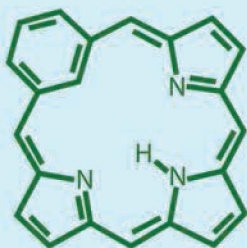
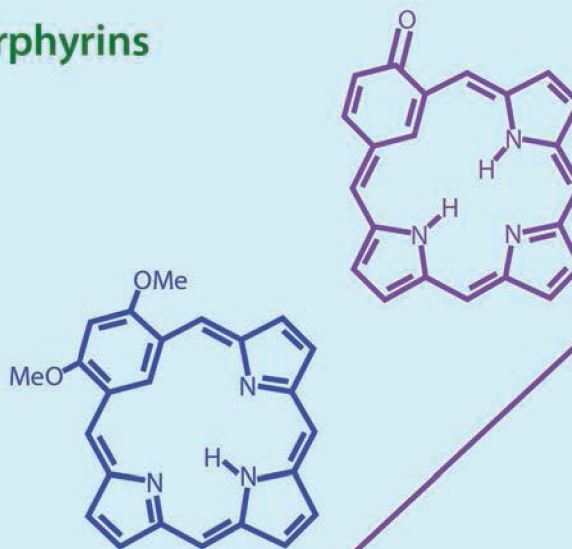
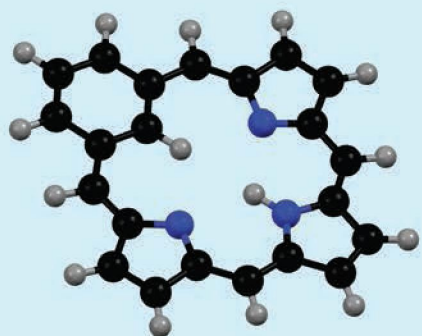


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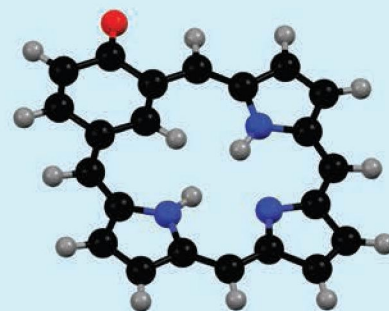
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Benziporphyrins



increasing aromaticity

increasing antiaromaticity



ISSN 1477-0520

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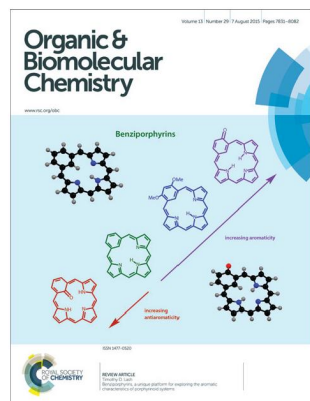
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IN THIS ISSUE

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Cover

See Timothy D. Lash,
pp. 7846–7878.

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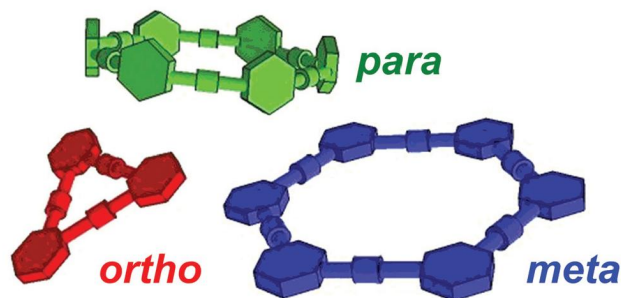
PERSPECTIVE

7841

Arylene ethynylene macrocycles: from molecular hosts to components of high-performance supramolecular architectures

Merry K. Smith and Ognjen Š. Miljanić*

This perspective highlights the recent utilization of arylene ethynylene macrocycles as supramolecular synthons—and speculates on what future may hold.



