

有机化学

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郑州大学

Ar-COOH
Cu
H-P(=O)(Ph)₂

Fe Pd-Cl₂

Fe Pd-Cl PPh₃

Fe Pd-Cl PCy₃

崔-吴反应

$\text{Pd}(\text{OAc})_2$
NMP 110 °C

H_2O

恭贺吴养洁院士九十华诞

ISSN 0253-2786



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中国化学会主办
中国科学院上海有机化学研究所

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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*

目次

综述与进展

- 苯胺催化在生物大分子修饰和材料合成中的应用 蔡茂 韩彦方 章琪 罗三中* (1)
- 芳香性: 历史与发展 华煜晖 张弘* 夏海平* (11)
- 闭路智能胰岛素载药体系的研究进展 李臻益 胡晓玉 强璐莉 张冬梅 肖守军 林晨* 王乐勇 (29)
- 格氏试剂参与的铁催化偶联反应中的添加物效应 刘强 王彬 彭小水 黄乃正* (40)
- 过渡金属催化的碳氢键官能团化反应合成平面手性二茂铁化合物 黄家翮 顾庆* 游书力* (51)
- 磷自由基对不饱和键的双官能化反应的研究进展 高玉珍 唐果 赵玉芬* (62)
- N*-环钼化二茂铁衍生物的合成和应用 Viacheslav I. Sokolov* (75)

研究论文

- 室温下铜催化丙烯酸与磷氧类化合物的脱羧偶联反应 乔祥杰 孙素颜 康建勋 杨帆* 吴豫生* 吴养洁* (86)
- 在含水介质中钼高效催化咪唑并[1,2-*a*]吡啶类化合物与芳基氯代物的碳氢芳基化反应 穆兵 李敬亚 邹大鹏 吴豫生* 常俊标* 吴养洁* (95)
- 含苯并咪唑单元共轭结构化合物及其有机发光二极管(OLED)器件发光性能研究 林丹燕 宋森川 陈智勇 郭鹏然 陈江韩 史华红 麦裕良 宋化灿* (103)
- 银催化 9-联烯嘌呤与氟代双(苯磺酰基)甲烷的单氟甲基化反应 郭真 谢明胜 韩瑞杰 渠桂荣* 郭海明* (112)
- 联苯骨架手性双咪唑啉配体的合成及在不对称环丙烷化中的应用 朱新举 牛俊龙 赵雪梅 郝新奇* 宋毛平* (118)
- 碘催化喹啉氮氧化物与磺酰肼的区域选择性磺酰化反应 余海洋 皮超 王勇 崔秀灵* 吴养洁* (124)
- β -氨基羰基化合物合成研究及其应用: (+)-Sedridine 的全合成 孙凯 孙兴文* 林国强 (131)
- 3'-叠氮 *D/L*-核苷的合成 任行 陶京朝* 安浩云* (138)

* 通讯联系人.

基于 Tat(49-57)抗菌肽的设计、合成与性质研究.....	吕名秀 买文鹏 卢奎* 段冰潮 赵玉芬*	(148)
Cudraticusxanthone B 的全合成.....	周鹏飞 侯爱君* 王洋*	(156)
对映选择性分析: 在二乙基锌与苯甲醛不对称加成中手性配体设计的逻辑推理.....	王敏灿*	(162)
基于 C ^N N 三齿配体以及苯乙炔基或苯基的环金属化铂磷络合物的比较研究.....	Robert Mroz Dileep A. K. Vezzu Brian Wallace Deepak Ravindranathan Jeffrey Carroll Robert D. Pike 霍守权*	(171)
基于异斯特维醇的新型伯胺-方酰胺催化的高对映选择性 Michael 加成反应.....	马志伟* 刘晓锋 刘俊桃 陶京朝*	(183)
金催化的扩环反应: 2,3-苯并二氮杂萘类化合物的高效合成.....	胡辉 胡晓革 陈铭 孙宁* 刘元红*	(190)
吡啶乙醇类双[N,O]环钼配合物在 Fujiwara-Moritani 反应中的高效催化应用.....	李亚波 申振 黄萌萌* 张建业 Jung Keun Kim* 吴养洁	(200)
金催化 2-炔基芳基叠氮氧化重排一锅法快速合成苯并噁嗪-4-酮.....	张小祥* 吕昌 李萍 雍万雄 李静 朱新宝*	(208)
碘/碘化亚铜介导的烯酰胺氧化环化反应合成多取代噁唑.....	于文全 常俊标*	(215)
N-叔丁氧羰基咪唑啉-2-羧酸甲酯的不对称合成.....	张倩倩 丁群山 宋传君* 常俊标*	(221)
基于卟啉小分子给体与双组分富勒烯受体的高效三元有机太阳能电池.....	孙延娜 高欢欢 张雅敏 王云闯 阚斌 万相见 张洪涛 陈永胜*	(228)
双锌催化剂催化的吡咯与查尔酮类化合物的不对称傅-克烷基化反应.....	华远照 韩兴旺 黄利华* 王敏灿*	(237)

