

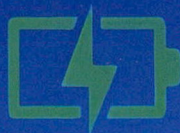
有机化学

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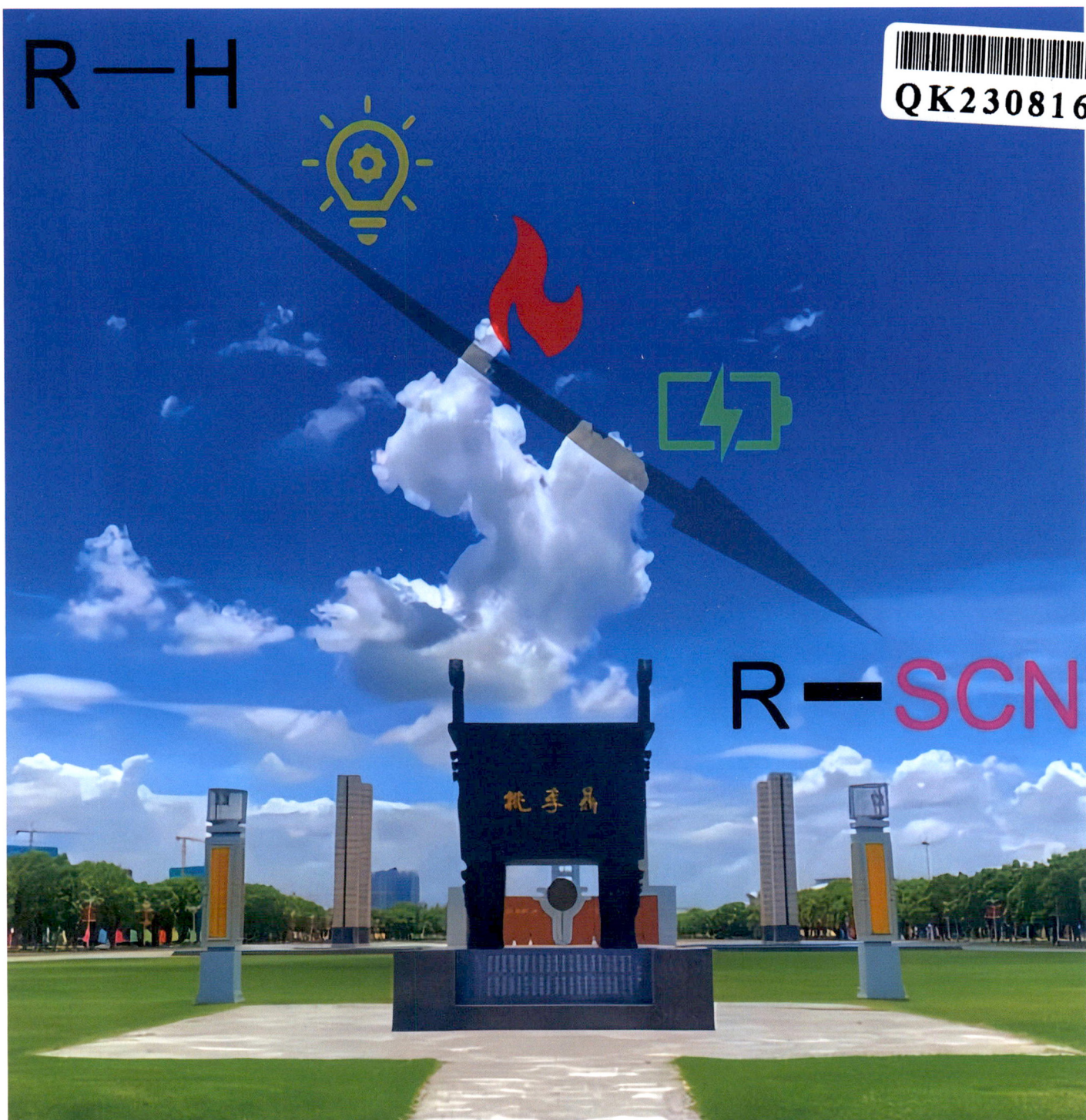
R—H



R—SCN



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万方数据



中国科学院上海有机化学研究所 主办
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(YOUJI HUAXUE)

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* 通讯联系人.

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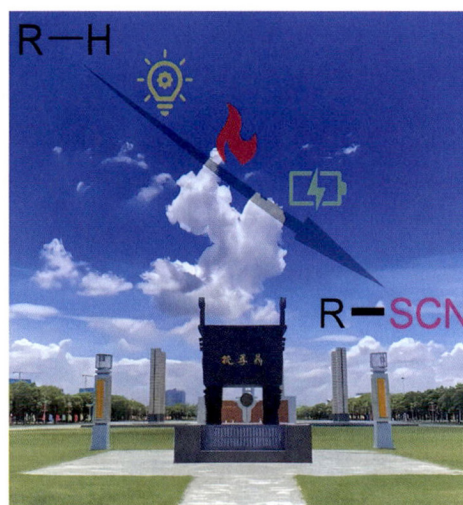
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Cover Picture: Transition Metal-Free C—H Thiocyanation and Selenocyanation Based on Thermochemical, Photocatalytic and Electrochemical Process

The recent research advances on transition metal-free C—H thiocyanation reaction is reviewed by Xu, Wan and Liu on page 2425. The review presents the state-of-the-art in sustainable synthesis of organo thiocyanates and related cyclic scaffolds without using transition metal reagent. The reactions with thermo-, photo- and electrochemical promotion are covered.



