有机化学

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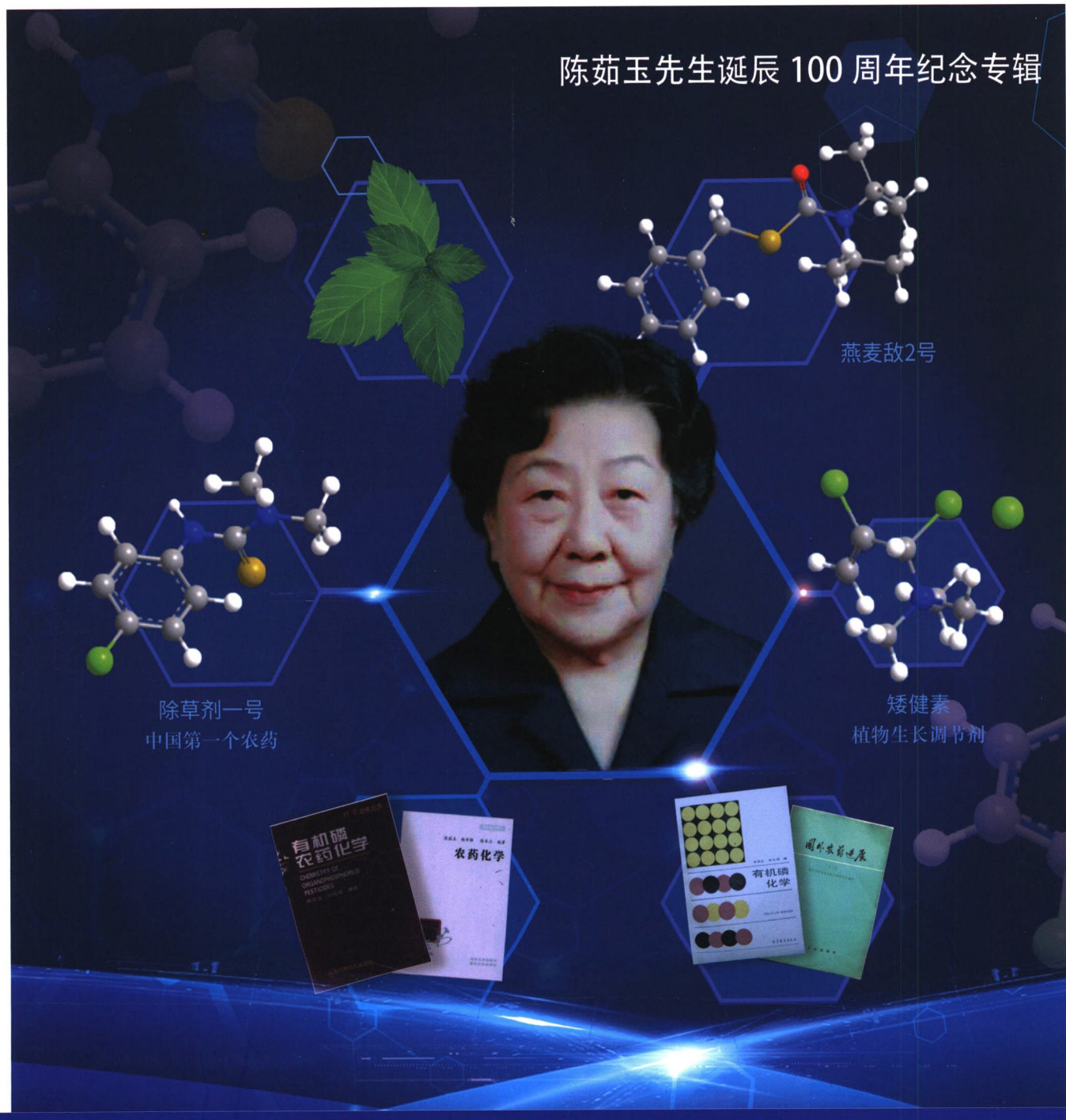

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* 通讯联系人.

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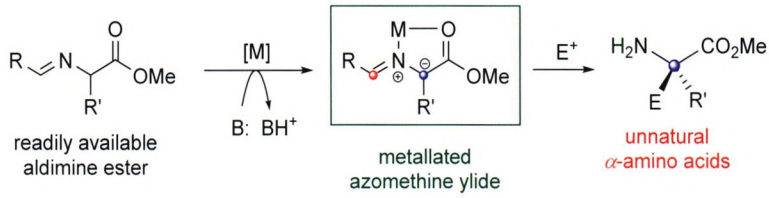
REVIEWS

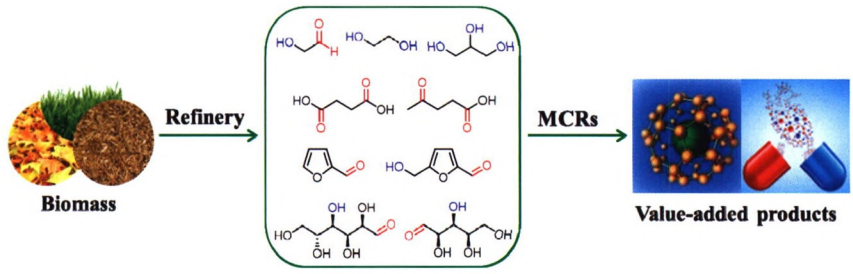
Recent Advances in Metallated Azomethine Ylides for the Synthesis of Chiral Unnatural α-Amino Acids



The recent progress in the development of new methods for the synthesis of unnatural α-amino acids using metallated azomethine ylides as starting materials is reviewed. The transition-metal catalyzed asymmetric Michael addition, Mannich reaction and allylic alkylation of azomethine ylides are mainly discussed.

