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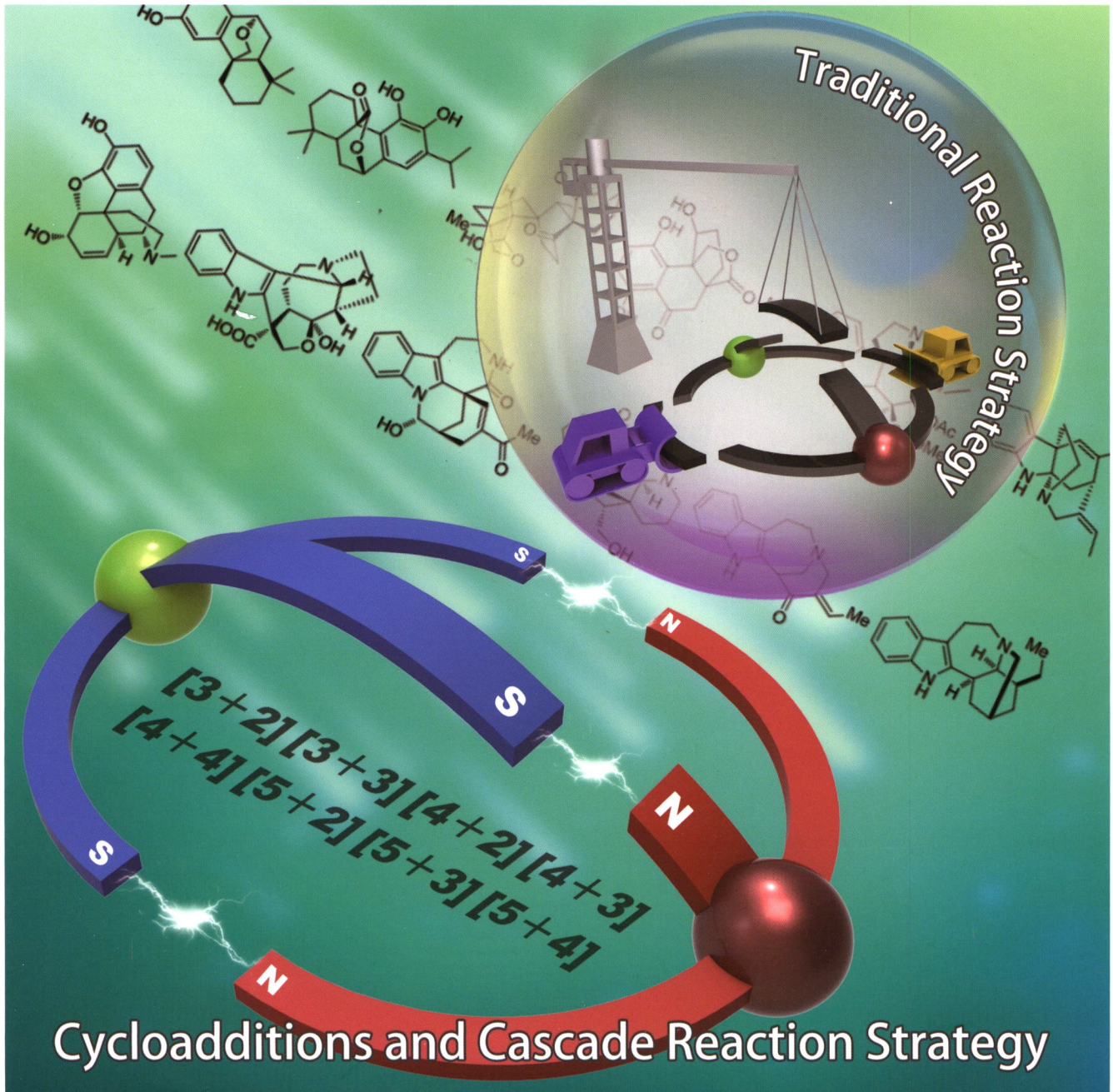

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中国科学院上海有机化学研究所 主办

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(YOUJI HUAXUE)

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研究专题

综述与进展

* 通讯联系人.

