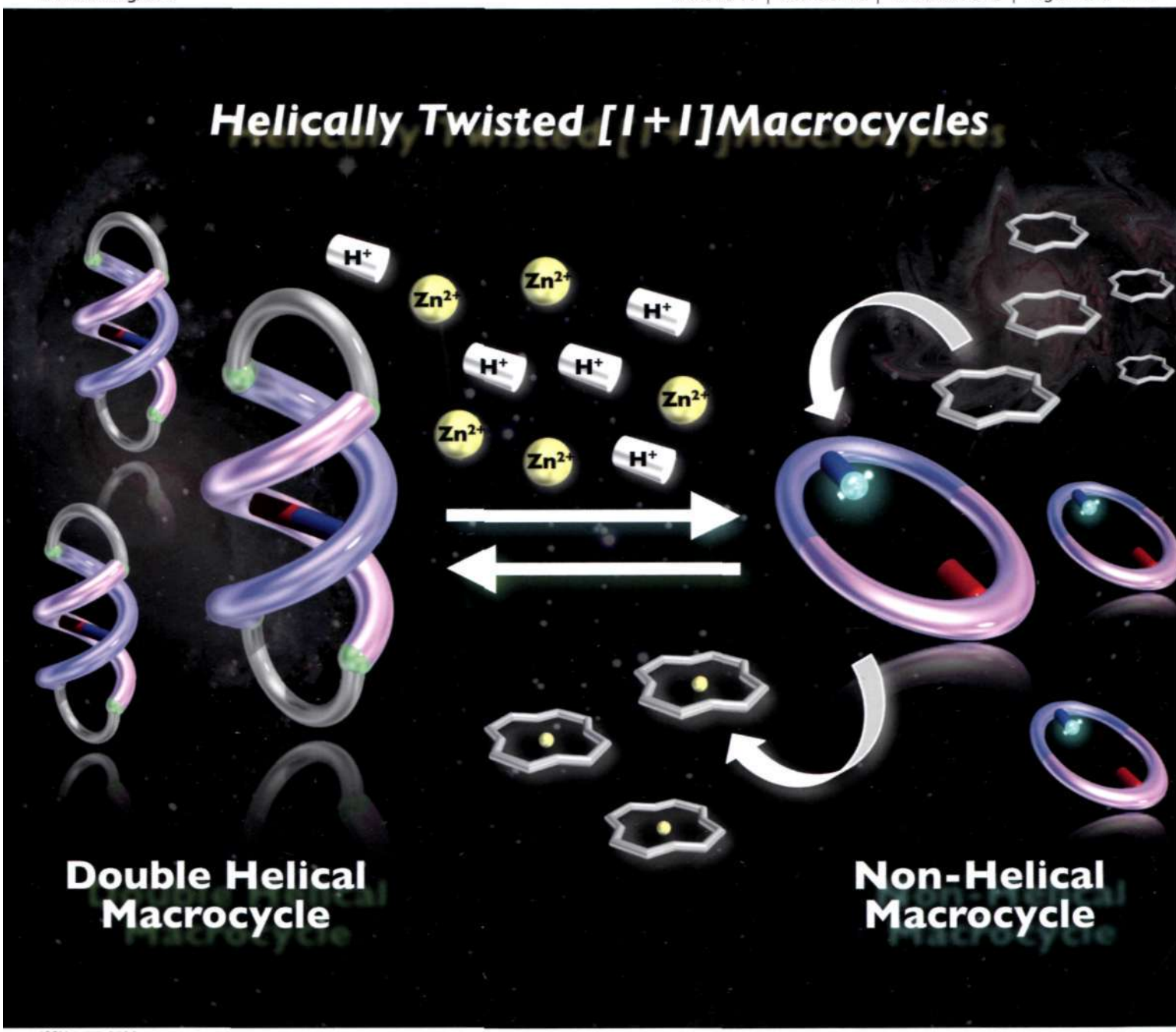
Organic & Biomolecular Chemistry

www.rsc.org/obc

Volume 11 | Number 10 | 14 March 2013 | Pages 1573–1744



ISSN 1477-0520

RSC Publishing

PAPER

Eiji Yashima *et al.*

Synthesis of helically twisted [1 + 1]macrocycles assisted by amidinium–carboxylate salt bridges and control of their chiroptical properties

