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(YOUJI HUAXUE)

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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

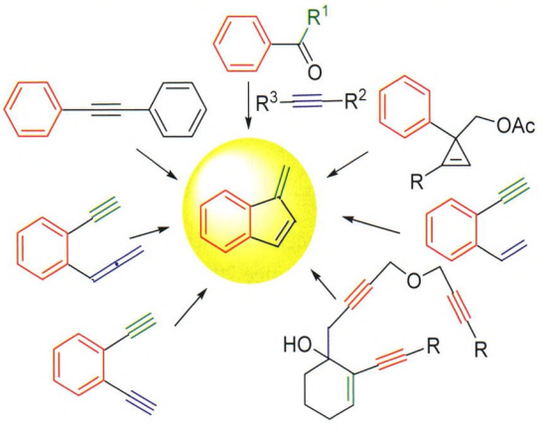
Vol. 40 No. 4 April 2020

Cover Picture: Peroxide-Induced Radical Relay Carbocyclization towards Polycyclic Benzimidazole[2,1-*a*]isoquinolines

Structurally diverse polycyclic benzimidazole[2,1-*a*] isoquinolines are important synthetic intermediates and key structural motifs in biologically active molecules. A general radical relay carbocyclization reaction with 2-arylbenzoimidazoles and peroxides is reported by Wang, Li, Sun and Zhang on page 913. This method provides an efficient route to a series of structurally diverse benzimidazole[2,1-*a*]isoquinolines under mild conditions in a straightforward manner.

REVIEWS

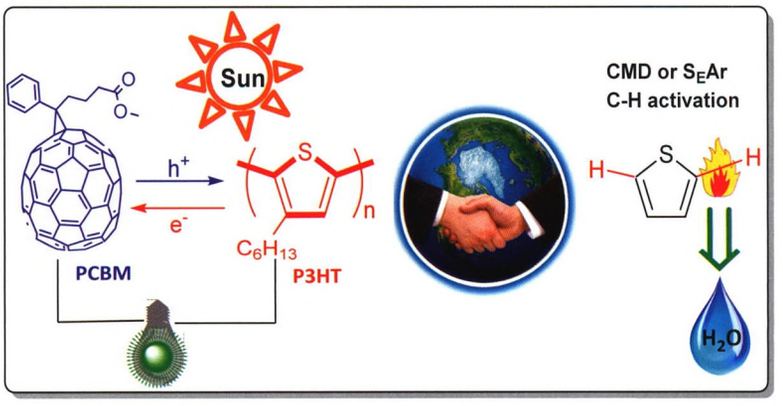
Recent Advancement in Benzofulvene Synthesis



Shi, Chuanxing; Feng, Chenguo; Chen, Yali*; Zhang, Shusheng*; Lin, Guoqiang
Chin. J. Org. Chem. **2020**, *40*(4), 817

According to the types of the initiation of the reaction, these methods can roughly be classified into five categories: thermal or photochemical cyclization of enyne-allenes or enediynes, transition metal-catalyzed sequential cyclization reaction, electrophilic or nucleophilic attack initiated cyclization, radical initiated cyclization and acid promoted cyclization. This review describes the important synthetic methods of benzofulvenes according to their reaction types.

Direct C—H Arylation-Derived π-Conjugated Functional Materials for Device Applications

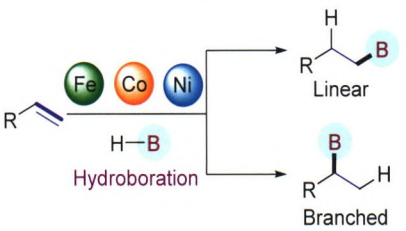


Liu, Hui; Zhang, Xiaofeng; Cheng, Jingzhao; Ye, Dongnai; Chen, Long; Wen, Herui; Liu, Shiyong*
Chin. J. Org. Chem. **2020**, *40*(4), 831

The recent developments in direct C—H arylation for the synthesis of organic conjugated functional materials used in device applications have been reviewed. The advantages, challenges and the future developments have also been discussed and commented.

