

有机化学

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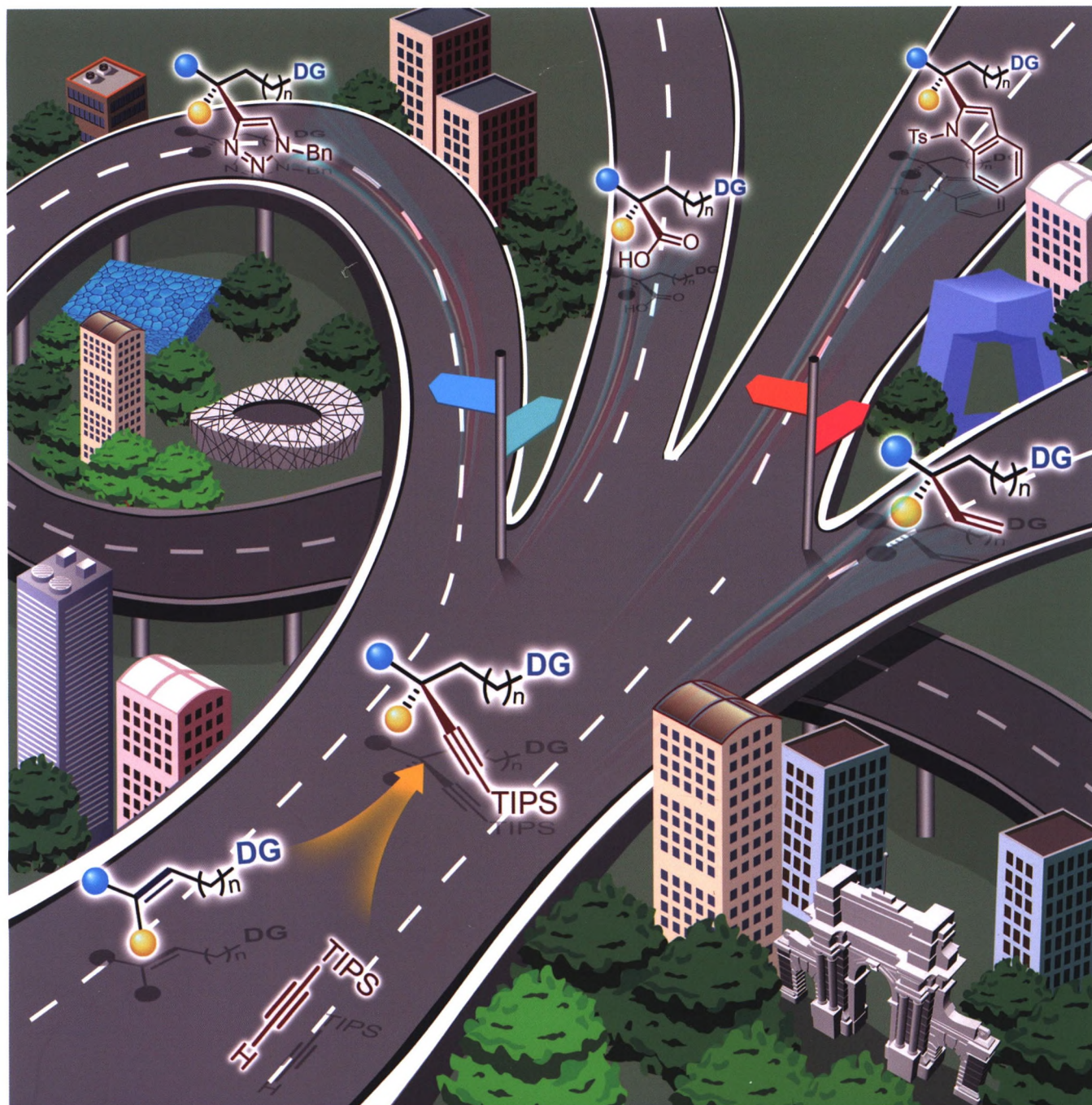
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(YOUJI HUAXUE)

第 40 卷 第 5 期 (总 378 期) 2020 年 5 月

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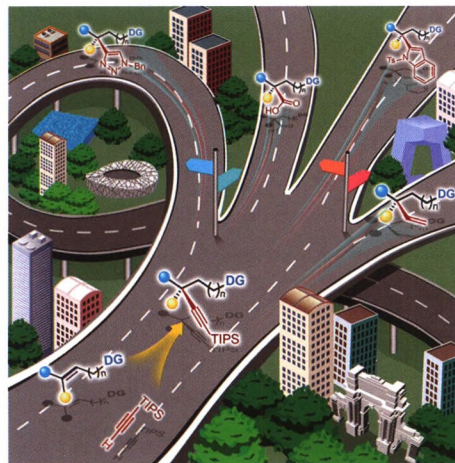
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Cover Picture: Substrate-Directed Catalytic Asymmetric Hydroalkynylation of Alkenes

Recently, by taking advantage of substrate-directed strategy, we have developed a number of methods that functionalize internal alkenes with excellent regio-, diastereo-, and enantio-selectivities. In this account, we summarize our work on catalytic asymmetric hydroalkynylation of alkenes and analyze the mechanisms that control the reactivity and selectivity on page 1087. As illustrated in the picture, asymmetric hydroalkynylation of alkenes provides a highway through which various chiral molecules can be rapidly delivered.



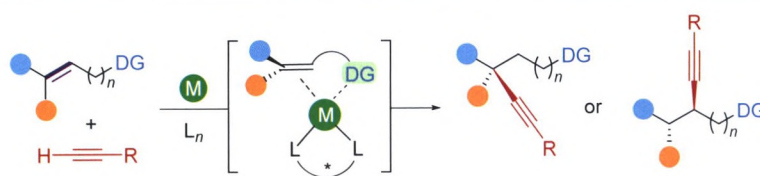
Inside Cover: Recent Advances of Nickel-Catalyzed Homogeneous Asymmetric Hydrogenation

The recent progress of nickel-catalyzed homogeneous asymmetric hydrogenation of prochiral unsaturated compounds ($C=O$, $C=C$ and $C=N$) is summarized by Liu, Dong and Zhang on page 1096. Some breakthroughs and considerable research results are achieved in recent years. The future research direction of nickel-catalyzed homogeneous asymmetric hydrogenation is also prospected.



REVIEWS

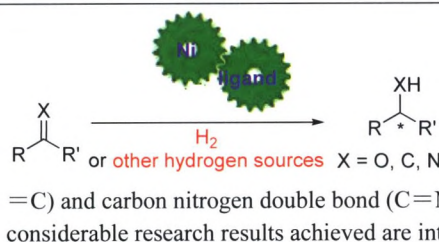
Substrate-Directed Catalytic Asymmetric Hydroalkynylation of Alkenes



Zhang, Wenwen; Wang, Zixuan; Bai, Xiaoyan; Li, Bijie*
Chin. J. Org. Chem. **2020**, 40(5), 1087

By using substrate-directed strategy, we have developed a number of alkene functionalization methods with excellent regio-, diastereo-, and enantio-selectivities. Our recent work in the catalytic asymmetric hydroalkynylation of alkenes is summarized.

