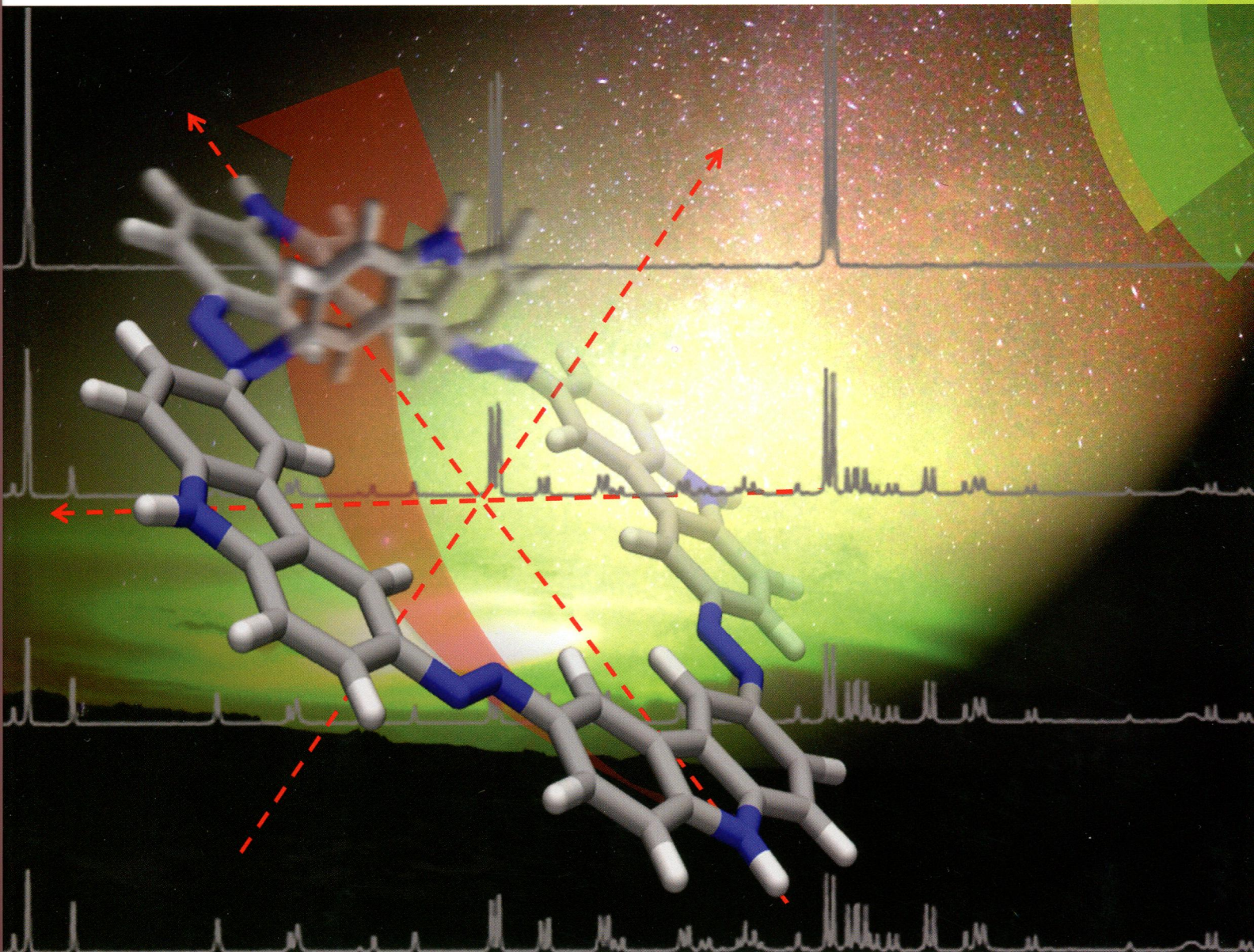


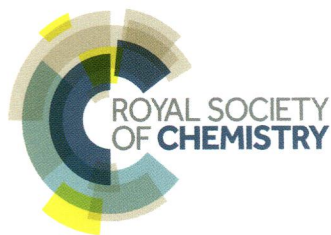
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PAPER

