

有机化学

Youji Huaxue

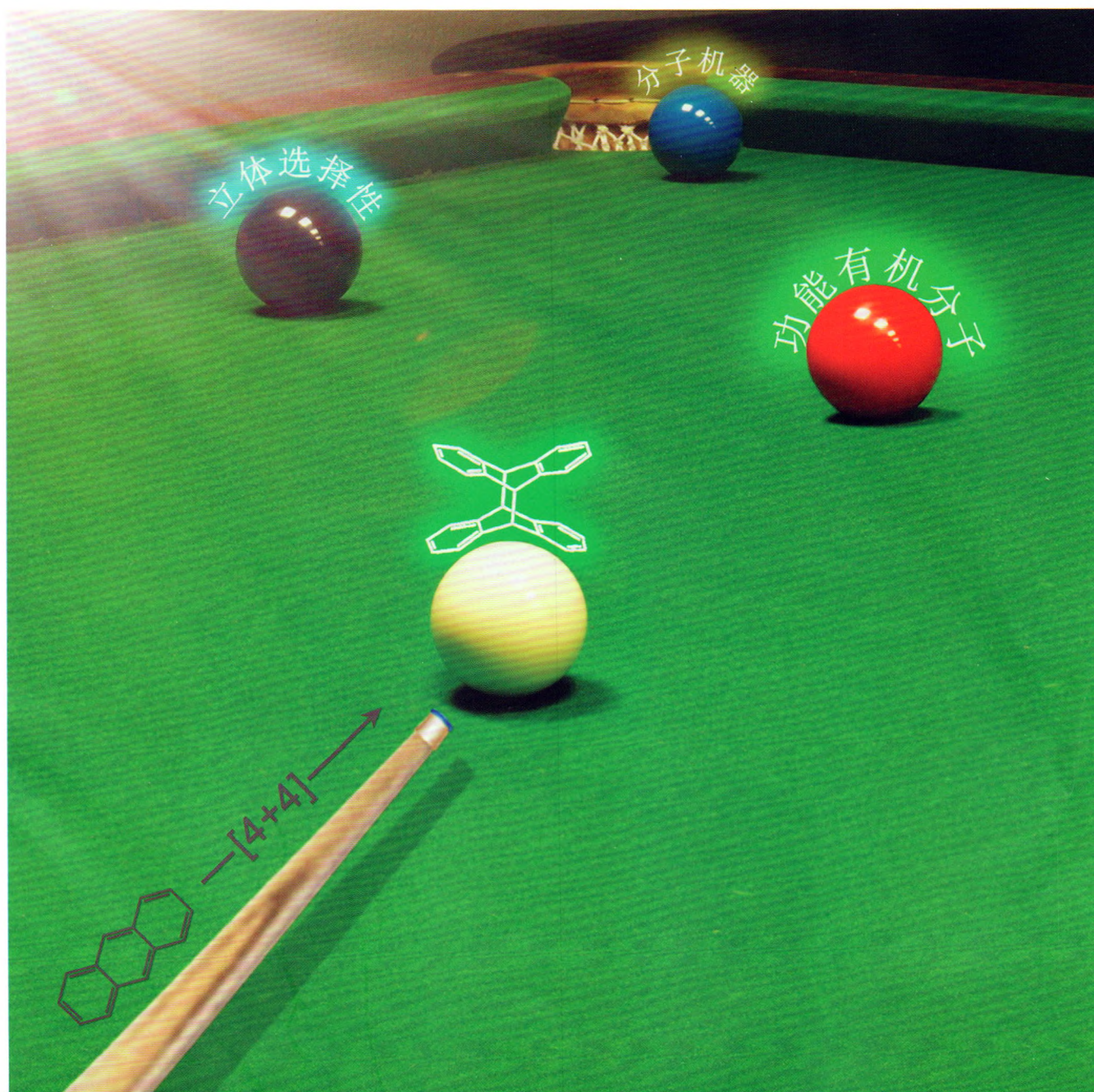
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* 通讯联系人.

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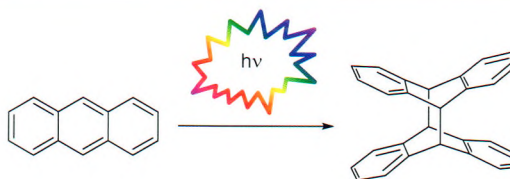
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On the Cover

The century-old [4+4] photodimerization reaction of anthracene and its derivatives features broad substrate scope, user-friendly operation, and controllable reversibility. Herein, the recent advances of this classic photochemical reaction are reviewed by Liu, Guo, Fan, Huang, and Cong on Page 543. The unique molecular rigidity and geometry of the dianthracene products warrants significant potential for various synthetic applications.

REVIEWS

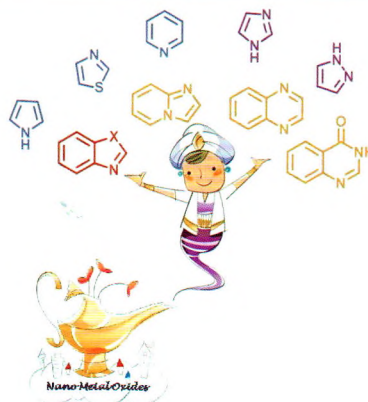
[4+4] Photodimerization of Anthracene Derivatives: Recent Synthetic Advances and Applications



The century-old yet underdeveloped anthracene [4+4] photodimerization reaction has been reviewed. Herein we summarize representative works of anthracene photodimerizations since 2000, highlighting the synthetic advances to improve regio- and enantioselectivity, as well as the synthetic applications toward functional organic molecules and molecular machines.