Recent Advances of Nickel-Catalyzed Homogeneous Asymmetric Hydrogenation

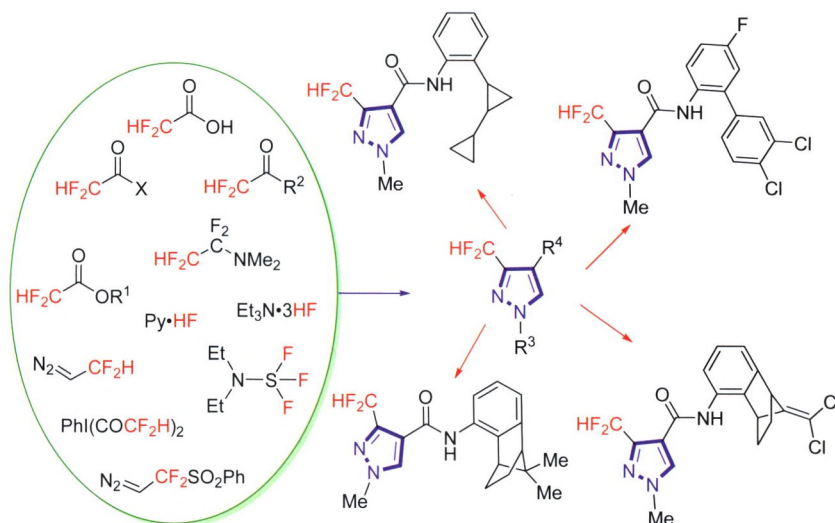


Liu, Yuanhua; Dong, Xiu-Qin*; Zhang, Xu-mu
Chin. J. Org. Chem. **2020**, 40(5), 1096

The recent progress of nickel-catalyzed homogeneous asymmetric hydrogenation of prochiral unsaturated compounds containing carbon oxygen double bond ($C=O$), carbon carbon double bond ($C=C$) and carbon nitrogen double bond ($C=N$) is reviewed, and some breakthroughs and considerable research results achieved are introduced.

CONTENT

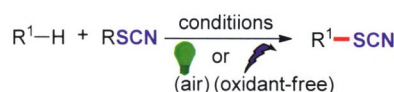
Construction of 3,4-Disubstituted-3-(difluoromethyl)pyrazoles



The methods of construction of 3,4-disubstituted 3-difluoromethylpyrazoles are briefly summarized. Four different strategies including using fluorinated reagents as substrates, difluoroacetic acid and its derivatives as fluorine building blocks, difluorodiazonium and others as fluorine building blocks are introduced.

Zeng, Junliang*; Xu, Zhihong; Ma, Junan*
Chin. J. Org. Chem. **2020**, 40(5), 1105

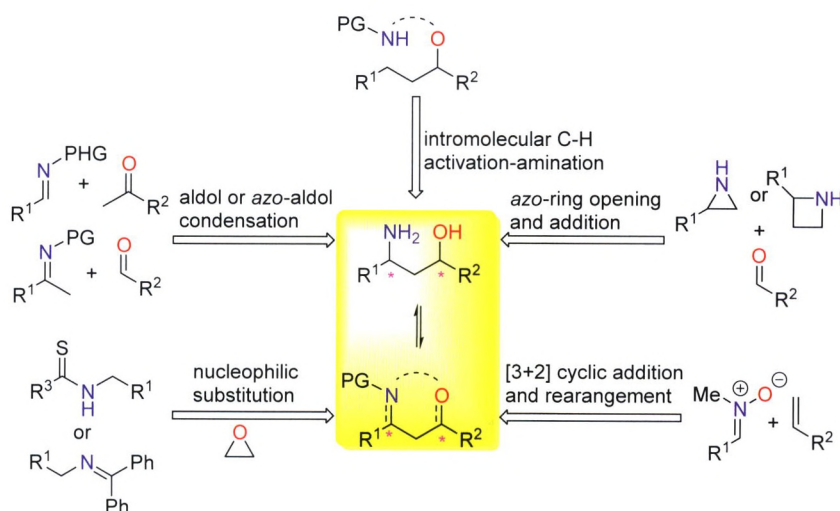
Recent Advances on the Photocatalytic and Electrocatalytic Thiocyanation Reactions



Zhang, Longfei; Niu, Cong; Yang, Xiaoting; Qin, Hongyun; Yang, Jianjing; Wen, Jiangwei*; Wang, Hua*
Chin. J. Org. Chem. **2020**, 40(5), 1117

The recent progress in the transition metal-catalyzed sulfonamidation reactions is reviewed. The aromatic substrates, transition metal-catalysts, ligands, sulfonamidating reagents, mechanisms of the sulfonamidation reactions are mainly discussed. Finally, the future development of them is also prospected.

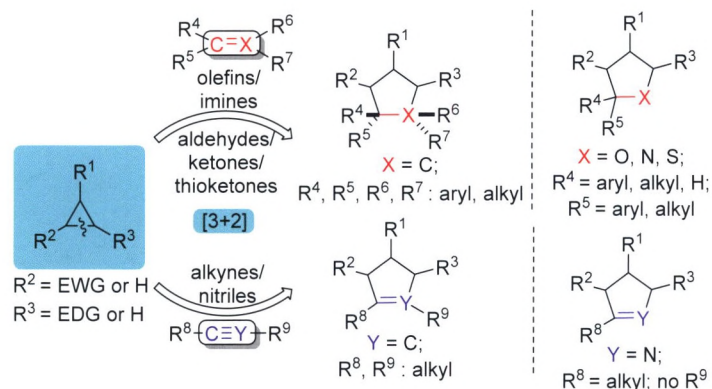
Progress on the Synthesis of 1,3-Amino Alcohol



The recent progress in the synthesis of optically pure 1,3-amino alcohol is reviewed including aldol or azo-aldol condensation synthesis, transition metal catalyzed C—H activation and amination synthesis, ring-opening and addition of azocyclic compounds, and [3+2] dipolar-cycloaddition reaction *etc.* Advantages and disadvantages of each method and its application in the synthesis of natural products and bioactive molecules are also discussed. Finally, the future development is prospected.

Wang, Wei; Hu, Yi; Lin, Ruiqi; Wu, Heng Tong, Qi; Wang, Liansheng; Xiao, Zufeng*; Zhu, Lei*
Chin. J. Org. Chem. **2020**, 40(5), 1129

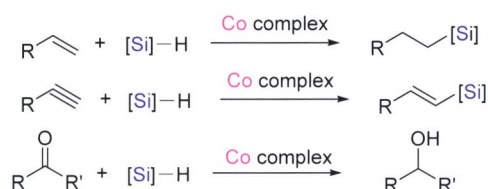
Recent Progress on [3 + 2] Ring-Expansion Reaction of Cyclopropane with Unsaturated Compounds



Five-membered carbo- and hetero-cycles selective construction through [3+2] ring-expansion reaction of cyclopropanes with unsaturated compounds such as olefins, aldehydes, ketones and nitriles is reviewed, to benefit better controlling of this reaction selectivity and fast development of focus area.