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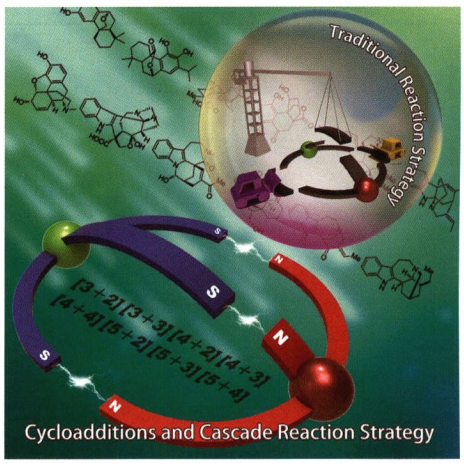
亮点述评

Chinese Journal of Organic Chemistry

Vol. 41 No. 1 January 2021

Cover Picture: Recent Advances in the Construction of Bridged Rings through Cycloadditions and Cascade Reactions



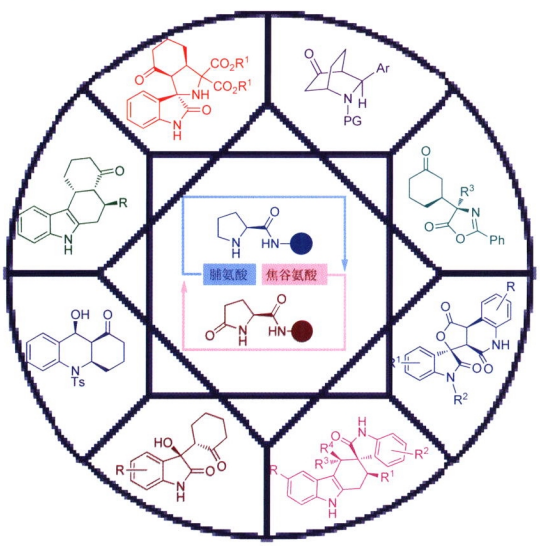
A series of synthetic methods involving cycloadditions and cascade reactions to access bridged compounds in the past five years are summarized by Wang, Zhang, Han, Liu, Bu and Wang on page 12. The advantages and problems of the current methods are briefly analyzed, which would provide useful reference for the researchers engaged in organic synthesis and related fields.

Inside Cover: Application of Organocatalysis in Asymmetric Construction of Nitrogen-Containing Heterocyclic Compounds

In recent years, a series of organocatalysts based on amino acids such as proline and pyroglutamic acid have been developed, which have been applied in the asymmetric construction of various nitrogen-containing compounds. The recent progress in asymmetric synthesis of nitrogen-containing heterocyclic compounds is summarized by Zheng, Xie, Chen, Xiang, and Yang on page 1.

ACCOUNT

Application of Organocatalysis in Asymmetric Construction of Nitrogen-Containing Heterocyclic Compounds



Zheng, Yu; Xie, Zhenzhen; Chen, Kai; Xiang, Haoyue*; Yang, Hua*

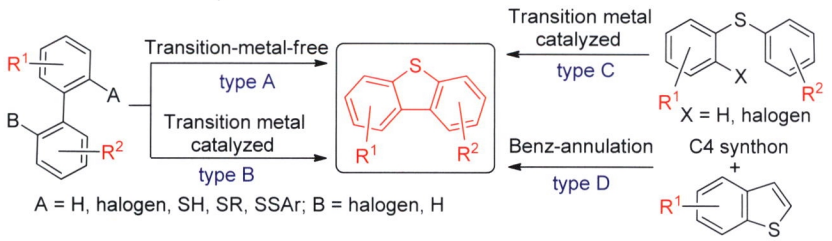
Chin. J. Org. Chem. **2021**, *41*(1), 1

In recent years, a series of organocatalysts based on amino acid such as proline and pyroglutamic acid have been reported, which have been well applied in the asymmetric construction of various nitrogen-containing compounds. This account mainly focuses on the research of asymmetric synthesis of nitrogen-containing heterocyclic compounds in our group, and the possible mechanisms of some typical reactions are thus discussed.