Wei, Liang; Xiao, Lu; Hu, Yuanzheng; Wang, Zuofei; Tao, Haiyan; Wang, Chunjiang*
Chin. J. Org. Chem. **2019**, *39*(8), 2119

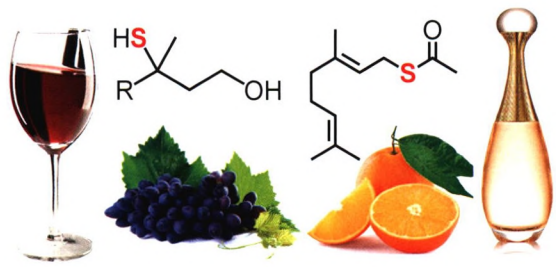
Multicomponent Reactions: A New Strategy for Enriching the Routes of Value-Added Conversions of Bio-platform Molecules



Diversity and multi-functionality of these biomass-derived molecules allowed us to use them as one of the starting materials of multicomponent reactions (MCRs). This review introduces some typical examples for the conversion of biomass-derived platform compounds to high value-added products through MCRs. The MCRs developed by using polyols, dicarboxylic acids, levulinic acid, furfural derivatives and sugars were all covered. At the end of this review, a perspective of this direction is also given.

Xu, Jing; Fan, Weigang; Popowycz, Florence; Queneau, Yves; Gu, Yanlong*
Chin. J. Org. Chem. **2019**, *39*(8), 2131

Recent Progress in the Sulfur-Containing Perfume & Flavors Construction

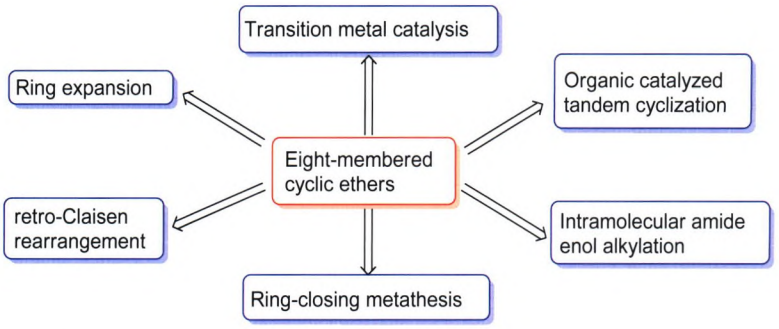


Due to the increase of sulfur-containing perfume and flavorss demand, the research on synthesis methods is deepening, and green and efficient construction methods are also constantly reported. In this review, the recent progress in the construction of sulfide, thiol, thioester and polysulfide perfume & flavors is summarized.

Wang, Ming; Wang, Cuihong*; Jiang, Xuefeng*
Chin. J. Org. Chem. **2019**, *39*(8), 2139

CONTENT

Progress in Synthesis of Eight-Membered Cyclic Ethers

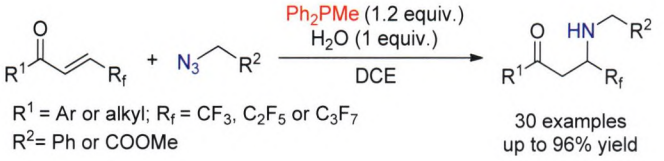


Eight membered cyclic ether compounds are common structural motifs in natural products and bioactive molecules. This review summarizes the recent development of the synthetic methods for eight membered cyclic ethers by transition metal catalysis, ring expansion, retro-Claisen rearrangement, ring-closing metathesis, intramolecular amide enol alkylation and organic catalyzed tandem cyclization.

Cheng, Cheng; Sun, Xiaobin; Miao, Zhiwei*
Chin. J. Org. Chem. **2019**, *39*(8), 2148

ARTICLES

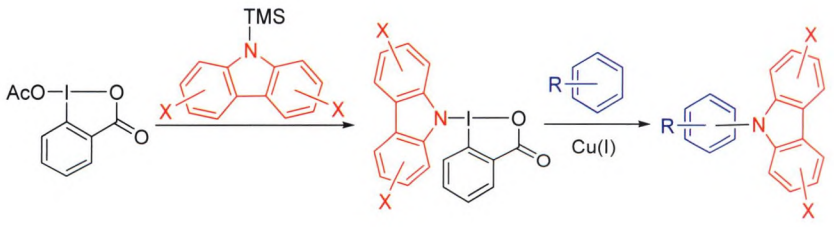
Phosphine-Mediated Sequential Staudinger/Aza-Michael Addition of Azides with Unsaturated Ketones to Synthesize β-Amino Substituted Ketones



Aza-Michael addition was reported to trifluoromethyl substituted α,β-unsaturated ketone to obtain hydroamination product mediated by phosphine, regarding azides as amine's sources of hydroamination. ^{31}P NMR experiments and control experiments indicate that this reaction was a Staudinger reaction/Aza-Michael addition process.