Liu, Wenzhu; Dou, Lijuan; Mu, Weihua*
Chin. J. Org. Chem. **2020**, 40(5), 1150

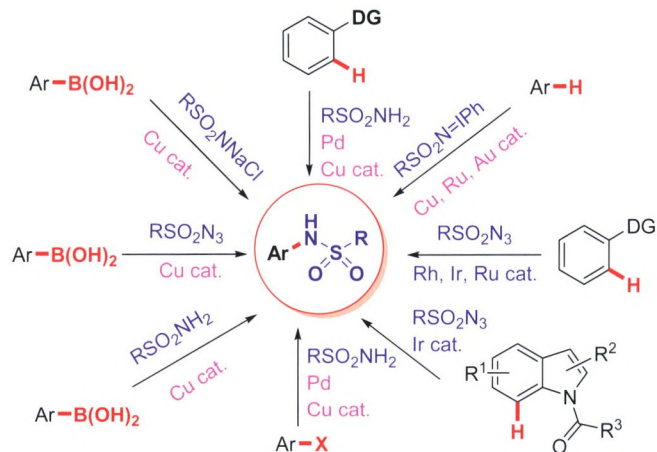
Progress in Catalysis of Hydrosilylation by Cobalt Complexes



The recent progress in the application of cobalt complexes in the catalytic hydrosilylation of alkenes, alkynes, carbonyl compounds and other unsaturated double bond is reviewed. Furthermore, the deficiencies of the catalysts are discussed. Finally, the future development and prospects of these complexes as catalysts are proposed.

Dai, Zinan; Yu, Zehao; Bai, Ying*; Li, Jia-yun; Peng, Jiajian*
Chin. J. Org. Chem. **2020**, 40(5), 1177

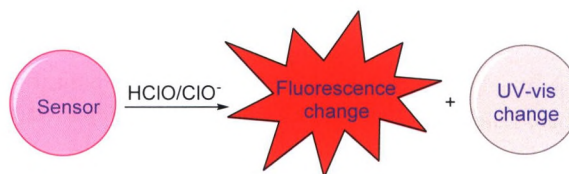
Recent Progress in Transition Metal Catalyzed Sulfonamidation of Aromatic Compounds



The recent progress in the transition metal-catalyzed sulfonamidation reactions is reviewed. The aromatic substrates, transition metal-catalysts, ligands, sulfonamidating reagents, mechanisms of the sulfonamidation reactions are mainly discussed. Finally, the future development of them is also prospected.

Ouyang, Banlai*; Zheng, Yanxia; Xia, Ke-jian; Xu, Xiaoling; Wang, Yi
Chin. J. Org. Chem. **2020**, 40(5), 1188

Recent Progress in Colorimetric and Fluorimetric Probes for the Detection of Hypochlorous Acid



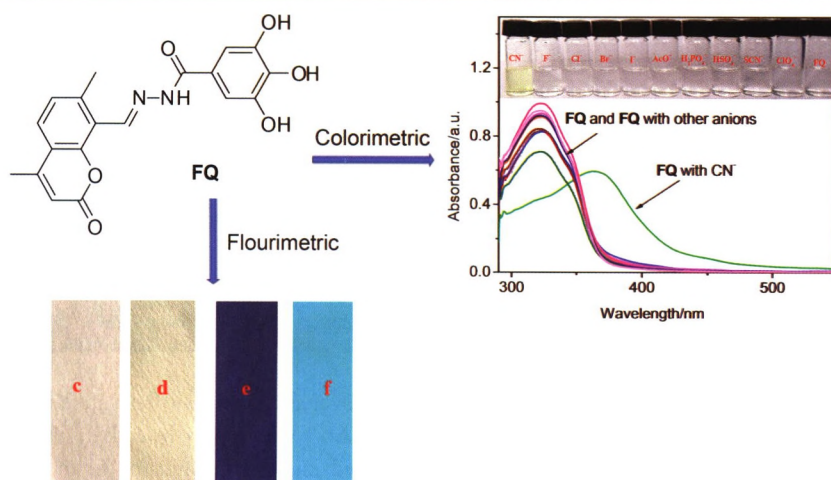
The design, characteristics and practical application of hypochlorite colorimetric fluorescent probes in the past five years are summarized and evaluated.

Yu, Qing; Chen, Xiaoli; Liu, Hua; Zhang, Qilong*
Chin. J. Org. Chem. **2020**, 40(5), 1206

CONTENT

ARTICLES

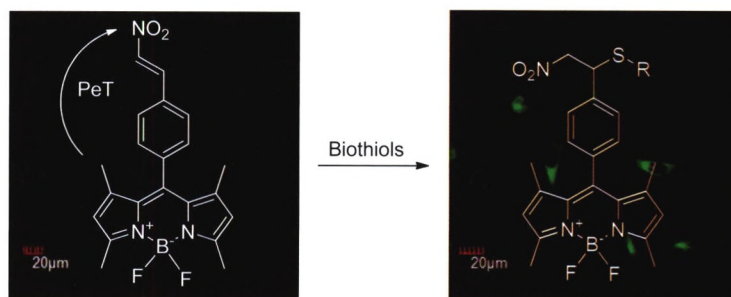
Novel High Sensitivity Dual-Channel Chemosensor for Detecting CN^- Based on Coumarin Derivative in Aqueous Media and Its Application in Food Samples



Fu, Qingqing; Hu, Jinghan*; Yao, Ying; Yin, Zhiyuan; Gui, Kui; Long, Chen; Ni, Pengwei
Chin. J. Org. Chem. **2020**, 40(5), 1232

A novel cyanide specifically selective and highly sensitive chemosensor **FQ** based on coumarin derivatives had been designed and synthesized.

