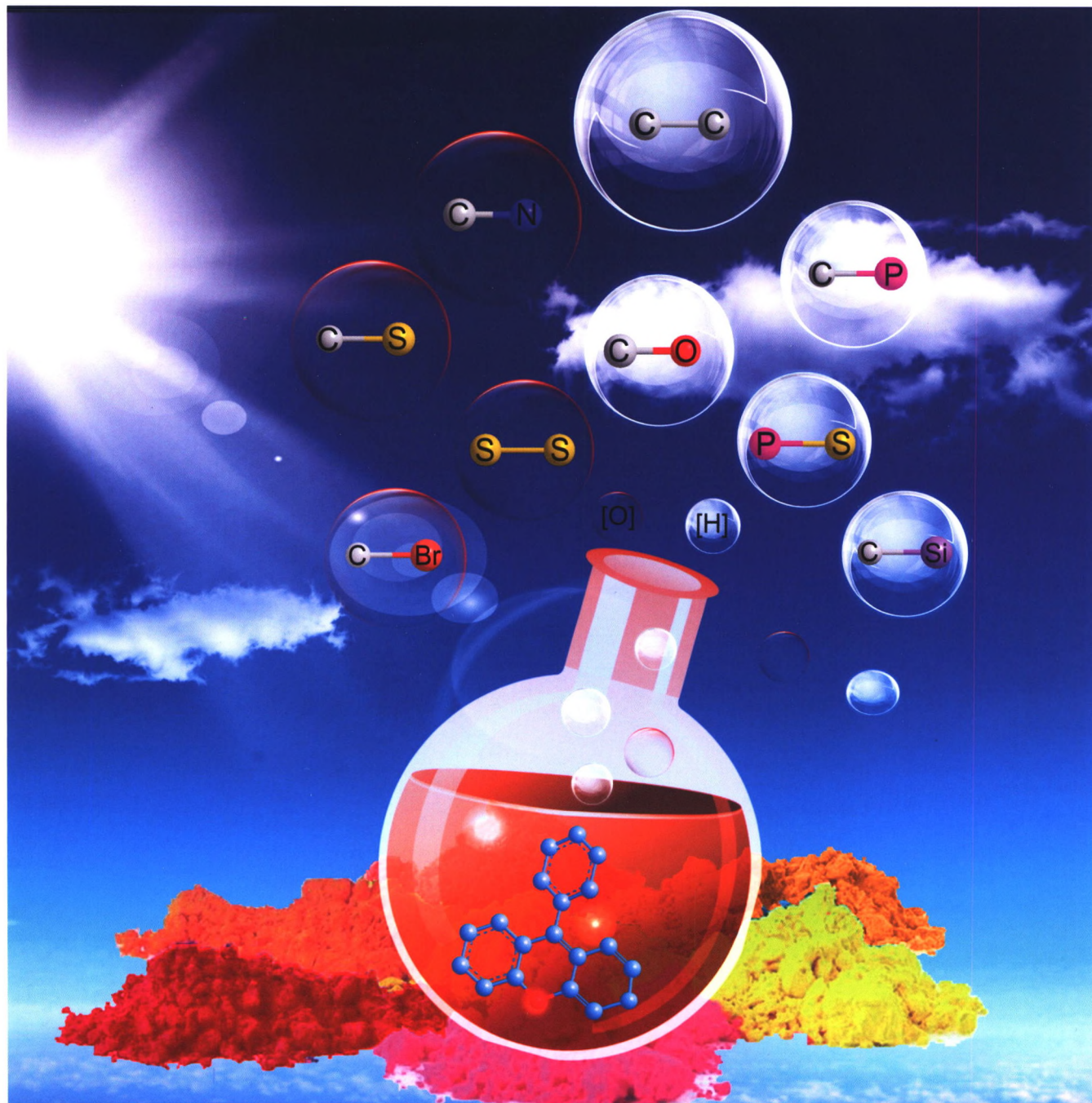


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(YOUJI HUAXUE)

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研究简报

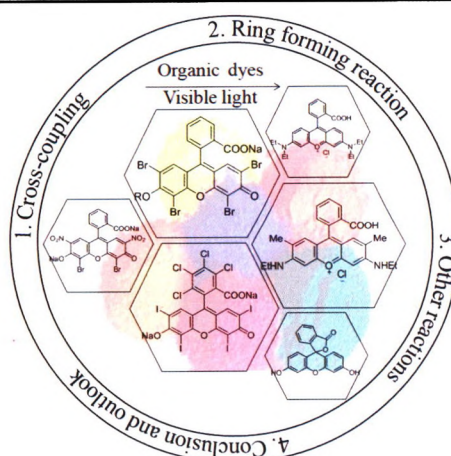
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On the Cover

The recent progress in the application of oxime organic dyes in visible light-induced organic synthesis is reviewed by Xu, Dai, Xu, and Weng on page 2807, with different reaction types and reaction conditions being summarized. Acting as photoredox catalysts, the advantages of good availability, mild reaction conditions and low cost make oxime organic dyes appealing for visible light-induced organic synthesis.

REVIEWS

Application of Oxime Organic Dyes in Visible-Light-Induced Organic Synthesis

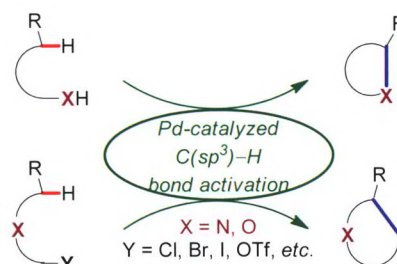


Oxime organic dyes, acting as photoredox catalysts, are widely used in visible-light-induced organic synthesis due to their advantages of low cost, good water solubility, high reactivity and so on. In this paper, the applications of organic dyes in organic synthesis are reviewed, the characteristics of organic dyes are summarized, and their future outlook is also discussed.

