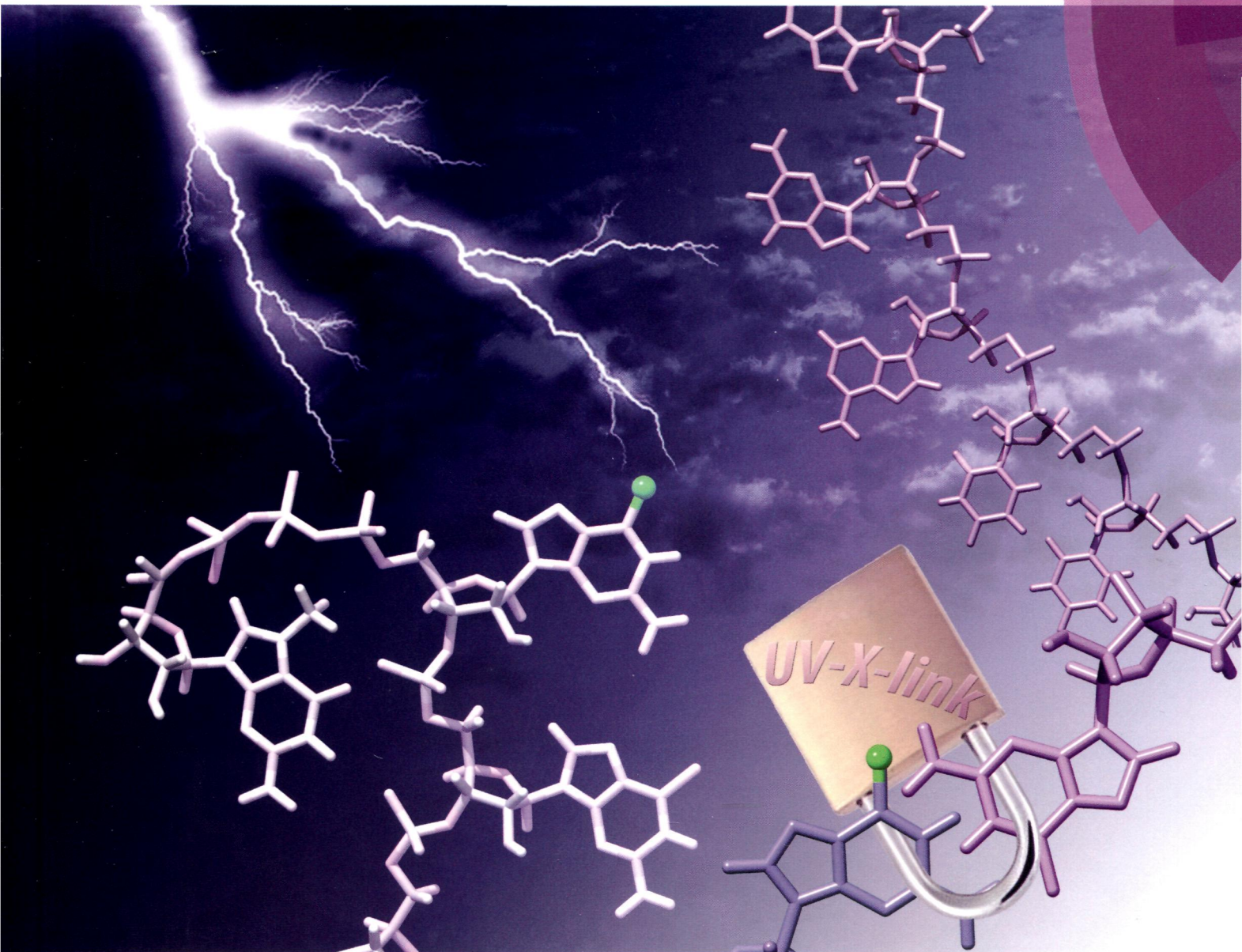


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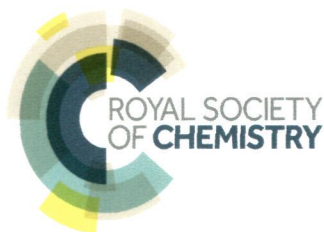
Volume 12 | Number 27 | 21 July 2014 | Pages 4765–5040

