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REVIEW ARTICLE
Xiao-Feng Wu *et al.*
A powerful combination: recent achievements on using TBAI and TBHP as oxidation system

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IN THIS ISSUE

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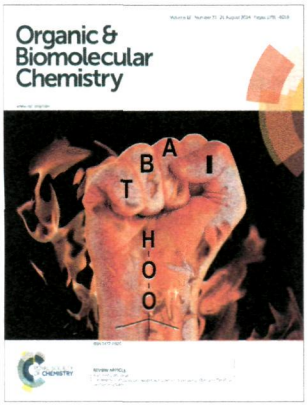
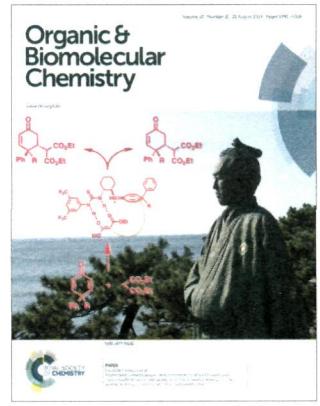


Cover
See Xiao-Feng Wu *et al.*, pp. 5807–5817.

Image reproduced by permission of Xiao-Feng Wu from *Org. Biomol. Chem.*, 2014, **12**, 5807.



Inside cover
See Hiyoshizo Kotsuki *et al.*, pp. 5847–5855.

Image reproduced by permission of Hiyoshizo Kotsuki from *Org. Biomol. Chem.*, 2014, **12**, 5847.

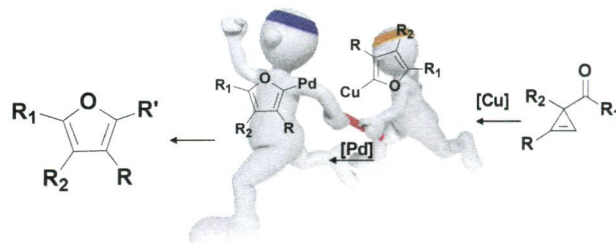
PERSPECTIVE

5802

Tandem metal relay catalysis: from cyclopropene to polysubstituted furan

Chuanling Song, Jianwu Wang and Zhenghu Xu*

Transmetalation is a key step in traditional coupling reactions. An efficient synthetic methodology of tetrasubstituted furans from cyclopropenes with Cu–Pd transmetalation relay catalysis was presented.



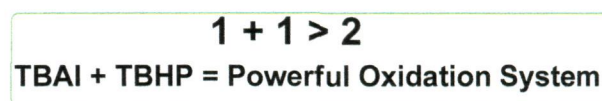
REVIEW

5807

A powerful combination: recent achievements on using TBAI and TBHP as oxidation system

Xiao-Feng Wu,* Jin-Long Gong and Xinxin Qi

Recent achievements in the use of TBAI and TBHP as an oxidation system have been summarized and discussed.

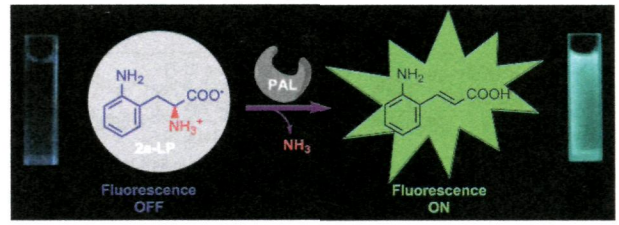


5818

An unnatural amino acid based fluorescent probe for phenylalanine ammonia lyase

Zhenlin Tian, Weiping Zhu,* Yufang Xu* and Xuhong Qian

An unnatural amino acid based fluorescent probe **2a-LP** was designed and synthesized. **2a-LP** exhibited an excellent response to phenylalanine ammonia lyase both in Tris-HCl buffer and tomato samples, and was used as an intracellular sensor for phenylalanine ammonia lyase imaging.