1477-0520(2013)11:10;1-G

Organic & Biomolecular Chemistry

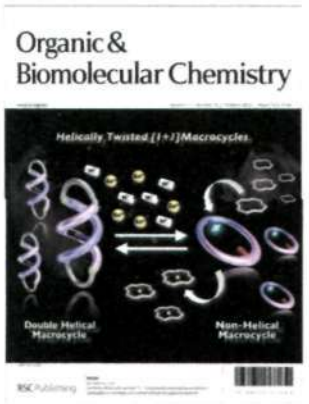
An international journal of synthetic, physical and biomolecular organic chemistry

www.rsc.org/obc

RSC Publishing is a not-for-profit publisher and a division of the Royal Society of Chemistry. Any surplus made is used to support charitable activities aimed at advancing the chemical sciences. Full details are available from www.rsc.org

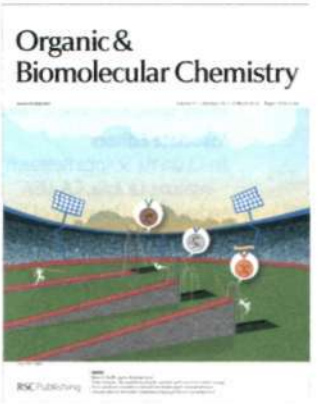
IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 11(10) 1573–1744 (2013)



Cover
See Eiji Yashima *et al.*, pp. 1614–1623.

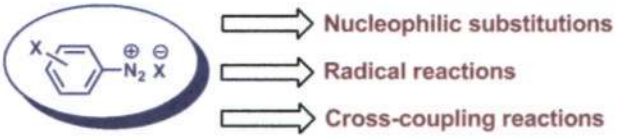
Image reproduced by permission of Eiji Yashima from *Org. Biomol. Chem.*, 2013, **11**, 1614.



Inside cover
See Marie E. Krafft, Igor V. Alabugin *et al.*, pp. 1624–1630.

Image reproduced by permission of Igor V. Alabugin from *Org. Biomol. Chem.*, 2013, **11**, 1624.

PERSPECTIVE



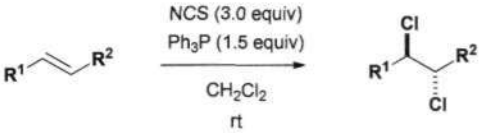
COMMUNICATIONS

1598

Dichlorination of olefins with NCS/Ph_3P

Yasumasa Kamada, Yuta Kitamura, Tetsuaki Tanaka and Takehiko Yoshimitsu*

A 2 : 1 mixture of NCS and Ph_3P successfully promoted the *anti*-dichlorination of olefins to provide corresponding dichlorides, serving as a molecular chlorine surrogate generated *in situ*.

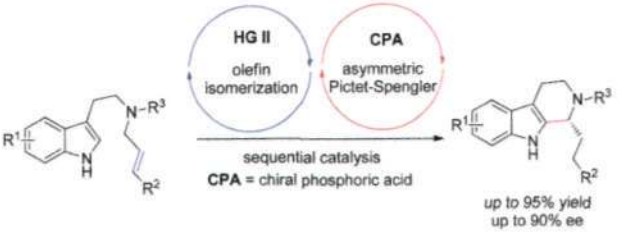


1602

An olefin isomerization/asymmetric Pictet–Spengler cascade *via* sequential catalysis of ruthenium alkylidene and chiral phosphoric acid

Quan Cai, Xiao-Wei Liang, Shou-Guo Wang and Shu-Li You*

Chiral phosphoric acid works together with Hoveyda–Grubbs II catalyst enabling highly efficient synthesis of enantioenriched tetrahydro-β-carboline (up to 95% yield, 90% ee) through an olefin isomerization/Pictet–Spengler cascade reaction *via* sequential catalysis.