Cong, Tiantian; Wang, Huamin; Liu, Yuanyuan*; Wu, Haihong*; Zhang, Junliang*
Chin. J. Org. Chem. **2019**, *39*(8), 2157

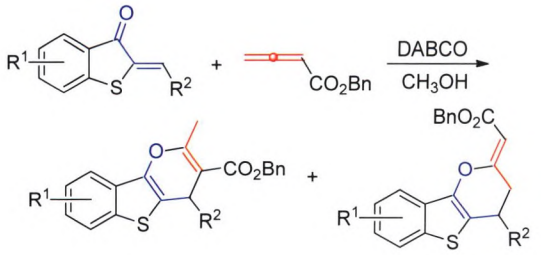
Carbazolation Study of Active Arenes with Carbazole-Containing Hypervalent Iodine(III) Reagents



A kind of stable cyclic hypervalent iodine reagents containing carbazole group was developed. These reagents reacted with aromatic substrates to give *N*-aryl carbazole derivatives under mild conditions. And a radical mechanism was proposed.

Lan, Tianlei; Zhang, Yue; Liu, Wei; Xi, Chan-juan; Chen, Chao*
Chin. J. Org. Chem. **2019**, *39*(8), 2166

1,4-Diazabicyclo[2.2.2]octane (DABCO)-Catalyzed [4 + 2] Domino Reaction of Allenoates: Synthesis of Benzo[4,5]thieno[3,2-*b*]pyran Derivatives



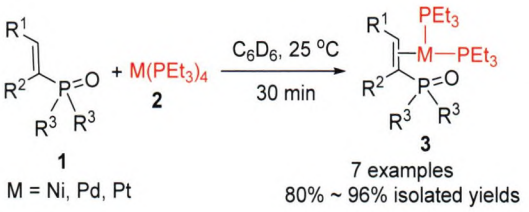
The 1,4-diazabicyclo[2.2.2]octane (DABCO)-catalyzed [4+2] annulation reaction between 2-alkylidenebenzothio phene-3(2*H*)-ones and allenoate has been developed. The substrate scope includes both electron-withdrawing and electron-donating groups on the benzothiophene moiety. This method can be carried out under mild conditions, and give a wide range of highly functionalized benzothiophene-fused γ-pyran derivatives in good yields with moderate selectivity.

Jia, Jiru; Yu, Aimin; Liu, Xuguang; Meng, Xiangtai*
Chin. J. Org. Chem. **2019**, *39*(8), 2175

Synthesis and Reactivity of Group 10 Transition Metal Complexes with Alkenylphosphoryl Compounds

Chen, Tieqiao; Liu, Long; Huang, Tianzeng; Han, Li-Biao*

Chin. J. Org. Chem. **2019**, *39*(8), 2183

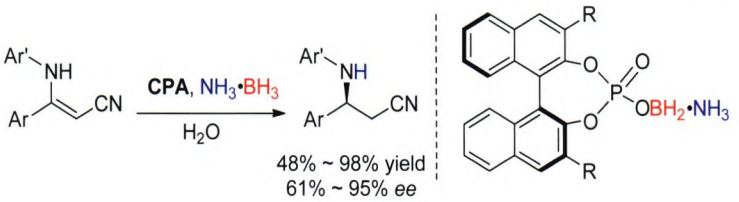


Group 10 metal complexes with alkenylphosphoryl compounds were synthesized by a simple method. Their reactivity is also preliminarily investigated.

Asymmetric Transfer Hydrogenations of β-Enamine Cyanide with Chiral Ammonia Borane

Zhou, Qiwen; Feng, Xiangqing; Yang, Jing*; Du, Haifeng*

Chin. J. Org. Chem. **2019**, *39*(8), 2188

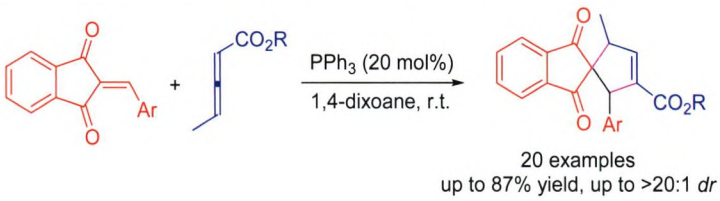


The asymmetric transfer hydrogenation of β-enamino cyanides was successfully realized with regenerable chiral ammonia boranes, which was formed *in situ* by a hydrogen release reaction of chiral phosphoric acid and ammonia borane. A variety of β-amino cyanides were obtained in 48%~98% yields with 61%~95% *ee*.

