

Organic & Biomolecular Chemistry

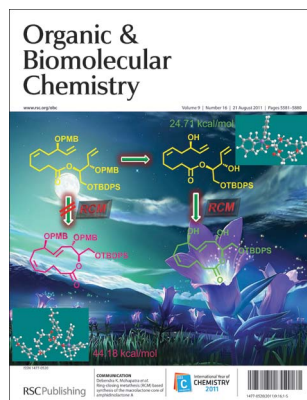
An international journal of synthetic, physical and biomolecular organic chemistry

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Cover

See Debendra K. Mohapatra *et al.*, pp. 5630–5632.

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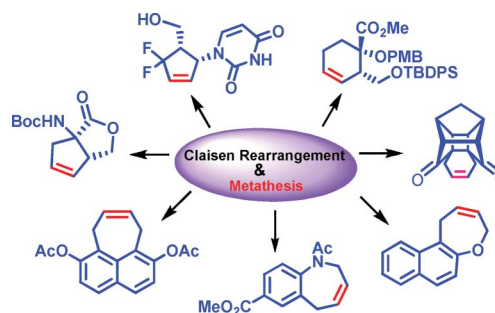
PERSPECTIVE

5597

A synergistic approach to polycyclics *via* a strategic utilization of Claisen rearrangement and olefin metathesis

Sambasivarao Kotha,* Nimita G. Krishna, Somnath Halder and Shilpi Misra

Various polycyclics, heterocyclics, and biologically important targets have been assembled using Claisen rearrangement and olefin metathesis as key steps.



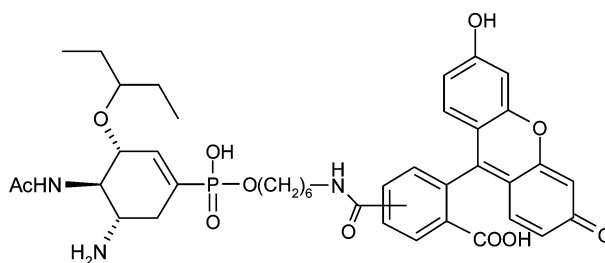
COMMUNICATIONS

5625

Biotin-, fluorescein- and 'clickable' conjugates of phospho-oseltamivir as probes for the influenza virus which utilize selective binding to the neuraminidase

Mathew Stanley, Stephen R. Martin, Max Birge, Benoit Carbain and Hansjörg Streicher*

The depicted conjugate of phospho-oseltamivir and fluorescein could be an efficient, antibody-independent alternative for the sensitive, selective detection of influenza viruses *via* the neuraminidase.



$K_i = 0.162$ nM (for influenza virus X31(H3N2) neuraminidase)

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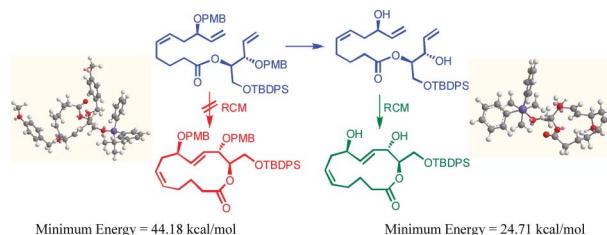
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5630

Ring-closing metathesis (RCM) based synthesis of the macrolactone core of amphidinolactone A

Debendra K. Mohapatra,* Manas R. Pattanayak, Pragna P. Das, Tapas R. Pradhan and J. S. Yadav*

A convergent synthesis of the macrolactone core of amphidinolactone A has been achieved in a 10 step linear sequence with 32% overall yield, through ring-closing metathesis as the macrolactonization step.

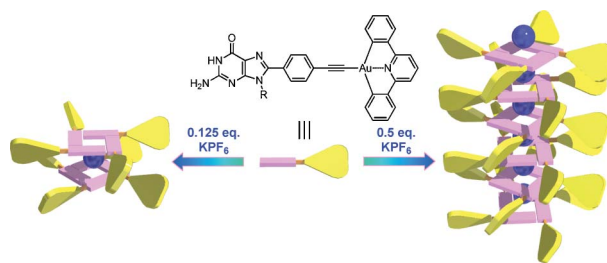


5633

Synthesis and assembling properties of bioorganometallic cyclometalated Au(III) alkynyls bearing guanosine moieties

Xiangtai Meng, Toshiyuki Moriuchi,* Norimitsu Tohnai, Mikiji Miyata, Masatoshi Kawahata, Kentaro Yamaguchi and Toshikazu Hirao*

The guanosine-based Au(III) compound was demonstrated to serve as a versatile bioorganometallic conjugate, which could form a variety of aggregates in the absence and presence of KPF_6 via self-assembly of the guanosine moiety.

