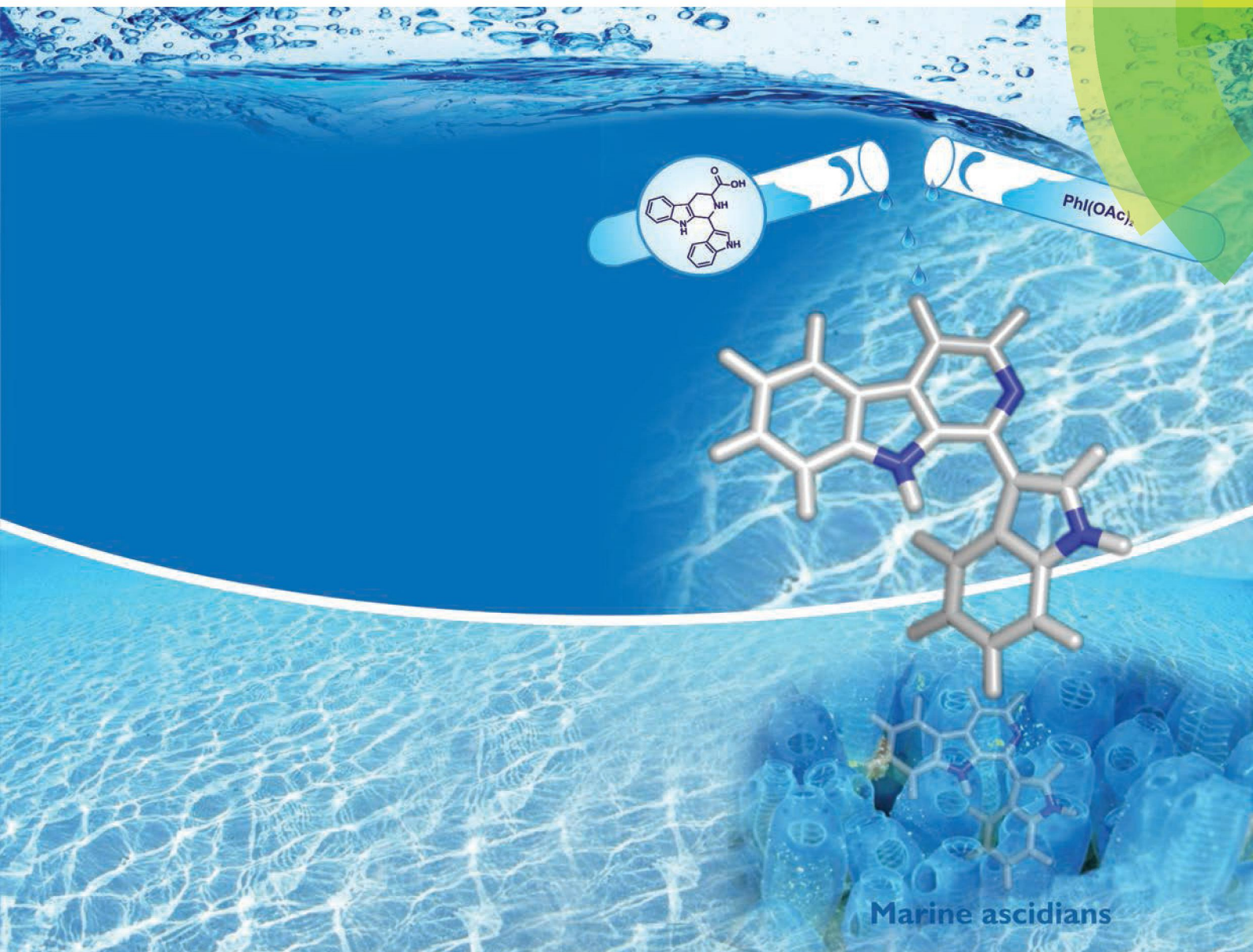


Organic & Biomolecular Chemistry

www.rsc.org/obc



ISSN 1477-0520



PAPER

Ahmed Kamal *et al.*

PhI(OAc)₂-mediated one-pot oxidative decarboxylation and aromatization of tetrahydro-β-carbolines: synthesis of norharmane, harmane, eudistomin U and eudistomin I