Phosphine-Catalyzed [3+2] Annulations with γ-Methyl Allenoates

Ma, Rong; Song, Gege; Xi, Qiuzhen; Yang, Liu; Li, Er-Qing*; Duan, Zheng*

Chin. J. Org. Chem. **2019**, *39*(8), 2196

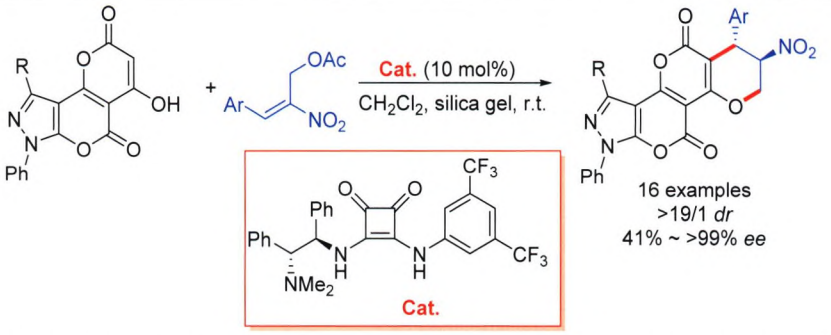


The phosphine-catalyzed [3+2] annulation of γ-methyl allenoates with 2-arylidene-1*H*-indene-1,3(2*H*)-diones is reported. In the reaction, a series of highly functionalized spiro[4.4]dec-6-ene skeletons were obtained in moderate to good yields, with perfect regioselectivities and high diastereoselectivities. It should be noted that the perfect α-regioselective annulation adducts were obtained with simple PPh_3 catalyst.

Asymmetric Synthesis of Novel Fused Polycyclic 3,4-Dihydropyrano[4,3-*b*]pyran-5(2*H*)-ones via an Organocatalyzed Formal [3+3] Annulation

Xiao, Yuanyuan; Wang, Youming; Zhou, Zhenghong*

Chin. J. Org. Chem. **2019**, *39*(8), 2203

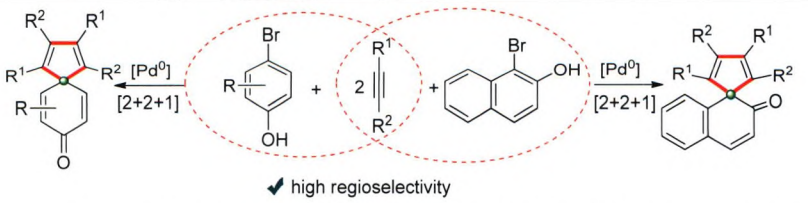


A bifunctional chiral squaramide catalyzed [3+3] annulation of 4-hydroxy-2*H*-pyrano[2',3':4,5]pyrano[2,3-*c*]pyrazole-2,5(7*H*)-diones and (*E*)-2-nitroallyl acetates was achieved, affording the corresponding novel fused polycyclic 3,4-dihydropyrano[4,3-*b*]pyran-5(2*H*)-ones in acceptable yields with moderate to excellent enantioselectivities.

Pd-Catalyzed Dearomative Spirocyclization of Bromophenols via [2+2+1] Strategy

Li, Kunyu; Bai, Lu*; Luan, Xinjun*

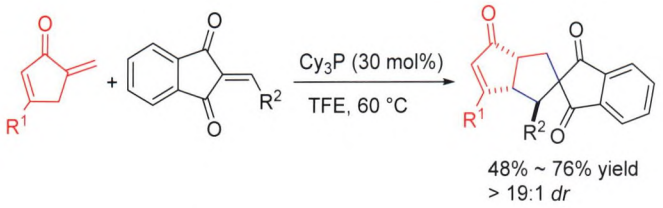
Chin. J. Org. Chem. **2019**, *39*(8), 2211



A novel palladium(0)-catalyzed dearomative spirocyclization reaction of bromophenols has been developed for building a series of spirocyclic architectures containing a quaternary carbon center via [2+2+1] strategy. Notably, this transformation can be realized with high regioselectivity (>19:1 *rr*) when using unsymmetrical alkynes, which greatly expands the research scope of phenol dearomatization.

CONTENT

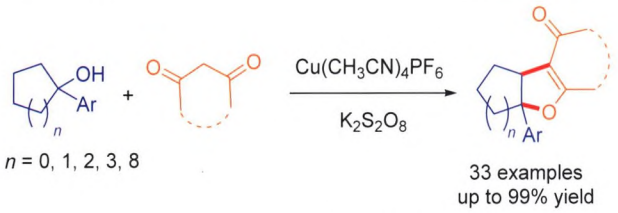
Phosphine-Catalyzed Formal [6+2] Cycloadditions of α′-Methylene 2-Cyclopentenones



The formal [6 + 2] cycloaddition reaction of α′-methylene-2-cyclopentenones and 2-alkylidene-1,3-indanediones was developed catalyzed by tricyclohexyl phosphine, through a cascade remote γ-regioselective Rauhut-Currier-type reaction and β′-regioselective intramolecular Michael addition process. An array of fused bicyclic frameworks incorperating a spiro-1,3-indanedione motif were produced in fair to good yields with excellent diastereoseletivity.

Shi, Chonghui; Xiao, Benxian; Du, Wei; Chen, Yingchun*
Chin. J. Org. Chem. **2019**, *39*(8), 2218

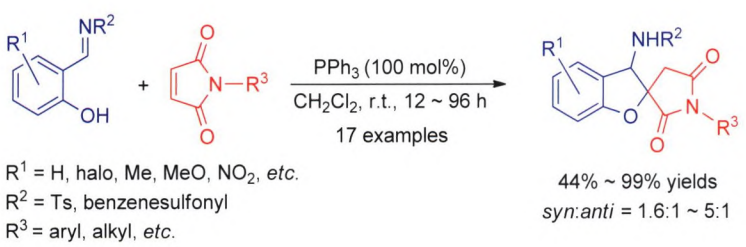
Copper-Catalyzed Cyclization of 1-Aryl-1-cycloalcohols and 1,3-Dicarbonyl Compounds: Synthesis of Cycloalkane-Fused Dihydrofuran Derivatives



A novel method of copper-catalyzed cyclization of 1-aryl-1-cycloalcohols and 1,3-dicarbonyl compounds has been developed, affording various cycloalkane-fused dihydrofurans in moderate to excellent yields. This transformation proceeds under mild conditions and with a good tolerance of functional groups. The mechanistic investigation revealed that the reaction involved radical intermediates.

