

有机化学

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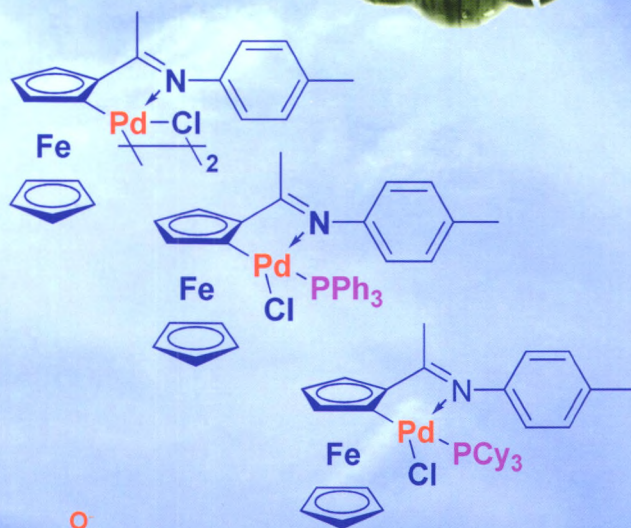
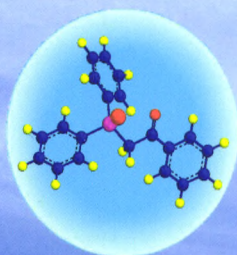
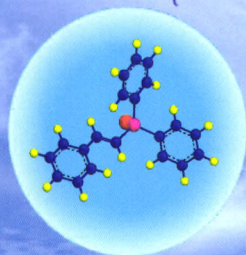
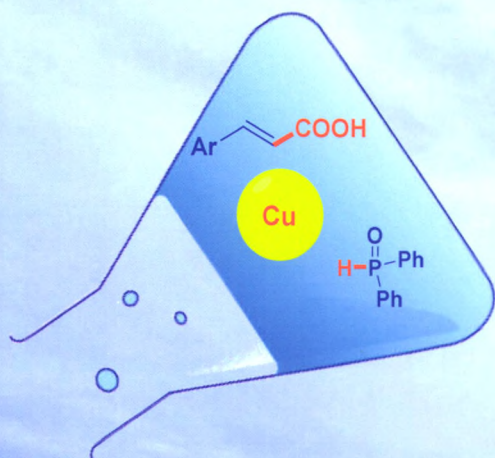
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Chinese Journal of Organic Chemistry

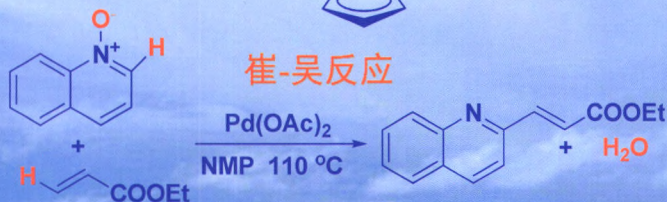
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郑州大学



恭贺吴养洁院士九十华诞



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有机化学 (月刊)

Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

第 38 卷 第 1 期 (总 350 期) 2018 年 1 月*

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* 通讯联系人.

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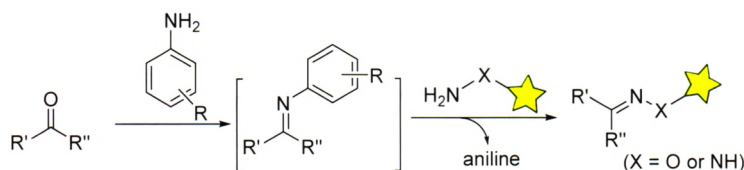
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On the Cover

A simple and mild protocol for copper-catalyzed decarboxylative coupling of alkenyl acids with P(O)H compounds was developed by Qiao, Sun, Kang *et al.* on page 86, thereby providing a convenient access to vinylphosphorus compounds or β -ketophosphorus compounds as major products using TBHP or oxygen in air as an oxidant, respectively.

REVIEWS

Aniline Catalysis in Bioconjugations and Material Synthesis

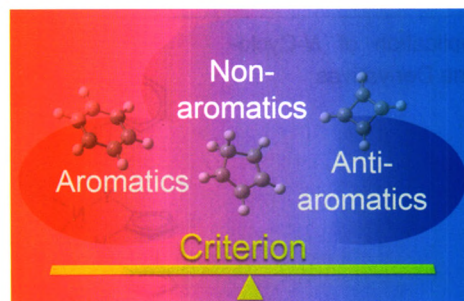


Cai, Mao; Han, Yanfang; Zhang, Qi; Luo, Sanzhong*

Chin. J. Org. Chem. **2018**, 38(1), 1

Recent progresses in the design, development and application of aniline catalysis in bioconjugations and material synthesis are reviewed. The mechanism and structure activity relationship of aniline catalysis are also discussed.

Aromaticity: History and Development

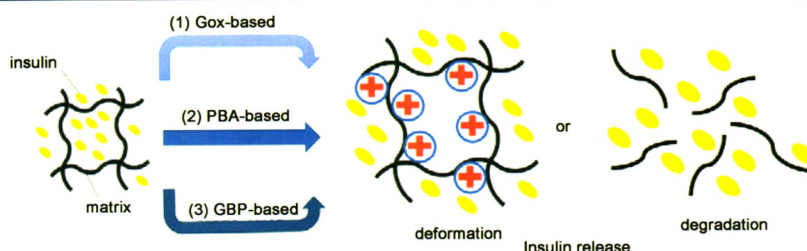


Hua, Yuhui; Zhang, Hong*; Xia, Haiping*

Chin. J. Org. Chem. **2018**, 38(1), 11

Aromaticity is one of the most fundamental concepts in organic chemistry. To give a general summary and introspect, the main emphasis of this review is on a discussion of historical discoveries, definitions and classification of aromaticity-related structural types, as well as various theoretically and experimentally criteria. Furthermore, the recent development of aromaticity illustrated by recent representative examples is reviewed.