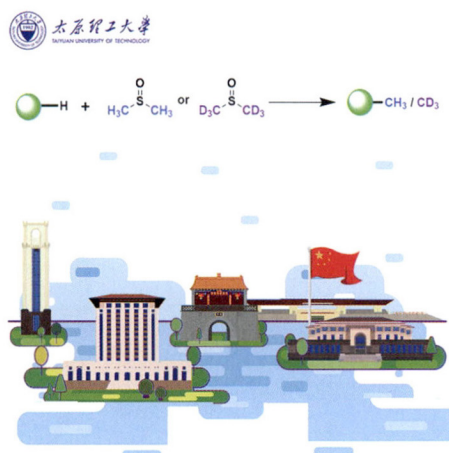
Inside Cover: O₂-Enabled C—H Imination of Five-Membered Cyclic Enamines

An O₂-enabled C—H imination of five-membered cyclic enamines is reported by Fan on page 2492. Metal-free catalytic three-component reactions of tetronic acid- or 1,3-cyclopentanedione-derived enamines with arylglyoxals and arylamines worked well under microwave irradiation, furnishing a series of α -enamino- α -aroyl imines with good yields and complete stereoselectivity. In this reaction process, air serves as the green oxidant with water as the sole byproduct.



Inside Back Cover: Application of Dimethyl Sulfoxide as Methylating Reagent in Organic Synthesis

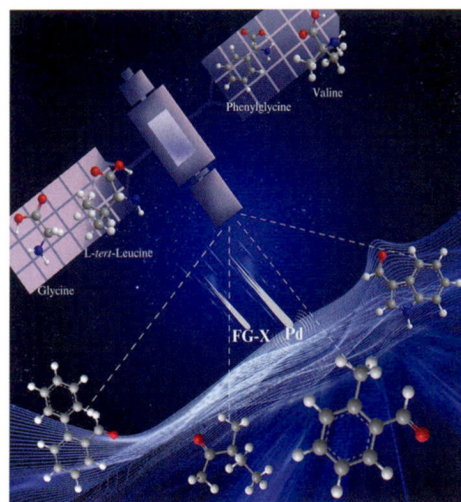
The introduction of methyl groups into drug molecules can change their pharmacokinetic and pharmacological properties. This “magic methyl effect” makes methylation a very important reaction in the field of organic synthesis and pharmaceutical chemistry. By focusing on the cross-coupling reaction and free radical reaction, the application of dimethyl sulfoxide (DMSO)/DMSO-*d*₆ as methylation/trideuterium methylating reagent in organic synthesis is systematically described, and the relevant reaction mechanism, development trend and challenges in the synthesis process are discussed by Tian, Zhang, Gao, and Chang on page 2391.



CONTENT

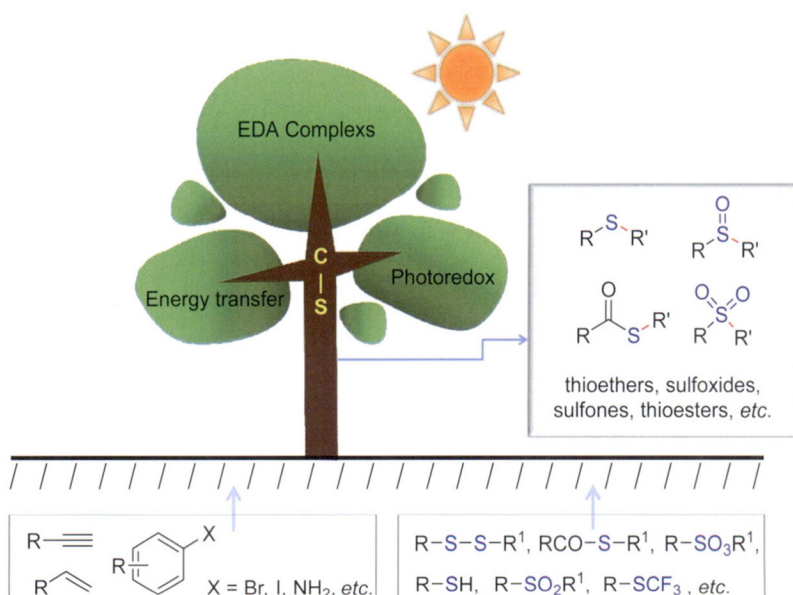
Back Cover: Research Progress of Amino Acids as Transient Directing Groups in C—H Bond Activation Reactions

Amino acids as transient directing groups (TDGs) for C—H activation have recently been received increasing attention in synthetic chemistry because of their unique advantages, including low cost, widely existing, and diverse structures. The recent progress and advances in transition metal-catalyzed site-selective and asymmetric C—H bond functionalization of various aldehydes and ketones using amino acid-based TDGs are summarized by Dong, Li, Qin, Fan and Liu on page 2351



REVIEWS

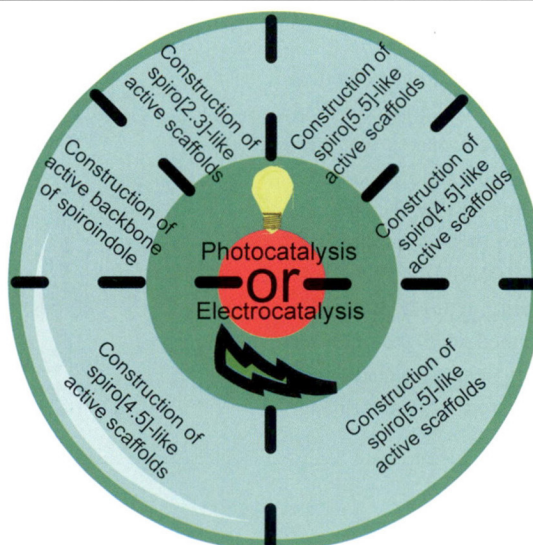
Recent Progress in the C—S Bond Formation Reactions Mediated by Visible Light



Wu, Min; Liu, Bo; Yuan, Jialong; Fu, Qiang; Wang, Rui*; Lou, Dawei*; Liang, Fushun*
Chin. J. Org. Chem. **2023**, 43(7), 2269

According to the classification of the reaction mechanisms, the methods of C—S bond construction based on photo-redox catalysis, electron donor-acceptor complexes and energy transfer are summarized, and the advantages and disadvantages and future directions of this important field are also discussed.