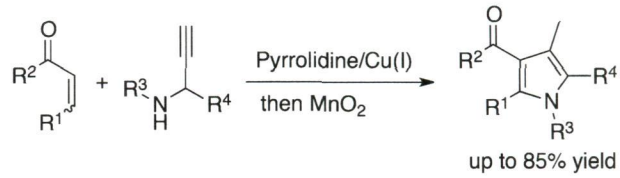


5822

One-pot synthesis of polysubstituted 3-acylpyrroles by cooperative catalysis

Hai-Lei Cui and Fujie Tanaka*

Polysubstituted 3-acylpyrroles were synthesized from readily available unsaturated ketones and *N*-substituted propargylated amines *via* an aza-Michael/alkyne carbocyclization cascade followed by oxidation in one pot.

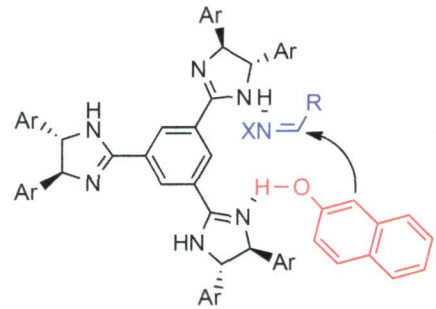


5827

C_3-Symmetric chiral trisimidazoline-catalyzed Friedel–Crafts (FC)-type reaction

Shinobu Takizawa,* Shuichi Hirata, Kenichi Murai,* Hiromichi Fujioka and Hiroaki Sasai

The first imidazoline-catalyzed enantioselective Friedel–Crafts (FC)-type reaction was established using C_3-symmetric chiral trisimidazolines.

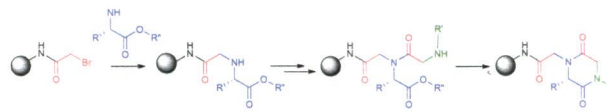


5831

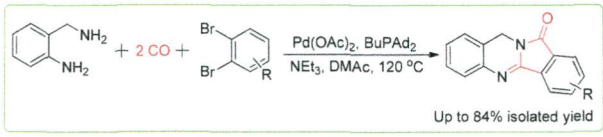
Solid-phase synthesis of peptoid-like oligomers containing diverse diketopiperazine units

Sujit Suwal and Thomas Kodadek*

An efficient protocol for the solid-phase synthesis of diverse diketopiperazines is reported.



5835

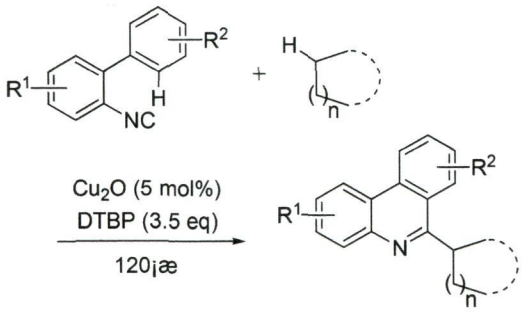


Palladium-catalyzed synthesis of isoindoloquinazolinones *via* dicarbonylation *of* 1,2-dibromoarenes

Jianbin Chen, Helfried Neumann, Matthias Beller and Xiao-Feng Wu*

The first example of palladium-catalyzed carbonylative synthesis of isoindoloquinazolinones has been developed. Using 1,2-dibromobenzenes and 2-aminobenzyl amine as substrates, the products were isolated in moderate to good yields with the installation of two molecules of CO.

5839

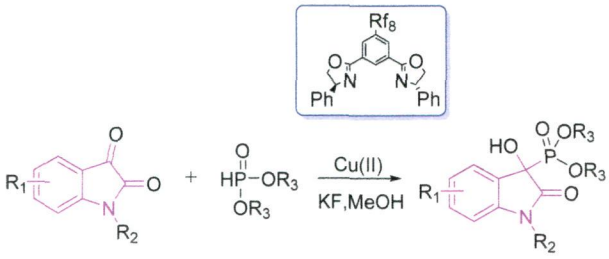


A cascade alkylarylation reaction of 2-isocyanobiphenyls with simple alkanes for 6-alkyl phenanthridines *via* dual C(sp^3)–H/C(sp^2)–H functionalizations

Zhi-Qiang Zhu, Tian-Tian Wang, Peng Bai and Zhi-Zhen Huang*

A cascade alkylarylation reaction of 2-isocyanobiphenyls with simple alkanes for 6-alkyl phenanthridines has been developed through dual C(sp^3)–H/C(sp^2)–H functionalizations.