Recent Advances in Closed-Loop and Smart Insulin Delivery Systems



Li, Zhenyi; Hu, Xiaoyu; Jiang, Juli; Zhang, Dongmei; Xiao, Shoujun; Lin, Chen*; Wang, Leyong

Chin. J. Org. Chem. **2018**, 38(1), 29

This mini-review describes the recent progress in the construction of closed-loop and smart insulin delivery system, which mainly focuses on the response mechanism, different strategies for fabricating the carrier matrix, and the regulation principle of the smart insulin release. Advantages and drawbacks of the current insulin delivery systems are also discussed, along with the opportunities and challenges in future.

CONTENT

Effects of Additives in Iron-Catalyzed Cross-Coupling Reactions Involving Grignard Reagents

Liu, Qiang; Wang, Bin; Peng, Xiaoshui; Wong, Henry N.C.*

Chin. J. Org. Chem. **2018**, 38(1), 40

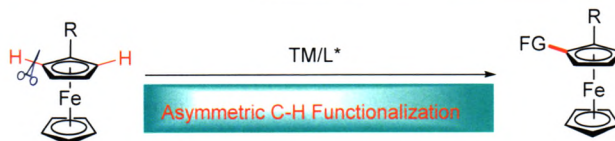


Iron-catalyzed cross-coupling reaction between organometallic nucleophiles and organic halides electrophiles represents one of the most powerful methods in the field of carbon-carbon bond formation. The additive effect in the iron-catalyzed cross-couplings of Grignard reagents in the recent years is briefly discussed.

Synthesis of Planar Chiral Ferrocenes via Transition-Metal-Catalyzed Direct C—H Bond Functionalization

Huang, Jiapian; Gu, Qing*; You, Shuli*

Chin. J. Org. Chem. **2018**, 38(1), 51

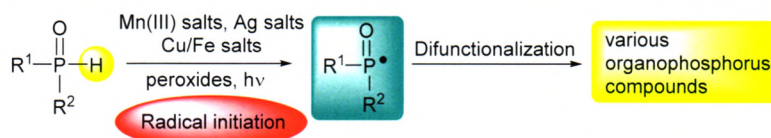


The recent progress on the development of novel methods to synthesize planar chiral compounds via transition-metal catalyzed asymmetric C—H bond functionalization is summarized.

Recent Advances of Phosphorus-Centered Radical Promoted Difunctionalization of Unsaturated Carbon-Carbon Bonds

Gao, Yuzhen; Tang, Guo; Zhao, Yufen*

Chin. J. Org. Chem. **2018**, 38(1), 62

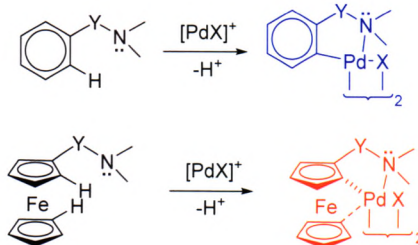


Formation of C—P bonds has all along attracted considerable attention. The difunctionalization reactions between P-center radicals and unsaturated compounds provide powerful methods for the synthesis of organophosphorus compounds in least and concise steps. This review will summarize the recent development in this area on the basis of different types of P-centered radical initiators.

Synthesis and Application of *N*-Cyclopalladated Ferrocene Derivatives

Sokolov, Viacheslav I.*

Chin. J. Org. Chem. **2018**, 38(1), 75



Progress in the synthesis and application of the cyclopalladated derivatives of ferrocene with a donor nitrogen atom in the directing group is surveyed including the planar chirality and enantioselective catalysis of organic reactions and rearrangements. Transannular palladation has been found giving achiral 1,1'-disubstituted ferrocenes of *ansa*-structure. Cyclopalladated ferrocenes have been widely used as catalysts in the cross-coupling reactions (Suzuki, Heck *etc.*)

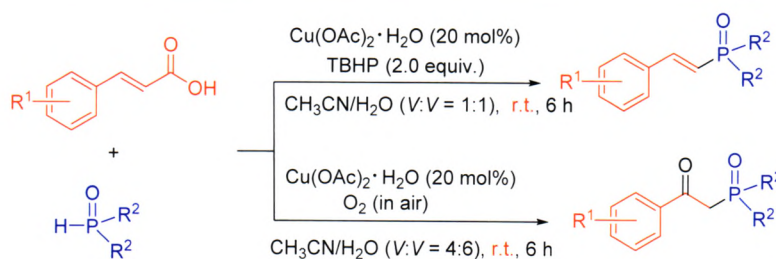
Cyclopalladated ferrocenes have been widely used as catalysts in the cross-coupling reactions (Suzuki, Heck *etc.*)

ARTICLES

Copper-Catalyzed Decarboxylative Coupling of Alkenyl Acids with P(O)H Compounds at Room Temperature

Qiao, Huijie; Sun, Suyan; Kang, Jianxun; Yang, Fan*; Wu, Yusheng*; Wu, Yangjie*

Chin. J. Org. Chem. **2018**, 38(1), 86



The features: (1) cheap oxidant; (2) ligand-free conditions; (3) room temperature

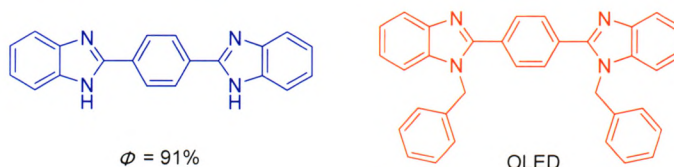
A simple and mild protocol for the copper-catalyzed decarboxylative C—P coupling of alkenyl acids with P(O)H compounds was developed to afford vinylphosphorus compounds. Moreover, β -ketophosphorus compounds could be generated as major products in air using oxygen as an oxidant.