Organic & Biomolecular Chemistry

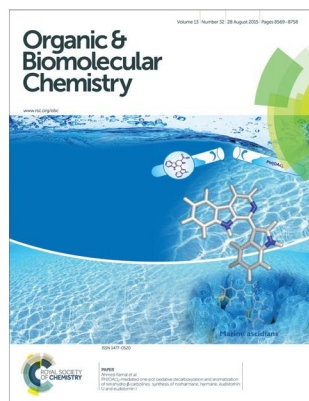
An international journal of synthetic, physical and biomolecular organic chemistry

www.rsc.org/obc

The Royal Society of Chemistry is the world's leading chemistry community. Through our high impact journals and publications we connect the world with the chemical sciences and invest the profits back into the chemistry community.

IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 13(32) 8569–8758 (2015)



Cover

See Ahmed Kamal *et al.*,
pp. 8652–8662.

Image reproduced by
permission of Ahmed Kamal
from *Org. Biomol. Chem.*,
2015, **13**, 8652.

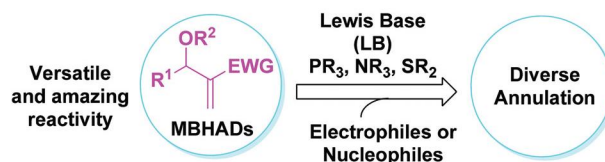
REVIEWS

8578

Morita–Baylis–Hillman adduct derivatives (MBHADs): versatile reactivity in Lewis base-promoted annulation

Peizhong Xie and You Huang*

MBHADs, which exert diverse and amazing reactivity and emerged as novel “multi-role synthons”, can participate in diverse annulation.

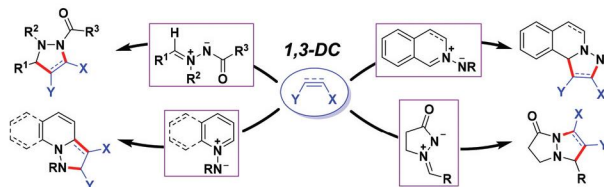


8596

1,3-Dipolar cycloadditions of azomethine imines

Carmen Nájera,* José M. Sansano and Miguel Yus

Azomethine imines react with alkenes and alkynes to give pyrazolines, pyrazolidines, pyrazolopyridines, indazoloisoquinolines, pyrazolo[1,5-*a*]isoquinolines and pyrazolopyrazolones through regio-, stereo- and enantioselective 1,3-dipolar cycloadditions.



Editorial staff

Editor

Richard Kelly

Deputy editor

Marie Cote

Editorial production manager

Helen Saxton

Development editor

James Anson

Publishing editors

Nicola Burton, Zoe Karthäuser, Elisa Meschini, Roxane Owen, Simon Rankmore, Donna Smith

Publishing assistants

Emily Finney, Rosalind Searle

Publisher

Emma Wilson

For queries about submitted papers, please contact Helen Saxton, Editorial production manager in the first instance. E-mail: obc@rsc.org

For pre-submission queries please contact Richard Kelly, Editor. Email: obc-rsc@rsc.org

Organic & Biomolecular Chemistry (print: ISSN 1477-0520; electronic: ISSN 1477-0539) is published 48 times a year by the Royal Society of Chemistry, Thomas Graham House, Science Park, Milton Road, Cambridge, UK CB4 0WF.

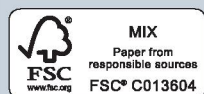
All orders, with cheques made payable to the Royal Society of Chemistry, should be sent to RSC Order Department, Royal Society of Chemistry, Thomas Graham House, Science Park, Milton Road, Cambridge, CB4 0WF, UK. Tel +44 (0)1223 432398; E-mail orders@rsc.org

2015 Annual (print+electronic) subscription price: £4572; US\$8534. 2015 Annual (electronic) subscription price: £4343; US\$8107. Customers in Canada will be subject to a surcharge to cover GST. Customers in the EU subscribing to the electronic version only will be charged VAT. If you take an institutional subscription to any RSC journal you are entitled to free, site-wide web access to that journal. You can arrange access via Internet Protocol (IP) address at www.rsc.org/ip. Customers should make payments by cheque in sterling payable on a UK clearing bank or in US dollars payable on a US clearing bank.