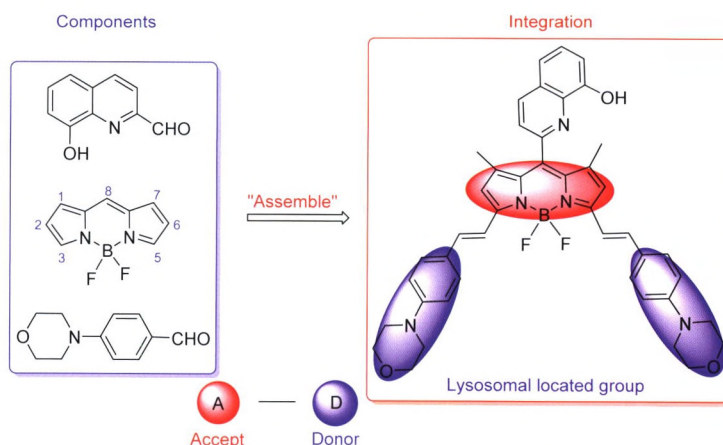
A Nitroolefin Based Thiol Fluorescent Probe: Synthesis and Application in Bio-imaging



Guo, Zhenbo; Zheng, Xueyang; Li, Xueyan; Jia, Qingfei; Zhang, Pingzhu; Wei, Chao*; Li, Xiaoliu*
Chin. J. Org. Chem. **2020**, 40(5), 1239

A bodipy-nitroolefin-conjugated fluorescent probe based on photoinduced electron transfer (PET) mechanism was designed and successfully constructed. The probe selectively responds to biothiols by Michael addition reaction.

Near-Infrared Quinoline-Fluoroborodipyrrole Dye: Synthesis and Lysosomal Fluorescence Imaging

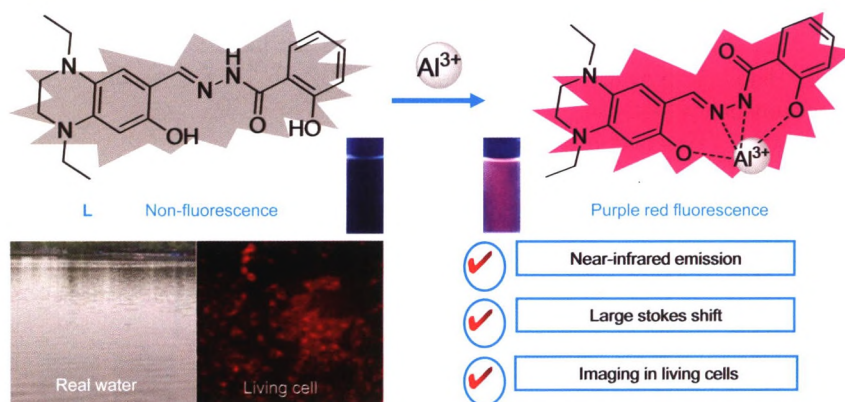


- (1) Synthesized a D-A type quinoline-BODIPY through knoevenagel condensation
- (2) 770 nm NIR-emission of QBOP-lys with ϕ_F was 0.18 in DMSO
- (3) 726 nm NIR-emission of QBOP-lys / SiO_2 with ϕ_F was 0.33 in pure water
- (4) Clear lysosomal fluorescence imaging in SGC-7901 (colocalization coefficient is 0.9)

Wang, Lingfeng; Qian, Ying*
Chin. J. Org. Chem. **2020**, 40(5), 1246

A near-infrared region (NIR) fluoroborodipyrrole fluorescent dye (QBOP-lys) was synthesized. The D-A configuration of the QBOP-lys dyes was conjugated by two *p*-morpholine styrene with one 8-hydroxyquino BODIPY structure.

Synthesis of Novel 7-Hydroxytetrahydroquinoxaline-6-formaldehyde Acylhydrazone Derivative and Its Recognition for Al^{3+}

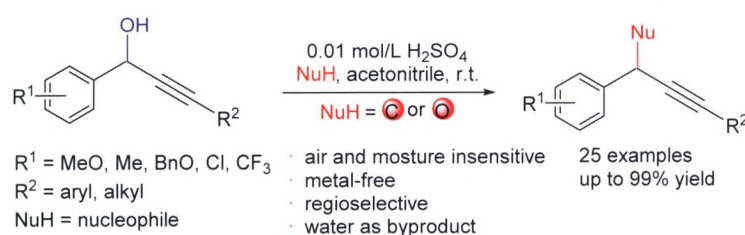


A novel acylhydrazone derivative was designed and synthesized. Probe **L** can recognize for Al^{3+} with highly selectivity in DMSO/Tris ($V:V=7:3$) solution. When Al^{3+} is added into the solution of **L**, the fluorescence color of solution changes from non-fluorescence to purple red fluorescence, and the maximum emission wavelength of **L** is 640 nm within range of the near-infrared. Probe **L** possesses a large Stokes shift (170 nm) and the detection limit of **L** is 0.521 $\mu\text{mol/L}$. In addition, **L** can detect Al^{3+} in the real water samples and imaging for Al^{3+} in MCF-7 cells.

Zhong, Keli; Zhou, Lulu; Deng, Longlong; Tang, Lijun*; Gao, Xue; Liu, Xiuying; Yan, Xiaomei*

Chin. J. Org. Chem. **2020**, 40(5), 1251

Sulfuric Acid Catalyzed Rapid Nucleophilic Substitution of Propargyl Alcohols

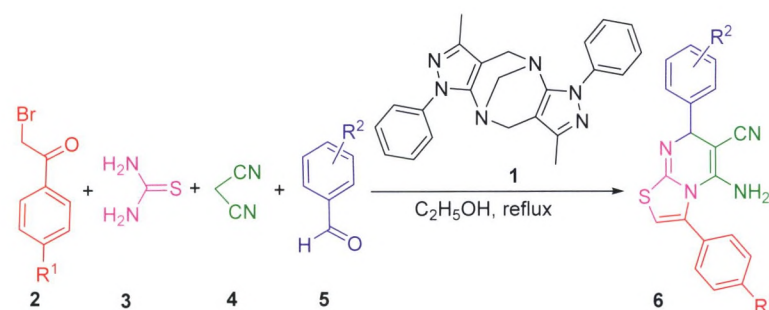


Sulfuric acid efficiently catalyzes the direct substitution of the hydroxyl group of propargylic alcohols with various nucleophiles in acetonitrile at room temperature for C—C and C—O bond formation. This method does not require expensive catalysts, explosive solvents, heating or a long reaction time. Furthermore, the reactions can be performed under mild conditions without the need for precautions to exclude moisture or air from the reaction system. In most cases, the reaction proceeds to completion within 1 min.

Zhang, Shunji*; Liu, Huili

Chin. J. Org. Chem. **2020**, 40(5), 1257

Synthesis and Biological Evaluation of Polysubstituted 5-Amino-3,7-diphenyl-7H-thiazolo[3,2-a]pyrimidine-6-carbonitriles



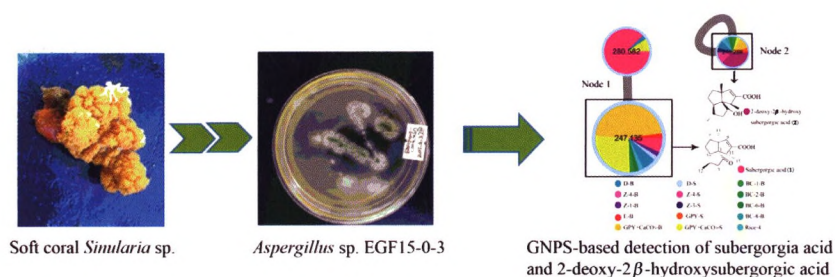
A series of thiazolo[3,2-*a*]pyrimidine derivatives were synthesized from the reaction of α -bromoacetophenone, aromatic aldehyde, malononitrile and thiourea which was catalyzed by Tröger's base derivative **1**. The reaction mechanism was discussed by the ^1H NMR analysis and chemical experiments. The pharmacological activity results of the products indicated that most of products showed high inhibitory on one or more cancer cells in human hepatocarcinoma cell (HepG2), human non-small cell lung cancer cell (247) and human non-small cell lung cancer cell (A549) *in vitro*.