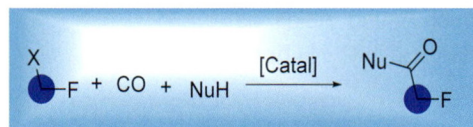
Research Progress in Synthesis of Spirocyclic Compounds Driven by Photo/Electrochemistry



This article is mainly classified by the construction of snail [4.5] skeleton, snail [5.5] skeleton, snail [2.3] skeleton, and spiro-indoles skeleton. Moreover, the construction of spirocyclic compounds is reviewed from two aspects: photocatalysis and electrocatalytic synthesis.

Chen, Ning; Zhang, Chengdong; Li, Peng; Qiu, Ge; Liu, Yinjie*; Tianlei Zhang*
Chin. J. Org. Chem. **2023**, 43(7), 2293

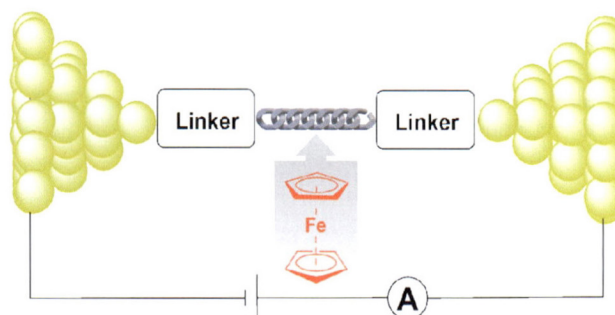
Progresses on Fluorocarbon-Containing Substrates Involved Carbonylation Reactions



An, Da-Lie; Bao, Zhi-Peng; Wu, Xiao-Feng*
Chin. J. Org. Chem. **2023**, 43(7), 2304

The progresses on fluorocarbon-containing substrates carbonylation reactions based on transition metal palladium, nickel and copper catalysis have been summarized and discussed.

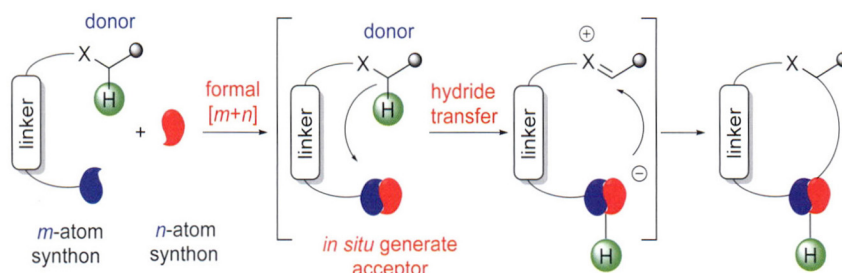
Research Progress of Electron Transport Properties in Ferrocene-Containing Single-Molecule Junctions



Zuo, Xin; Xu, Shinuo; Chen, Zhongyang;
Yan, Jianfeng*; Yuan, Yaofeng*
Chin. J. Org. Chem. **2023**, 43(7), 2313

Based on the structural properties of the molecules, metallocene molecular wires are classified into two types: π -conjugated and non π -conjugated. The work on the electron transport properties of ferrocene-containing single-molecule junctions in the last decade is summarized in terms of the conformational relationships of the molecules, in the hope of providing a reference for future research on ferrocene molecular wires.

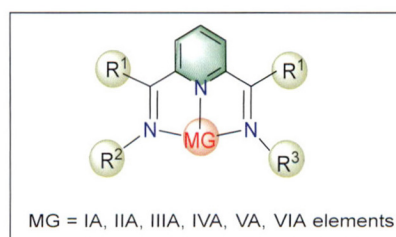
Research Progress on Construction of Heterocyclic Skeletons Based on α -Hydride Transfer Strategy



Chen, Yuzhuo; Sun, Hongmei; Wang, Liang;
Hu, Fangzhi*; Li, Shuaishuai*
Chin. J. Org. Chem. **2023**, 43(7), 2323

The $[m+n]$ cyclization reactions based on hydride transfer strategy are selected as the research object. Starting from the framework of aza- and oxa-heterocycles constructed by this kind of reaction, this review is classified according to the size of the generated aza- and oxa-heterocycles. The recent progress of $[m+n]$ cyclization based on hydride transfer strategy since 2018 is summarized, and the development of this field is also prospected.

Recent Progress in the Main Group Complexes with the 2,6-Pyridinediimine



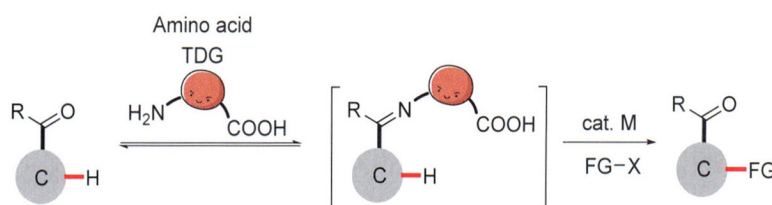
Yang, Xingxing; Fan, Yonghao; Cui, Jing-jing*
Chin. J. Org. Chem. **2023**, 43(7), 2338

As a tridentate ligand with redox activity, 2,6-pyridinediimine (PDI) can coordinate with main group (MG) elements. Due to the inexpensive, readily available, and relatively low-toxic of main group elements, the development of PDI-MG complexes has grown rapidly in recent years. The PDI-MG complexes are summarized according to the group number of the MG. At the same time, the synthetic methodology together with the reactivities of these reported PDI-MG complexes is summarized and the oxidation states of their ligands are emphatically analyzed.