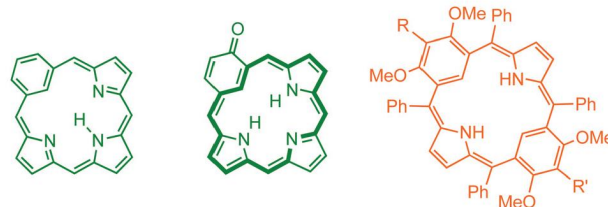
REVIEWS

7846

Benziporphyrins, a unique platform for exploring the aromatic characteristics of porphyrinoid systems

Timothy D. Lash

Benziporphyrins and related systems exhibit a wide range of properties and may possess nonaromatic, strongly aromatic or even antiaromatic characteristics.



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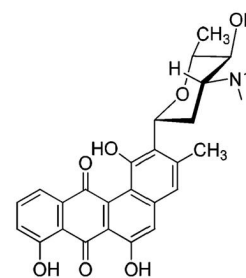
REVIEWS

7879

Synthetic applications of hypophosphite derivatives in reduction

Carole Guyon, Estelle Métoy,* Florence Popowycz* and Marc Lemaire

The purpose of this review is to collect the applications in fine synthesis of hypophosphite derivatives as reducing agents.



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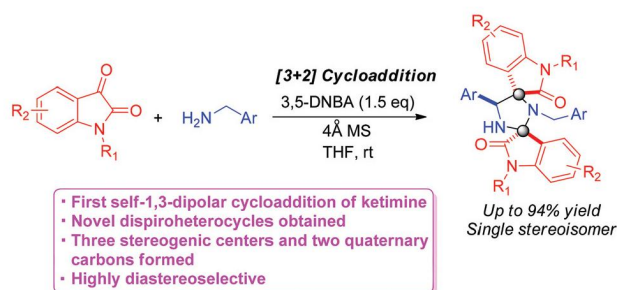
COMMUNICATIONS

7907

Highly stereoselective construction of novel dispirooxindole–imidazolidines *via* self-1,3-dipolar cyclization of ketimines

Yan-Hua Sun, Yu Xiong, Chu-Qin Peng, Wu Li, Jun-An Xiao and Hua Yang*

Self-1,3-dipolar [3 + 2]-cycloaddition of ketimines was successfully realized for the first time and the unprecedented dispirooxindole–imidazolidines were synthesized.

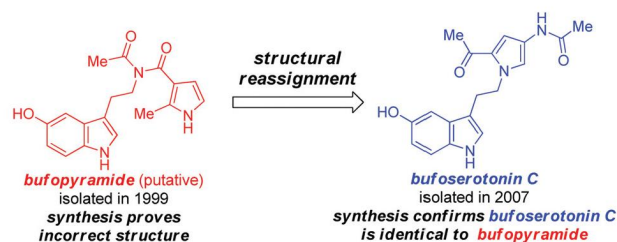


7911

Alkaloids from the traditional chinese medicine ChanSu: synthesis-enabled structural reassignment of bufopyramide to bufoserotonin C

Emma K. Davison and Jonathan Sperry*

A synthesis of putative bufopyramide has shown the structure assigned to the natural product to be incorrect.

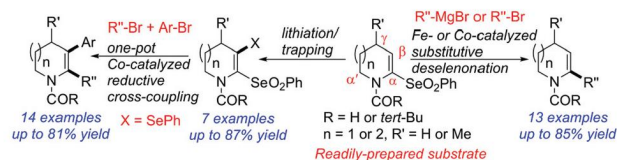


7915

One-shot access to α,β -difunctionalized azepenes and dehydropiperidines by reductive cross-coupling of α -selenonyl- β -selenyl enamides with organic bromides

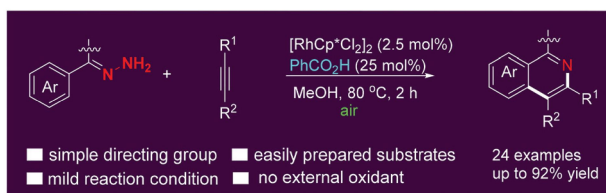
Timothy K. Beng,* Ann Wens V. Silaire, Amir Alwali and Daniel P. Bassler

A high-yielding synthesis of vicinally functionalized azepenes and dehydropiperidines has been achieved through cobalt-catalyzed reductive cross-coupling of novel α -selenonyl- β -selenyl enamides with cheap organic bromides.



