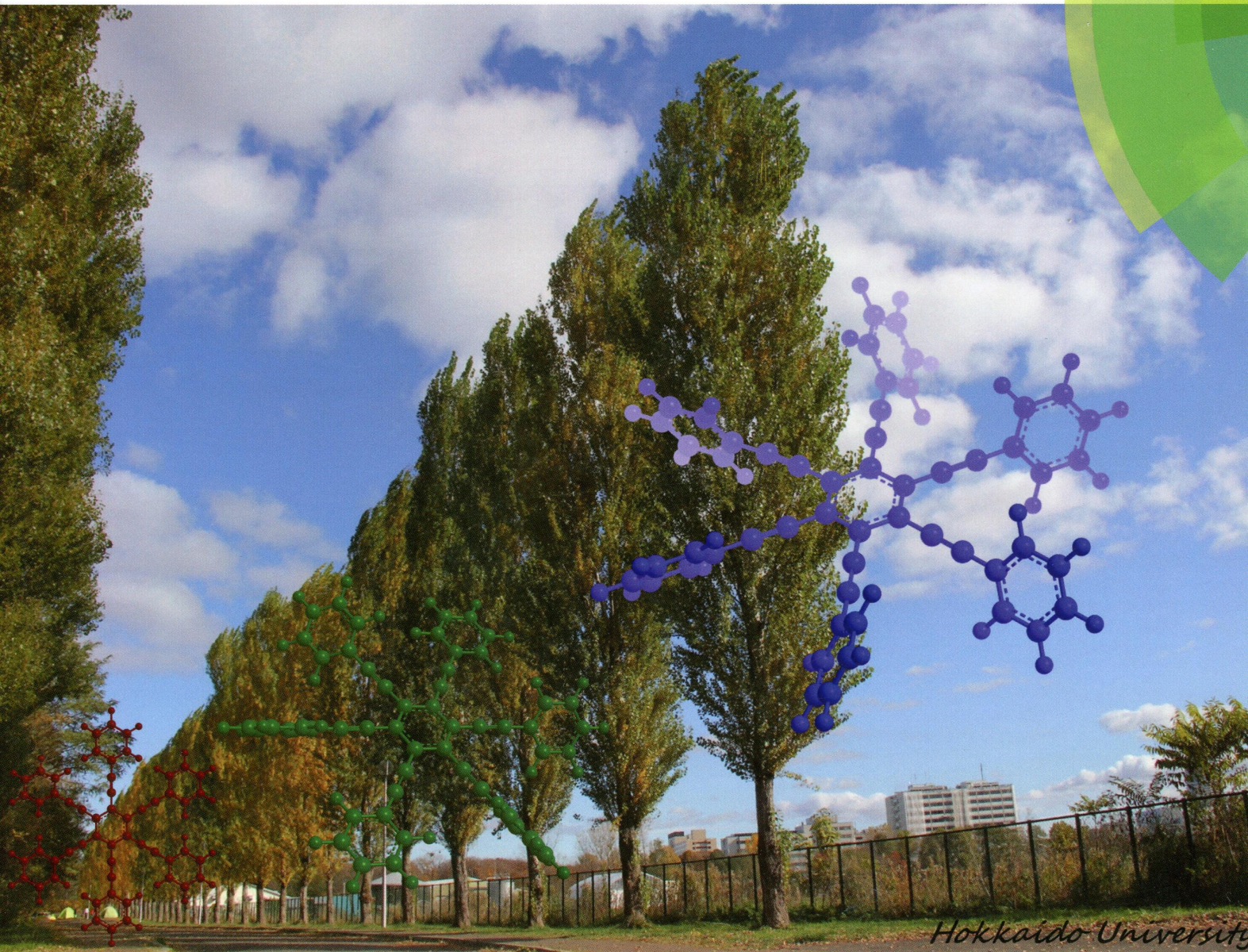


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PAPER

Ryo Katoono, Takanori Suzuki *et al.*
Chiroptical molecular propellers based on hexakis(phenylethynyl)benzene through the complexation-induced intramolecular transmission of local point chirality

