

有机化学

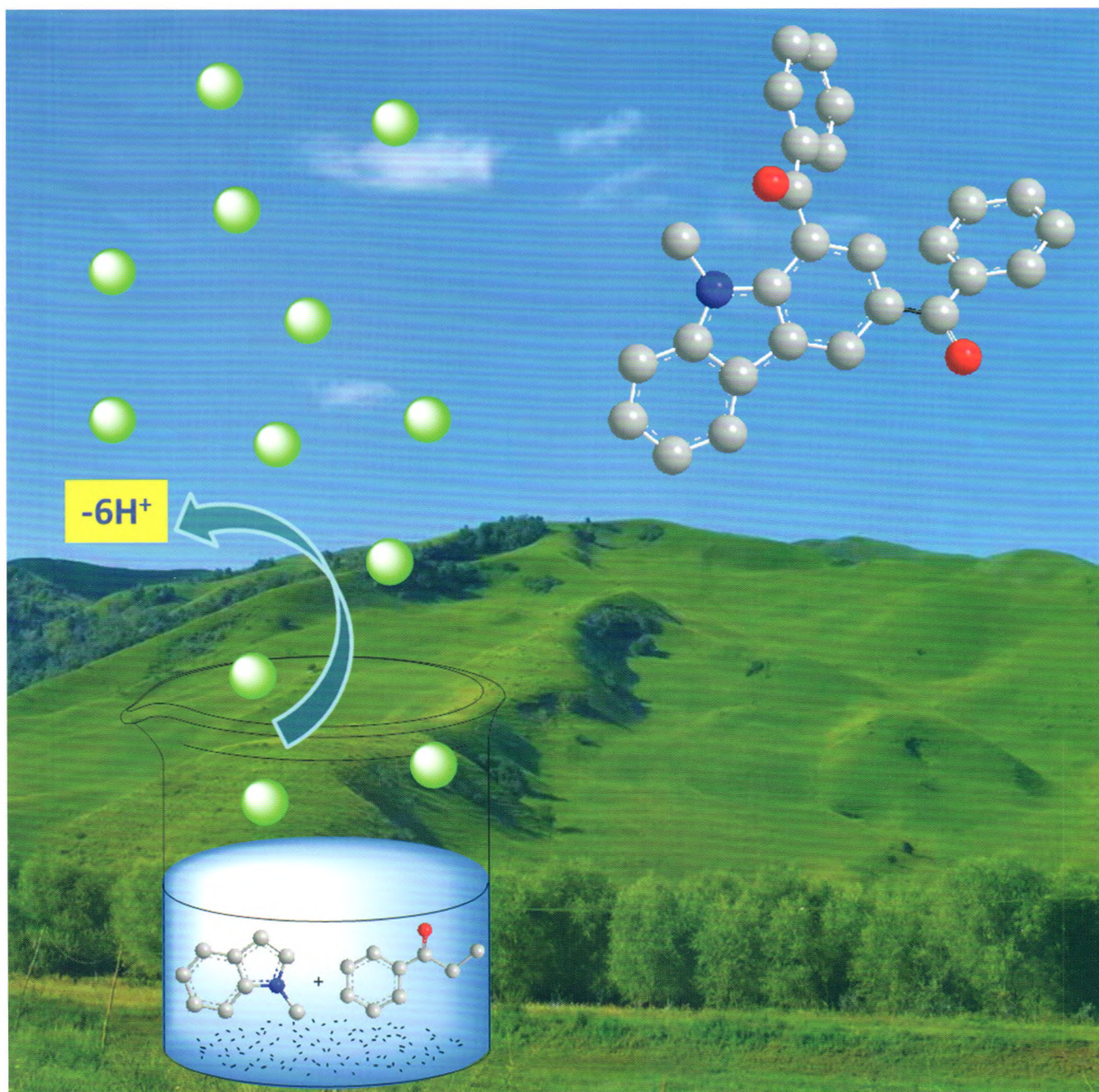
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Youji Huaxue

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有机化学 (月刊)

Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

第 37 卷 第 10 期 (总 347 期) 2017 年 10 月*

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* 通讯联系人.

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研究简报

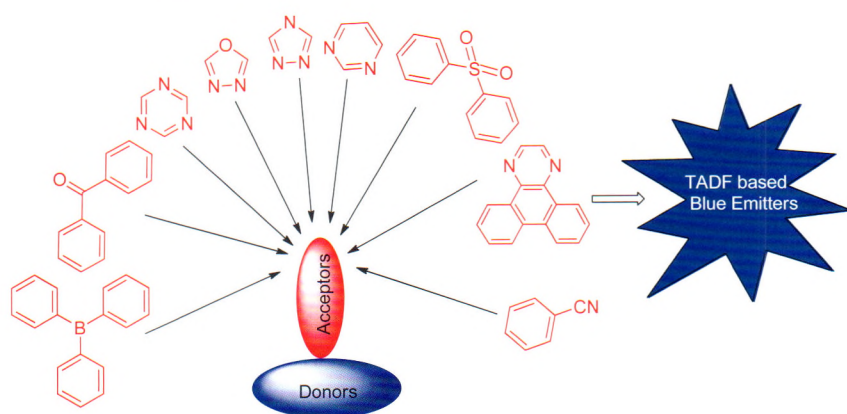
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On the Cover

An efficient method for the facile synthesis of carbazoles via Pd-catalyzed dehydrogenative cross-coupling of indoles with *in situ* generated aryl vinyl ketones from saturated ketones is achieved by Su and coworkers on page 2655. This protocol obviates the need for additional preparation steps of aryl vinyl ketones and therefore opens up a new door to synthesis of carbazoles in an atom- and step-economical fashion.