Yang, Shengbiao; Li, Yan*; Zhang, Qian*
Chin. J. Org. Chem. **2019**, *39*(8), 2226

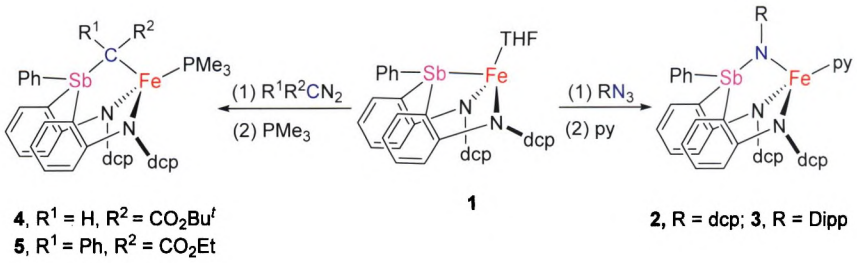
Phosphine-Catalyzed [4 + 1] Annulation of Salicyl Imines with Maleimides and Synthesis of Spiro[benzofuran-2,3'-pyrrolidine] Derivatives



A phosphine-catalyzed [4+1] annulation between salicyl imines and maleimides is reported, which readily produces spiro[benzofuran-2,3'-pyrrolidine] derivatives in 44%~99% yields. It represents a new example of the phosphine-catalyzed [4+1] annulation via *in situ* generated non-allylic P-ylides.

Yang, Mei; Cao, Shixuan; He, Zhengjie*
Chin. J. Org. Chem. **2019**, *39*(8), 2235

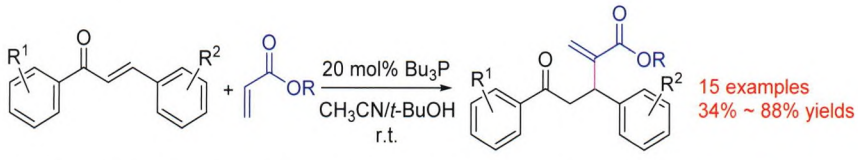
A High-Spin Iron(II) Complex Supported by a Tridentate Diamidostibine Ligand: Synthesis, Structure and Its Reactions with Organic Azides and Diazo Compounds



The first high-spin iron(II) complex [(κ^3-*N*,*N*,*Sb*-^{dcp}N$_2$Sb)Fe(THF)] (**1**) with organic stibine ligation was synthesized and structurally characterized. The high-spin iron(II) complex **1** can react with organic azides RN_3 and diazo compounds to furnish the high-spin iron(II) complexes that bear tridentate bisamido-stibonium imine ligands and bisamido-stibonium ylide ligands.

Wang, Peng; Xiao, Jie; Leng, Xuebing; Deng, Liang*
Chin. J. Org. Chem. **2019**, *39*(8), 2243

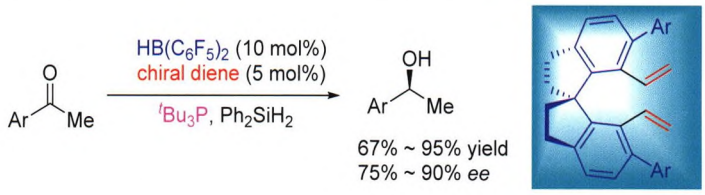
Tributylphosphine Catalyzed Cross Rauhut-Currier Reaction of Chalcones and Acrylates



The intermolecular cross Rauhut-Currier reactions of chalcones and acrylates have been developed. In the presence of the tributylphosphine catalyst, the reactions were performed well with a series of substrates delivering the desired products in acceptable to good yields under mild conditions, which provided an effective atom-economic method to construct C—C bond.

Gu, Yingchun; Huang, You*
Chin. J. Org. Chem. **2019**, *39*(8), 2251

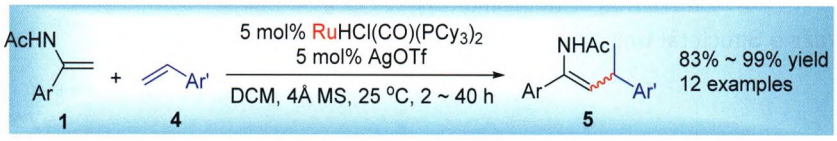
Chiral Spiro Dienes Derived Boranes for Asymmetric Hydrosilylation of Ketones



A variety of chiral dienes bearing C_2-symmetric 1,1'-spirobiindane framework were obtained via a five-step synthesis. Using the combination of chiral diene-derive borane and tri-*tert*-butylphosphine as a frustrated Lewis pairs catalyst, the asymmetric hydrosilylation of simple ketones was realized to afford the desired products with up to 90% *ee*.