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ISSN 1477-0520



PAPER

Jacek Jemielity *et al.*

Cap analogs containing 6-thioguanosine – reagents for the synthesis of mRNAs selectively photo-crosslinkable with cap-binding biomolecules

Organic & Biomolecular Chemistry

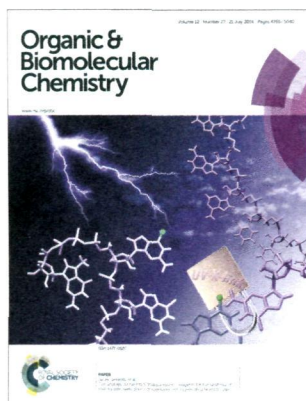
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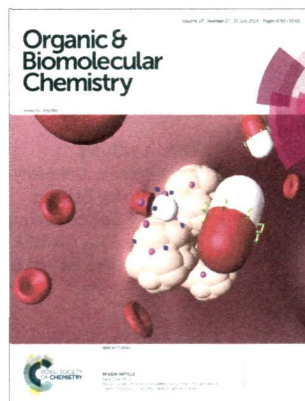
ISSN 1477-0520 CODEN OBCRAK 12(27) 4765–5040 (2014)



Cover

See Jacek Jemielity *et al.*, pp. 4841–4847.

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Inside cover

See Yanli Zhao *et al.*, pp. 4776–4806.

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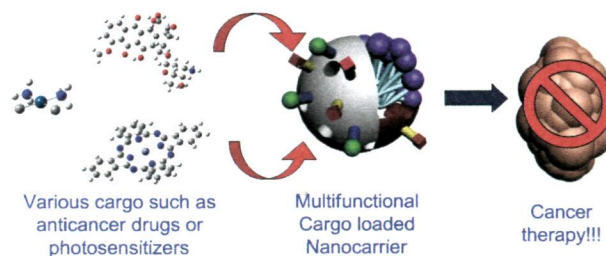
REVIEWS

4776

Recent advances in biocompatible nanocarriers for delivery of chemotherapeutic cargoes towards cancer therapy

Chung Yen Ang, Si Yu Tan and Yanli Zhao*

This review highlights recent advances in multifunctional nanocarriers for controlled and targeted drug delivery in cancer therapy.

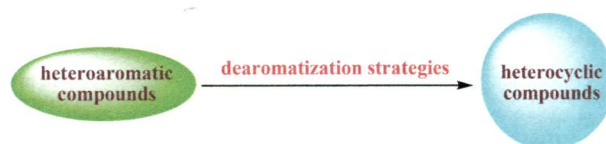


4807

Recent advances in dearomatization of heteroaromatic compounds

Qiuping Ding, Xiaoli Zhou and Renhua Fan*

This review summarizes the recent developments in dearomatization reactions of indoles, pyridines, quinolines, isoquinolines, and some other heteroaromatic compounds.

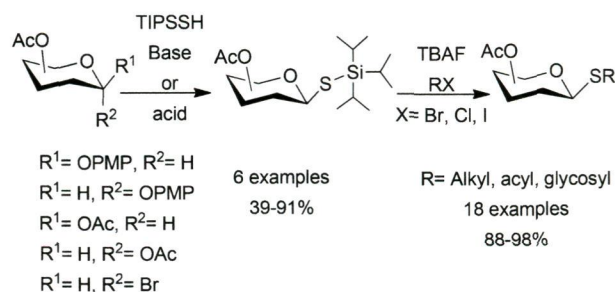


4815

Tri-isopropylsilyl thioglycosides as masked glycosyl thiol nucleophiles for the synthesis of S-linked glycosides and glyco-conjugates

S. Mandal and U. J. Nilsson*

Tri-isopropylsilyl thio-glycosides (TIPS S-glycosides), readily synthesized from glycosyl halides, glycosyl acetates, or *p*-methoxyphenyl glycosides, were in one-pot de-silylated and S-alkylated, -acylated, or -glycosylated in high yields and short time.

