron Letters, 1997, 38, 5631

Tetrahedron Letters, 1997, 38, 5635

HIGH PRESSURE INDUCED MUKAIYAMA TYPE ALDOL REACTION OF BIS TRIMETHYLSILYL KETENE ACETALS

Moncef Bellassoued.* Emmanuelle Reboul, Françoise Dumas*

Université de Paris VI, Laboratoire de Synthèse Organométallique associé au CNRS, 4, place Jussieu, 75252 Paris Cedex 05, FRANCE Univ. de Paris Sud, CEP, Lab. de Synth. Organique associé au CNRS, 5, rue J.-B. Clément, 92296 Châtenay-Malabry Cedex, FRANCE

Under high pressure conditions, the Mukaiyama type aldol reaction of 3 afforded preferentially syn silyl aldols 5.

Synthesis of 3-Arylbenzo[1,4]dioxin-2-carboxamides by

Palladium-Catalysed Coupling of Vinylstannanes with Aryl Halides

S. Khatib^{a,b}, A. Mamai^b, G. Guillaumet^b, M. Bouzoubaa^a and G. Coudert^{b*}

^a Laboratoire de Chimie Organique, Faculté des Sciences Casa I, Université Hassan II, BP 56366, Casablanca (Maroc)

b Institut de Chimie Organique et Analytique associé au CNRS, Université d'Orléans, BP 6759, 45067 Orléans Cedex 02 (France)

DEUTERIUM LABELED PROCYANIDIN SYNTHESES

Tetrahedron Letters, 1997, 38, 5639

Marie-Clotilde Pierre, Catherine Chèze and Joseph Vercauteren*

Laboratoire de Pharmacognosie, Université Victor Segalen Bordeaux 2, 146, rue Léo Saignat - 33076 Bordeaux, France.

Deuteriated enantiomers of benzylated glycol, synthesised from (+)-taxifoliol, were condensed with benzylated (+)-catechin or (-)-epicatechin to afford deuteriated procyanidin B3 or B4 with their diastereomers, after deprotection.

Tetrahedron Letters, 1997, 38, 5643

AN AZOBENZENE 1,3-ALTERNATE CALIX[4]-BIS-CROWN AND ITS

1:1 COMPLEX WITH CESIUM. Mohamed Saadioui, a Zouhair Asfari, Pierre

Thuéry, Martine Nierlich, Jacques Vicens, (a) E.C.P.M., Laboratoire de Chimie des Interactions Moléculaires Spécifiques, associé au C.N.R.S. 1, rue Blaise Pascal, F-67008, Strasbourg, France (b) CEA/Saclay, SCM associé au C.N.R.S. Bât. 125, F-91191, Gif-sur-Yvette, France.

The preparation of azocalix[4]-bis-crown 1 combining one polyether crown-6 and one azobenzene modified crown-4 O-attached on each side of a calix[4]arene in the 1,3-alternate conformation is reported. The X-ray structures of 1 and of the 1:1 complex 1.CsNO₃ as solvates with nitromethane are also presented.



C-NITRATION OF PYRIDINE BY THE KYODAL-NITRATION MODIFIED BY THE BAKKE PROCEDURE. A SIMPLE ROUTE TO 3-NITROPYRIDINE AND MECHANISTIC ASPECT OF ITS FORMATION

Tetrahedron Letters, 1997, 38, 5647

Hitomi Suzuki,* Masao Iwaya and Tadashi Mori, Department of Chemistry, Graduate School of Science, Kyoto University, Sakyo-ku, Kyoto 606-01, Japan

Treatment of N-nitropyridinium nitrate with aqueous NaHSO₃ gives 3-nitropyridine and sodium pyridine-4-sulfonate

A SIMPLE REDUCTION OF Q-BROMOSULFONES BY cat. (PhSe)2/NaBH4.

Tetrahedron Letters, 1997, 38, 5651

Mitsuhiro Yoshimatsu,* Megumi Ohara

Department of Chemistry, Faculty of Education, Gifu University, Yanagido, Gifu 501-11, Japan

ASPERPARALINE A, A NEW PARALYTIC ALKALOID FROM ASPERGILLUS JAPONICUS JV-23.

Tetrahedron Letters, 1997, 38, 5655

Tetrahedron Letters, 1997, 38, 5659

Hideo Hayashi, ^{a*} Yukifumi Nishimoto^a and Hiroshi Nozaki^b ^a College of Agriculture, Osaka Prefecture University, Sakai, Osaka 593, Japan. ^bFaculty of Science, Okayama University of Science, Ridai-cho, Okayama 700, Japan

A new paralytic alkaloid, asperparaline A, has been isolated from Aspergillus japonicus JV-23 and its structure was elucidated from NMR and X-ray crystallography data.