Hermann A. Wegner *et al.*

Symmetry as a new element to control molecular switches

Organic & Biomolecular Chemistry

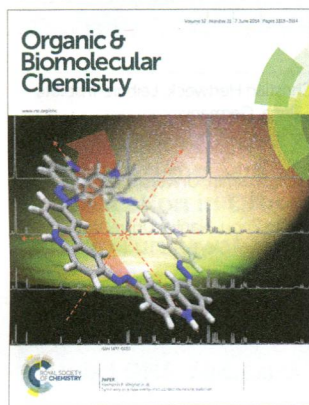
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IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 12(21) 3313–3514 (2014)

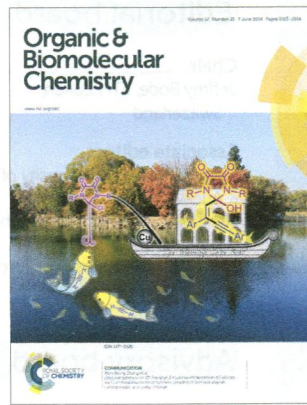


Cover

See Hermann A. Wegner *et al.*, pp. 3371–3379.

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Background photograph: starry sky in Island taken by Wataru Kaneda, Tokyo.



Inside cover

See Wen-Xiong Zhang *et al.*, pp. 3336–3339.

Image reproduced by permission of Wen-Xiong Zhang from *Org. Biomol. Chem.*, 2014, **12**, 3336.

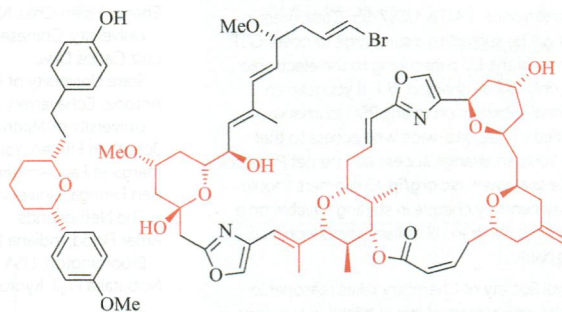
REVIEW

3323

Strategies for the construction of tetrahydropyran rings in the synthesis of natural products

Nadiah Mad Nasir, Kristaps Ermanis and Paul A. Clarke*

This review focuses on the methodology used for the construction of tetrahydropyran (THP) rings in the synthesis of natural products over the last seven years.



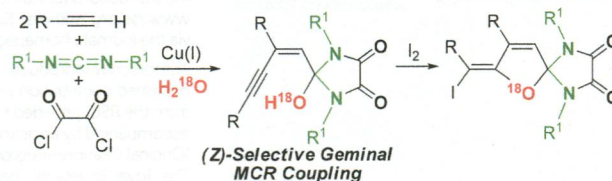
COMMUNICATIONS

3336

Selective synthesis of (Z)-2-enynyl-2-hydroxy-imidazolidine-4,5-diones via Cu(I)-mediated multicomponent coupling of terminal alkynes, carbodiimides and oxalyl chloride

Fei Zhao, Yuexing Li, Yang Wang, Wen-Xiong Zhang* and Zhenfeng Xi

(Z)-2-Enynyl-2-hydroxy-imidazolidine-4,5-diones are synthesized via Cu(I)-mediated (Z)-selective geminal coupling among two terminal alkynes, carbodiimides, and oxalyl chloride. Further transformation is explored.

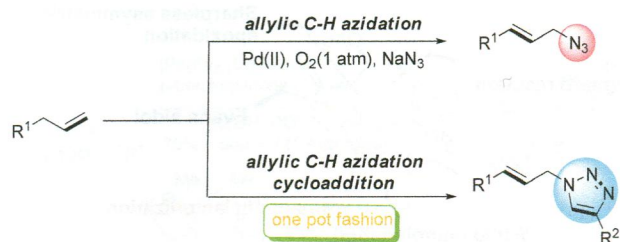


3340

Palladium-catalyzed regioselective azidation of allylic C–H bonds under atmospheric pressure of dioxygen

Huoji Chen, Wanfei Yang, Wanqing Wu and Huanfeng Jiang*

A palladium-catalyzed allylic azidation of alkenes with sodium azide under atmospheric pressure of dioxygen was developed.