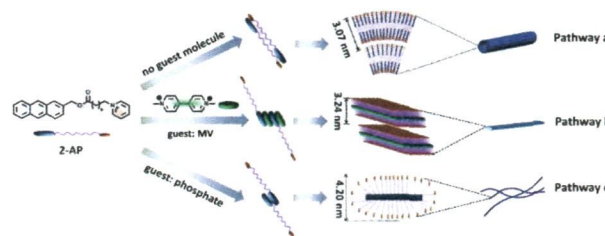


4820

Dual responsive supramolecular amphiphiles: guest molecules dictate the architecture of pyridinium-tailored anthracene assemblies

Jun Hu, Peiyi Wang, Yuan Lin, Song Yang, Baoan Song* and Qian Wang*

By introducing an electron-deficient guest molecule and a counter anion, the assembly morphology of 1-[11-(2-anthracenylmethoxy)-11-oxoundecyl]pyridinium bromide (**2-AP**) was transformed to microspheres and nanofibers from microtubes, respectively.

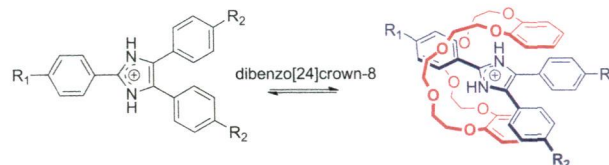


4824

[2]Pseudorotaxane formation between rigid Y-shaped 2,4,5-triphenylimidazolium axles and [24]crown-8 ether wheels

Nasim Farahani, Kelong Zhu, Nadim Noujeim and Stephen J. Loeb*

A new templating motif for the formation of [2]pseudorotaxanes is described in which rigid, Y-shaped axles with an imidazolium core and aromatic substituents at the 2-, 4- and 5-positions interact with [24]crown-8 ether wheels ([24]crown-8 and dibenzo[24]crown-8).

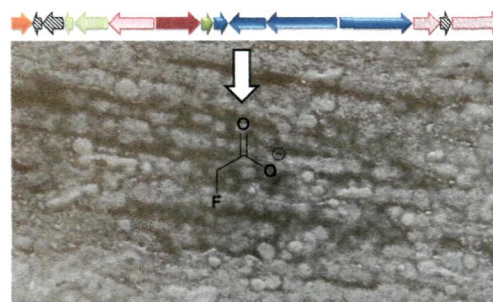


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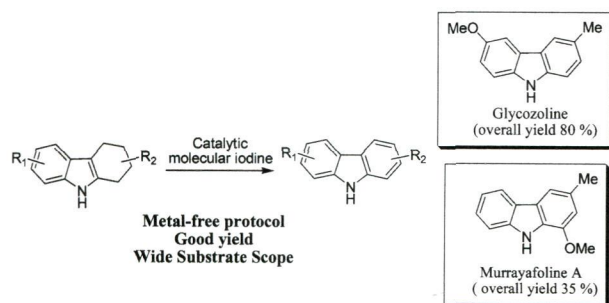
Fluoroacetate biosynthesis from the marine-derived bacterium *Streptomyces xinghaiensis* NRRL B-24674

Sheng Huang, Long Ma, Ming Him Tong, Yi Yu,* David O'Hagan and Hai Deng*

Streptomyces xinghaiensis is the first fluorometabolite producing microorganism identified from the marine environment.



4832

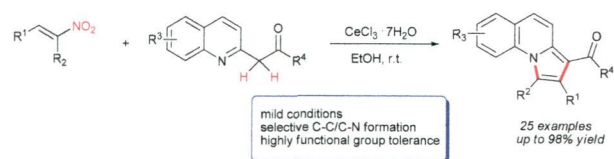


Iodine-catalyzed aromatization of tetrahydrocarbazoles and its utility in the synthesis of glycozoline and murrayafoline A: a combined experimental and computational investigation

Vivek Humne, Yuvraj Dangat, Kumar Vanka and Pradeep Lokhande*

A new protocol for the aromatization of tetrahydrocarbazoles has been achieved using a catalytic amount of iodine. The role of iodine has been explained by DFT, and its scope is extended to the total synthesis of glycozoline and murrayafoline A.