Xu, Wenxiu; Dai, Xiaoqiang; Xu, Hanjing; Weng, Jianquan*

Chin. J. Org. Chem. **2018**, 38(11), 2807

Recent Advances in the Synthesis of Heterocyclic Compounds via Pd-Catalyzed C(sp³)—H Bond Activation

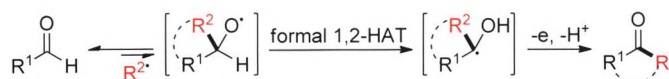


Zhao, Kang; Yang, Lei*; Liu, Jianhua*; Xia, Chunguo*

Chin. J. Org. Chem. **2018**, 38(11), 2833

The recent progress in the synthesis of heterocyclic compounds via Pd-catalyzed C(sp³)—H bond activation is reviewed on the basis of different ring number of heterocyclic compounds. The reaction selectivity, substrate compatibility, reaction mechanism, advantages and disadvantages as well as an outlook in this field are discussed.

Recent Advances on Oxidative Radical Addition to Aldehydes



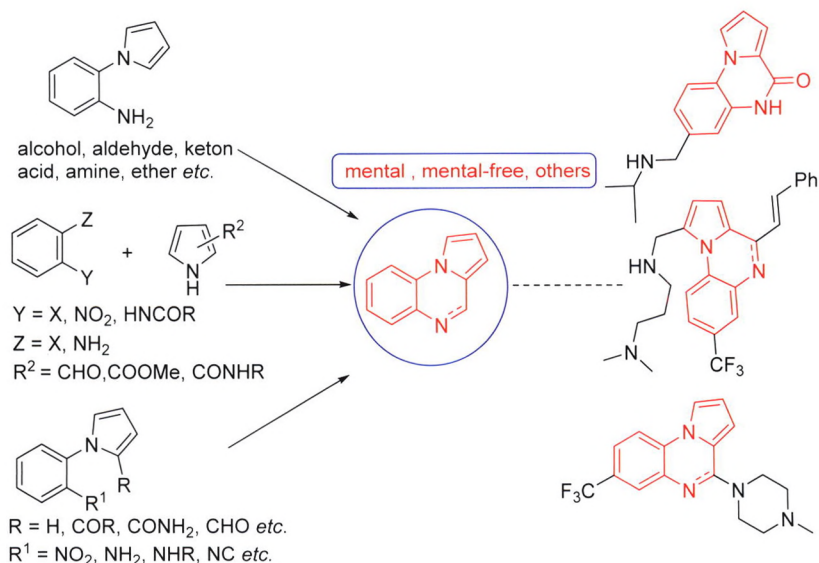
Kong, Lichun; Zhou, Yulu; Luo, Fang; Zhu, Gangguo*

Chin. J. Org. Chem. **2018**, 38(11), 2858

The recent progress in oxidative radical addition to aldehydes is reviewed. The strategy features a formal 1,2-hydrogen atom transfer of resulting alkoxy radicals, followed by single electron transfer oxidation and deprotonation to give various cyclic or acyclic ketones in promising yields. The scope, limitations, mechanism, and future development and application are discussed.

CONTENT

Research Process towards the Synthesis of Pyrrolo[1,2-*a*]quinoxaline Compounds

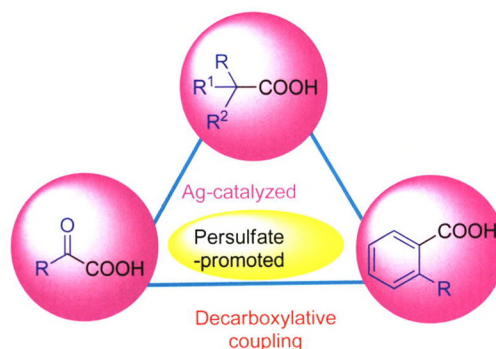


Cong, Wenxia; Wang, Li; Yu, Fuqiang Li, Jixing*

Chin. J. Org. Chem. **2018**, 38(11), 2866

The recent progress in the synthesis of pyrrolo[1,2-*a*]quinoxaline and 4,5-dihydropyrrolo[1,2-*a*]quinoxaline compounds is reviewed. The different reaction systems are mainly discussed. Finally, the future development and trend of them are also prospected.

Research Progress on Silver-Catalyzed Decarboxylative Coupling Reaction



Yin, Xiaoting; Li, Wenjiong; Zhao, Baoli; Cheng, Kai*

Chin. J. Org. Chem. **2018**, 38(11), 2879

Herein the new application of silver-catalyzed decarboxylation in Hunsdiecker-type halogenation, carbon-carbon bond formation, carbon-heteroatom bond formation and C—H bond functionalization is discussed. The recent progress in silver-catalyzed decarboxylative coupling reaction with aliphatic carboxylic acids, α -keto acids and aryl carboxylic acids is reviewed.

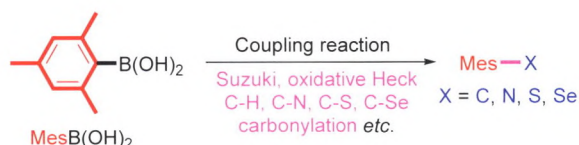
State of the Art in Germanium-Containing Aromatic Systems



Cui, Jingjing*

Chin. J. Org. Chem. **2018**, 38(11), 2888

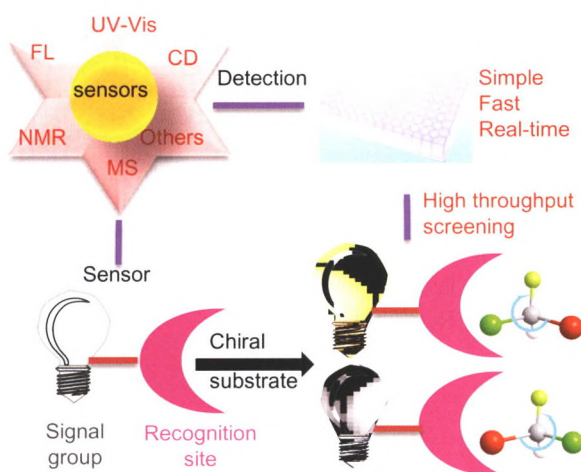
As a subclass of germanium-containing conjugated compounds and a crucial type of the heavy analogues of aromatic compounds, germanium-containing aromatic hydrocarbons (Ge-AHs) have attracted much attention and developed rapidly in recent days. According to the charge of the Ge-AHs, these species were categorized into three subclasses: neutral, anionic and cationic species. Herein, the synthetic methodology together with the reactivity of these reported Ge-AHs is summarized and the parameters used for the evaluation of the aromaticity of these compounds are highlighted.