CONTENT

REVIEWS

Recent Advances in the Synthesis of Dibenzothiophenes

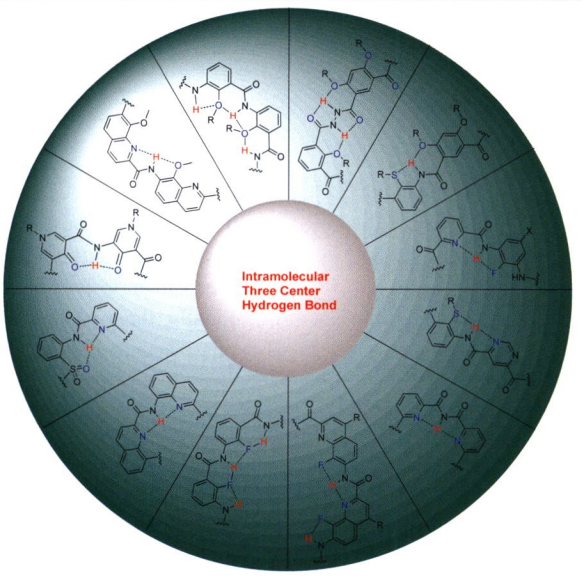


Cheng, Huicheng; Guo, Penghu; Chen, Bing; Yao, Jiawei; Ma, Jiaoli*; Hu, Weijie; Ji, Hongbing

Chin. J. Org. Chem. **2021**, *41*(1), 94

The formation of a benzene ring by cyclization of thiophene and its derivatives with a four-carbon synthon has been applied to the synthesis of dibenzothiophenes. These synthetic methods have enabled the provision of dibenzothiophenes bearing versatile functional groups. The following types of cyclization methods combined with the latest research progress of dibenzothiophene derivatives are briefly discussed until early 2020.

Supramolecular Assemblies Based on Intramolecular Three-Center Hydrogen Bond and Their Applications

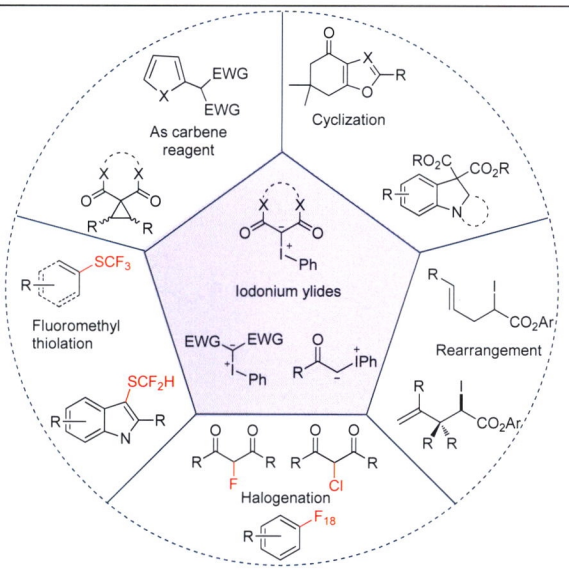


Pei, Qiang*; Ding, Aixiang Wu, Jinjin

Chin. J. Org. Chem. **2021**, *41*(1), 105

The research progress on supramolecular assemblies based on different types of intramolecular three-center hydrogen bond is summarized in detail according to the kinds of hydrogen bonding atoms, such as O···H···O, S···H···X (X=N, O), N···H···X (X=N, O), F···H···X (X=F, O, N), and so on. More specifically, the synthesis of supramolecular assemblies is elaborated. Furthermore, their applications in promoting organic reactions, molecular recognition, transmembrane channels, molecular machines, soft materials are introduced.

