

Graphical abstracts

Synthetic approaches towards indoles on solid phase recent advances and future directions

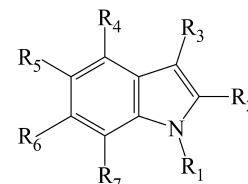
Tetrahedron 59 (2003) 5395

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^bTampere University of Technology, Institute of Materials Chemistry, P.O. Box 541, FIN-33101, Finland

Indole scaffolds are biologically very attractive and have appeared frequently in the medicinal chemistry literature showing their importance. Several solid-phase indole syntheses have already been reported and considerable effort is to be expected in the future to provide more efficient solid-supported methodologies for the indole synthesis. This report summarises the literature published until July 2002 describing methods for either the preparation of the indole moiety or the modification of the indole core on a variety of polymer-supported resins.



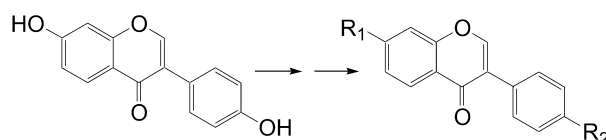
The synthesis of daidzein sulfates

Tetrahedron 59 (2003) 5407

Brian Fairley,^a Nigel P. Botting^{a,*} and Aedin Cassidy^b

^aSchool of Chemistry, University of St. Andrews, St. Andrews, Fife KY16 9ST, UK

^bUnilever Research Colworth, Colworth House, Sharnbrook, Bedford MK44 1LQ, UK



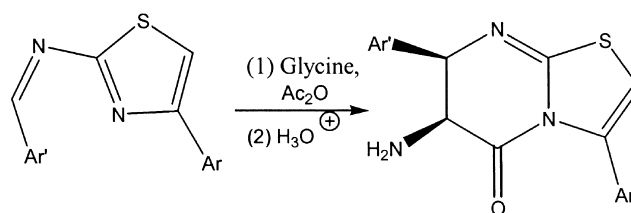
- 1; R₁ = OH, R₂ = OSO₃⁻
- 2; R₁ = OSO₃⁻, R₂ = OH
- 3; R₁ = OSO₃⁻, R₂ = OSO₃⁻

Solvent-free one-pot reactions for annulating a pyrimidine ring on thiazoles under microwave irradiation

Tetrahedron 59 (2003) 5411

Lal Dhar S. Yadav,^{*} Suman Dubey and Beerendra S. Yadav

Department of Chemistry, University of Allahabad, Allahabad 211 002, India



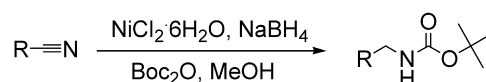
A generic approach for the catalytic reduction of nitriles

Tetrahedron 59 (2003) 5417

Stephen Caddick,^{a,*} Duncan B. Judd,^b Alexandra K. de K. Lewis,^a Melanie T. Reich^a and Meredith R. V. Williams^a

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^bGlaxoSmithKline, New Frontiers Science Park (SC1), Third Avenue, Harlow, Essex CM19 5AW, UK

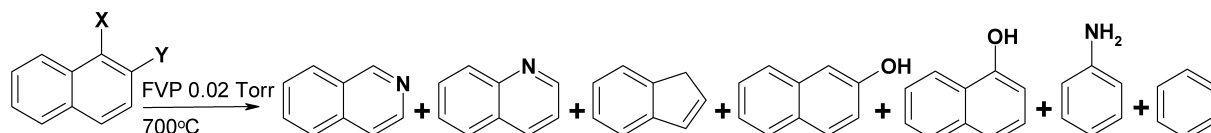


Flash vacuum pyrolysis of azo and nitrosophenols: new routes towards hydroxyarylnitrenes and their reactions

Yehia A. Ibrahim,* Nouria A. Al-Awadi and Kamini Kual

Department of Chemistry, Faculty of Science, Kuwait University, P.O. Box 5969, Safat 13060, Kuwait

Tetrahedron 59 (2003) 5425



X = N₂Ph, Y = OH; X = OH, Y = N₂Ph; X = NO, Y = OH; X = OH, Y = NO

Non-thermal effects of microwaves on protease-catalyzed esterification and transesterification

