

有机化学

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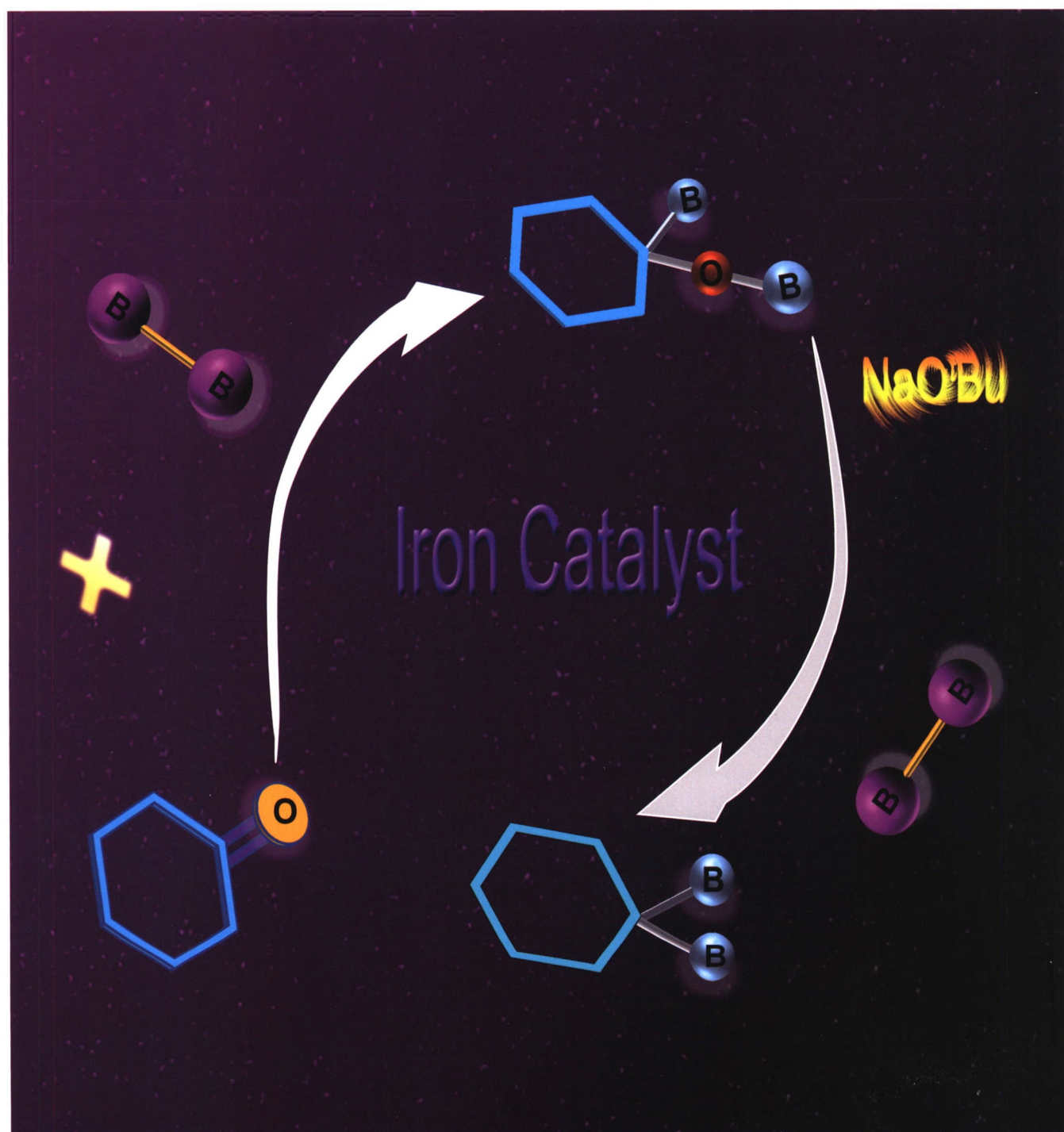
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(YOUJI HUAXUE)

第 39 卷 第 12 期 (总 373 期) 2019 年 12 月*

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* 通讯联系人.

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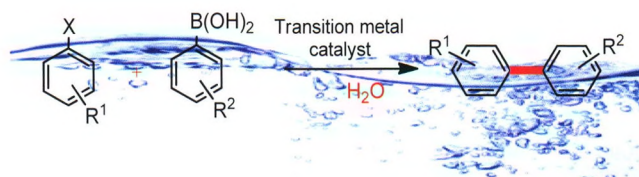
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可见光促进的组氨酸碳氢键烷基化反应	占贝贝	史炳锋*	(3602)

On the Cover

An Iron catalyzed deoxygenative diborylation of ketones to access a variety of *gem*-diboronates has been developed. In this reaction, the commercial available B_2pin_2 was used as boron source. Mono- or di-functionalization of such internal *gem*-diboronate has also been explored to demonstrate the synthetic potential of internal *gem*-diboronates by He, Fan, Xu, Hu, Wang, Wu, Xia and Liu on page 3438.

REVIEWS

Recent Progress in the Suzuki-Miyaura Cross-Coupling Reactions in Water

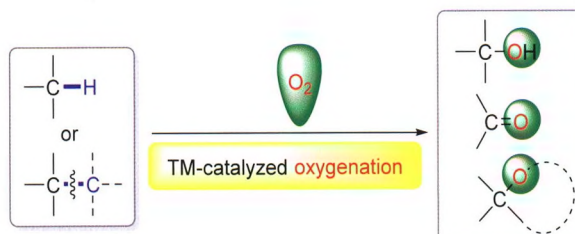


Xu, Peng; Duan, Xinhong*

Chin. J. Org. Chem. **2019**, 39(12), 3315

Progress in the Suzuki-Miyaura cross-coupling reactions using heterogeneous or homogeneous catalysis in water in recent years is reviewed.