研究简报

类乳腺癌易感基因 BRCA1 肽的设计、合成及与抑癌基因蛋白 RAD51 肽段的相互作用.....	李林璐 吕名秀 卢奎* 刘广斌 彭露	(246)
含二氮杂苈基的旋光环氧化合物的合成及聚合研究.....	孙允凯* 张劲* 刘慧君 王小峰	(253)
三核 N-杂环卡宾-钼(II)化合物催化芳基氯化物的 Buchwald-Hartwig 胺化反应研究.....	王涛* 许凯 张安安 王万里 刘澜涛*	(259)
寡肽转运蛋白特征基元 III 的固相合成与性质研究.....	赵东欣* 吕名秀 马丽 卢奎*	(266)
金(I)催化的萘环上三甲基硅基的 1,2-迁移反应.....	杨琪 刘亮 张文雄 席振峰*	(272)
α -亚胺基磷杂二茂铁的合成、结构及配位化学研究.....	郝廷蔚 田荣强* 吴迪 段征* Mathey François*	(277)

亮点介绍.....		(281)
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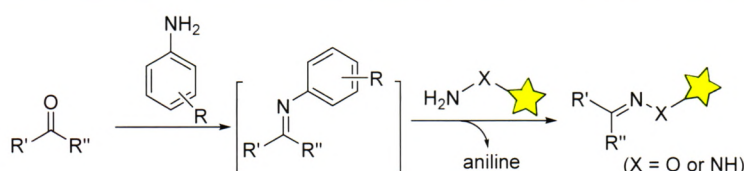
《有机化学》投稿须知.....		(284)
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On the Cover

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

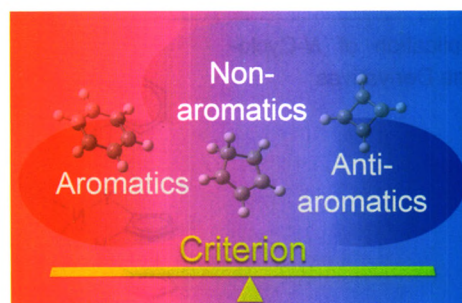


Cai, Mao; Han, Yanfang; Zhang, Qi; Luo, Sanzhong*

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

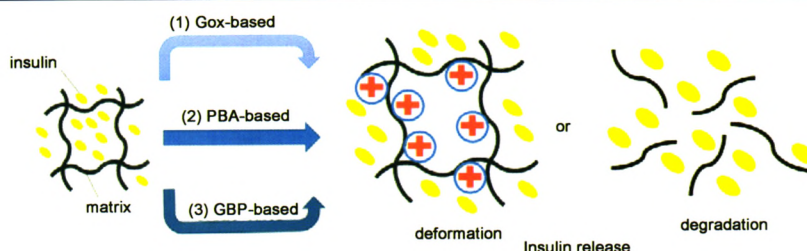


Hua, Yuhui; Zhang, Hong*; Xia, Haiping*

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

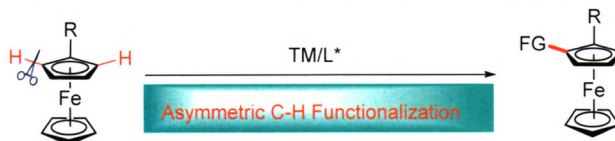
Liu, Qiang; Wang, Bin; Peng, Xiaoshui; Wong, Henry N.C.*