Wang, Qiaotian; Han, Caifang; Feng, Xiangqing*; Du, Haifeng*
Chin. J. Org. Chem. **2019**, *39*(8), 2257

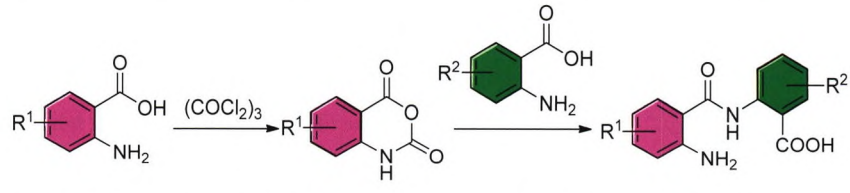
Ruthenium Catalyzed Highly Chemo- and Regio-selective Codimerization of *N*-Acetyl α-Arylethenamines with Vinylarenes



A highly chemo- and regio-selective ruthenium-catalyzed codemerization of *N*-acetyl α-arylethenamines with vinylarenes has been developed, providing the head-to-tail codimerization products poly-substituted *N*-acetyl enamides with up to 99% yield.

Wang, Qiushi; Xie, Jianhua*; Zhou, Qilin*
Chin. J. Org. Chem. **2019**, *39*(8), 2264

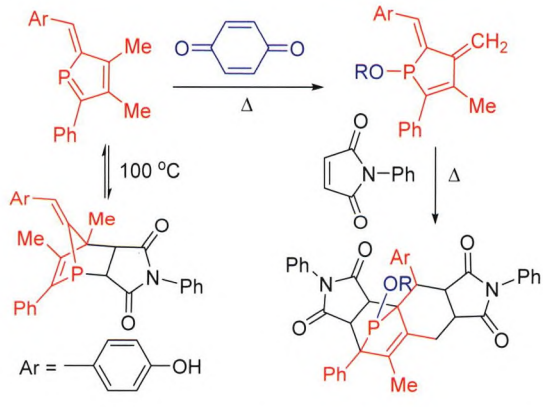
One-Pot Synthesis of 2-((2-Aminobenzoyl)amino)benzoic Acid Derivatives



An efficient and one-pot method for the preparation of 2-((2-aminobenzoyl)amino)-benzoic acid derivatives has been reported. Twenty 2-((2-aminobenzoyl)amino)benzoic acid derivatives were prepared with 84%~99% yields.

Wan, Linxi; Gao, Feng; Chen, Wei*; Zhou, Xianli*
Chin. J. Org. Chem. **2019**, *39*(8), 2270

Synthesis of Polycyclic Phosphacycles via 1-Phosphafulvene

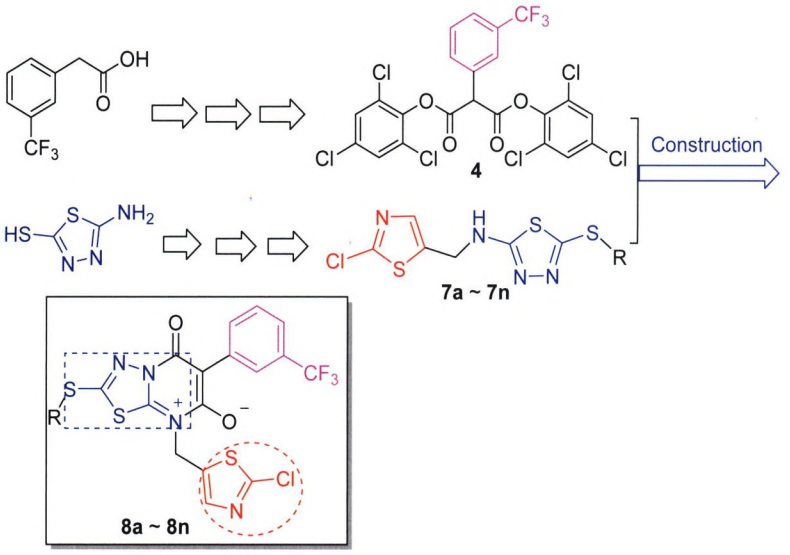


1-Phosphafulvenes are unique in their cycloaddition reactions, which act as 2π, 4π, and 6π systems and provide versatile and powerful approaches to various polycyclic systems. The reaction of 1-phosphafulvene with 1,4-benzoquinone and *N*-phenylmaleimide upon heating provided phosphapolycyclic rings in moderate to good yields. The possible mechanism included an oxidative addition of 1-phosphafulvene with 1,4-benzoquinone and successive Diels-Alder reactions with *N*-phenylmaleimide.