4837



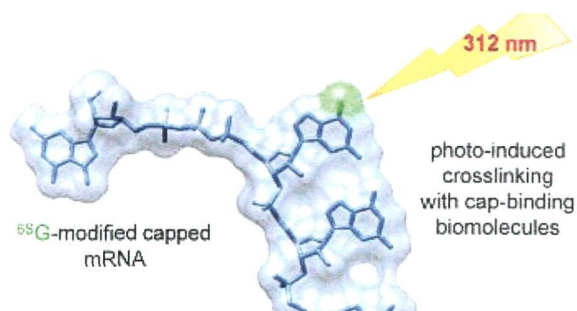
Cerium(III)-catalyzed cascade cyclization: an efficient approach to functionalized pyrrolo[1,2-a]quinolines

Chengtao Feng, Yizhe Yan, Zhenglei Zhang, Kun Xu and Zhiyong Wang*

A general and practical route to the synthesis of multisubstituted pyrrolo[1,2-a]quinolines has been described from 2-alkylazaarenes and nitroolefins using cerium chloride as a catalyst via a tandem Michael addition, cyclization and aromatization.

PAPERS

4841

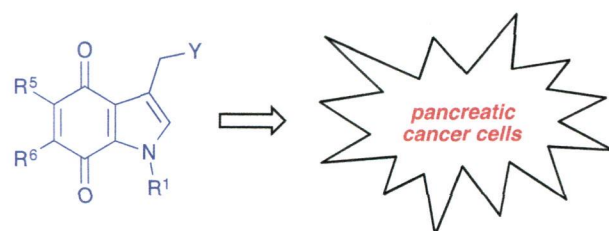


Cap analogs containing 6-thioguanosine – reagents for the synthesis of mRNAs selectively photo-crosslinkable with cap-binding biomolecules

Monika Nowakowska, Joanna Kowalska, Franck Martin, Arnaud d'Orchymont, Joanna Zuberek, Maciej Lukaszewicz, Edward Darzynkiewicz and Jacek Jemielity*

Novel photo-crosslinking reagents for the analysis of biomolecules binding mRNA 5' end.

4848



Antitumour indolequinones: synthesis and activity against human pancreatic cancer cells

Martyn Inman, Andrea Visconti, Chao Yan, David Siegel, David Ross and Christopher J. Moody*

An important determinant of the growth inhibitory activity of indolequinones against pancreatic cancer cells is substitution on the 2-position with 2-unsubstituted derivatives being markedly more potent.

4862

Construction of rotacatenanes using rotaxane and catenane frameworks

Wen Xue, Ziyong Li, Guoxing Liu, Xiaoqiang Chen, Tingting Li, Sheng Hua Liu and Jun Yin*

The construction of novel mechanically interlocked structures has become a topic of great current interest due to the requirements of topology and their potential application in molecular machines and devices.

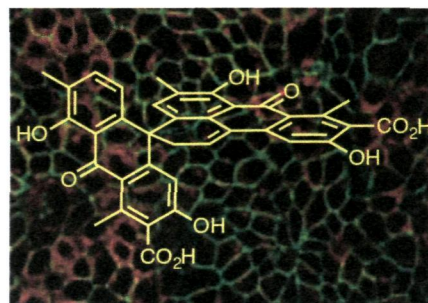


4872

Rare *Streptomyces* sp. polyketides as modulators of K-Ras localisation

Angela A. Salim, Xue Xiao, Kwang-Jin Cho, Andrew M. Piggott, Ernest Lacey, John F. Hancock and Robert J. Capon*

A rare class of oxanthromycin polyketides was isolated from a soil-derived *Streptomyces* sp. SAR-investigation showed that selected analogues can induce significant K-Ras plasma membrane mislocalisation and can synergise the K-Ras plasma membrane mislocalisation properties of staurosporine.

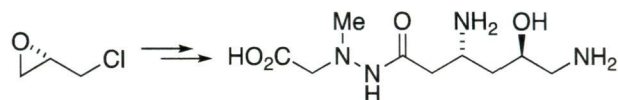


4879

A total synthesis of (+)-negamycin through isoxazolidine allylation

Roderick W. Bates,* Rab'iah Nisha Khanizeman, Hajime Hirao, Yu Shan Tay and Patcharaporn Sae-Lao

The β -amino acid antibiotic (+)-negamycin has been synthesised in ten steps from epichlorohydrin via Sakurai allylation of an isoxazolidine intermediate.