CONTENT

Research Progress of Amino Acids as Transient Directing Groups in C—H Bond Activation Reactions

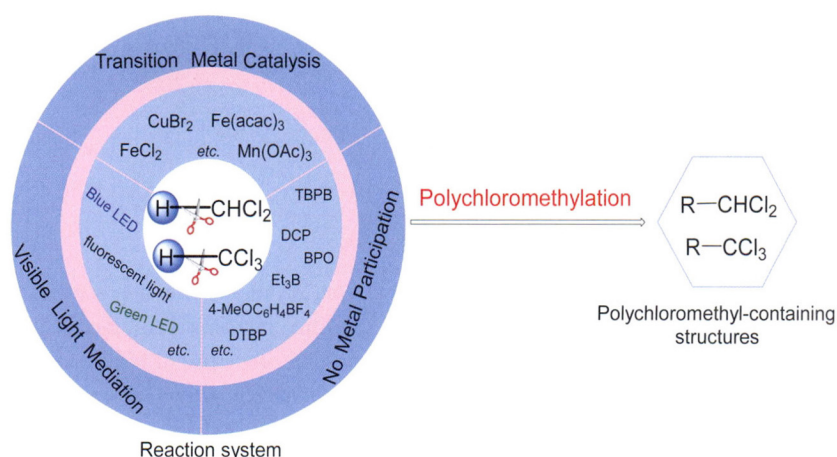
Dong, Sifan; Li, Haolong; Qin, Yuan; Fan, Shiming*; Liu, Shouxin*
Chin. J. Org. Chem. **2023**, 43(7), 2351



Using amino acid as transient directing groups (TDGs) for C—H activation has achieved significant success, which exhibits excellent capabilities of controlling site selectivity and stereo-selectivity in reactions.

Research Progress of Polychloroalkylation Based on C—H Bond Cleavage

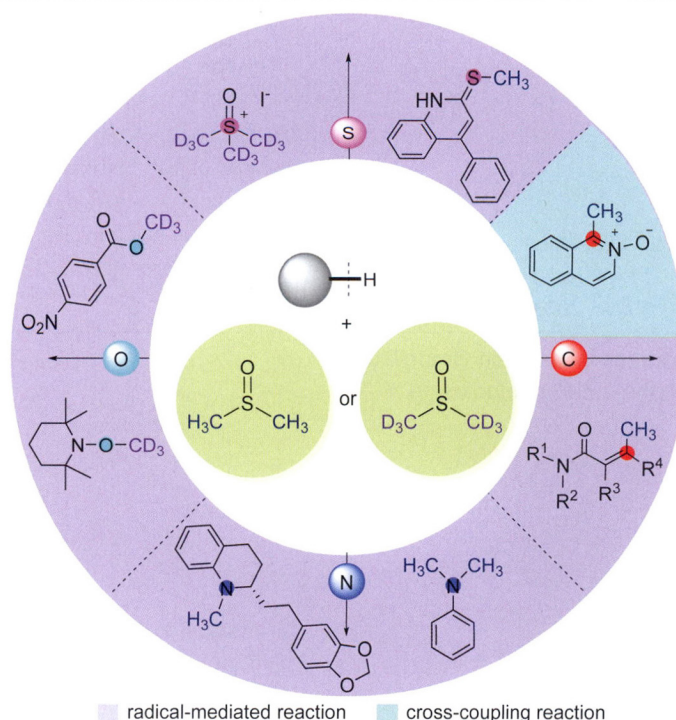
Huang, Fen; Luo, Weiwei; Zhou, Jun*
Chin. J. Org. Chem. **2023**, 43(7), 2368



The use of dichloromethane and trichloromethane as precursors of polychloroalkyl is summarized, starting from different reaction systems (transition metal catalyzed, visible light mediated, metal-free involved), the relevant work of polychloroalkylation reactions based on C—H bond breaking strategy in the last decade is reviewed, and the reaction design, mechanism research, and research prospects are reviewed.

Application of Dimethyl Sulfoxide as Methylating Reagent in Organic Synthesis

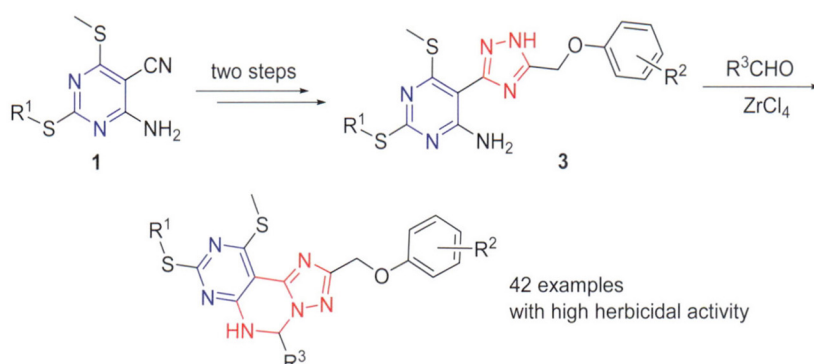
Tian, Yu; Zhang, Juan; Gao, Wenchao; Chang, Honghong*
Chin. J. Org. Chem. **2023**, 43(7), 2391



By focusing on the cross-coupling reaction and free radical reaction, the application of dimethyl sulfoxide (DMSO)/DMSO-*d*₆ as methylation/trideuterium methylating reagent in organic synthesis is systematically described, and the relevant reaction mechanism, development trend and challenges in the synthesis process are discussed.