Ren, Xuanxuan; Yuan, Rui; Chen, Wen; Zhou, Hang; Ye, Fei; Shi, Xueying; Hu, Juan; Zhang, Peng; Zhou, Shengliang; Wan, Yu*; Wu, Hui*

Chin. J. Org. Chem. **2020**, 40(5), 1266

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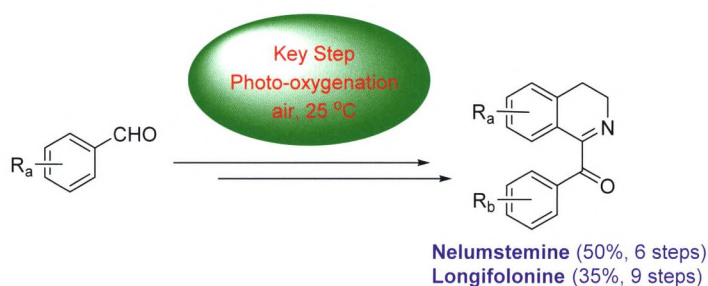
Researches on the Subergane-Type Sesquiterpenes from the Soft Coral-Derived Fungus *Aspergillus* sp. EGF15-0-3



Feng, Chan; Wei, Xia; Hu, Jinshan; Wang, Siyu; Liu, Bingxin; Xie, Zhenyu; Rong, Li; Li, Xiaohui*; Zhang, Cuixian*
Chin. J. Org. Chem. **2020**, 40(5), 1275

By applying Global Natural Products Molecular Networking (GNPS), the soft coral-associated symbiotic microorganisms were demonstrated to be the producer of subergorgia acid and 2-deoxy-2β-hydroxysubergorgia acid for the first time.

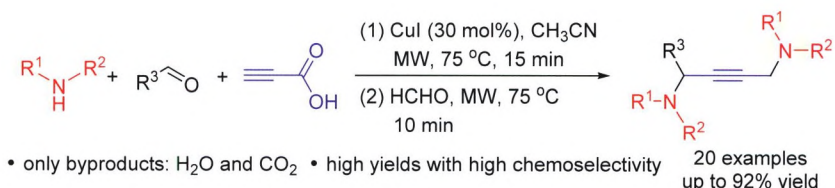
First Total Syntheses of 1-Benzoyl-3,4-dihydroisoquinoline Alkaloids Nelumstemine and Longifolonine Based on the Photo-oxidation



Huang, Yongkang; Xie, Wenjing; Luo, Yongqiang; Fan, Qiqi; Zhu, Xingliang; Liu, Shiling*; Shi, Xiaoxin*
Chin. J. Org. Chem. **2020**, 40(5), 1281

A novel synthetic route for the total syntheses of 1-benzoyl dihydroisoquinoline alkaloids was developed. Nelumstemine was synthesized for the first time via 6 steps in 50% overall yield starting from 3,4-dimethoxybenzaldehyde, and longifolonine was also synthesized for the first time via 9 steps in 35% overall yield starting from vanillin. Mild photo-oxidation of 1-benzyl-3,4-dihydroisoquinolines to 1-benzoyl-3,4-dihydroisoquinolines, as the key step of these total syntheses, has been studied in detail.

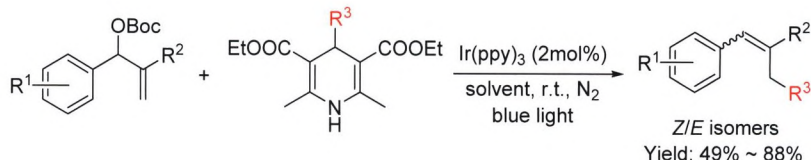
One-Pot Synthesis of Unsymmetrical 1,4-Diaminobutynes by Cu(I)-Catalyzed Cross-Coupling of Propiolic Acid, Secondary Amine, Aldehydes and Formaldehyde



Liu, Boyu; Xu, Xianjun; Huang, Liliang*; Feng, Huangdi*
Chin. J. Org. Chem. **2020**, 40(5), 1290

A highly selective and efficient copper-catalyzed sequential approach for the one-pot two-step synthesis of unsymmetrical 1,4-diamino-2-butyne from propiolic acid, secondary alkylamine, aldehyde and formaldehyde solution has been developed. The process is “ideal” with only byproducts of H₂O and CO₂.

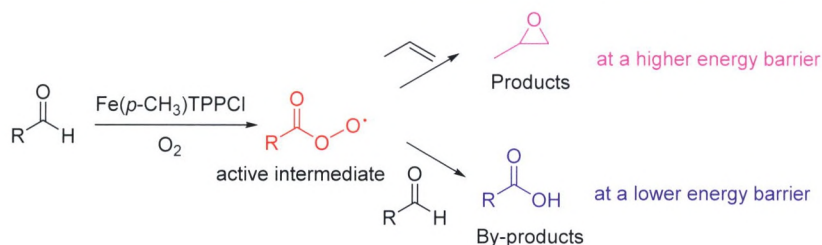
Coupling of Hantzsch Esters with Baylis-Hillman Derivatives via Visible-Light Photoredox Catalysis



Chen, Yuefeng; Zhao, He; Cheng, Dongping*; Li, Xiaonian*; Xu, Xiaoliang*
Chin. J. Org. Chem. **2020**, 40(5), 1297

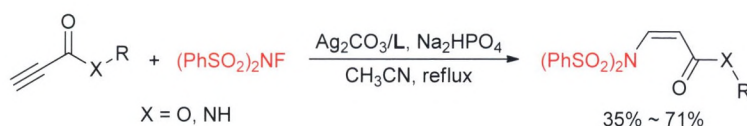
4-Alkyl Hantzsch esters are good alkyl radical storage. The preparation of α,β-unsaturated carboxylic acid esters under photocatalytic redox conditions by 4-alkyl Hantzsch esters and Baylis-Hillman adducts was investigated. The reaction conditions are mild and no additional additives are required. The coupling products were obtained in moderate to good yields.

Study on the Effect of Co-reductant Aldehydes on Epoxidation of Propylene Catalyzed by Metalloporphyrins



The possible mechanism proposed before has been further verified by the results of other aldehydes. There is a competition between autooxidation process and epoxidation process in the consumption of active intermediate. The former has a lower reaction energy barrier.