Advances in Reactions of Iodonium Ylides



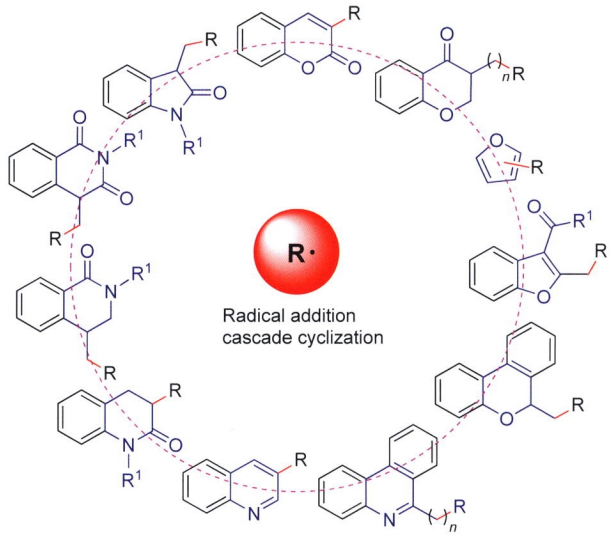
Tong, Minghui; Zhang, Xinyu; Wang, Yeming*; Wang, Zikun*

Chin. J. Org. Chem. **2021**, *41*(1), 126

The preparation methods and structural properties of iodonium ylides are generally elaborated. Then, the reactivities of iodonium ylides are reviewed, including the application of iodonium ylides as a carbene precursor in insertion reactions, cyclopropane reactions, and their development research in cycloaddition reactions, rearrangement reactions and halogenation reaction.

CONTENT

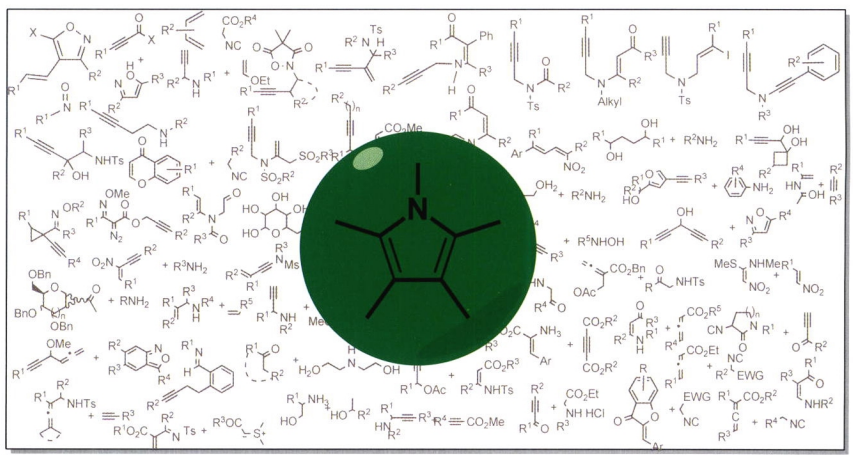
Synthesis of Oxygen- or Nitrogen-Containing Heterocyclic Compounds via Radical Addition Cascade Cyclization



One of the convenient and efficient strategies for the construction of various oxygen- or nitrogen-containing heterocycles is radical addition cascade cyclization in recent years. This article offers an overview on the radical addition cascade cyclization strategies based on the types of oxygen- or nitrogen-containing heterocyclic compounds.

Zhang, Jie; Liu, Ping*; Sun, Peipei*
Chin. J. Org. Chem. **2021**, *41*(1), 185

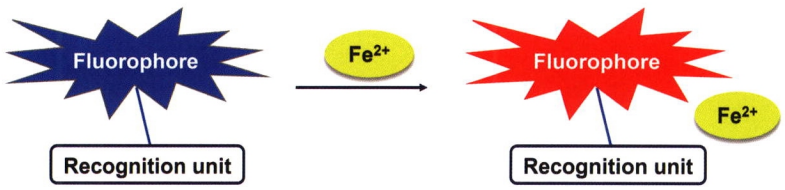
Recent Advances on Pyrrole Synthesis through Different Annulation Modes



Pyrrole, as one of the most important heterocycles, broadly exists in various functional molecules ranging from biological contexts to natural products, man-made bioactive molecules and functional materials. Such a fact has prompted the methodology development toward the construction of such a structural unit. The recent advances on pyrrole synthesis are summarized based on different cyclization modes.