REVIEWS

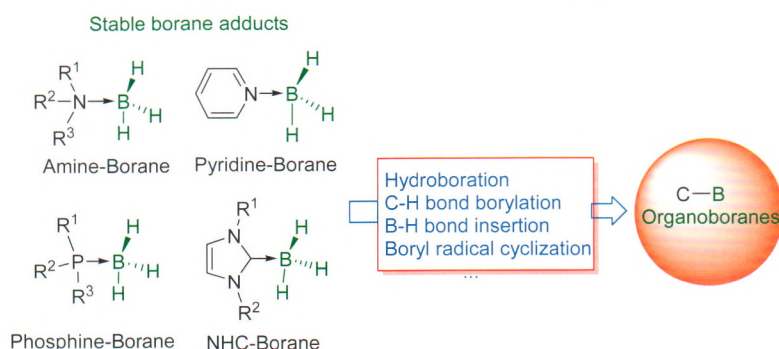
Progress on Donor-Acceptor Type Thermally Activated Delayed Fluorescence Based Blue Emitters



The recent progress in research of donor-acceptor type blue thermally activated delayed fluorescence (TADF) emitters and organic light-emitting diodes (OLEDs) according to the latest research by different acceptors including triazine, oxadiazole, triazole, pyrimidine, diphenyl ketone, cyano, triphenyl boron, 1,4-diazatriphenylene and diphenyl sulfoxide derivatives.

Tan, Jihua; Huo, Yanping*; Cai, Ning; Ji, Shaomin; Li, Zongzhi; Zhang, Li
Chin. J. Org. Chem. **2017**, 37(10), 2457

Progresses on the Application of Stable Borane Adducts in the Synthesis of Organoborons

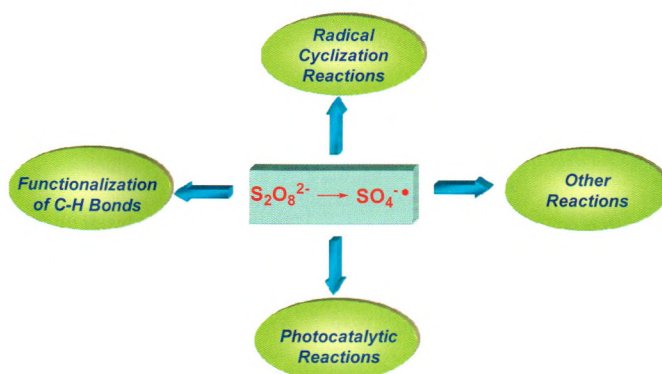


The applications of the stable borane adducts as terminal boron reagents in hydroboration of alkenes or alkynes, C—H bond borylation, carbene insertion into B—H bonds, cascade cyclization initiated by boryl radicals, and substitutions, which provide new methods for the preparation of organoborons are reviewed.

Yang, Jimin; Li, Ziqi; Zhu, Shoufei*
Chin. J. Org. Chem. **2017**, 37(10), 2481

CONTENT

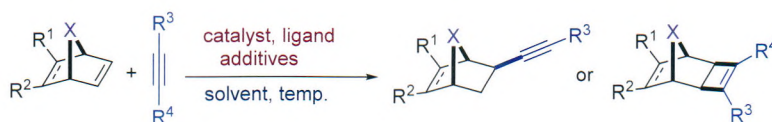
Recent Advances in Persulfates-Promoted Radical Reaction



Recent radical reactions promoted by persulfates are summarized. The full text contains five parts. In the first and second parts, recent advance in the radical cyclization reactions and functionalization of C—H bonds promoted by persulfates is discussed. The third part introduced the persulfates-mediated photocatalytic reactions. The fourth part emphasized persulfates-promoted other free radical reactions. Finally, some perspectives on the future development of this chemistry are given.

Zhao, Jingfeng; Duan, Xinhua; Guo, Li'na*
Chin. J. Org. Chem. **2017**, 37(10), 2498

Progress in Transition-Metal Catalyzed Bicyclic Olefins Addition Reaction

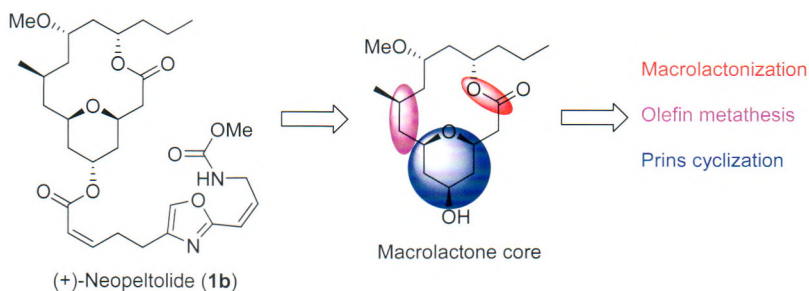


X = CH₂, O, NR; R³ = ph, Ar, P(O)(OR)₂, SiR₃, alkyl; R⁴ = H, COR, CO₂R, Ar, SR, alkyl

In this paper, the recent research progress in transition-metal catalyzed bicyclic olefins addition reactions is reviewed, mainly including Ru, Rh, Ir, Pd, Cu, Co, Ni, Fe *etc.* Moreover, the possible reaction mechanisms of some parts of addition reactions are also discussed.

Yang, Xin; Yang, Wen; Deng, Yingying;
Yang, Dingqiao*
Chin. J. Org. Chem. **2017**, 37(10), 2512

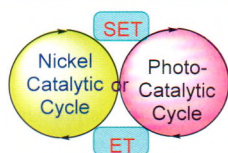
Synthetic Studies toward Neopeltolide: A Potent Anti-cancer Natural Product



(+)-Neopeltolide was isolated from a deep-water sponge of the family neopeltidae. Due to its attractive novel structure and highly potent anticancer activity, more than twenty total and formal syntheses have been reported in last decade. Herein, the synthetic studies toward the total and formal syntheses of neopeltolide are reviewed according to the synthetic strategies.

