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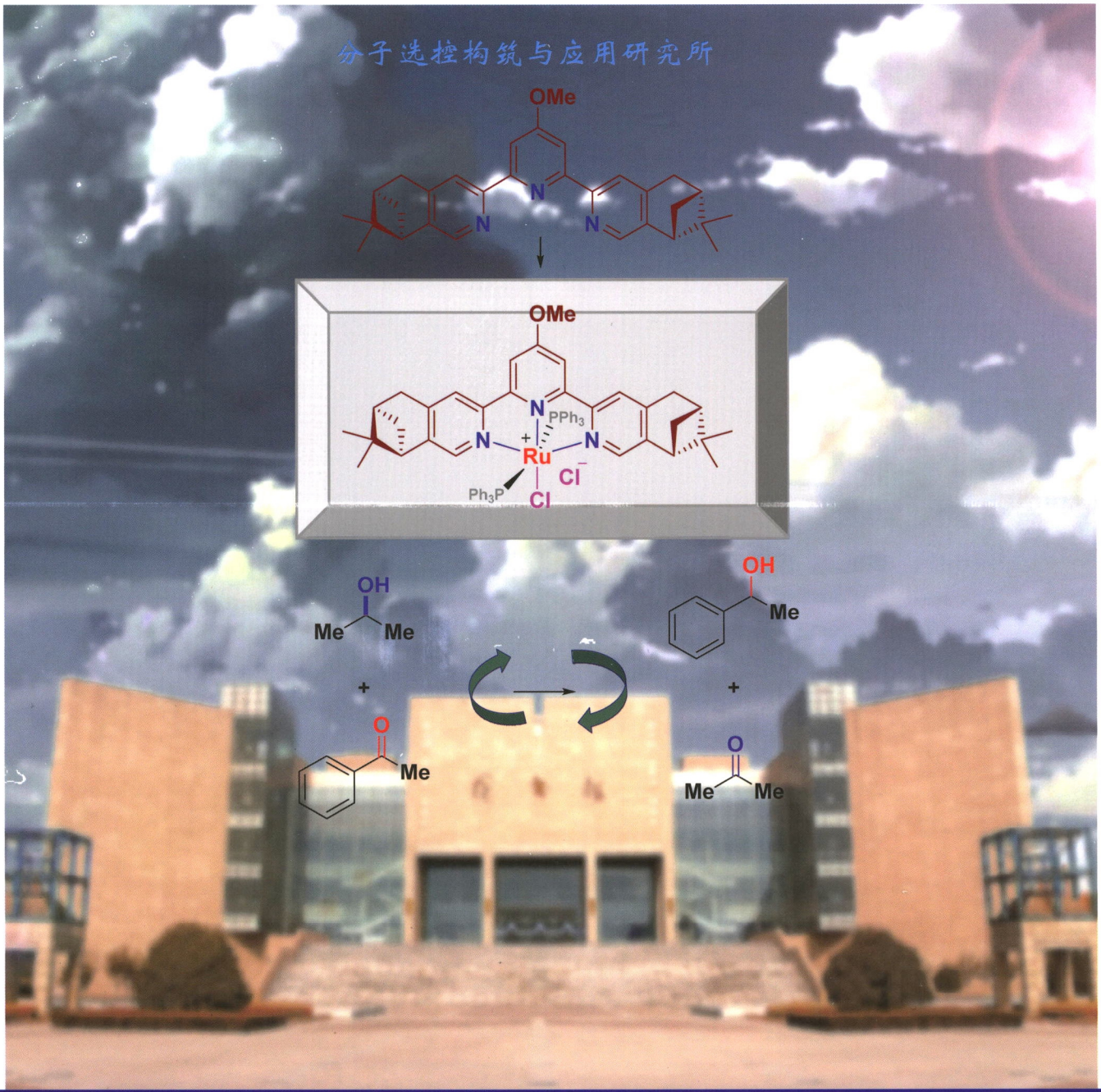

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综述与进展

研究论文

* 通讯联系人.