Xu, Xuetao; Chen, Jie; Ke, Junjie; Zhang, Kun; Wu, Panpan*; Wang, Shaohua*
Chin. J. Org. Chem. **2021**, *41*(1), 206

Recent Progress in Fluorescent Probes for the Detection of Ferrous Ion



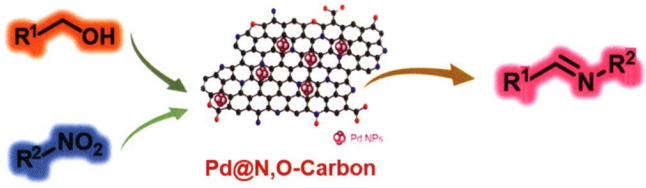
The recent progress in the synthesis, structure, properties and applications of Fe^{2+} fluorescent probes is reviewed. The effects of the structures on the fluorescent properties of probes are mainly discussed. Finally, the future development and application of them are also prospected.

Ma, Sufang; Yu, Qiang; Lu, Li; Li, Lihong; Liu, Wen; Wu, Zhifang*; Li, Sijin*
Chin. J. Org. Chem. **2021**, *41*(1), 229

CONTENT

ARTICLES

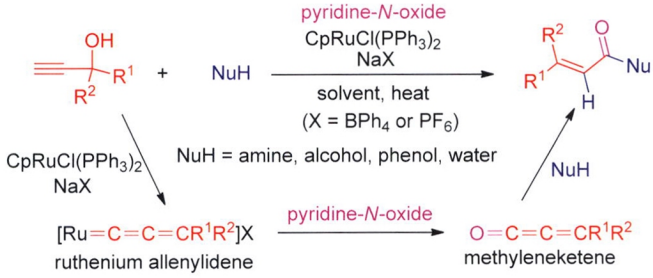
Borrowing Hydrogen Reductive Coupling of Nitroarenes with Benzyl Alcohols to Imines Catalyzed by Pd Nanoparticles on N Doped Carbon Materials



The heterogeneous Pd nanoparticles (Pd@N,O-carbon) on N doped carbon materials were developed using bamboo shoot as raw materials for highly efficient reductive coupling of nitroarenes with alcohols to selectively synthesize imines via the borrowing hydrogen strategy.

Che, Jianing; Song, Tao; Gao, Xianchi*; Yang, Yong*
Chin. J. Org. Chem. **2021**, *41*(1), 276

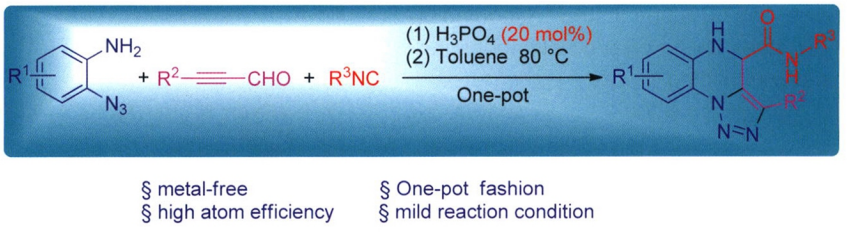
Ruthenium-Catalyzed Oxygenative Transformation of Terminal Propargyl Alcohols to Metheyleneketenes via Allenylidene Intermediates: Synthesis of *α*,*β*-Unsaturated Carboxylic Acid Derivatives



A ruthenium-catalyzed oxygenative transformation of terminal propargyl alcohols to metheyleneketenes via allenylidene intermediates has been developed for the synthesis of a variety of *α*,*β*-unsaturated carboxylic acid derivatives. This reaction provides an attractive complementary to the traditional approach for the synthesis of this class of unsaturated compounds, but in a distinct mechanism. The metal allenylidene-to-metheyleneketene transformation also represents a new mechanistic modality for metal allenylidene-mediated catalysis.