Liu, Weigang; Guo, Lifeng; Fan, Yangyang; Huang, Zeao; Cong, Huan*
Chin. J. Org. Chem. **2017**, 37(3), 543

Progress in the Synthesis of *N*-Fused Heterocycles Catalyzed by Nanocrystalline Metal Oxides

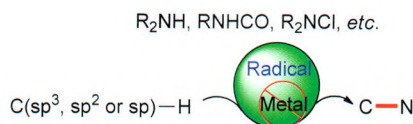


N-Fused heterocycles are an important class of organic compounds. As a basic scaffold of a wide variety of biologically active alkaloids and synthetic pharmaceuticals and agrochemicals, the construction methods of *N*-fused heterocycles have attracted much attention. Significant efforts have been devoted to the application of the nanocrystalline metal oxides as heterogeneous, efficient and environmentally friendly catalyst in organic reaction. This

review provides a summary of the synthesis of the different kinds of *N*-fused heterocycles in recent 5 years catalyzed by the nanocrystalline metal oxides.

Zhang, Jin; Liu, Jia; Ma, Yangmin*; Cheng, Pei
Chin. J. Org. Chem. **2017**, 37(3), 555

Recent Advances in Radical Initiated C—N Bond Formation under Transition Metal-Free Conditions



Nitrogen-containing compounds are extremely important because of their abundance in synthetic organic compounds, natural products and pharmaceutical agents. Recently, transition metal-free C—N bond formation via radical procedures has attracted wide interest. The recent advances in C—N bond formation via C(sp³, sp² or sp)—H bond activation under transition metal-free conditions are summarized.

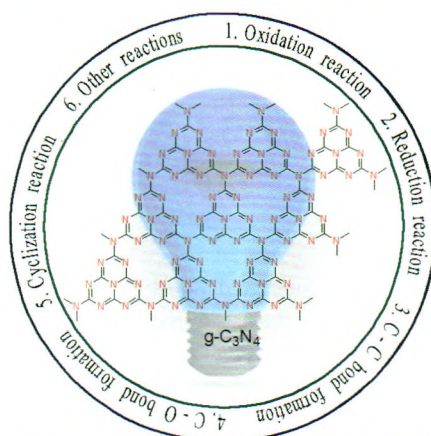
Yuan, Sitian; Wang, Yanhua; Qiu, Guanyinsheng*; Liu, Jinbiao*
Chin. J. Org. Chem. **2017**, 37(3), 566

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Photocatalysis with g-C₃N₄ Applied to Organic Synthesis

Dai, Xiaoqiang; Zhu, Yabo; Xu, Xiaoliang; Weng, Jianquan*

Chin. J. Org. Chem. **2017**, 37(3), 577

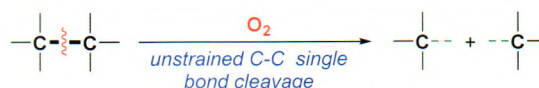


Graphite carbon nitride (g-C₃N₄) is a new metal-free photocatalyst and of good photocatalytic activity, and it has attracted more and more attentions in visible photocatalysis field. The application of photocatalysis with g-C₃N₄ in organic reactions is summarized and its future outlook is also discussed.

Advances of Unstrained Carbon-Carbon Single Bond Cleavage with Oxygen

Wu, Kong; Song, Chan; Cui, Dongmei*

Chin. J. Org. Chem. **2017**, 37(3), 586



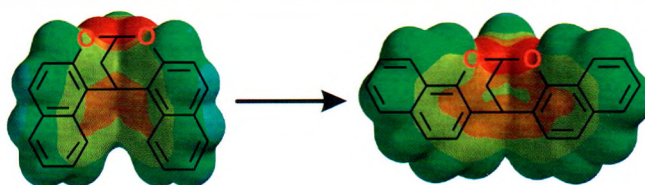
Recent advances of unstrained carbon-carbon single bond cleavage with oxygen are reviewed according to whether transition metal catalysis is needed, which aims to illustrate the important role of oxygen and how oxygen work in the process of carbon-carbon single bond cleavage.

ARTICLES

Synthesis of Bis-naphthalene and Their Derivatives and Their Complexation with Organic Cation

Yao, Huan; Sun, Jiaonan; Ke, Hua; Yang, Liupan; Li, Jiarong*; Jiang, Wei*

Chin. J. Org. Chem. **2017**, 37(3), 603

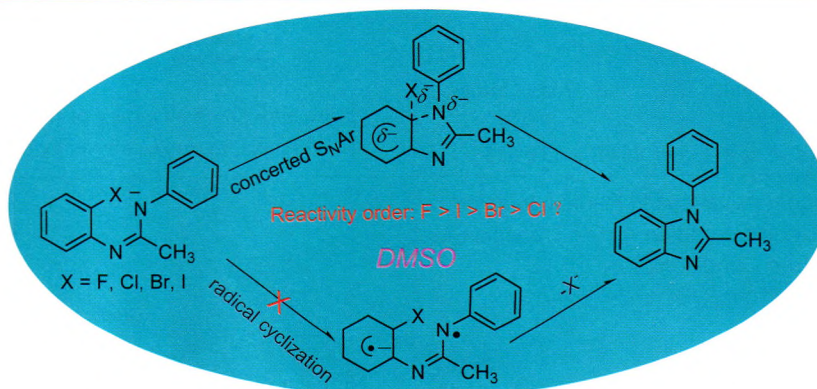


In this research, the structure of the bis-naphthalene molecular resulting from 2-naphthol and 1,1,1',1'-tetramethoxypropane was modified, and six derivatives with different substituents, sidewalls or bridges were synthesized. Their structures were studied by X-ray crystallography and molecular modelling, and all possess curved architectures. Electrostatic potential energy surfaces show that their inner cavities are electron-rich, and may complex with organic cations through cation- π interactions. Their binding stoichiometry and association constants with the 1,4-diazabicyclo[2.2.2]octane-based organic cation were studied by ¹H NMR titration and Job's plot.