Application of Mesitylboronic Acid and Its
Esters in Coupling Reactions

Wu, Yao; Dou, Zhengjie; Wu, Caimei; Chen, Huabao; Zhang, Zumin; Wang, Xianxiang; Yin, Zhongqiong; Song, Xu; He, Changliang; Yue, Guizhou*

Chin. J. Org. Chem. **2018**, 38(11), 2896

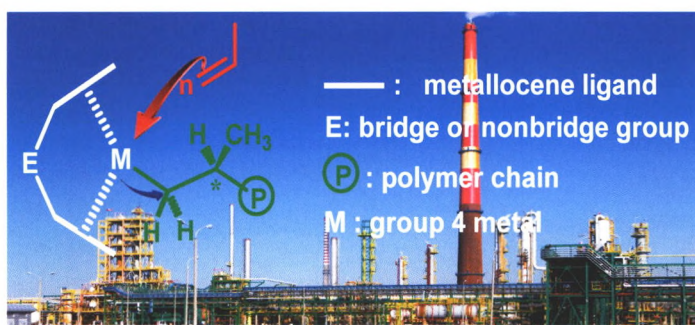
Organic boronic reagents have played a critical role in the field of organic synthesis. 2,4,6-Mesitylboronic acid and its esters were used widely in various couplings, including Suzuki, aromatic C—H activation, oxidative Heck, carbonylation, decarboxylation, photoredox and other C—X (C—N, C—S, C—Se) bonding. Herein, the application of mesityl boronic acid and its ester in coupling reaction is reviewed.

Advances in Development of Chiral Sen-
sors

Chiral sensors could determine the absolute configuration and the value of enantiomeric excess of enantiomers, with greater advantages of simple, rapid, sensitive and real-time in the measurement. The characteristics and applications of chiral sensors in recent years are introduced, mainly including chiral fluorescent sensors, circular dichroism sensors, UV-Vis sensors, NMR sensors and MS sensors. The prospects of chiral sensors are also discussed.

Xiong, Fei; Li, Li*

Chin. J. Org. Chem. **2018**, 38(11), 2927

Metallocene Catalyst Systems and Con-
trol over the Propylene Polymerization

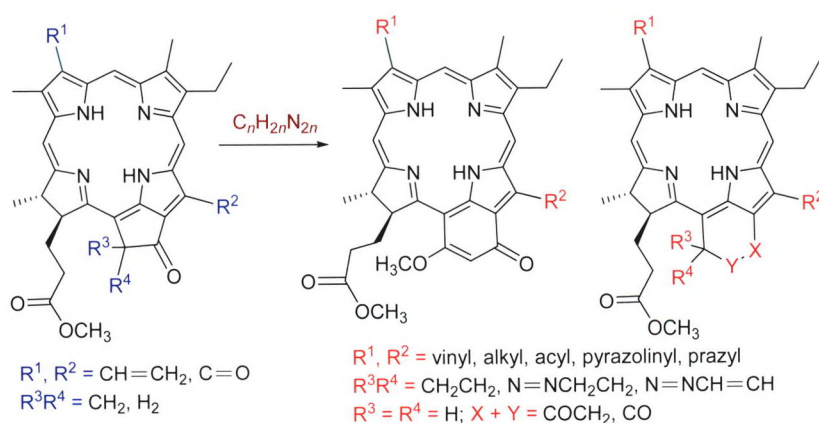
Chen, Zhikang; Mao, Yuanhong; Cao, Yucai; Liang, Shengbiao*; Song, Sha; Ni, Chen; Liu, Zhenyu; Ye, Xiaofeng; Shen, An; Zhu, Hongping*

Chin. J. Org. Chem. **2018**, 38(11), 2937

It is urgent to develop the metallocene catalysts for the industrial use in our country. This contribution focuses on summary of almost all of the so far reported metallocene catalysts utilized for the propylene polymerization as well as on discussion on the structure-property relationship of the catalysts. We hope it provides a profound insight into this system that is remarkably important in the field of olefin polymerization.

ARTICLES

Rearrangement Reactions of Pyropheophorbide with Diazoalkane and Synthesis of Chlorophyll Derivatives

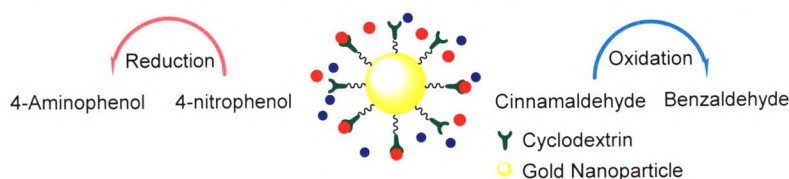


Pyropheophorbide-a methyl ester and its derivatives were used as starting materials. The 1,3-dipolar cycloaddition, Michael addition and Tiffeneau-Demjanov rearrangement reaction can smoothly occur with diazoalkane. The synthesis of a series of unreported chlorins related to chlorophyll was accomplished and the region- and stereo-selectivities of rearrangement processes were also discussed based on relevant reaction mechanism.