1606

Highly efficient visible-light-induced aerobic oxidative C–C, C–P coupling from C–H bonds catalyzed by a gold(III)-complex

Qicai Xue, Jin Xie, Hongming Jin, Yixiang Cheng and Chengjian Zhu*

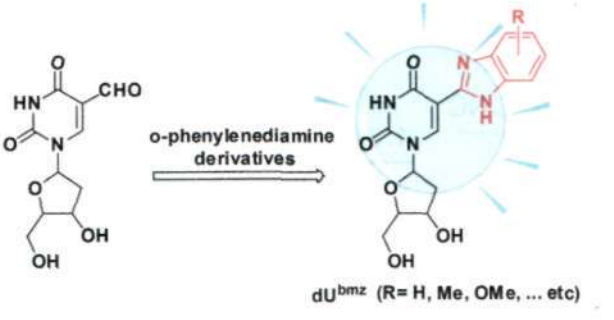
A novel and highly efficient visible-light-induced aerobic oxidative α-C–H functionalization of amines catalyzed by a gold complex is reported.

1610

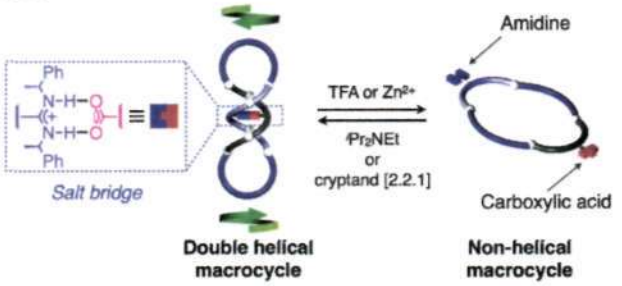
Synthesis and spectroscopic properties of fluorescent 5-benzimidazolyl-2′-deoxyuridines 5-fdU probes obtained from *o*-phenylenediamine derivatives

P. Guo, X. Xu, X. Qiu, Y. Zhou, S. Yan, C. Wang, C. Lu, W. Ma, X. Weng, X. Zhang and X. Zhou*

o-Phenylenediamine was used for detecting 5-fdU among natural nucleosides to produce fluorescent nucleosides which are sensitive to pH and solvents.



1614

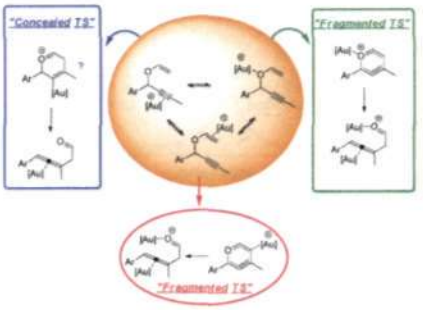


Synthesis of helically twisted [1 + 1]macrocycles assisted by amidinium–carboxylate salt bridges and control of their chiroptical properties

Yuji Nakatani, Yoshio Furusho and Eiji Yashima*

Novel twisted [1 + 1]macrocycles were prepared through amidinium–carboxylate salt bridges, whose chirality could be controlled by acid–base interactions and zinc coordination.

1624

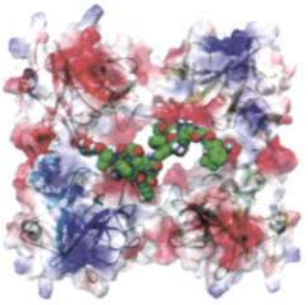


Overriding the alkynophilicity of gold: catalytic pathways from higher energy Au(I)–substrate complexes and reactant deactivation *via* unproductive complexation in the gold(I)-catalyzed propargyl Claisen rearrangement

Dinesh V. Vidhani, John W. Cran, Marie E. Krafft* and Igor V. Alabugin*

The competition between catalytically important mechanistic pathways in the Au-promoted propargyl Claisen rearrangement depends on a variety of electronic effects unrelated to Au–alkyne coordination.