Shen, Ningning; Liu, Yanjie; Tian, Rongqiang*; Duan, Zheng*; Mathey, Francois
Chin. J. Org. Chem. **2019**, *39*(8), 2277

CONTENT

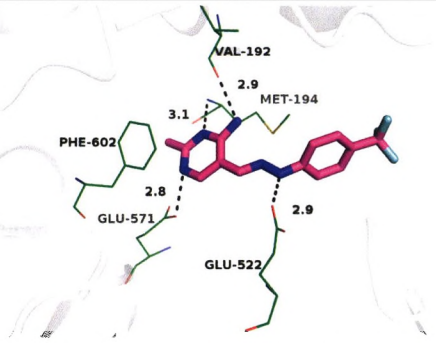
Synthesis and Biological Activity of Novel 1,3,4-Thiadiazolo[3,2-*a*]pyrimidinone Mesoionic Derivatives



He, Wenjing; Liu, Denyue; Gan, Xiuhai; Zhang, Jian; Liu, Zhengjun; Yi, Chongfen; Song, Bao'an*
Chin. J. Org. Chem. **2019**, *39*(8), 2287

A series of novel 1,3,4-thiadiazolo[3,2-*a*]pyrimidinone mesoionic derivatives were designed and synthesized, and their insecticidal activity and antibacterial activity were also evaluated.

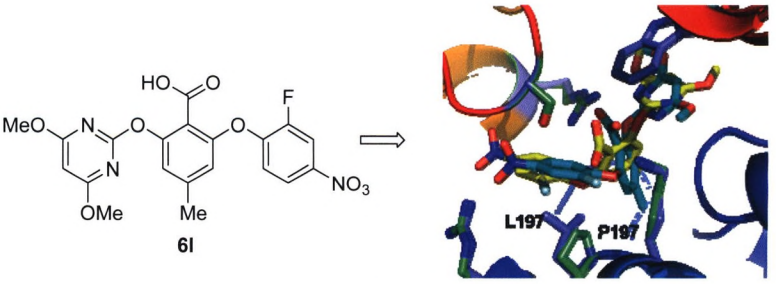
Synthesis and Biological Evaluation of Pyrimidine Derivatives Containing Hydrazine Structural Unit



He, Haifeng; Xia, Qin; He, Hongwu*
Chin. J. Org. Chem. **2019**, *39*(8), 2295

Pyruvate dehydrogenase multienzyme complex (PDHc) is a key enzyme linking glycolysis and the tricarboxylic acid cycle. Currently, there is no fungicide targeting pyruvate decarboxylase (PDHc-E1), the purpose of this study was to obtain compounds with bactericidal activity by designing inhibitors against PDHc-E1. Fourteen novel aminopyrimidine derivatives **I** were designed and synthesized by the condensation reaction of hydrazine and aldehydes as potential PDHc-E1 inhibitors.

Design, Synthesis and Bioactivity of New Pyrimidyl-salicylate Inhibitors



Binding mode for compound **6l** interactions wild-type AtAHAS (yellow) and P197L mutant (blue) are overlaid

Qu, Renyu; Yan, Yaochao; Yang, Jingfang; Chen, Qiong*; Yang, Guangfu*
Chin. J. Org. Chem. **2019**, *39*(8), 2303

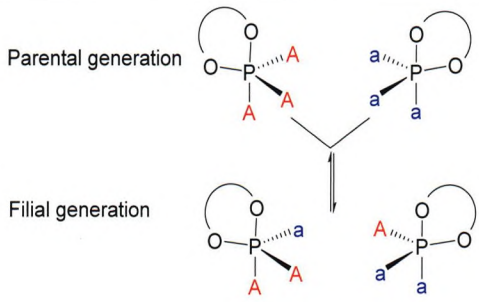
13 new types of acetohydroxyacid synthase (AHAS) inhibitors were designed via "conformation flexibility analysis" strategy and then successfully synthesized. Among of them, compound **6l** showed good inhibition activity against both wild-type *At*AHAS and P197L mutant, which could be considered as potential antiresistance inhibitors. The herbicidal activity of some compounds showed good inhibition rate for resistant weed (P197L AHAS).

NOTES

Intermolecular Ligand Exchange of Penta-oxy Phosphoranes: Potential Chemical Model for RNA Hydrolysis and Fusion

Wang, Xun; Chen, Su; Wu, Yile; Wang, Xiaoyu; Tang, Guo; Liu, Yan; Xu, Pengxiang; Gao, Xiang*; Zhao, Yufen*

Chin. J. Org. Chem. **2019**, *39*(8), 2311

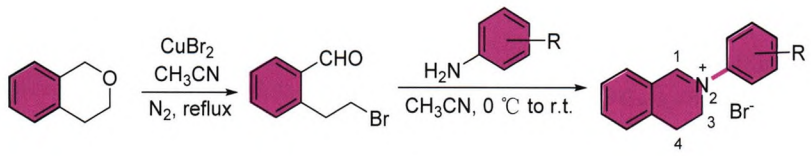


Penta-coordinated phosphoranes (**ab$_2$**) with a five-member cycle and three ligands would simultaneously exchange with themselves under base catalysis to form three different penta-oxy phosphoranes with all the combinatorial ligands referred as **a$_3$**, **b$_3$**, and **a$_2$b**. If we consider **a$_3$** and **b$_3$** as parents, the products obtained from exchange, namely **a$_2$b** and **ab$_2$**, could be regarded as the offspring of the first generation, leading to the diversified chemical structures.