Zhang, Zhu; Xu, Xisen; Li, Yanlong; Li, Jiazhu; Wang, Jinjun*

Chin. J. Org. Chem. **2018**, 38(11), 2993

β -Cyclodextrin Modified Gold Nanoparticles: Catalytic Applications and Molecular Selectivity

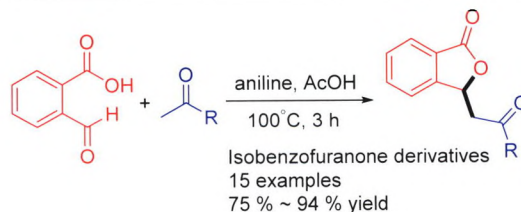


L-Cys- β -CD@AuNPs nanoparticles were synthesized by a simple method of the reduction of HAuCl_4 with NaBH_4 and *L*-Cys-CD. The catalytic activity of *L*-Cys- β -CD@AuNPs nanoparticles for the catalytic reductions of 4-nitrophenol and 4-nitro-1-naphthol was researched.

Zhang, Wei; Deng, Wei*

Chin. J. Org. Chem. **2018**, 38(11), 3002

Aniline-Promoted Synthesis of Isobenzofuranone Derivatives

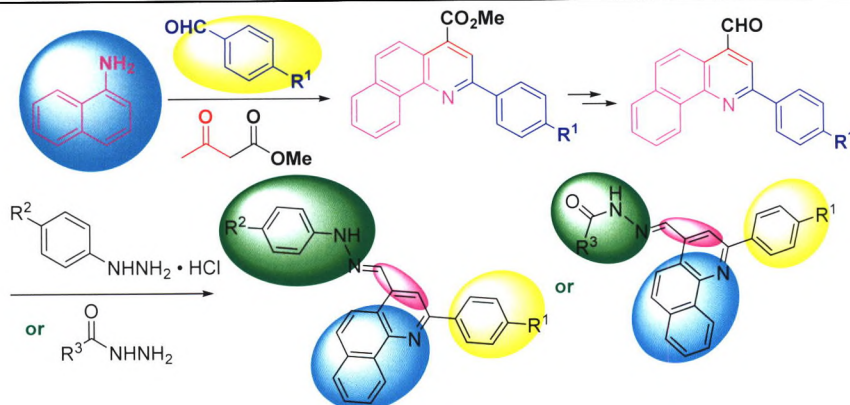


The aniline-promoted cascade reactions for the synthesis of isobenzofuranone derivatives starting from 2-carboxybenzaldehyde and substituted acetophenones under mild reaction conditions are reported.

Yuan, Shuo; Wang, Sixi; Chen, Jinjie; Zhao, Longfei; Yu, Bin*; Liu, Hongmin*

Chin. J. Org. Chem. **2018**, 38(11), 3009

Synthesis and Biological Activity of Benzo[*h*]quinolinium Hydrazine Compounds

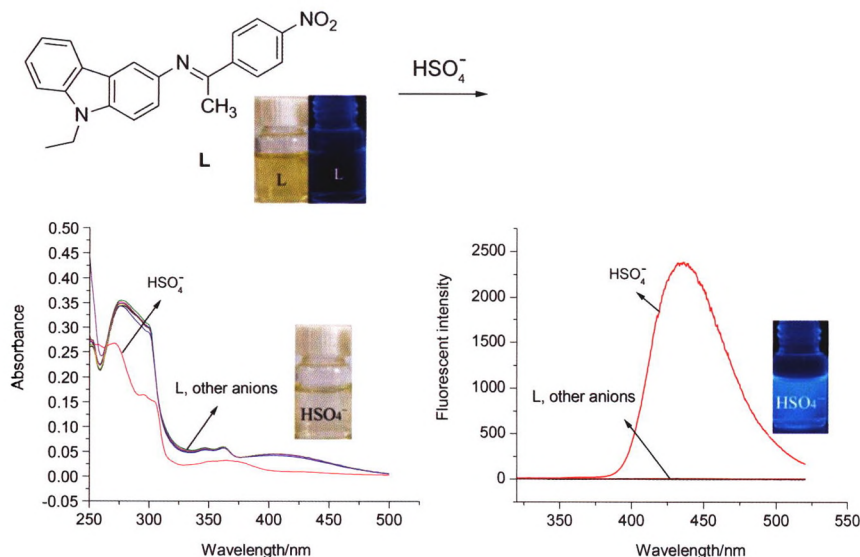


Benzo[*h*]quinolinium and quinoline hydrazide compounds were synthesized. The effects of the structures are mainly discussed and the future development and application of them are also prospected.

Shi, Lei; Xu, Jingjing; Bi, Jingjing; Zhang, Zhiguo; Liu, Tongxin; Yang, Xiaolan; Zhang, Guisheng*

Chin. J. Org. Chem. **2018**, 38(11), 3016

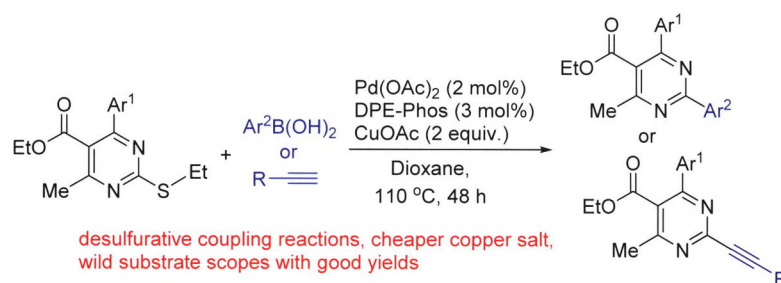
Detection of HSO_4^- Ion with a Colorimetric and Fluorescent Probe Based on Hydrolysis Reaction of Carbazole-Derived Schiff Base in Aqueous Medium



A novel carbazole-based Schiff base derivative **L** was synthesized and evaluated for the property of selective detection of HSO_4^- ions in aqueous medium. *N*-(9-Ethylcarbazol-3-yl)-1-methyl-1-(4-nitrophenyl)ethanimine (**L**) selectively recognized HSO_4^- ion in $\text{CH}_3\text{CN-H}_2\text{O}$ ($V:V=9:1$) via the hydrolysis reaction of Schiff bases to elicit a distinct visual color change from orange to colorless with a significant blue fluorescence under the UV lamp.