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

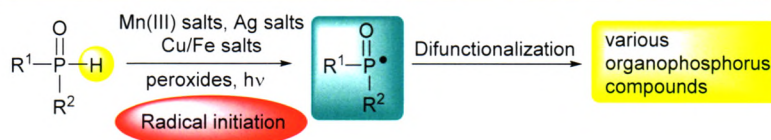


Huang, Jiapian; Gu, Qing*; You, Shuli*

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

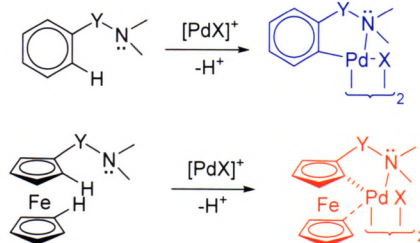


Gao, Yuzhen; Tang, Guo; Zhao, Yufen*

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



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.*)

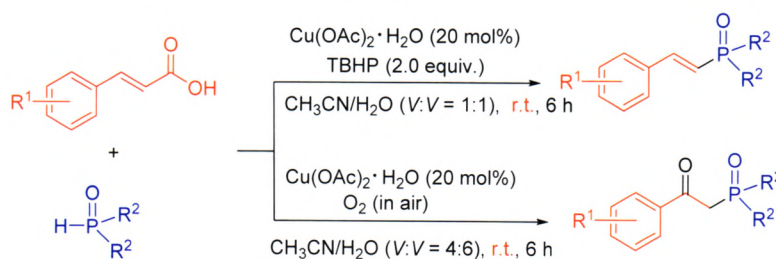
Sokolov, Viacheslav I.*

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

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



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

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

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

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.

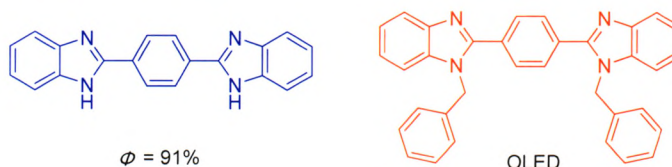
Efficient Pd-Catalyzed Direct C—H Bond Arylation of Imidazo[1,2-*a*]pyridines with Aryl Chlorides in Aqueous Medium



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

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.

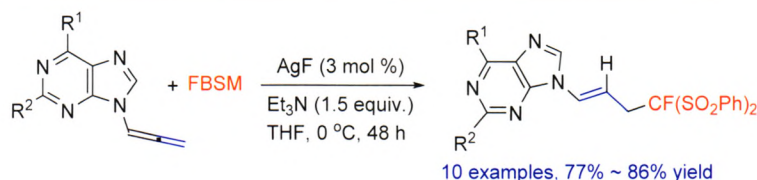
Luminescence Properties of the Conjugated System Containing Benzoimidazole Structural Units and Its Organic Light-Emitting Diode (OLED)



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

A series of conjugated compounds containing imidazole structure units were designed and synthesized. Their structures were characterized by ¹H NMR, ¹³C 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.

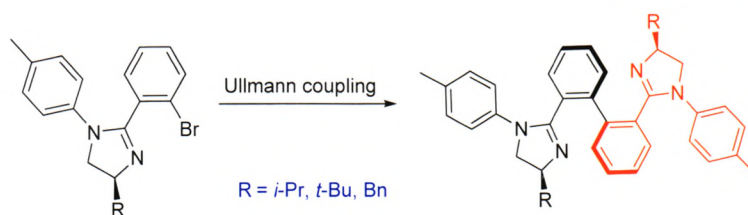
Ag-Catalyzed Monofluoromethylation of Purin-9-yl Allenes with Fluorobis(phenylsulfonyl)methane



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

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.

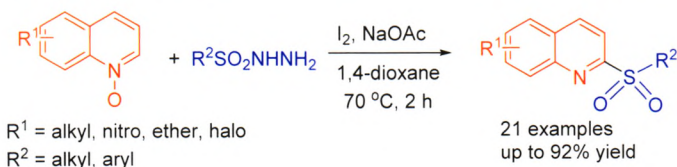
Synthesis of Chiral Bis(imidazoline) Ligands with Biphenyl Backbone and Their Application in the Asymmetric Cyclopropanation Reaction



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

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.