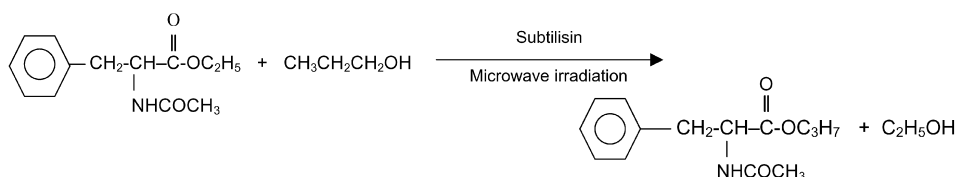
Ipsita Roy and Munishwar N. Gupta*

Department of Chemistry, Indian Institute of Technology, Delhi, Hauz Khas, New Delhi 110016, India

Tetrahedron 59 (2003) 5431

It is shown that the increases in reaction rates of microwave-assisted protease-catalyzed esterification/transesterification are not due exclusively to thermal effects.

By using irradiation in conjunction with pH tuning and salt activation, the rate of subtilisin-catalyzed transesterification increased by about twenty times.



A method for generating nitrile oxides from nitroalkanes: a microwave assisted route for isoxazoles

Giampaolo Giacomelli,* Lidia De Luca and Andrea Porcheddu

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Tetrahedron 59 (2003) 5437



Synthesis of dimethylphosphorylamino diazo esters by a selective tandem Staudinger/Arbuzov rearrangement sequence of azido diazo esters with trimethylphosphite

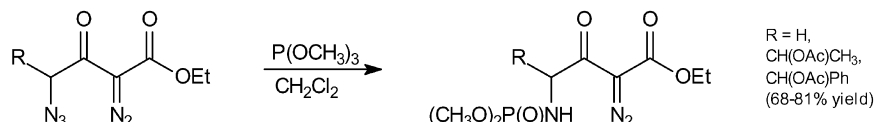
Marcus M. Sá,^{a,*} Gustavo P. Silveira,^a Adailton J. Bortoluzzi^a and Albert Padwa^b

^aDepartamento de Química, Universidade Federal de Santa Catarina, Campus-Trindade, Florianópolis, SC 88040-900, Brazil

^bDepartment of Chemistry, Emory University, Atlanta, GA 30322, USA

Tetrahedron 59 (2003) 5441

γ -Azido- α -diazo- β -keto esters react selectively with trimethylphosphite, furnishing γ -(dimethylphosphorylamino)- α -diazo- β -keto esters in good yield under mild conditions. Collected X-ray data for the novel diazo phosphoramides confirm the proposed chemoselectivity.



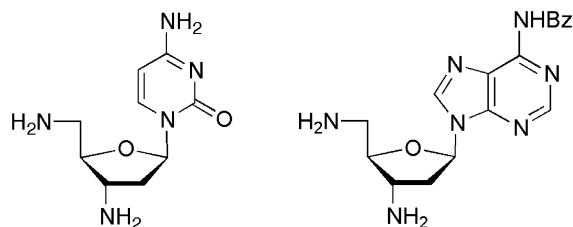
Novel and efficient syntheses of 3',5'-diamino derivatives of 2',3',5'-trideoxycytidine and 2',3',5'-trideoxyadenosine.

Tetrahedron 59 (2003) 5449

Protonation behavior of 3',5'-diaminonucleosides

Iván Lavandera, Susana Fernández, Miguel Ferrero and Vicente Gotor*

Departamento de Química Orgánica e Inorgánica, Facultad de Química, Universidad de Oviedo, 33006 Oviedo, Spain



Medium benzene-fused oxacycles with the 5-fluorouracil moiety: synthesis, antiproliferative activities and apoptosis induction in breast cancer cells

Tetrahedron 59 (2003) 5457

Estrella Saniger,^a Joaquín M. Campos,^a Antonio Entrena,^a Juan A. Marchal,^b Inés Suárez,^c Antonia Aránega,^c Duane Choquesillo,^d Juan Niclós,^d Miguel A. Gallo^a and Antonio Espinosa^{a,*}