CONTENT

Recent Advances in Hydroboration of Alkenes Catalyzed by Fe, Co and Ni

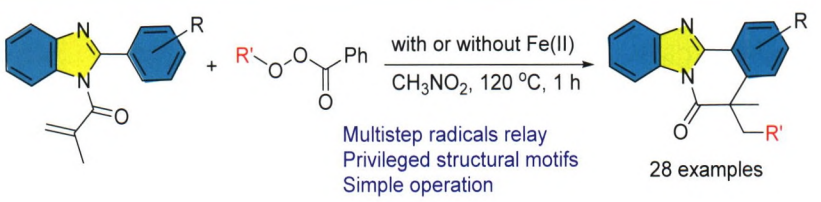


Sun, Yue; Guan, Rui; Liu, Zhaohong*; Wang, Yeming*
Chin. J. Org. Chem. **2020**, *40*(4), 899

Compared with precious metal catalysts, iron, cobalt and nickel catalysts were not only low cost, but also they displayed unique reactivity and selectivity. The important advances in hydroboration of alkenes catalyzed by iron, cobalt and nickel have been summarized since 1994, including catalytic activity, selectivity and substrate scope of different catalytic systems.

ARTICLES

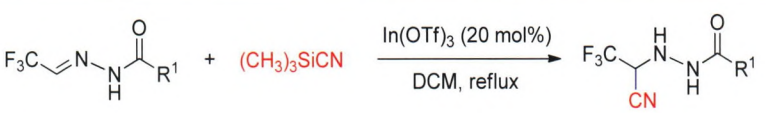
Peroxide-Induced Radical Relay Carbocyclization towards Polycyclic Benzimidazole[2,1-*a*]isoquinolines



Wang, Xin; Li, Guofeng; Sun, Kai*; Zhang, Bing*
Chin. J. Org. Chem. **2020**, *40*(4), 913

A general carbon-centered radical cascade carbocyclization reaction is realized, which provides an efficient route to a series of structurally diverse benzimidazole[2,1-*a*]isoquinolines in a straightforward manner.

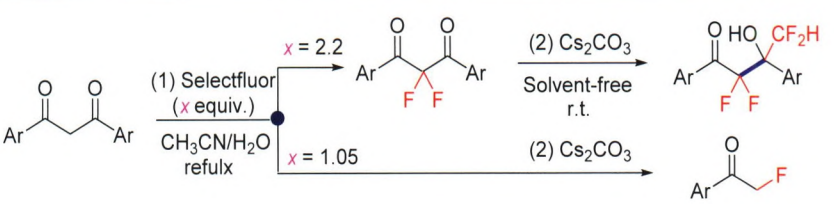
Study on the Hydrocyanation Reaction of Trifluoromethylated Acylhydrazones



Xu, Weigang; Huang, Danfeng; Wang, Kehu; Zhao, Fangxia; Zhao, Zhuanxia; Hu, Yongqing; Su, Yingpeng; Hu, Yulai*
Chin. J. Org. Chem. **2020**, *40*(4), 922

The 1,2-addition reaction of trifluoromethylated acylhydrazones with trimethylsilyl cyanide catalyzed by Lewis acid was investigated, and a series of cyanohydrazide compounds containing trifluoromethyl group were afforded in high yields.

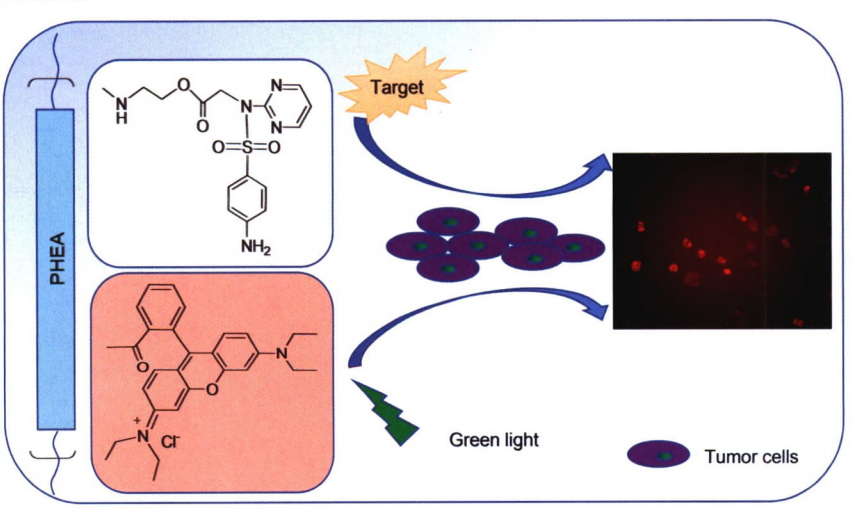
Synthesis of *α*,*α*,*γ*,*γ*-Tetrafluoro-*β*-hydroxy Ketones and *α*-Fluoroacetophenones via 1,3-Diaryl-1,3-diketones



