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# 有机化学

Youji Huaxue

# Chinese Journal of Organic Chemistry

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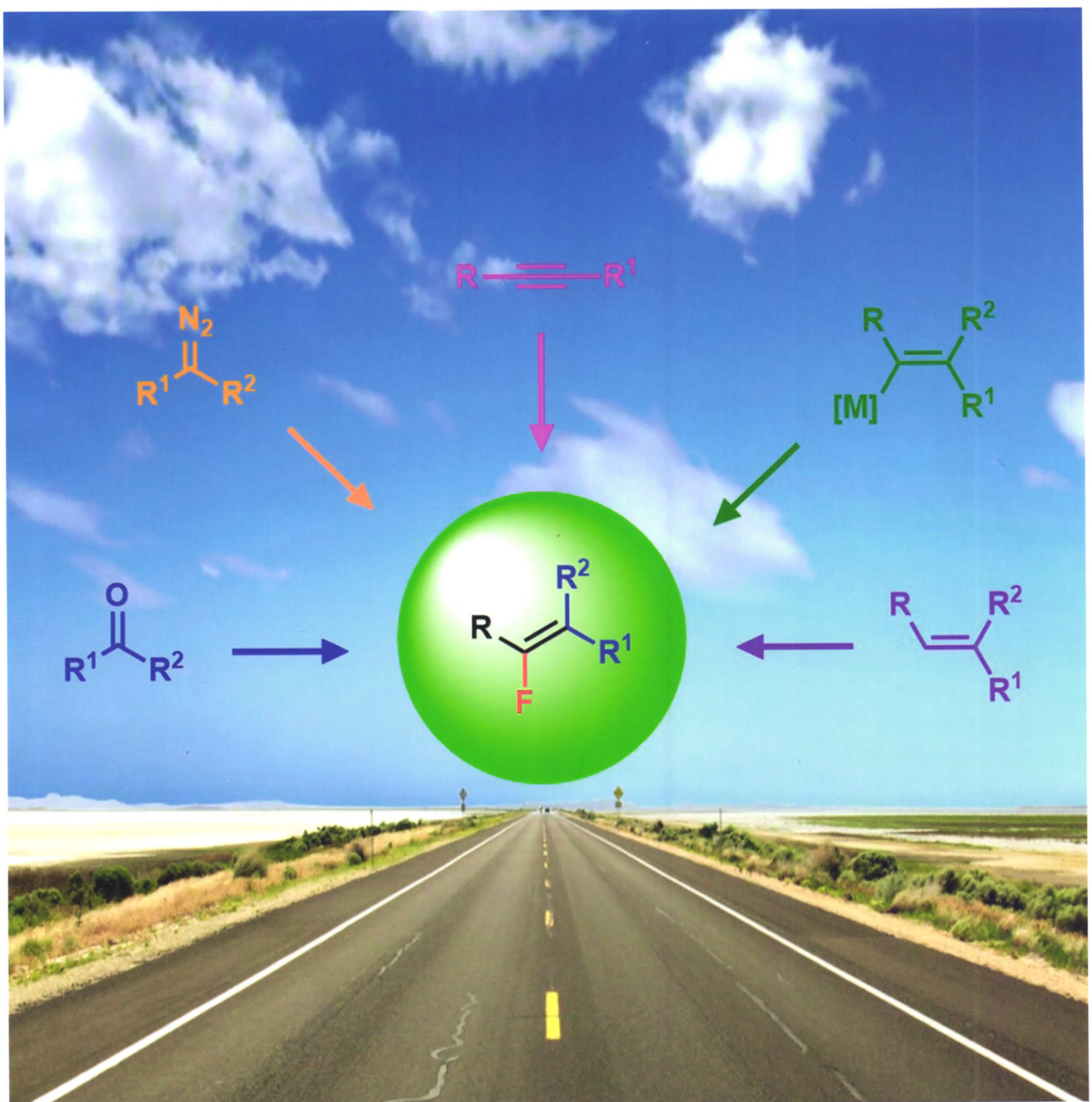


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# 有 机 化 学 （月刊）

# Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

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## 目 次

### 综述与进展



### 研究论文




* 通讯联系人.