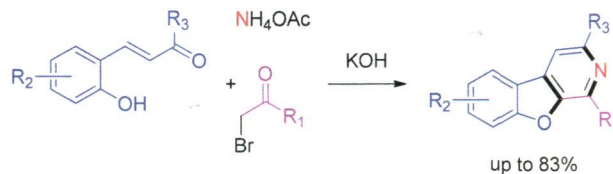


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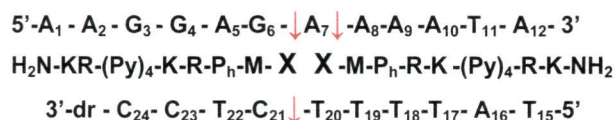
Synthesis of benzofuro[2,3-c]pyridines via a one-pot three-component reaction

Jiaxing Hu, Zhihong Deng, Xueqiong Zhang, Fanglin Zhang* and Hua Zheng*

A convenient one-pot synthesis of polysubstituted benzofuro[2,3-c]pyridines by three-component is developed. It provides a flexible and rapid synthetic route for the construction of benzofuro[2,3-c]pyridines under mild and metal-free reaction conditions in moderate to good yields (up to 83%).



4890

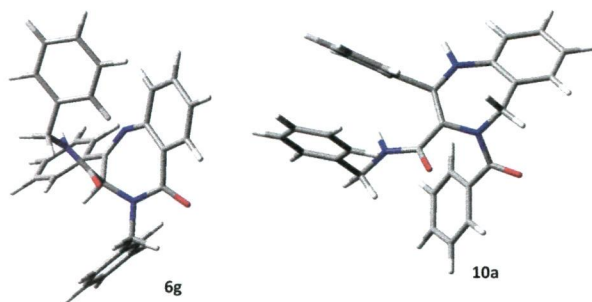


Enthalpy-driven nuclease-like activity and mechanism of peptide–chlorambucil conjugates

Robin C. K. Yang, Jonathan T. B. Huang, Yu-Ling Chen, Chia-Chun Hung, Mokai Liao, Wen-Chen Yao, Chiu-Heng Chen, Chien-Chung Liou, Michael J. Waring and Leung Sheh*

The chemical nuclease activity, energetics, and stepwise cleavage mechanism of chlorambucil–peptide conjugates are presented.

4905

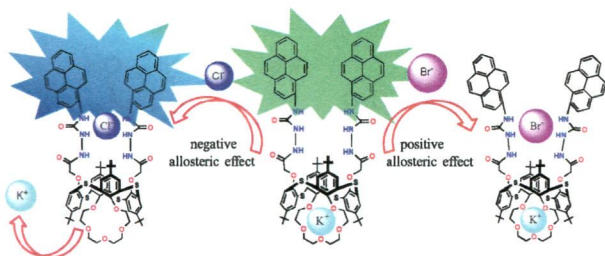


Experimental and theoretical studies on the effect of the oxo group in 1,4-benzodiazepines

Pablo Pertejo, María García-Valverde,* Pablo Peña, Nicolás A. Cordero, Tomás Torroba and Alfonso González-Ortega

Two families of regioisomeric 1,4-benzodiazepines have been synthesized through a similar Ugi/reduction cyclization sequence. Their conformation and stability depend on the relative position of the carbonyl group adjacent to the nitrogen at the 4-position in the benzodiazepine system.

4917

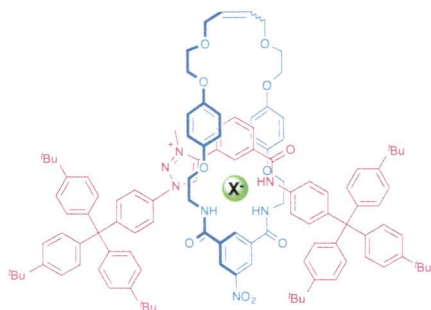


A study of allosteric binding behaviour of a 1,3-alternate thiocalix[4]arene-based receptor using fluorescence signal

Hirotsugu Tomiyasu, Cheng-Cheng Jin, Xin-Long Ni, Xi Zeng, Carl Redshaw and Takehiko Yamato*

The allosteric binding behaviour of a receptor **L** bearing a 1,3-alternate conformation based thiocalix[4]arene has been evaluated by fluorescence and 1H NMR titration experiments.