Wang, Xinyu; Li, Qihuan; Wen, Tingbin*
Chin. J. Org. Chem. **2021**, *41*(1), 284

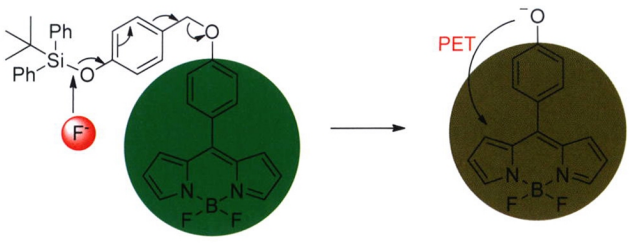
One-Pot Metal-Free Synthesis of [1,2,3]triazolo[1,5-*a*]quinoxalines by Sequential Ugi-3CR/Alkyne-Azide Cycloaddition Reaction



A new one-pot metal-free preparation of [1,2,3]triazolo[1,5-*a*]quinoxalines by a Ugi-3CR/alkyne-azide cycloaddition sequence has been developed. The reaction of 2-azidobenzenamines, propiolic aldehydes and isocyanides produced [1,2,3]triazolo[1,5-*a*]-quinoxalines in 55%～85% yields via sequential Ugi-3CR/alkyne-azide cycloaddition reaction in the presence of H_3PO_4 and heating in toluene respectively.

Shi, Ying; Qin, Fuwen; Wang, Jie; Yan, Yanmei*
Chin. J. Org. Chem. **2021**, *41*(1), 297

Synthesis and Recognition Properties of Fluoroborodipyrrole Fluorescent Probes Based on Fluorine Triggered Cascade Releasing

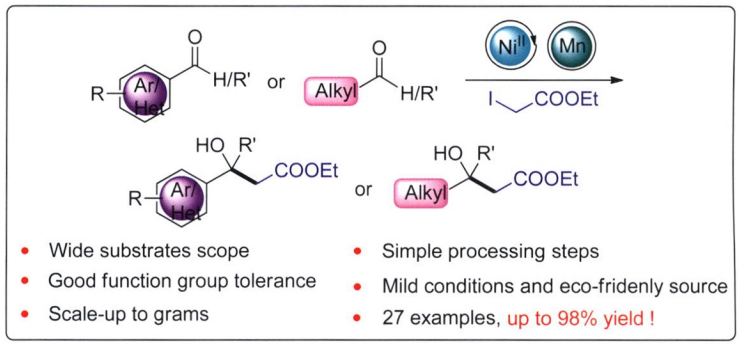


4-Hydroxy-fluoroborodipyrrole is used as fluorophore, and *tert*-butyldiphenylsilyl (TBDPS) is used as protective group for active hydroxyl groups. A novel fluoroborodipyrrole type of fluoride ion fluorescent probe **R** based on fluorine ion causing cleavage of Si—O bond to trigger cascade releasing was designed and synthesized.

Chen, Xi; Gao, Chen; Fu, Chao; Zhu, Tingting; Liu, Zhenjiang*; Liu, Chuanxiang*
Chin. J. Org. Chem. **2021**, *41*(1), 303

CONTENT

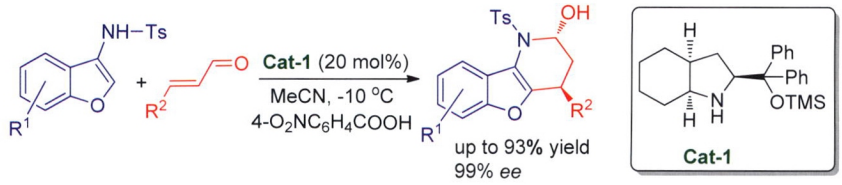
Manganese-Mediated Reformatsky Reaction: Highly Divergent Synthesis of β-Hydroxyalkanoates



A practical, flexible, and efficient manganese-mediated catalytic system of Reformatsky reaction has been described. The cheap and readily available manganese powder acts as the reaction mediator, which conducted effectively for the preparation of useful β-hydroxyalkanoates compounds with the nickel catalyst in excellent yields (up to 98%) and mild condition. In addition, the catalytic methodology can be scaled up to the gram scale.