5843

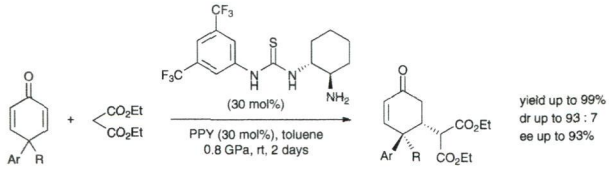


Fluorous chiral bisoxazolines: application in copper-catalyzed asymmetric α-hydrophosphonylation

Tao Deng, Hongjun Wang and Chun Cai*

A copper-catalyzed asymmetric α-hydrophosphonylation of isatins with a novel fluorous bis(oxazoline) as a ligand is presented.

5847



Asymmetric organocatalytic desymmetrization of 4,4-disubstituted cyclohexadienones at high pressure: a new powerful strategy for the synthesis of highly congested chiral cyclohexenones

Naomu Miyamae, Naruhisa Watanabe, Maya Moritaka, Keiji Nakano, Yoshiyasu Ichikawa and Hiyoshizo Kotsuki*

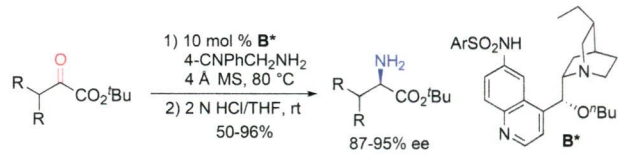
A highly diastereoselective and enantioselective method for the asymmetric desymmetrization of 4,4-disubstituted cyclohexadienones at high pressure was developed.

5856

Organocatalytic synthesis of optically active β-branched α-amino esters *via* asymmetric biomimetic transamination

Cunxiang Su, Ying Xie, Hongjie Pan, Mao Liu, Hua Tian and Yian Shi*

This paper describes an efficient asymmetric biomimetic transamination of α-keto esters with a quinine-derived chiral base as the catalyst, giving a variety of β-branched α-amino esters in 50–96% yield and 87–95% ee.



5861

A facile route to 5-methyl-5*H*-indeno[1,2-*c*]-quinolones *via* palladium-catalyzed cyclization of 2-alkynylbromobenzenes with *N*,*N*-dimethyl-2-alkynylanilines

Xiaolin Pan, Yong Luo, Yunyan Kuang* and Guangming Li*

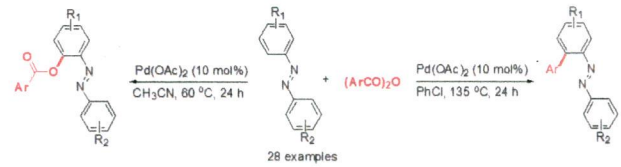
A tandem reaction catalyzed by palladium is developed to provide a facile and simple route for the synthesis of 5-methyl-5*H*-indeno[1,2-c]quinolones.

5866

Palladium-catalyzed *ortho*-functionalization of azoarenes with aryl acylperoxides

Cheng Qian, Dongen Lin, Yuanfu Deng, Xiao-Qi Zhang, Huanfeng Jiang, Guang Miao, Xihao Tang and Wei Zeng*

With the aid of an azo directing group, a Pd-catalyzed *ortho*-sp^2 C–H bond activation/functionalization of azoarenes with aryl acyl peroxides has been explored. This transformation provides convenient access to regioselectively introducing acyloxyl and aryl group onto azoarenes.