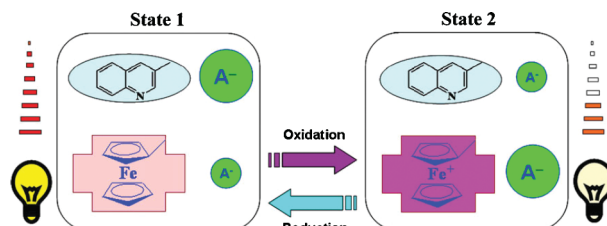


5637

Redox-driven sulfate ion transfer between two tripodal tris(urea) receptors

Minrui Li, Yongjing Hao, Biao Wu,* Chuandong Jia, Xiaojuan Huang and Xiao-Juan Yang*

Two receptors with the same scaffold but different signaling groups were employed to control intermolecular anion transfer via an electrochemical stimulus.

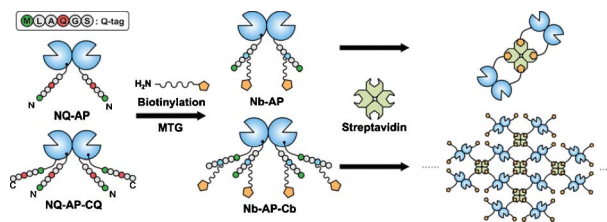


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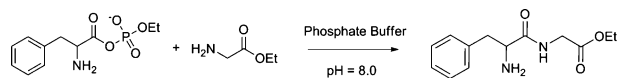
Protein assemblies by site-specific avidin–biotin interactions

Yutaro Mori, Kosuke Minamihata, Hiroki Abe, Masahiro Goto and Noriho Kamiya*

The potential use of site-specific ligand labeling of protein building blocks is assessed in designing functional protein supramolecular complexes.



5645

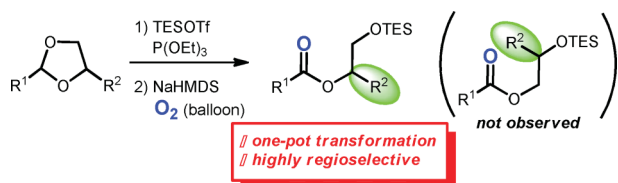


Biomimetic peptide bond formation in water with aminoacyl phosphate esters

Raj S. Dhiman, Liliana Guevara Opinska and Ronald Kluger*

Aminoacyl phosphates react efficiently with amino acid esters to form dipeptides with retention of stereochemical integrity; the reactions are selective and occur readily in the presence of nucleophiles other than amino groups on their side chains.

5648

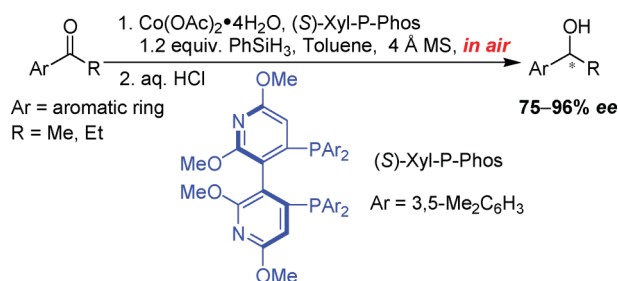


Direct conversion of acetals to esters with high regioselectivity via *O,P*-acetals

Tomohiro Maegawa, Kazuki Otake, Akihiro Goto and Hiromichi Fujioka*

A direct transformation of *O,O*-acetals to esters via *O,P*-acetals, which is applicable to unsymmetrical *O,O*-acetals to give the single regioisomer.

5652

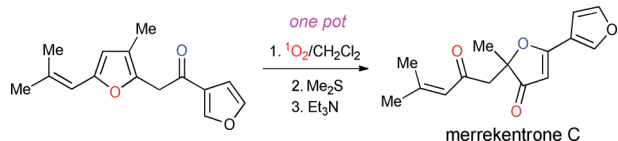


Cobalt(II)-catalyzed asymmetric hydrosilylation of simple ketones using dipyriddyphosphine ligands in air

Feng Yu, Xi-Chang Zhang, Fei-Fei Wu, Ji-Ning Zhou, Wenjun Fang, Jing Wu* and Albert S. C. Chan*

Cobalt–dipyriddyphosphine-catalyzed asymmetric hydrosilylation of a diverse range of aryl alkyl ketones afforded alcohols with moderate-to-excellent enantioselectivities (up to 96% ee) in air.

