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* 通讯联系人.

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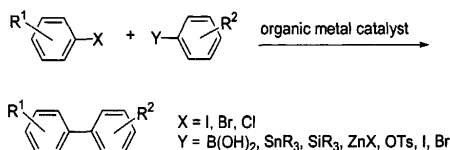
CONTENTS

Development of Mechanism Studies and Kinetic Investigations in Friedel-Crafts Acylation

Huang, Zhiliang; Jin, Liqun; Lei, Aiwu*
Chin. J. Org. Chem. **2011**, 31(6), 775

For the Friedel-Crafts acylation, the kinetic studies, the structure discussion and properties of the intermediate have been summarized. Basing on these studies, the possible mechanisms have been proposed and explained. According to the foregoing results, a lot of indistinct doubts remain exist and the direction for the development of the kinetic and mechanism is put forward.

Synthesis of Biphenyls



Li, Wenyan; Zhao, Dongmei*; Xiong, Xu-qiong; Ma, Qianqian; Cheng, Maosheng
Chin. J. Org. Chem. **2011**, 31(6), 784

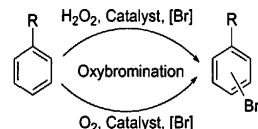
The construction of biphenyl bond plays an important role in organic synthesis. Three methods of construction of biphenyl bond are reviewed in this paper classified by reaction substrates.

Application of Cyclodextrin Derivatives in Liquid-Phase Organic Synthesis

Shen, Haimin; Ji, Hongbing*
Chin. J. Org. Chem. **2011**, 31(6), 791

The application of cyclodextrin (α -cyclodextrin, β -cyclodextrin and γ -cyclodextrin) derivatives in liquid-phase organic synthesis has been reviewed, especially as artificial enzymes, supramolecular photochirogenesis, dipolarophiles and microchannel reactors in oxidation, hydrolysis, reduction, photoisomerization, cycloaddition and so on. The cyclodextrin derivatives employed as artificial enzymes are used most widely in which the modifying groups play the role of the catalytic active site.

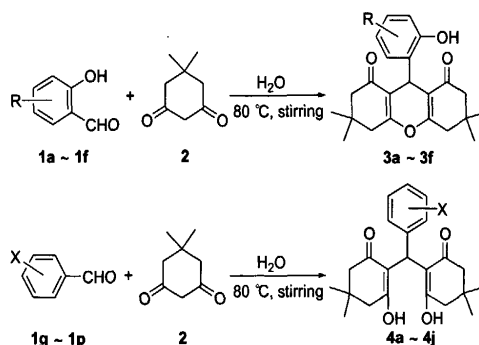
Recent Advances in Oxybromination of Aromatic Compounds



Recent researches on the oxybromination of aromatic rings, one of the most atom-economic protocols to obtain brominated aromatic compounds, are reviewed in this paper, which includes stoichiometric and catalytic ones. Hydrogen peroxide and oxygen, the best candidates for oxidants in the catalytic oxybromination, are discussed in detail.

Zhang, Guofu; Wang, Yong; Ding, Cheng-rong*; Liu, Renhua; Liang, Xinmiao
Chin. J. Org. Chem. **2011**, 31(6), 804

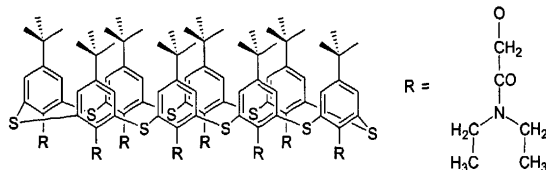
Condensation Reactions between Aldehydes and 5,5-Dimethyl-1,3-cyclohexanedione in Water without Catalyst



Zhang, Yan; Cheng, Meiyuan; Shang, Zhi-cai*
Chin. J. Org. Chem. **2011**, 31(6), 814

An efficient, green and convenient approach for the synthesis of xanthenedione derivatives from aldehydes and 5,5-dimethyl-1,3-cyclohexanedione in the absence of any catalyst by stirring in water is described. This method provides many advantages such as environmental friendliness, high yields and simple workup procedure.

Research on Synthesis of Thiocalix[8]-arene Derivative and Its Selective Recognition of Metal Ion

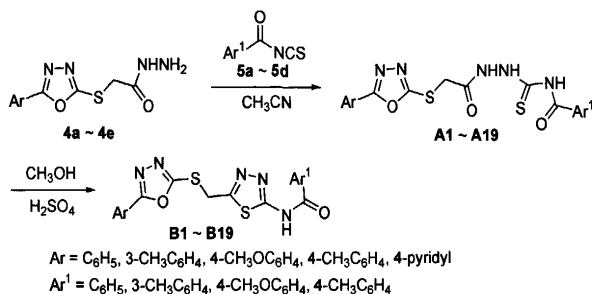


A new derivative matter amidothiocalix[8]arene [TC8A-CH₂CON(CH₂CH₃)₂] has been synthesized by modified the lower rims of *p*-tert-butylthiocalix[8]arene (TC8A) in acetone by using *p*-tert-butylthiocalix[8]arene and α -chloro-*N,N*-diethyl-acetamide as substrate, and cesium carbonate as catalyst. The metal extraction properties of TC8A and amidothiocalix[8]arene from automotive catalyst residue solution containing platinum-group metal (PGM) cations have been investigated.