## 研究简报

# Chinese Journal of Organic Chemistry

## Vol. 37 No. 9 September 2017


On the Cover

The recent advances in the highly stereoselective synthesis of tri- or tetrasubstituted monofluoroalkenes are reviewed by Liao, Yu and Zhou on page 2175. The advantages and disadvantages of known synthetic strategies, which are characterized by the employment of different substrates such as aldehydes, ketones, diazo compounds, alkynes, alkenyl metallic species and alkenes, are summarized and discussed.

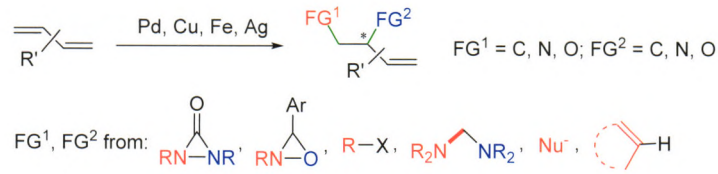
## REVIEWS

# CONTENT



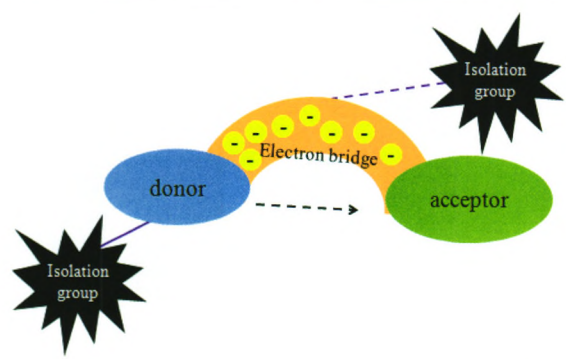
## Recent Advances in Metal-Catalyzed 1,2-Difunctionalization of Conjugated Dienes




The 1,2-difunctionalization of conjugated dienes is an important homogeneous catalytic reaction. The obtained products through 1,2-difunctionalization are widely existed in natural products and bioactive compounds, in addition, the preserved double bond in the difunctionalized product can be further transformed to give the desired structures or be functionalized sequentially to achieve multi-functionalization. In this review, the recent metal palladium, copper, iron or silver catalyzed 1,2-difunctionalizations of conjugated dienes, and the asymmetric 1,2-difunctionalizations of conjugated dienes via the introduction of chiral ligands are discussed.

Wu, Zhengxing; Zhang, Wanbin*
*Chin. J. Org. Chem.* **2017**, *37*(9), 2250

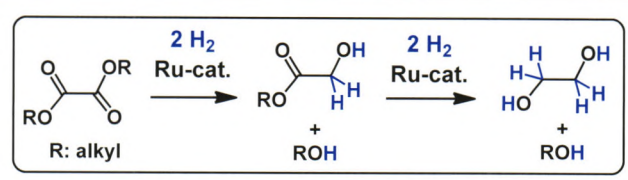
## Organic Second-Order Nonlinear Optical Chromophores Modified by Isolation Groups




Isolation groups are good modification way to improve the shape of chromophores and attenuate large dipole-dipole between chromophores. In this review, the recent processes of novel organic second-order nonlinear optical (NLO) chromophores modified by isolation groups are summarized systematically and comprehensively.

Chen, Lu; Bo, Shuhui*; He, Yanling; Chen, Zhuo; Liu, Xinhou; Zhen, Zhen*
*Chin. J. Org. Chem.* **2017**, *37*(9), 2263

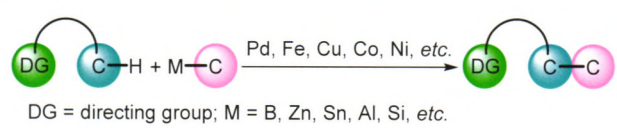
## Advances for the Ruthenium Complexes-Based Homogeneous Catalytic Hydrogenation of Oxalates to Ethylene Glycol




The studies on the ruthenium complexes-based homogeneous catalytic reaction systems are summarized. With the focus on the catalytic reaction systems, the important factors with significant influences on the oxalate transformation efficiency as well as the product selectivity are discussed. The catalytic reaction mechanisms are also discussed in detail, where the mechanism for the $H_2$-heterolysis promoted under the metal-ligand cooperation for the oxalate hydrogenation to ethylene glycol is enhanced.