Efficient Pd-Catalyzed Direct C—H Bond Arylation of Imidazo[1,2-*a*]pyridines with Aryl Chlorides in Aqueous Medium

A facile, economic and efficient protocol for the synthesis of 3-arylimidazo[1,2-*a*]pyridines via palladium-catalyzed direct C—H bond arylation of various imidazo[1,2-*a*]pyridines with electron-neutral, electron-poor, electron-rich, even sterically hindered aryl chlorides and heteroaryl chlorides in aqueous medium.

Mu, Bing; Li, Jingya; Zou, Dapeng; Wu, Yusheng*; Chang, Junbiao*; Wu, Yangjie*
Chin. J. Org. Chem. **2018**, 38(1), 95

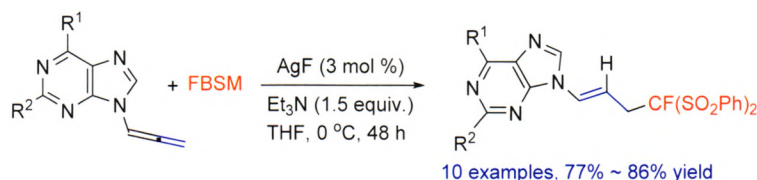
Luminescence Properties of the Conjugated System Containing Benzoimidazole Structural Units and Its Organic Light-Emitting Diode (OLED)



A series of conjugated compounds containing imidazole structure units were designed and synthesized. Their structures were characterized by ^1H NMR, ^{13}C NMR, MS and elemental analysis. Their UV absorption wavelength (λ_a), fluorescence emission wavelength (λ_e), fluorescence quantum yield (Φ) and fluorescence lifetime (τ) were determined, and the relationships between the molecular structures and its spectral data were discussed.

Lin, Danyan; Song, Senchuan; Chen, Zhiyong; Guo, Pengran; Chen, Jianghan; Shi, Huahong; Mai, Yuliang; Song, Huacan*
Chin. J. Org. Chem. **2018**, 38(1), 103

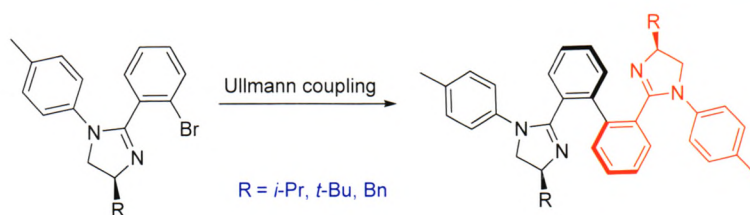
Ag-Catalyzed Monofluoromethylation of Purin-9-yl Allenes with Fluorobis(phenylsulfonyl)methane



The monofluoromethylation of purin-9-yl allenenes with fluorobis(phenylsulfonyl)methane has been achieved. With AgF (3 mol%) as the catalyst, the fluorobis(phenylsulfonyl)methylated adducts could be afforded in excellent yields. The monofluoromethylation exhibited high chemoselectivities and *E*-selectivities.

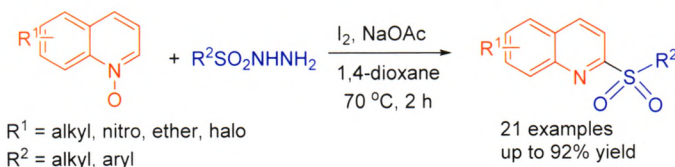
Guo, Zhen; Xie, Mingsheng; Han, Ruijie; Qu, Guirong*; Guo, Haiming*
Chin. J. Org. Chem. **2018**, 38(1), 112

Synthesis of Chiral Bis(imidazoline) Ligands with Biphenyl Backbone and Their Application in the Asymmetric Cyclopropanation Reaction



A series of biphenyl bisimidazolines containing both axial and central chirality have been synthesized for the first time via Ullmann coupling. The obtained ligands were further applied in the Cu-catalyzed asymmetric cyclopropanation.

Zhu, Xinju; Niu, Junlong; Zhao, Xuemei; Hao, Xinqi*; Song, Maoping*
Chin. J. Org. Chem. **2018**, 38(1), 118

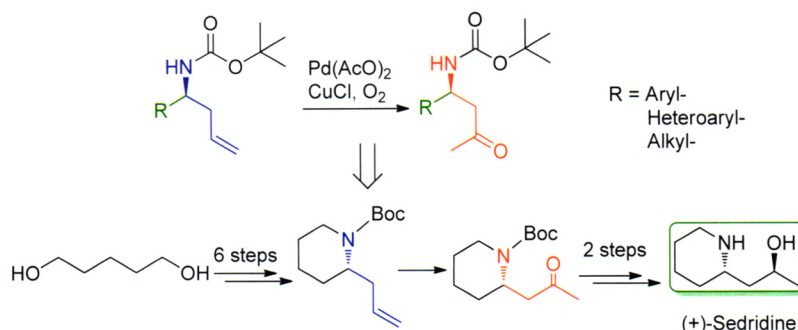
Iodine-Catalyzed Regioselective Sulfonylation of Quinoline *N*-Oxides with Sulfonyl Hydrazides

A novel and simple protocol has been developed for the regioselective sulfonylation of quinoline *N*-oxides at their C-2 position. This method features with a simple system, high efficiency, environmental friendliness, and metal-free conditions. Aliphatic and aryl sulfonyl hydrazides smoothly undergo sulfonylation with quinoline *N*-oxides in good yields.