Xia, Yanping; Ouyang, Lu; Liao , Jianhua; Wei, Yifei; Luo, Renshi*
Chin. J. Org. Chem. **2021**, *41*(1), 341

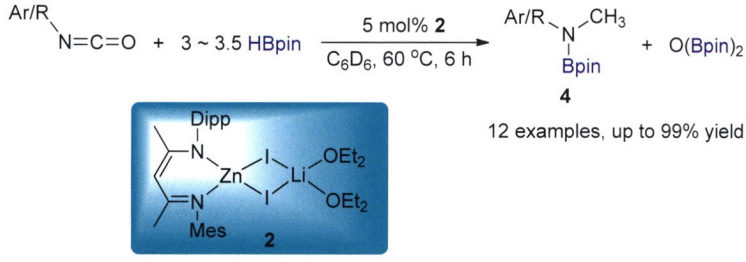
Synthesis of Benzofuran Derivatives by Diphenylperhydroindolinol Silyl Ether-Catalyzed Asymmetric [3+3] Aza-cyclization of α,β-Unsaturated Aldehydes



A highly enantioselective [3+3] aza-cyclization of α,β-unsaturated aldehydes with 3-aminobenzofuran promoted by diphenylperhydroindolinol silyl ether has been described, which afforded benzofuran derivatives in high yields (up to 93%), diastereoselectivities (*dr*>20∶1) and enantioselectivities (86%~>99% *ee*). This method also enabled to obtain benzofuran derivative in gram scale-up.

Wen, Huiling; Luo, Nianhua; Ouyang, Lu; Luo, Renshi*
Chin. J. Org. Chem. **2021**, *41*(1), 348

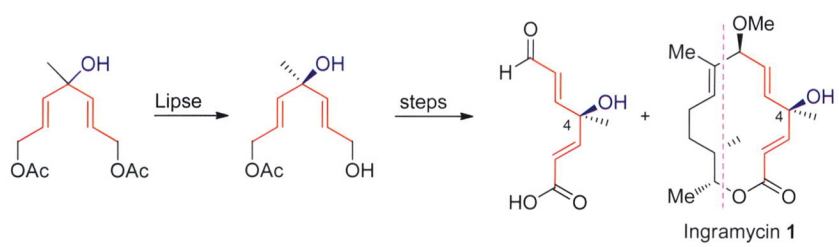
Synthesis of Zn-Li Bimetallic Compound and Its Catalytic Application in Hydroboration of Isocyanate



A novel asymmetric β-diketiminate Zn-Li bimetallic compound has been prepared and used as a highly efficient catalyst in the hydroboration of various isocyanates with HBpin in high yield. The preliminary mechanism of hydroboration reaction has been explored.

Xiao, Qian; Zang, Shenluo; Chen, Zewei; Yao, Weiwei*; Zheng, Jing*; Ma, Mengtao*
Chin. J. Org. Chem. **2021**, *41*(1), 357

Desymmetrization Strategy: Synthesis of Right Segment of Ingramycin



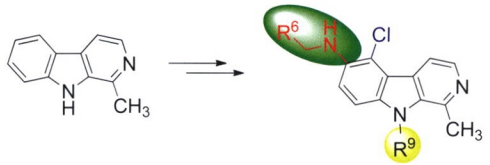
Based on the readily available allyl bromide and ethyl acetate, the right segment of ingramycin was synthesized by 11 steps with total yield of 26.7% and *ee* value of 50.84%.

Sun, Moran; Bai, Leiyang; Xiang, Junhong; Yang, Hua; Yu, Dequan; Liu, Hongmin*
Chin. J. Org. Chem. **2021**, *41*(1), 364

CONTENT

NOTES

Synthesis, Crystal Structure and Antitumor Activity of Novel 5-Chloro-β-carboline Derivatives

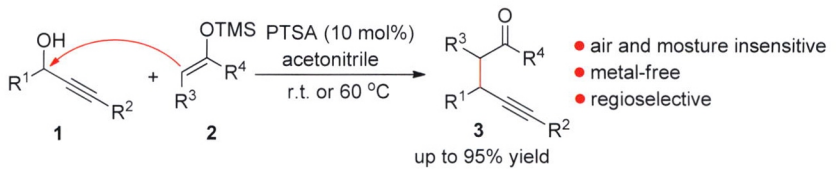


R^6 = C_6H_5, 2,6-$F_2C_6H_3$, *o*-$CF_3C_6H_4$, 3-(methylthio)butyl, 3-pyridyl, *p*-ClC_6H_4, *p*-$CH(CH_3)_2C_6H_4$, *p*-$CH_3OC_6H_4$