The Royal Society of Chemistry takes reasonable care in the preparation of this publication but does not accept liability for the consequences of any errors or omissions. Inclusion of an item in this publication does not imply endorsement by The Royal Society of Chemistry of the content of the original documents to which that item refers.

Advertisement sales: Tel +44 (0) 1223 432246; Fax +44 (0) 1223 426017; E-mail advertising@rsc.org

For marketing opportunities relating to this journal, contact marketing@rsc.org



Organic & Biomolecular Chemistry

An international journal of synthetic, physical and biomolecular organic chemistry

www.rsc.org/obc

Organic & Biomolecular Chemistry brings together molecular design, synthesis, structure, function and reactivity in one journal. Broad in scope, it publishes research and reviews on topics across organic synthesis, physical organic chemistry, supramolecular chemistry and chemical biology.

Editorial board

Chair

Andrei Yudin, University of Toronto, Canada

Associate editors

Margaret Brimble, University of Auckland, New Zealand
Christian Hackenberger, Free University Berlin, Germany
Lei Liu, Tsinghua University, China

Jin-Guan Yu, Scripps Research Institute, La Jolla, CA, USA

Editorial board members

Ashraf Brik, Technion-Israel Institute of Technology, Israel
Pauline Chiu, University of Hong Kong, China
Jonathan Clayden, University of Manchester, UK

Anthony Davis, University of Bristol, UK

Christian Hertweck, Leibniz-Institute Jena, Germany
Kenichiro Itami, Nagoya University, Japan
Dean Tantillo, UC Davis, USA
Qi-Lin Zhou, Nankai University, China

Advisory board

Kyo Han Ahn, Pohang University of Science and Technology, Korea

Fredrik Almqvist, Umeå University, Sweden

Jeffrey Bode, ETH Zurich, Switzerland

Barry Carpenter, Cardiff University, UK

David Chen, Seoul National University, Korea

Shunsuke Chiba, Nanyang Technological University, Singapore

Sheng-Hsien Chiu, National Taiwan University, Chinese Taipei

Luiz Carlos Dias, State University of Campinas, Brazil

Antonio Echavarren, Autonomous University of Madrid, Spain

Jonathan Ellman, Yale University, USA

Margaret Faul, Amgen, USA

Ben Feringa, University of Groningen, The Netherlands

Amar Flood, Indiana University, Bloomington, USA

Nobutaka Fujii, Kyoto University, Japan

Carmen Galan, University of Bristol, UK

Sam Gellman, University of Wisconsin, USA

Mimi Hii, Imperial College London, UK

Krishna Kaliappan, IITB, India

Steven V. Ley, University of Cambridge, UK

Shih-Yuan Liu, University of Oregon, USA

Stephen Loeb, University of Windsor, Canada

David Lupton, Monash University, Australia

Ilan Marek, Israel Institute of Technology, Israel

Keiji Maruoka, Kyoto University, Japan

Cristina Nevado, University of Zürich, Switzerland

Dhevalapally B. Ramachary, University of Hyderabad, India

Viresh Rawal, University of Chicago, USA

Mark Rizzacasa, University of Melbourne, Australia

Richmond Sarpong, University of California, Berkeley, USA

Paolo Scrimin, University of Padua, Italy

Oliver Seitz, Humboldt University of Berlin, Germany

Jay Siegel, University of Zürich, Switzerland

Tibor Soos, Hungarian Academy of Sciences, Hungary

Corey Stephenson, University of Michigan, USA

Mark Taylor, University of Toronto, Canada

Dirk Trauner, Ludwig-Maximilian University Munich, Germany

Bruce Turnbull, University of Leeds, UK

Georgios Vassilikogiannakis, University of Crete, Greece

Helma Wennemers, University of Basel, Switzerland

Peter Wipf, University of Pittsburgh, USA

Shuli You, Shanghai Institute of Organic Chemistry, China

Li He Zhang, Peking University, China

Jian Zhou, East China Normal University, China

Information for authors

Full details on how to submit material for publication in *Organic & Biomolecular Chemistry* are given in the Instructions for Authors (available from <http://www.rsc.org/authors>).