Yu, Haiyang; Pi, Chao; Wang, Yong; Cui, Xiuling*; Wu, Yangjie*
Chin. J. Org. Chem. **2018**, 38(1), 124

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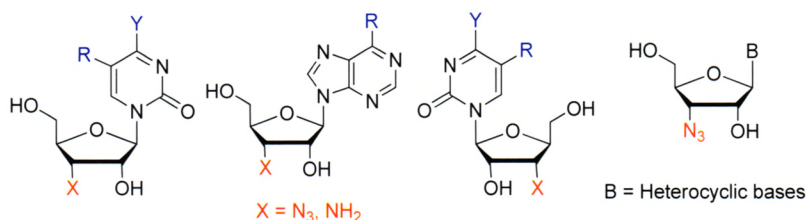
Synthesis of β -Amino Carbonyl Compounds and Its Application: Total Synthesis of (+)-Sedridine



Sun, Kai; Sun, Xingwen*; Lin, Guoqiang
Chin. J. Org. Chem. **2018**, 38(1), 131

A novel method for preparing β -amino-carbonyl compounds was developed, and natural product (+)-sedridine was synthesized by this method.

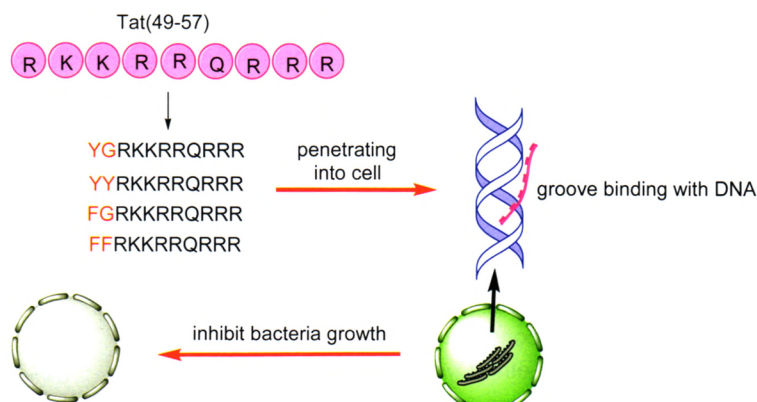
Synthesis of 3'-Azido-*D/L*-nucleosides



Ren, Hang; Tao, Jingchao*; An, Haoyun*
Chin. J. Org. Chem. **2018**, 38(1), 138

3'-Azido-3'-deoxy-*D*-pyrimidine nucleosides, purine nucleosides and drug derivatives as well as 3'-azido-3'-deoxy-*L*-nucleosides were synthesized in parallel starting from two well protected key riboside intermediates.

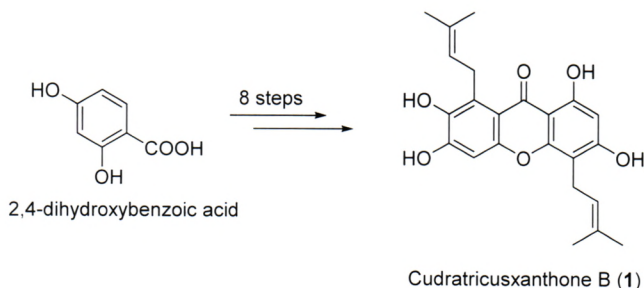
Design, Synthesis and Properties of the Antibacterial Peptides Based on Tat-(49-57)



Lü, Mingxiu; Mai, Wenpeng; Lu, Kui*; Duan, Bingchao; Zhao, Yufen*
Chin. J. Org. Chem. **2018**, 38(1), 148

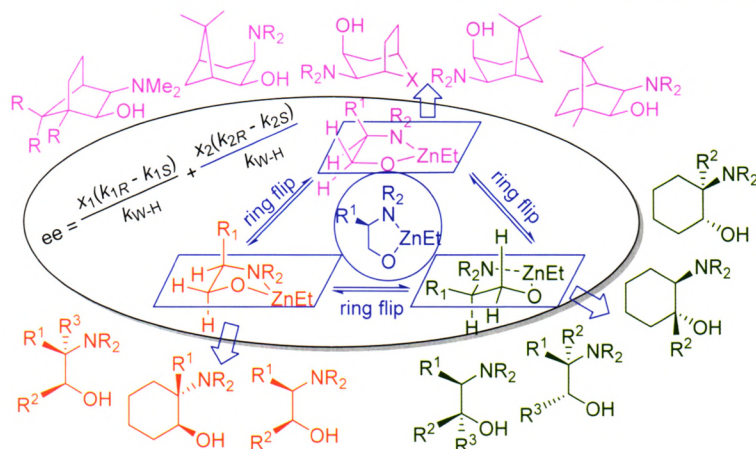
The recent research in the design, synthesis and properties of antimicrobial peptides based on Tat(49-57) is studied. Antibacterial activities and DNA binding studies are mainly discussed. Finally, the future development and application of them are also prospected.