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

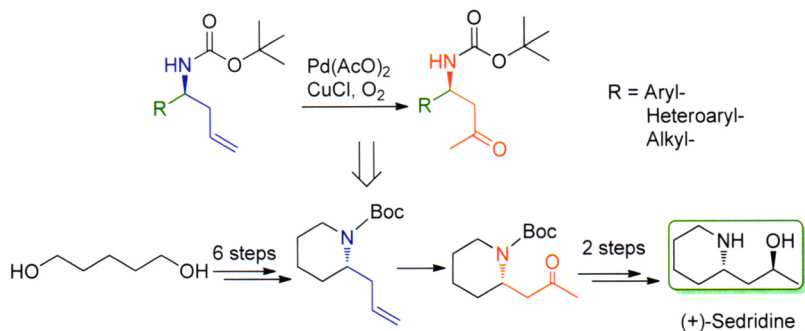


Yu, Haiyang; Pi, Chao; Wang, Yong; Cui, Xiuling*; Wu, Yangjie*
Chin. J. Org. Chem. **2018**, 38(1), 124

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.

CONTENT

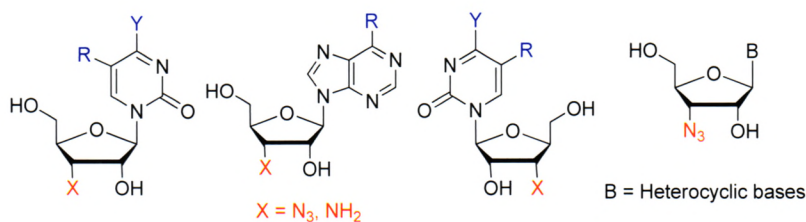
Synthesis of β -Amino Carbonyl Compounds and Its Application: Total Synthesis of (+)-Sedridine



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.

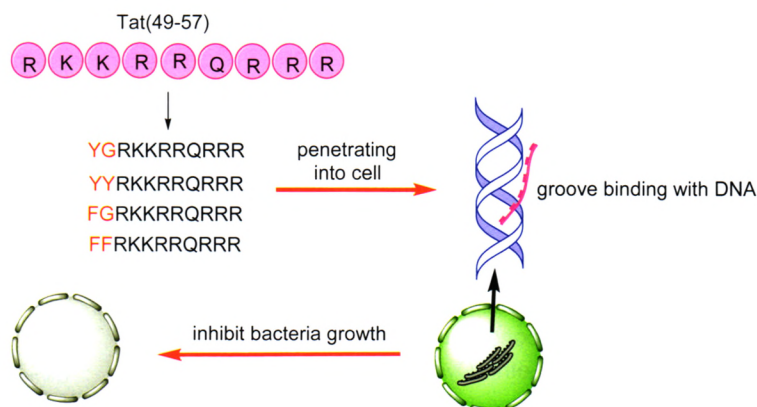
Synthesis of 3'-Azido-*D/L*-nucleosides



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.

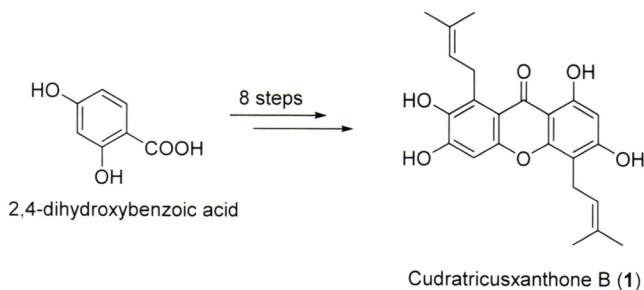
Design, Synthesis and Properties of the Antibacterial Peptides Based on Tat-(49-57)