Submissions should be made via the journal's homepage: <http://www.rsc.org/obc>.

Authors may reproduce/republish portions of their published contribution without seeking permission from the RSC, provided that any such republication is accompanied by an acknowledgement in the form: (Original Citation)–Reproduced by permission of The Royal Society of Chemistry.

This journal is ©The Royal Society of Chemistry 2015. Apart from fair dealing for the purposes of research or private study for non-commercial purposes, or criticism or review, as permitted under the Copyright, Designs and

Patents Act 1988 and the Copyright and Related Rights Regulation 2003, this publication may only be reproduced, stored or transmitted, in any form or by any means, with the prior permission in writing of the Publishers or in the case of reprographic reproduction in accordance with the terms of licences issued by the Copyright Licensing Agency in the UK. US copyright law is applicable to users in the USA.

The Royal Society of Chemistry takes reasonable care in the preparation of this publication but does not accept liability for the consequences of any errors or omissions.

© The paper used in this publication meets the requirements of ANSI/NISO Z39.48–1992 (Permanence of Paper).

Registered Charity No. 207890.

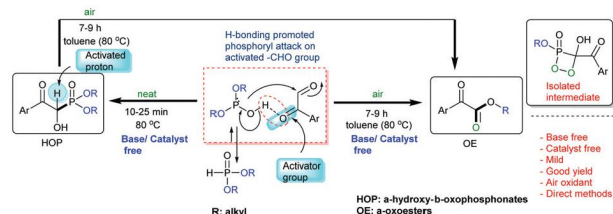
COMMUNICATIONS

8637

2-Oxo promoted hydrophosphonylation & aerobic intramolecular nucleophilic displacement reaction

Satyanarayana Battula, Narsaiah Battini, Deepika Singh and Qazi Naveed Ahmed*

Highly efficient catalyst free methods for the synthesis of α -hydroxy- β -oxo phosphonates (HOP) and α -oxoesters (OE) have been described for the first time. The existence of a 2-oxo group in α -oxoaldehydes (OA) was a key factor in promoting the reaction of the trivalent phosphite form towards activated aldehydes (OA) in the synthesis of HOP.

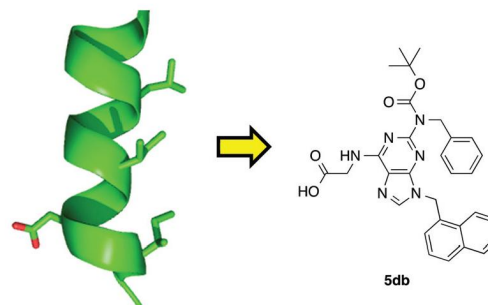


8642

Towards more drug-like proteomimetics: two-faced, synthetic α -helix mimetics based on a purine scaffold

M. E. Lanning, P. T. Wilder, H. Bailey, B. Drennen, M. Cavalier, L. Chen, J. L. Yap, M. Rajee and S. Fletcher*

Key residues on opposing faces of the Bak-BH3 α -helix were recapitulated by the 2,6,9-tri-substitution of a purine scaffold.

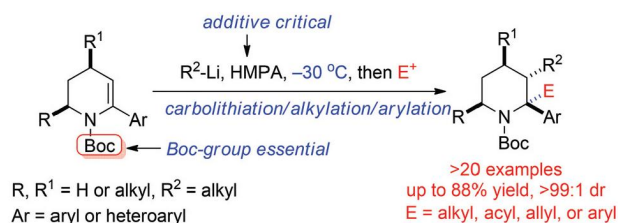


8647

Trapping of carbolithiation-derived tertiary benzylic α -lithio piperidines with carbon electrophiles: Controlling the formation of α -amino quaternary and vicinal stereocenters

Timothy K. Beng,* Nathan Fox, Daniel P. Bassler, Amir Alwali, Kayla Sincavage and Ann Wens V. Silaire

The interception of carbolithiation-derived tertiary benzylic α -lithio piperidines with carbon electrophiles has led to the diastereoselective synthesis of vicinally functionalized piperidines bearing α -amino quaternary stereocenters.