Selective Aerobic Oxidation of Isophorone Catalyzed by Molybdovanadophosphate Supported on Carbon (NPMoV/C)

Atsushi Hanyu, Yasunori Sakurai, Shinya Fujibayashi, Satoshi Sakaguchi, Yasutaka Ishii*

Department of Applied Chemistry, Faculty of Engineering & High Technology Research Center, Kansai University, Suita, Osaka 564, Japan

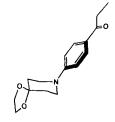
Isophorone is oxidized with O_2 by NPMoV/C to produce selectively 3-formyl-5,5-dimethyl-2-cyclohexen-1-one rather than 3,5,5-trimethly-2-cyclohexene-1,4-dione resulting from the conventional oxidations.

N-ARYLPIPERIDINE WITH AXIAL N-ARYL BOND. CONFORMATIONAL VARIATION IN CRYSTALS.

Tetrahedron Letters, 1997, 38, 5663

Keiichiro Ogawa, Jun Harada, Mari Endo, Yoshito Takeuchi, and Hiroyuki Kagawa Department of Chemistry, Graduate School of Arts and Sciences, The University of Tokyo, Komaba, Meguro, Tokyo 153; Hitachi Research Laboratory, Hitachi Ltd., Omika, Hitachi, Ibaraki 319-12, Japan.

N-arylpiperidine can adopt the axial N-aryl conformation due to intermolecular interaction in crystals.



Tetrahedron Letters, 1997, 38, 5667

AMPHIMIC ACIDS, NOVEL UNSATURATED C28 FATTY ACIDS AS DNA TOPOISOMERASE I INHIBITORS FROM AN AUSTRALIAN SPONGE AMPHIMEDON SP.

Takayuki Nemoto, Makoto Ojika,* and Youji Sakagami*

Graduate School of Bioagricultural Sciences, Nagoya University, Chikusa, Nagoya 464-01, Japan

The structures and absolute configuration of the title compounds, amphimic acids A (1) and B (2), were determined by spectroscopic analysis, chemical degradation, and an enantioselective total synthesis of 1.

FACILE STRATEGY TO 3-ACYLFURANS BY SILVER(I)/CELITE MEDIATED CYCLOADDITION OF 1,3-DICARBONYL COMPOUNDS TO VINYL SULFIDES. FIRST TOTAL SYNTHESIS OF $\alpha\text{-}CLAUSENAN$

Yong Rok Lee,* Nam Suk Kim, and Byung So Kim

Department of Industrial Chemistry, College of Engineering, Yeungnam University, Kyongsan 712-749, Korea

A new method for preparation of 3-acylfurans has been applied to the total synthesis of α -clausenan.

$$OEt$$
 OEt
 OEt
 α -clausenan

Gymnastatins, Novel Cytotoxic Metabolites Produced

by a Fungal Strain from a Sponge

Atsushi Numata,* Taro Amagata, Katsuhiko Minoura, and Tadayoshi Ito*
Osaka University of Pharmaceutical Sciences, Takatsuki, Osaka 569-11; JAPAN and Institute for Fermentation, Osaka, Yodogawa-ku, Osaka 532, JAPAN*

Gymnastatins A (1) - C with significant cytotoxicity against tumour cells have been produced by a strain of Gymnasella dankaliensis from the sponge Halichondria japonica. Their partial stereostructures have been established on the basis of spectral analyses.

Tetrahedron Letters, 1997, 38, 5675

ORGANOALUMINUM-CATALYZED NEW ALKYLATION OF tert-ALKYL

Tetrahedron Letters, 1997, 38, 5679

FLUORIDES: SYNTHETIC UTILITY OF AI-F INTERACTION Takashi Ooi, Daisuke Uraguchi, Naoko Kagoshima, and Keiji Maruoka*

Department of Chemistry, Graduate School of Science, Hokkaido University, Sapporo 060, Japan tert-Alkyl fluorides have been revisited as promising alkylation agents based on the activation of fluorine as a leaving group by organoaluminums through the eminent Al-F interaction.