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

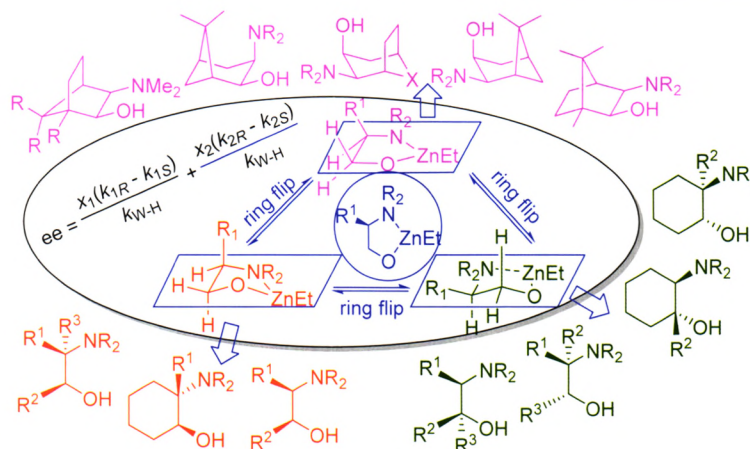
The recent research in the design, synthesis and properties of antimicrobial peptides based on Tat(49-57) is studied. Antibacterial activities and DNA binding studies are mainly discussed. Finally, the future development and application of them are also prospected.

Total Synthesis of Cudratricusxanthone B



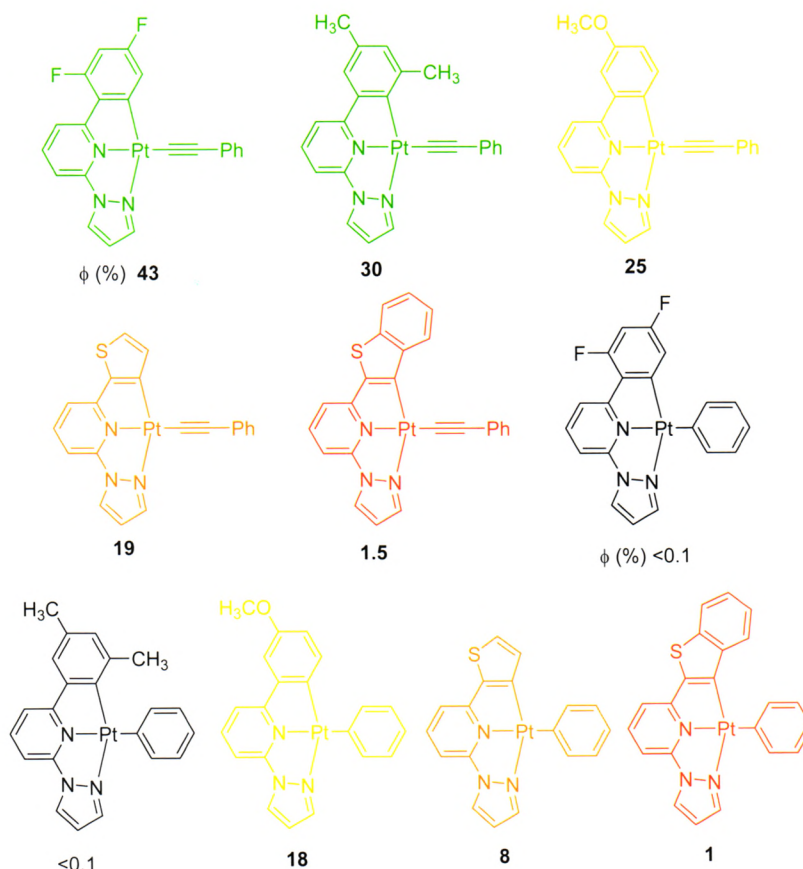
Zhou, Pengfei; Hou, Aijun*; Wang, Yang*
Chin. J. Org. Chem. **2018**, 38(1), 156

The efficient total synthesis of cudratricusxanthone 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*