Li, Yingjun*; Zhang, Nan; Liu, Jihong; Jin, Kun; Wang, Siyuan
Chin. J. Org. Chem. **2018**, 38(11), 3026

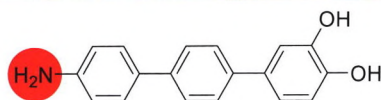
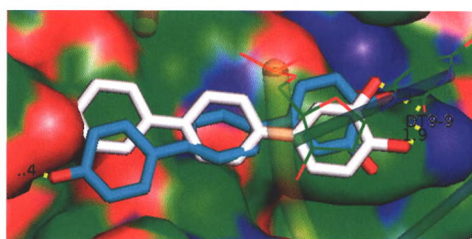
Palladium/Copper(I) Acetate-Promoted Desulfurative Coupling of Pyrimidine Thioether with Alkynes or Arylboronic Acids



Liu, Boqu; Yan, Zhongfei; Quan, Zhengjun*
Chin. J. Org. Chem. **2018**, 38(11), 3032

An efficient method for Pd-catalyzed and copper(I) acetate-promoted desulfurative carbon-carbon coupling reaction of pyrimidine thioether with alkynes or arylboronic acids is described.

Design and Synthesis of Natural Product-Like Terphenyl as Potent Topoisomerase II α Inhibitors



Novel TOP2 α inhibitor with high cytotoxic activity

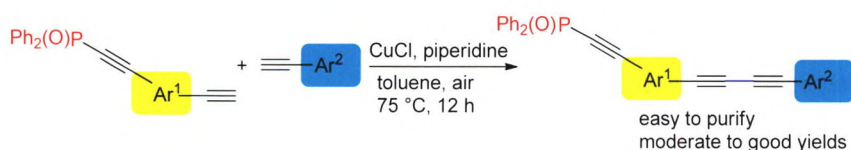
Guan, Mengjia; Qiu, Jin; Lu, Chunhua; Zhao, Baobing*; Shen, Yuemao
Chin. J. Org. Chem. **2018**, 38(11), 3039

A series of terphenyls were synthesized. Among these derivatives, 4'-amino-[1,1':4',1''-terphenyl]-3,4-diol (**17**) had the most potent cytotoxic activity against the MDA-MB-435 cell line, and strong inhibitory effect on topoisomerase II α , but not on topoisomerase I.

CONTENT

Phosphoryl Protecting Group Enabled Facile Synthesis of Unsymmetrical 1,3-Diynes by Selective Hay Coupling

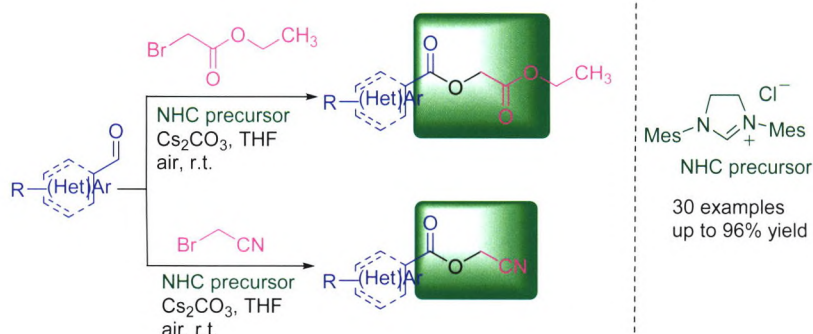
Peng, Lifan*; Peng, Chao; Wang, Ming; Tang, Zilong*; Jiao, Yinchun; Xu, Xinhua
Chin. J. Org. Chem. **2018**, 38(11), 3048



A selective Hay coupling reaction of aromatic terminal acetylenes and monophosphoryl-protected diynes was developed. The polarity of $\text{Ph}_2\text{P}(\text{O})$ realized facile isolation of the desired unsymmetrical 1,3-diynes from by-products. The low reactivity of monophosphoryl-protected diynes reduced the oxidative homocoupling of itself and enhanced the yields of desired products.

N-Heterocyclic Carbene-Catalyzed Oxidative Esterification of Aldehydes: Facile Access to α -Acetoxyacetates and Cyanomethyl Esters

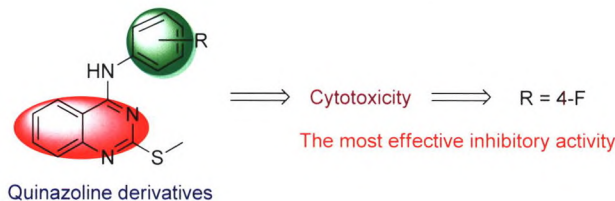
Ju, Lei; Ma, Chunmei; Tang, Mi; Wang, Yanhui; Yu, Xinhong*; Ma, Hongmei*
Chin. J. Org. Chem. **2018**, 38(11), 3056



An efficient *N*-heterocyclic carbene-catalyzed oxidative esterification reaction of aldehydes with ethyl bromoacetate or bromoacetonitrile has been explored. This transition metal-free protocol allows access to a wide variety of α -acetoxyacetates and cyanomethyl esters in good to excellent yields under mild reaction condition.