Li, Chunbin; Yue, Yulian; Liu, Baoquan; Fan, Shengdi*

Chin. J. Org. Chem. **2011**, 31(6), 819

Synthesis of Sulfur Ethers Containing 1,3,4-Oxadiazole and 1,3,4-Thiadiazole

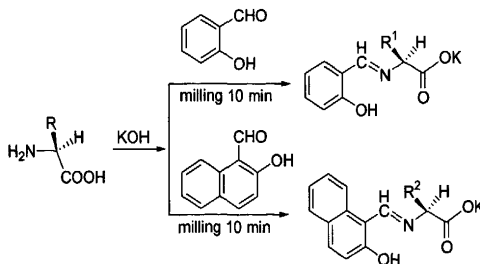


The biacyl thiosemicarbazide derivatives **A1**~**A19** were synthesized by the reaction of corresponding compounds **4a**~**4e** with aroyl isothiocyanates **5a**~**5d**. The target compounds **B1**~**B19** were prepared by cyclization of compounds **A1**~**A19** in the presence of CH₃OH/H₂SO₄.

Wu, Xianli; Zhu, Chunfeng; Lü, Zhidan; Wei, Chengshi; Liao, Xincheng*

Chin. J. Org. Chem. **2011**, 31(6), 824

Solvent-Free Synthesis and Characterization of L-Amino Acids Schiff Bases

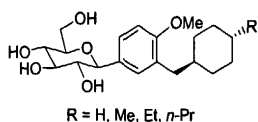


A new solvent-free method was described for the synthesis of *L*-amino acids Schiff bases by the reactions of *L*-alanine, *L*-serine, *L*-valine, *L*-leucine, *L*-isoleucine, *L*-phenylglycine, *L*-phenylalanine with salicylaldehyde or 2-hydroxy-1-naphthaldehyde, respectively, in the present of KOH at the room temperature.

You, Jun; Wang, Xin; Liu, Bo*; Chen, Yanqiong

Chin. J. Org. Chem. **2011**, 31(6), 832

Design, Synthesis and Anti-hyperglycemic Activity of *trans*-Cyclohexane-Bearing C-Glucosides as SGLT2 Inhibitors



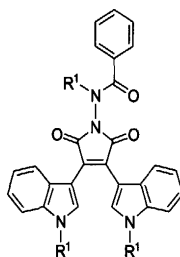
A series of *trans*-cyclohexane-bearing C-glucosides were designed and synthesized as SGLT2 inhibitors, with the key step being the region-selective Friedel-Crafts alkylation of *trans*-cyclohexanecarbonyl chloride and 4-bromoanisole mediated by anhydrous aluminum chloride. All the synthesized compounds were characterized by ¹H NMR and HRMS techniques. Evaluation of these compounds by mice oral glucose tolerance test (OGTT) revealed that all the synthesized compounds **11a**~**11d** exhibited potent antihyperglycemic activity, with **11c** being more potent than the positive control dapagliflozin, which is a promising agent for the treatment of type 2 diabetes.

Shao, Hua; Gao, Yunlong; Lou, Yuanyuan; Wang, Yuli; Liu, Wei; Xu, Weiren; Wang, Jianwu; Zhao, Guilong*; Tang, Lida*

Chin. J. Org. Chem. **2011**, 31(6), 836

Synthesis and Fluorescence Properties of *N*-Benzamidobisindolylmaleimide Derivatives

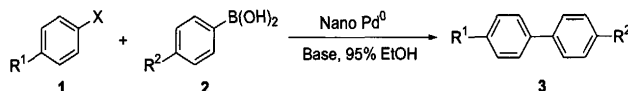
Fan, Mei; Xie, Zhixiong; Xu, Zhihan; Ping, Bing; Zhao, Shengyin*
Chin. J. Org. Chem. **2011**, 31(6), 843



Five novel *N*-benzamidobisindolylmaleimide derivatives were designed and synthesized from succinimide by bromination, indole addition, hydrazine reaction, acylation, alkylation, and so on. Their ultraviolet, fluorescent properties and thermal behavior have been studied. The effect of structure of the compounds on fluorescent properties was also discussed.