Yu, Jiangfan; Feng, Ruokun; Yang, Zhen*
Chin. J. Org. Chem. **2017**, 37(10), 2526

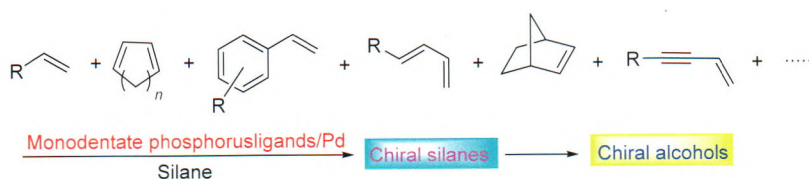
Recent Progress on the Nickel/Photo-redox Dual Catalysis



A dual-catalysis system merging the visible light photoredox with transition metal nickel catalysis enables a new strategy to build the novel carbon-carbon and carbon-heteroatom bond, which are not generally possible via using either photoredox or nickel catalysis alone. This mild, green and promising protocol has attracted the interest of some scientific researchers. In this review, the recent progress of nickel/photoredox dual catalysis is summarized.

Ruan, Liheng; Dong, Zhencheng; Chen, Chunxin; Wu, Shuang*; Sun, Jing*
Chin. J. Org. Chem. **2017**, 37(10), 2544

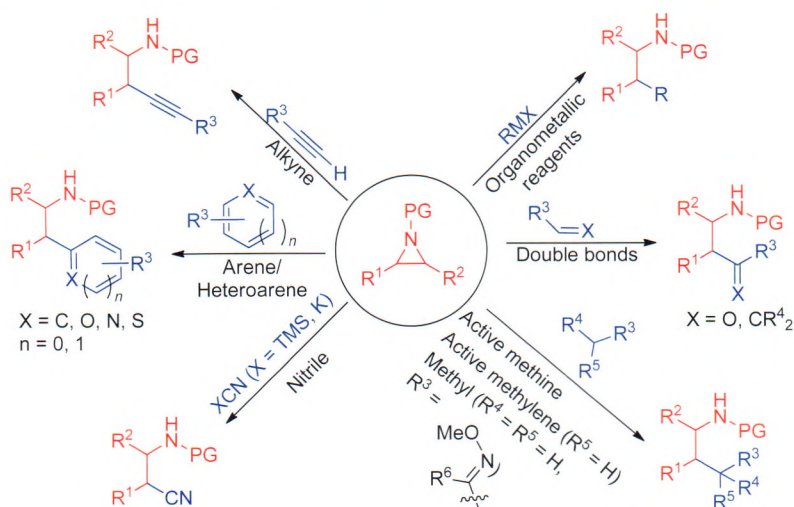
Application of Pd-Monodentate Phosphorus Catalysts in the Asymmetric Hydrosilylation Reactions of Alkenes



In the past decades, a wide variety of chiral monodentate phosphorus ligands have been developed because of their stable structure, facile synthesis, convenient modification, unique efficiency. Herein, the recent advances in asymmetric hydrosilylation of alkyl-substituted alkenes, styrene derivatives, 1,3-dienes and other carbon-carbon double bond compounds catalyzed by palladium monodentate phosphorus catalysts are summarized. The perspective is also discussed.

Zhang, Feng*; Liu, Xianghua; Liu, Wei; Deng, Guojun
Chin. J. Org. Chem. **2017**, 37(10), 2555

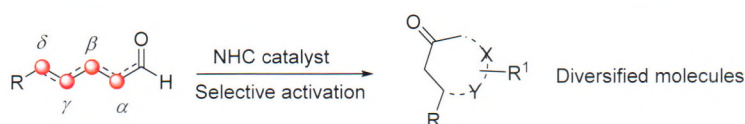
Research Progress in the Ring-Opening Reactions of Aziridines by Carbon Nucleophiles



The recent progress in ring-opening reactions of aziridines by various carbon nucleophiles, such as alkynes, nitriles, arenes, heteroarenes, active methylene compounds, organometallic reagents and so on, is reviewed. Moreover, the prospects of future development are also discussed.

Chu, Xu; Chang, Honghong; Gao, Wenchao; Wei, Wenlong*; Li, Xing*
Chin. J. Org. Chem. **2017**, 37(10), 2569

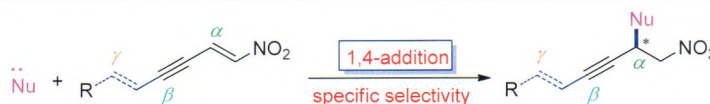
Progress of Organic Reactions Catalyzed by N-Heterocyclic Carbenes



N-Heterocyclic carbene plays important role in building complex molecules in organic synthesis for the characteristics of umpolung. Some special Lewis bases and oxidants can induce carbene reaction with carbonyl to form Breslow intermediates, enol and homo-enolate, which expand the reaction greatly. In this paper, the recent progresses in organic catalytic reactions including Stetter reaction, α^3 - δ^3 umpolung catalyzed by carbenes are reviewed.

Wang, Ao; Xiao, Yonglong; Zhou, Yu*; Xu, Jinyi; Liu, Hong*
Chin. J. Org. Chem. **2017**, 37(10), 2590

Recent Progress on Polyconjugated Nitrodiene/nitroenyne: Synthesis and Applications

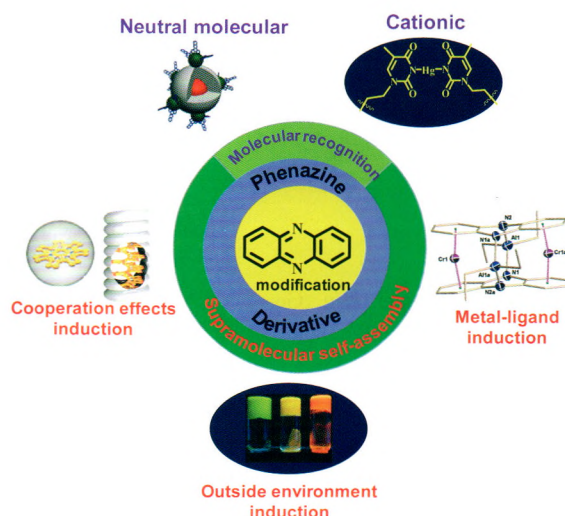


Polyconjugated nitrodiene/nitroenyne as nitroolefin derivatives are good kind of electrophiles and have been widely used in organic synthesis. Herein we summarize the synthesis and applications of polyconjugated nitrodiene/nitroenyne, highlighting the specific selectivity in organic synthesis, as well as the synthetic utility toward complex molecules.