5655



Functionalized 3(2*H*)-furanones via photooxygenation of (β -keto)-2-substituted furans: Application to the biomimetic synthesis of merrekentrone C

Charis Gryparis, Ioannis N. Lykakis, Christina Efe, Ioannis-Panayotis Zaravinos, Theonymphi Vidali, Eugenia Kladou and Manolis Stratakis*

Photooxygenation of (β -keto)-2-substituted furans leads, in a one pot operation, to functionalized 3(2*H*)-furanones with good to excellent yields. This method was applied to the synthesis of merrekentrone C.

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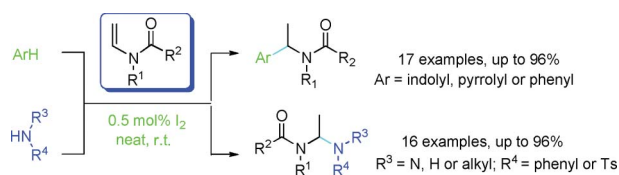
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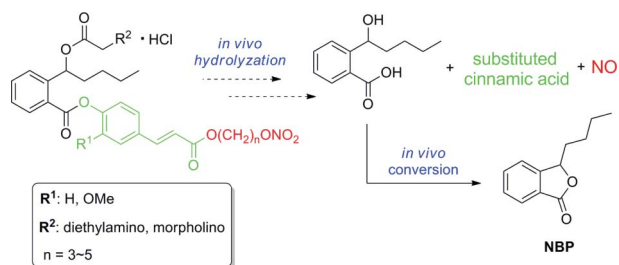


Direct alkylation of indoles and amines by *tert*-enamides: facile access to pharmaceutically active 2-oxo-1-pyrrolidine analogues

Ran Jiang, Hai-Yan Xu, Xiao-Ping Xu,* Xue-Qiang Chu and Shun-Jun Ji*

0.5 mol% I₂ catalyzed direct alkylation of indoles and amines by tertiary enamides in neat was reported to access to pharmaceutically active 2-oxo-1-pyrrolidine analogues.

5670

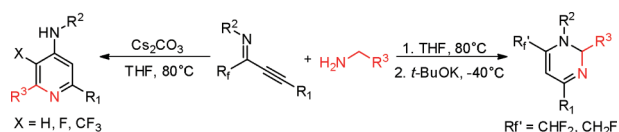


Design, synthesis and evaluation of nitric oxide releasing derivatives of 3-*n*-butylphthalide as antiplatelet and antithrombotic agents

Xuliang Wang, Yang Li, Qian Zhao, Zhenli Min, Chao Zhang, Yisheng Lai, Hui Ji,* Sixun Peng and Yihua Zhang*

Novel NO-releasing derivatives of 3-*n*-butylphthalide (NBP) were designed and synthesized, and displayed inhibitory effects on platelet aggregation and thrombosis *in vitro* and *in vivo* superior to NBP and aspirin.

5682

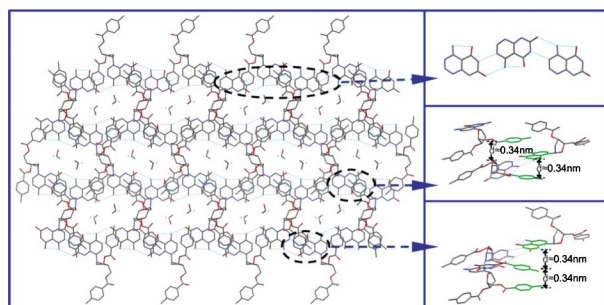


Selective synthesis of poly-substituted fluorine-containing pyridines and dihydropyrimidines *via* cascade C–F bond cleavage protocol

Zixian Chen, Jiangtao Zhu, Haibo Xie, Shan Li, Yongming Wu* and Yuefa Gong*

A series of fluorinated pyridines and dihydropyrimidines were prepared through a domino C–F cleavage process from trifluoromethyl-containing substrates.