Recent Advances of Transition Metal-Catalyzed Aerobic Oxidation with Molecular Oxygen

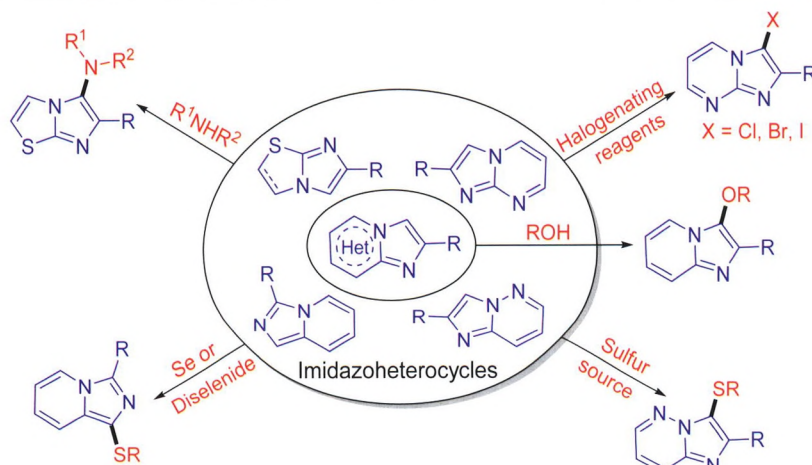


Wu, Jinwen; Zhu, Jiawen; Li, Hui; Wu, Chunlei; Shen, Runpu*; Yu, Lemao*

Chin. J. Org. Chem. **2019**, 39(12), 3328

The new applications of transition metal-catalyzed oxidation of C—H bond activation and C—C bond cleavage with oxygen as oxygen source are discussed. The recent progress in trans-metal catalytic oxygen insertion of ketones, aldehydes, alkene, alkynes, arene and aromatic heterocyclic compounds is reviewed.

Recent Progress in Transition Metal-Free C-Heteroatom Bond Formation by Functionalization of C—H Bond in Imidazole-Fused Heterocycles



Xu, Xinming*; Chen, Demao; Wang, Zuli

Chin. J. Org. Chem. **2019**, 39(12), 3338

The recent progress in the incorporation of heteroatom into imidazole-fused heterocycles is introduced through transition metal-free C—H functionalization and their mechanisms from a new perspective is also elaborated.

CONTENT

Recent Advances in Aqueous Phase Visible Light Catalytic Reactions

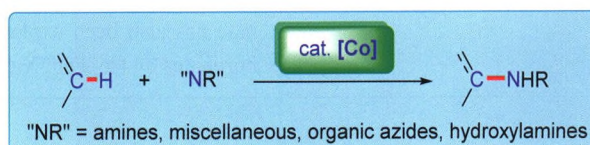
Chen, Dan; Liu, Jianchen; Zhang, Xinyuan; Jiang, Hezhong; Li, Jiahong*
Chin. J. Org. Chem. **2019**, 39(12), 3353



Exploring the controllable free radical reaction under the illumination condition in water and developing a simple, green and efficient synthetic method not only conform to the current green chemistry theme, but also have an important scientific significance in theory and practical application. The classification and review of visible light catalysis in aqueous phase have been carried out in recent years, and the corresponding mechanisms are discussed.

Progress in Co-Catalyzed C—H Amination

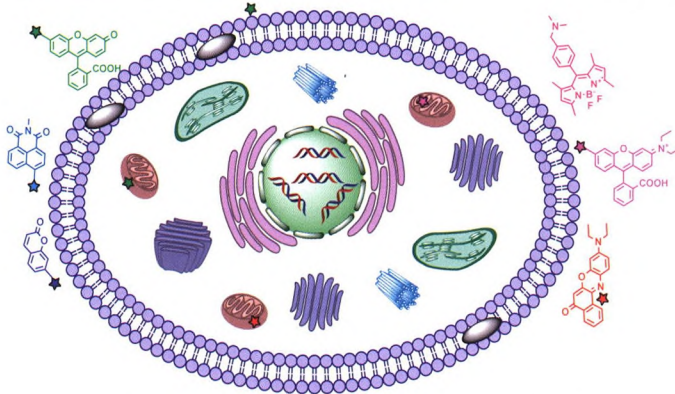
Sun, Yiming; Ding, Qifeng; Yu, Yang; He, Yide*; Huang, Fei*
Chin. J. Org. Chem. **2019**, 39(12), 3363



The research progress of C(sp²)—H amination and C(sp³)—H amination reaction catalyzed by low-cost and low-toxic transition metal cobalt is summarized. At the same time, the challenges and development prospects facing the field are summarized and prospected.

Progress in Fluorescent Probes for Carbon Monoxide Detecting

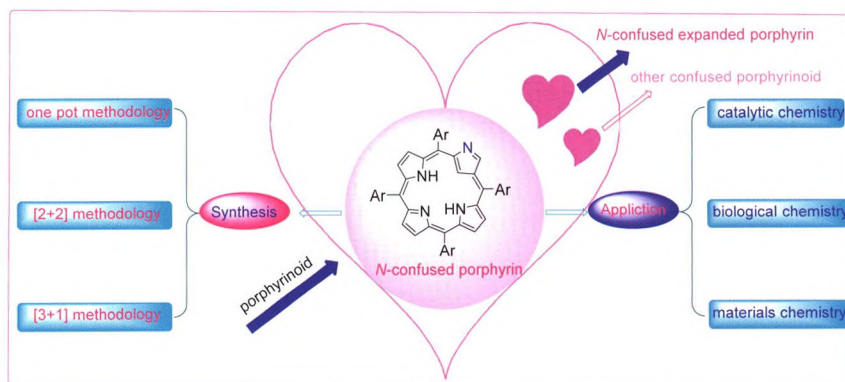
Wei, Chao*; Zhang, Pingzhu; Li, Xiaoliu*
Chin. J. Org. Chem. **2019**, 39(12), 3375



Carbon monoxide (CO) is an important endogenous gas transmitter. The selective detection of CO is of great biological and medical significance. Herein, the progress of small molecular fluorescent probes for CO detection is reviewed. The challenge and application prospects for the development of CO fluorescent probes are also discussed.