4924



Halide selective anion recognition by an amide-triazolium axle containing [2]rotaxane

Nicholas G. White, Ana R. Colaço, Igor Marques, Vítor Félix and Paul D. Beer*

A [2]rotaxane incorporating the novel 3-amido-phenyl-triazolium motif exhibits unusually high selectivity for halide anions over larger more basic oxoanions. Computational studies offer insight into this selectivity preference.

4932

Novel 3-arylethynyl-substituted thieno[3,4-*b*]-pyrazine derivatives as human transglutaminase 2 inhibitors

Nayeon Kim, Se Hun Kwak, Seon-Hyeong Lee, Vinayak Juvekar, Byung-Il Lee, Hee-Chul Ahn, Soo-Youl Kim* and Young-Dae Gong*

In the process of optimization, we developed a novel core skeleton of thieno[3,4-*b*]pyrazine via **GK-13**.

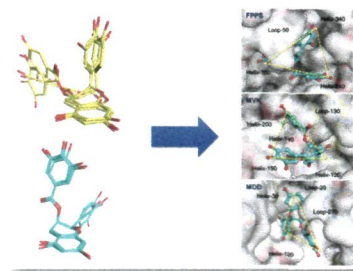


4941

Mechanistic studies for tri-targeted inhibition of enzymes involved in cholesterol biosynthesis by green tea polyphenols

Hu Ge, Jinggong Liu, Wenxia Zhao, Yu Wang, Qingqing He, Ruibo Wu, Ding Li* and Jun Xu*

The mechanism for lowering cholesterol using green tea polyphenols (ECG and EGCG) by the tri-targeted inhibition of FPPS, MVK and MDD.

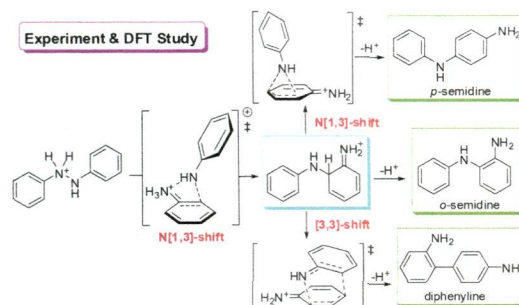


4952

N[1,3]-sigmatropic shift in the benzidine rearrangement: experimental and theoretical investigation

Shili Hou, Xinyao Li and Jiayi Xu*

The N[1,3]-sigmatropic shift with an inversion of the configuration in the migrating nitrogen atom exists in the formation of semidines and diphenylene.

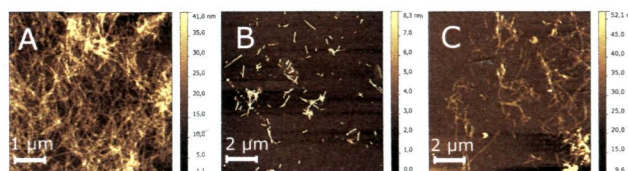


4963

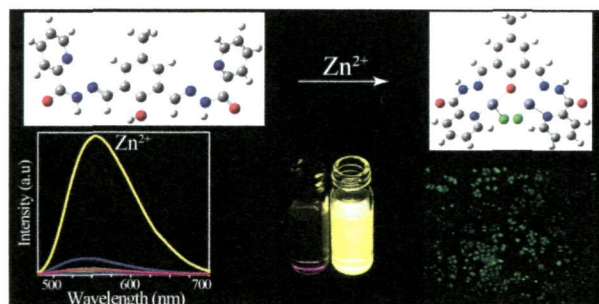
'Clickable' 2,5-diketopiperazines as scaffolds for ligation of biomolecules: their use in Aβ inhibitor assembly

E. Dufour, L. Moni, L. Bonnat, S. Chierici* and J. Garcia

The synthesis of 1,3,6-trisubstituted-2,5-diketopiperazine scaffolds bearing up to three 'clickable' sites for further oxime bond or alkyne-azide cycloaddition ligations is described.



4975

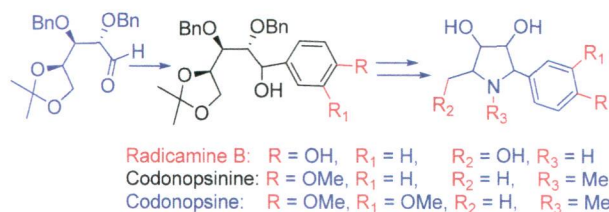


A novel chemosensor with visible light excitability for sensing Zn^{2+} in physiological medium and in HeLa cells

Barun Kumar Datta, Durairaj Thiyagarajan, Soham Samanta, Aiyagari Ramesh* and Gopal Das*

A highly sensitive, fluorescent, non-cytotoxic turn-on chemosensor with visible light excitability for the detection of intracellular Zn^{2+} ions.