Theoretical Investigations on the Intramolecular *N*-Arylation Mechanism and Reactivity for the Synthesis of Benzimidazoles by Base-Catalyzed

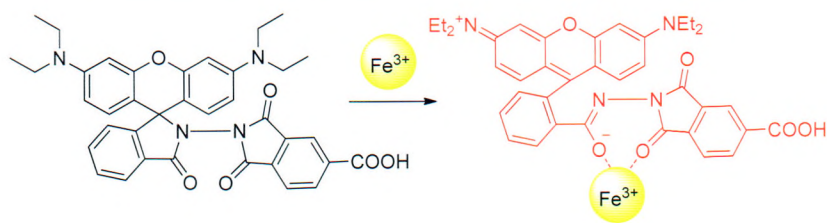
Li, Qianggen*; Xiang, Shikai; Mao, Shuang; Ren, Yi*

Chin. J. Org. Chem. **2017**, 37(3), 608



Quantum chemical studies on the intramolecular *N*-arylation mechanism and reactivity of *N*-(2-halogen phenyl)-*N'*-phenyl ethyl amidines in dimethyl sulfoxide (DMSO) for the synthesis of benzimidazoles by base-catalyzed have been performed at MP2/6-311+G**//B3LYP/6-311+G** level of theory.

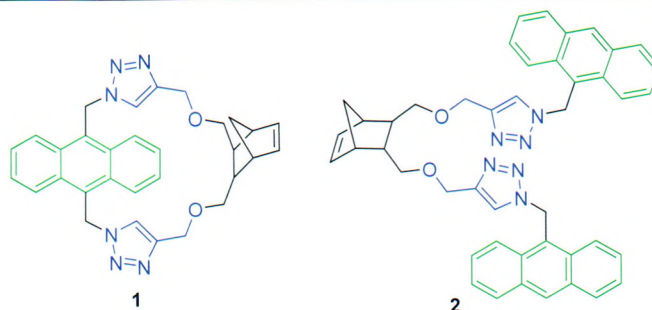
A Water-Soluble Rhodamine-Based Fluorescent Probe for Fe^{3+} and Its Application in Live Cell Imaging



The fluorescent probe showed remarkable “turn-on” fluorescent responses to Fe^{3+} with good selectivity over other competitive cations. It has a good water solubility that the recognition properties of the probe with Fe^{3+} ion can be investigated in DMF/Tris-HCl ($V:V=1:9$, pH=7.4).

Gao, Yong*; Wang, Jun; Fu, Mei; Chen, Hongwei; Fang, Mingzhang
Chin. J. Org. Chem. **2017**, 37(3), 617

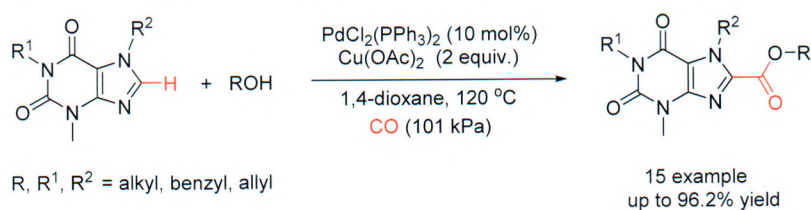
Norbornene-Based Triazoles for Fluorescent Sensing of Metal Ions



A new macrocyclic norbornene-based bis-triazole (**1**) containing anthracene fluorophore and its acyclic analog **2** have been designed and synthesized by “click reaction”, and their fluorescence recognition abilities towards various metal ions have been investigated.

Zhu, Wenbin; Lü, Xiaolan; Zhu, Jianghua; Cao, Qianrong*
Chin. J. Org. Chem. **2017**, 37(3), 624

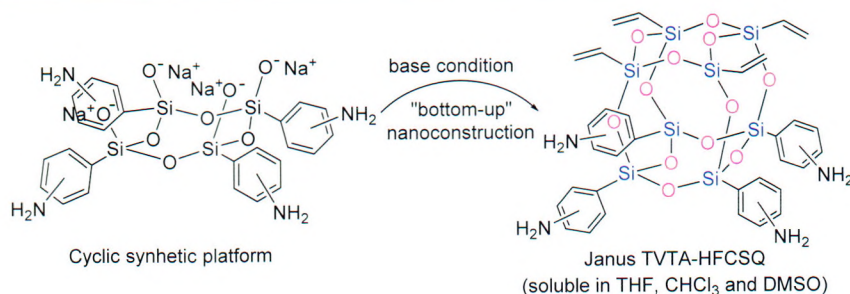
Palladium-Catalyzed C—H Alkoxy carbonylation of Caffeines: Synthesis of 8-Ester-substituted Caffeines



An efficient synthesis of 8-ester-substituted caffeines through palladium-catalyzed C—H alkoxy carbonylation was developed. The reaction was carried out in the presence of $\text{PdCl}_2(\text{PPh}_3)_2$ and $\text{Cu}(\text{OAc})_2$ under 101 kPa CO atmosphere in 1,4-dioxane, providing diversified 8-ester-substituted caffeines in reasonable to good yields. The approach was characterized by using atmospheric pressure of carbon monoxide and broad functional group tolerance.