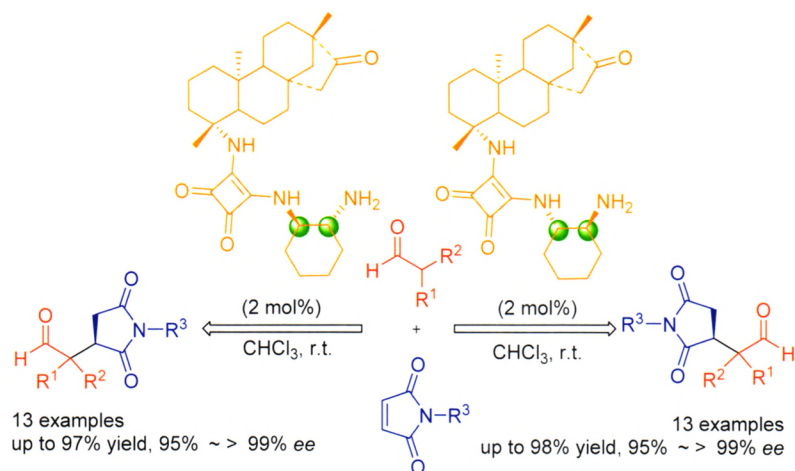
Chin. J. Org. Chem. **2018**, 38(1), 162A Comparative Study on Phosphorescent
Cycloplatinated Complexes Based on
Tridentate C^NN-Coordinating Ligands
and Phenylethynyl or Phenyl Ligand

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

CONTENT

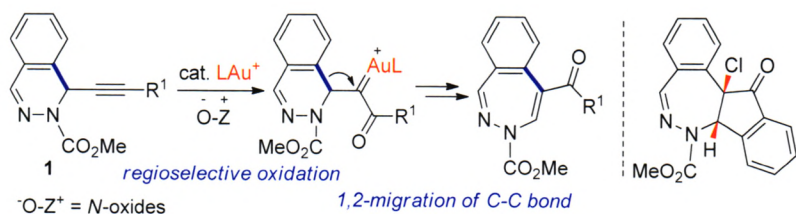
Highly Enantioselective Michael Addition
Catalyzed by New Primary Amine-Squa-
ramide Organocatalysts



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

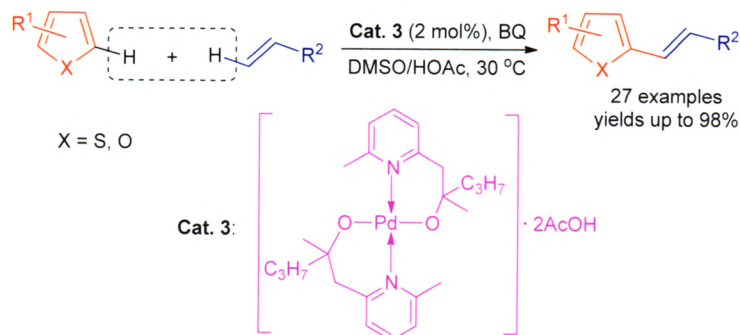
Gold-Catalyzed Ring Expansion Reaction:
Highly Efficient Synthesis of Func-
tionalized 2,3-Benzodiazepine Scaffolds



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

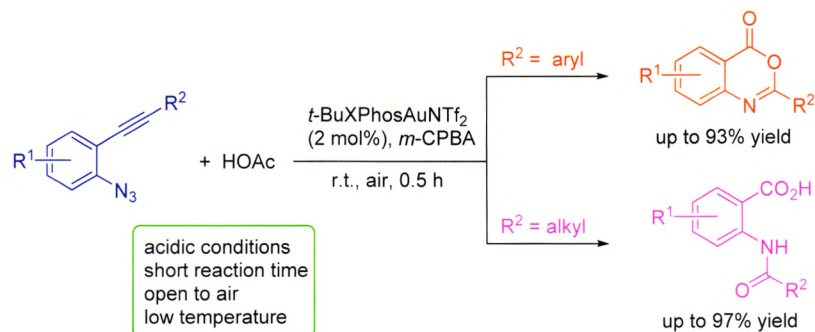
Highly Catalytic Activity of Bis(alkoxo)-
palladium Complexes for Fujiwara-Mori-
tani Reaction



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

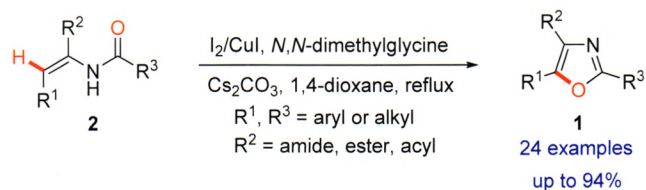
Rapid Access to 4*H*-3,1-Benzoxazin-4-ones via Gold-Catalyzed One-Pot Oxidative Rearrangement of 2-Alkynyl Arylazides



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.