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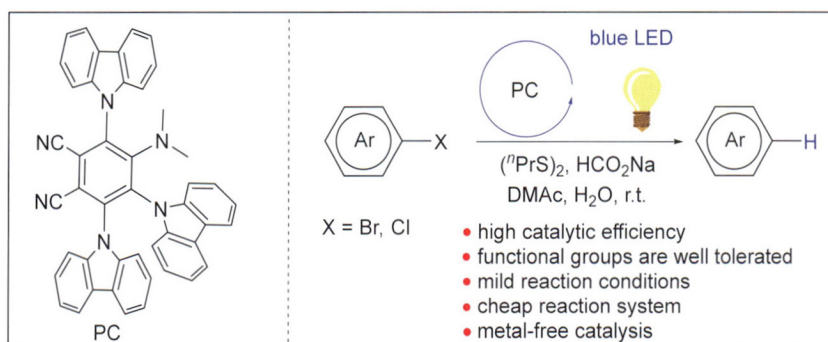
Synthesis and Herbicidal Activity of Novel Pyrimido[5,4-*e*][1,2,4]triazolo[1,5-*c*]pyrimidine Derivatives



42 pyrimido[5,4-*e*][1,2,4]triazolo[1,5-*c*]pyrimidine compounds were designed and synthesised using 2-(alkylthio)-5-carbonitrile-6-(methylthio)pyrimidine-4-amino as starting materials. The preliminary herbicidal test indicated that many newly synthesized compounds exhibited excellent herbicidal activities against the monocotyledons (*Triticum aestivum* L., *Echinochloa crusgalli*, and *Sorghum bicolor*) and dicotyledons (*Raphanus sativus*, *Brassica campestris*, and *Cucumis sativus*) at the concentration of 100 mg/L.

Lin, Hai; Nie, Huixiang; Zhao, Anlin; Wang, Tao*; Luo, Jin*
Chin. J. Org. Chem. **2023**, 43(7), 2462

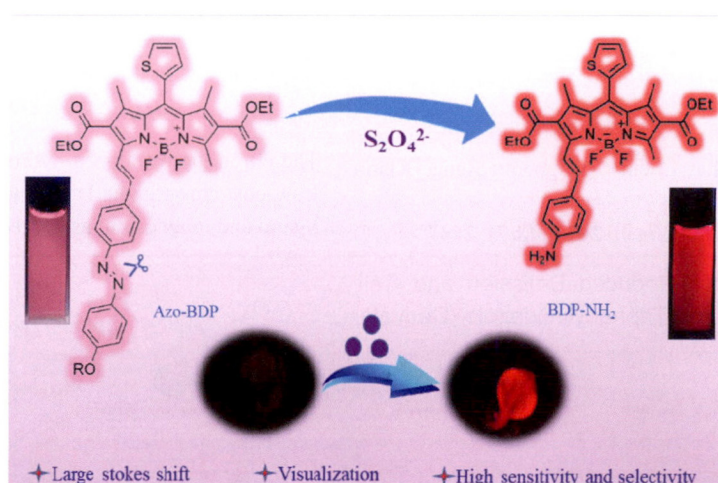
Design and Synthesis of Thermal Delayed Fluorescence (TADF) Photocatalyst and Its Photocatalytic Dehalogenation Performance



A system for the dehalogenation of aryl halohydrocarbons was established, which using thermal delayed fluorescence (TADF) material as photocatalyst and disulfide as hydrogen transfer catalyst. Different organic halides (including C—Br and C—Cl bonds) can be dehalogenated with moderate to excellent yields.

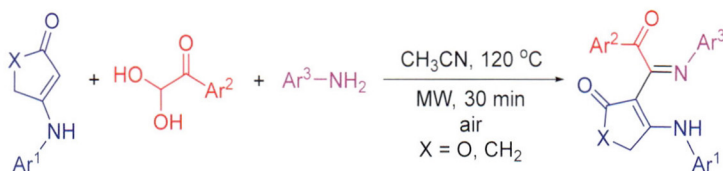
Liu, Yaxin; Zhang, Yu; Luo, Shuping*
Chin. J. Org. Chem. **2023**, 43(7), 2476

Near-Infrared Visualization Fluoroboron Dipyrrole (BODIPY) Fluorescent Probe with Large Stokes Shift for Detecting Na₂S₂O₄ *in vivo*



Two near-infrared fluorescent probes Azo-BDP1 and Azo-BDP2 were rationally designed and synthesized with large Stokes shift of 217 and 224 nm, respectively. As two visualized probes for Na₂S₂O₄, Azo-BDP1 and Azo-BDP2 exhibited high sensitivity and selectivity. The reaction-based recognition mechanism was confirmed by mass spectral analysis, in addition, fluorescence imaging studies in zebrafish embryos and in zebrafish proved that the probe Azo-BDP1 is suitable for the detection of Na₂S₂O₄ *in vivo*.

Zhao, Xiaolong*; Guo, Liangwu; Li, Yuqing; Ran, Qiyuan; Wu, Huihui; Zhang, Zhen; Su, Yingpeng; Zhou, Pengxin; Yan, Na
Chin. J. Org. Chem. **2023**, 43(7), 2484

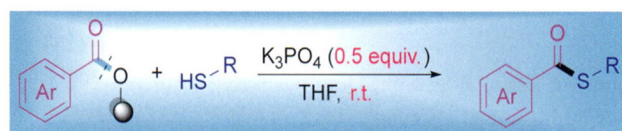
O₂-Enabled C—H Imination of Five-Membered Cyclic Enamines

An O₂-enabled C—H imination of five-membered cyclic enamines is reported. Metal-free catalytic three-component reactions of tetronic acid- or 1,3-cyclopentanedione-derived enaminones with arylglyoxals and arylamines worked well under microwave irradiation, furnishing a series of α -amino- α -aryl imines with good yields and complete stereoselectivity. In this reaction process, air serves as the green oxidant with water as the sole byproduct.