Su, Lü; Xiao, Hanbing; Yuan, Yumeng; Zhang, Xiaofeng; Lin, Shen; Huang, Qiu-feng*
Chin. J. Org. Chem. **2017**, 37(3), 630

A Study on Synthesis of Janus Hetero-functional Cubic Silsesquioxanes

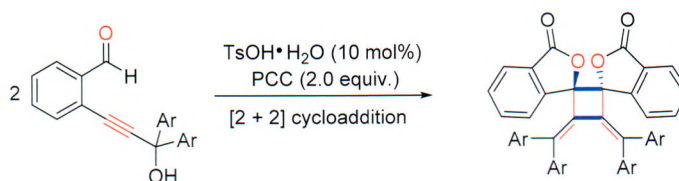


Tetravinyltetraaminophenyl, tetravinyltetranitrophenyl and tetravinyltetraphenyl hetero-functional cubic silsesquioxanes were prepared under basic conditions via a similar “bottom up” construction method.

Peng, Jun*; Xing, Yuxiu; Xu, Kai*
Chin. J. Org. Chem. **2017**, 37(3), 636

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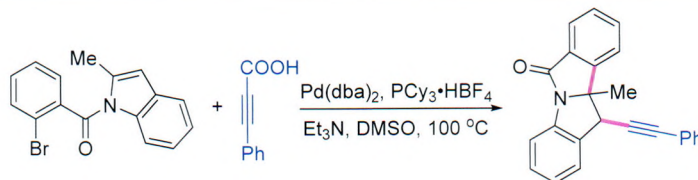
Intermolecular [2 + 2] Cycloaddition of *in-situ* Generated Allenylic Esters



Using *p*-toluenesulfonic acid monohydrate (TsOH·H₂O) as catalyst and pyridinium chlorochromate as oxidant, the intermolecular [2+2] cycloaddition of allenylic esters generated *in situ* from 2-(3-hydroxy-3,3-diphenylprop)benzaldehydes to construct various cyclobutane compounds is reported. In addition, a possible mechanism for this transformation is depicted.

Zhao, Lifang; Tong, Xiaojuan; Zhu, Haitao*; Yang, Desuo; Fan, Mingjin
Chin. J. Org. Chem. **2017**, 37(3), 646

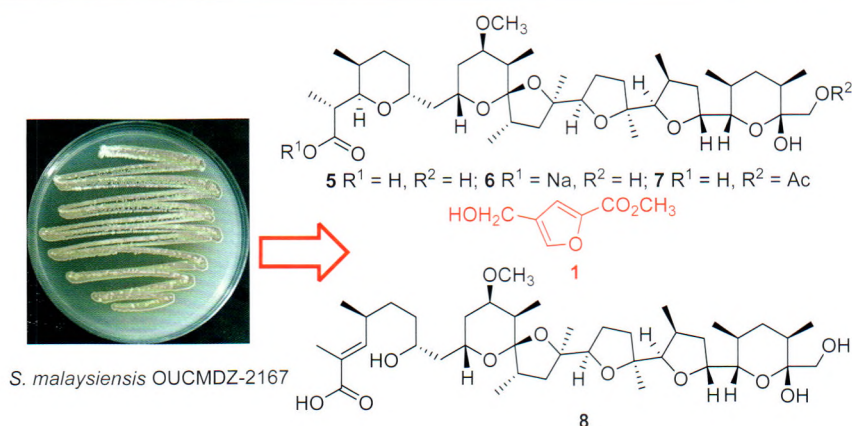
Nickel-Catalyzed Intramolecular Addition of Aryl Bromides to C=O Bonds



A palladium-catalyzed indole dearomative Heck/decarboxylative alkynylation domino sequence was developed. A range of structurally diverse 2,3-disubstituted indolines bearing vicinal tertiary and quaternary stereocenters were afforded in excellent diastereoselectivities.

Zhang, Mingdi; Liu, Renrong; Gao, Jianrong; Jia, Yixia*
Chin. J. Org. Chem. **2017**, 37(3), 652

Cytotoxic Compounds from the Deep-Sea Sediment-Derived *Streptomyces malaysiensis* OUCMDZ-2167

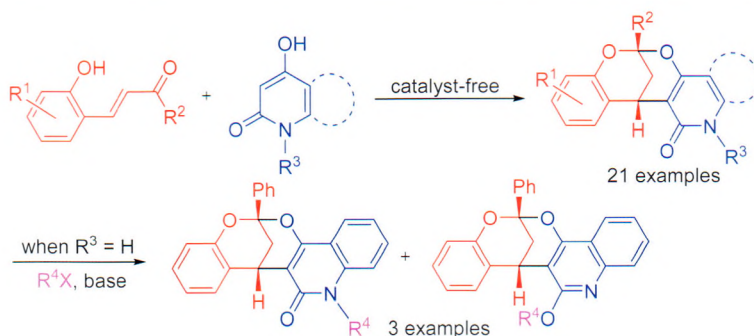


S. malaysiensis OUCMDZ-2167

Wang, Cong; Wang, Liping; Fan, Jie; Sun, Kunlai; Zhu, Weiming*
Chin. J. Org. Chem. **2017**, 37(3), 658

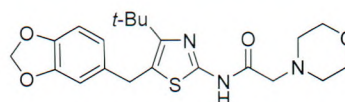
Methyl 4-(hydroxymethyl)furan-2-carboxylate (**1**) and ten known compounds **2**~**11** were isolated and identified from the fermentation broth of *Streptomyces malaysiensis* OUCMDZ-2167. The cytotoxicities against MCF-7, A549 and K562 were evaluated by thiazolyl blue tetrazolium bromide (MTT) and the cell counting kit-8 (CCK-8) methods.