Organic & Biomolecular Chemistry

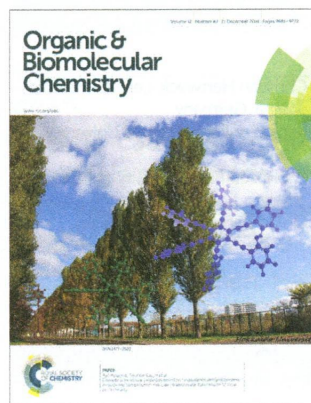
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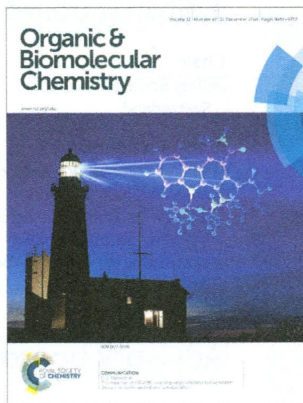
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Cover

See Ryo Katoono,
Takanori Suzuki *et al.*,
pp. 9532–9538.

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Inside cover

See G. E. Martin *et al.*,
pp. 9505–9509.

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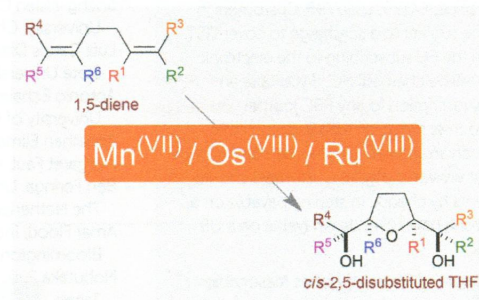
REVIEW

9492

Comparative perspective and synthetic applications of transition metal mediated oxidative cyclisation of 1,5-dienes towards *cis*-2,5-disubstituted tetrahydrofurans

Nadeem S. Sheikh

Synthesis of *cis*-2,5-disubstituted tetrahydrofuran rings from 1,5-diene precursors using metal-oxo species along with their mechanistic proposals and synthetic applications is concisely reviewed.



COMMUNICATIONS

9505

The impact of LR-HSQMBC very long-range heteronuclear correlation data on computer-assisted structure elucidation

K. A. Blinov, A. V. Buevich, R. T. Williamson and
G. E. Martin*

The impact of LR-HSQMBC very long-range ${}^nJ_{CH}$ heteronuclear shift correlation data as a supplement to HMBC data as input for the computer-assisted structure elucidation program, Structure Elucidator[®], is assessed for the first time.

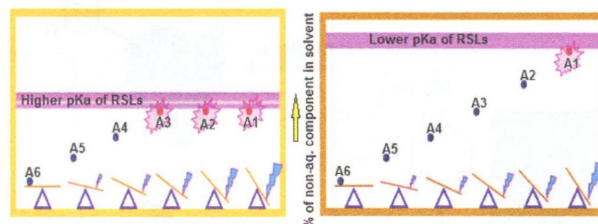


9510

pK_a Modulation in rhodamine based probes for colorimetric detection of picric acid

V. Nagarajan and Bamaprasad Bag*

The selective detection of picric acid among other organic acidic analytes (A's) was achieved *via* a pK_a compatibility approach by tuning the pK_a of RSLs (**1** and **2**) by adjusting the proportion of non-aqueous component in a mixed solvent medium (bar).