COMMUNICATIONS

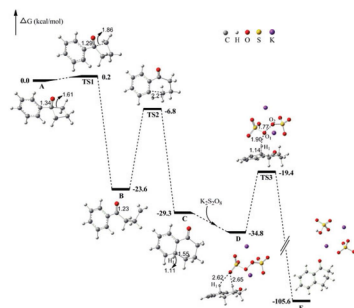
7920


An efficient synthesis of isoquinolines *via* rhodium-catalyzed direct C–H functionalization of arylhydrazines

Sai Zhang, Daorui Huang, Guangyang Xu, Shengyu Cao, Rong Wang, Shiyong Peng and Jiangtao Sun*

Rhodium-catalyzed C–H bond activation of arylhydrazines and coupling with internal alkynes has been realized.

7924

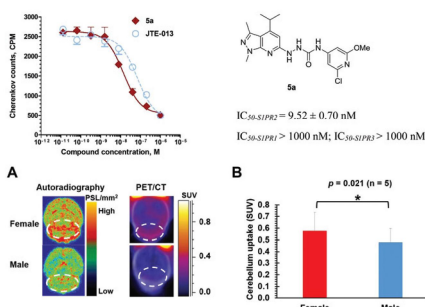

A facile and regioselective synthesis of 1-tetralones *via* silver-catalyzed ring expansion

Jiajia Yu, Huijun Zhao, Shuguang Liang, Xiaoguang Bao* and Chen Zhu*

A regioselective synthesis of 1-tetralones *via* silver-catalyzed ring expansion is described.

PAPERS

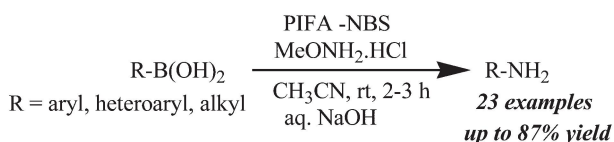
7928


A potent and selective C-11 labeled PET tracer for imaging sphingosine-1-phosphate receptor 2 in the CNS demonstrates sexually dimorphic expression

Xuyi Yue, Hongjun Jin, Hui Liu, Adam J. Rosenberg, Robyn S. Klein* and Zhude Tu*

Sphingosine-1-phosphate receptor 2 (S1PR2) plays an essential role in regulating blood–brain barrier (BBB) function during demyelinating central nervous system (CNS) disease.

7940


Metal and base free synthesis of primary amines *via* ipso amination of organoboronic acids mediated by [bis(trifluoroacetoxy)iodo]benzene (PIFA)

Nachiketa Chatterjee and Avijit Goswami*

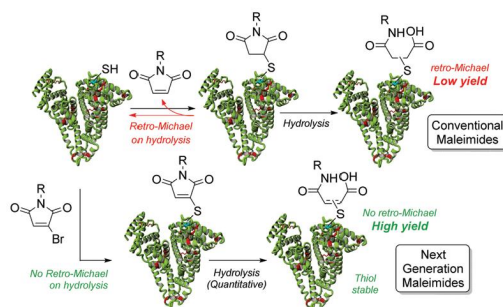
A metal and base free synthesis of primary amines has been developed at ambient temperature through *ipso* amination of diversely functionalized organoboronic acids, employing a combination of [bis(trifluoroacetoxy)iodo]-benzene (PIFA)–*N*-bromosuccinimide (NBS) and methoxyamine hydrochloride as the aminating reagent.

7946

A platform for efficient, thiol-stable conjugation to albumin's native single accessible cysteine

Mark E. B. Smith, Mikael B. Caspersen, Eifion Robinson, Maurício Morais, Antoine Maruani, João P. M. Nunes, Karl Nicholls, Malcolm J. Saxton, Stephen Caddick, James R. Baker* and Vijay Chudasama*

Thiol-stable albumin biologics are enabled by controlled, quantitative hydrolysis of maleimide–albumin conjugates, i.e. with no retro-Michael.