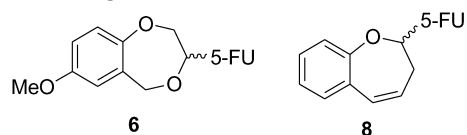
^a*Departamento de Química Farmacéutica y Orgánica, Facultad de Farmacia, c/ Campus de Cartuja s/n, 18071 Granada, Spain*

^b*Departamento de Ciencias de la Salud, Facultad de Ciencias Experimentales y de la Salud, Paraje de las Lagunillas s/n, 23071 Jaén, Spain*

^c*Departamento de Ciencias Morfológicas, Facultad de Medicina, Avenida de Madrid s/n, 18071 Granada, Spain*

^d*Departamento de Química Inorgánica, Facultad de Farmacia, c/ Campus de Cartuja s/n, 18071 Granada, Spain*

Compound **6** was found to be a potent inhibitor on MCF-7 cells growth whilst **8** was a good apoptosis-inducing agent.



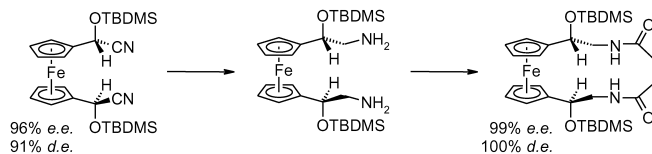
Chiral ferrocene cyanohydrin derivatives—access to novel intermolecularly linked and intramolecularly bridged ferrocene derivatives

Tetrahedron 59 (2003) 5469

Richard F. G. Fröhlich,^a Herfried Griengl^{a,*} and Robert Saf^b

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An improved synthesis of ethyl *cis*-5-iodo-*trans*-2-methylcyclohexanecarboxylate, a potent attractant for the Mediterranean fruit fly

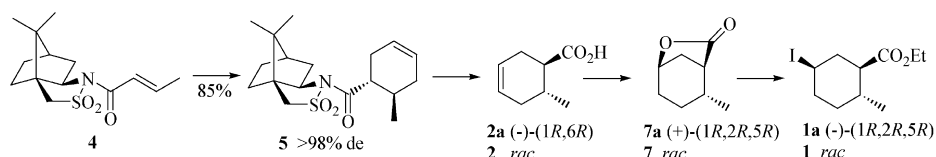
Tetrahedron 59 (2003) 5475

Ashot Khrimian,^{a,*} Armenak Kh. Margaryan^a and Walter F. Schmidt^b

^a*USDA-ARS, Beltsville Agricultural Research Center, PSI, CAIBL, Bldg. 007, Rm. 301, Beltsville, MD 20705, USA*

^b*USDA-ARS, Beltsville Agricultural Research Center, EQL, Beltsville, MD 20705, USA*

Total yields from commercially available starting materials: **1a** 26%; **1** 58–65%. **1a** (or (–) ceralure B₁) is 30–40% more attractive to the Mediterranean fruit fly than racemic **1**.

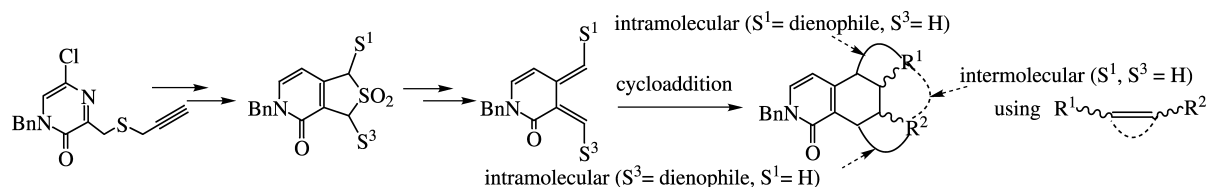


Diels–Alder reactions of pyridinone *o*-quinodimethanes generated from substituted sulfolene[3,4-*c*]pyridin-4(1*H*)-ones

Tetrahedron 59 (2003) 5481

Tom C. Govaerts, Ilse A. Vogels, Frans Compennolle and Georges J. Hoornaert*

Laboratorium voor Organische Synthese, Department of Chemistry, K. U. Leuven, Celestijnenlaan 200F, B3001 Leuven, Heverlee, Belgium