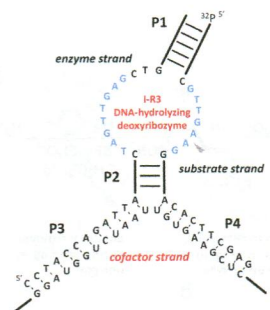


3344

Allosteric control of a DNA-hydrolyzing deoxyribozyme with short oligonucleotides and its application in DNA logic gates

Kazuhiro Furukawa* and Noriaki Minakawa*

We found that the catalytic activity of a DNA-hydrolyzing deoxyribozyme could be allosterically regulated by adding short oligonucleotides, and used this technique to construct DNA logic gates.

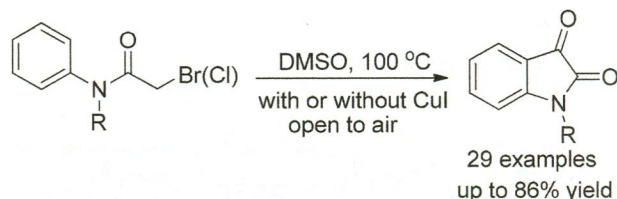


3349

Synthesis of *N*-alkyl isatins via oxidative cyclization of *N*-alkyl 2-bromo(chloro)acetanilides

Qingwen Gui, Fenglin Dai, Jidan Liu, Peixing Chen, Zhiyong Yang, Xiang Chen and Ze Tan*

N-Alkyl isatins were synthesized via oxidative cyclization of *N*-alkyl 2-bromo or 2-chloro acetanilides in DMSO.

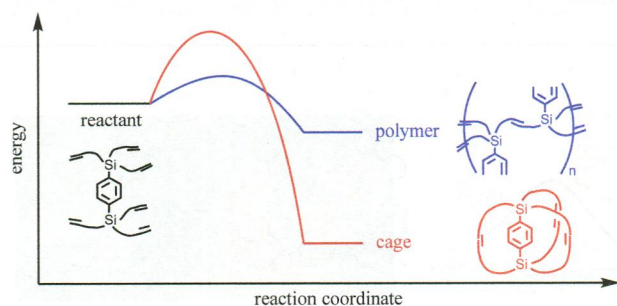


3354

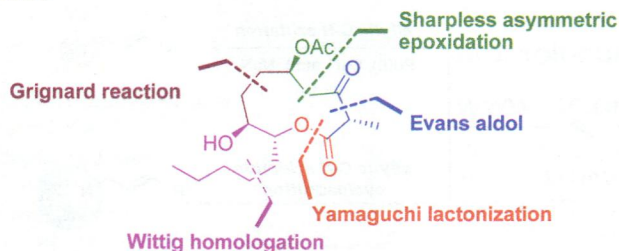
Ring-closing metathesis for the synthesis of a molecular gyrotop

Wataru Setaka,* Sayaka Higa and Kentaro Yamaguchi

A molecular gyrotop was synthesized by ring-closing metathesis (RCM) under reflux, indicating that the cage is a thermodynamically controlled product.



3358

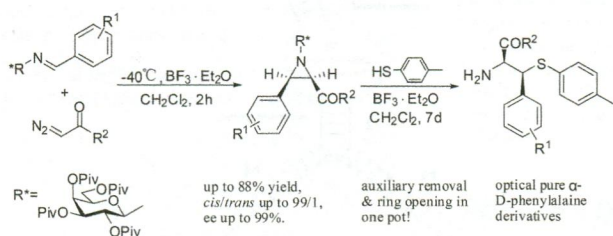


Carbohydrate-based first stereoselective total synthesis of bioactive cytospolide P

Pathi Suman and Bhimapaka China Raju*

A facile stereoselective approach has been developed for the total synthesis of cytospolide P via Yamaguchi macrolactonization.