Zhang, Yiwei; Chen, Yilin; Fang, Xiaolong; Yuan, Youzhu*; Zhu, Hongping*
*Chin. J. Org. Chem.* **2017**, *37*(9), 2275

## Cross-Coupling of Directed C—H and Organometallic Reagents for C—C Bond Formation




The cross-coupling of transition metal-activated C—H bond with organic electrophilic reagents has been proved effective for construction of various C—C bonds. Meanwhile, the oxidative coupling between the corresponding intermediates with organometallic reagents has become the focus for chemists due to their high reactivity, and notable achievements have been made in recent years. In this paper, the recent advances in the oxidative couplings of C—H bond and organometallic reagents in the past 10 years have been reviewed according to the hybridization of substrate and organometallic reagents.

Li, Hua; Ren, Xiangwei; Zhao, Wentao*; Tang, Xiangyan; Wang, Guangwei*
*Chin. J. Org. Chem.* **2017**, *37*(9), 2287

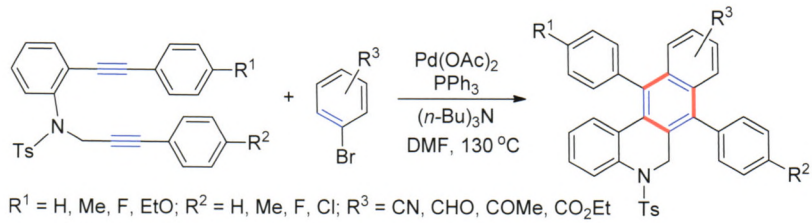
# CONTENT

## ARTICLES



## Synthesis of Dihydrophenanthridines by Palladium-Catalyzed [2+2+2] Cyclization Reactions

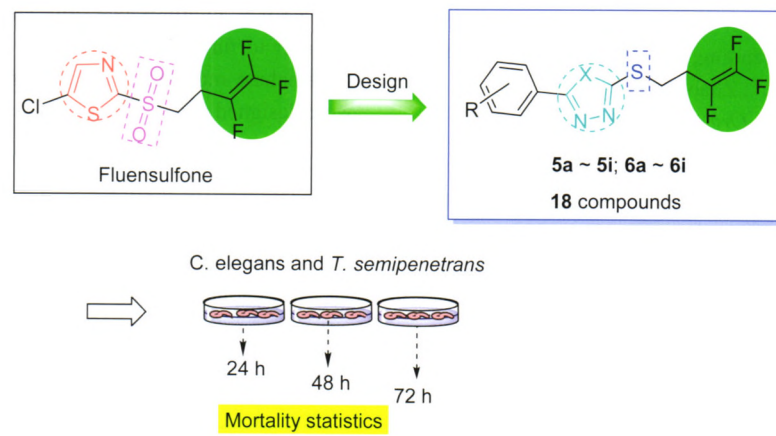



Wu, Yuqin; Yu, Liangyun; Zhang, Qi; Li, Lidong*

*Chin. J. Org. Chem.* **2017**, *37*(9), 2336

A practical and efficient domino cyclization between diynes and aryl halides has been developed. Using unactivated simple diynes with aryl halides under palladium catalytic system afforded various 5,6-dihydrobenzo[j]phenanthridine derivatives through C—C coupling and C—H bond activation in one step. This domino reaction was initiated by an intermolecular [2+2+2] cycloaddition and given an excellent yield.