Synthesis of Quinolinone- and Pyridinone-Fused Oxabicyclo[3.3.1]nonanes



Ye, Mingyan; Qiu, Shaozhong; Yin, Guodong*
Chin. J. Org. Chem. **2017**, 37(3), 667

An efficient and stereoselective synthesis of quinolinone- and pyridinone-fused 2,8-dioxabicyclo[3.3.1]nonanes by the reaction of 2-hydroxychalcones and 4-hydroxy-2(1*H*)-quinolinone/4-hydroxy-2(1*H*)-pyridinone in refluxing *n*-PrOH is described.

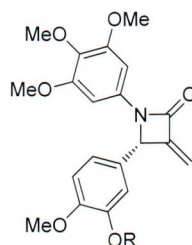
Synthesis and Antitumor Activity of *N*-[4-(*t*-Butyl)-5-benzylthiazol-2-yl]aminoacetamides**1t** (Hela IC₅₀ 6.4 μmol/L)

Tang, Yuting; Ding, Na; Wu, Zhlin; Ye, Jiao; Shen, Kun; Hu, Aixi*

Chin. J. Org. Chem. **2017**, 37(3), 675

A novel series of *N*-[4-(*t*-butyl)-5-benzylthiazol-2-yl]aminoacetamides were designed and synthesized and their structures were confirmed by ¹H NMR, ¹³C NMR and elemental analysis. These compounds were evaluated for their *in vitro* anticancer activity on A549, Hela and MCF-7 cells. The AO/EB staining and cell cycle experiments were also carried out on the preferred compound **1t**.

Structural Modification and Inhibitory Activity on Tumor Cell Proliferation of Novel Diaryl-β-lactam Compounds as Tubulin Aggregation Inhibitors



22 compounds, R = alkyl, acyl, sulfonyl

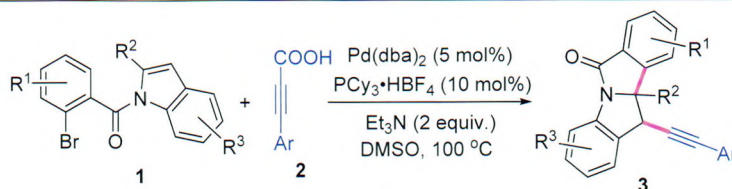
5n, R = 4-nitrobenzoylAntiproliferative IC₅₀: 0.055 ~ 0.105 μmol/L

Feng, Kechang; Liang, Yuru; Zhou, Pengfei Liu, Mingming*; Wang, Yang*

Chin. J. Org. Chem. **2017**, 37(3), 683

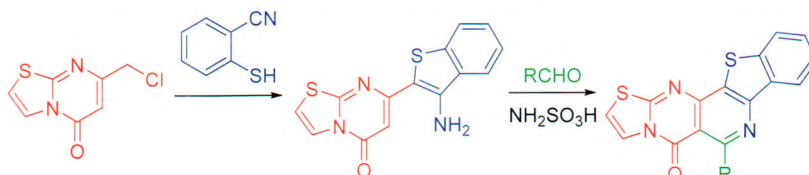
22 novel diaryl-β-lactam derivatives were synthesized and their bioassay results showed good antiproliferative activities against four cancer cells.

Palladium-Catalyzed Dearomative Decarboxylative Alkynylation of Indoles with Acetylenecarboxylic Acids



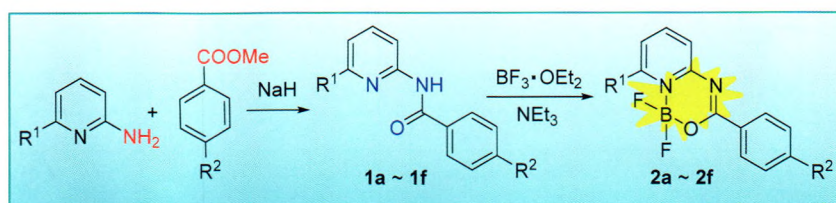
A palladium-catalyzed indole dearomative arylalkynylation via decarboxylative coupling of alkynyl carboxylic acids and alkyl-palladium intermediates has been developed, which provides a reliable approach to a series of structurally diverse 2,3-disubstituted indolines bearing vicinal tertiary and quaternary stereocenters in moderate to good yields and excellent diastereoselectivities.

Wang, Yonggang; Liu, Renrong; Gao, Jianrong; Jia, Yixia*

Chin. J. Org. Chem. **2017**, 37(3), 691An Efficient Synthesis of Benzothieno-[3',2':2,3]pyrido[4,5-*d*]thiazolo[3,2-*a*]pyrimidin-5-ones

An efficient method for the synthesis of benzothieno[3',2':2,3]pyrido[4,5-*d*]thiazolo[3,2-*a*]pyrimidin-5-ones has been developed via Pictet-Spengler reaction of 7-(3-amino-benzothieno-2-yl)-5*H*-thiazolo[3,2-*a*]pyrimidin-5-one.