ABSOLUTE CONFIGURATION OF NORZOANTHAMINE, A PROMISING CANDIDATE FOR AN OSTEOPOROTIC DRUG

Makoto Kuramoto, Koji Hayashi, ^{††} Yasuyuki Fujitani, ^{††} Kohji Yamaguchi, [†] Tomoko Tsuji, [†] Kaoru Yamada, [†]Yasuharu Ijyuin, [†] and Daisuke Uemura ^{††*} Advanced Instrumentation Center for Chremical Analysis, Ehime University, Bunkyou-chou 2-5, Matsuyama 790-77, Japan [†]Sagami Chemical Research Center, Nishi-Ohnuma 4-4-1, Sagamihara 229, Japan ^{††}Department of Chemistry, Faculty of Science, Shizuoka University, Ohya, Shizuoka 422, Japan

The absolute configuration of norzoanthamine (1) was determined to be 2R, 4S, 6S, 9S, 10R, 12S, 13R, 18S, 21S, and 22S based on ¹H NMR spectral data of the MTPA ester of norzoanthamine derivatives. The new biogenetic pathway of zoanthamines was proposed.

Tetrahedron Letters, 1997, 38, 5691

SIDE CHAIN SUBSTITUTION REACTION OF 2-ARYLSULFINYL AND 2-ARYLSULFONYL INTERMEDIATES FOR 1 β -METHYLCARBAPENEMS

Kozo Oda* and Akira Yoshida,

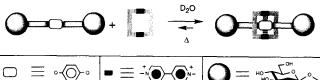
Medicinal Chemistry Research Laboratories, Sankyo Co., Ltd., 1-2-58 Hiromachi, Shinagawa-ku, Tokyo 140, Japan

Sulfoxide (5) and sulfone (6) yielded the precursors (8) of $1\beta\text{-methylcarbapenems}$ by $MgBr_2\text{-}Et_2O$ mediated C-2 side chain substitution reaction with functionalized mercaptans.

SELF-ASSEMBLY OF A WATER-SOLUBLE [2]ROTAXANE WITH

CARBOHYDRATE STOPPERS. Peter R. Ashton, Simon R.L. Everitt, Marcos Gómez-López, Narayanaswamy Jayaraman, and J. Fraser Stoddart School of Chemistry, University of Birmingham, Edgbaston, Birmingham B15 2TT, UK.

A [2]rotaxane self-assembles spontaneously in D₂O when a dumbbell-shaped component incorporating a hydroquinone ring and terminated by glucose residues is mixed with cyclobis(paraquat-p-phenylene) tetrachloride.



NOVEL HORSERADISH PEROXIDASE CATALYSED ENANTIOSELECTIVE OXIDATION OF 2-NAPHTHOLS TO 1,1'-BINAPHTHYL-2,2'-DIOLS

Tetrahedron Letters, 1997, 38, 5695

Madabhushi Sridhar*, Subramanian K. Vadivel and Uday T. Bhalerao Organic Division-II, Indian Institute of Chemical Technology, Hyderabad - 500 007.

Enantios elective oxidation of 2-naphthols to 1,1'-binaphthyl-2,2'-diols catalysed by horseradish peroxidase is described.

COMBINATORIAL CHEMISTRY OF PIPERIDINE

Tetrahedron Letters, 1997, 38, 5697

BASED CARBOHYDRATE MIMICS. Elizabeth Byrgesen, * John Nielsen, ** Marianne Willert* and Mikael Bols** a) Department of Organic Chemistry, The Technical University of Denmark, DK-2800 Lyngby, Denmark b) Department of Chemistry, University of Aarhus, DK-8000 Aarhus, Denmark

Solid-phase combinatorial synthesis of a new type of trisaccharide mimetics is reported.

IS A HYDROGEN-BONDED CARBENE AN INTERMEDIATE IN THE ORGANOALUMINUM-INDUCED RING OPENING OF PYRANOSIDES?

Roger Olsson, Ulf Berg and Torbjörn Frejd, Organic Chemistry 1, Department of Chemistry, Lund University, P.O.Box 124, S-22100 Lund, Sweden

Quantum chemical computations on a model system, 2, indicate that a strongly hydrogen-bonded, tautomeric intermediate may be a selectivity promoting factor in the alkyl transfer step of the title reaction.

SYNTHESIS OF 1,3-OXATHIOLANE-2-THIONES BY THE REACTION OF STEROIDAL OXIRANES WITH CARBON DISULFIDE

Tetrahedron Letters, 1997, 38, 5705

Shamsuzzaman and Anwar Salim

Department of Chemistry, Jamia Millia Islamia, New Delhi- 110 025, India.

The reaction of steroidal 5α , 6α - epoxides (1-3) with CS in THF at r.t. in presence of Li Br selectively provides the respective cis - dithiocarbonates (4-6).

Tetrahedron Letters, 1997, 38, 5709

REGIOCONTROL IN THE RHODIUM (II) CATALYSED REACTIONS
OF 1,2,3,4-TETRAHYDRO-1-NAPHTHYL DIAZOMETHYL KETONES:
POTENTIAL APPLICATIONS TO THE SYNTHESIS OF GALBULIMIMA ALKALOIDS.