3362

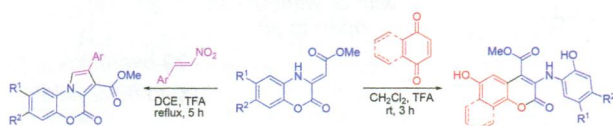


Diastereoselective formation of aziridines from diazocarbonyl compounds and *N*-(*O*-pivaloylated *D*-galactosyl)benzylideneamines and ring-opening reactions with *p*-toluenethiol

Yizhou Zhao, Gang Wang, Shanshan Zhou, Zhongjun Li* and Xiangbao Meng*

N-Galactosyl aziridines were synthesized, and the ring-opening reactions provided enantiometrically pure β -S-substituted phenylalanine derivatives with high regioselectivity.

3366



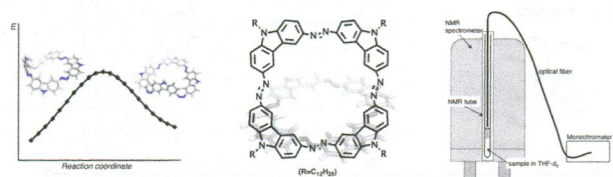
Trifluoroacetic acid-promoted Michael addition-cyclization reactions of vinylogous carbamates

Ram Tilak Naganaboina, Amrita Nayak and Rama Krishna Peddinti*

A simple and efficient methodology has been developed for the synthesis of pyrolobenzoxazine and 3-aryl amino coumarin derivatives promoted by trifluoroacetic acid. The initial step in the current protocol involves a Michael addition of the 1,4-benzoxazinone derivatives to the Michael acceptors and subsequent cyclization.

PAPERS

3371



Symmetry as a new element to control molecular switches

Luca Schweighauser, Daniel Häussinger, Markus Neuburger and Hermann A. Wegner*

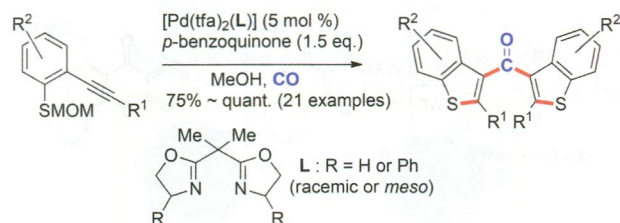
The switching of a tetraazocarbazole macrocycle was investigated and an interdependence of individual azo units was observed depending on their special relationship.

3380

A cyclization–carbonylation–cyclization coupling reaction of (*ortho*-alkynyl phenyl) (methoxymethyl) sulfides with the palladium(II)-bisoxazoline catalyst

Yiyun Jiang, Taichi Kusakabe, Keisuke Takahashi and Keisuke Kato*

A CCC-coupling reaction of (*o*-alkynylphenyl) (methoxymethyl) sulfides, catalyzed by (box)Pd^{II} complexes, afforded symmetrical ketones bearing two benzo[*b*]thiophene groups in good to excellent yields.

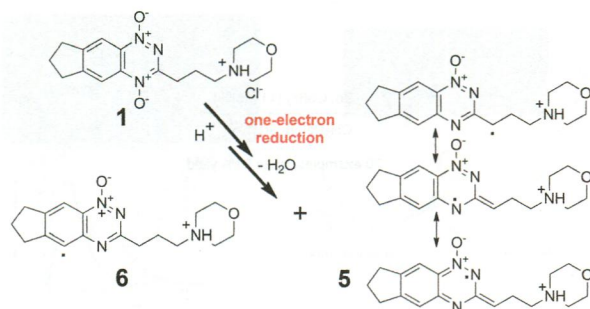


3386

Characterisation of radicals formed by the triazine 1,4-dioxide hypoxia-activated prodrug, SN30000

Robert F. Anderson,* Pooja Yadav, Deepa Patel, Jóhannes Reynisson, Smitha R. Tipparaju, Christopher P. Guise, Adam V. Patterson, William A. Denny, Andrej Maroz, Sujata S. Shinde and Michael P. Hay

One-electron bioreduction of SN30000, a triazine 1,4-dioxide anticancer drug, forms reactive aryl and carbon-centred radicals.