5692



Janus-type AT nucleosides: synthesis, solid and solution state structures

Mei-Ying Pan, Wen Hang, Xiao-Jun Zhao, Hang Zhao, Peng-Chi Deng, Zhi-Hua Xing, Yong Qing and Yang He*

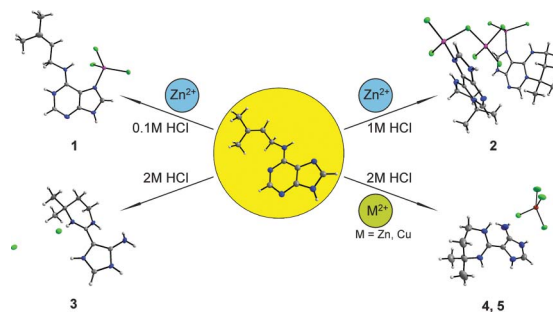
The Janus-type AT nucleoside **6d** forms a honeycomb-like network through the hydrogen bonds and the aromatic stacking.

5703

Transformations of the natural cytokinin N6-isopentenyladenine in aqueous acidic media: structural aspects

Zdeněk Trávníček,* Radka Novotná, Jaromír Marek, Igor Popa and Michal Šípl

Transformation products of N6-isopentenyladenine in aqueous acidic media were studied by multinuclear NMR spectroscopy and X-ray analysis.

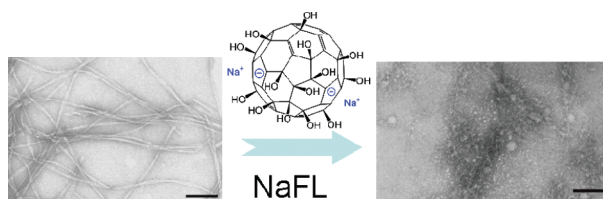


5714

Fullerenolates: metallated polyhydroxylated fullerenes with potent anti-amyloid activity

A. G. Bobylev, A. B. Kornev, L. G. Bobyleva, M. D. Shpagina, I. S. Fadeeva, R. S. Fadeev, D. G. Deryabin, J. Balzarini, P. A. Troshin* and Z. A. Podlubnaya

Sodium fullerenolate (NaFL) destroys brain amyloid fibrils and prevents their formation, which might lead to the efficient treatment of amyloidoses, such as Alzheimer's disease and others.

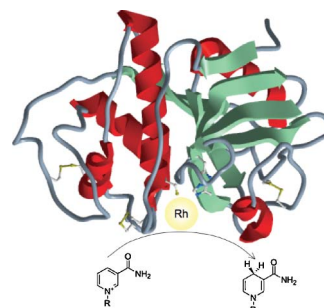


5720

Chemically engineered papain as artificial formate dehydrogenase for NAD(P)H regeneration

Pierre Haquette, Barisa Talbi, Laure Barilleau, Nathalie Madern, Céline Fosse and Michèle Salmain*

Functional metal complexes of the general formula $[(L)M(N^{\wedge}N)Cl]^+$ ($M = Ru, Rh$; $L = \text{arene}, Cp^*$) and some of their papain conjugates catalyze the reduction of $NAD(P)^+$.

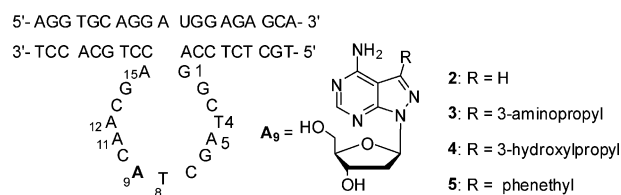


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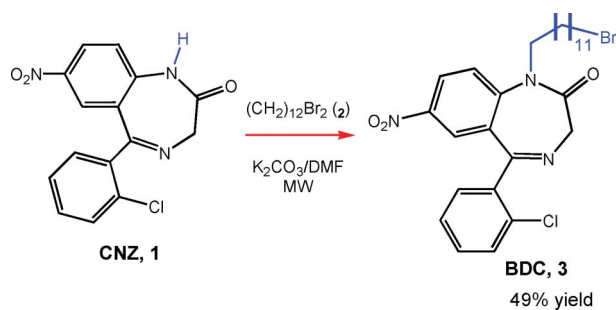
A novel strategy of chemical modification for rate enhancement of 10–23 DNAzyme: a combination of A9 position and 8-aza-7-deaza-2'-deoxyadenosine analogs

Junlin He, Di Zhang, Qi Wang, Xia Wei, Maosheng Cheng* and Keliang Liu*

Chemical modification with 2'-deoxyadenosine analogs 2–5 on A9 position of the catalytic loop of 10–23 DNAzyme promised a new approach for more efficient DNAzymes.