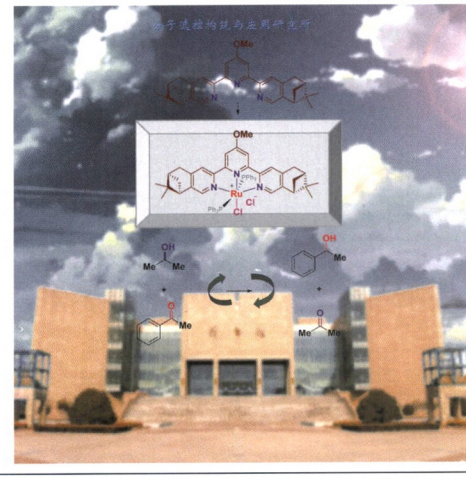
研究简报

亮点述评

Chinese Journal of Organic Chemistry

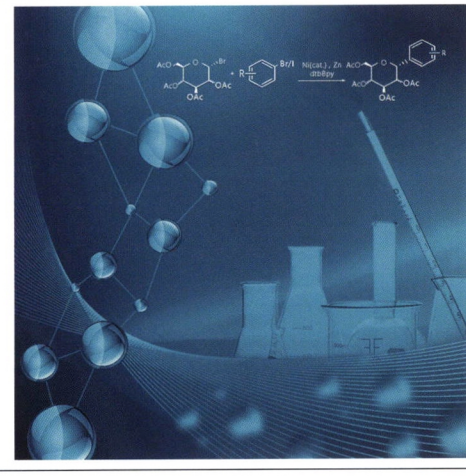
Vol. 41 No. 4 April 2021

Cover Picture: Transfer Hydrogenation of Ketones into Alcohols Catalyzed by a Novel Chiral Terpyridine Ruthenium(II) Complex



A novel chiral terpyridine Ru(II) complex-catalyzed transfer hydrogenation of ketones has been disclosed by Wang, Liu, Miao, Xue, Zhu, Song, Hao, and Liu on page 1543. With the well-designed Ru(II) complex, the hydrogenation of a wide range of ketones proceeded smoothly under relative mild reaction conditions, affording the corresponding alcohols with excellent isolated yields (up to 99%).

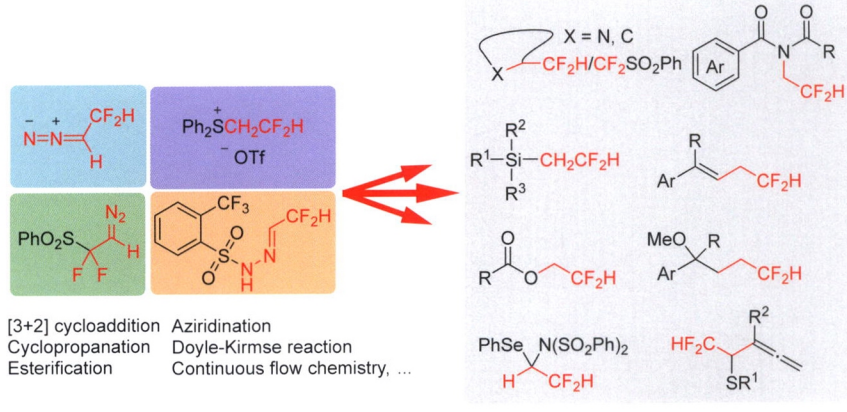
Inside Cover: Facile Preparation of Aryl *C*-Glycosides by Nickel-Catalyzed Reductive Coupling of Glycosyl Halides with Aryl Halides



The facile synthesis of aryl *C*-glycosides by nickel-catalyzed reductive coupling of glycosyl halides with aryl halides is highlighted by Sun, Liu, Lin, Yao, Tong, and Qian on page 1551. The present method generally resulted in the glycosides in excellent overall α/β coupling yields, which is easy to be scalped up as evident in the synthesis of dapagliflozin and its α-anomer.

REVIEWS

Recent Progress in the Application of Difluoromethyl Diazomethane as Fluorine-Containing Building Block

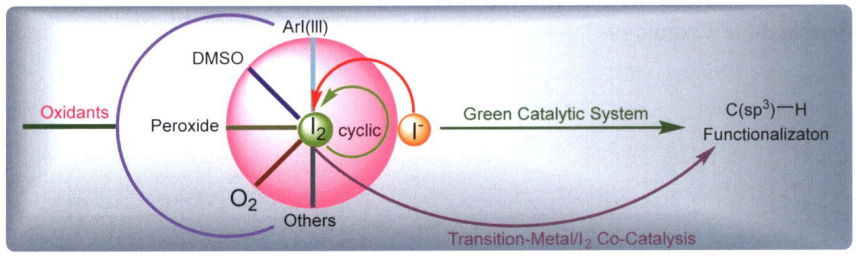


Zhu, Wenqing; Xu, Tingyi; Han, Wenyong*
Chin. J. Org. Chem. **2021**, *41*(4), 1275

Recent advances in the construction of CF_2H-containing molecules using difluorodiazoethane (CF_2HCHN_2) or its surrogates are reviewed, and the developmental direction for the field is prospected.