Wang, Dong; Wang, Daolin*; Qian, Jianhua

Chin. J. Org. Chem. **2017**, 37(3), 698Synthesis and Spectroscopic Properties of N,O-Chelated Pyridine-BF₂ Complexes

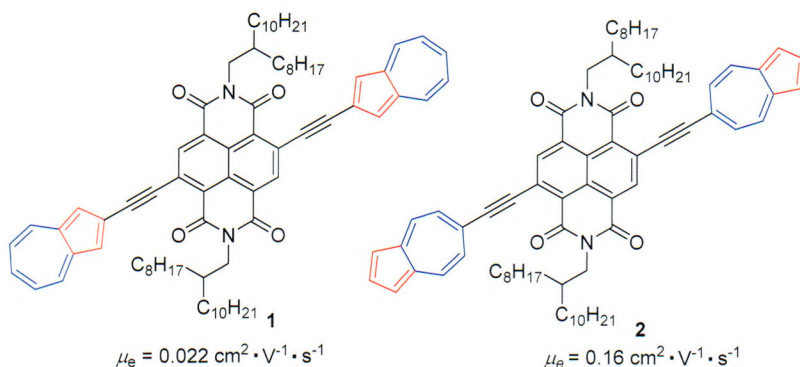
Six novel N,O-chelated pyridine-BF₂ complexes **2a~2f** were synthesized and characterized. The spectral investigations on these compounds show that intramolecular charge transfer (ICT) occurs and results in a significant influence on fluorescence properties, which is further supported by the acid-base titration and theoretical calculations.

Wu, Yuning; Gou, Gaozhang; Wu, Xianxue; Yang, Lijun; Fu, Wenfu*

Chin. J. Org. Chem. **2017**, 37(3), 704

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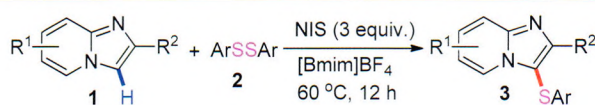
Naphthalene Diimides Endcapped with Ethynylazulene: Molecular Design, Synthesis and Properties



Xin, Hanshen; Ge, Congwu; Fu, Lina; Yang, Xiaodi; Gao, Xike*
Chin. J. Org. Chem. **2017**, 37(3), 711

Two isomers of 1,4,5,8-naphthalene diimides endcapped with ethynylazulene units (**1** and **2**) were designed and synthesized. Compounds **1** and **2** showed remarkably different physicochemical properties, thermal stabilities and field-effect performance.

N-Iodosuccinimide-Promoted Regioselective Arylsulfenylation of Imidazo[1,2-a]pyridines with Disulfides

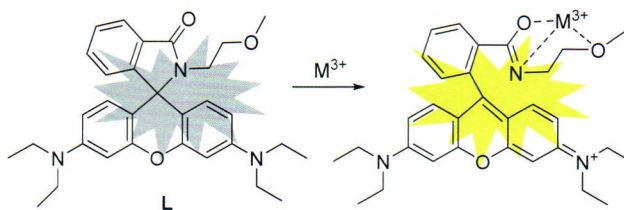


An, Yanni; Li, Jianxiao*; Li, Meng; Li, Chunsheng; Yang, Shaorong*
Chin. J. Org. Chem. **2017**, 37(3), 720

An efficient and practical *N*-iodosuccinimide-promoted regioselective arylsulfenylation of imidazo[1,2-*a*]pyridines with disulfides has been developed to afford functionalized 3-sulfonylimidazo[1,2-*a*]pyridines heterocycles in moderate to good yields.

NOTES

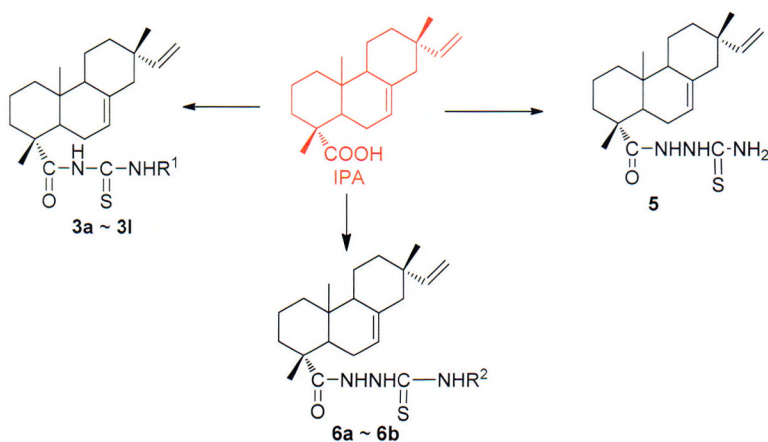
A Fluorescence Enhancement Probe for Trivalent Ions: Preparation and Property



Hou, Shuhua; Wang, Siqi; Qu, Zhongguo; Zhong, Keli; Bian, Yanjiang; Tang, Lijun*
Chin. J. Org. Chem. **2017**, 37(3), 726

A novel rhodamine-based probe **L** was synthesized and characterized. The results showed that probe **L** acted as a fluorescent enhancement probe for Cr^{3+} , Fe^{3+} and Al^{3+} recognition in $\text{CH}_3\text{OH}/\text{H}_2\text{O}$ ($V:V=8:2$, $c(\text{Tris})=10 \text{ mmol/L}$, $\text{pH}=7.2$). **L** is highly selective to trivalent ions (Cr^{3+} , Fe^{3+} and Al^{3+}) over other monovalent or divalent ions.