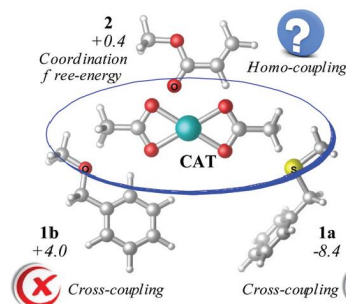


7950

DFT studies on the directing group dependent arene–alkene cross-couplings: arene activation vs. alkene activation

Lei Zhang and De-Cai Fang*

Two competing reaction pathways for the dehydrogenative Heck couplings have been found. The properties of the directing groups on reactants will determine the dominance of these two pathways.

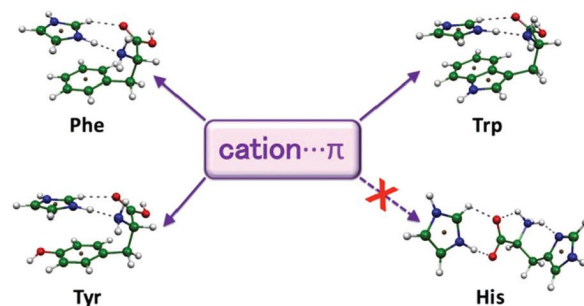


7961

On the interaction between the imidazolium cation and aromatic amino acids. A computational study

Ana A. Rodríguez-Sanz, Enrique M. Cabaleiro-Lago* and Jesús Rodríguez-Otero

Phe, Tyr and Trp form parallel complexes with cation $\cdots\pi$ interactions. His complexes are the strongest, but without making contact with the aromatic cloud.



7973

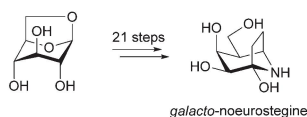
DMSO/I₂ mediated C–C bond cleavage of α -ketoaldehydes followed by C–O bond formation: a metal-free approach for one-pot esterification

Vunnam Venkateswarlu, K. A. Aravinda Kumar, Sorav Gupta, Deepika Singh, Ram A. Vishwakarma and Sanghapal D. Sawant*

One-pot I₂/DMSO mediated metal-free C–C bond cleavage of aryl-/heteroaryl- or aliphatic α -ketoaldehydes offering a carboxylic acid followed by esterification is presented.



7979



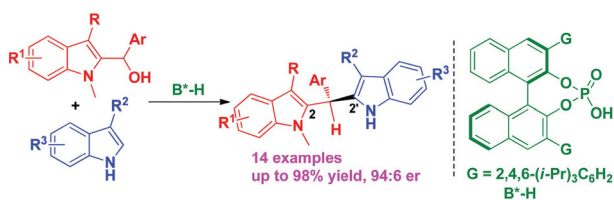
K_i 0.031 μM (β -galactosidase; *Asp. oryzae*)
 K_i >1000 μM (α -galactosidase; coffee beans)
 K_i >1000 μM (β -galactosidase; *E. coli*)

Synthesis and evaluation of galacto-noeurostegine and its 2-deoxy analogue as glycosidase inhibitors

Stéphane Salamone, Lise L. Clement, Agnete H. Viuff, Ole Juul Andersen, Frank Jensen and Henrik H. Jensen*

An epimer of the known glycosidase inhibitor noeurostegine, galacto-noeurostegine, was synthesised in 21 steps from levoglucosan and found to be a potent, competitive and highly selective galactosidase inhibitor of *Aspergillus oryzae* β -galactosidase.

7993

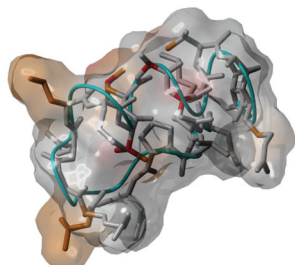
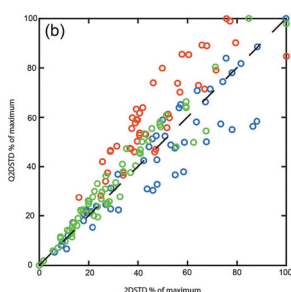


Enantioselective construction of a 2,2'-bisindolymethane scaffold via catalytic asymmetric reactions of 2-indolylmethanols with 3-alkylindoles

Yu-Xin Gong, Qiong Wu, Hong-Hao Zhang, Qiu-Ning Zhu and Feng Shi*

A chiral phosphoric acid-catalyzed asymmetric reaction of 2-indolylmethanols with 3-alkylindoles has been established to construct 2,2'-bisindolymethane scaffold in good enantioselectivities.