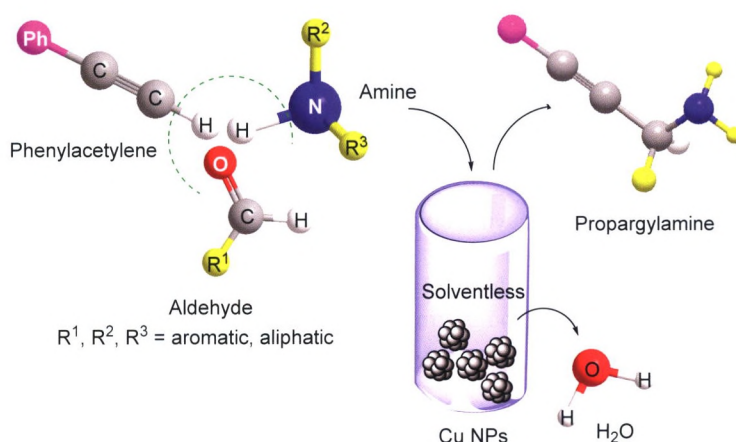
Qi, Lianshan; Wang, Tao; Wei, Yongmei; Tian, Hengshui*
Chin. J. Org. Chem. **2020**, 40(5), 1305

Hydroamination of Propiolic Derivatives with *N*-Fluorobenzenesulfonimide Catalyzed by Silver Carbonate

In the presence of Na_2HPO_4 , the hydroamination of propiolates or propiolamides with *N*-fluorobenzenesulfonimide (NFSI) was realized, where Ag_2CO_3 and the derivatives of 1,10-phenanthroline were added as catalysts. It leads to *N*-vinylbenzenesulfonimides in high selectivity of *Z* isomers in the yields of 35%~71%.

Ren, Haiping; Tian, Zaiwen; Li, Ke; Zhang, Wanxuan*
Chin. J. Org. Chem. **2020**, 40(5), 1310

Propargylamine Synthesis via Three-Component Coupling Reaction Catalyzed by Recyclable Cu Nanoparticles under Solvent-Free Conditions



Copper nanoparticles exhibit favorable catalytic and reusable properties for the formation of propargylamine via three-component coupling reaction under mild conditions.

Shi, Dapeng; Duan, Zhongyu*
Chin. J. Org. Chem. **2020**, 40(5), 1316

“On Water” Nucleophilic Addition of Pyrazolones to Trifluoromethyl Ketones



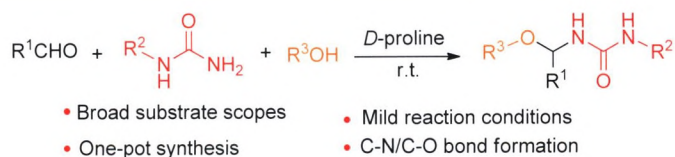
A green and efficient nucleophilic addition reaction of trifluoromethyl ketone with pyrazolone was developed under “on water” conditions, affording pyrazolone substituted tertiary trifluoromethyl alcohols in high yields. The advantages of being catalyst-free, column chromatography-free, environmentally benign and easy workup make it a promising method for preparation of a variety of pyrazolone substituted tertiary trifluoromethyl alcohols.

Luo, Liang; Cao, Xiaomei; Lai, Guowei; Liu, Jinxiang; Luo, Haiqing; Lu, Dongliang; Zhang, Yong*
Chin. J. Org. Chem. **2020**, 40(5), 1323

CONTENT

One-Pot Multi-component Synthesis of *N,O*-Acetal Compounds Catalyzed by *D*-Proline at Room Temperature

Lan, Jin; Xie, Zongbo*; Yang, Jiangnan; Meng, Jia; Liu, Yishuai; Le, Zhanggao*
Chin. J. Org. Chem. **2020**, 40(5), 1331



A convenient method for multi-component one-pot synthesis of *N,O*-acetal compounds was developed, using aromatic aldehyde, urea and alcohol as materials, alcohol also as solvent and *D*-proline as catalyst. A series of *N,O*-acetal compounds were synthesized in good yields after reacting for 30 h at room temperature.

Iodine-Mediated Synthesis of 2-Acylquinoline from Acetophenone and 2-(Arylvinyl)aniline

Du, Xingpeng; Zhang, Xi; Hou, Fei; Liu, Xiaojun; Wang, Xicun*; Quan, Zhengjun*
Chin. J. Org. Chem. **2020**, 40(5), 1337



The cyclization reaction between 2-(arylvinyl)aniline and acetophenone in presence of iodine was reported, which offered an efficient and cost effective strategy to generate 2-acylquinoline derivatives under mild and metal-free conditions.

Structural Modifications of the Triazolo-thiadiazole Derivatives as DOT1L Inhibitors and Their Activities

Xu, Xiaoming; Guo, Siqi; Zhang, Jing; Chen, Yantao; Kang, Yaqing; Liu, Na; Liu, Junfang; Luo, Cheng; Chen, Shijie*; Chen, Hua*
Chin. J. Org. Chem. **2020**, 40(5), 1345

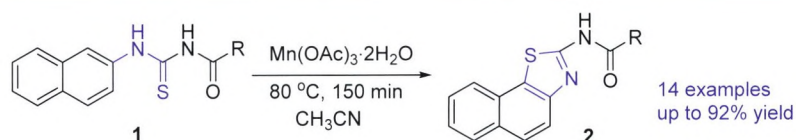


A series of novel derivatives **12a~12l**, **14a~14o**, **16a~16c** and **17a~17c** containing triazolo-thiadiazole moiety have been synthesized by structural modifications on a lead DOT1L inhibitor **8**. Compounds **14b** and **16a** were the best ones with IC₅₀ values of 7.37 and 7.84 μmol/L, respectively.

NOTES

A New Method for Synthesis of Naphtho[2,1-*d*]thiazole Derivatives

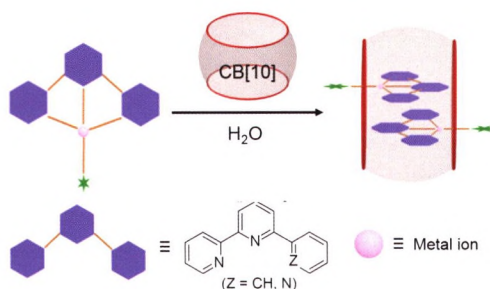
Liu, Tianbao*; Peng, Na; Wang, Panpan; Peng, Yanfen; Gui, Meifang; Zhang, Min*
Chin. J. Org. Chem. **2020**, 40(5), 1355



A new and efficient method for the preparation of naphtho[2,1-*d*]thiazole derivatives has been developed. This protocol provides a simple, efficient and mild approach to various 2-substituted acylamino naphtho[2,1-*d*]thiazole compounds with up to 92% isolated yields in 150 min and a broad range of functional groups.