## Synthesis and Nematicidal Activity of Novel 1,3,4-Oxadiazole (Thiadiazole) Thioether Derivatives Containing Trifluorobuten Moiety

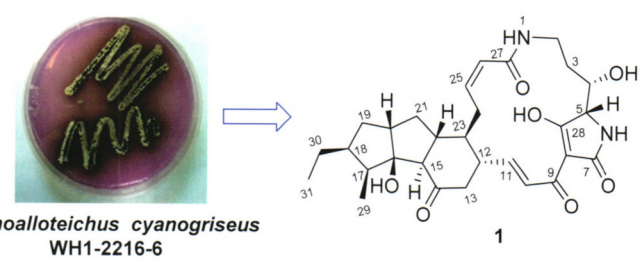



Chen, Xuewen; Gan, Xiuhai; Chen, Jixiang; Chen, Yongzhong; Wang, Yanjiao; Hu, Deyu; Song, Baoan*

*Chin. J. Org. Chem.* **2017**, *37*(9), 2343

A series of novel 1,3,4-oxadiazole (thiadiazole) thioether derivatives containing a trifluorobuten group were designed, synthesized and evaluated for their nematicidal activities.

## Polycyclic Tetramate Macrolactams from the Marine-Derived *Actino-alloteichus cyanogriseus* WH1-2216-6




| Cell Line | $IC_{50}$ or $CC_{50}$/(mmol•L$^{-1}$) | SI |
|---|---|---|
| HCT-116 | 5.7 | 41.4 |
| Jurkat | 7.5 | 31.5 |
| BXPC-3 | 4.5 | 52.4 |
| L-02 | 235.9 | |

Mei, Xiangui; Wang, Liping; Wang, Dongyang; Fan, Jie; Zhu, Weiming*

*Chin. J. Org. Chem.* **2017**, *37*(9), 2352

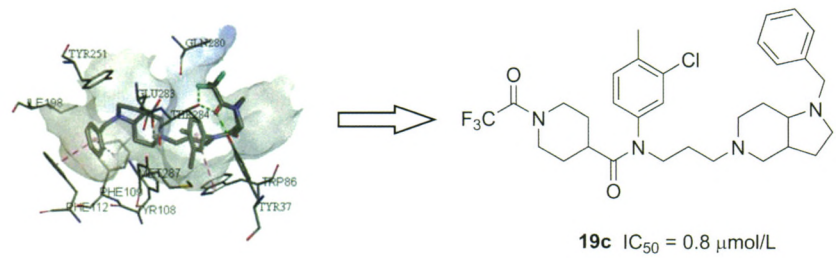
The new 5,5,6-PTMs compound, 16-hydroxymaltophilin (**1**) along with the known dihydromaltophilin (**2**), 4-deoxydihydromaltophilin (**3**), maltophilin (**4**), xanthobaccin C (**5**) and FI-2 (**6**) were obtained from the fermentation broth of the marine-derived *Actinoalloteichus cyanogriseus* WH1-2216-6. Compound **1** showed selectivity against Jurkat, HCT-116 and BXPC-3 cells with the selection index (SI) of 31.5, 41.4 and 52.4, respectively. Compounds **2** and **4** showed antifungal active against *Aspergillus fumigatus* AF293 with the minimum inhibitory concentration (MIC) values of 3.04 and 6.12 μmol•L$^{-1}$, respectively.

# CONTENT



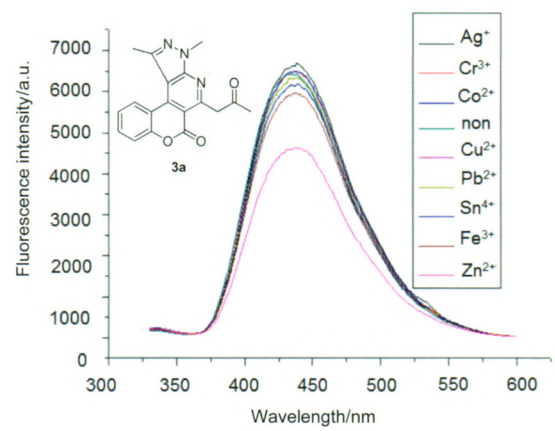
## Design, Synthesis, and Biological Activity of Novel Octahydro-1*H*-pyrrolo[3,2-*c*]pyridine Derivatives as C-C Chemokine Receptor Type 5 (CCR5) Antagonists