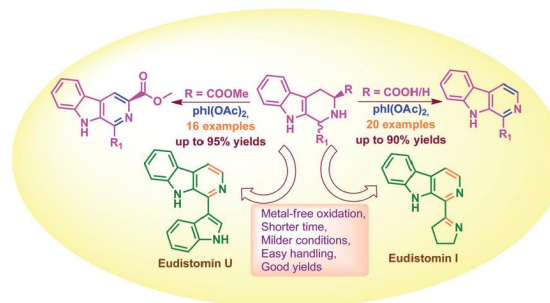
PAPERS

8652

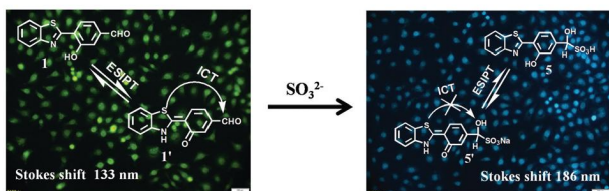
PhI(OAc)₂-mediated one-pot oxidative decarboxylation and aromatization of tetrahydro- β -carbolines: synthesis of norharmane, harmane, eudistomin U and eudistomin I

Ahmed Kamal,* Yellaiah Tangella, Kesari Lakshmi Manasa, Manda Sathish, Vunnam Srinivasulu, Jadala Chetna and Abdullah Alarifi

A new strategy for synthesis of β -carbolines *via* one-pot oxidative decarboxylation at room temperature is developed for the first time.



8663

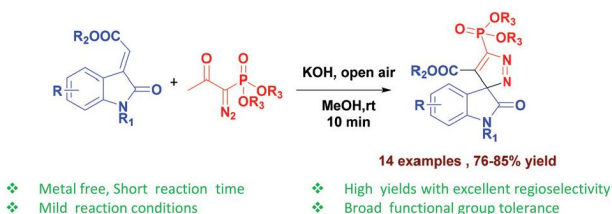


A ratiometric fluorescent probe for rapid, sensitive and selective detection of sulfur dioxide with large Stokes shifts by single wavelength excitation

Xingjiang Liu, Qinwei Yang, Wenqiang Chen, Lingna Mo, Song Chen, Jiang Kang and Xiangzhi Song*

A ratiometric fluorescent probe was developed for rapid, sensitive and selective detection of SO_3^{2-} with large Stokes shifts. Imaging intracellular SO_3^{2-} was successfully demonstrated in living HNE-2 cells.

8669

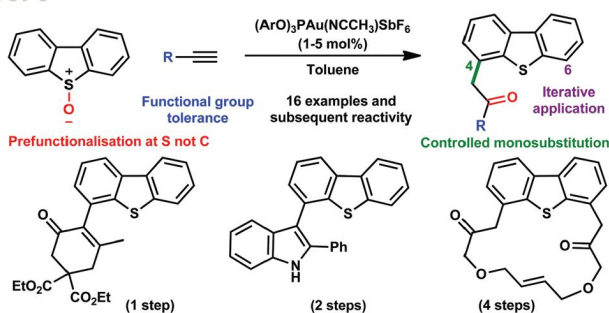


An efficient one pot regioselective synthesis of a 3,3'-spiro-phosphonylpyrazole-oxindole framework via base mediated [1,3]-dipolar cycloaddition reaction of the Bestmann–Ohira reagent with methyleneindolinones

Anil M. Shelke and Gurunath Suryavanshi*

A one pot, highly regioselective synthesis of 3,3'-spiro-phosphonylpyrazole-oxindole by 1,3-dipolar cycloaddition of the Bestmann–Ohira reagent (BOR) & methyleneindolinones has been developed.