Lewis N. Mander* and Adam P. Wells, Research School of Chemistry,

The selectivity of diazoketone derived rhodium-carbenoid insertions into aromatic and aliphatic C-H bonds has been shown to be sensitive to the total steric environment of the participating groups and may be controlled by varying the steric bulk of the ligands on rhodium.

Australian National University, Canberra, ACT 0200, Australia.

COMPARISON OF SOLUTION-PHASE AND SOLID-PHASE SYNTHESES OF A RESTRAINED PROLINE-CONTAINING ANALOGUE OF THE NODULARIN MACROCYCLE.

Kerri L. Webster, Trevor J. Rutherford and David Gani* School of Chemistry and Centre for Biomolecular Sciences, The Purdie Building, The University, St. Andrews, Fife, KY16 9ST, U. K.

Solution-phase, solid-phase and mixed solid/ solution-phase syntheses of (25)-proline-containing Nodularin type macrocycles (3, R = H, Me, Wang resin) are described.

Tetrahedron Letters, 1997, 38, 5713

AMINATION OF CHIRAL β -SILYLATED SILYL KETENE ACETALS BY (ETHOXYCARBONYL)NITRENE.

M. Antonietta Loreto,* Paolo A. Tardella, Livio Tedeschi and Daniela Tofani. Dipartimento di Chimica, Università "La Sapienza", P.le Aldo Moro 5, I-00185 Roma, Italy.

The prevailing attack of (ethoxycarbonyl)nitrene is always anti to the β -silyl group. Diastereoselectivity decreases with the increase of the steric bulk of R.

SPIRO- AND BRIDGED- RING FORMING ELECTROPHILE INDUCED OXIME->NITRONE->CYCLOADDITION CASCADES. MULTIPLICATION OF CHIRALITY

Tetrahedron Letters, 1997, 38, 5719

H Ali Dondas^a , Ronald Grigg^a* and Christopher S. Frampton^b

a. School of Chemistry, Leeds University, Leeds LS2 9JT HO~N

b. Roche Products Limited, Welwyn Garden City, Herts. AL7 3AY

PhSeBr induced cyclisation of oximes onto proximate alkenes allows efficient construction of spiro- and bridged-ring nitrones and their subsequent cycloaddition. PhSeBr MeCN H Me

STEREOCONTROLLED SYNTHESIS OF (±)-9,10-DIDEOXYNORRIBASINE.

Tetrahedron Letters, 1997, 38, 5723

Lourdes Ollero, Luis Castedo and Domingo Domínguez*, Departamento de Química Orgánica, Facultade de Química, Universidade de Santiago y Unidad Asociada al CSIC. 15706 Santiago de Compostela, SPAIN.

Tetrahedron Letters, 1997, 38, 5727

STUDIES IN MARINE MACROLIDE SYNTHESIS: STEREOCONTROLLED SYNTHESIS OF THE F-RING SUBUNIT OF SPONGISTATIN 1 (ALTOHYRTIN A).

Ian Paterson* and Linda E. Keown

University Chemical Laboratory, Lensfield Road, Cambridge CB2 1EW, UK.

THE HIGHLY STEREOSELECTIVE FORMATION OF PIPECOLIC ACID N-OXIDE AND RELATED DERIVATIVES

Ian A. O'Neil* and Andrew J. Potter

Department of Chemistry, University of Liverpool, Crown St, Liverpool L69 7ZD U.K.

$$\bigcap_{\mathsf{Ph}} \mathsf{CO}_2\mathsf{H} \qquad \bigcap_{\mathsf{Ph}} \mathsf{CO}_2\mathsf{H}$$

ASYMMETRIC DIHYDROXYLATION OF HOMOALYLIC ENYNOLS S.Caddick, S. Shanmugathasan, D. Brasseur, V. M. Delisser.

Centre for Biomolecular Design and Drug Development, University of Sussex, Falmer, Brighton, BN1 9QJ

Tetrahedron Letters, 1997, 38, 5735

TRANSITION METAL CATALYSED ALKYLATION OF PYRIDINES AND INDOLES

Tetrahedron Letters, 1997, 38, 5737

Ronald Grigg* and Vladimir Savic

Molecular Innovation, Diversity and Automated Synthesis (MIDAS) Centre, School of Chemistry, Leeds University, Leeds LS2 9JT

Heating 3- or 4- acetyl pyridine with alkenes in the presence of 5-10mol% of $RuH_2CO(PPh_3)_3$ afforded the mono- or the mixture of mono- and di-alkylated products in good yield. Alkylation of acetylindole derivatives was also achieved efficiently.