Liu, Teng*; Liu, Jianjun; He, Chixian; Cheng, Feixiang
Chin. J. Org. Chem. **2017**, 37(10), 2609

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Development on Application of Phenazine Derivatives in Molecular Recognition and Self-assembly

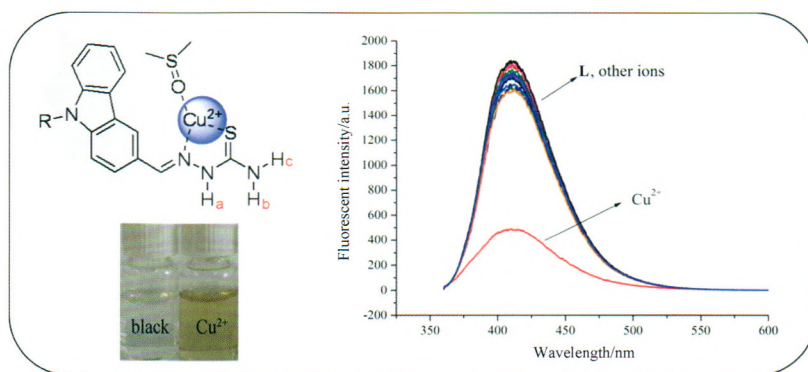


Li, Wenting; Qu, Wenjuan; Zhang, Haili; Li, Xiang; Lin, Qi; Yao, Hong; Zhang, Youming; Wei, Taibao*
Chin. J. Org. Chem. **2017**, 37(10), 2619

The advances in the research of the development on application of phenazine derivatives in molecular recognition (MR) and supramolecular self-assembly (MS-A) in recent years are highlighted.

ARTICLES

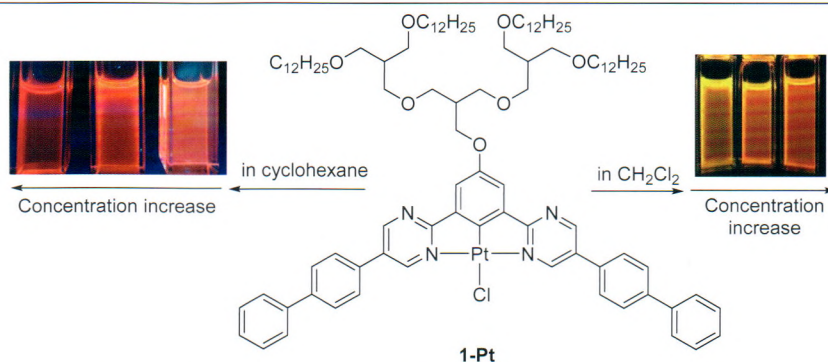
Novel Carbazole-Thiosemicarbazide Based Schiff-Base Probes for Cu^{2+}



Li, Yingjun*; Zhang, Nan; Jin, Kun; Xu, Yongting; Wang, Siyuan; Zhou, Xiaoxia
Chin. J. Org. Chem. **2017**, 37(10), 2640

Three novel carbazole-thiosemicarbazides based Schiff-base were synthesized. The recognition ability of representative compound 2-((N-heptane-carbazol-3-yl)methylidene)-hydrazine carbothioamide (L_2) to metal ions was investigated by naked-eye, UV-Vis and fluorescence spectra.

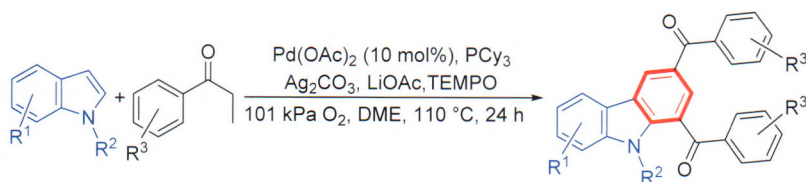
Synthesis, Self-Assemble and Fluorescence of Pyrimidine-Contained Novel Rod-Coil Structured $\text{N}^{\wedge}\text{C}^{\wedge}\text{N}$ -Type Divalent Platinum Complexes



Yang, Lei; Hu, Jiena; Zeng, Wang; Wu, Yang; Li, Xianying*; Zhang, Dengqing; Jin, Wusong*
Chin. J. Org. Chem. **2017**, 37(10), 2647

Novel Rod-Coil shaped pyrimidine-contained tridentate $\text{Pt}(\text{N}^{\wedge}\text{C}^{\wedge}\text{N})\text{Cl}$ complex **1-Pt** was synthesized and characterized. The luminescence of **1-Pt** could be tuned by intermolecular π - π stacking as well as solvent effect. The self-assembly of **1-Pt** in solvent gave fibrous nanostructures with the red fluorescence.