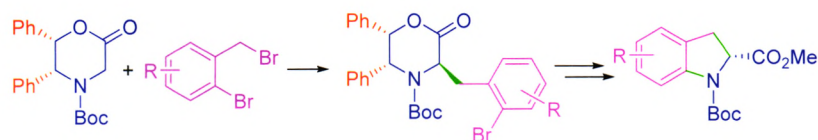
I₂/CuI-Mediated Oxidative Cyclization of Enamides to Polysubstituted Oxazoles



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.

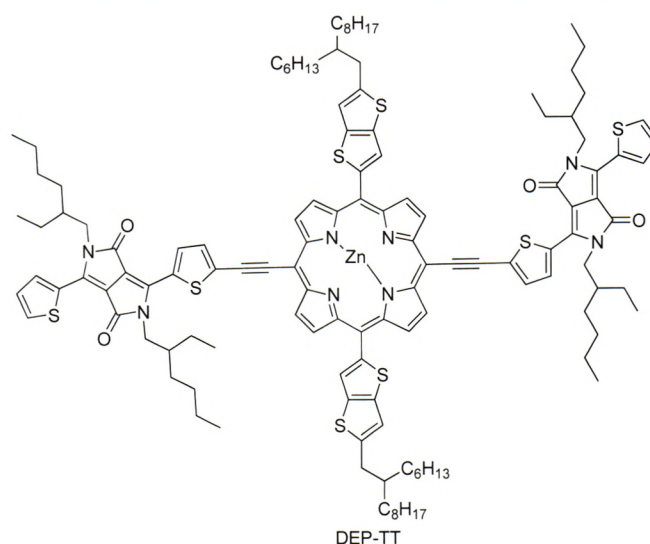
Asymmetric Synthesis of Methyl *N*-(*tert*-Butoxycarbonyl)indoline-2-carboxylates



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

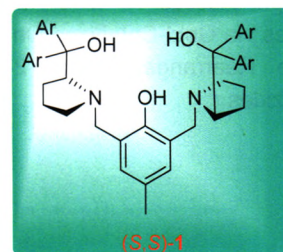
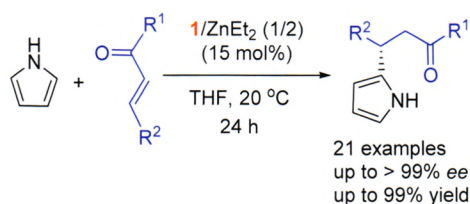


Sun, Yanna; Gao, Huanhuan; Zhang, Yamin; Wang, Yunchuang; Kan, Bin; Wan, Xiangjian; Zhang, Hongtao; Chen, Yongsheng*
Chin. J. Org. Chem. **2018**, 38(1), 228

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



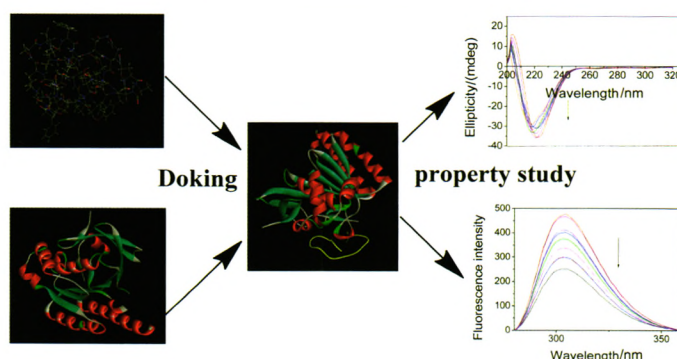
Hua, Yuanzhao; Han, Xingwang; Huang, Lihua*; Wang, Mincan*

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

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.