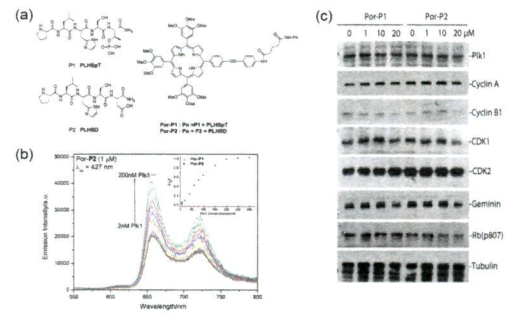


5876

Monitoring and inhibition of Plk1: amphiphilic porphyrin conjugated Plk1 specific peptides for its imaging and anti-tumor function

Hongguang Li, Chi-Fai Chan, Wai-Lun Chan, Sam Lear, Steven L. Cobb,* Nai-Ki Mak, Terrence Chi-Kong Lau, Rongfeng Lan,* Wai-Kwok Wong* and Ka-Leung Wong*

Polo-like kinase 1 (Plk1) is well-known for taking part in cell cycle progression and regulation.



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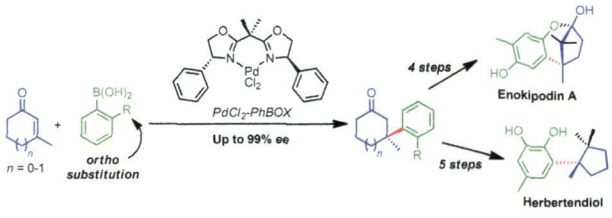
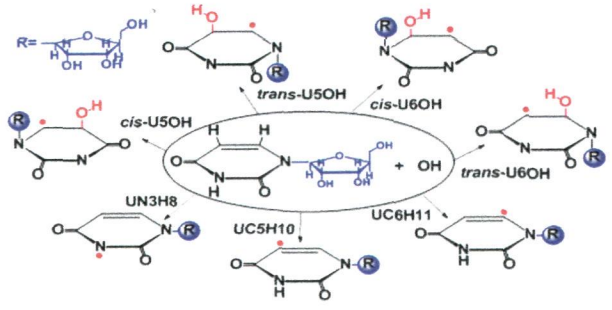


Enantioselective palladium catalyzed conjugate additions of *ortho*-substituted arylboronic acids to β,β-disubstituted cyclic enones: total synthesis of herbertenediol, enokipodin A and enokipodin B

Jeffrey Buter, Renée Moezelaar and Adriaan J. Minnaard*

Palladium catalyzed asymmetric conjugate addition of *ortho*-substituted arylboronic acids to cyclic enones and its application in natural product synthesis.

5891

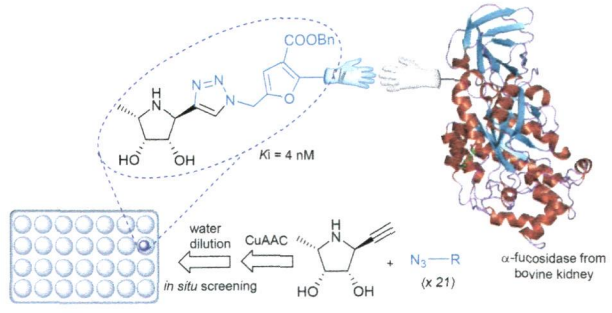


Theoretical study of the reaction of hydroxyl radicals with uridine: the influence of ribose and solvent

Ya Gao, Xiayu Chen, Li Zhong, Wei Yao and Shujin Li*

The reaction of •OH with uridine has been investigated. The influence of ribose and the solvent effect are presented.

5898

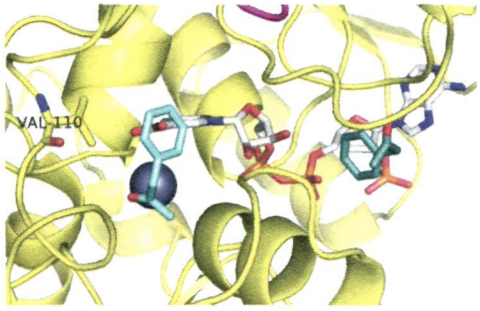


Rapid discovery of potent α-fucosidase inhibitors by *in situ* screening of a library of (pyrrolidin-2-yl)-triazoles

Pilar Elías-Rodríguez, Elena Moreno-Clavijo, Ana T. Carmona, Antonio J. Moreno-Vargas* and Inmaculada Robina

The fucosidase inhibitory activity of a library of (pyrrolidin-2-yl)triazoles generated by CuAAC can be *in situ* analyzed, avoiding tedious purification steps. A potent and selective inhibitor was identified.