Fan, Wei*

Chin. J. Org. Chem. **2023**, 43(7), 2492

Thioesterification of Esters with Primary Aliphatic Thiols at Room Temperature



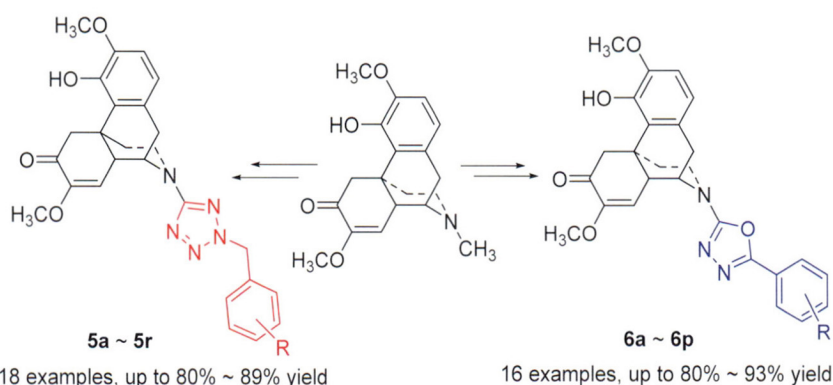
- transition-metal-free
- mild conditions
- good functional group tolerance
- broad substrate scope

- up to 98% yields
- > 25 examples

Shi, Yijun*; Sun, Xinyue; Cao, Han; Bie, Fusheng; Ma, Jie; Liu, Zhe; Cong, Xing-shun*

Chin. J. Org. Chem. **2023**, 43(7), 2499

The thioesterification of esters with primary aliphatic thiols at room temperature was reported. This method was successfully applied to the synthesis of probenecid thioester and sequential bond activation.

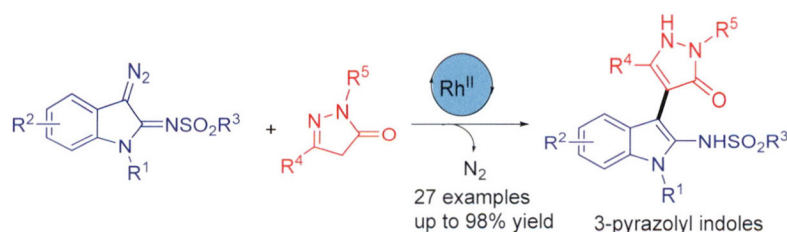
Design and Synthesis of *N*-Tetrazole and *N*-Oxadiazole Heterocyclic Derivatives of Sinomenine

The sinomenine was modified with tetrazole and 1,3,4-oxadiazole heterocyclic structures via 1,3-dipolar cycloaddition reaction and Huisgen rearrangement reaction. 34 new compounds were synthesized, which expanded the library of sinomenine derivatives and provided experimental basis for potential drugs activity study.

Wu, Xuedan; Xu, Ruixiang; Fang, Xiaolong; Zhang, Kehua; Jin, Jie*

Chin. J. Org. Chem. **2023**, 43(7), 2506

Rh-Catalyzed C—H Functionalization Reaction between 3-Diazoindolin-2-imines and Pyrazolones for the Construction of 3-Pyrazolyl Indoles



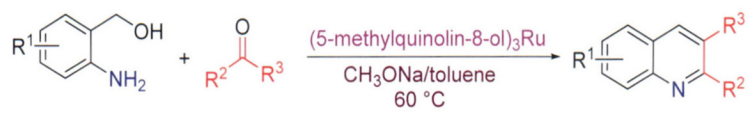
A highly efficient C—H functionalization reaction of α -imino carbenes and nonaromatic pyrazolones in the presence of Rh₂(OAc)₄ has been demonstrated. This methodology provides a rapid and straightforward approach toward a variety of structurally diverse 3-pyrazolyl indoles by C—C bond formation in moderate to excellent yields with good functional group tolerance.

Xu, Xiaoping; Zhang, Yifei; Mo, Xiaoyu; Jiang, Jun*

Chin. J. Org. Chem. **2023**, 43(7), 2519

CONTENT

Synthesis of Quinoline Derivatives by Friedländer Reaction Catalyzed by Ruthenium Complexes of Substituted 8-Hydroxyquinoline



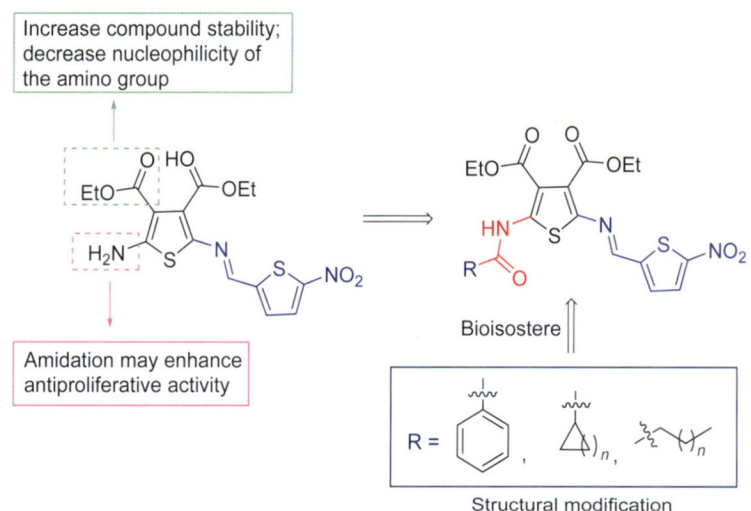
Catalytic synthesis of quinoline by quinoline
Readily available ligand 8-hydroxyquinoline
Simple preparation method of complex
Catalyst structure-property relationship