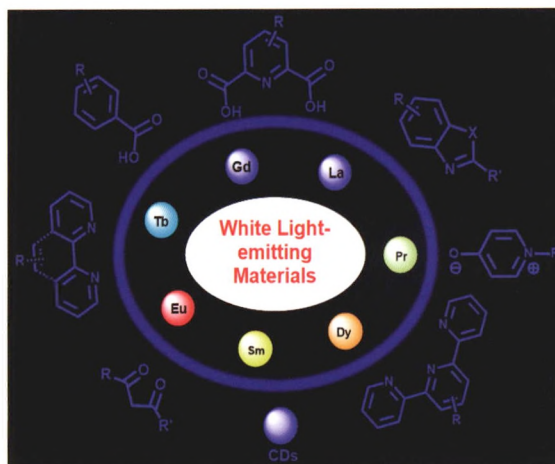
Research Progress in N-Confused Porphyrins

Peng, Suhong*; Zhou, Rong; Zou, Huaibo
Chin. J. Org. Chem. **2019**, 39(12), 3384



Significance, structures and properties of N-confused porphyrins are briefly introduced. Progress in the synthesis of N-confused porphyrins and their applications in the area of catalytic chemistry, biological chemistry and materials chemistry are reviewed emphatically. The development status of expanded N-confused porphyrins and other confused porphyrinoids are also briefly introduced. Further development of N-confused porphyrin chemistry is proposed in the end.

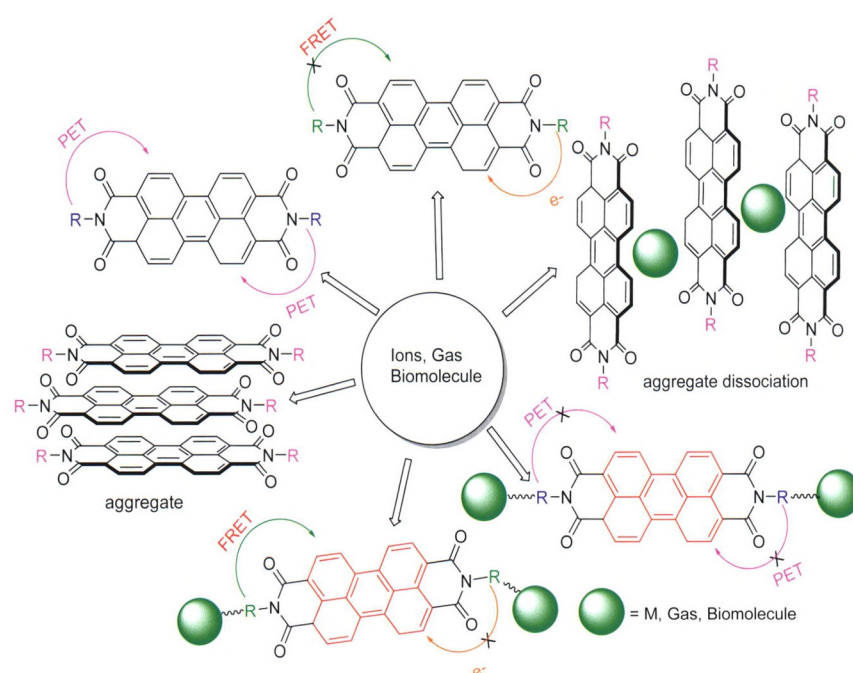
Research Progress in the White Light-Emitting Lanthanide-Based Complex/Coordination Polymer Materials



Wang, Jun*; Li, Xiaocheng; Chu, Hongtao;
He, Jinjun; Chen, Zhijiao
Chin. J. Org. Chem. **2019**, 39(12), 3399

Recent progress in color-tunable and white light-emitting lanthanide-based complex/coordination polymer materials is reviewed, and the development tendency of the materials is prospected.

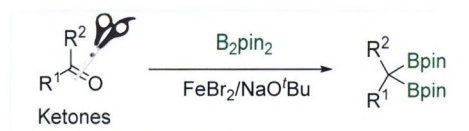
Progress of Fluorescent Probes with Perylene Tetracarboxylic Diimide as Chromophore



Shi, Yan*; Yu, Youwei; Xue, Lin; Wang, Yanfeng*
Chin. J. Org. Chem. **2019**, 39(12), 3414

Perylene tetracarboxylic diimide derivatives (PDIs) have excellent photothermal stability, chemical stability, high fluorescence quantum yield, large Stokes shift and easy modification. Therefore, it can be used as an excellent fluorophore. PDI as a chromophore in fluorescent probes is utilized for the detection of ion-detection, gas detection, biomolecules *et al.* Recent progress of the PDI as chromophore in fluorescent probes is reviewed.

ARTICLES

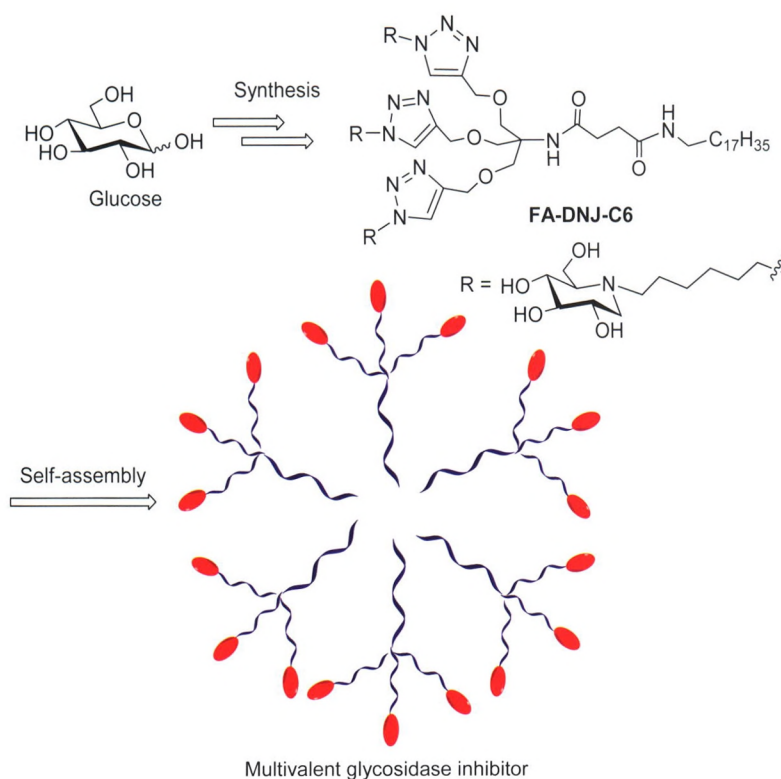
Iron-Catalyzed Deoxygenative Diborylation of Ketones to Internal *gem*-Diboronates

He, Zeyu; Fan, Min; Xu, Jia'neng; Hu, Yue;
Wang, Lu; Wu, Xudong; Xia, Chungu; Liu, Chao*
Chin. J. Org. Chem. **2019**, 39(12), 3438

An iron catalyzed deoxygenative diborylation of ketones to access a variety of internal *gem*-diboronates has been developed. A scale-up synthesis of such *gem*-diboronates is also applicable under this condition.