CONTENT

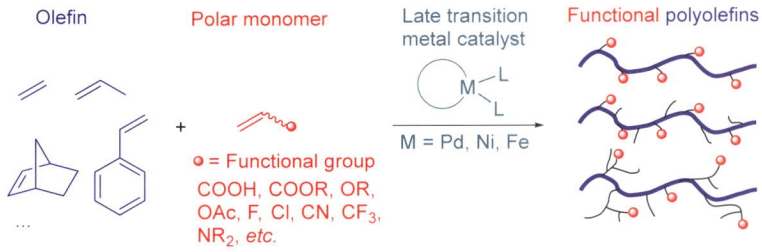
Research Progress in C(sp^3)—H Functionalization Reaction via Molecular Iodine-Catalyzed Oxidation



Zhang, Luwen; He, Wei*
Chin. J. Org. Chem. **2021**, *41*(4), 1359

The latest research progress of C(sp^3)—H functionalization catalyzed by iodine under different oxidation systems is summarized. The reaction characteristics and mechanisms are mainly discussed, and the future development is prospected.

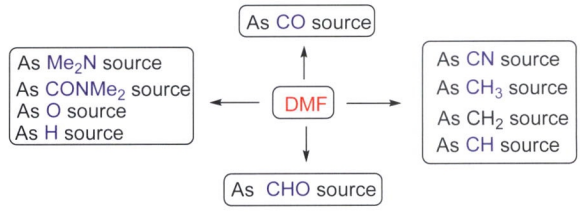
Late Transition Metal Complexes for Olefin Copolymerization with Polar Monomers



Li, Yongqing; Wang, Fan; Cao, Yucai*
Chin. J. Org. Chem. **2021**, *41*(4), 1396

The development of late transition metal complexes for olefin copolymerization with polar monomers according to the different types of complexes is reviewed. Fine modulation of key copolymerization parameters (activity, molecular weight, polar monemer incorporation, *etc.*) and material properties of polyolefins are discussed in detail. A brief outlook on the future of more efficient and thermally stable late transition metal catalysts to obtain high molecular weight copolymers with tuneable polar monomer incorporation is provided.

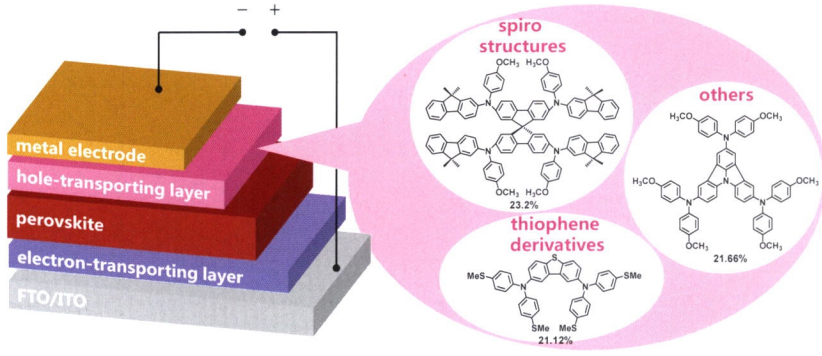
N,*N*-Dimethylformamide: An Versatile Organic Synthetic Reagent



Diao, Xuewen; Yang, Di; Yang, Qiliang; Cai, Xiaohua*
Chin. J. Org. Chem. **2021**, *41*(4), 1434

N,*N*-Dimethylformamide (DMF) is an inexpensive and abundant significant solvent and industrial raw material. It was not only regarded as an effective polar solvent, but also participated various synthetic transformation as reactant. The recent progress in the application of DMF as multifunctional reactant in organic transformation is reviewed.