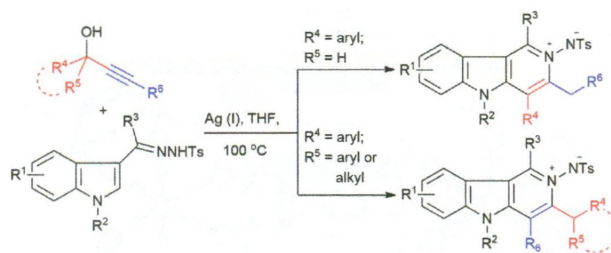


9514

Silver(I)-catalyzed annulation for the regioselective synthesis of *N*-imino- γ -carbolinium ylides from hydrazones of indole-3-carbonyl derivatives and propargylic alcohols

Yu Zhu, Xin-Rui Shen, Hai-Tao Tang, Min Lin and Zhuang-Ping Zhan*

A regioselective efficient synthetic approach to *N*-imino- γ -carbolinium ylides *via* AgOTf-catalyzed iminoannulation from indole derivatives and propargylic alcohols has been developed.

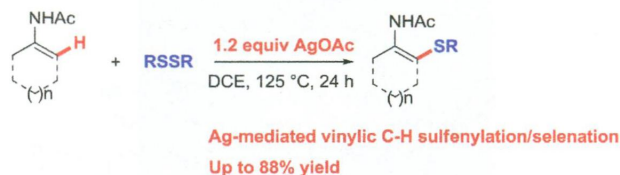


9519

Silver-mediated oxidative vinylic C–H bond sulfenylation of enamides with disulfides

Luo Yang,* Qing Wen, Fuhong Xiao and Guo-Jun Deng*

A silver-mediated oxidative vinylic C–H bond sulfenylation of enamides was developed. This method is compatible with diaryl and dialkyl disulfides to deliver the biologically precious chalcogenated olefins efficiently. A plausible non-chain radical mechanism was proposed for understanding this novel sulfenylation based on the mechanistic studies.

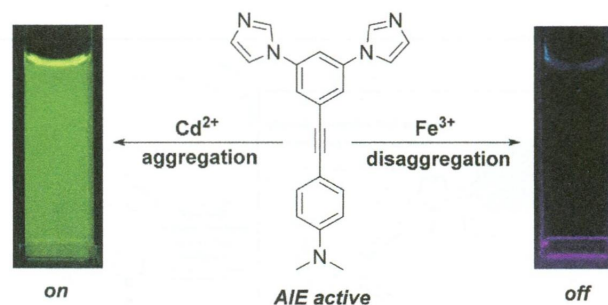


9524

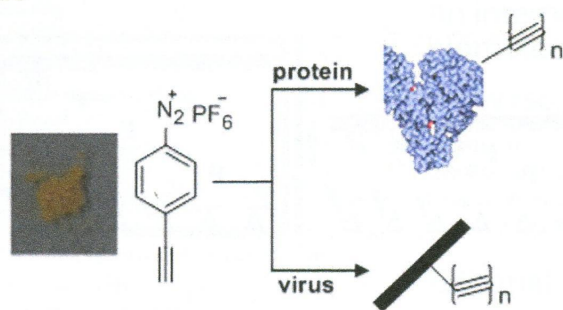
An AIE active Y-shaped diimidazolylbenzene: aggregation and disaggregation for Cd^{2+} and Fe^{3+} sensing in aqueous solution

Chengming Li, Chao Gao, Jingbo Lan, Jingsong You and Ge Gao*

A simple Y-shaped dimb with AIE properties exhibited fluorescence turn-on by Cd^{2+} induced aggregation and fluorescence turn-off by Fe^{3+} induced disaggregation.



9528



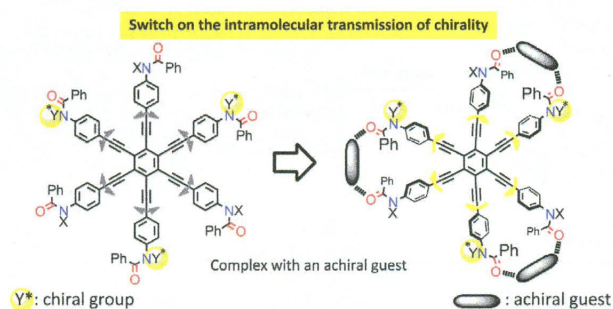
An efficient reagent for covalent introduction of alkynes into proteins

Jie Zhang, Dejun Ma, Dawei Du, Zhen Xi* and Long Yi*

This work reports an efficient reagent for covalent introduction of alkynes into the surface of proteins and viruses under mild conditions.