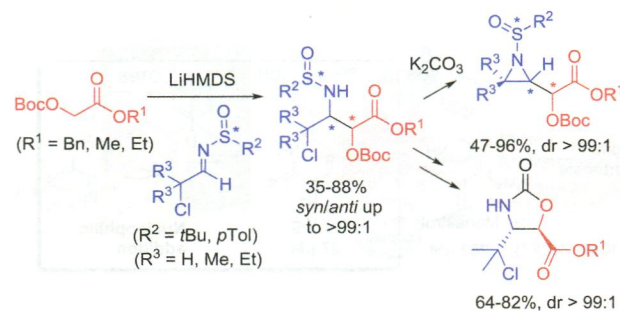


3393

Asymmetric synthesis of chloroisothreonine derivatives via *syn*-stereoselective Mannich-type additions across *N*-sulfinyl- α -chloroimines

Gert Callebaut, Filip Colpaert, Melinda Nonn, Loránd Kiss, Reijo Sillanpää, Karl W. Törnroos, Ferenc Fülöp, Norbert De Kimpe and Sven Mangelinckx*

Mannich-type reactions across *N*-sulfinyl- α -chloroaldimines resulted in *syn*-stereoselective synthesis of chloroisothreonine derivatives as excellent building blocks.

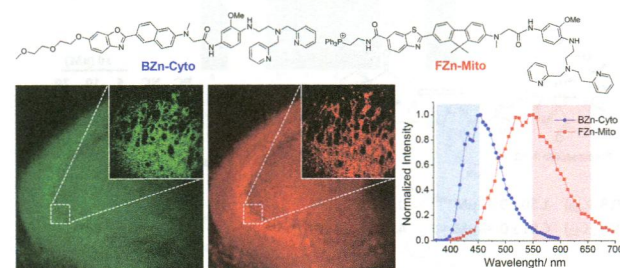


3406

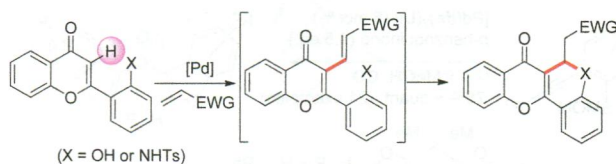
Dual-color imaging of cytosolic and mitochondrial zinc ions in live tissues with two-photon fluorescent probes

Kailash Rathore, Chang Su Lim, Young Lee and Bong Rae Cho*

We have developed TP probes for [Zn²⁺]_{cyto} and [Zn²⁺]_{mito}, which emit TPEF at widely-separated wavelength regions. The new probes can simultaneously detect [Zn²⁺]_{cyto} and [Zn²⁺]_{mito} in live cells, as well as in living tissues by dual-color TPM imaging.



3413

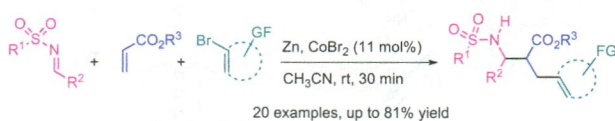


Synthesis of heterocyclic-fused benzopyrans via the Pd(II)-catalyzed C–H alkenylation/C–O cyclization of flavones and coumarins

Yechan Kim, Youngtaek Moon, Dahye Kang and Sungwoo Hong*

An efficient and practical method for effecting a tandem C–H alkenylation/C–O cyclization has been achieved via the C–H functionalization of flavone derivatives.

3423

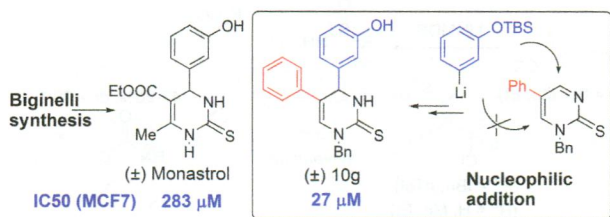


A multicomponent approach to the synthesis of N -sulfonyl $\beta^{2,3}$ -amino esters

Erwan Le Gall,* Stéphane Sengmany, Issa Samb, Sabrina Benakrou, Christopher Colin, Antoine Pignon and Eric Léonel

The multicomponent synthesis of α,β -disubstituted N -sulfonyl β -amino esters is described.