1631

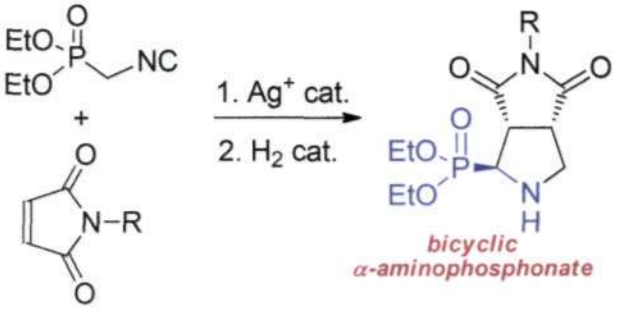


A *new approach to inhibit human* β*-tryptase by protein surface binding of four-armed peptide ligands with two different sets of arms*

Qian-Qian Jiang, Lina Bartsch, Wilhelm Sicking, Peter R. Wich, Dominik Heider, Daniel Hoffmann and Carsten Schmuck*

Four-armed peptide ligands with two different sets of arms containing an artificial arginine analog efficiently inhibit the enzyme by protein surface binding.

1640



First diastereoselective [3 + 2] cycloaddition reaction of diethyl isocyanomethylphosphonate and maleimides

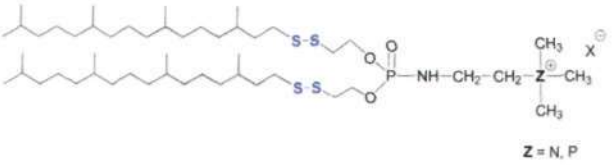
Carlos Arróniz, Juan Molina, Sonia Abás, Elies Molins, Josep M. Campanera, F. Javier Luque and Carmen Escolano*

The first silver-catalysed [3 + 2] cycloaddition reaction of diethyl isocyanomethylphosphonate and *N*-substituted maleimides furnished bicyclic α-iminophosphonates with complete diastereoselectivity.

1650

Cationic lipophosphoramidates with two disulfide motifs: synthesis, behaviour in reductive media and gene transfection activity

Aurore Fraix, Tony Le Gall, Mathieu Berchel, Caroline Denis, Pierre Lehn, Tristan Montier* and Paul-Alain Jaffrès*

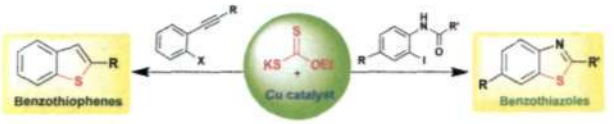


The synthesis of cationic lipids with two disulfide motifs in the hydrophobic domain is reported. They compact pDNA and release it rapidly in the presence of DTT as a reductive agent.

1659

Cu-catalyzed *in situ* generation of thiol using xanthate as a thiol surrogate for the one-pot synthesis of benzothiazoles and benzothiophenes

D. J. C. Prasad and G. Sekar*

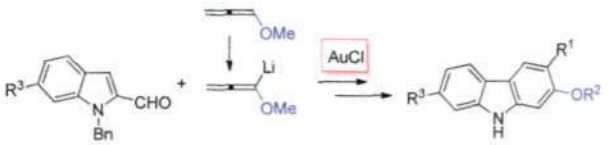


A new copper-catalyzed *in situ* generation of aryl thiolates strategy was developed for the one-pot synthesis of substituted benzothiazoles from 2-iodoanilides using xanthate as thiol precursor. This one-pot protocol was successfully utilized for the synthesis of a potent antitumor agent PMX 610 and substituted benzothiophenes.

1666

An efficient Au-catalyzed synthesis of isomukonidine, clausine L, mukonidine, glycosinine, mukonal, and clausine V from propadienyl methyl ether

Youai Qiu, Dengke Ma, Chunling Fu* and Shengming Ma*



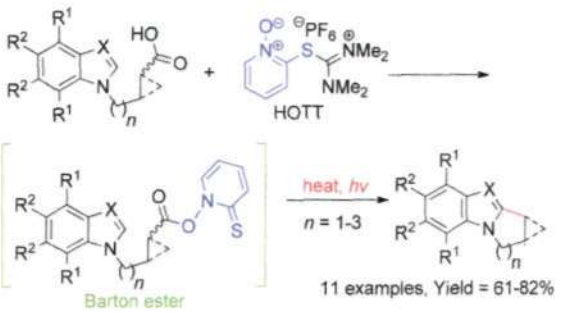
R^1	R^2	R^3	Name
COOH	Me	H	Isomukonidine
COOMe	Me	H	Clausine L
COOMe	H	H	Mukonidine
CHO	Me	H	Glycosinine
CHO	H	H	Mukonal
H	Me	OMe	Clausine V

Naturally occurring carbazole alkaloids such as isomukonidine, clausine-L, mukonidine, glycosinine, mukonal, and clausine V were synthesized through a gold-catalyzed cyclization reaction of 1-(indol-2-yl)-2-methoxy-2,3-allenols.