PAPERS

9532

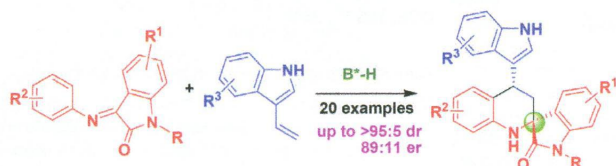


Chiroptical molecular propellers based on hexakis(phenylethynyl)benzene through the complexation-induced intramolecular transmission of local point chirality

Ryo Katoono,* Keiichi Kusaka, Shunsuke Kawai, Yuki Tanaka, Keisuke Hanada, Tatsuo Nehira, Kenshu Fujiwara and Takanori Suzuki*

We designed hexakis(phenylethynyl)benzene derivatives with a tertiary amide group on each blade to achieve a helically biased propeller arrangement.

9539

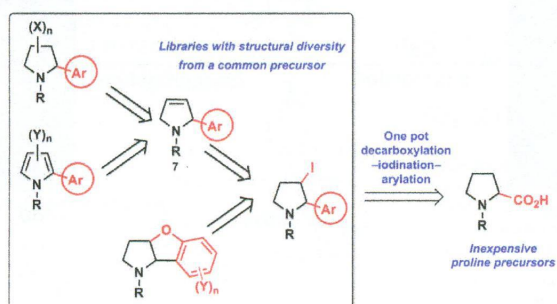


Catalytic asymmetric Povarov reaction of isatin-derived 2-azadienes with 3-vinylindoles

Hong-Hao Zhang, Xiao-Xue Sun, Jing Liang, Yue-Ming Wang, Chang-Chun Zhao* and Feng Shi*

The first catalytic asymmetric Povarov reaction of isatin-derived 2-azadienes with 3-vinylindoles has been established to construct a spiro[indolin-3,2'-quinoline] framework bearing an indole moiety.

9547



Metal-free, one-pot conversion of proline derivatives into 2-aryl-3-iodo pyrrolidines by a sequential scission–iodination–arylation process

Venkateswara Rao Batchu, Iván Romero-Estudillo, Alicia Boto* and Javier Miguélez

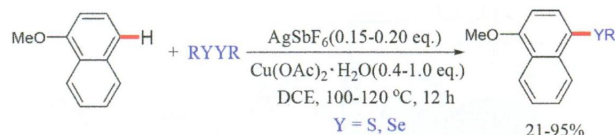
A sequential radical decarboxylation–oxidation–iodination–arylation process allows the metal-free, direct conversion of proline derivatives into 2-aryl-3-iodopyrrolidines, which are valuable precursors of a variety of heterocycles.

9557

Efficient silver-catalyzed direct sulfenylation and selenylation of rich arenes

Guobing Yan,* Arun Jyoti Borah* and Lianggui Wang

An efficient protocol for silver/copper-cocatalyzed direct sulfenylation and selenylation of arenes with aryl disulfides and diselenides has been developed.

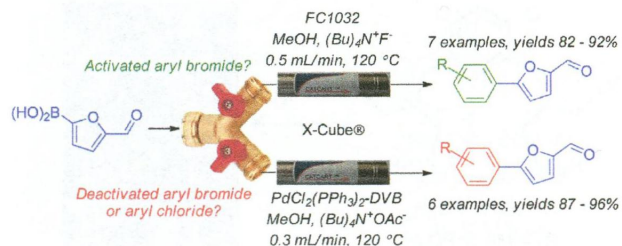


9562

An efficient continuous flow approach to furnish furan-based biaryls

Trieu N. Trinh, Lacey Hizartzidis, Andrew J. S. Lin, David G. Harman, Adam McCluskey* and Christopher P. Gordon*

Suzuki cross-couplings of 5-formyl-2-furanylboronic acid with activated or neutral aryl bromides were performed under continuous flow conditions in the presence of $(\text{Bu})_4\text{N}^+\text{F}^-$ and the immobilised *t*-butyl based palladium catalyst CatCart™ FC1032™.