CONTENT

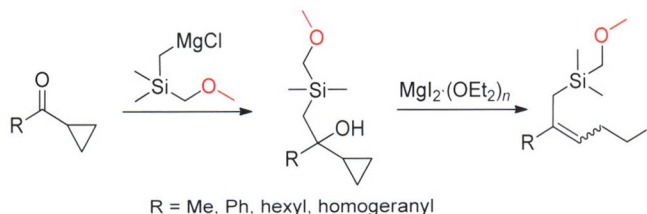
Synthesis and Glycosidase Inhibition Activity of an Amphiphilic Fatty-Deoxynojirimycin Derivative



Li, Min; Liu, Maohua; Wang, Qi; Wang, Kerang*; Li, Xiaoliu*
Chin. J. Org. Chem. **2019**, 39(12), 3446

An amphiphilic derivative **FA-DNJ-C6** with deoxynojirimycin modification was synthesized. The self-assembly behavior of **FA-DNJ-C6** was studied by a surface tension test, dynamic light scattering test (DLS) and transmission electron microscopy (TEM).

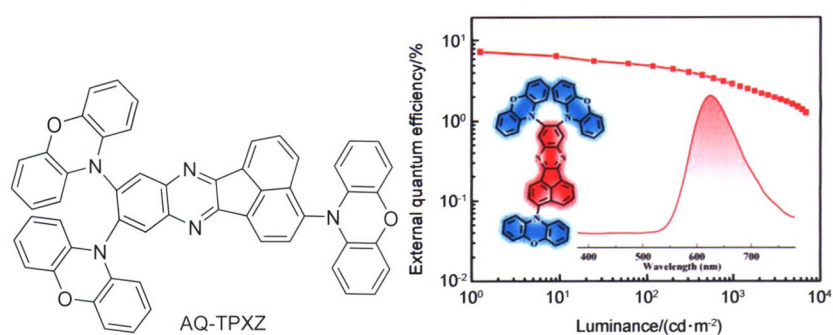
Studies on the Stereoselective Synthesis of Functionalized Allylsilane Compounds



Jiang, Quan; He, Ling*; Li, Weidong*
Chin. J. Org. Chem. **2019**, 39(12), 3454

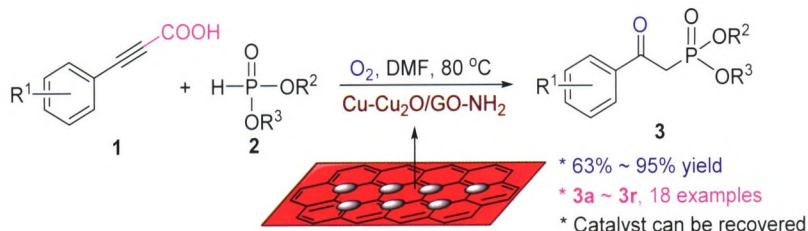
The synthesis of alkoxyethylsilyl-substituted homoiodo-allylsilanes via Julia-type olefination mediated by MgI₂·(OEt)_n is described. Surveying on the reaction conditions revealed the prominent solvent effect on the chemoselectivity and stereoselectivity. Comparing with alkyl-substituted substrates, tertiary alcohol tethered by phenyl group deliver allylsilane with higher stereoselectivity.

Design and Synthesis of Novel Red Thermally Activated Delayed Fluorescent Molecule Based on Acenaphtho[1,2-b]-quinoxaline Electron-Acceptor



Yu, Jia; Xiao, Yafang; Chen, Jiaxiong*
Chin. J. Org. Chem. **2019**, 39(12), 3460

A novel red thermally activated delayed fluorescent emitter, AQ-TPXZ, is developed. The organic light-emitting diode (OLED) based on AQ-TPXZ exhibits red electroluminescence with peak at 624 nm, and the maximum external quantum efficiency of the device is up to 7.4%.

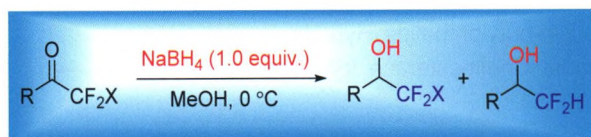
Decarboxylative Oxyphosphorylation of Alkynyl Carboxylic Acids with *H*-Phosphonates Catalyzed by Cu-Cu₂O/GO-NH₂

Zhong, Wenwu; Tang, Qian; Yang, Zongfa; Zeng, Xue; Gan, Linling; Lan, Zuoping*; Yang, Yuanjuan*

Chin. J. Org. Chem. **2019**, 39(12), 3467

A graphene-based hybrid with copper nanoparticles (Cu-Cu₂O/GO-NH₂) as heterogeneous catalyst was investigated for the aerobic decarboxylative oxyphosphorylation of alkynyl carboxylic acids with *H*-phosphonates. This Cu-Cu₂O/GO-NH₂ catalyst showed high catalytic activity, good recyclability and easy separability, providing an alternative strategy for the synthesis of β-ketophosphonates.