Low-Cost, High-Performance Organic Small Molecular Hole-Transporting Materials for Perovskite Solar Cells

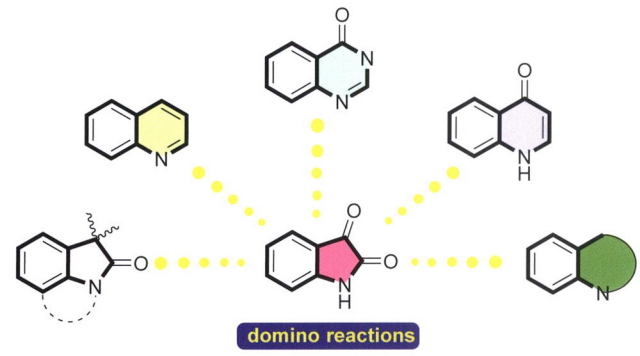


Shao, Jiang-Yang; Zhong, Yu-Wu*
Chin. J. Org. Chem. **2021**, *41*(4), 1447

The recent design and development of low-cost organic small molecules as hole-transporting materials in high-performance perovskite solar cells are discussed.

CONTENT

Recent Advances in the Synthesis of Benzoheterocyclic Compounds involving Isatins

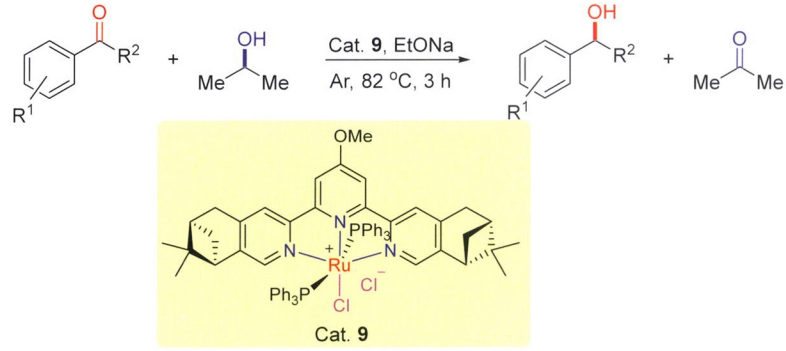


Jia, Fengcheng*; Luo, Na; Xu, Cheng*; Wu, Anxin
Chin. J. Org. Chem. **2021**, *41*(4), 1527

In recent years, the great progress has been made in the construction of heterocyclic compounds based on domino reactions involving isatins. According to the different types of heterocycles, the research progress in the synthesis of benzoheterocyclic compounds by the domino reactions related to isatins is briefly discussed.

ARTICLES

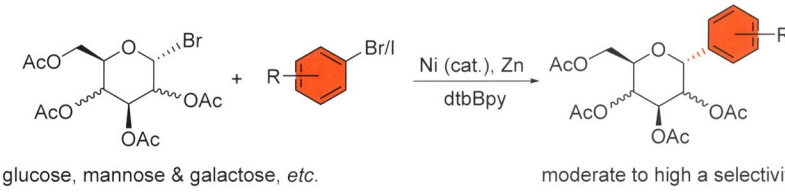
Transfer Hydrogenation of Ketones into Alcohols Catalyzed by a Novel Chiral Terpyridine Ruthenium(II) Complex



Wang, Xiaodie; Liu, Chunyu; Miao, Lingling; Xue, Bingjie; Zhu, Xinju; Song, Bing*; Hao, Xinqi*; Liu, Guoji
Chin. J. Org. Chem. **2021**, *41*(4), 1543

A novel chiral terpyridine Ru(II) complex-catalyzed transfer hydrogenation of ketones has been disclosed with broad substrate scope, operational convenience and high efficiency.

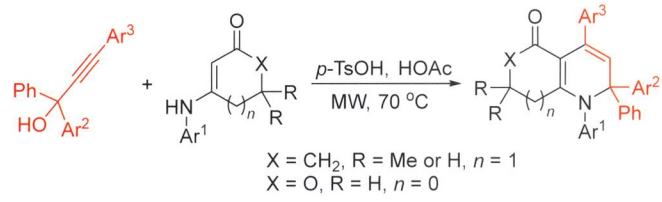
Facile Preparation of Aryl *C*-Glycosides by Nickel-Catalyzed Reductive Coupling of Glycosyl Halides with Aryl Halides



Sun, Yuren; Liu, Jiandong; Lin, Quan; Yao, Ken; Tong, Weiqi; Qian, Qun*
Chin. J. Org. Chem. **2021**, *41*(4), 1551

The facile synthesis of aryl *C*-glycosides by nickel-catalyzed reductive coupling of glycosyl halides with aryl halides was developed. The present method generally resulted in the glycosides in excellent overall α/β coupling yields, which is easy to be scalped up as evident in the synthesis of dapagliflozin and its α-anomer.