Synthesis and Antitumor Activities Evaluation of 2-Methylthio-4-arylamine Quinazoline Derivatives

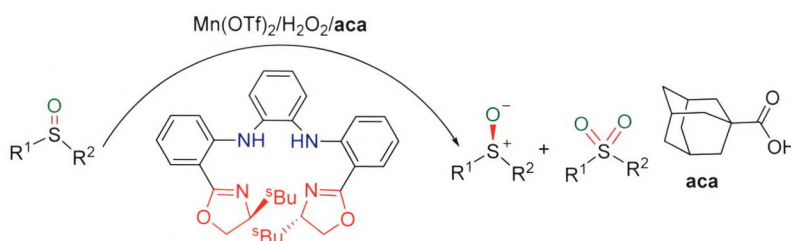
Meng, Xiangchuan; Li, Na; Li, Erdong; Chang, Tonghang; Liu, Meng; Liu, Qingyi; Yuan, Wenjuan; Zhang, Qingqing; Zhang, Yu; Zhou, Zhiyu; Song, Panpan; Liu, Hongmin*; Zhang, Qirong*
Chin. J. Org. Chem. **2018**, 38(11), 3063



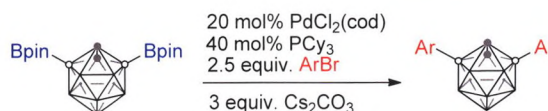
Compounds **9c**, **9m** and **9u** exhibited remarkable inhibition on the growth of MGC-803 cell line. Among them, compound **9c** showed most effective activity. It was more cytotoxic than 5-fluorouracil and gefitinib against MGC-803 cell line with IC_{50} values of $0.18 \mu\text{mol}\cdot\text{L}^{-1}$.

Oxidation Kinetics Resolution of Racemic Aromatic Sulfoxides by Chiral Porphyrin-Inspired N₄ Ligand with Manganese Complex

Yang, Jinchuang; Li, Guosong; Lü, Chengwei; An, Yue*; Gao, Shuang*
Chin. J. Org. Chem. **2018**, 38(11), 3070



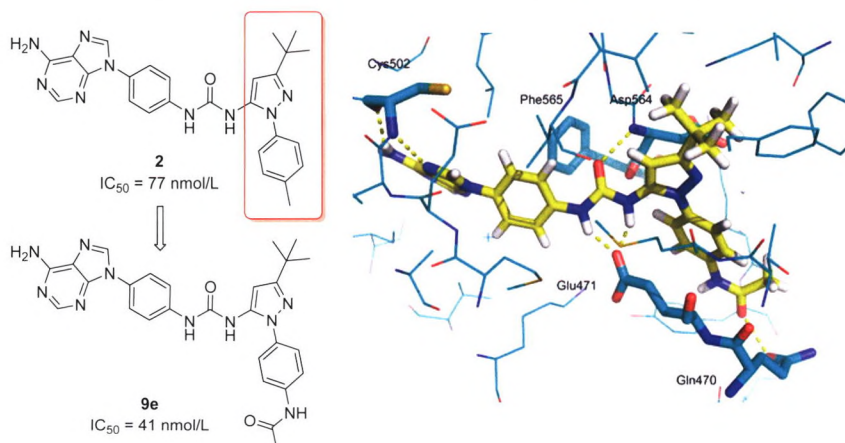
Oxidative kinetics resolution of racemic aromatic sulfoxide was studied by using chiral porphyrin-inspired N_4 ligands and manganese *in situ* complex as catalyst, environment-friendly H_2O_2 as oxidant and adamantanecarboxylic acid as additive. The arylalkyl and arylbenzyl sulfoxide substrates were extended by this catalytic system. A maximum yield of chiral sulfoxide was 40% and the enantioselectivity was 100%. In the meantime, the yield of sulfone, a further oxidation products of sulfoxide, was up to 72%.

Palladium-Catalyzed Cross-Coupling Reactions of Borylated *o*-Carborane: Synthesis of 3,6-Diaryl-*o*-carboranes

A general method for the synthesis of B(3,6)-diaryl-*o*-carboranes has been developed using palladium-catalyzed cross-coupling of 3,6-borylated *o*-carborane with aryl bromides. PdCl₂(cod)/tricyclohexylphosphine catalyst system can avoid the formation of aryl-aryl exchange and direct B—H arylation by-products.

Xu, Xinbin; Cheng, Ruofei; Qiu, Zaozao*; Pan, Chengling*

Chin. J. Org. Chem. **2018**, 38(11), 3078

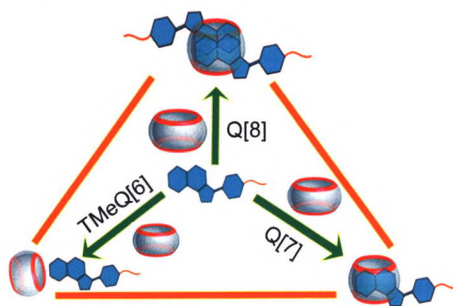
Structure Optimization and Structure-Activity Relationship Study of a Kind of Type II FAK Inhibitors with *N*-Phenylpyrazole Ureas

N-Phenylpyrazole motif of type II FAK inhibitor **2** was modified at the 2-, 4-, and 6-positions of phenyl and 3-position of pyrazole according to allosteric hydrophobic pockets in order to improve the activity and explore structure-activity relationship. Nine aimed compounds were synthesized and evaluated. Structure and activity relationship demonstrated that the modification at 4-position of phenyl had less influence on activity.

Gong, Chaochao; Tan, Hanyi; Zhang, Qian*

Chin. J. Org. Chem. **2018**, 38(11), 3086

NOTES

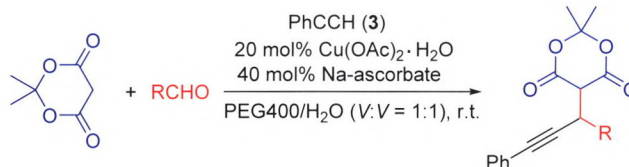
Self-Assembly Modes of Three Cucurbit[*n*]urils with a Benzoindazole Derivative

The self-assembly binding models of tetramethyl cucurbit[6]uril (TMeQ[6]), cucurbit[7]uril (Q[7]) and cucurbit[8]uril (Q[8]) with 3-pyridyl benzoxazole derivatives were investigated by ¹H NMR, MS, isothermal titration calorimetry and UV-Vis spectrum.