Mild reaction conditions
Wide range of substrates
Possible mechanism
Cheap reagent

Zhu, Yue; Chen, Lu; Zhao, Jing; Sun, Qing-rong; Yang, Weiqing; Fu, Haiyan; Ma, Menglin*
Chin. J. Org. Chem. **2023**, 43(7), 2528

A method for synthesizing quinoline catalyzed by ruthenium complexes of quinoline was reported. The effects of different substituents of 8-hydroxyquinoline ruthenium complexes on the reaction yield were comparatively studied. The relationship between ligand structure and catalytic performance was discussed by combining IR, UV and density functional theory (DFT) theoretical calculations. A possible mechanism was proposed. Using (**1e**)₃Ru as catalyst, 32 quinoline derivatives were synthesized in 69%~94% yields.

Synthesis of Diethyl 2,5-Diaminothiophene-3,4-dicarboxylate Derivatives and Antitumor Activity Study



Zhang, Weishu; Nie, Lifei; Bozorov, Khurshed; Aisa, Haji Akber; Zhao, Jiangyu*
Chin. J. Org. Chem. **2023**, 43(7), 2543

Based on the sulfur heterocyclic compound thiophene, a series of diethyl 2,5-diaminothiophene-3,4-dicarboxylate compounds were designed and synthesized. The compounds were evaluated for their antiproliferation activities against four human cancer cell lines by methyl thiazolyl tetrazolium (MTT) *in vitro*, some compounds exhibited good anticancer activity

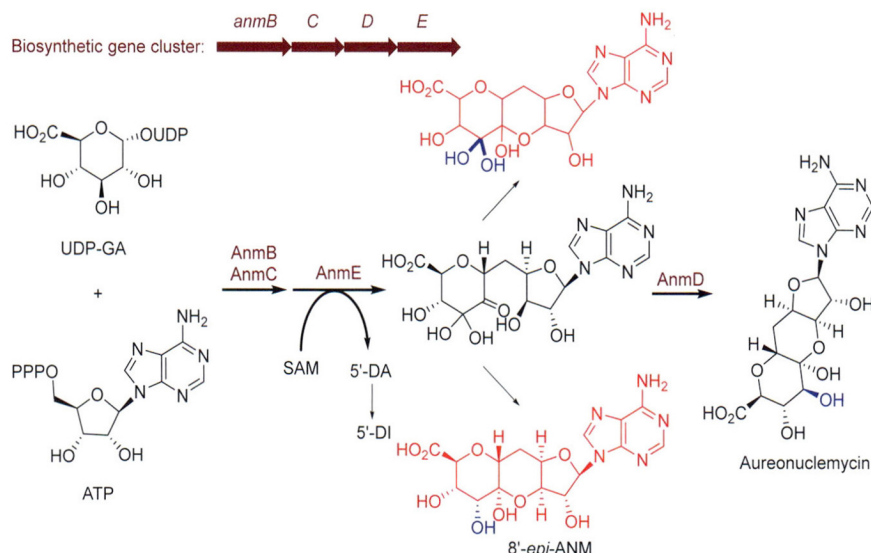
A Convenient Approach to Benzosultam-Linked Pyrazole Compounds



Lei, Rongchao; Lan, Wenjie; Li, Mengzhu; Fu, Bin*
Chin. J. Org. Chem. **2023**, 43(7), 2553

A base-mediated rapid synthesis of benzosultam-linked pyrazole derivatives was developed for the first time. The reaction of *N*-sulfonyl ketimine with pyrazolin-5-one proceeded smoothly to provide the desired products in high yields.

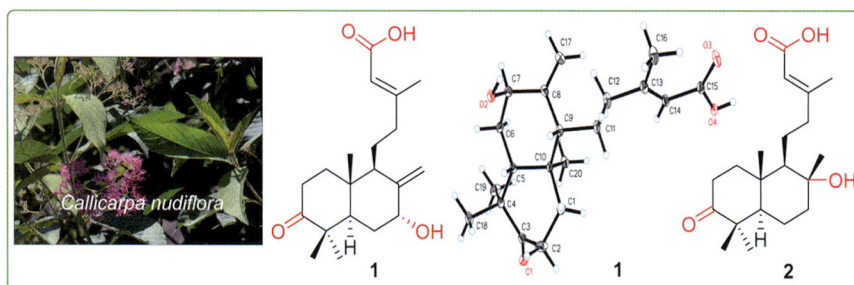
NOTES

In vivo Studies on the Biosynthetic Pathway of Aureonuclemycin and Identification of Key Metabolites

Wang, Fei; Jin, Wenbing; Hou, Xianfeng;
Tang, Gongli*; Pan, Haixue*

Chin. J. Org. Chem. **2023**, 43(7), 2561

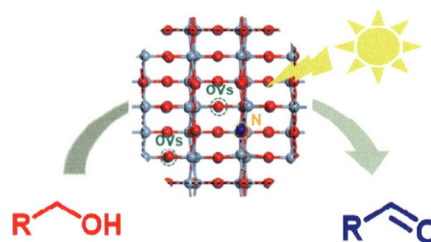
In-frame deletion of key genes that play a role in aureonuclemycin biosynthesis yielded several compounds, two of which were isolated and identified. Accordingly, a possible biosynthetic pathway of aureonuclemycin is proposed.