3427

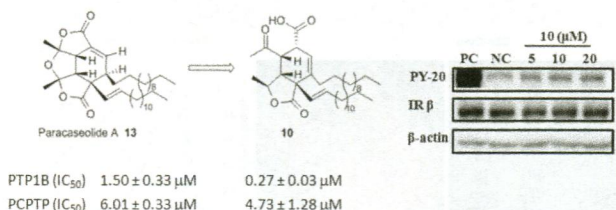


Regioselective synthesis of novel 4,5-diaryl functionalized 3,4-dihydropyrimidine-2(1H)-thiones via a non-Biginelli-type approach and evaluation of their *in vitro* anticancer activity

Jacek G. Sołnicki,* Łukasz Struk, Mateusz Kurzawski, Magdalena Perużyńska, Gabriela Maciejewska and Marek Drożdżik

A novel and regioselective approach to synthesize anticancer 4,5-diaryl functionalized 3,4-dihydropyrimidine-2(1H)-thiones is described.

3441



Design and synthesis of paracaseolide A analogues as selective protein tyrosine phosphatase 1B inhibitors

Jian-Peng Yin, Chun-Lan Tang, Li-Xin Gao, Wei-Ping Ma, Jing-Ya Li, Ying Li,* Jia Li* and Fa-Jun Nan*

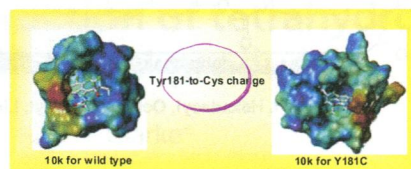
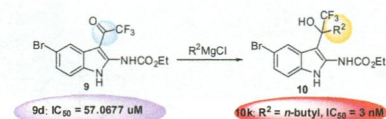
Paracaseolide A analogues showed improved PTP1B enzyme inhibitory activity, high selectivity for PTP1B over TC-PTP, and improved cellular effects.

3446

Design, synthesis, and biological evaluation of novel trifluoromethyl indoles as potent HIV-1 NNRTIs with an improved drug resistance profile

Hai-Xia Jiang, Dao-Min Zhuang, Ying Huang, Xing-Xin Cao, Jian-Hua Yao, Jing-Yun Li, Jian-Yong Wang, Chen Zhang* and Biao Jiang*

A novel series of trifluoromethyl indoles have been designed, synthesized and evaluated for anti-HIV-1 activities.

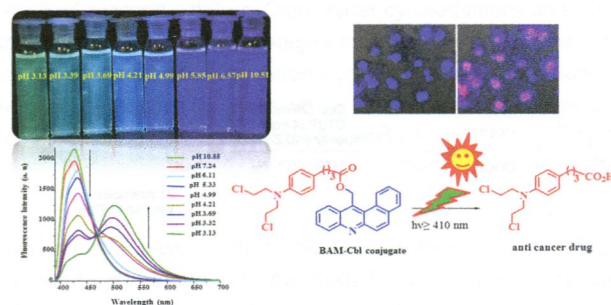


3459

Benzo[*a*]acridinylmethyl esters as pH sensitive fluorescent photoactive precursors: synthesis, photophysical, photochemical and biological applications

Mohammed Ikbal, Biswajit Saha, Shrabani Barman, Sanghamitra Atta, Deb Ranjan Banerjee, Sudip Kumar Ghosh and N. D. Pradeep Singh*

(Benzo[*a*]acridin-12-yl)methyl (BAM) chromophore has been shown to perform dual functions as a "pH sensitive fluorescent probe" and a "phototrigger" for acids.