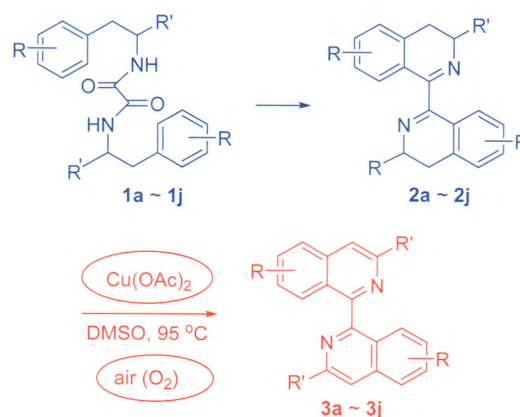
Construction of Carbazoles by Palladium-Catalyzed Direct Cross-Coupling of Indoles with *in situ* Generated Aryl Vinyl Ketones



The synthesis of carbazoles via Pd-catalyzed direct cross-coupling of indoles with *in situ* generated aryl vinyl ketones by using saturated ketones as the olefins source is described. This protocol obviates the need for additional preparation steps of aryl vinyl ketones and therefore opens up a new door to synthesis of carbazoles in an atom- and step-economical fashion.

Zhou, Quanlong; Zhu, Changlei; Wu, Ge; Zhang, Yuanfei; Zhang, Min*; Su, Weiping*
Chin. J. Org. Chem. **2017**, 37(10), 2655

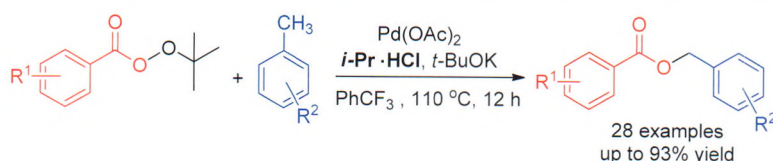
Synthesis of 1,1'-Biisoquinolines via Cu-Catalyzed Oxidative Aromatization



A new method for practical synthesis of 1,1'-biisoquinolines is described. 3,3',4,4'-Tetrahydro-1,1'-biisoquinolines (**2a~2j**), which could be readily prepared from *N,N'*-diphenylloxalamides (**1a~1j**) via Bischler-Napieralski cyclization, were efficiently converted into 1,1'-biisoquinolines (**3a~3j**) via Cu(OAc)₂-catalyzed aerobic oxidative aromatization in dimethyl sulfoxide.

Lü, Xia; Meng, Tianzhuo; Zheng, Bo; Zhang, Yi; Wu, Jiajia; Shi, Xiaoxin*
Chin. J. Org. Chem. **2017**, 37(10), 2663

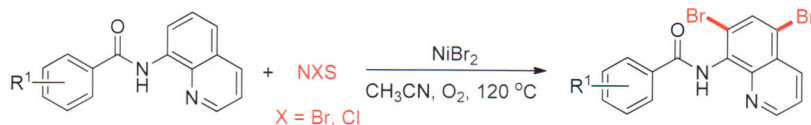
Pd(II)-Catalyzed Synthesis of Benzyl Benzoates via Benzyl C(sp³)—H Activation



An efficient Pd(II)-catalyzed synthesis of benzyl benzoates via direct functionalization of benzyl C(sp³)—H bonds was developed. This method features high tolerance of functional groups, mild reaction condition, and high chemoselective when there are multiple active C(sp³)—H bonds. A plausible oxidative coupling mechanism was proposed on the basis of mechanistic studies.

Duanmu, Dandan; Leong, Pak-kin; Jiang, Qibai*; Yan, Hong*
Chin. J. Org. Chem. **2017**, 37(10), 2669

Nickel-Catalyzed C—H Halogenation of 8-Aminoquinolines for the Synthesis of C(5) and C(7) Di-halogenated Quinolines

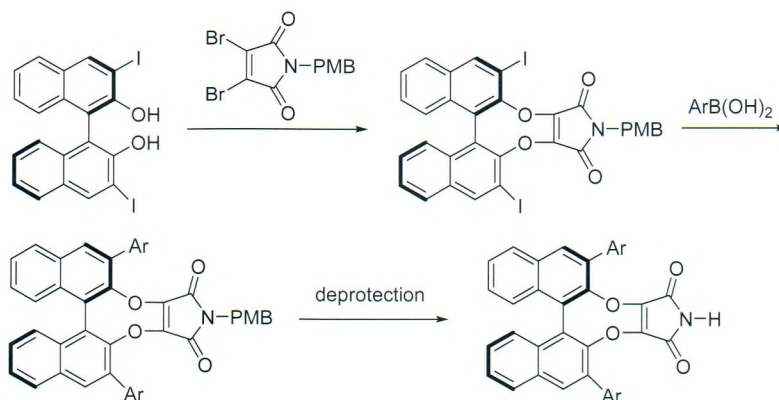


A simple and efficient nickel-catalyzed oxidative halogenation (Cl, Br) of C(5) and C(7) C—H bond of 8-aminoquinoline amides has been developed. This method employed low-cost and easy availability nickel as catalyst and oxygen as oxidant. The reactions have good functional groups compatibility, giving highly selective C(5) and C(7) di-halogenated products in good to excellent yields.

Hao, Wenyan*; Wang, Yuyun; Yang, Guomin; Liu, Yunyun*
Chin. J. Org. Chem. **2017**, 37(10), 2678

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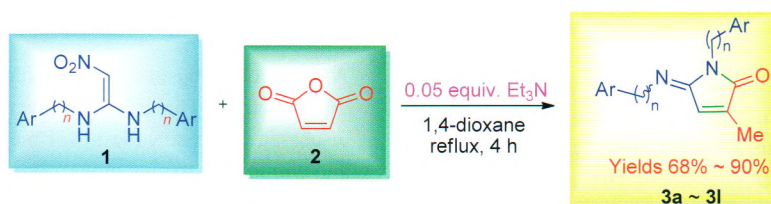
Synthesis of a New Class of Chiral Maleimide Derivatives with C₂-Symmetry



Four kinds of chiral maleimide derivatives with C₂-symmetry were synthesized through Williamson ether synthesis, Suzuki coupling reaction and deprotection reaction by microwave, starting from the readily available maleic anhydride and (*R*)-1,1'-bi-2-naphthol (BINOL). These 3,4-((*R*)-3,3'-diaryl-1,1'-binaphthyl-2,2'-dioxy)-maleimides were characterized by ¹H NMR, ¹³C NMR, IR and HRMS techniques.