Wang, Haiyan; Kan, Jinglan; Bian, Bing; Chen, Qing*; Tao, Zhu; Xiao, Xin*

Chin. J. Org. Chem. **2018**, 38(11), 3094

"One-Pot" Synthesis of 5-(1-Phenyl-3-phenylprop-2-ynyl)-2,2-dimethyl-1,3-dioxane-4,6-dione Catalyzed by Copper Acetate



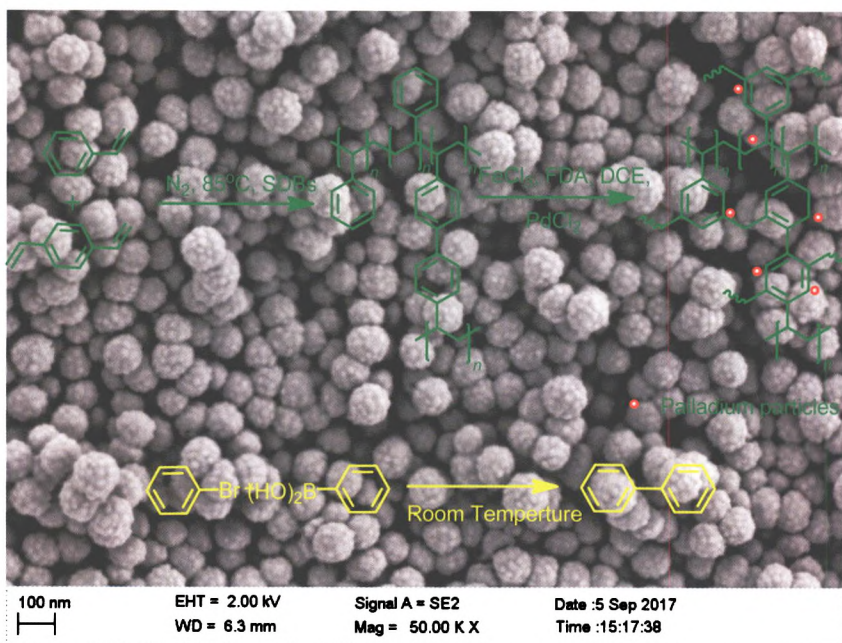
In the presence of copper acetate, ten 5-(1-phenyl-3-phenylprop-2-ynyl)-2,2-dimethyl-1,3-dioxane-4,6-dione derivatives were synthesized via the three component one-pot reaction of 2,2-dimethyl-1,3-dioxane-4,6-dione with aldehydes and phenylacetylene by using Na-ascorbate as a reductant. The respective products were in high yields of 63%~86%.

Xu, Zhaohui*; Chen, Feibiao; Li, Yuyu; Huang, Qingshui; Liao, Chuanwen*

Chin. J. Org. Chem. **2018**, 38(11), 3101

CONTENT

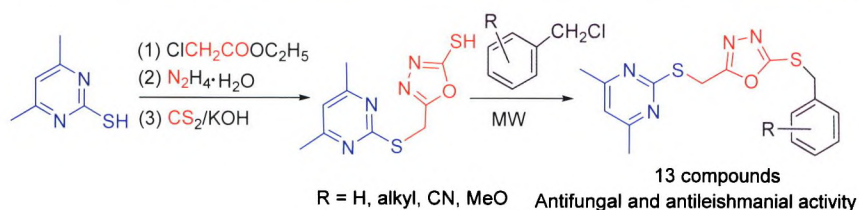
A Red Bayberry Shape Monodisperse Microsphere Support Pd Nanoparticles for Suzuki-Miyaura Cross-Coupling Reaction at Room Temperature



A red bayberry shape monodisperse microsphere with uniform pore diameter was prepared by one step polymerization process. After supported with palladium nanoparticles, the catalysts give a high activity in Suzuki cross-coupling reaction at room temperature. The catalyst can be recycled at least ten times without significant loss of the activity.

Fu, Yufang; Zou, Zhijuan; Tang, Cheng; Song, Kunpeng*
Chin. J. Org. Chem. **2018**, 38(11), 3106

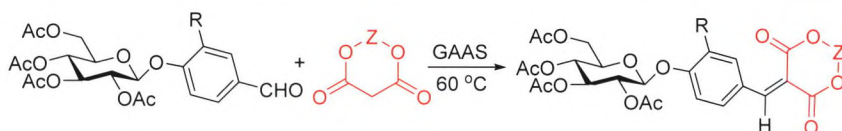
Synthesis and Biological Activity of Novel 2-(Substituted-benzylthio)-5-(4,6-dimethylpyrimidin-2-thiomethyl)-1,3,4-oxadiazoles



Thirteen new 2-(substitutedbenzylthio)-5-(4,6-dimethylpyrimidin-2-thiomethyl)-1,3,4-oxadiazoles were prepared with thiocarbamide and 2,4-pentanedione as the starting materials via cyclization, etherification, hydrazination, cyclization and finally a benzylation reaction under microwave irradiation condition. The preliminary bioassay results indicated that some target compounds exhibited good inhibition activity.

Wang, Zhouyang; Xu, Hanjing; Zhao, Jianping; Liu, Xinghai; Weng, Jianquan*
Chin. J. Org. Chem. **2018**, 38(11), 3112

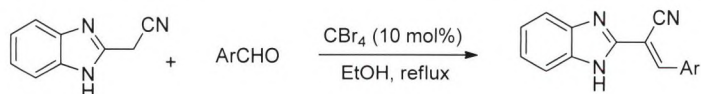
Highly Efficient Synthesis of Novel Gas-trodine Intermediate Analogues



Ten gastrodine intermediate analogues were synthesized by the Knoevenagel reaction of 4-formylphenyl-(2,3,4,6-tetra-*O*-acetyl)- β -*D*-glucoside and 1,3-dioxane-4,6-dione with gluconic acid as the catalyst. There are many advantages with good to excellent yields (78%~92%), mild conditions, simple operations and environmental friendliness.