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

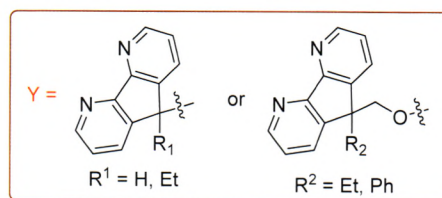
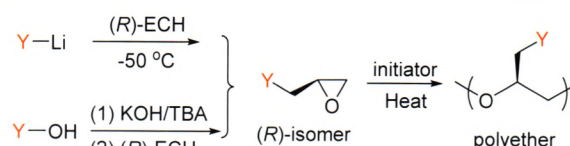


Li, Linlu; Lv, Mingxiu; Lu, Kui*; Liu, Guangbin; Peng, Lu

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

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.

Synthesis and Polymerization of Optically Active Epoxides with Diazafluorenyl Substituent

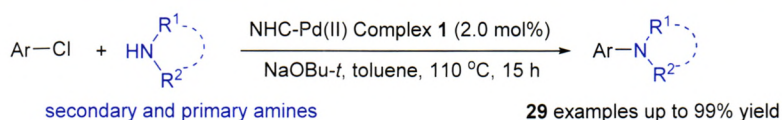


Sun, Yunkai*; Zhang, Jin*; Liu, Huijun; Wang, Xiaofeng

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.

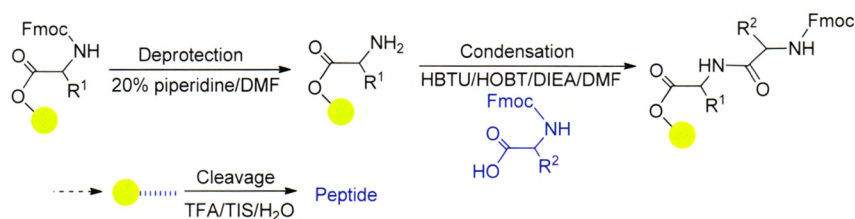
Buchwald-Hartwig Amination of Aryl Chlorides Catalyzed by Trinuclear *N*-Heterocyclic Carbene-Palladium(II) Complexes



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

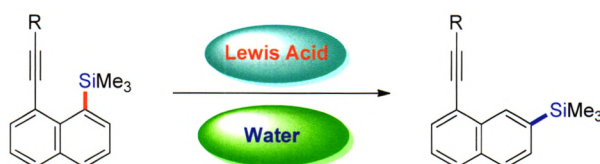
Solid Phase Synthesis and Property of Signature Motif III in Peptide Transporter



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 cycle 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

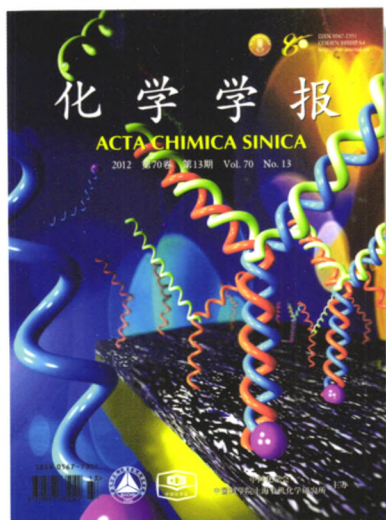
An 2-iminophospholide **1** can be obtained via two [1,5] shifts by reaction of potassium tertbutoxide with 3,4-dimethyl-1-phenylphosphole and an imidoyl chloride. The reaction of **1** with [Cp*FeCl]_n affords a 2-iminophosphaferrocene **2** which behaves as a P,N chelating ligand toward Mo(CO)₄ and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

HIGHLIGHTS

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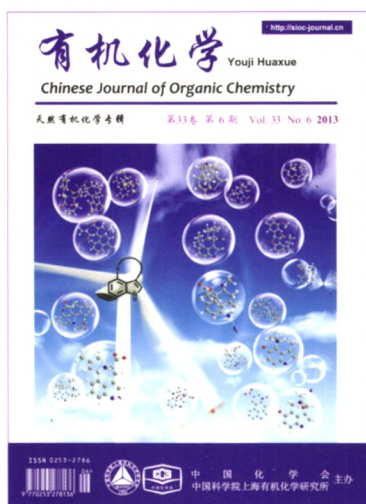
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