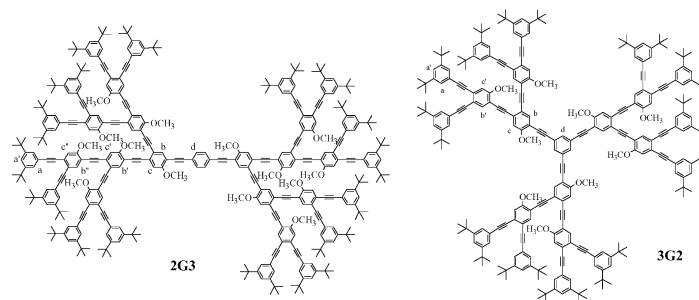
Synthesis and optical properties of conjugated dendrimers with unsymmetrical branching

Tetrahedron 59 (2003) 5495

Yongchun Pan,^a Zhonghua Peng^{a,*} and Joseph S. Melinger^b

^aDepartment of Chemistry, University of Missouri—Kansas City, 5100 Rockhill Road, Kansas City, MO 64110, USA

^bNaval Research Laboratory, Electronics Science and Technology Division, Code 6812, Washington, DC 20375, USA

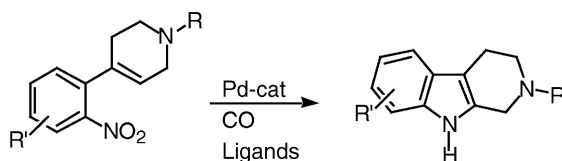


A novel palladium-catalyzed synthesis of β -carbolines: application in total synthesis of naturally occurring alkaloids

Tetrahedron 59 (2003) 5507

Shubhada W. Dantale and Björn C. G. Söderberg*

Department of Chemistry, West Virginia University, P.O. Box 6045, Morgantown, WV 26506-6045, USA

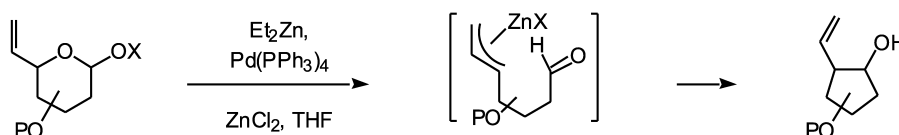


Direct carbohydrate to carbocycle conversions via intramolecular allylation with $\text{Et}_2\text{Zn}/\text{Pd}(0)$

Tetrahedron 59 (2003) 5515

José M. Aurrecochea,^{*} Mónica Arrate, Jesús H. Gil and Beatriz López

Departamento de Química Orgánica II, Facultad de Ciencias, Universidad del País Vasco, Apartado 644, 48080 Bilbao, Spain



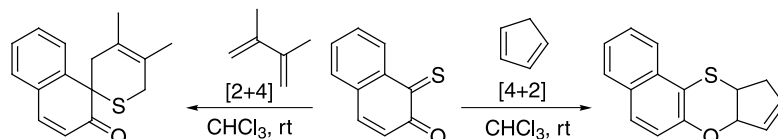
[2+4] vs [4+2] Cycloaddition reactions of *o*-thioquinones with 1,3-dienes

Tetrahedron 59 (2003) 5523

Stefano Menichetti^{a,*} and Caterina Viglianisi^b

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^bDipartimento di Chimica Organica e Biologica, Università di Messina, Salita Sperone 31, I-98166 Messina, Italy

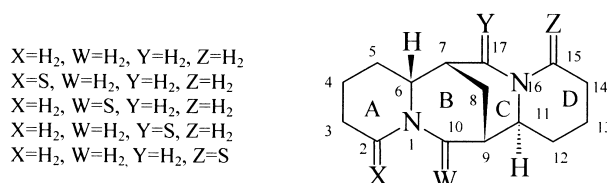


A comparative study of NMR chemical shifts of sparteine thiolactams and lactams

Tetrahedron 59 (2003) 5531

Renata Kolanos, Waleria Wysocka* and Tadeusz Brukwicki

Faculty of Chemistry, A. Mickiewicz University, Grunwaldzka 6, Poznań, Poland



The first synthesis and characterisation of elusive cone 1,2-diformyl tetraalkoxycalix[4]arenes and their derivatives