5737

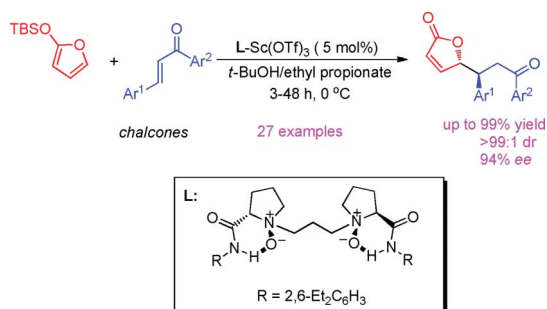


Surface active benzodiazepine-bromo-alkyl conjugate for potential GABA_A-receptor purification

A. V. Turina, G. J. Quinteros, B. Caruso, E. L. Moyano and M. A. Perillo*

Synthesis of Br-dodecylclonazepam (BDC) by a one-pot preparation procedure using microwave irradiation, to develop a BDC-based GABA_A-R purification system.

5748

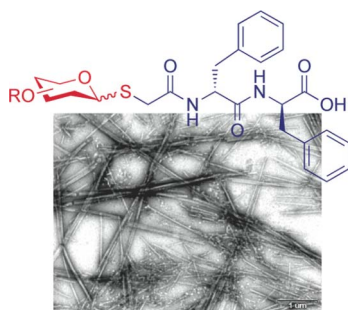


Highly enantioselective synthesis of γ -substituted butenolides *via* the vinylogous Mukaiyama–Michael reaction catalyzed by a chiral scandium(III)–*N,N'*-dioxide complex

Qi Zhang, Xiao Xiao, Lili Lin, Xiaohua Liu and Xiaoming Feng*

A highly efficient asymmetric vinylogous Mukaiyama–Michael reaction has been developed, delivering highly functionalized enantioenriched *anti*- γ -substituted butenolides.

5755

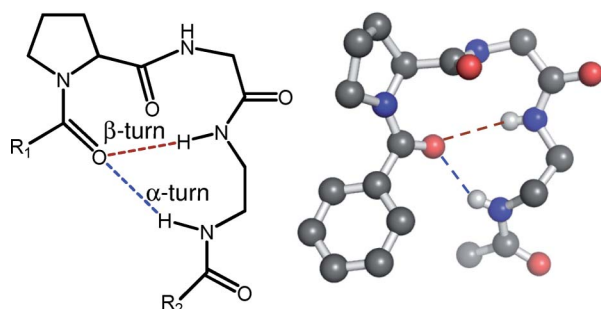


Exploring the self-assembly of glycopeptides using a diphenylalanine scaffold

Rinat Roytman, Lihi Adler-Abramovich, K. S. Ajish Kumar, Ting-Chun Kuan, Chun-Cheng Lin, Ehud Gazit* and Ashraf Brik*

A variety of carbohydrate units were introduced to the diphenylalanine peptide and their self-assembly and solubility properties were examined.

5762



Concurrent display of both α - and β -turns in a model peptide

Deekonda Srinivas, Kuruppanthara N. Vijayadas, Rajesh Gonnade, Usha D. Phalgune, Pattuparambil R. Rajamohanam* and Gangadhar J. Sanjayan*

This article describes a peptide motif that concurrently displays both α - and β -turns, as demonstrated by crystal structure and solution-state NMR studies.

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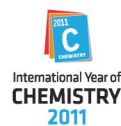
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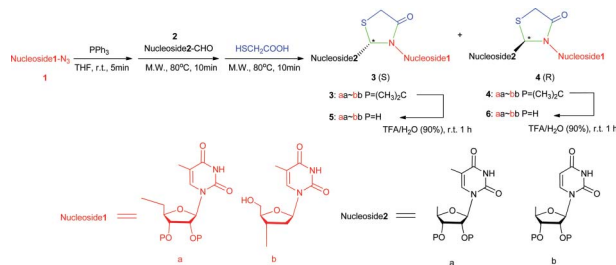
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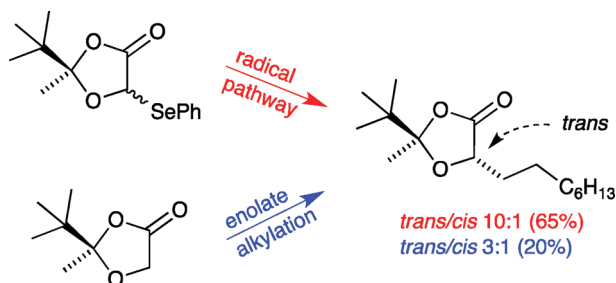


Microwave-assisted synthesis of dinucleoside analogues containing a thiazolidin-4-one linkage *via* one-pot tandem Staudinger/aza-Wittig/cyclization

Fengjuan Shen, Xiaoliu Li,* Xiaoyuan Zhang, Qingmei Yin, Zhanbin Qin, Hua Chen, Jinchao Zhang and Zhaipu Ma

Dinucleosides containing a thiazolidin-4-one linkage were prepared by one-pot tandem Staudinger/aza-Wittig/intermolecular cyclization under microwave irradiation and their structures were confirmed. The HIV-RT inhibition of the compounds was preliminarily examined.