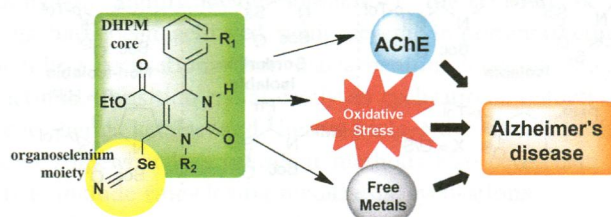


3470

Design, synthesis and evaluation of seleno-dihydropyrimidinones as potential multi-targeted therapeutics for Alzheimer's disease

Rômulo F. S. Canto, Flavio A. R. Barbosa, Vanessa Nascimento, Aldo S. de Oliveira, Inês M. C. Brighente and Antonio Luiz Braga*

We report the design, synthesis and evaluation of a series of seleno-dihydropyrimidinones as potential multi-targeted therapeutics for Alzheimer's disease.

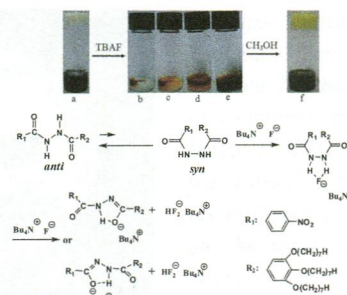


3478

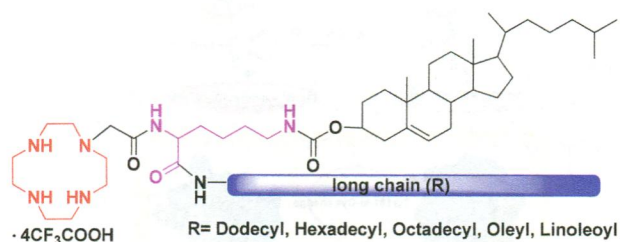
A simple structural hydrazone-based gelator as a fluoride ion colorimetric sensor

Binglian Bai,* Jie Ma, Jue Wei, Jianxi Song, Haitao Wang and Min Li*

The C8 organogel could show reversible gel-sol transition and color changes by the use of F^- stimuli and proton control.



3484

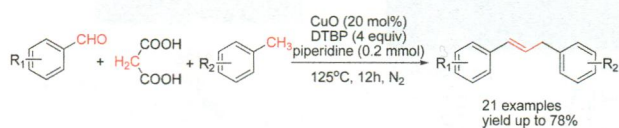


Synthesis and gene transfection activity of cyclen-based cationic lipids with asymmetric acyl-cholesteryl hydrophobic tails

Bao-Quan Liu, Wen-Jing Yi, Ji Zhang,* Qiang Liu, Yan-Hong Liu, Sheng-Di Fan and Xiao-Qi Yu*

Novel cyclen-based cationic lipids with asymmetric acyl-cholesteryl hydrophobic tails were synthesized and applied as non-viral gene vectors.

3493

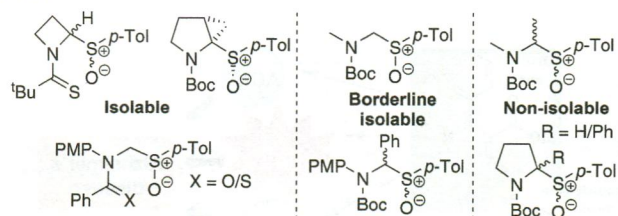


Direct olefination of benzaldehydes into 1,3-diarylpropenes via a copper-catalyzed heterodomo Knoevenagel-decarboxylation-Csp³-H activation sequence

Yaping Zhao, Lu Sun, Tieqiang Zeng, Jiayi Wang, Yanqing Peng and Gonghua Song*

Copper-catalyzed direct olefination of benzaldehydes into unsymmetrical 1,3-diarylpropenes by a novel domino Knoevenagel-decarboxylation-Csp³-H activation sequence using simpler substrates like benzaldehydes.

3499



On the synthesis of α -amino sulfoxides

Peter J. Rayner, Giacomo Gelardi, Peter O'Brien,* Richard A. J. Horan and David C. Blakemore

Six novel α -amino sulfoxides were successfully prepared and isolated and a spectrum of stability for α -amino sulfoxides is presented.