Synthesis of Fused Pyridines via Microwave-Assisted [3+3] Cyclization

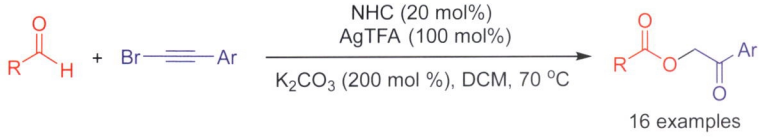


Wu, Yanan*; Du, Jianyu; Hao, Wenjuan; Jiang, Bo*
Chin. J. Org. Chem. **2021**, *41*(4), 1563

A new microwave-assisted *p*-TsOH-promoted [3+3] cyclization was reported. By using the characteristics of enaminones or enamino lactones as 1,3-dinucleaphilic reagents and propargyl alcohols as 1,3-electrophilic reagents, *p*-TsOH-promoted [3+3] cyclization of these substrates was carried out in acetic acid under microwave irradiation.

CONTENT

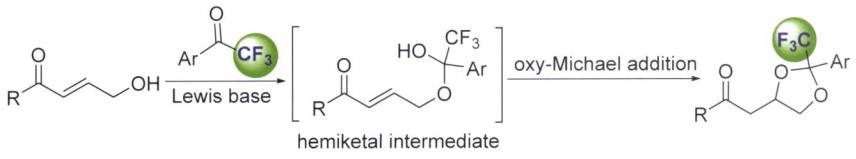
N-Heterocyclic Carbene (NHC)/Ag(I) Co-catalyzed Synthesis of 2-Oxo-2-arylethyl Aryl Formates



Cheng, Li; Wang, Wenrong; Sun, Yuqian; Li, Tuanjie*; Yu, Chenxia; Yao, Changsheng*
Chin. J. Org. Chem. **2021**, *41*(4), 1607

An N-heterocyclic carbene (NHC)/Ag(I) co-catayzed efficient synthesis of 2-oxo-2-arylethyl aryl formates was realized by the reaction of aryl aldehydes with (bromoethynyl)benzenes. This method features broad substrate scope, ready availability of starting materials and operational simplicity, which gives an alternative access to α-acyloxycarbonyl derivatives.

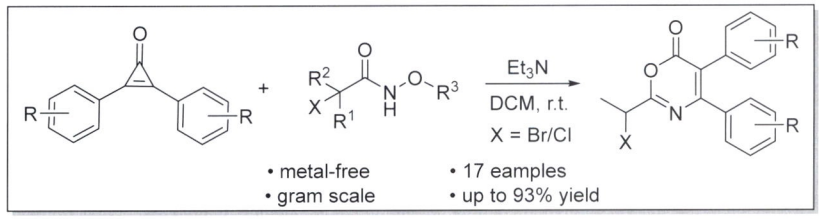
Triethylamine-catalyzed Cascade Reaction of γ-Hydroxy-α,β-unsaturated Ketones with Trifluoromethyl Ketones via Hemiketal Intermediates



Ma, Hai; Yu, Guanghao; Sui, Feng; Yang, Miyi; Liu, Li; Li, Huijie; Li, Feng*; Zhao, Qinghe*
Chin. J. Org. Chem. **2021**, *41*(4), 1614

The Et_3N-catalyzed cascade reaction of trifluoromethyl ketones and γ-hydroxy-α,β-unsaturated ketones via hemiketal intermediate is investigated. The adducts could be easily obtained in good to excellent yields with moderate diastereoselectivities. The reaction proceeded smoothly to give the desired product in 92% yield and with 96% *ee* in the presence of a glycosyl and quinidine-based bifunctional chiral thiourea catalyst.