A Convenient Synthesis of β,β-Difluoro-β-iodo-1-phenylethan-1-ols

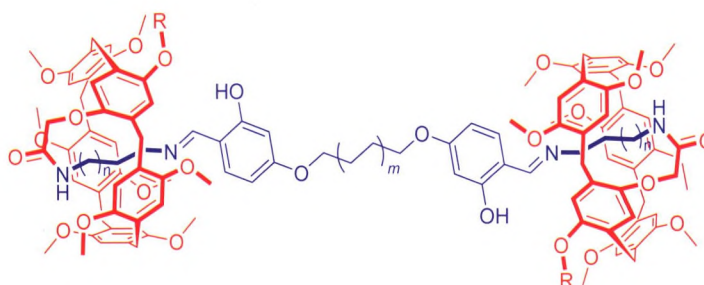


Huang, Guozhi; Ren, Jie; Zheng, Xiaoxiao; Wu, Fanhong*; Wu, Jingjing*

Chin. J. Org. Chem. **2019**, 39(12), 3475

The synthesis of α,α-difluoro-β-iodo-β-methyl alcohols via the high selective reduction of iododifluoromethyl ketones by NaBH₄ in methanol at 0 °C was reported. The reaction has some advantages, such as mild condition, simple synthetic route, and high yield. A convenient way to synthesize more difluoromethylation agents was provided.

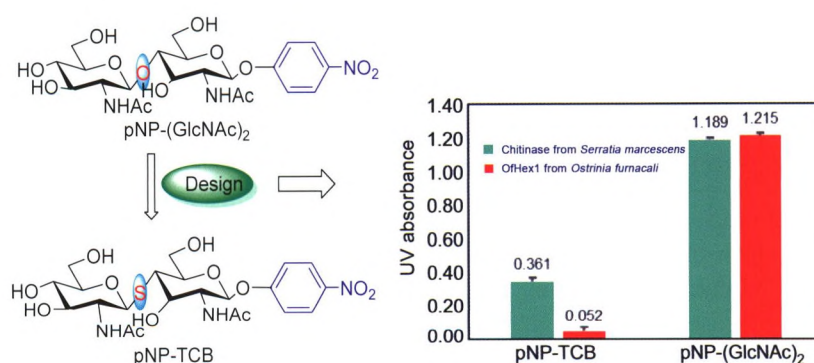
Design and Construction of Pillar[5]arene-Based Bis-[1]rotaxane



Zhang, Runmiao; Wang, Chenwei; Sun, Jing; Yan, Chaoguo*; Yao, Yong*

Chin. J. Org. Chem. **2019**, 39(12), 3483

A series of pillar[5]arene-based mechanically interlocked molecules (MIMs) of bis-[1]-rotaxane were successfully constructed

Synthesis and Enzyme Substrate Activity of *p*-Nitrophenyl *N,N'*-Diacetyl-4-thio-β-chitobioside

Guo, Bingbo; Shen, Shengqiang; Jin, Shuhui*; Lu, Huizhe; Zhang, Jianjun*

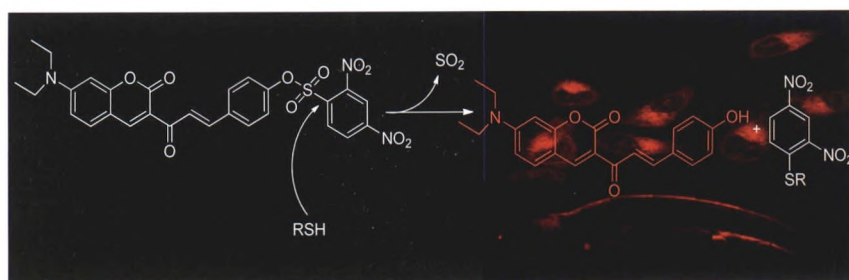
Chin. J. Org. Chem. **2019**, 39(12), 3490

Nitrophenyl *N,N'*-diacetyl-4-thio-β-chitobioside (pNP-TCB) was synthesized. The target compound was evaluated for the degradation of glycoside hydrolase families 18 (GH18) chitinase and 20 (GH20) β-*N*-acetylhexosaminidase. The results showed that the pNP-TCB can be degraded by GH18 chitinase, but hardly degraded by GH20 β-*N*-acetylhexosaminidase.

CONTENT

An "Off-On" Fluorescent Probe for Biothiols and Its Application in Bioimaging

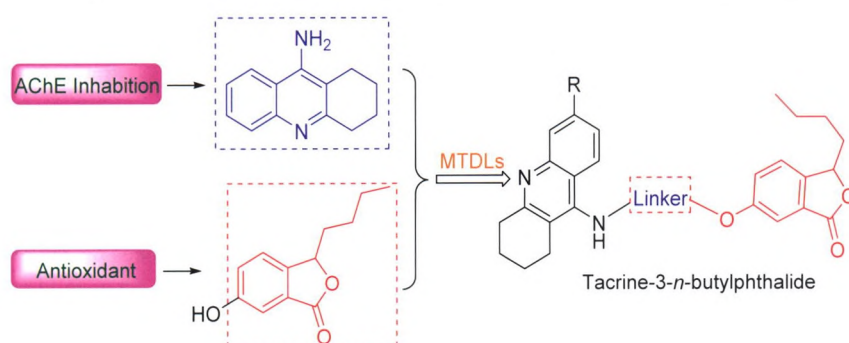
Zhou, Tingting; Yang, Yutao*; Zhou, Keyan; Xu, Wenzhi; Li, Wei*
Chin. J. Org. Chem. **2019**, 39(12), 3498



A new off-on fluorescent probe **CO-NBS** for the selective detection of biothiols was described. Once the probe was mixed with biothiols, the 2,4-dinitrobenzene sulfonyl group (NBS), the recognition unit as well as the fluorescence quencher, was eliminated and concomitantly orange fluorescence of the fluorophore recovered.

Design, Synthesis and Evaluation of Novel Tacrine-3-*n*-butylphthalide Hybrids as Multifunctional Cholinesterase Inhibitors

Liu, Wandong; Yang, Yu; Li, Jiaming*; Guo, Yanyan; Jin, Fan; Zhang, Bin
Chin. J. Org. Chem. **2019**, 39(12), 3505



A series of novel tacrine-3-*n*-butylphthalide hybrids have been synthesized, pharmacological evaluated and calculated by molecular-simulation. The pharmacological evaluation of these new compounds includes AChE and BuChE inhibition and antioxidant activity. Finally, molecular docking studies were carried out to study the binding mode against AChE.