A series of novel octahydro-1*H*-pyrrolo[3,2-*c*]pyridine derivatives were designed and synthesized as C-C chemokine receptor type 5 (CCR5) antagonists, and their biological activity of anti-human immunodeficiency virus type 1 (HIV-1) is evaluated. A majority of these compounds showed anti-HIV-1 activities. Function assay was employed and the result showed that there were other drug targets for HIV-1 inhibition besides CCR5. In addition, the preliminary structure-activity relationship (SAR) of these compounds was rationalized by docking studies.

Wang, Yujie; Halambage, Upul; Zeng, Chengchu; Hu, Liming*
*Chin. J. Org. Chem.* **2017**, *37*(9), 2385

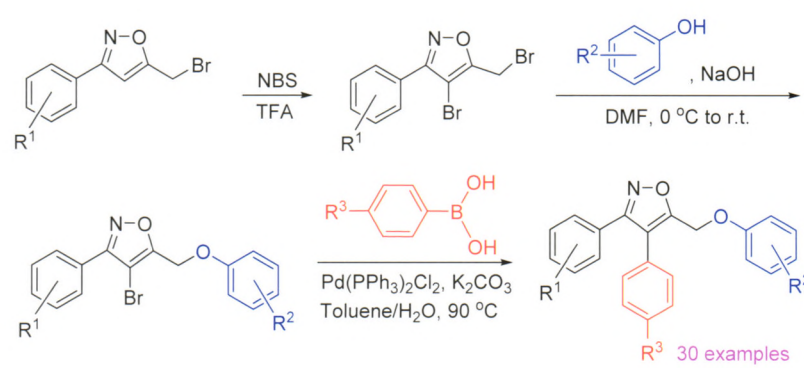
## Synthesis of Functionalized Coumarino[4,3-*d*]pyrazolo[3,4-*b*]pyridine Derivatives and Their Selective Recognition for $Zn^{2+}$




A series of functionalized coumarino[4,3-*d*]pyrazolo[3,4-*b*]pyridine derivatives were synthesized by the addition and cyclization of 3-acetoacetylcoumarin with 5-aminopyrazole catalyzed by $CuSO_4$. Some of the synthesized compounds showed high fluorescence quantum yields. The properties of the compounds with cations such as $Ag^{+}$, $Cr^{3+}$, $Co^{2+}$, $Cu^{2+}$, $Pb^{2+}$, $Sn^{4+}$, $Fe^{3+}$ and $Zn^{2+}$ were examined by fluorescence spectroscopy. The results also showed that compounds **3a** and **3b** have selective recognition for $Zn^{2+}$.

Lin, Wei*; Cai, Qi; Zheng, Chunzhi; Zheng, Yongxiang; Shi, Daqing*
*Chin. J. Org. Chem.* **2017**, *37*(9), 2392

## Synthesis of 3,4-Diaryl-5-aryloxymethyl Isoxazole Derivatives




3,4-Diaryl-5-aryloxymethyl isoxazole derivatives were effectively synthesized from 3-aryl-5-(bromomethyl)isoxazole via consecutive bromination, etherification, followed by Suzuki coupling reaction catalyzed by $Pd(PPh_3)_2Cl_2$.