8001

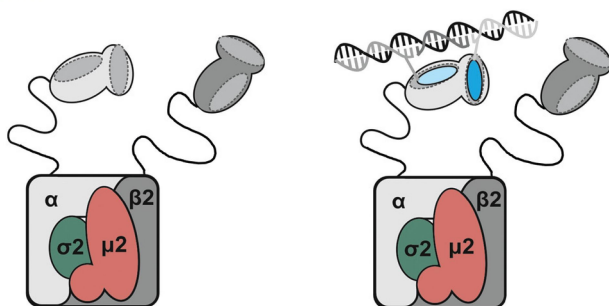


Q2DSTD NMR deciphers epitope-mapping variability for peptide recognition of integrin $\alpha_5\beta_6$

Jessica L. Sorge, Jane L. Wagstaff, Michelle L. Rowe, Richard A. Williamson* and Mark J. Howard*

^1H T_1 relaxation modified 2D STD NMR reveals integrin $\alpha_5\beta_6$ molecular specificity.

8008



Probing heterobivalent binding to the endocytic AP-2 adaptor complex by DNA-based spatial screening

F. Diezmann, L. von Kleist, V. Haucke and O. Seitz*

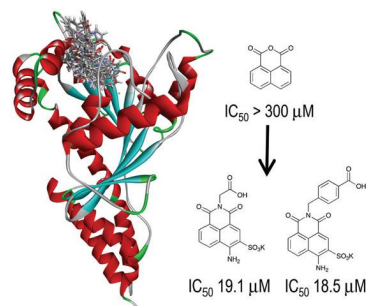
The DNA-programmed peptide display in brain extract revealed a co-operation between the binding sites on the AP-2 alpha-appendage domain.

8016

1,8-Naphthalimide derivatives: new leads against dynamin I GTPase activity

Mohammed K. Abdel-Hamid, Kylie A. Macgregor, Luke R. Odell, Ngoc Chau, Anna Mariana, Ainslie Whiting, Phillip J. Robinson and Adam McCluskey*

Fragment-based *in silico* screening against dynamin I (dynI) GTPase activity identified the 1,8-naphthalimide framework as a potential scaffold for the design of new inhibitors targeting the GTP binding pocket of dynI.

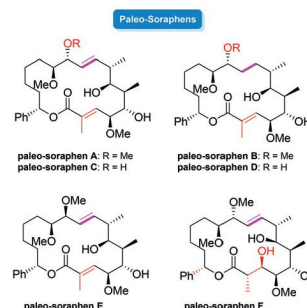


8029

Paleo-soraphens: chemical total syntheses and biological studies

Hai-Hua Lu, Bettina Hinkelmann, Thomas Tautz, Jun Li, Florenz Sasse, Raimo Franke and Markus Kalesse*

To provide a picture of the hypothetical evolutionary optimization of soraphen four additional paleo-soraphens and their biological profiles are described.

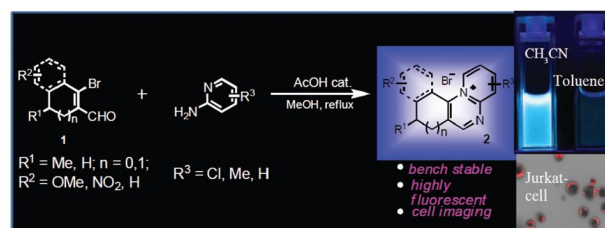


8037

Pyrido[1,2-*a*]pyrimidinium ions – a novel bridgehead nitrogen heterocycles: synthesis, characterisation, and elucidation of DNA binding and cell imaging properties

Susanta Kumar Manna,* Arabinda Mandal, Suresh Kumar Mondal, Arup Kr Adak, Akash Jana, Somnath Das, Sourav Chattopadhyay, Somenath Roy, Shyamal Kr Ghorai, Shubhankar Samanta,* Maidul Hossain* and Mahiuddin Baidya*

A novel class of bridgehead nitrogen heterocycles, pyrido-[1,2-*a*]pyrimidinium ions, has been readily synthesized by a two-step one-pot reaction in high yields (up to 93%).