A Domino Reaction for the Selective Synthesis of Functionalized Benzo[*b*][1,4]-diazepines

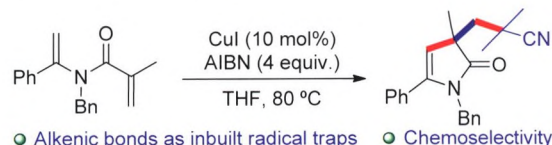
Sun, Yuewei; Zhou, Laiyun; Wang, Lanzhi*
Chin. J. Org. Chem. **2019**, 39(12), 3516



12 novel substituted 3,4-diethoxycarbonyl-2-(thiazol-2-yl)benzo[*b*][1,4]diazepines of imine and enamine structure were obtained via domino reaction. These reactions were achieved by reacting 1,2-phenylenediamine, 2-thiazolecarboxaldehyde with diethyl acetylenedicarboxylate in EtOH. The selectivity law of synthesis reaction was also obtained, and the yield of single product was maximized.

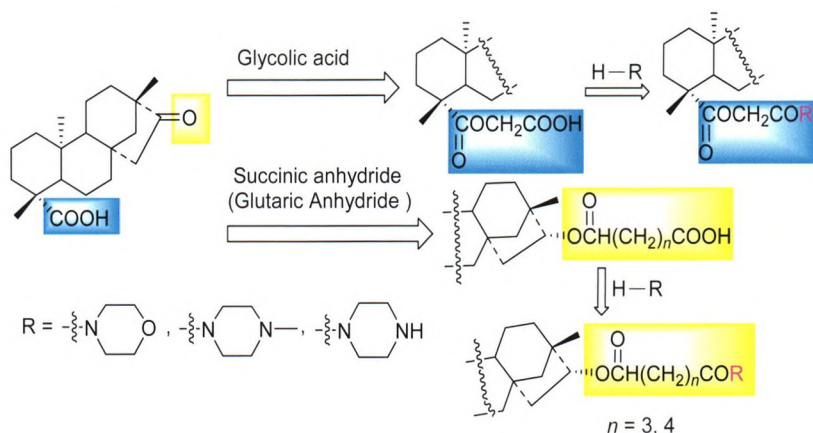
Copper-Catalyzed Cyanoisopropyl-alkenylation of *N*-Alkenylacrylamides to Give 1,3-Dihydropyrrol-2-ones

Dai, Enrui; Luo, Qing; Chen, Chunlin; Ying, Fengyuan; Dong, Ying; Liu, Yingjie*; Wang, Baoling; Ma, Yinhai; Liang, Deqiang*
Chin. J. Org. Chem. **2019**, 39(12), 3524



A copper-catalyzed cyanoisopropylation/cyclization cascade of *N*-alkenylacrylamides is presented, providing a straightforward and chemoselective access to 1,3-dihydropyrrol-2-ones. In acrylamide-based radical cyclization, radical-trapping groups are mainly restricted to aryl, alkynyl or cyano group. But in this reaction, the enaminic double bond was used as an inbuilt radical trap, while the olefinic bond of the acrylamidyl moiety acted as the radical acceptor.

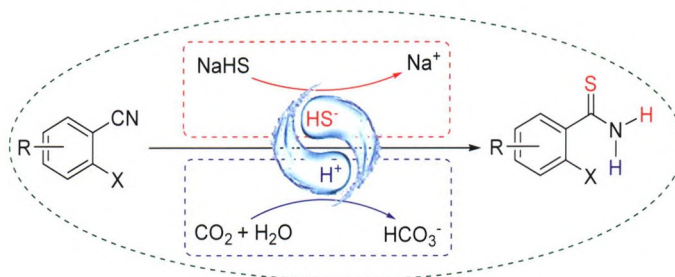
Synthesis, Characteristics and Bioactivities of Novel Isosteviol Derivatives



Guo, Yongtai; Hou, Xiyan; Zheng, Changji; Zhao, Chunhui; Jin, Yuting; Gao, Xueqin; Wang, Qian; Sun, Lei; Zhao, Longxuan*; Piao, Hua*

Chin. J. Org. Chem. **2019**, 39(12), 3532

Fifteen novel isosteviol derivatives were designed and synthesized by introducing morpholine and piperazine moieties into C-16 and C-19 positions through connecting fragments, and the D-ring structure was modified. The inhibitory effects on Colo-16 and A549 were observed. The results showed that the inhibitory activities of 4 compounds on Colo-16 were significantly better than the positive control of 5-fluorouracil.

Synthesis of *o*-Halothiobenzamide Derivatives from the Selective Thiolytic Reaction of *o*-Halobenzonitrile Mediated by CO₂

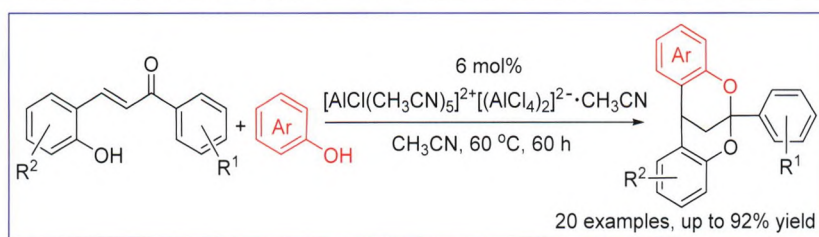
Sang, Guozhi; Feng, Xuetong; Chen, Juan; Li, Shanshan; Li, Zhuona; Li, Xiao; Han, Limin; Hong, Hailong; Zhu, Ning*

Chin. J. Org. Chem. **2019**, 39(12), 3542

A method for the selective synthesis of *o*-halothiobenzamide by thiolysis reaction of *o*-halobenzonitrile with NaHS under the action of CO₂ was explored. CO₂/H₂O/NaHS was used as a buffer system to provide a suitable pH environment.