Total Synthesis of Cudraticusxanthone B



Zhou, Pengfei; Hou, Aijun*; Wang, Yang*
Chin. J. Org. Chem. **2018**, 38(1), 156

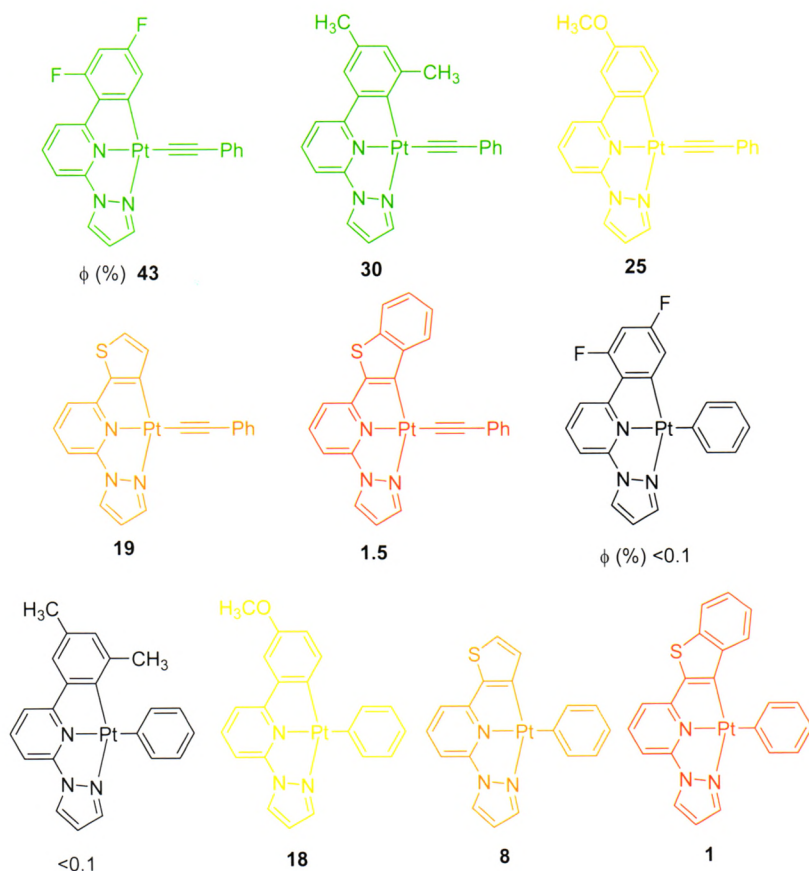
The efficient total synthesis of cudraticusxanthone B was achieved starting from commercially available 2,4-dihydroxybenzoic acid via 8 steps with the overall yield of 3.1%.

Enantioselective Analysis: Logic of Chiral
Ligand Design for Asymmetric Addition of
Diethylzinc to Benzaldehyde

A detailed logic-guided approach towards chiral ligands design is described via the enantioselective analysis of the dynamic conformational behaviors of catalyst, which is based on a mathematical relationship between conformations and enantioselectivity, for asymmetric addition of diethylzinc to benzaldehyde. Following this logic thought, 94 examples, almost all highly enantioselective β-aminoalcohol ligands reported, can be rationally devised by the logic control of the dynamic conformational behaviors of the catalyst from the simplest β-aminoalcohol with one single chiral center as starting point.

Wang, Mincan*

Chin. J. Org. Chem. 2018, 38(1), 162

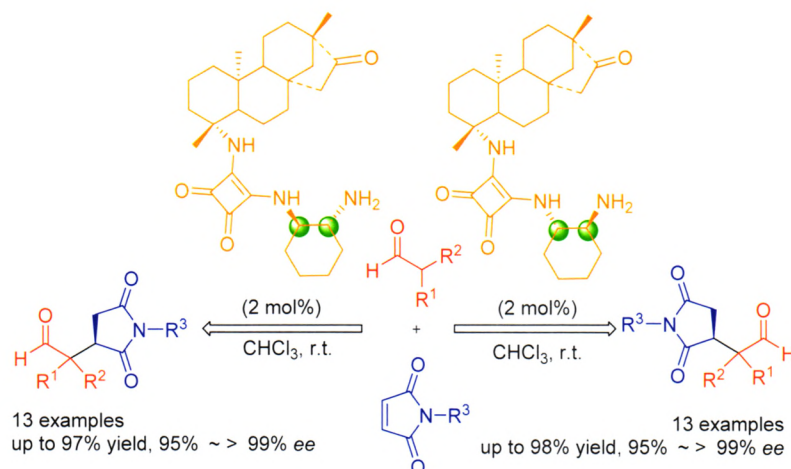
A Comparative Study on Phosphorescent
Cycloplatinated Complexes Based on
Tridentate C^NN-Coordinating Ligands
and Phenylethynyl or Phenyl Ligand

The photoluminescent quantum efficiencies of platinum complexes based on the tridentate cyclometalating ligands 2-aryl-6-(1*H*-pyrazol-1-yl)pyridines are controlled by the ancillary monodentate ligands. The phenylethynyl-substituted complexes exhibit much higher quantum yields, while the phenyl-substituted counterparts display lower quantum yields and behave very differently depending upon their emission energy.

Mroz, Robert; Vezzu, Dileep A. K.; Wallace, Brian; Ravindranathan, Deepak; Carroll, Jeffrey; Pike, Robert D.; Huo, Shouquan*
Chin. J. Org. Chem. 2018, 38(1), 171

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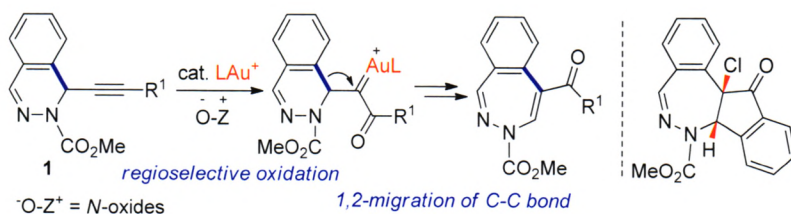
Highly Enantioselective Michael Addition
Catalyzed by New Primary Amine-Squa-
ramide Organocatalysts



The asymmetric Michael addition reaction of α,α -disubstituted aldehydes to maleimides catalyzed by new bifunctional primary amine-squaramides has been developed. This organocatalytic asymmetric reaction provides easy access to functionalized succinimides with a broad substrate scope. Both enantiomers of desired succinimide derivatives were obtained in good to excellent yields (up to 98%) with excellent enantioselectivities (up to >99% ee).