4983

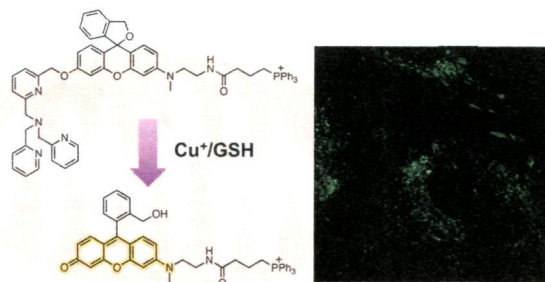


An easy route to synthetic analogues of radicamine B, codonopsine and codonopsine from D-mannitol

Suresh Dharuman, Ashok Kumar Palanivel and Yashwant D. Vankar*

Analogues of radicamine B, codonopsine and codonopsine were synthesized from D-mannitol and found to be good inhibitors of various glycosidases.

4999



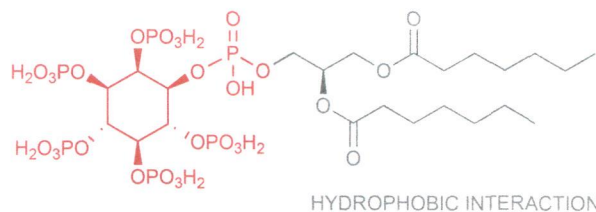
A mitochondria-targeted turn-on fluorescent probe based on a rhodol platform for the detection of copper(I)

Masayasu Taki,* Kazushi Akaoka, Koji Mitsui and Yukio Yamamoto

A new spirocyclized rhodol-based fluorescent probe has been developed for detecting mitochondrial Cu^+ .

5006

ELECTROSTATIC INTERACTION



Lipid coupled IP_6 : $K_d = 0.25 \mu\text{M}$ for HIV-1 MA

Design and synthesis of lipid-coupled inositol 1,2,3,4,5,6-hexakisphosphate derivatives exhibiting high-affinity binding for the HIV-1 MA domain

Hiroshi Tateishi, Kensaku Anraku, Ryoko Koga, Yoshinari Okamoto, Mikako Fujita and Masami Otsuka*

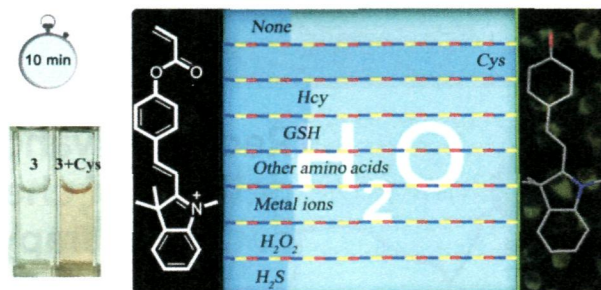
Lipid-coupled inositol 1,2,3,4,5,6-hexakisphosphate binds to HIV-1 MA tightly through both electrostatic and hydrophobic interactions.

5023

A colorimetric and ratiometric fluorescent probe for distinguishing cysteine from biothiols in water and living cells

Qingxin Han, Zhaohua Shi, Xiaoliang Tang, Lizi Yang, Zuolin Mou, Jing Li, Jinmin Shi, Chunyang Chen, Wei Liu, Huan Yang and Weisheng Liu*

A highly selective merocyanine-based fluorescent probe was developed, which can significantly distinguish Cys from Hcy and GSH by their kinetic profiles in water and respond to the intracellular Cys.



5031

Synthesis of DIBAC analogues with excellent SPAAC rate constants

Marjoke F. Debets, Jasper S. Prins, Donny Merkx, Sander S. van Berkel, Floris L. van Delft, Jan C. M. van Hest and Floris P. J. T. Rutjes*

Four new DIBAC analogues showed excellent SPAAC rate constants making them comparable to the fastest cyclooctynes currently known.