5905



Mutation of Thermoanaerobacter ethanolicus secondary alcohol dehydrogenase at Trp-110 affects stereoselectivity of aromatic ketone reduction

Jay M. Patel, Musa M. Musa, Luis Rodriguez, Dewey A. Sutton, Vladimir V. Popik and Robert S. Phillips*

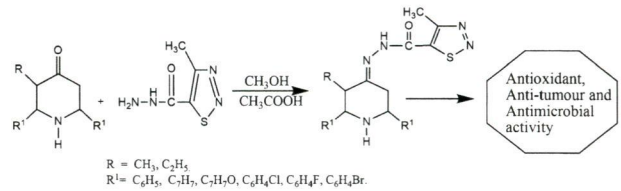
Secondary alcohol dehydrogenase from *Thermoanaerobacter ethanolicus* was mutated at Trp-110, and mutant enzymes with high activity and high stereoselectivity for aromatic ketone reduction were identified.

5911

Synthesis of 4-methyl-*N*′-(3-alkyl-2r,6c-diarylpiperidin-4-ylidene)-1,2,3-thiadiazole-5-carbohydrazides with antioxidant, antitumor and antimicrobial activities

Kodisundaram Paulrasu, Arul Duraikannu, Manikandan Palrasu, Amirthaganesan Shanmugasundaram, Murugavel Kuppusamy and Balasankar Thirunavukkarasu*

The structures of the newly synthesized 4-methyl-*N*′-(3-alkyl-2r,6c-diarylpiperidin-4-ylidene)-1,2,3-thiadiazole-5-carbohydrazide (**5a–5l**) were confirmed by spectral and elemental analysis.

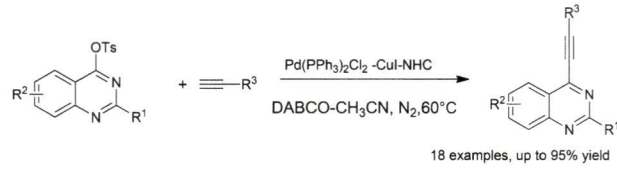


5922

Synthesis of 4-alkynylquinazolines: Pd–Cu-cocatalyzed coupling of quinazoline-4-tosylates with terminal alkynes using N-heterocyclic carbenes as ligands

Yiyuan Peng,* Ping Huang, Yu Wang, Yirong Zhou, Jianjun Yuan, Qin Yang, Xin Jiang, Zhihong Deng and Jingshi Xu

A Pd–Cu-cocatalyzed coupling reaction of quinazoline-4-tosylates with terminal alkynes using N-heterocyclic carbenes (NHC) as ligands is described.

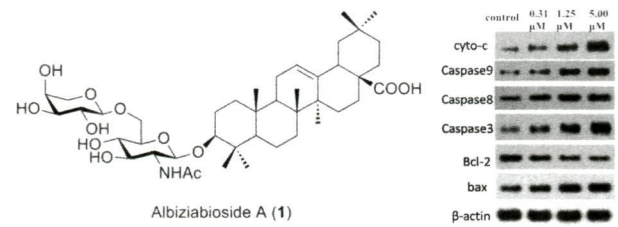


5928

Synthesis and evaluation of the anticancer activity of albiziabioside A and its analogues as apoptosis inducers against human melanoma cells

Gaofei Wei, Shuai Wang, Shanshan Cui, Jia Guo, Yongxiang Liu, Yang Liu* and Maosheng Cheng*

We have efficiently synthesized albiziabioside A (**1**) together with its six disaccharide analogues and evaluated their cytotoxicity against six different skin cancer cells. The results provide for the first time a basic mechanism for the anticancer activity of **1**.