8676

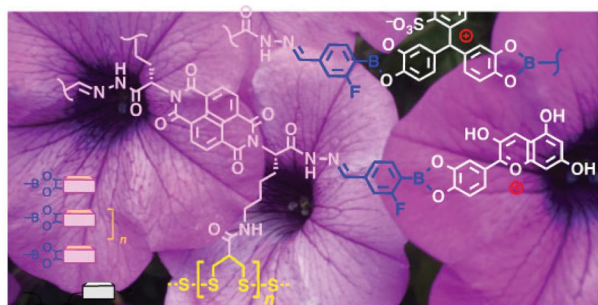


Regioselective functionalisation of dibenzothiophenes through gold-catalysed intermolecular alkyne oxyarylation

Matthew J. Barrett, Paul W. Davies* and Richard S. Grainger*

Site-selective and direct C–H functionalisation of dibenzothiophenes is achieved using a gold-catalysed oxyarylation approach.

8687



Colorful surface architectures with three different types of dynamic covalent bonds: integration of anthocyanins, tritylium ions and flavins

Kang-Da Zhang, Naomi Sakai and Stefan Matile*

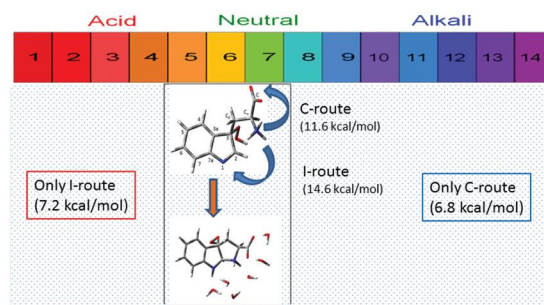
Complex systems with three different types of dynamic covalent bonds are probed for emergent properties.

8695

Unraveling the intramolecular cyclization mechanism of oxidized tryptophan in aqueous solution as a function of pH

Jefferson Méndez-Hurtado, M. Isabel Menéndez,*
Ramón López and Manuel F. Ruiz-López*

pH tunes the mechanism of the intramolecular cyclization of 3 α -substituted tryptophan derivatives.

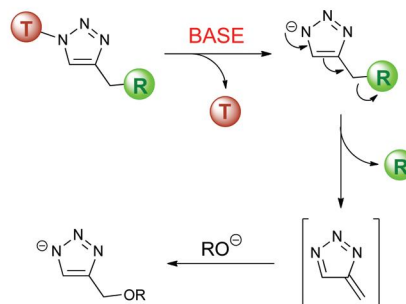


8703

Self-immolative base-mediated conjugate release from triazolylmethylcarbamates

Christopher A. Blencowe, David W. Thornthwaite,
Wayne Hayes* and Andrew T. Russell*

A range of carbamate functionalized 1,4-disubstituted triazoles featuring a model aromatic amine reporter group (R) have been prepared *via* copper(I) catalysed azide-alkyne cycloaddition and revealed self-immolative characteristics under basic conditions.

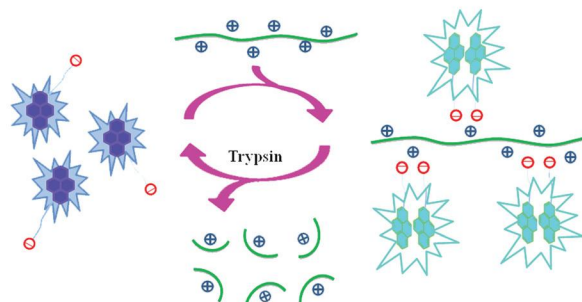


8708

A simple fluorescent probe based on a pyrene derivative for rapid detection of protamine and monitoring of trypsin activity

Baiyang Tang, Yan Yang, Gefu Wang, Zhiyi Yao,*
Li Zhang* and Hai-Chen Wu*

Detection of protamine and trypsin with a simple fluorescent probe based on a pyrene derivative.

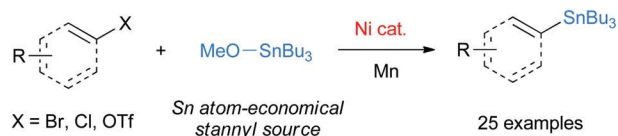


8713

A Sn atom-economical approach toward arylstannanes: Ni-catalysed stannylation of aryl halides using Bu₃SnOMe

Kimihiko Komeyama,* Ryota Asakura and Ken Takaki

This article describes a Ni-catalysed stannylation of aryl halides using Bu₃SnOMe without a liberation of wasteful and toxic stannyl residue.