Ma, Zhiwei*; Liu, Xiaofeng; Liu, Juntao;
Tao, Jingchao*
Chin. J. Org. Chem. **2018**, 38(1), 183

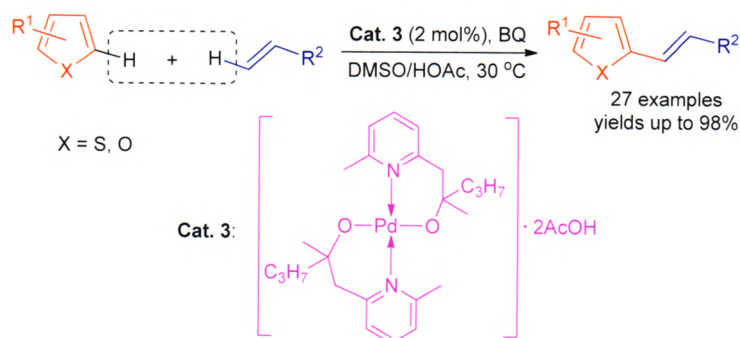
Gold-Catalyzed Ring Expansion Reaction:
Highly Efficient Synthesis of Func-
tionalized 2,3-Benzodiazepine Scaffolds



A gold-catalyzed oxidative ring expansion of 1-alkynyl-1,2-dihydrophthalazine has been developed, which provides efficient synthesis of 2,3-benzodiazepine derivatives. Further transformation of 2,3-benzodiazepine products in the presence of FeCl_3 was also carried out, pyrazole and polyfused heterocycle were formed, respectively, through variation of the amounts of FeCl_3 .

Hu, Hui; Hu, Xiaoping; Chen, Ming; Sun,
Ning*; Liu, Yuanhong*
Chin. J. Org. Chem. **2018**, 38(1), 190

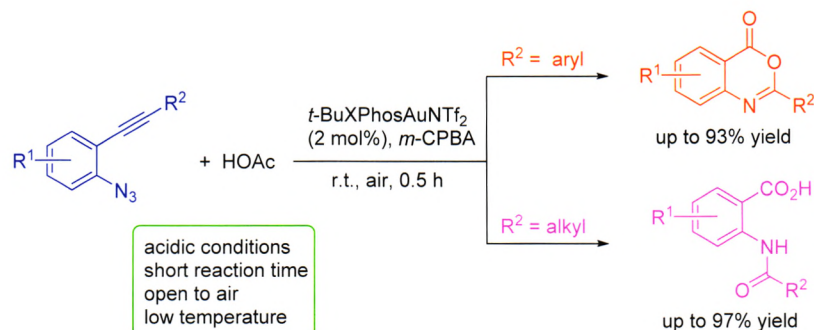
Highly Catalytic Activity of Bis(alkoxo)-
palladium Complexes for Fujiwara-Mori-
tani Reaction



A series of bis(alkoxo)palladium complex (2 mol%) based on pyridine-containing alcohol ligand were tested for Fujiwara-Moritani reaction of thiophenes/furans with various olefins. The desired products were isolated in moderate to excellent yields under mild conditions. A possible concerted metalation-deprotonation (CMD) pathway for this transformation was proved by control experiments and ESI(+)-MS analysis.

Li, Yabo; Shen, Zhen; Huang, Mengmeng*;
Zhang, Jianye; Kim, Jung Keun*; Wu,
Yangjie
Chin. J. Org. Chem. **2018**, 38(1), 200

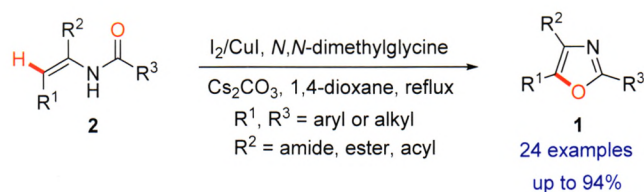
Rapid Access to 4*H*-3,1-Benzoxazin-4-ones via Gold-Catalyzed One-Pot Oxidative Rearrangement of 2-Alkynyl Arylazides



Zhang, Xiaoxiang*; Lü, Chang; Li, Ping; Yong, Wanxiong; Li, Jing; Zhu, Xinbao*
Chin. J. Org. Chem. **2018**, 38(1), 208

A rapid access to 4*H*-3,1-benzoxazin-4-ones and anthranilic acids by gold-catalyzed one-pot one-step oxidative rearrangement of 2-alkynyl arylazides is developed.

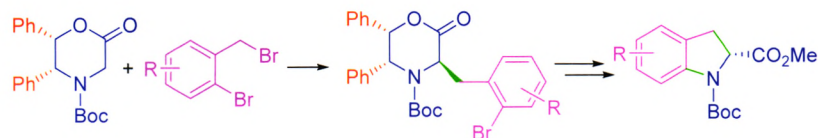
I₂/CuI-Mediated Oxidative Cyclization of Enamides to Polysubstituted Oxazoles



Yu, Wenquan; Chang, Junbiao*
Chin. J. Org. Chem. **2018**, 38(1), 215

A variety of polysubstituted oxazoles were synthesized by I₂/CuI-mediated oxidative cyclization of readily accessible enamide substrates in satisfactory yields.