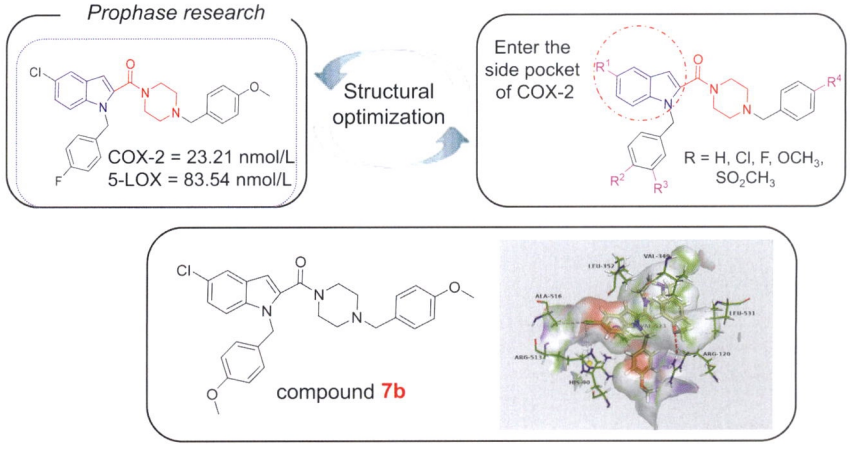
Triethyl Amine-Promoted Cyclization Reaction between Cyclopropenone and α-Halogenated Hydroxamate for the Synthesis of Polysubstituted 6*H*-1,3-Oxazin-6-one



Liu, Sizhan; Cui, Mingyue; Wang, Bowen; Hu, Chunmei; Zheng, Yingying; Li, Jing; Xu, Xuetao*; Wang, Zhen; Wang, Shaohua*
Chin. J. Org. Chem. **2021**, *41*(4), 1622

A triethyl amine-promoted cyclization reaction between cyclopropenone and α-halohydroxamate has been developed to construct 6*H*-1,3-oxazin-6-one skeleton. The reaction shows good yield and functional group tolerance under metal-free and mild conditions, and it is suitable for gram-scale preparation.

Synthesis and Anti-proliferative Activity of Indole-2-amide Derivatives as Cyclooxygenase-2/5-lipoxygenase (COX-2/5-LOX) Dual Inhibitors

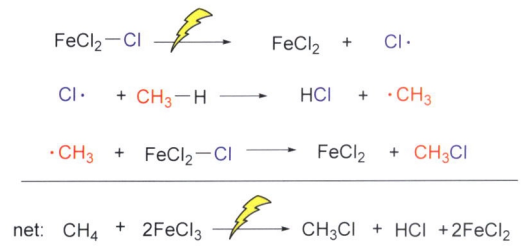


Qian, Shihu; Huang, Yuanzheng; Li, Jiaming*; Zhang, Yanchun; Zhang, Bin; Jin, Fan
Chin. J. Org. Chem. **2021**, *41*(4), 1631

A novel series of indole-2-amide-derived cyclooxygenase-2/5-lipoxygenase (COX-2/5-LOX) dual inhibitor were designed and synthesized, and biologically evaluated as anti-proliferative agents.

CONTENT

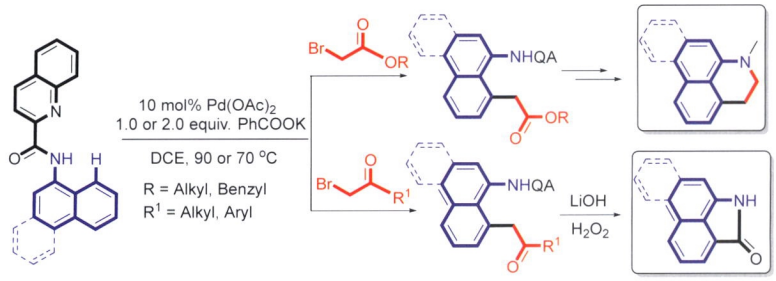
Selective Chlorination of Methane Photochemically Mediated by Ferric Chloride at Ambient Temperature



Huo, Shangfei; Chen, Hong; Zuo, Weiwei*
Chin. J. Org. Chem. **2021**, *41*(4), 1683

A photochemical method for the selective chlorination of methane under mild conditions, using $FeCl_3$, is developed.