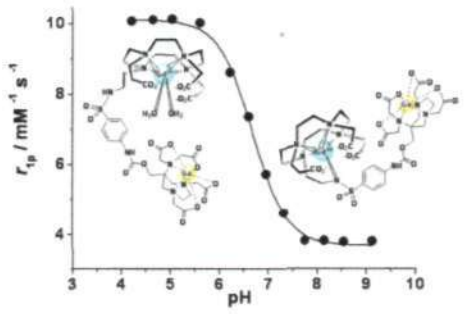
1672

Barton esters for initiator-free radical cyclisation with heteroaromatic substitution

Robert Coyle, Karen Fahey and Fawaz Aldabbagh*



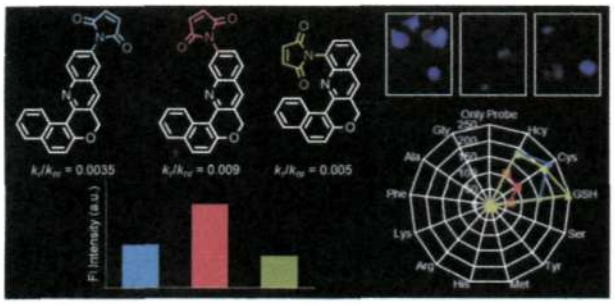
The first synthetically useful radical cyclisations with (hetero)aromatic substitution using Barton esters with reactions of cyclopropyl and alkyl radicals presented.



Orthogonal synthesis of a heterodimeric ligand for the development of the Gd^{III}–Ga^{III} ditopic complex as a potential pH-sensitive MRI/PET probe

Nikolay Vologdin, Gabriele A. Rolla, Mauro Botta and Lorenzo Tei*

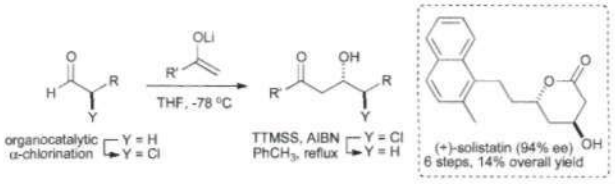
A heterodimeric DO3A-sulfonamide–AAZTA ligand and its Gd^{III}–Ga^{III} heteroditopic complex were synthesised *via* an orthogonal route aiming to build a platform for the preparation of an efficient smart MRI/PET probe sensitive to pH.



Chromenoquinoline-based thiol probes: a study on the quencher position for controlling fluorescent *Off–On* characteristics

Dnyaneshwar Kand, Arunasree Marasanapalli Kalle and Pinaki Talukdar*

A comparative study on chromenoquinoline-based fluorescent thiol probes is reported which features a higher *Off–On* response for hindered thiol exhibited by the probe with maleimide at the groove position of the fluorophore.



Chlorine, an atom economical auxiliary for asymmetric aldol reactions

Shira D. Halperin and Robert Britton*

Asymmetric, auxiliary-controlled reactions of aldehydes have been developed that exploit a chlorine atom as a readily introduced and removed auxiliary.

Design and synthesis of stable α-diazo-β-oxo sulfoxides

Stuart G. Collins,* Orlagh C. M. O'Sullivan, Patrick G. Kelleher and Anita R. Maguire*

Diazo transfer adjacent to a sulfoxide moiety to provide stable, isolable α-diazo-β-oxo sulfoxides has been achieved. Use of monocyclic and bicyclic sulfoxide precursors is critical in enabling isolation of stable derivatives, through introduction of conformational constraint, while acyclic α-diazo-β-oxo sulfoxides are too labile to isolate.