8717

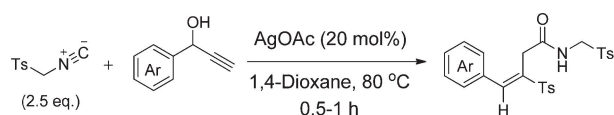


Regioselective synthesis of nitrosoimidazoheterocycles using *tert*-butyl nitrite

Kamarul Monir, Monoranjan Ghosh, Sourav Jana, Pallab Mondal, Adinath Majee and Alakananda Hajra*

A simple and practical method has been developed for the regioselective nitrosylation of imidazopyridines via C(sp²)-H bond functionalization using *tert*-butyl nitrite under mild reaction conditions.

8723



Silver-catalyzed cascade reaction of tosylmethyl isocyanide (TosMIC) with propargylic alcohols to (*E*)-vinyl sulfones: dual roles of TosMIC

Haniya Bounar, Zhenhua Liu, Lin Zhang, Xiaoxue Guan, Zonglian Yang, Peiqiu Liao, Xihe Bi* and Xingqi Li*

An silver-catalyzed cascade reaction of tosylmethyl isocyanide (TosMIC) with propargylic alcohols for the synthesis of (*E*)-vinyl sulfones has been developed where TosMIC plays a dual role as both the reactant in the allenylation of propargylic alcohols and the sulfonyl source.

8729

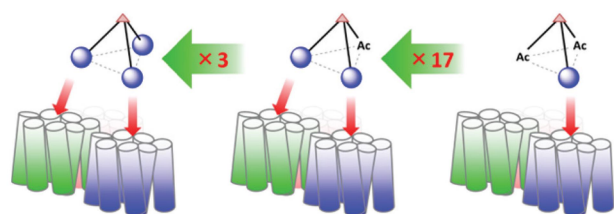


Domino Prins/pinacol reaction for the stereoselective synthesis of spiro[pyran-4,4'-quinoline]-2',3'-dione derivatives

B. V. Subba Reddy,* S. Gopal Reddy, M. Durgaprasad, Manika Pal Bhadra and B. Sridhar

A novel series of spiro[pyran-4,4'-quinoline]-2',3'-dione derivatives have been synthesized in good yields with excellent diastereoselectivity through a cascade of Prins/pinacol reactions.

8734



Trivalent ligands for CXCR4 bearing polyproline linkers show specific recognition for cells with increased CXCR4 expression

Wataru Nomura, Taisuke Koseki, Nami Ohashi, Takaaki Mizuguchi and Hirokazu Tamamura*

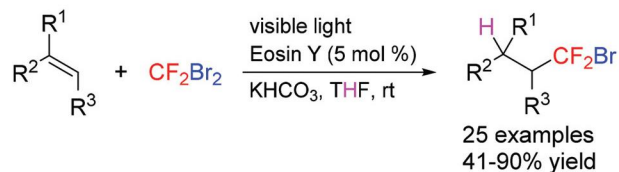
The trivalent ligand with rigid linkers designed for exploration of GPCR multimerization shows specific recognition for overexpressed CXCR4.

8740

Visible light-induced selective hydrobromodifluoromethylation of alkenes with dibromodifluoromethane

Qing-Yu Lin, Xiu-Hua Xu and Feng-Ling Qing*

The visible light-induced addition of CF_2Br_2 to alkenes proceeded smoothly in the presence of catalytic eosin Y, affording various hydrobromodifluoromethylated products in moderate to excellent yields.



8750

Investigation of glycofullerene dynamics by NMR spectroscopy

Olof Engström, Antonio Muñoz, Beatriz M. Illescas, Nazario Martín, Renato Ribeiro-Viana, Javier Rojo and Göran Widmalm*

Mannose residues linked to flexible spacers on slowly diffusing glycofullerenes may facilitate efficient rebinding to receptors.