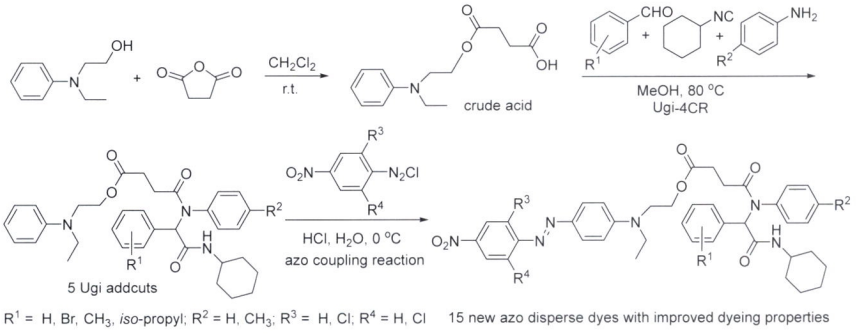
Palladium-Catalyzed C8 Alkylation of 1-Naphthylamides and Its Application to the Synthesis of the Core Sturctures of Aporphine and Aristolactam Alkaloids



A practical methodology for the palladium-catalyzed regioselective alkylation of 8-C−H bonds in 1-naphthylamides containing a quinolinamide moiety as a bidentate directing group with functionalized alkyl halides is reported. Various functionalized alkyl halides including α-bromo esters and ketones can be employed as coupling partners, providing exclusively 8-alkyl-1-naphthylamine derivatives. In particular, the alkylated products with these ester and carbonyl groups can readily be further converted into the core structures of aporphine and aristolactam alkaloids, respectively.

Jin, Honglei; Jiang, Fengxuan; Cheng, Kai*; Huang, Lehao*
Chin. J. Org. Chem. **2021**, *41*(4), 1691

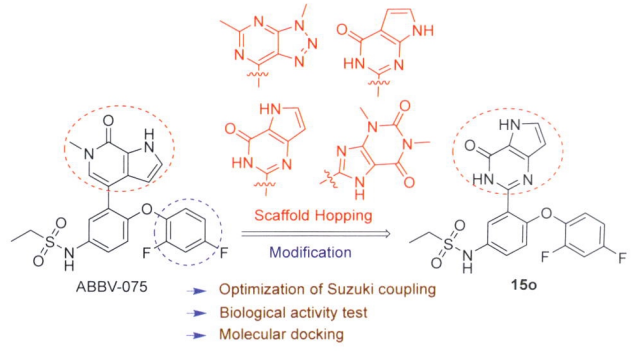
Facile Synthesis of Novel, Structurally Diverse Azo Disperse Dyes by Employing Ugi Four-Component Reaction



Employing Ugi four-component reaction as the key step, a novel and efficient protocol for accessing novel, structurally diverse azo disperse dyes from readily available starting material was established. It is an attractive approach for accessing a library of novel azo disperse dyes with good fastness properties.

Guo, Xiaoyan; Fang, Shuaijun; Qian, Hongfei; Feng, Gaofeng*
Chin. J. Org. Chem. **2021**, *41*(4), 1703

Design, Synthesis and Activity Evaluation of Novel Bromodomain-Containing Protein 4 (BRD4) Small Molecule Inhibitor Based on ABBV-075



16 compounds with 4 different nuclei based on ABBV-075 were designed and synthesized through scaffold hopping. The conditions of the Suzuki coupling reaction in the synthesis steps were optimized and the activity of all compounds against BRD4 was tested.

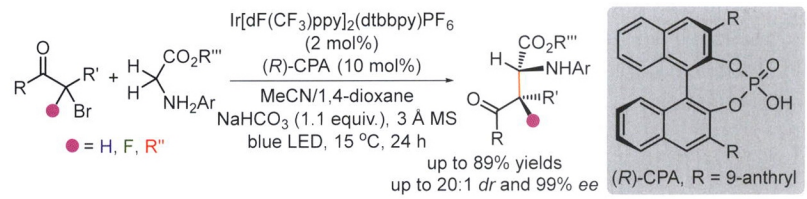
Xu, Chenhao; Gong, Yunpeng; Chen, Yaxin; Song, Qimeng; Li, Jiao; Zheng, Yichao; Li, Wen*; Sun, Kai*; Liu, Hongmin*
Chin. J. Org. Chem. **2021**, *41*(4), 1712

CONTENT

NOTES

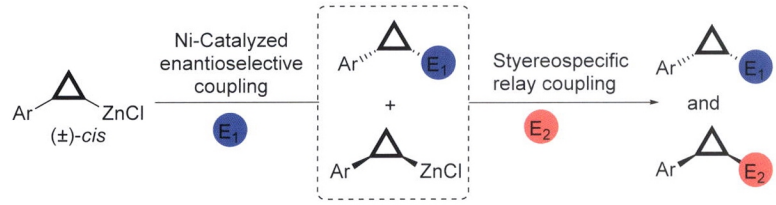
HIGHLIGHTS

Synthesis of Chiral Unnatural α-Amino Acids Enabled by Photoredox/Brønsted Acid Cocatalysis