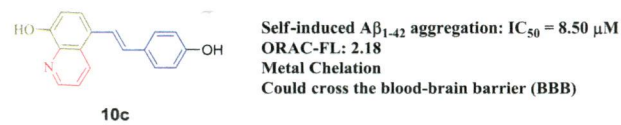


5936

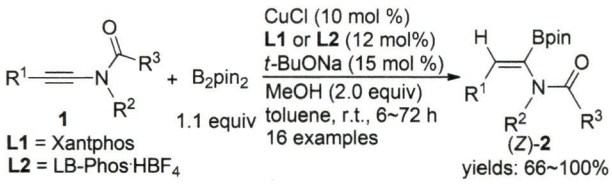
New multi-target-directed small molecules against Alzheimer's disease: a combination of resveratrol and clioquinol

Fei Mao, Jun Yan, Jianheng Li, Xian Jia, Hui Miao, Yang Sun, Ling Huang* and Xingshu Li*

Compound **10c** exhibited excellent MTDL properties: excellent abilities to moderate Aβ aggregation, potential antioxidant behaviour and biometal chelation.



5945

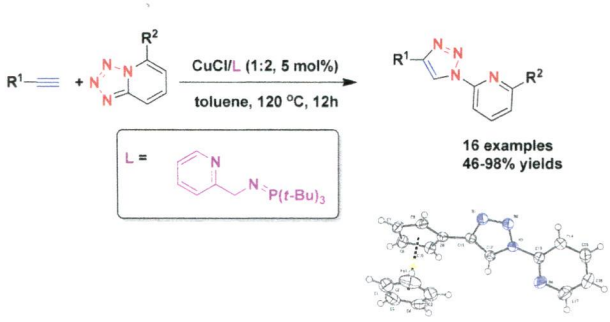


Studies on copper(I)-catalyzed highly regio- and stereo-selective hydroboration of alkynamides

Guangke He, Shan Chen, Qiang Wang, Hai Huang, Qijun Zhang, Dongming Zhang, Rong Zhang and Hongjun Zhu*

The regio-selectivity of the Cu(I)-catalyzed hydroboration of *N*-(1-alkynyl)amides is unexpectedly opposite to that in the carbometallation reaction of alkynamides.

5954

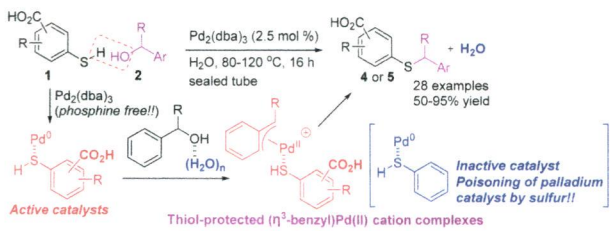


Pyridine-phosphinimine ligand-accelerated Cu(I)-catalyzed azide–alkyne cycloaddition for preparation of 1-(pyridin-2-yl)-1,2,3-triazole derivatives

Ranfeng Sun, Huangdong Wang, Jianfeng Hu, Jiudong Zhao and Hao Zhang*

A new phosphinimine ligand was used in the Cu(I)-catalyzed azide–alkyne cycloaddition (CuAAC) reaction of tetrazolo[1,5-*a*]pyridines (not active in traditional CuAAC reactions) and alkynes for the first time and a series of 1-(pyridin-2-yl)-1,2,3-triazole derivatives were prepared.

5964

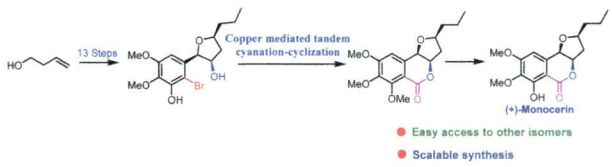


Mercaptobenzoic acid-palladium(0) complexes as active catalysts for *S*-benzylation with benzylic alcohols *via* (η^3-benzyl)palladium(II) cations in water

Hidemasa Hikawa* and Isao Azumaya*

Mercaptobenzoic acid-palladium(0) complexes show high catalytic activity for *S*-benzylation with benzylic alcohols *via* the (η^3-benzyl)palladium(II) cation in water. The catalytic system can be performed using only 2.5 mol% $Pd_2(dba)_3$ without the phosphine ligand or other additives.