5773

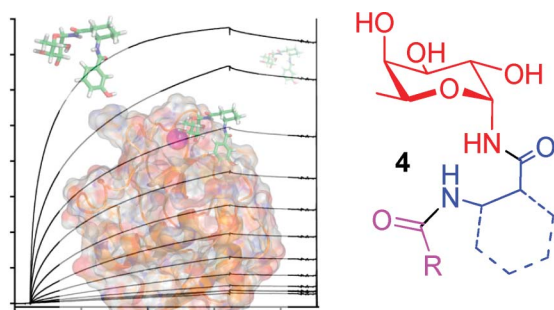


Diastereoselective radical mediated alkylation of a chiral glycolic acid derivative

Sokol Abazi, Liliana Parra Rapado and Philippe Renaud*

Radical alkylation of a chiral equivalent of glycolic acid occurs with good to high diastereoselectivity that compares favorably with the corresponding enolate alkylation.

5778

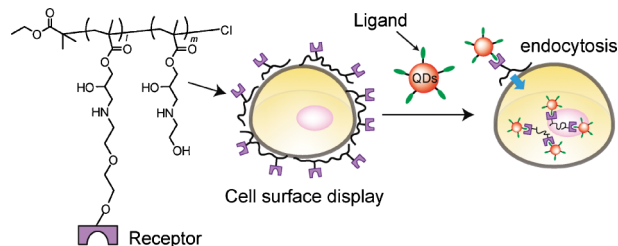


Second generation of fucose-based DC-SIGN ligands : affinity improvement and specificity *versus* Langerin

Manuel Andreini, Daniela Doknic, Ieva Sutkeviciute, José J. Reina, Janxin Duan, Eric Chabrol, Michel Thepaut, Elisabetta Moroni, Fabio Doro, Laura Belvisi, Joerg Weiser, Javier Rojo, Franck Fieschi* and Anna Bernardi*

Fucosylamides of general formula **4** were studied as selective DC-SIGN inhibitors, with the goal of developing antiviral agents.

5787



Artificial polymeric receptors on the cell surface promote the efficient cellular uptake of quantum dots

Kenichi Niikura,* Katsuyuki Nambara, Takaharu Okajima, Ryosuke Kamitani, Shin Aoki, Yasutaka Matsuo and Kuniharu Ijiro*

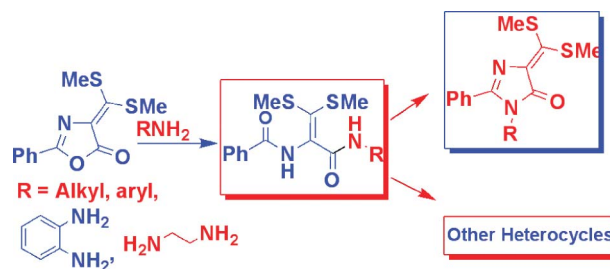
Secondary-amine-containing polymers displaying receptors can promote the cellular uptake of nanoparticles *via* endocytosis.

5793

2-Phenyl-4-bis(methylthio)methyleneoxazol-5-one: versatile template for diversity oriented synthesis of heterocycles

Vijayalaxmi Amareshwar, Nimesh C. Mishra and Hiriyakkanavar Ila*

4-Bis(methylthio)methylene-2-phenyloxazol-5-one has been shown to be a versatile template for the synthesis of a variety of heterocyclic compounds *via* nucleophilic ring opening of the azalactone ring with primary aliphatic, aromatic amines and diamines followed by further transformations of the resulting open-chain amide adducts.