Bao, Kun; Wei, Jun; Sheng, Rong*
Chin. J. Org. Chem. **2020**, *40*(4), 930

A mild and excellent method to synthesize *α*,*α*,*γ*,*γ*-tetrafluoro-*β*-hydroxy ketones and *α*-fluoroacetophenones from 1,3-diaryl-1,3-diketones has been developed.

Polyaspartamide Fluorescent Probe Containing Rhodamine B and Sulfadiazine Groups for Molecular Imaging and Diagnosis

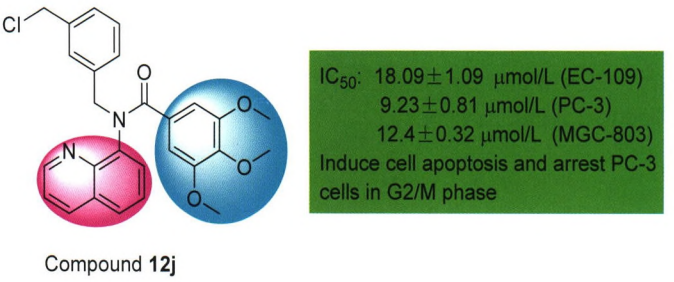


Zhang, Miao; Liu, Fan*; Ke, Xijun; Chen, Si; Yan, Guoping*; Zhang, Qiao; Liang, Shucai; Wang, Yufang; Jiang, Can
Chin. J. Org. Chem. **2020**, *40*(4), 938

The water-soluble polyaspartamide fluorescent probe was synthesized by the incorporation of rhodamine B and sulfadiazine as a tumor-targeting group to polysuccinimide. It possessed the low cytotoxicities to HepG2 and HeLa cells, high specific uptake and good red fluorescent imaging in HeLa tumor cells.

CONTENT

Design, Synthesis and Anticancer Activity Studies of Novel Trimethoxyphenyl-quinoline Derivatives



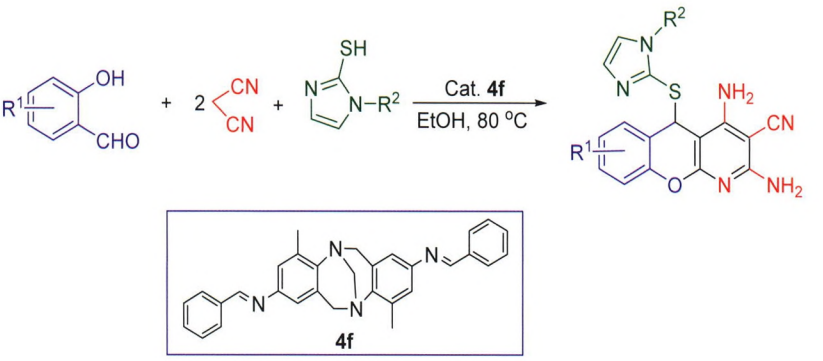
Compound **12j**

Wu, Bowen; Cui, Xinxin; Zhu, Ting; Wang, Shenghui; Lu, Chaofan; Wang, Jinjie; Dang, Hexiang; Zhang, Saiyang*; Ding, Li'na*; Jin, Chengyun*

Chin. J. Org. Chem. **2020**, *40*(4), 978

A series of novel trimethoxyphenyl-quinoline hybrids were designed, synthesized and evaluated for antiproliferative activity against three different cancer cell lines (EC-109, PC-3, and MGC-803). Among them, compound **12j** showed the best growth inhibitory activity against EC-109, PC-3 and MGC-803 cells with the IC_{50} values of (18.09±1.09), (9.23±0.81) and (12.42±0.32) μmol/L, respectively.