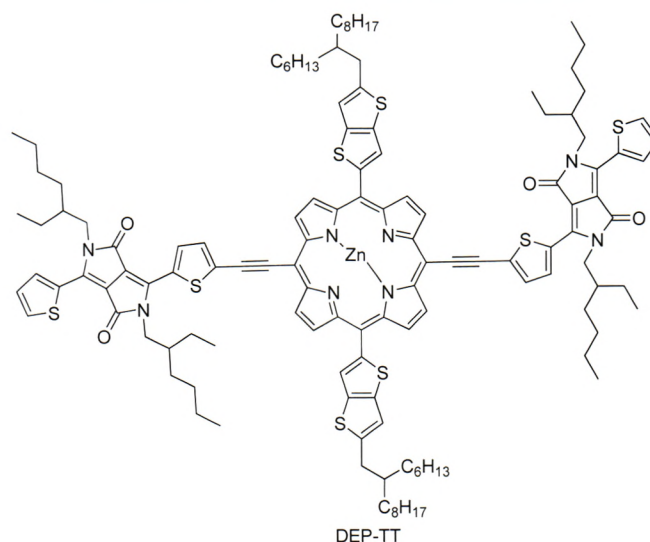
Asymmetric Synthesis of Methyl *N*-(*tert*-Butoxycarbonyl)indoline-2-carboxylates



Zhang, Qianqian; Ding, Qunshan; Song, Chuanjun*; Chang, Junbiao*
Chin. J. Org. Chem. **2018**, 38(1), 221

A series of novel 3-phenyl propan-1-one oxime ethers bearing pyridine moiety were synthesized and their *in-vitro* antifungal activities against *S. sclerotiorum* and *B. cinerea* were evaluated. The results indicated that some compounds displayed high antifungal activity, which was even higher than that of the positive control, chlorothalonil.

An Efficient Ternary Organic Solar Cell with a Porphyrin Based Small Molecule Donor and Two Fullerene Acceptors

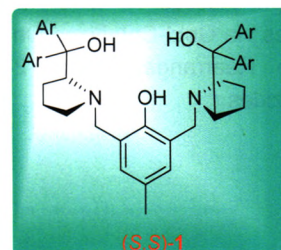
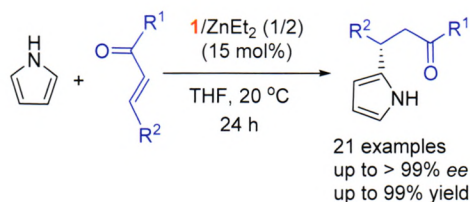


Sun, Yanna; Gao, Huanhuan; Zhang, Yamin; Wang, Yunchuang; Kan, Bin; Wan, Xiangjian; Zhang, Hongtao; Chen, Yongsheng*
Chin. J. Org. Chem. **2018**, 38(1), 228

A thieno[3,2-*b*]thiophene-substituted porphyrin molecule flanked with two diketopyrrolopyrrole units by ethynylene bridges, namely DEP-TT, was designed and synthesized which was blended with PC₇₁BM and ICBA to fabricate efficient ternary organic solar cells.

CONTENT

Asymmetric Friedel-Crafts Alkylation of Pyrrole with Chalcones Catalyzed by a Dinuclear Zinc Catalyst



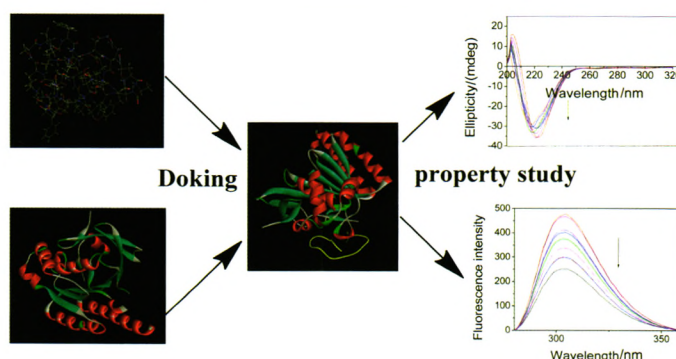
An intramolecular dinuclear zinc complex was used in asymmetric Friedel-Crafts alkylation of pyrrole with a wide range of chalcone derivatives. A series of β -pyrrole-substituted dihydrochalcones were formed mostly in excellent yields (up to 99%) and excellent enantioselectivities (up to >99% *ee*) by using 15 mol% catalyst loading under mild conditions.

Hua, Yuanzhao; Han, Xingwang; Huang, Lihua*; Wang, Mincan*

Chin. J. Org. Chem. **2018**, 38(1), 237

NOTES

Design and Synthesis of Breast Cancer Susceptibility Gene BRCA1 Analogs Peptides and the Interaction of Analogs Peptides with Breast Cancer Suppressor Gene Protein RAD51

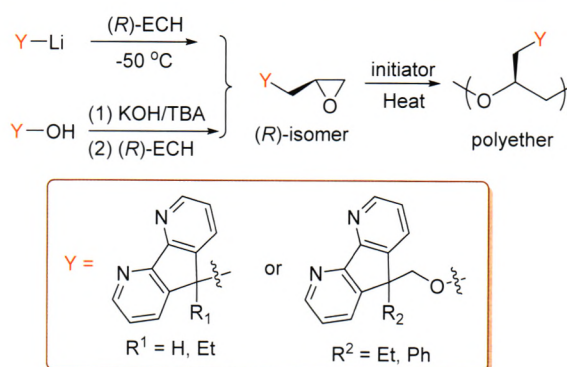


The interaction between breast cancer suppressor gene BRCA1 and breast cancer suppressor gene protein RAD51 in cancer cell is an essential part for the treatment of breast cancer. Discovery Studio simulation of the docking process of BRCA1 analogs and RAD51 was used to screen the BRCA1 analogs with different charge and acid-base properties, and the interactions with BRCA1 analogs of RAD51 peptides (Pep158-180, Pep181-200, and Pep241-260) were studied by using fluorescence spectroscopy and circular dichroism spectroscopy. And the goal is to find out the better peptide. The results provide evidence to design novel breast drugs for breast cancer.