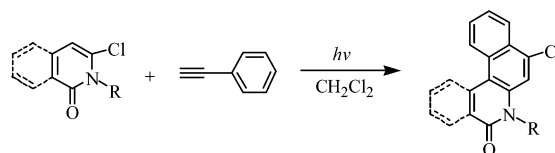


5802

One-pot synthesis of benzo[*f*]quinolin-3-ones and benzo[*a*]phenanthridin-5-ones by the photoannulation of 6-chloropyridin-2-ones and 3-chloroisoquinolin-1-ones to phenylacetylene

Ren Wang, Shen-Ci Lu, Yi-Ming Zhang, Zong-jun Shi and Wei Zhang*

The photoinduced coupling of 6-chloropyridin-2-ones or 3-chloroisoquinolin-1-ones with phenylacetylene and subsequent oxidative 6 π electrocyclization afforded polycyclic compounds.

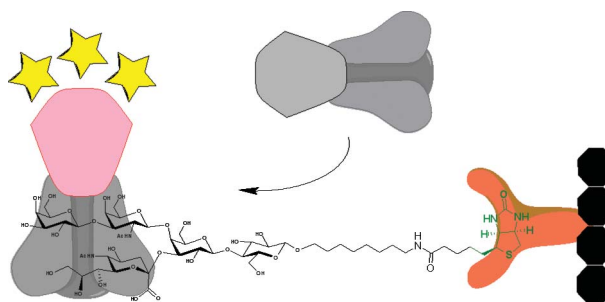


5809

Chemoenzymatic synthesis of biotin-appended analogues of gangliosides GM2, GM1, GD1a and GalNAc-GD1a for solid-phase applications and improved ELISA tests

Aliaksei V. Pukin, Dion E. A. Florack, Denis Brochu, Barend van Lagen, Gerben M. Visser, Tom Wennekes, Michel Gilbert and Han Zuilhof*

Biotin-appended gangliosides provide improved biosensing!

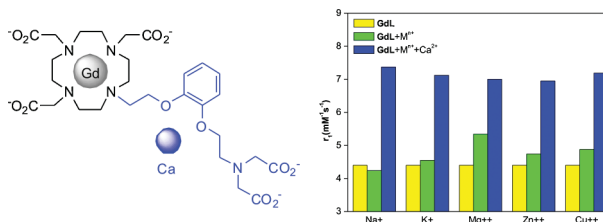


5816

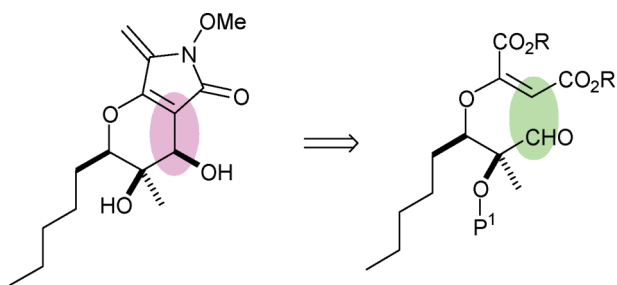
Structure-related variable responses of calcium sensitive MRI probes

Ilgar Mamedov, Nikos K. Logothetis and Goran Angelovski*

A new series of four Gd^{3+} complexes based on DO3A was synthesized. The systems displayed varying interactions with Ca^{2+} , measured by changes in the longitudinal relaxivity. Their response to several endogenous metal ions was also investigated.



5825

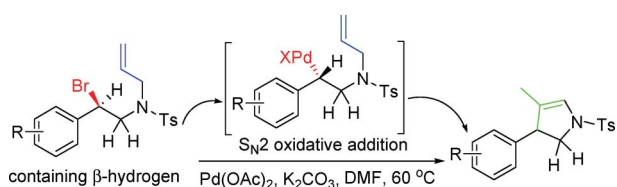


Synthesis of the proposed structure of phaeosphaeride A

Kenichi Kobayashi, Iwao Okamoto, Nobuyoshi Morita, Tamiko Kiyotani and Osamu Tamura*

The first synthesis of the proposed structure of phaeosphaeride A has been achieved by using an intramolecular vinyl-anion aldol reaction.

5833

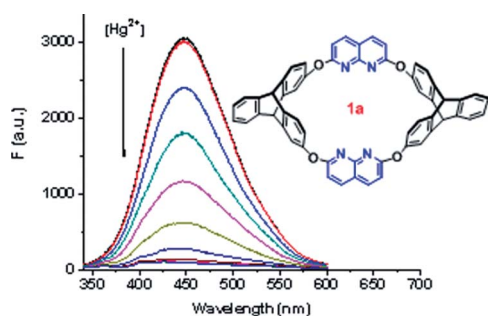


Ligand-free palladium-catalyzed intramolecular Heck reaction of secondary benzylic bromides

Wei Zhou, Guanghui An, Guangqian Zhang, Jianlin Han* and Yi Pan*

A ligand-free palladium-catalyzed intramolecular Heck reaction of β -hydrogen-containing secondary benzylic bromides was developed, which affords pyrroline derivatives with good regioselectivities.