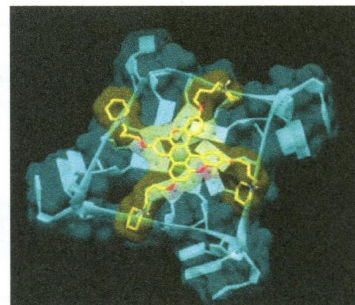


9572

Design and synthesis of a new dimeric xanthone derivative: enhancement of G-quadruplex selectivity and telomere damage

Marco Franceschin,* Daniele Nocioni, Annamaria Biroccio, Emanuela Micheli, Stefano Cacchione, Chiara Cingolani, Alessandro Venditti, Pasquale Zizza, Armandodoriano Bianco and Alessandro Altieri*

Dimerization of the xanthone core greatly enhances G-quadruplex binding and biological activity.

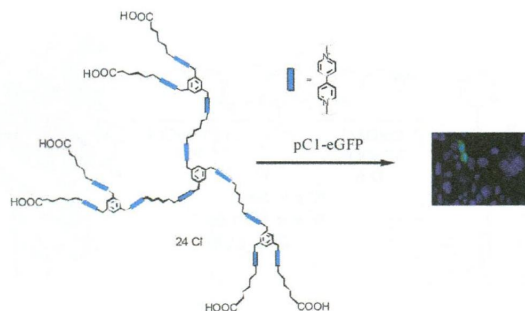


9583

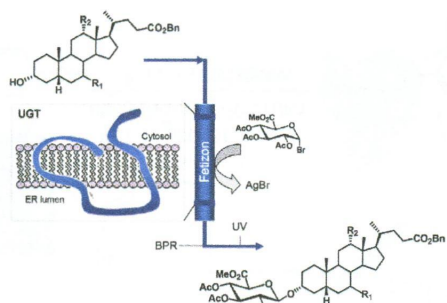
Alkylene-bridged viologen dendrimers: versatile cell delivery tools with biosensing properties

Dirk Bongard,* Wilhelm Bohr, Marta Swierczek, Tesfaye Hailu Degefa, Lorenz Walder and Roland Brandt

Two types of tailored viologen dendrimers with different spacer lengths between the viologen (4,4'-bipyridinium) units have been prepared. The condensation of dsDNA induced by the dendrimers was monitored with a new electrochemical technique. This allowed us to optimize the transfection media for high dendriplex concentration.



9592

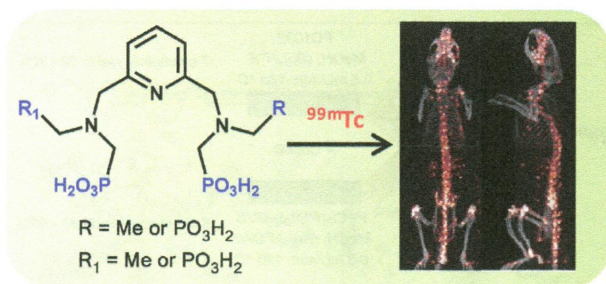


Glucuronidation of bile acids under flow conditions: design of experiments and Koenigs–Knorr reaction optimization

Serena Mostarda, Paolo Filipponi, Roccaldo Sardella, Francesco Venturoni, Benedetto Natalini, Roberto Pellicciari and Antimo Gioiello*

An efficient method for the C₃-glucuronidation of bile acids is developed under flow conditions.