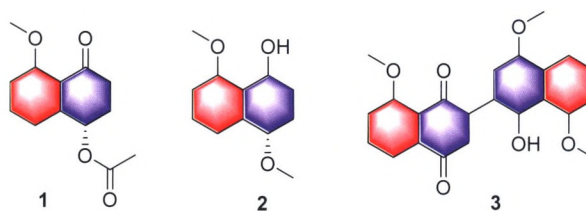
Molecular Recognition of Cucurbit[10]uril toward Planar d⁸ and d¹⁰ Transition Metal Complexes

Hu, Zhixiong; Sun, Dongdong; Han, Xie; Liu, Simin*
Chin. J. Org. Chem. **2020**, 40(5), 1361



The optical properties of luminescent molecules can be regulated through host-guest interactions. The changes in photo-physical properties of a few water-soluble d⁸ and d¹⁰ planar transition metal complexes were studied when these complexes entered the cavity of cucurbit[10]uril host.

Cytotoxic Secondary Metabolites from a sea-Derived Fungal Strain of *Hypoxylon rubiginosum* FS521



Zhang, Jie; Chen, Yuchan; Liu, Zhaoming; Guo, Bohong; Gao, Xiaoxia; Liu, Hongxin*; Zhang, Weimin*
Chin. J. Org. Chem. **2020**, 40(5), 1367

One new compound, named hypoxone A (**1**), together with two new natural products 4,8-dimethoxy-1-naphthol (**2**) and 1'-hydroxy-4',8,8'-trimethoxy[2,2']binaphthalenyl-1,4-dione (**3**), and fourteen known derivatives **4**~**17** were isolated from the broth extract of the marine fungus *Hypoxylon rubiginosum* FS521

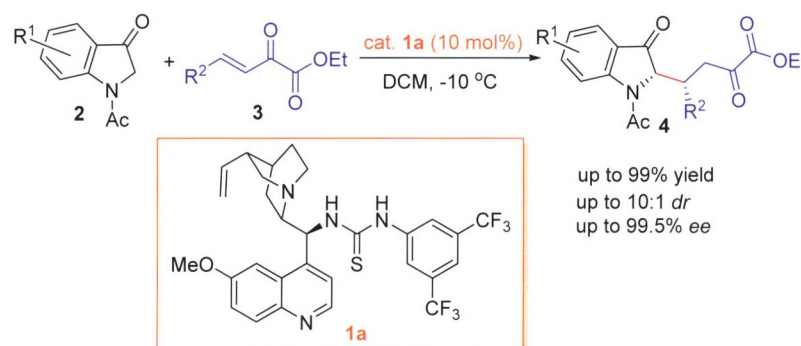
Researches on the Hydrodehalogenation of *o*-Triazole Aryl Halides in the System of Pd/C and Et₃N



Qiu, Huihua; Lin, Baiyin; Zhou, Peng*; Zhang, Jiantao; Liu, Weibing
Chin. J. Org. Chem. **2020**, 40(5), 1372

A Pd-catalyzed hydrodehalogenation of *o*-triazole aryl halides was developed, taking Pd/C as catalyst and Et₃N as base, reductant and hydrogen source.

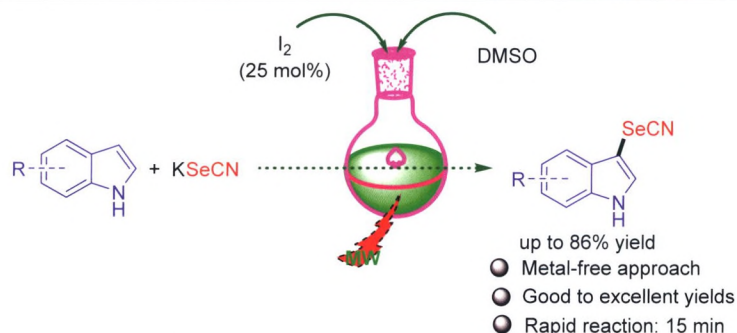
Bifunctional Thioureas Catalyzed Asymmetric Michael Addition of 1-Acetylindolin-3-ones to β,γ -Unsaturated α -Keto Esters



Liu, Yaozong*; Xu, Pengfei; Ma, Jianjun; Li, Xiaoming; Liang, Ruiyuan; Teng, Zhijun
Chin. J. Org. Chem. **2020**, 40(5), 1378

The asymmetric Michael addition of 1-acetylindolin-3-ones to β,γ -unsaturated α -keto esters catalyzed by bifunctional thioureas has been developed. Enantio-pure 2-substituted indolin-3-one derivatives were obtained easily in excellent yields (up to 99%) with good diastereoselectivity (up to 10 : 1) and enantioselectivities (up to 99.5%).

Microwave-Assisted Iodine-Catalyzed 3-Selenocyanation of Indole for the Synthesis of 3-Selenocyanateindole Derivatives

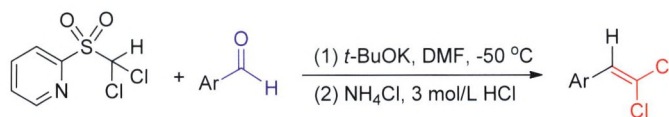


Wu, Yan; Tian, Xianzhi; Zhang, Hailing; Chen, Rui; Cao, Tuanwu*
Chin. J. Org. Chem. **2020**, 40(5), 1384

3-Selenocyanateindole derivatives have potential application in drug research due to their good biological activity. An efficient protocol for 3-selenocyanateindoles is reported via the microwave-assisted iodine-catalyzed 3-selenocyanation of indole derivatives, affording the corresponding products in good yields.

CONTENT

Method for the Synthesis of 1,1-Dichloroalkenes



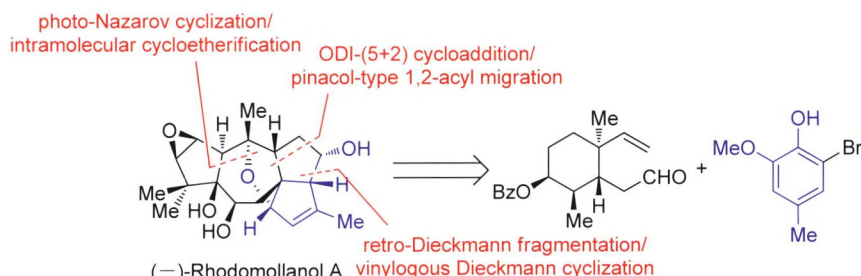
Ren, Xinfeng*; Song, Xiaoping; Ma, Yingchao; Li, Ya*

Chin. J. Org. Chem. **2020**, 40(5), 1388

A method for the synthesis of 1,1-dichloroalkenes was developed. Dichloromethyl 2-pyridyl sulfone can be readily prepared from pyridine-2-thiol. It was found to be a novel and efficient dichloroolefination reagent for preparing dichloroalkenes from aromatic aldehydes.