Li, Linlu; Lv, Mingxiu; Lu, Kui*; Liu, Guangbin; Peng, Lu

Chin. J. Org. Chem. **2018**, 38(1), 246

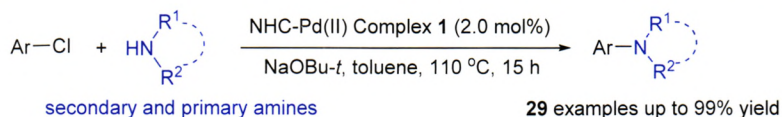
Synthesis and Polymerization of Optically Active Epoxides with Diazafluorenyl Substituent



Sun, Yunkai*; Zhang, Jin*; Liu, Huijun; Wang, Xiaofeng

Chin. J. Org. Chem. **2018**, 38(1), 253

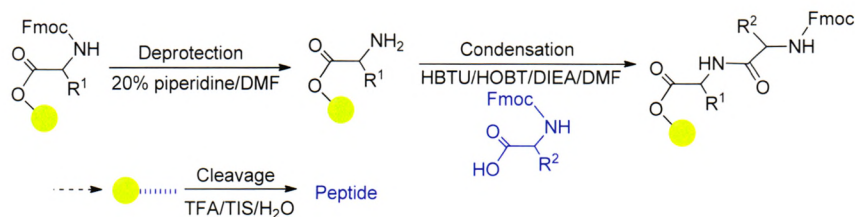
Using optically active epichlorohydrin [(*R*)-ECH or (*S*)-ECH] to react with organolithium or tertiary alcohol with bulky pendant (Y), the corresponding optically pure terminal epoxides can be given. The epoxides are polymerized using KOH or potassium *tert*-butylate as an initiator and the polyethers with narrow molecular weight distributions are obtained.

Buchwald-Hartwig Amination of Aryl Chlorides Catalyzed by Trinuclear *N*-Heterocyclic Carbene-Palladium(II) Complexes

The trinuclear *N*-heterocyclic carbene-palladium(II) complexes were found to be the effective catalyst precursors for the Buchwald-Hartwig amination of aryl chlorides. With catalyst loading of 2.0 mol%, the amination of secondary and primary amines with a variety of electronically and structurally diverse aryl chlorides gave the desired products in moderate to high yields within hours.

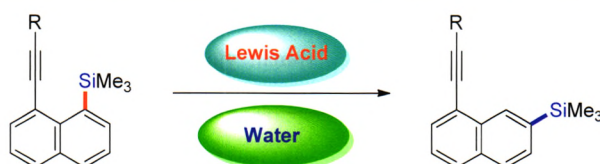
Wang, Tao*; Xu, Kai; Zhang, Anan; Wang, Wanli; Liu, Lantao*
Chin. J. Org. Chem. **2018**, 38(1), 259

Solid Phase Synthesis and Property of Signature Motif III in Peptide Transporter



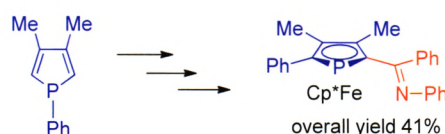
Zhao, Dongxin*; Lü, Mingxiu; Ma, Li; Lu, Kui*
Chin. J. Org. Chem. **2018**, 38(1), 266

The solid-phase synthesis of signature motif III in peptide transporter and its mutants is reviewed. The interaction of the peptides with DNA detected using UV and fluorescence spectra is discussed for the future development and application of peptides.

Gold(I)-Catalyzed 1,2-Migration of a SiMe₃ Group on Naphthalene Rings

Yang, Qi; Liu, Liang; Zhang, Wen-Xiong; Xi, Zhenfeng*
Chin. J. Org. Chem. **2018**, 38(1), 272

1,2-Silyl migration of 1,8-di-substituted naphthalene rings catalyzed by AuI was reported. Lewis acid and distilled water worked synergistically to give the best result. The mechanism of catalytic circle was also proposed.

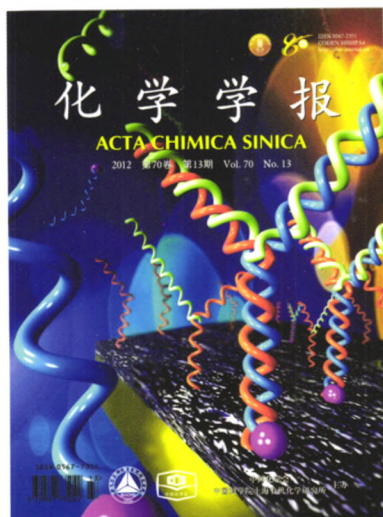
Synthesis, Structure and Coordination Chemistry of an α -Iminophosphaferrocene

Hao, Yanwei; Tian, Rongqiang*; Wu, Di; Duan, Zheng*; Mathey, François*
Chin. J. Org. Chem. **2018**, 38(1), 277

An 2-iminophospholide **1** can be obtained via two [1,5] shifts by reaction of potassium tertbutoxide with 3,4-dimethyl-1-phenylphosphole and an imidoyl chloride. The reaction of **1** with [Cp*FeCl]_n affords a 2-iminophosphaferrocene **2** which behaves as a P,N chelating ligand toward Mo(CO)₄ and Rh(CO)₂⁺. The X-ray crystal structures of **2** and its Mo complex have been recorded.

HIGHLIGHTS

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