9601

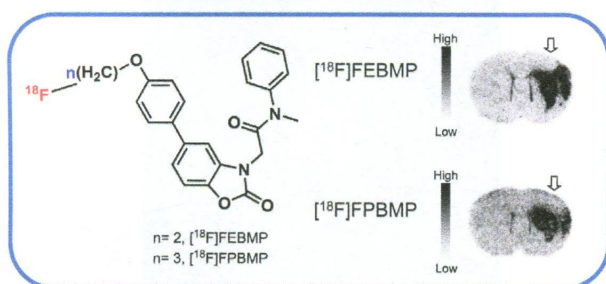


Phosphonated chelates for nuclear imaging

Sabaa Abada, Alexandre Lecoindre, C line Christine,* Laurence Ehret-Sabatier, Falk Saupe, Gertraud Orend, David Brasse, Ali Ouali, Thomas Husenet, Patrice Laquerri re, Mourad Elhabiri* and Lo c J. Charbonni re*

A series of phosphonated chelates and their coordination properties is described. SPECT/CT imaging studies with ^{99m}Tc using two ligands and a bifunctional chelate labeled to a tumor targeting antibody are shown.

9621

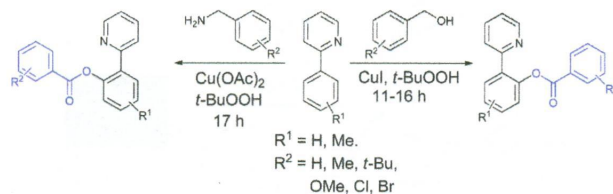


Synthesis and evaluation of new ¹⁸F-labelled acetamidobenzoxazolone-based radioligands for imaging of the translocator protein (18 kDa, TSPO) in the brain

Anjani K. Tiwari, Masayuki Fujinaga, Joji Yui, Tomoteru Yamasaki, Lin Xie, Katsushi Kumata, Anil K. Mishra, Yoko Shimoda, Akiko Hatori, Bin Ji, Masanao Ogawa, Kazunori Kawamura, Feng Wang and Ming-Rong Zhang*

Two new PET ligands for TSPO imaging in brain.

9631



Copper catalyzed oxidative *ortho*-C–H benzylation of 2-phenylpyridines with benzyl alcohols and benzyl amines as benzylation sources

Ashok B. Khemnar and Bhalchandra M. Bhanage*

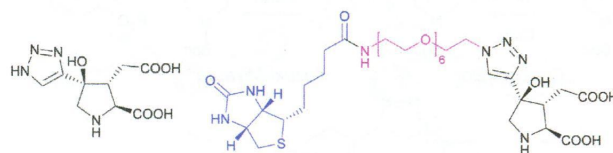
An efficient methodology for the *ortho* benzylation of 2-phenylpyridine via C–H bond activation using benzyl alcohols and benzyl amines as arylcarboxy sources has been developed.

9638

Synthesis and biological evaluation of (–)-kainic acid analogues as phospholipase D-coupled metabotropic glutamate receptor ligands

Chiara Zanato,* Sonia Watson, Guy S. Bewick, William T. A. Harrison and Matteo Zanda*

A “click” 4-(1,2,3-triazolyl)-kainate derivative and its biotinylated version potently increase stretch-induced afferent firing in muscle spindles, probably acting through a hitherto uncloned phospholipase D (PLD)-coupled mGlu receptor.

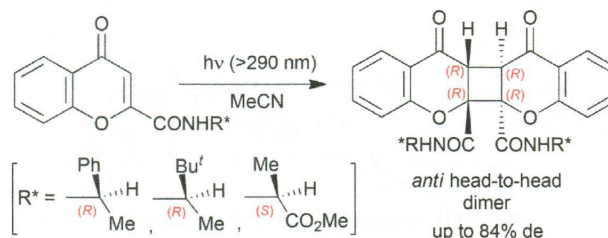


9644

Diastereoselective photodimerization reactions of chromone-2-carboxamides to construct a C₂-chiral scaffold

Fumitoshi Yagishita, Nozomi Baba, Yuki Ueda, Satoshi Katabira, Yoshio Kasashima, Takashi Mino and Masami Sakamoto*

Irradiation of three chromone-2-carboxamides with a chiral auxiliary resulted in diastereoselective formation of a C₂-chiral *anti*-HH dimer scaffold.