5838

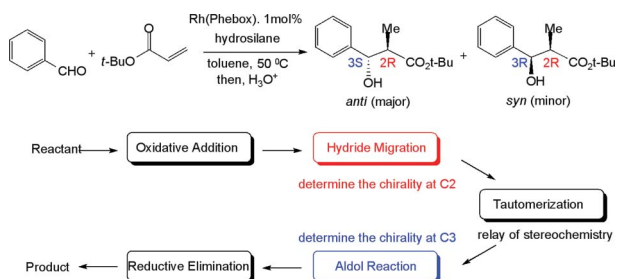


Hg²⁺ recognition by triptycene-derived heterocalixarenes: selectivity tuned by bridging heteroatoms and macrocyclic cavity

Shu-Zhen Hu and Chuan-Feng Chen*

Triptycene-derived oxacalixarene **1a** showed a highly selective response toward Hg²⁺ over other tested metal ions. This selectivity is controlled by the bridging heteroatoms and cavity structure of the macrocycle.

5845



Theoretical studies on the mechanism and stereoselectivity of Rh(Phebox)-catalyzed asymmetric reductive aldol reaction

Yun-Fang Yang, Ting Shi, Xin-Hao Zhang, Zong-Xun Tang, Zhen-Yi Wen, Jun-Min Quan and Yun-Dong Wu*

An interesting mechanistic study provides a deeper understanding of the mechanism and stereoselectivity of the Rh(Phebox)-catalyzed reductive aldol reaction.



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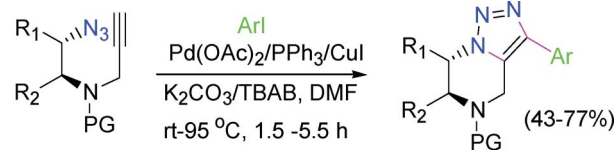
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5856



PG=protecting group; Ar=aryl group

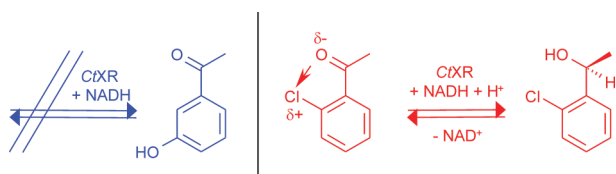
a) $R_1+R_2=-(CH_2)_n-$, $n=3-6$; b) $R_1=H$, $R_2=Ph$

A rapid and facile method for the general synthesis of 3-aryl substituted 4,5,6,7-tetrahydro[1,2,3]triazolo[1,5-a]pyrazines and their ring fused analogues

Chinmay Chowdhury,* Sanjukta Mukherjee, Biswajit Chakraborty and Basudeb Achari

A facile one-pot method has been developed that provides rapid entry into 3-aryl substituted 4,5,6,7-tetrahydro[1,2,3]triazolo[1,5-a]pyrazines and their ring fused analogues.

5863

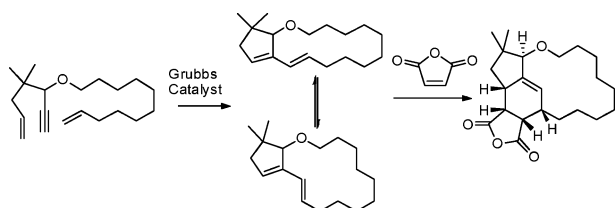


Candida tenuis xylose reductase catalysed reduction of acetophenones: the effect of ring-substituents on catalytic efficiency

Michael Vogl, Regina Kratzer, Bernd Nidetzky and Lothar Brecker*

Efficiencies of *CtxR* catalysed reductions of acetophenones are influenced by the electronic effects of substituents and are predictable by the spectroscopic properties of substrates.

5871



Synthesis of fused bicyclic compounds containing macrocycles by diene ring-closing metathesis and Diels–Alder reactions

Hyeon Park and Tae-Lim Choi*

A strategy to synthesise fused bicyclic compounds containing macrocycles with high selectivity, generality, and predictability is disclosed by using diene ring-closing metathesis reaction. The resulting products undergo Diels–Alder reaction to yield multicyclic compounds with single diastereomers.