Preparation and Application in the Synthesis of 4*H*-Chromeno[2,3-*b*]pyridine-3-carbonitriles of Tröger's Base Derivatives

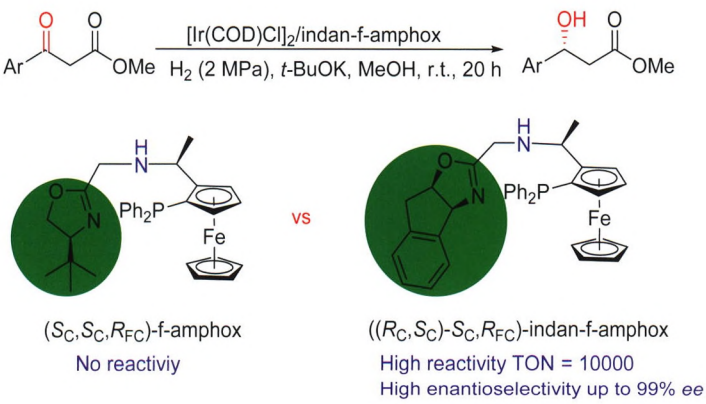


Chen, Wen; Yuan, Rui; Fang, Yue; Dong, Hongrui; Yasen, Bumaryam; Su, Liujuan; Ren, Xuanxuan; Zhou, Hang; Wan, Yu; Zhang, Peng*; Zhou, Shengliang*; Wu, Hui*

Chin. J. Org. Chem. **2020**, *40*(4), 988

A series of Schiff base catalysts derived from Tröger's base-NH_2 were synthesized and used to promote the multi-component reactions of substituted salicylaldehydes, malononitrile and substituted 2-mercaptoimidazole to afford 5-(1*H*-imidazol-2-ylthio)-2,4-diamino-5*H*-chromeno[2,3-*b*]pyridine-3-carbonitrile derivatives (**8**) efficiently. The reaction mechanism was discussed based on the ^{1}H NMR analysis preliminarily. Most of products showed high inhibitory on the human breast adenocarcinoma cell (MCF-7) and adenocarcinomic human alveolarbasal epithelial cell (A549).

Indan-f-amphox: An Efficient PNN Ligand for Iridium-Catalyzed Asymmetric Hydrogenation of β-Aryl β-Ketoesters



(S_C,S_C,R_{FC})-f-amphox
No reactiviy

((R_C,S_C)-S_C,R_{FC})-indan-f-amphox
High reactivity TON = 10000
High enantioselectivity up to 99% *ee*

Gu, Guoxian; Hu, Yang; Liu, Shaodong; Dong, Xiu-Qin*; Zhang, Xumu*

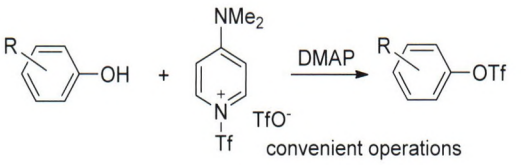
Chin. J. Org. Chem. **2020**, *40*(4), 997

A new chiral tridentate PNN ligand, indan-f-amphox (a sister ligand of f-amphox), was synthesized and applied in iridium-catalyzed asymmetric hydrogenation of β-aryl β-ketoesters. A wide range of β-aryl β-ketoesters are reduced using an Ir(III)-indan-f-amphox catalyst with excellent enantioselectivities and reactivities (up to 99% *ee*, TON=10000)

CONTENT

NOTES

Trifluoromethanesulfonylation of Phenols

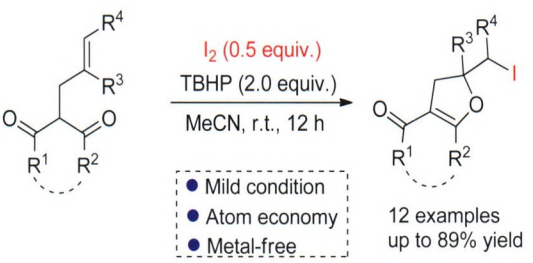


Bai, Xiaodong; Fu, Zhihong*; Cao, Yucai; Lin, Jinhong*

Chin. J. Org. Chem. **2020**, *40*(4), 1028

A trifluoromethanesulfonylation of phenols with pyridinium salt ($C_5H_5N^+SO_2CF_3$-$CF_3SO_3^-$) to afford aryl triflates is described. The expected products could be isolated simply by washing without the need for chromatography operations.