Xu, Zhaohui*; Li, Yujue; Liu, Deyong; Xiao, Qiang*
Chin. J. Org. Chem. **2018**, 38(11), 3118

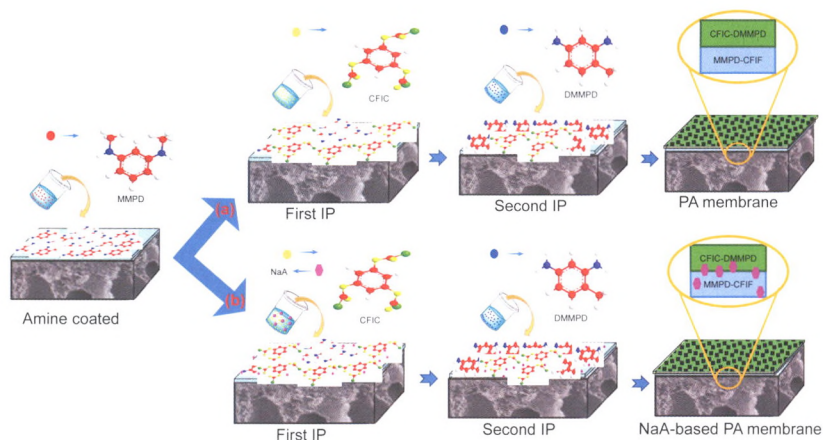
CB_{Br}₄-Promoted Efficient Synthesis of 2-(1*H*-Benzo[*d*]imidazole-2-yl)-3-arylacrylonitriles



The CBr₄-promoted reaction of aromatic aldehydes with 2-(1*H*-benzo[*d*]imidazol-2-yl)acetonitrile to obtain 2-(1*H*-benzo[*d*]imidazol-2-yl)-3-arylacrylonitriles was developed. Structurally diverse 2-(1*H*-benzo[*d*]imidazol-2-yl)-3-arylacrylonitriles were obtained in moderate to good yields (75%~96%) under mild conditions.

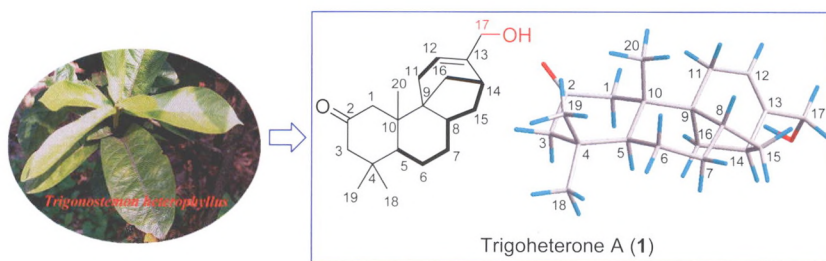
Wang, Xiang*; Chen, Ping; Zhi, Sanjun; Hu, Huayou; Kan, Yuhe
Chin. J. Org. Chem. **2018**, 38(11), 3123

Modification of Polyimide-Urethane Reverse Osmosis Composite Membrane Based on NaA Zeolite



A chlorine resistance polyimide-urethane reverse osmosis composite membrane was first prepared with *N,N*-dimethyl-*m*-phenylenediamine (DMMPD), 4-methyl-phenylenediamine (MMPD) and 5-chloroformyloxyisophthaloyl chloride (CFIC) via two-step interfacial polymerization, and then this membrane was further modified by doping NaA zeolite in the organic phase for improving its organic foulant resistant property.

Liu, Lifan*; Zhang, Xiao; Xie, Xin; Li, Ruihan; Gao, Congjie
Chin. J. Org. Chem. **2018**, 38(11), 3127

A New Stemodane Diterpenoid from the Stems and Leaves of *Trigonostemon heterophyllus*

A new stemodane diterpenoid, trigoheterone A (**1**), together with seven known diterpenoids, was isolated from the stems and leaves of *Trigonostemon heterophyllus*. All known compounds were isolated from the genus *Trigonostemon* for the first time. The cytotoxicities of all isolated compounds were evaluated against five cancer cell lines (HL-60, A549, SMMC-7721, MCF-7 and SW480). As a result, eight compounds exhibited significant inhibitory effects with IC₅₀ values comparable to those of cisplatin.

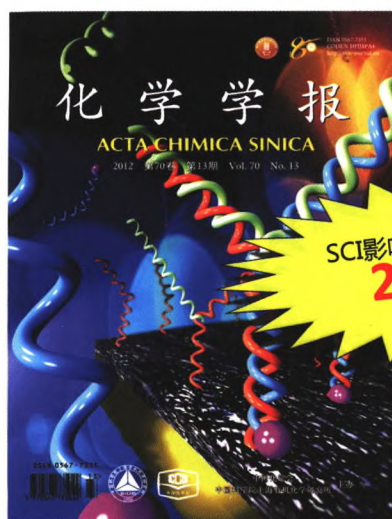
Liu, Yanping; Zhang, Xianglin; Niu, Haiyuan; Guan, Chunyan; Sun, Fukang; Yang, Liumeng; Fu, Yanhui*
Chin. J. Org. Chem. **2018**, 38(11), 3137

HIGHLIGHTS

Chin. J. Org. Chem. **2018**, 38(11), 3141

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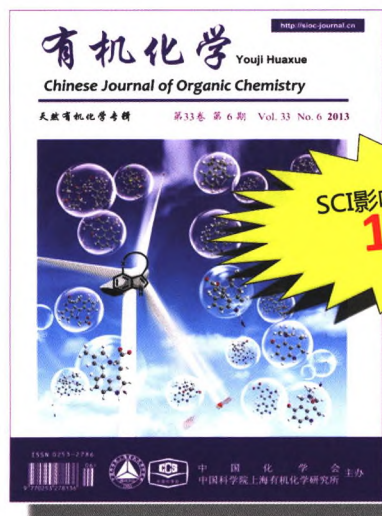
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