5972



Total synthesis of (+)-monocerin *via* tandem dihydroxylation-S_N2 cyclization and a copper mediated tandem cyanation–lactonization approach

U. Nookaraju, Eeshwaraiah Begari* and Pradeep Kumar*

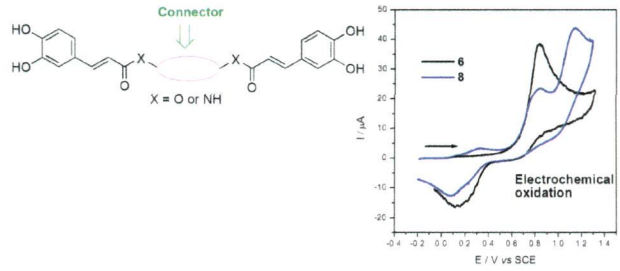
A simple and novel synthesis of (+)-monocerin was achieved from 3-buten-1-ol employing HKR, Julia olefination, intramolecular tandem Sharpless asymmetric dihydroxylation-S_N2 cyclization and a novel copper mediated tandem cyanation–cyclization as the key steps.

5991

Electrochemical behaviour of new dimeric esters and amides derived from caffeic acid in dimethylsulfoxide

Analilia Sánchez, Omar Martínez-Mora, Evelin Martínez-Benavidez, Javier Hernández, Zaira Domínguez* and Magali Salas-Reyes*

Small differences in the connectors of four new dimeric derivatives of caffeic acid exert interesting changes on their electrochemical behaviour.

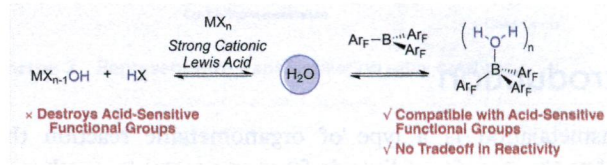


5990

Breaking the dichotomy of reactivity *vs.* chemoselectivity in catalytic S_N1 reactions of alcohols

Malik Hellal, Florian C. Falk, Eléna Wolf, Marian Dryzhakov and Joseph Moran*

$B(C_6F_5)_3$ possesses a different reactivity/chemoselectivity profile than traditional Lewis and Brønsted acids and is effective at enabling catalytic S_N1 reactions of alcohols in the presence of acid sensitive groups without compromising reaction rates, substrate scope or catalyst loadings.

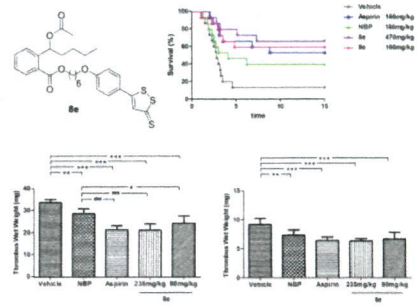


5995

Design, synthesis and biological evaluation of hydrogen sulfide releasing derivatives of 3-*n*-butylphthalide as potential antiplatelet and antithrombotic agents

Xiaoli Wang, Linna Wang, Xiao Sheng, Zhangjian Huang, Tingting Li, Ming Zhang, Jinyi Xu, Hui Ji,* Jian Yin* and Yihua Zhang*

Compound **8e** protected against the collagen and adrenaline induced thrombosis in mice, and exhibited greater antithrombotic activity than NBP and aspirin in rats.



6005

Syntheses and characterization of liposome-incorporated adamantyl aminoguanidines

Marina Šekutor, Adela Štimac, Kata Mlinarić-Majerski* and Ruža Frkanec*

A series of mono and bis-aminoguanidinium adamantane *derivatives has been synthesized and incorporated into* liposomes.