Synthesis and Bioactivity Evaluation of Acylthiourea Derivatives Based on Isopimaric Acid

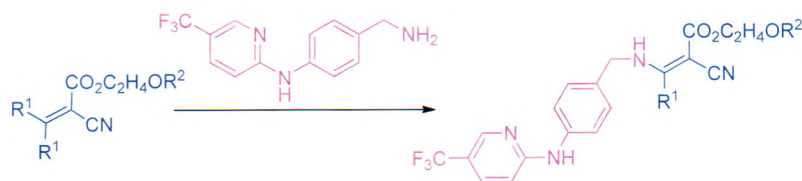


Liu, Juanjuan; Lu, Yanju; Wang, Jing; Bi, Liangwu; Zhao, Zhendong*
Chin. J. Org. Chem. **2017**, 37(3), 731

To develop isopimaric acid derivatives with high bioactivity, fifteen acyl (amide) thiourea derivatives containing isopimaric acid skeleton were synthesized and confirmed by FT-IR, ^1H NMR, ^{13}C NMR and HRMS or elemental analysis.

Synthesis and Herbicidal Activity of Novel Cyanoacrylates Containing Substituted Pyridyl Moiety

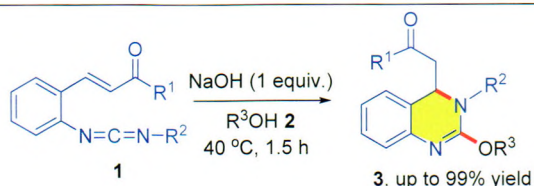
Dai, Hong; Chen, Jia; Hong, Yu; Yuan, Bin-ying; Chen, Yumeng; Shi, Yujun*; Ma, Rui-yuan; Liang, Zhipeng; Shi, Jian*
Chin. J. Org. Chem. **2017**, 37(3), 739



A series of novel cyanoacrylates containing substituted pyridyl moiety were synthesized, and their herbicidal activities were evaluated.

Base Promoted Annulation of Carbodiimides to Access of Quinazoline and Their Derivatives

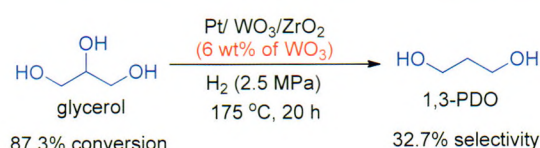
Tang, Lingjuan; Lu, Xinmou; Ji, Shunjun*
Chin. J. Org. Chem. **2017**, 37(3), 746



An efficient methodology to access of quinazoline derivatives by the reaction of carbodiimides and alcohol under basic conditions has been developed.

Pt/WO₃/ZrO₂-Catalyzed Selective Hydrogenolysis of Glycerol to Produce 1,3-Propanediol

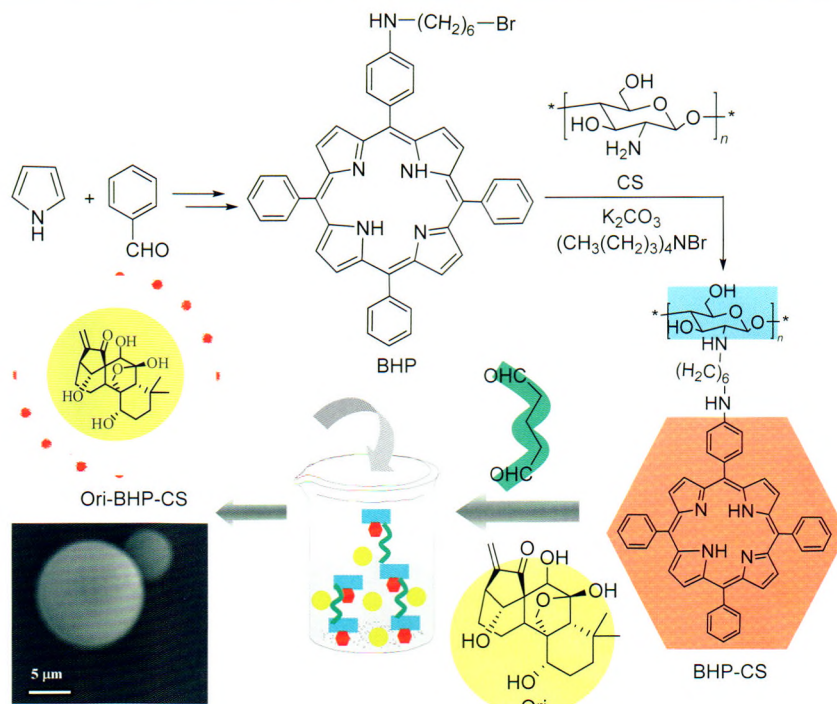
Tong, Qing; Gao, Qiang; Xu, Bolian; Yu, Lei*; Fan, Yining*
Chin. J. Org. Chem. **2017**, 37(3), 753



The effects of WO₃ content in Pt/WO₃/ZrO₂ catalyst for glycerol hydrogenolysis to produce 1,3-propanediol were investigated. it was found that the acidity of the catalyst could be controlled by tungsten oxide content. With the catalyst containing 6 wt% of WO₃, 87.3% of glycerol was converted to produce 1,3-propanediol in 32.7% selectivity.