Zhang, Hong-Hao; Yu, Shouyun*
Chin. J. Org. Chem. **2021**, *41*(4), 1744

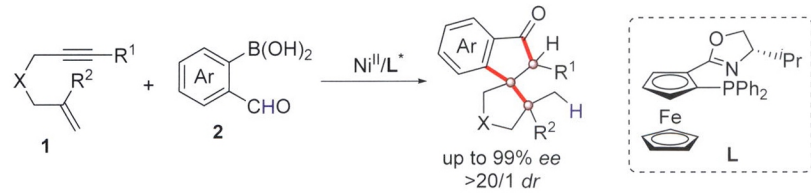
Enantiodivergent Relay Coupling for Nickel-Catalyzed Stereoselective Functionalization of Cyclopropylzinc Reagents



E_1 = 1° and 2° (fluoro)alkyl halides; E_2 = carbon, halogen electrophiles

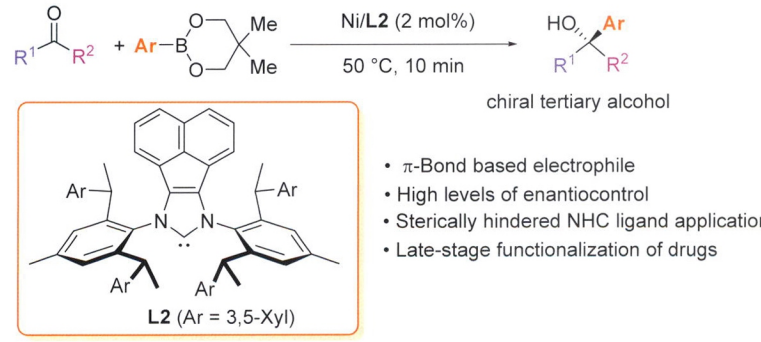
Cheng, Ruoshi; Bai, Dachang*
Chin. J. Org. Chem. **2021**, *41*(4), 1746

Enantioselective Nickel-Catalyzed Cascade Borrowing Hydrogen Cyclization to Access Spirocycles



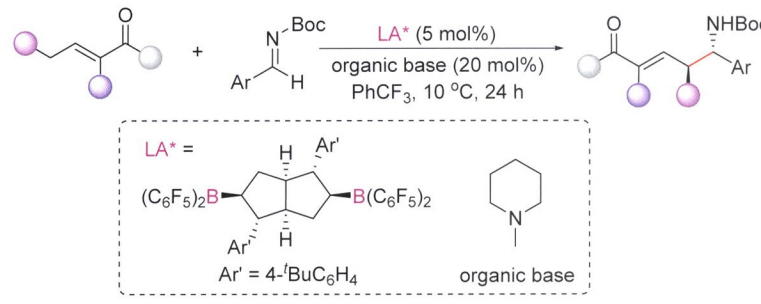
Zhang, Hanyue; Jia, Yixia*
Chin. J. Org. Chem. **2021**, *41*(4), 1749

Nickel/N-Heterocyclic Carbene Catalysis Catalyzed Catalyzed Enantioselective Suzuki-Miyaura Coupling of Ketones



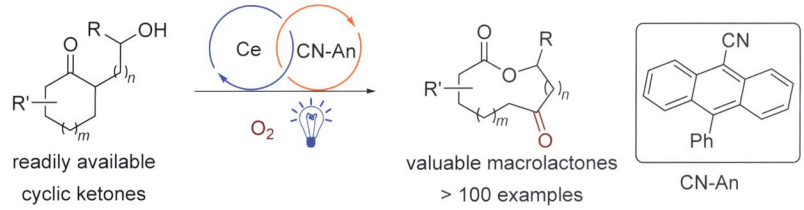
Kong, Weiyu; Yin, Guoyin*
Chin. J. Org. Chem. **2021**, *41*(4), 1751

Direct Asymmetric Vinylogous Mannich Reactions of Acyclic α,β-Unsaturated Ketones Catalyzed by Chiral Boranes



Yang, Kai; Song, Qiuling*
Chin. J. Org. Chem. **2021**, *41*(4), 1753

Macrolactones via Photoinduced Ring Expansion of Cyclic Ketones



Ye, Juntao*
Chin. J. Org. Chem. **2021**, *41*(4), 1755

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