Fu, Liyan; Ji, Baoming*; Du, Chenxia
Chin. J. Org. Chem. **2017**, 37(10), 2685

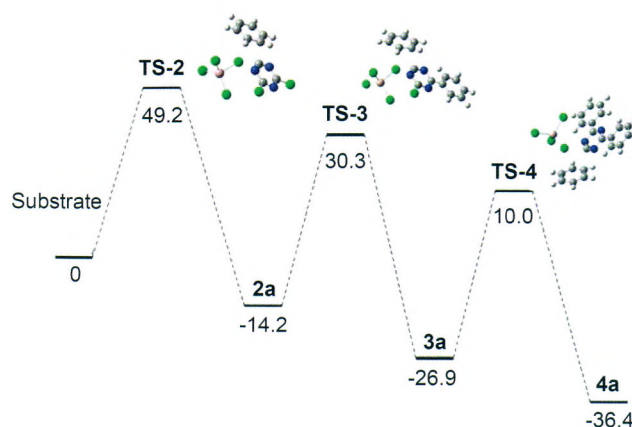
Synthesis of Iminopyrrolone Compounds



The method was constructed for synthesis of pyrrolone compounds, which was based on the reaction of 1,1'-diamine (**1**) with maleic anhydride (**2**) in 1,4-dioxane at reflux in alkali condition (Et₃N). As a result, a series of iminopyrrolone compounds have been synthesized by this reaction. This protocol possesses some advantages including readily available starting materials, simple operation and concise synthetic route and so on.

Zhao, Yucheng; Xiao, Qiang; Wang, Baoqu;
Lin, Jun*; Yan, Shengjiao*
Chin. J. Org. Chem. **2017**, 37(10), 2690

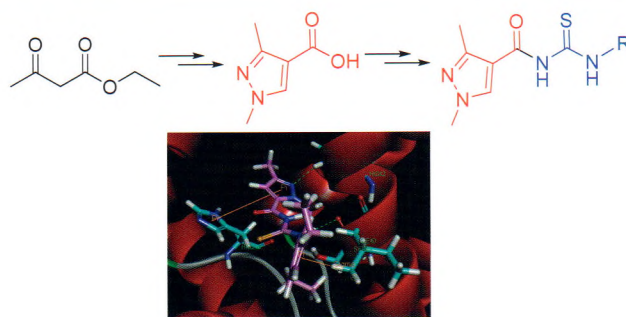
Study of the Friedel-Crafts Reaction of Cyanuric Chloride with Low-Boiling Aromatic Ring



The cyanuric chloride and benzene Friedel-Crafts arylation using Lewis acid catalyst in high pressure autoclave was studied in this manuscript. The aim compounds 2,4-dichloro-6-phenyl-1,3,5-triazine and 2,4,6-triphenyl-1,3,5-triazine could be got with high selectivity and yields by varying reaction temperature, substrate and catalyst amount, which application was expecting and promising. The transition state was obtained by quantum calculation density functional theory (DFT) method using Gaussian software, and the experimental results and mechanism of this reaction were discussed from the point of dynamics and thermodynamics.

Zou, Hao; Wang, Xueding; Yang, Weiqing;
Zhang, Yuanyuan; Chen, Hu; Wang,
Yuliang; Ma, Menglin*; Du, Quan
Chin. J. Org. Chem. **2017**, 37(10), 2697

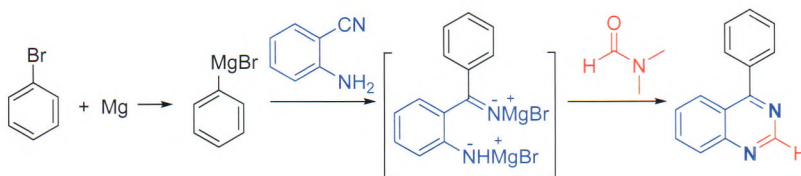
Design, Synthesis, Fungicidal Activity and Docking Study of Acyl Thiourea Derivatives Containing Pyrazole Moiety



Sun, Nabo; Shen, Zhonghua; Zhai, Zhiwen; Han, Liang; Weng, Jianquan; Tan, Chengxia; Liu, Xinghai*
Chin. J. Org. Chem. **2017**, 37(10), 2705

A series of pyrazole acyl thiourea compounds were designed and synthesized. The fungicidal activity results indicated that some of them possessed good inhibitory against *Botryospaeria berengeriana*. The docking mode of the compound **6k** was done.

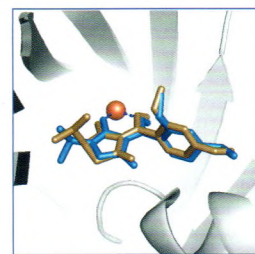
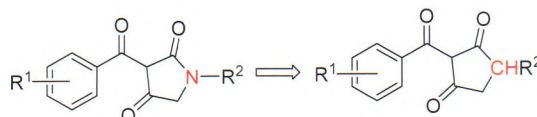
Catalyst-Free One-Pot Synthesis of 4-Substituted Quinazolines



Li, Lingjie; Zhang, Jing; Tang, Yu*; Xu, Kaitian; Zhang, Yuanming*
Chin. J. Org. Chem. **2017**, 37(10), 2711

A series of 4-substituted quinazolines have been synthesized via catalyst-free one-pot novel method. The effects of electron effect and steric hindrance on the reaction were discussed. A reasonable novel mechanism concerning repeating nucleophilic addition and elimination to give quinazoline has been proposed.