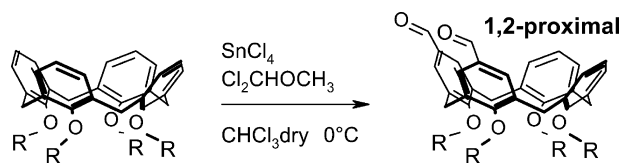
Tetrahedron 59 (2003) 5539

Andrea Sartori,^a Alessandro Casnati,^{b,*} Luigi Mandolini,^c Francesco Sansone,^b David N. Reinhoudt^a and Rocco Ungaro^b

^aLaboratories of Supramolecular Chemistry and Technology, MESA-Research Institute, University of Twente, P.O. Box 217, 7500 AE Enschede, The Netherlands

^bDipartimento di Chimica Organica e Industriale, Università degli Studi di Parma, Parco Area delle Scienze 17/A, 43100 Parma, Italy

^cDipartimento Chimico, Università La Sapienza, P.le A. Moro 5, 00185 Roma, Italy

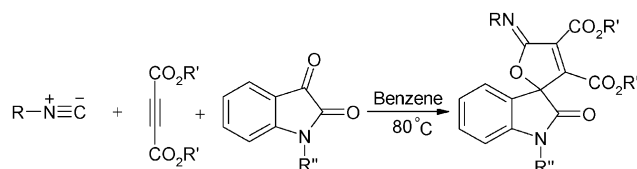


Reaction between alkyl isocyanides and dialkyl acetylenedicarboxylates in the presence of *N*-alkyl isatins: convenient synthesis of γ -spiro-iminolactones

Tetrahedron 59 (2003) 5545

Abbas Ali Esmacili* and Masoomeh Darbanian

Department of Chemistry, University of Birjand, P.O. Box 414, Birjand, Iran

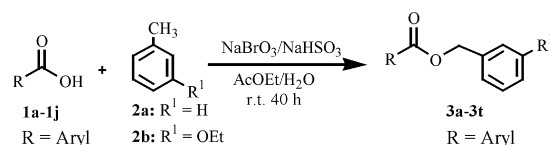


An expedient esterification of aromatic carboxylic acids using sodium bromate and sodium hydrogen sulfite

Tetrahedron 59 (2003) 5549

Khalid Mohammed Khan,* Ghulam Murtaza Maharvi, Safdar Hayat, Zia-Ullah, M. Iqbal Choudhary and Atta-ur-Rahman
International Center for Chemical Sciences, H.E.J. Research Institute of Chemistry, University of Karachi, Karachi 75270, Pakistan

A method for a convenient, efficient and easy access to esterification using sodium bromate and sodium hydrogen sulfite is described.



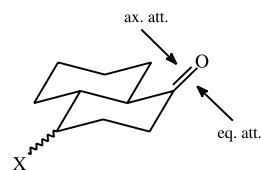
To what extent does the substituent conformation influence the kinetics of addition reactions on 5X-bicyclo[4.4.0]decan-2-ones?

Tetrahedron 59 (2003) 5555

Giada Catanoso and Elisabetta Vecchi*

Dipartimento di Chimica, Università 'La Sapienza', P.le A. Moro 5, 00185 Rome, Italy

Stereochemistry and relative rates of addition reactions on the title compounds have been measured. We show that in equatorial attack the axial substituents are far less electronegative than the equatorial ones. Axial attack, however, is independent of the substituent conformation.

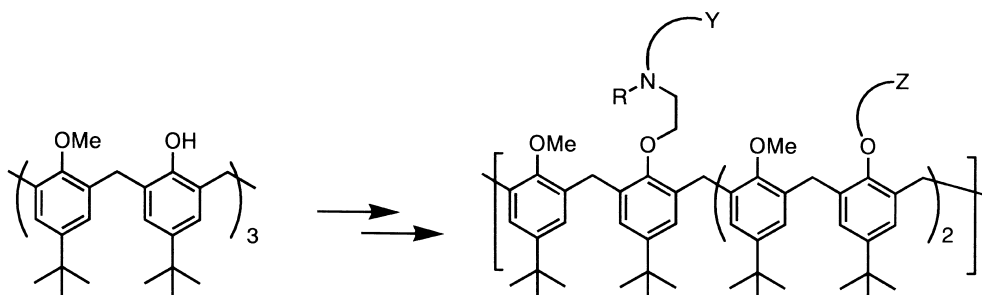


Selective functionalization at the small rim of calix[6]arene. Synthesis of novel non-symmetrical N₃, N₄ and N₃ArO biomimetic ligands