Preparation and Photocytotoxicity *in vitro* of Oridonin-porphyrinchitosan Microspheres

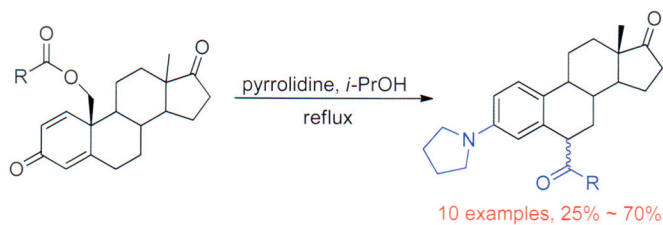
He, Jie; Cao, Yong; Yuan, Qiang*
Chin. J. Org. Chem. **2017**, 37(3), 759



A emulsion crosslinking method to prepare oridonin-porphyrin-chitosan microspheres with oridonin and 5-*p*-(6-bromohexylaminophenyl)-10,15,20-triphenylporphyrin-chitosan (BHP-CS) is reported, which in turn was synthesized from 5-*p*-(6-bromohexylaminophenyl)-10,15,20-triphenylporphyrin (BHP) and chitosan. The thiazolyl blue tetrazolium bromide (MTT) method was used to evaluate the photocytotoxicities of these derivatives against MCF-7 cells.

CONTENT

Efficient Synthesis of 6-Substitued-3-aminoestrogens



Xie, Xiantao; Jin, Yu; Xu, Sheng*; Ding, Kai*
Chin. J. Org. Chem. **2017**, 37(3), 767

An efficient synthesis of 6-substitued-3-aminoestrogens from easily available 19-hydroxy-androst-4-ene-3,17-dione via a tandem retro-aldol aromatization/intermolecular nucleophilic addition is presented.

HIGHLIGHTS

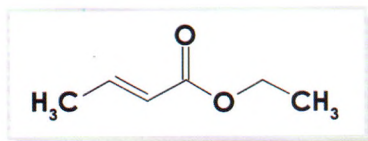
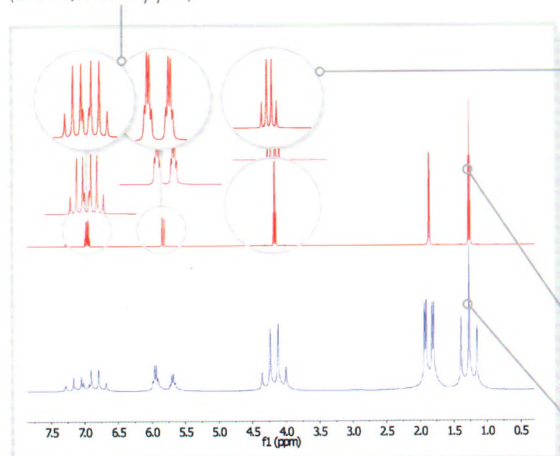
Chin. J. Org. Chem. **2016**, 36(3), 773

牛津仪器

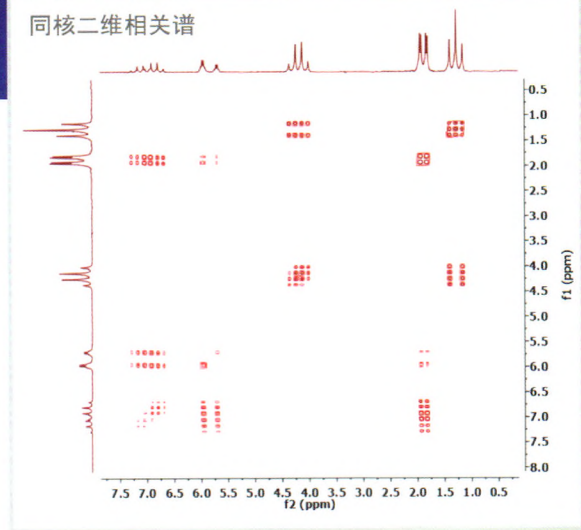
PulsarTM

每个化学实验室必备的
高分辨率台式核磁共振波谱仪(NMR)

2个烯氢部分的信号放大区域
(5.84 和 6.97 ppm)



同核二维相关谱

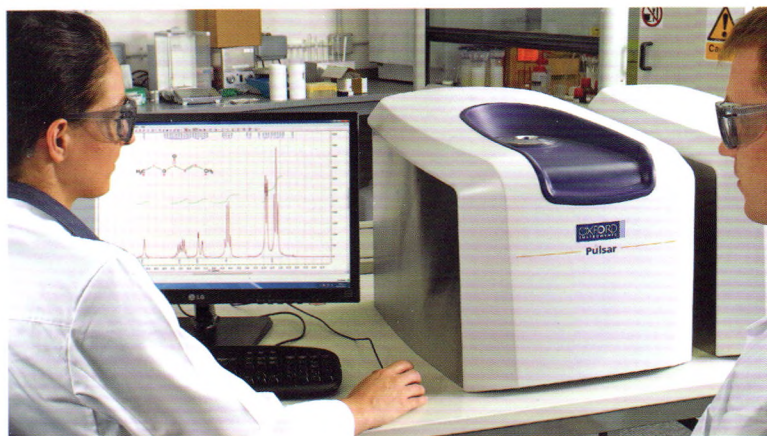


亚甲基团的信号放大区域 (4.18 ppm)

在500MHz (12.1T) 下采集的丁烯酸乙酯波谱

在60MHz (1.45T) 下采集的丁烯酸乙酯波谱

- 单个探头就可测量¹H或¹⁹F NMR波谱
- 可选具备¹³C谱的检测
- 同核、异核二维磁共振实验
- 无需制冷剂和空压机
- 无需专用场地，实验室桌面安装



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