NOTES

Cationic Aluminum Complex Catalyzed Synthesis of 2,8-Dioxabicyclo[3.3.1]nonanes

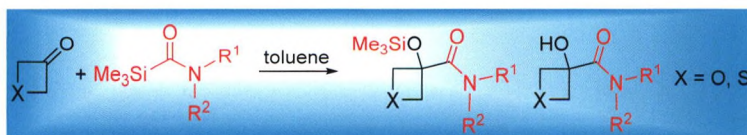


Yang, Minrong; Zhu, Ya'nan; Xu, Fan*

Chin. J. Org. Chem. **2019**, 39(12), 3550

Cationic aluminum complex $[AlCl(CH_3CN)_5]^{2+}[(AlCl_4)_2]^{2-} \cdot CH_3CN$ can be easily prepared via the reaction of AlCl₃ with CH₃CN at room temperature. It served as efficient catalyst for the reaction of 2-hydroxychalcones with naphthols to produce diaryl-fused 2,8-dioxabicyclo[3.3.1]nonanes in moderate to high yields.

Synthesis of 3-Hydroxy-3-heterocyclebutylamide Derivatives Using Carbamoylsilanes as an Amide Source



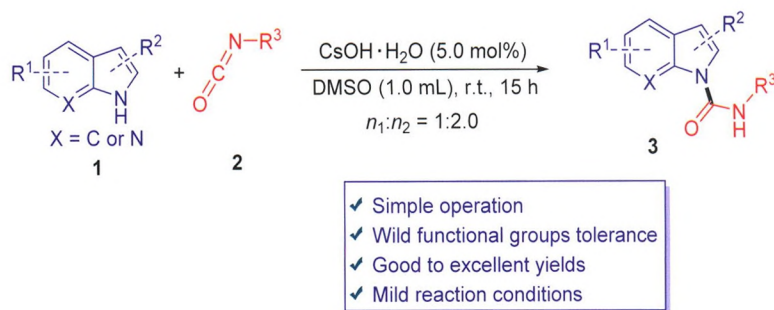
Zhang, Pengpeng; Chen, Wenwen; Feng, Hua; Chen, Jianxin*

Chin. J. Org. Chem. **2019**, 39(12), 3560

3-Hydroxy-3-heterocyclebutyl amide derivatives were directly synthesized in 56%~85% yields by the nucleophilic addition of various carbamoylsilanes to oxetane-3-one or thietane-3-one in toluene under mild and catalyst-free conditions. The procedure can prepare 3-hydroxy-3-heterocyclebutyl tertiary, secondary and primary amides by selecting different carbamoylsilanes.

CONTENT

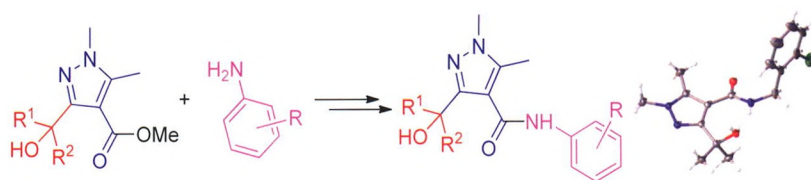
Cesium-Catalyzed *N*-Carboxamidation of Indoles for Synthesis of Indole-1-carboxamides



A protocol for the synthesis of a series of indole-1-carboxamides was reported via the *N*-arboxamidation of indole derivatives with aryl (alkyl) isocyanates catalyzed by 5.0 mol% of cesium hydroxide monohydrate ($\text{CsOH} \cdot \text{H}_2\text{O}$). This method is suitable for different indole derivatives and aryl (alkyl) isocyanates, for giving corresponding products in excellent yields. An efficient route to indole-1-carboxamides is provided.

Wu, Yan; Wang, Shan; Zhang, Hailing; Chen, Rui; He, Shuhua*
Chin. J. Org. Chem. **2019**, 39(12), 3567

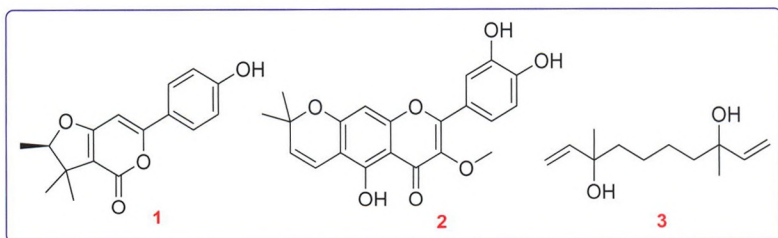
Synthesis and Fungicidal Activity of Novel Pyrazole-4-carboxamide Compounds Containing Tertiary Alcohol Moiety



A series of novel pyrazole-4-carboxamide compounds were designed and synthesized through introducing a tertiary alcohol moiety into the C-3 position of the pyrazole ring, their fungicidal activities were evaluated.

Geng, Rui; Zhao, Yu; Li, Yihao; Liu, Xinlei; Wang, Mingan*
Chin. J. Org. Chem. **2019**, 39(12), 3574

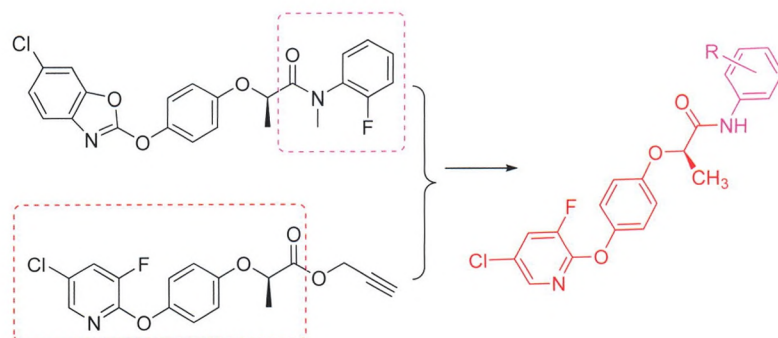
Chemical Constituents from *Hypericum erectum* Thunb



Three new compounds named as hyperipyone (1), hyperiflavone (2) and hyperalcohol (3), together with 15 known compounds were isolated from *Hypericum erectum* Thunb.