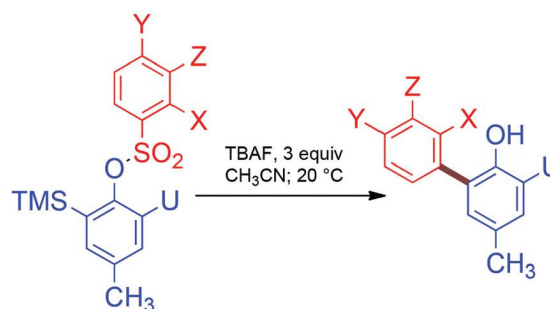


8048

Aryne generation vs. Truce-Smiles and fries rearrangements during the Kobayashi fragmentation reaction: a new bi-aryl synthesis

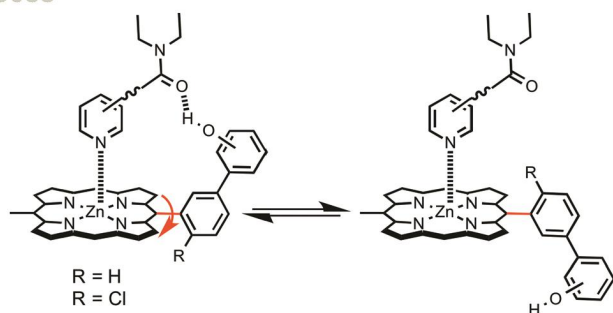
O. K. Rasheed, I. R. Hardcastle, J. Raftery and P. Quayle*

Treatment of (*ortho*-trimethylsilyl)aryl phenylsulfonates with fluoride anion initiates a Truce-Smiles rearrangement leading to the formation of bi-aryls.



PAPERS

8053

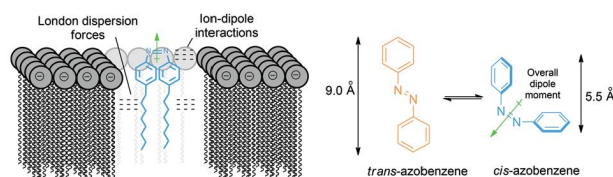


Influence of receptor flexibility on intramolecular H-bonding interactions

Hongmei Sun, Kai Guo,* Haifeng Gan, Xin Li and Christopher A. Hunter*

Atropisomers of a series of zinc tetraphenyl porphyrins were synthesized and used as supramolecular receptors.

8067

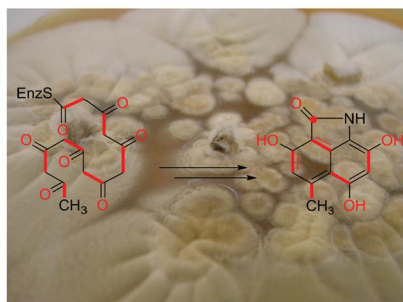


Functionalising the azobenzene motif delivers a light-responsive membrane-interactive compound with the potential for photodynamic therapy applications

Theodore J. Hester, Sarah R. Dennison, Matthew J. Baker and Timothy J. Snape*

A light-responsive azobenzene derivative provides a membrane-interactive compound with the potential for photodynamic therapy applications.

8071



Phenalenones: insight into the biosynthesis of polyketides from the marine alga-derived fungus *Coniothyrium cereale*

Mamona Nazir, Fayrouz El Maddah, Stefan Kehraus, Ekaterina Egereva, Jörn Piel, Alexander O. Brachmann and Gabriele M. König*

Biosynthetic study for the formation of phenalenone derivatives. Their polyketide skeleta are proposed to be formed through degradation of a heptaketide.

CORRECTION

8080

Correction: Synthesis of 2-deoxy-2,2-difluoro- α -maltosyl fluoride and its X-ray structure in complex with *Streptomyces coelicolor* GlgEI-V279S

Sandeep Thanna, Jared J. Lindenberger, Vishwanath V. Gaitonde, Donald R. Ronning* and Steven J. Sucheck*