16 novel 5-chloro-β-carboline derivatives were synthesized and characterized for their cytotoxic profiles against a panel of tumor cell lines. The results showed that some compounds exhibited potent cytotoxic activities, especially, compounds **5j** and **5m** showed significant inhibitory activity with IC_{50} values lower than 10 μmol•L^{-1} against four cancer cell lines.

Sun, Yue; Guo, Liang; Fan, Wenxi; Chen, Wei; Zhang, Jie*; Dai, Bin*
Chin. J. Org. Chem. **2021**, *41*(1), 400

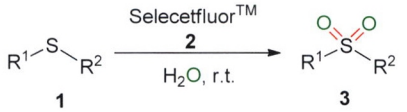
Direct Nucleophilic Substitution of Propargyl Alcohols with Enoxysilanes



A novel and efficient synthesis of γ-alkynyl ketones by the direct nucleophilic substitution of propargyl alcohols with enoxysilanes in the presence of a catalytic amount of *p*-toluenesulfonic acid monohydrate, has been developed. This method does not require expensive catalysts, explosive solvents, or long reaction times.

Li, Xinling; Liu, Huili; Zhang, Shunji*
Chin. J. Org. Chem. **2021**, *41*(1), 407

A Green Method for the Synthesis of Sulfones from Thioethers

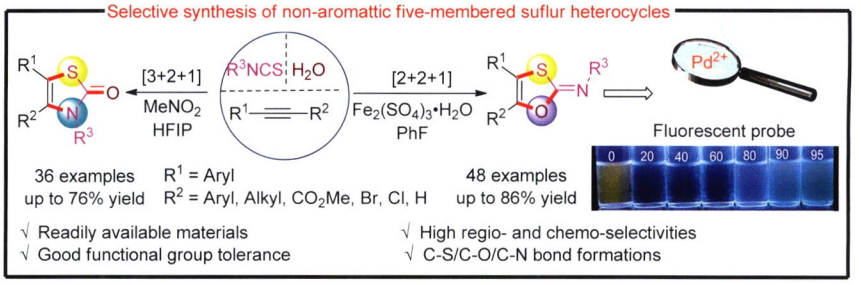


With water as oxygen source, a method for the synthesis of sulfones from thioethers under the action of Selecetfluor™ was designed. The yield can reach 99%. The conditions of this green method are mild and can reach the grams scale.

Fang, Xiang*; Wang, Wang; Yang, Xueyan; Wu, Fanhong*
Chin. J. Org. Chem. **2021**, *41*(1), 412

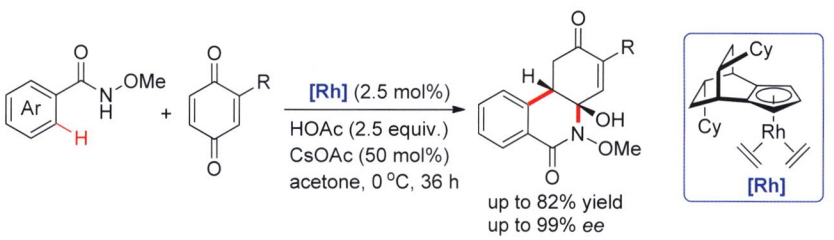
HIGHLIGHTS

Selective Synthesis of Non-Aromatic Five-Membered Sulfur Heterocycles via Multicomponent Cyclization of Alkynes



Hu, Rong; Qin, Anjun*
Chin. J. Org. Chem. **2021**, *41*(1), 418

Chiral Bicyclo[2.2.2]octane-Fused Cyclopentadienyl Rhodium Complexes: Synthesis and Potential Use in Asymmetric C—H Activation



Huang, Yi; Chen, Gong*
Chin. J. Org. Chem. **2021**, *41*(1), 420

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