Jiang, Haifang; Zhang, Min*; Zhang, Li; Chen Yali; Zhu, Ning; Song, Liping*; Deng, Hongmei
*Chin. J. Org. Chem.* **2017**, *37*(9), 2399

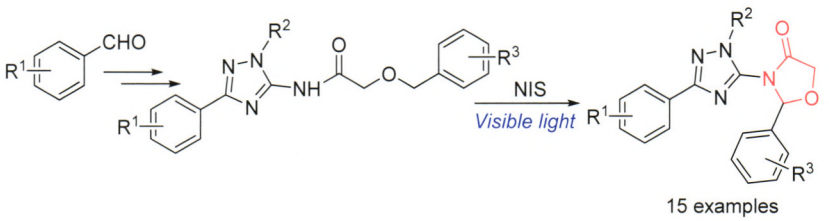
# CONTENT

## NOTES



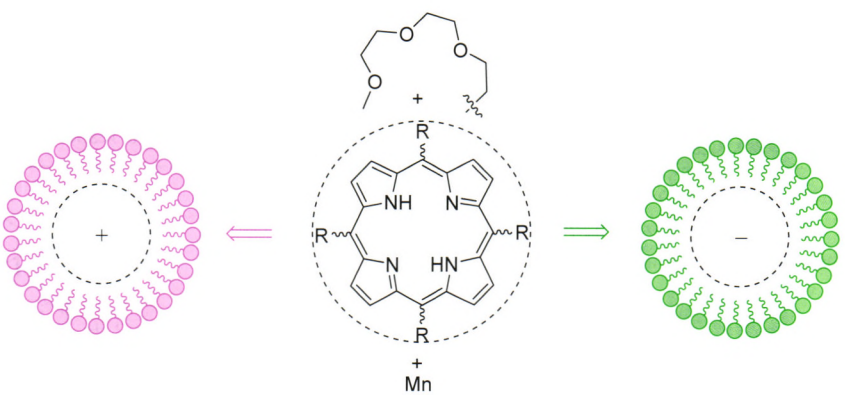
Synthesis and Antitumor Activity of *N*-Triazol-5-yl-oxazolidin-4-one Derivatives




Fifteen novel *N*-triazol-5-yl-oxazolidin-4-ones were synthesized through a few of steps from the benzaldehydes. It was found that *N*-iodosuccinimide (NIS) can promote intramolecular amination reaction which is the key step of the syntheses. Some of the compounds have moderate cytotoxic activity against MDA-MB-231 and cervical cancer HeLa.

Luo, Rui; Guo, Shanchun; Zheng, Shilong; Wang, Guangdi; Bao, Xu*; He, Ling*
*Chin. J. Org. Chem.* **2017**, *37*(9), 2435

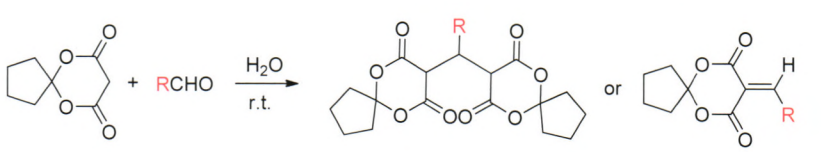
Efficient Synthesis of Anionic and Cationic Water-Soluble Porphyrins




Two different ion types of water soluble porhyrins were synthesized efficiently according to modified conditions. These two kind of porphyrins were functionalized in the way of manganese coordination and triethylene glycol monomethyl ether substitution.

Chang, Yi*; Liu, Mengyang; Niu, Mengyuan
*Chin. J. Org. Chem.* **2017**, *37*(9), 2442

Synthesis of 5,5-(Phenylmethylene)bis-(2,2-butylidene-1,3-dioxane-4,6-dione) Derivatives without Catalyst in Water




A new simple and efficient synthesis of 5,5-(phenylmethylene)bis(2,2-butylidene-1,3-dioxane-4,6-dione) derivatives, based on aromatic aldehydes and 2,2-butylidene-1,3-dioxane-4,6-dione, via Tandem reaction of Knoevenagel condensation and Michael addition is described using water as solvent without catalyst. A variety of aromatic aldehydes were engaged in study and afforded respective products in high yields (63%～83%).

Zhang, Wenfeng; Xu, Zhaohui*; Tu, Yuanhong; Liao, Chuanwen*
*Chin. J. Org. Chem.* **2017**, *37*(9), 2449

## HIGHLIGHTS

*Chin. J. Org. Chem.* **2017**, *37*(9), 2454

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