HIGHLIGHTS

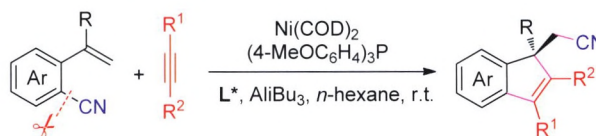
Total Synthesis of Complex Natural Product (–)-Rhodomollanol A



Cheng, Hao; Jia, Yanxing*

Chin. J. Org. Chem. **2020**, 40(5), 1394

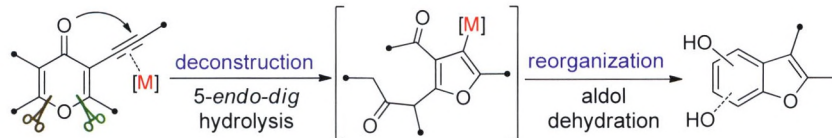
Chiral Al-Complex Remote-Controlled Ni-Catalyzed Enantioselective Construction of Indenes



Wang, Wenliang; Xie, Jin*

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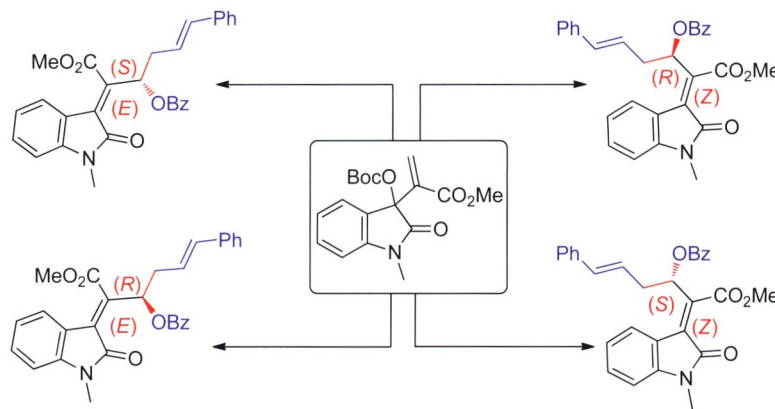
Deconstructive Reorganization of Alkynyl Pyranones for the Synthesis of Phenols



Guan, Zhenghui*; Zhu, Haitao

Chin. J. Org. Chem. **2020**, 40(5), 1398

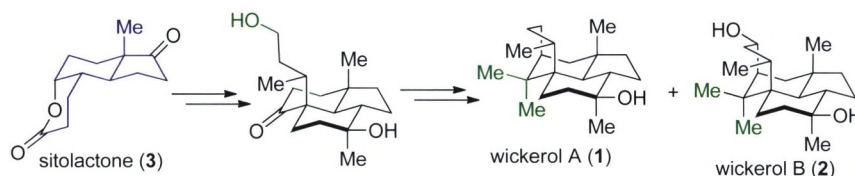
Pseudo-Stereodivergent Synthesis of Enantioenriched Tetrasubstituted Alkenes by Cascade 1,3-Oxo-allylation/Cope Rearrangement



Wei, Liang; Wang, Chun-Jiang*

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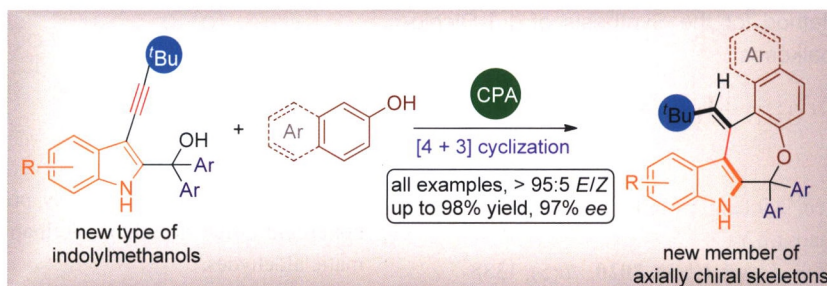
Concise Synthesis of Wickerols A and B



Song, Menglong; Shi, Yong*

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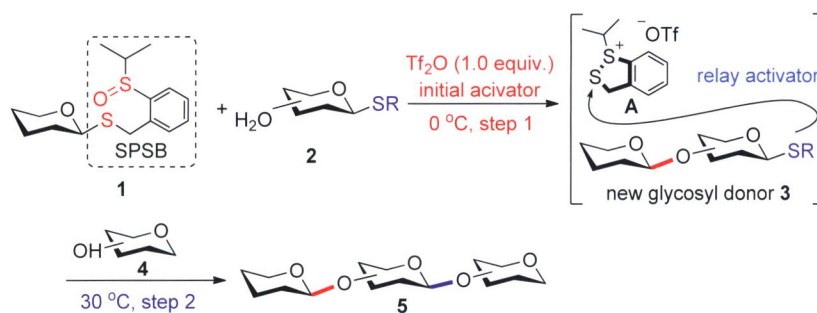
Design and Catalytic Asymmetric Construction of Axially Chiral Aryl-Alkene-Indole Frameworks



Tan, Bin*

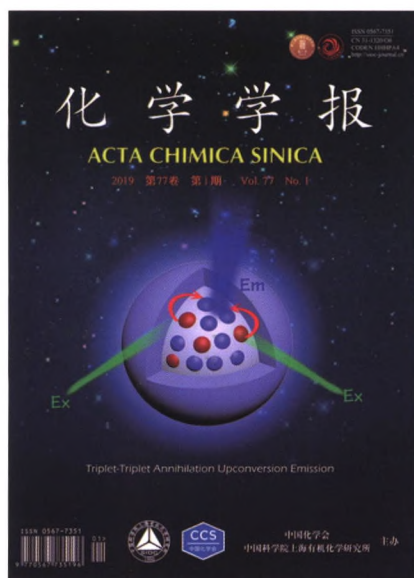
Chin. J. Org. Chem. **2020**, 40(5), 1404

One-Pot Relay Glycosylation



Ding, Han; Li, Ming*

Chin. J. Org. Chem. **2020**, 40(5), 1406



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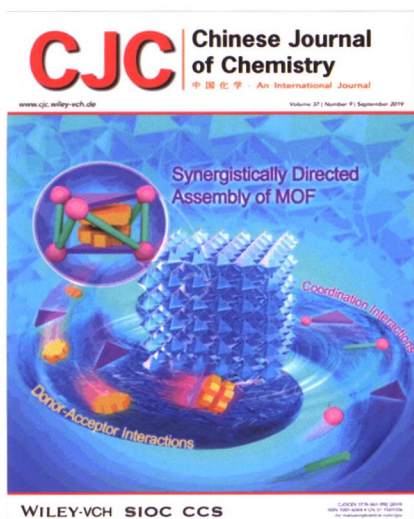
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