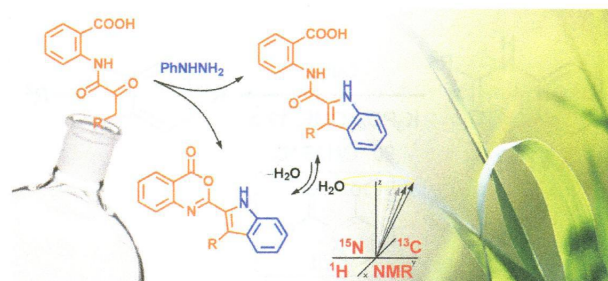


9650

Fischer indolisation of *N*-(α -ketoacyl)anthranilic acids into 2-(indol-2-carboxamido)benzoic acids and 2-indolyl-3,1-benzoxazin-4-ones and their NMR study

Karel Proisl, Stanislav Kafka,* Damijana Urankar, Martin Gazvoda, Roman Kimmel and Janez Košmrlj*

A new approach to 2-indolyl functionalized anthranilic acids and 3,1-benzoxazin-4-ones.

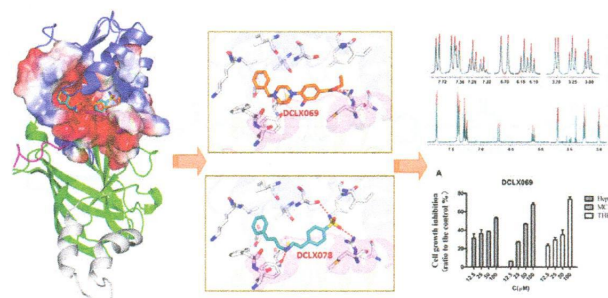


9665

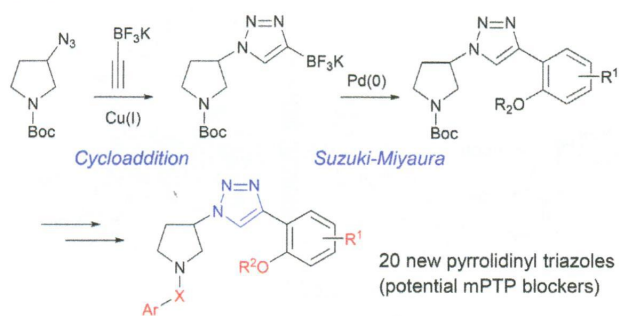
Virtual screening and biological evaluation of novel small molecular inhibitors against protein arginine methyltransferase 1 (PRMT1)

Yiqian Xie, Ran Zhou, Fulin Lian, Yan Liu, Limin Chen, Zhe Shi, Naixia Zhang, Mingyue Zheng, Bairong Shen, Hualiang Jiang, Zhongjie Liang* and Cheng Luo*

Two novel inhibitors against PRMT1 were identified through virtual screening and biochemical assays. Their binding with PRMT1 was validated and they also displayed an anti-proliferation effect in three cancer cell lines.



9674

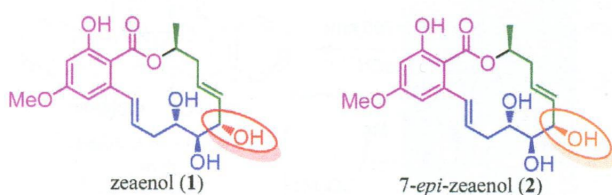


Facile diverted synthesis of pyrrolidinyl triazoles using organotrifluoroborate: discovery of potential mPTP blockers

Sun hwa Jung, Kihang Choi, Ae Nim Pae, Jae Kyun Lee, Hyunah Choo, Gyochang Keum,* Yong Seo Cho and Sun-Joon Min*

Pyrrolidinyl triazoles were synthesized *via* Huisgen 1,3-dipolar cycloadditions of ethynyl trifluoroborate followed by Suzuki–Miyaura coupling reactions and biologically evaluated as potential mPTP blockers.