Tetrahedron 59 (2003) 5563

Olivier S n que
and Olivia Reinaud*

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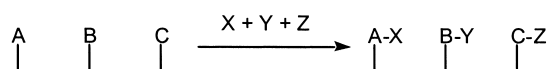


Diversification of shotgun process

Tetrahedron 59 (2003) 5569

Yoshifumi Nagano, Akihiro Orita and Junzo Otera*

Department of Applied Chemistry, Okayama University of Science, Ridai-cho, Okayama 700-0005, Japan

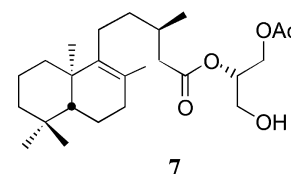


Further chemical studies on the Antarctic nudibranch *Austrodoris kerguelensis*: new terpenoid acylglycerols and revision of the previous stereochemistry

Margherita Gavagnin,* Marianna Carbone, Ernesto Mollo and Guido Cimino

Istituto di Chimica Biomolecolare, Consiglio Nazionale delle Ricerche, Via Campi Flegrei 34, I 80078 Pozzuoli (Na), Italy

Diterpenoid 1,2-diacylglycerols from *A. kerguelensis* are characterised by the linkage of the terpenoid moiety at C-2 of glycerol (e.g. 7) and then they have the same *S* stereochemistry as all 1,2-*syn*-diacylglycerols from the other nudibranchs.

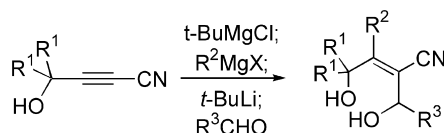


Tetrahedron 59 (2003) 5579

Alkynenitriles: stereoselective chelation controlled conjugate addition-alkylations

Fraser F. Fleming,* Venugopal Gudipati and Omar W. Steward

Department of Chemistry and Biochemistry, Duquesne University, Mellon Hall, Pittsburgh, PA 15282-1530, USA

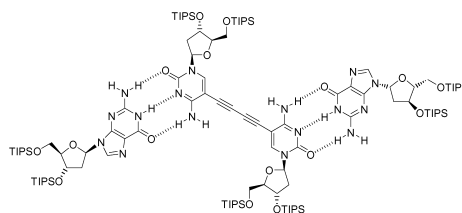


Tetrahedron 59 (2003) 5585

Synthesis and characterisation of new ditopic receptors for guanosine

Andrew Marsh,* Nathaniel W. Alcock, William Errington and Rajeeve Sagar

Department of Chemistry, University of Warwick, Coventry CV4 7AL, UK

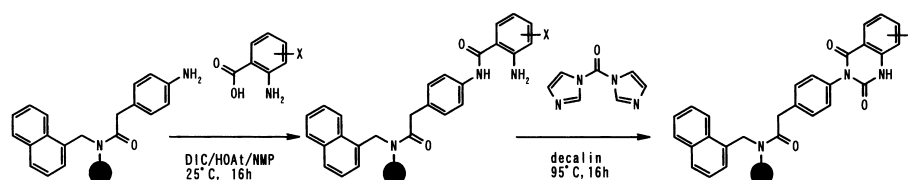


Tetrahedron 59 (2003) 5595

Efficient solid-phase synthesis of quinazoline-2,4-diones with various substituents on aromatic rings

Tatsuya Okuzumi, Eiji Nakanishi, Takashi Tsuji and Shingo Makino*

Pharmaceutical Research Laboratories, Ajinomoto Co., Inc. 1-1, Suzuki-cho, Kawasaki-ku, Kawasaki-shi 210-8681 Japan



Tetrahedron 59 (2003) 5603

Synthesis of benzofurans through coupling of dienylacetylenes with carbene complexes: total synthesis of egonol

Tetrahedron 59 (2003) 5609

Jianwei Zhang, Yi Zhang, Yanshi Zhang and James W. Herndon*

Department of Chemistry and Biochemistry, New Mexico State University, MSC 3C, Las Cruces, NM 88003, USA