Two New Labdane Diterpenoids from the Leaves of *Callicarpa nudiflora*

Yu, Zhangxin; Meng, Yuqin; Xue, Menglin;
Li, Xiaobao; Chen, Guangying*; Han, Changri*

Chin. J. Org. Chem. **2023**, 43(7), 2567

Two undescribed labdane diterpenoids were isolated from the leaves of *Callicarpa nudiflora*, and some of them showed anti-inflammatory activity.

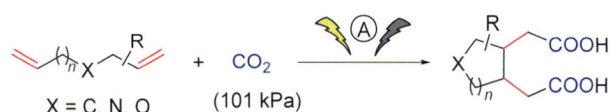
Visible-Light-Induced Aerobic Oxidation of Alcohols over Surface Oxygen Vacancies-Enriched Nb₂O₅

Gao, Yanhua; Zhang, Yinpan; Zhang, Yan*;
Song, Tao*; Yang, Yong*

Chin. J. Org. Chem. **2023**, 43(7), 2572

The surface oxygen vacancies-enriched Nb₂O₅ semiconductor photocatalyst was developed for highly efficient oxidation of alcohols to aldehydes under visible-light illumination and mild conditions.

HIGHLIGHTS

Electroreductive Dicarboxylation of Unactivated Skipped Dienes with CO₂ to Synthesize Cyclic Dicarboxylic Acid

Huang, Jian; Zhang, Wenzhen*

Chin. J. Org. Chem. **2023**, 43(7), 2580

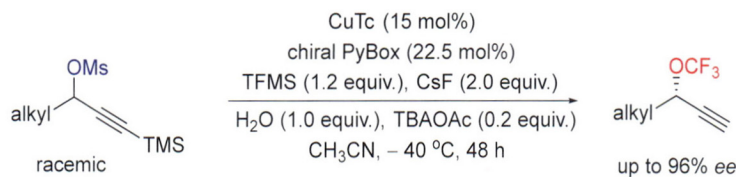
• mild conditions • high selectivity • broad scope • valuable diacid products

CONTENT

Enantioselective Nucleophilic Trifluoromethoxylation of Racemic Propargyl Sulfonates

Ni, Chuanfa; Hu, Jinbo*

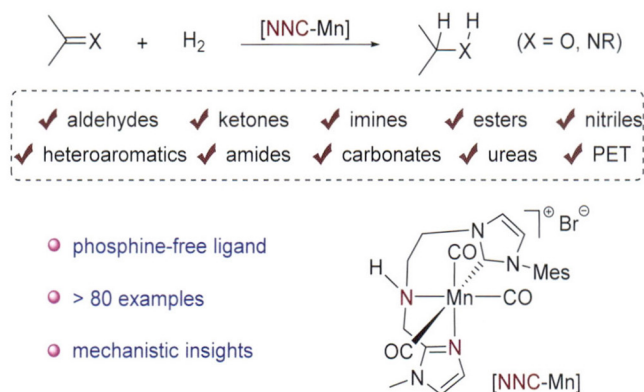
Chin. J. Org. Chem. **2023**, 43(7), 2582



A NNC-Pincer Manganese Catalyst for Highly Efficient Hydrogenation of Polar Unsaturated Compounds

Zong, Yan; Chen, Gen-Qiang*

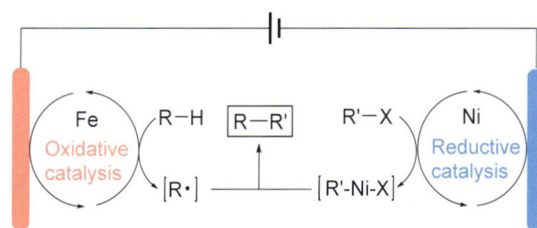
Chin. J. Org. Chem. **2023**, 43(7), 2584



Functionalization of Unactivated C(sp³)—H Bond via Paired Oxidative and Reductive Catalysis

Chang, Rui; Ye, Juntao*

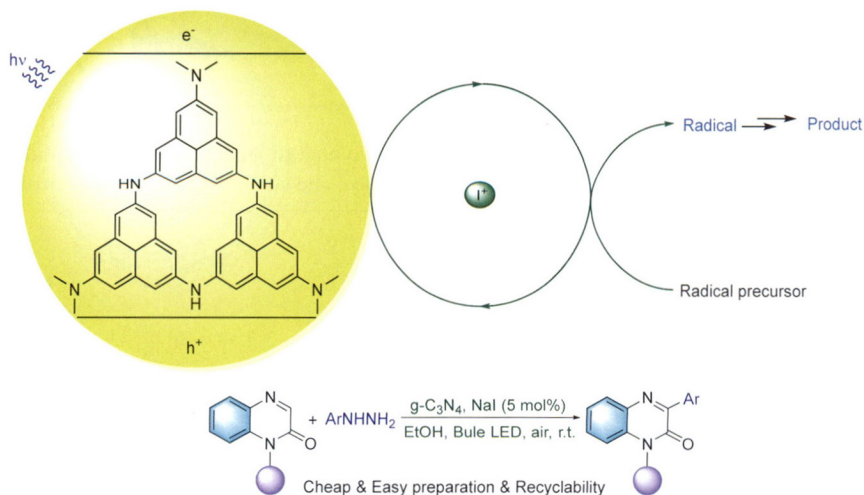
Chin. J. Org. Chem. **2023**, 43(7), 2586



Visible-Light-Driven Semi-Heterogeneous g-C₃N₄/NaI Catalyzed Construction of C—C Bonds

Dai, Linlong; Zhong, Guofu*

Chin. J. Org. Chem. **2023**, 43(7), 2589



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