Li, Jun; Xu, Xiaoshi; Teng, Haida; Chen, Yu; Mei, Zhinan*; Yang, Guangzhong*
Chin. J. Org. Chem. **2019**, 39(12), 3583

Synthesis and Herbicidal Activity of Chiral Aryloxyphenoxypionic Amides Compounds

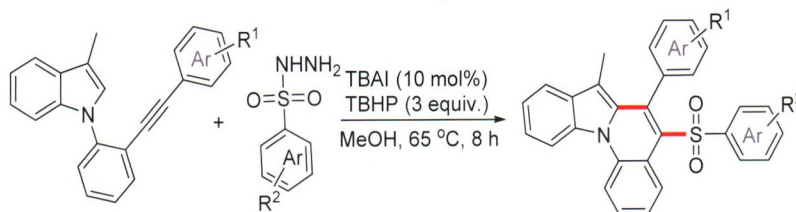


A series of novel chiral aryloxyphenoxypionic amides were designed and synthesized using the method of active substructure combination and the technology of biological enzyme splitting. And the preliminary bioassay data showed that all target compounds 8 displayed excellent herbicidal activity and selectivity against monocotyledonous weeds.

Yang, Sen; Ding, Chengrong; Liu, Xinghai; Weng, Jianquan; Yuan, Jing*; Tan, Chengxia*
Chin. J. Org. Chem. **2019**, 39(12), 3588

HIGHLIGHTS

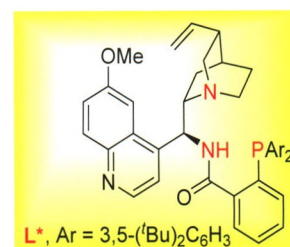
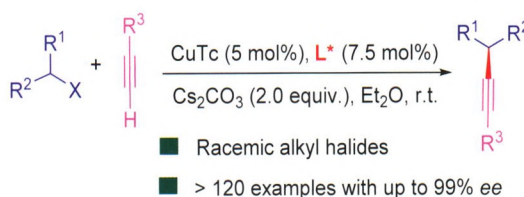
Radical Cyclization Strategy towards Indolo[1,2-a]quinolines



Wang, Zheng; He, Wei-Min*

Chin. J. Org. Chem. **2019**, 39(12), 3594

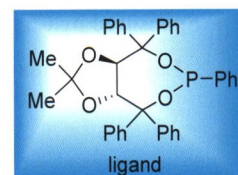
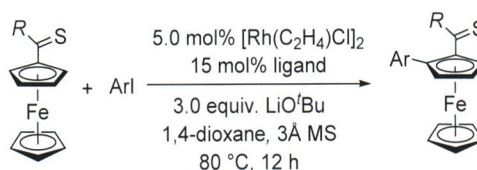
Copper Catalyzed Asymmetric Sonogashira Coupling Reaction of Alkyl Halides



Zhang, Min; Su, Weiping*

Chin. J. Org. Chem. **2019**, 39(12), 3596

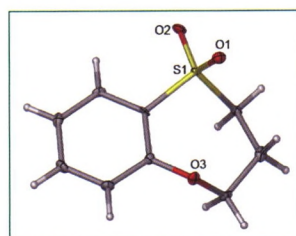
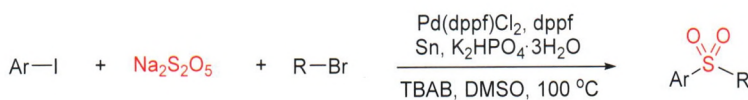
Rh-Catalyzed Asymmetric C—H Activation for the Synthesis of Planar Chiral Ferrocenes



Duan, Wei-Liang*

Chin. J. Org. Chem. **2019**, 39(12), 3598

Multicomponent Reductive Cross-Coupling Involved by High-Valent Sulfur Salts: Straightforward Construction of Diversely Functionalized Sulfones

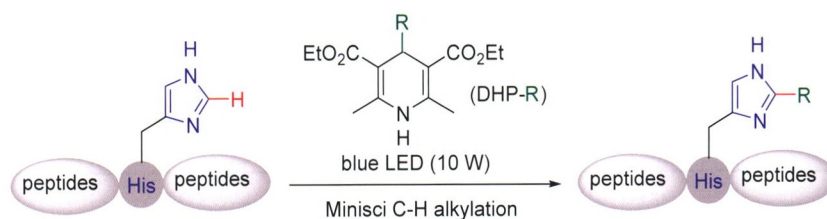


- ◆ Multiple compatible activation modes
- ◆ Simple and cheap coupling partner
- ◆ High functional group tolerance

Liu, Dong; Mei, Tian-Sheng*

Chin. J. Org. Chem. **2019**, 39(12), 3600

Visible-Light-Promoted Histidine-Specific Peptide C—H Alkylation



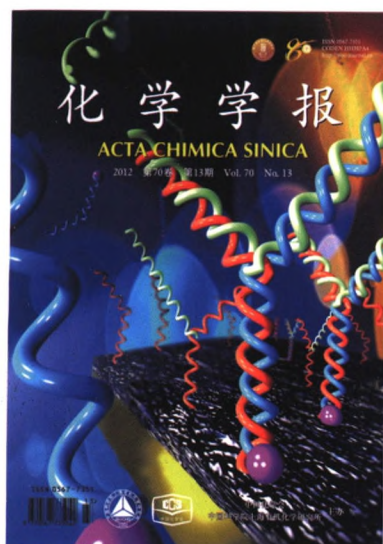
Zhan, Beibei; Shi, Bingfeng*

Chin. J. Org. Chem. **2019**, 39(12), 3602

- * Excellent chemoselectivity
- * Tolerance of all AA except Cys
- * Mild reaction conditions
- * Diverse R groups

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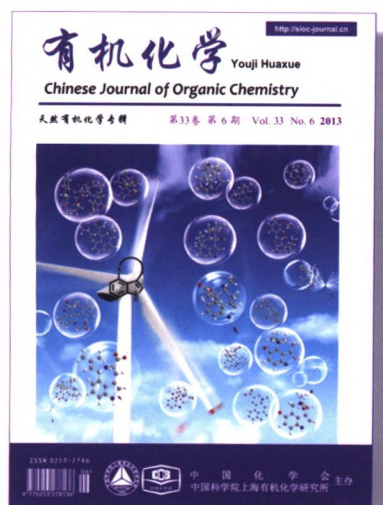
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