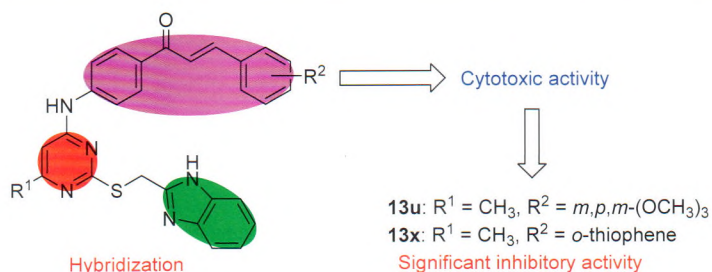
Synthesis, Herbicidal Activities of Novel Triketone Compounds Containing 4-Substituted Cyclopentane-1,3-dione Moiety



Xu, Haizhen*; Xie, Lifan; Han, Tingfeng; He, Jingli; Zhu, Youquan*
Chin. J. Org. Chem. **2017**, 37(10), 2717

In this paper, a series of novel triketone derivatives containing 4-substituted cyclopentane-1,3-dione were synthesized from cyclopentane-1,3-dione in six steps. The preliminary greenhouse bioassay results indicated that some compounds showed obvious inhibition effects against *Brassica campestris*, and the herbicidal activity was more than 90% at 1500 g/ha.

Synthesis and Antitumor Activity Evaluation of 2,4,6-Trisubstituted Pyrimidine Derivatives

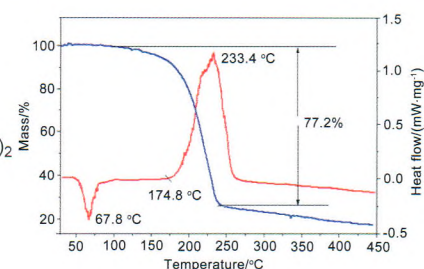
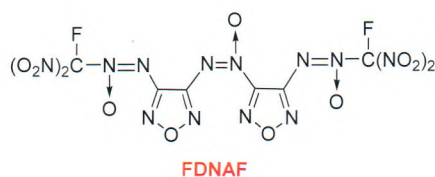


Song, Panpan; Li, Na; Cui, Fei; Xin, Jingchao; Zhang, Xiaosong; Cao, Qinpo; Wang, Chaojie; Dai, Wenjie; Meng, Xiangchuan; Liu, Meng; Chang, Tonghang; Liu, Qingyi; Sun, Yuehong; Ke, Yu*; Zhang, Qirong*; Liu, Hongmin*
Chin. J. Org. Chem. **2017**, 37(10), 2725

A series of 2,4,6-trisubstituted pyrimidine derivatives bearing chalcone moiety were synthesized and evaluated for anticancer activity on four human cancer cell lines including EC-109, MGC-803, HepG-2 and MDA-MB-231 by CCK-8 assay. Among them, compound **13u** showed excellent inhibitory effects with IC₅₀ values of 0.99 and 1.77 μmol·L⁻¹ against MGC-803 and MDA-MB-231, respectively.

CONTENT

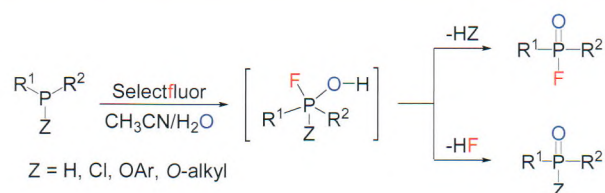
Synthesis and Characterization of an Energetic Compound 3,3'-Bis(fluoronitromethyl-*ONN*-azoxy)azoxyfuranan



An energetic compound 3,3'-bis(fluoronitromethyl-*ONN*-azoxy)azoxyfuranan (FDNAF) was designed and synthesized through seven-step reactions. The physicochemical properties and detonation performances of FDNAF were studied, and the results revealed that FDNAF is a promising energetic compound with the decomposition temperature of 233.4 °C, high density of 2.02 g·cm⁻³, high explosion velocity of 9735 m·s⁻¹ and detonation pressure of 44.90 GPa.

Zhang, Jiarong; Bi, Fuqiang*; Lian, Peng; Zhang, Junlin; Wang, Bozhou*
Chin. J. Org. Chem. **2017**, 37(10), 2736

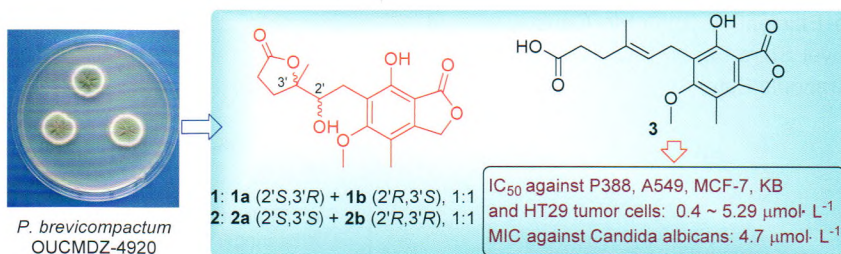
Fluorination Reaction of P(III) Compounds with the Electrophilic Fluorinating Reagent Selectfluor



Huang, Yulin; Chen, Qian*
Chin. J. Org. Chem. **2017**, 37(10), 2745

A fluorination reaction of P(III) compounds with the electrophilic fluorinating reagent Selectfluor is described. The reaction proceeded in acetonitrile/water at room temperature for 15~60 min to afford phosphoric fluorides in 34%~81% yields.

Bioactive Natural Products from the Marine-Derived *Penicillium brevicompactum* OUCMDZ-4920



Chen, Lingling; Zhu, Tonghan; Zhu, Guoliang; Liu, Yunlong; Wang, Cong; Piyachaturawat, Pawinee; Chairoungdua, Arthit; Zhu, Weiming*
Chin. J. Org. Chem. **2017**, 37(10), 2752

Two new analogues of mycophenolic acid, (±)-brevicolides A (**1**) and B (**2**) along with nine known compounds (**3**~**11**) were isolated and identified from a nutrient-poor cultivation products of the marine-derived *Penicillium brevicompactum* OUCMDZ-4920. Compound **3** showed cytotoxicities against P388, KB, HT29, MCF-7 and A549 tumor cells together with antifungal activity against *Candida albicans* with the IC₅₀ values ranged from 0.4 to 5.29 μmol·L⁻¹ and a half maximal inhibitory concentration (MIC) value of 4.7 μmol·L⁻¹, respectively. And (-)-7-*O*-methylbrevicolide A (**12a**), (+)-7-*O*-methylbrevicolide A (**12b**), (-)-7-*O*-methylbrevicolide B (**13a**) and (+)-7-*O*-methylbrevicolide B (**13b**) were also synthesized.