Synthesis of 5-Iodomethyl Dihydrofurans via *t*-butyl hydroperoxide-Induced Lodocyclization of Olefinic Dicarbonyl Compounds with I_2

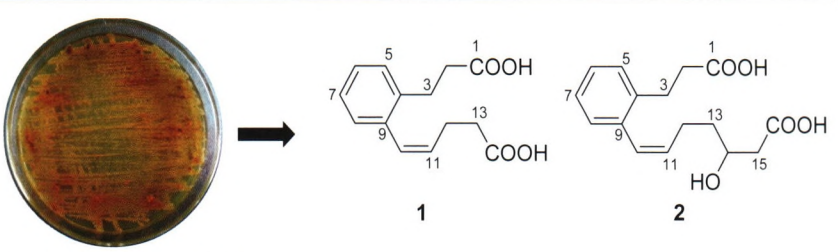


Quan, Jining; He, Xiaoxue; Yan, Xinhuan; Li, Xiaoqing; Xu, Xiangsheng*

Chin. J. Org. Chem. **2020**, *40*(4), 1033

A new method for the iodocyclization of olefinic dicarbonyl compounds with *t*-butyl hydroperoxide (TBHP) and I_2 was developed. The *in situ* generated hypoiodous acid and ^{t}BuOI were used as active iodine cation reagents. This method allowed the synthesis of polysubstituted 5-iodomethyldihydrofurans under mild reaction conditions with cheap reagents and high atom economy.

ortho-Dialkyl-Substituted Aromatic Acids from *Verrucosispora* sp. NS0172



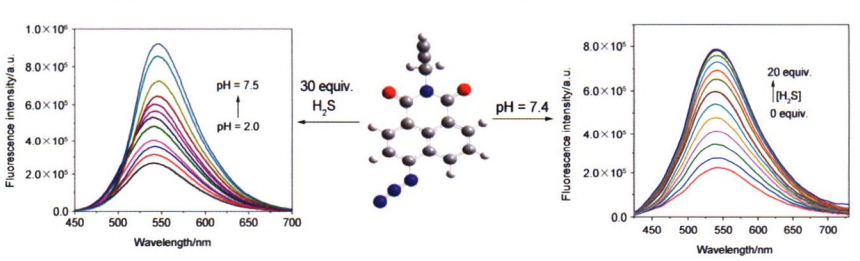
Verrucosispora sp. NS0172

Two new *ortho*-dialkyl-substituted aromatic acids **1** and **2** were isolated from *Verrucosispora* sp. NS0172. The chemical structures of **1** and **2** were determined by spectroscopic methods including 1D- and 2D-NMR and HR-ESI-MS experiments. The cytotoxicity of compounds **1** and **2** was evaluated by methyl thiazolyl tetrazolium (MTT) assay, and compound **1** showed potent antiproliferative activity against human hepatocellular carcinoma SMMC-7721 (IC_{50} 7.74 μmol•L^{-1}). Compounds **1** and **2** were tested for the antimicrobial and antifungal activities by filter paper disc diffusion assay, and both of them showed no evident activities at a dose of 40 μg/disc.

Zhang, Kun; Xie, Xiangqian; Shi, Haixia; Shen, Yuemao*; Wang, Haoxin*

Chin. J. Org. Chem. **2020**, *40*(4), 1038

An Intramolecular Charge Transfer (ICT)-Based Fluorescent Probe of Hydrogen Sulphide under pH Control Strategy



Zhu, Jihua; Zhang, Hao; Liu, Min; Liu, Jingjiang; Liao, Yuan; Quan, Zhengjun*; Wang, Xicun*

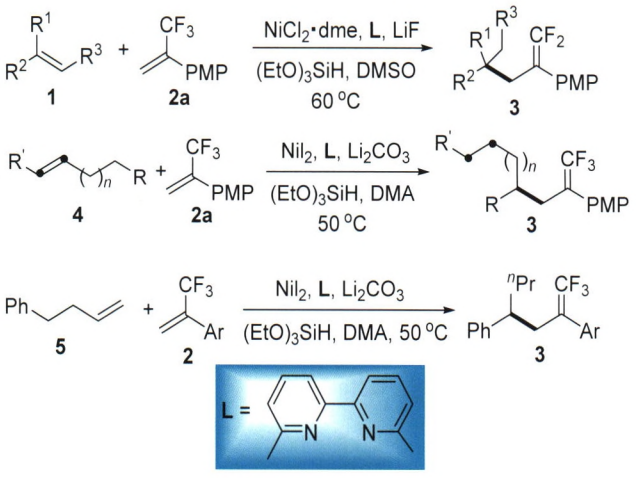
Chin. J. Org. Chem. **2020**, *40*(4), 1043

A fluorescent probe **L** based on intramolecular charge transfer (ICT) mechanism can be used to detect H_2S with satisfactory sensitivity and fast response. It was also successfully applied to detection of pH within the pH range of 2～6.5 in the presence of H_2S.