9683

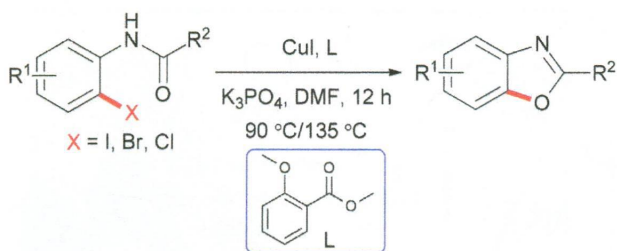


The protecting-group directed diastereoselective Nozaki–Hiyama–Kishi (NHK) reaction: total synthesis and biological evaluation of zeaenol, 7-*epi*-zeaenol and its analogues

Debendra K. Mohapatra,* D. Sai Reddy, N. Arjunreddy Mallampudi, Janardhan Gaddam, Sowjanya Polepalli, Nishant Jain and J. S. Yadav

A convergent and concise total synthesis of zeaenol, 7-*epi*-zeaenol, and its analogues is achieved using protecting group-directed NHK reaction.

9696

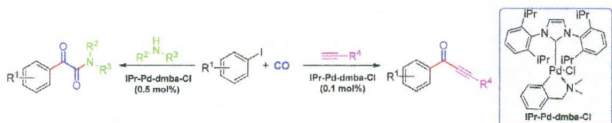


Copper-catalysed intramolecular O-arylation: a simple and efficient method for benzoxazole synthesis

Fengtian Wu, Jie Zhang, Qianbing Wei, Ping Liu, Jianwei Xie,* Haojie Jiang and Bin Dai

An efficient protocol has been developed for the copper-catalysed intramolecular cyclization of *N*-(2-iodo-/bromo-/chloro-phenyl)benzamides for the synthesis of 2-substituted benzoxazoles.

9702



Aryl-palladium-NHC complex: efficient phosphine-free catalyst precursors for the carbonylation of aryl iodides with amines or alkynes

Chunyan Zhang, Jianhua Liu* and Chungu Xia*

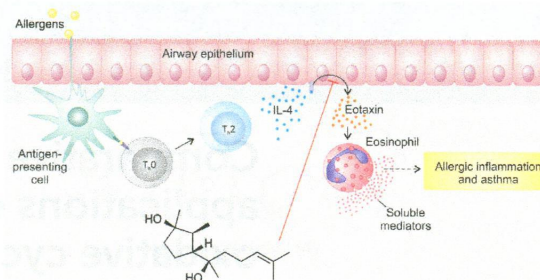
A series of aryl-palladium-NHC compounds was prepared according to the reported methods and their catalytic activity in the carbonylation of aryl iodides to synthesize α -keto amides and alkyneones was examined.

9707

Total synthesis and biological evaluation of the natural product (–)-cyclonerodiol, a new inhibitor of IL-4 signaling

Jens Langhanki, Kristina Rudolph, Gerhard Erkel and Till Opatz*

The sesquiterpene (–)-cyclonerodiol is a specific inhibitor of IL-4 induced STAT6 signaling and is readily available from (–)-linalool.



9716

Intramolecular redox reaction for the synthesis of *N*-aryl pyrroles catalyzed by Lewis acids

Hong-Jin Du, Le Zhen, Xiaoan Wen, Qing-Long Xu* and Hongbin Sun*

An efficient approach to synthesize *N*-aryl pyrroles via Lewis acid-mediated 1,5-hydride shift and isomerization of 2-(3-pyrroline-1-yl)arylaldehydes has been achieved in up to 89% yield.