NOTES

Clerodane Diterpenes from the Roots of *Polyalthia laui*

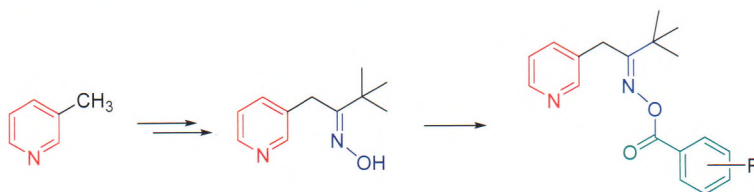
Li, Xiaobao; Chen, Guangying; Shao, Taiming; Song, Xiaoping; Han, Changri*; Yu, Zhangxin
Chin. J. Org. Chem. **2017**, 37(10), 2763



Five clerodane diterpenes were isolated from the roots of *Polyalthia laui* during a systematic phytochemical investigation. Their structures were elucidated by the spectroscopic data. Methyl (4→2)-*abeo*-2,13-diformyl-cleroda-2,12*E*-dien-15-ate (**1**), (*E*)-ent-cleroda-3,12-diene-15,16-dioic acid (**2**), are new clerodane diterpenes.

Five clerodane diterpenes were isolated from the roots of *Polyalthia laui* during a systematic phytochemical investigation. Their structures were elucidated by the spectroscopic data. Methyl (4→2)-*abeo*-2,13-diformyl-cleroda-2,12*E*-dien-15-ate (**1**), (*E*)-ent-cleroda-3,12-diene-15,16-dioic acid (**2**), are new clerodane diterpenes.

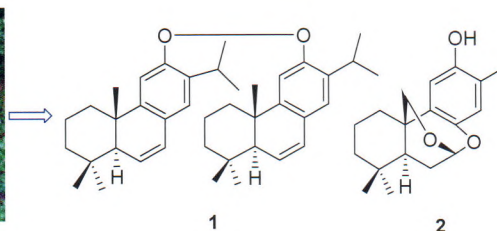
Synthesis of Novel 3,3-Dimethyl-1-(pyridin-3-yl)butan-2-one Oxime Esters and Evaluation of Their Antifungal Activity



A series of novel pyridine derivatives containing oxime esters have been synthesized from 3-methylpyridine, ethyl pivalate, hydroxylamine hydrochloride and substituted benzoic acid. Some target compounds displayed high antifungal activity against *B. cinerea* and *S. sclerotiorum*.

Zan, Ningning; Zhang, Yulei; Zhang, Shuai; Liu, Si; Jiang, Lin*

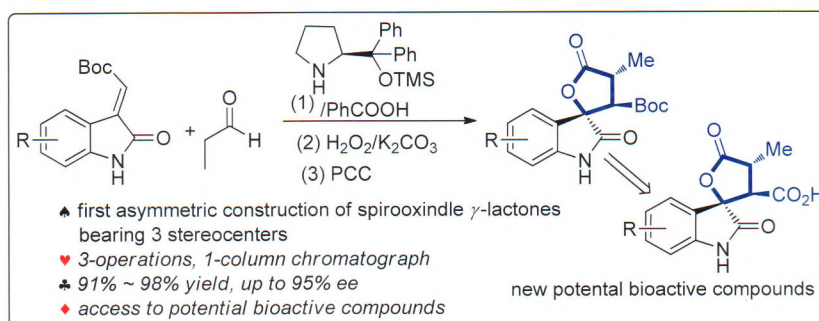
Chin. J. Org. Chem. **2017**, 37(10), 2767

Diterpenes from the Roots of *Salvia kiametiensis* Lévl

Through a variety of chromatographic techniques and spectroscopic methods, sixteen diterpenes were isolated and identified from the ethanol extraction of the roots of *Salvia kiametiensis* Lévl. Their structures were identified by spectroscopic methods, as well as by comparison of their spectral data with those of related compounds. 6,8,11,13-Abietatetraen-12-ol dimer (**1**) is a new abietane diterpenes dimer, and kiametin (**2**) is a new 7,8:7,20-diepoxy-nor-abietane diterpenes. All of the diterpenes were isolated from this plant for the first time.

Xia, Guanghui; Li, Yuanping; Bi, Dewen; Zhang, Lanjun; Li, Hongzhe*; Gao, Linhua; Wang, Liqin*

Chin. J. Org. Chem. **2017**, 37(10), 2772

An Efficient Asymmetric Construction of Novel Spiro-Fused 2-Oxindoles/ α -Methyparaconic Ester

Guo, Yanjun; Meng, Chenhong; Liu, Xueli; Xu, Danqian; Xia, Aibao*

Chin. J. Org. Chem. **2017**, 37(10), 2776

An efficient asymmetric construction of novel spiro-fused 2-oxindole/ α -methyparaconic ester is reported, which was offered via organocatalytic Michael reaction of propaldehyde and olefinic oxindoles, with subsequent $\text{H}_2\text{O}_2/\text{K}_2\text{CO}_3$ system-mediated α -hydroxylation/hemiacetalization cascade reaction under oil/water two-phase conditions, and final oxidative γ -lactonization by pyridinium chlorochromate (PCC).

HIGHLIGHTS

Chin. J. Org. Chem. **2017**, 37(10), 2783

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