Design, Synthesis and Structure-Activity Relationships of Plant-Based 2-Aryl-3,4-dihydroisoquinolin-2-iums as Potential Antifungal Agents

Chen, Wei*; Zuo, Huailong; Li, Yuxin; Liu, Jiang; Zhou, Xianli

Chin. J. Org. Chem. **2019**, *39*(8), 2317

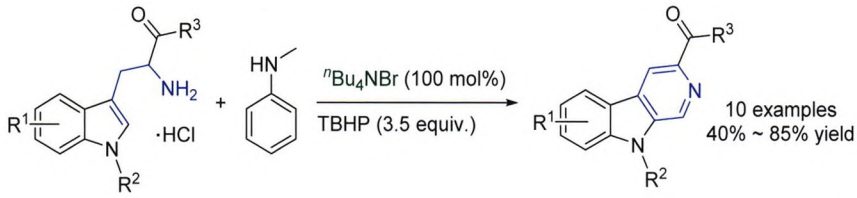


In order to discover more potent antifungal, a series of 2-aryl-3,4-dihydroisoquinolin-2-iums were reasonable designed and productive synthesized by introducing benzoic acid and phenol pharmacophores into the 2-position of isoquinoline. Their structures were identified by NMR and HRMS. The preliminary *in vitro* antifungal results showed that most of the title compounds exhibited moderate to significant inhibitory activities against various phytopathogenic fungi at 50 μg•mL^{-1}, and were equal to controls (chlorothalonil, carbendazim).

Synthesis of β-Carbolines through Tetra-*n*-butylammonium Bromide-Mediated Cycloaromatization Reaction of *N*-Methylaniline with Tryptophan Derivatives

Wang, Zhen; Zhang, Ling; Zhang, Fugeng*; Wang, Bin*

Chin. J. Org. Chem. **2019**, *39*(3), 2323

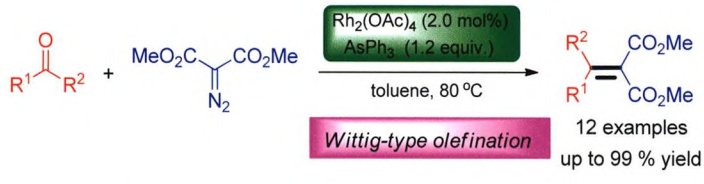


A mild and efficient ^{n}Bu$_4$NBr-mediated oxidative cycloaromatization to prepare β-carbolines from readily available tryptophans and *N*-methylaniline is described. The present metal free protocol is complementary to the existing methods for the synthesis of aromatic β-carbolines.

$Rh_2(OAc)_4$ Catalyzed Wittig-Type Olefination: A Facile Access to Alkylidene and Arylidene Malonates

Deng, Chao; Zhou, Jiaolong*; Liu, Huakui; Wang, Lijia*; Tang, Yong*

Chin. J. Org. Chem. **2019**, *39*(8), 2328

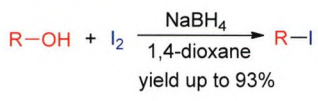


In the presence of triphenylarsine and catalytic amount of $Rh_2(OAc)_4$, one pot reactions with carbonyl compounds and dimethyl diazomalonate give the corresponding alkylidene and arylidene malonates in moderate to excellent yields (59%～99%).

$NaBH_4/I_2$-Mediated Efficient Iodination of Alcohols

Fan, Zhengning; Zhang, Bo; Xi, Chanjuan*

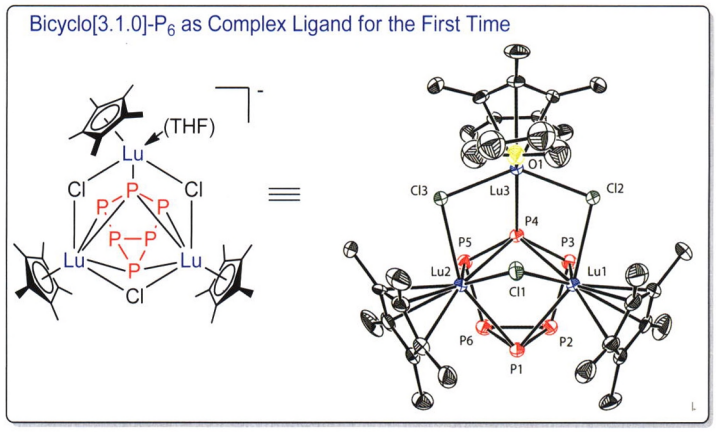
Chin. J. Org. Chem. **2019**, *39*(8), 2333



An iodination method using the inexpensive, safe, and readily available reagents $NaBH_4$ and I_2 in 1,4-dioxane is introduced. Various alcohols are transformed into the corresponding organic iodides in high yields under mild condition.

CONTENT

Isolation and Characterization of a Trinuclear Rare-Earth Metal Complex Containing a Bicyclo[3.1.0]-P_6^{4-} Ligand



A trinuclear rare-earth metal complex from lutetacyclopentadiene mediated P_4 functionalization was isolated and characterized. This novel complex contains a bicyclo[3.1.0]-P_6^{4-} ligand which is an unreported type. The bonding situations of this complex were discussed based on X-ray diffraction analysis and density functional theory (DFT) calculations.

Du, Shanshan; Chai, Zhengqi; Hu, Jingyuan; Zhang, Wen-Xiong*; Xi, Zhenfeng
Chin. J. Org. Chem. **2019**, *39*(8), 2338

HIGHLIGHTS

Chin. J. Org. Chem. **2019**, *39*(8), 2343

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