CONTENT

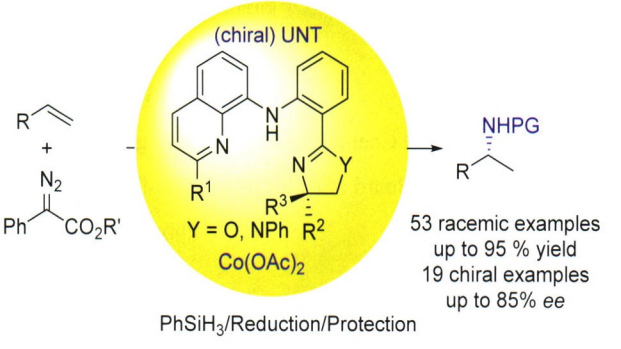
HIGHLIGHTS

NiH-Catalyzed Migratory Defluorinative Olefin Cross-Coupling: Trifluoromethyl-Substituted Alkenes as Acceptor Olefins to Form *gem*-Difluoroalkenes



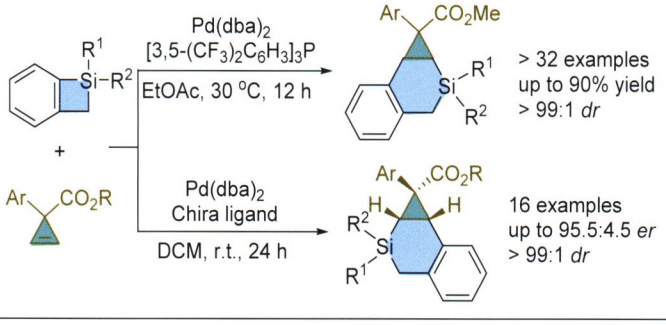
Qian, Chao; Tang, Wenjun*
Chin. J. Org. Chem. **2020**, *40*(4), 1076

Ligand-Promoted Cobalt-Catalyzed Radical Hydroamination of Alkenes



Liu, Bingxue; Liu, Qiang*
Chin. J. Org. Chem. **2020**, *40*(4), 1078

Palladium-Catalyzed [4+2] Annulation of Cyclopropenes with Benzosilacyclobutanes

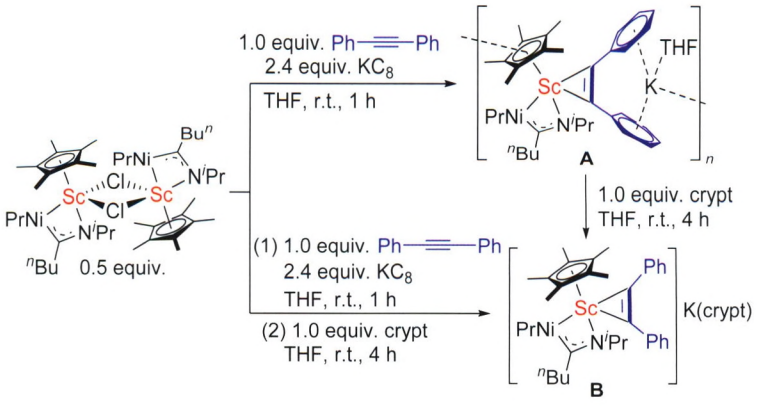


Wang, Xichao; Zhao, Dongbing*
Chin. J. Org. Chem. **2020**, *40*(4), 1080

Regio- and Stereo-selective Vicinal Fluoroarylation of Allenoates

Zhang, Faguang; Ma, Jun'an*
Chin. J. Org. Chem. **2020**, *40*(4), 1082

Aromatic Scandacyclopropenes: Synthesis, Structure, and Reactivity



Wang, Kai; Zhou, Xigeng*
Chin. J. Org. Chem. **2020**, *40*(4), 1084

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