Suzuki Reaction Catalyzed by Nanopalladium Stabilized by Gemini Quaternary Ammonium Salt

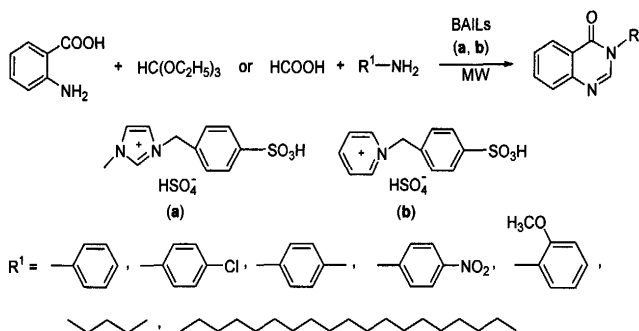
Zhou, Bo; Li, Yiqun*
Chin. J. Org. Chem. **2011**, 31(6), 850



Palladium nanoparticles with the size ranged from 5 nm to 25 nm confirmed by transmission electron microscopy (TEM), generated *in situ* from the reaction of palladium(II) chloride and stabilized by Gemini quaternary ammonium salt, have been demonstrated to be an efficient catalyst for Suzuki carbon-carbon cross coupling reaction. A variety of aryl boronic acid and aryl halides have been proceeded smoothly to afford the corresponding biaryls in high yields by using palladium nanoparticles in the presence of potassium carbonate without any ligands.

One-Pot Synthesis of 3-Substituted-4(3*H*)-quinazolinones in Acidic Ionic Liquids under Microwave Irradiation Conditions

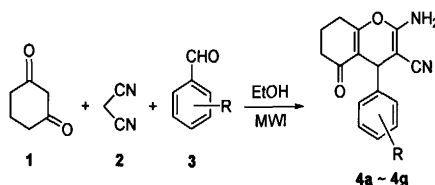
Li, Xinzong*; Lin, Qi; Eli, Wumanjiang
Chin. J. Org. Chem. **2011**, 31(6), 855



A rapid and efficient one-pot approach for the synthesis of 3-substituted-4(3*H*)-quinazolinones were developed. The reaction carried out in Brønsted acidic ionic liquids 1-(4-sulfonic)-benzyl-3-methylimidazolium hydrogen sulfate (a) and 1-(4-sulfonic)-benzyl pyridinium hydrogen sulfate (b) under microwave irradiation using anthranic acid, triethyl orthoformate or formic acid and aromatic or aliphatic amines as starting materials. The reactions completed within 4~6 min with the yields of 74%~94%. The ionic liquids could be recovered easily and recycled three times without any significant loss in catalytic activity.

One-Pot Synthesis of 2-Amino-4-aryl-5-oxo-5,6,7,8-tetrahydro-4*H*-chromene-3-carbonitriles under Microwave Irradiation without Catalyst

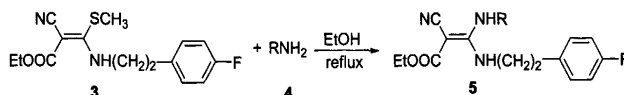
Luan, Changjun; Wang, Jianqiang; Zhang, Guohua; Wang, Wei; Tang, Shigui; Guo, Cheng*
Chin. J. Org. Chem. **2011**, 31(6), 860



4a R = C₆H₅; 4b R = 4-CH₃OC₆H₄; 4c R = 4-NO₂C₆H₄; 4d R = 2,4-Cl₂C₆H₃; 4e R = 2-FC₆H₄; 4f R = 3,4-F₂C₆H₃; 4g R = 3-CH₃C₆H₄

Compounds 4a~4g were prepared by using 1,3-cyclohexanedione, aromatic aldehydes and malononitrile in anhydrous alcohol under microwave irradiation without catalyst.

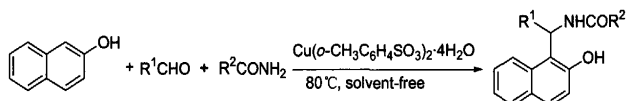
Synthesis and Bioactivity of Ethyl 2-Cyano-3-substituted-amino-3-[2-(4-fluorophenyl)-ethylamino]-acrylates



A series of ethyl 2-cyano-3-substituted-amino-3-[2-(4-fluorophenyl)-ethylamino]-acrylates were synthesized in three steps. Firstly, ethyl 2-cyano-3,3-dimethylthioacrylate (1) was prepared from reactions of ethyl cyanoacetate with carbon disulfide and dimethyl sulfate. Secondly, it reacted with 4-fluorophenethylamine to yield ethyl 2-cyano-3-methylthioacrylate-3-[2-(4-fluorophenyl)-ethylamino]-acrylate (3). Thirdly, the title compounds **5a~5h** were obtained by the reactions of **3** with corresponding alkylamines. The structures of as-synthesized compounds were confirmed by elemental analysis, IR, ^1H NMR and ^{13}C NMR techniques. Preliminary bioassay test indicated that some compounds showed moderate antiviral activity against Tobacco mosaic virus.

Zhou, Jinkang*; Song, Baoan; Xue, Wei; Jin, Linhong; Gan, Zhengyang
Chin. J. Org. Chem. **2011**, 31(6), 865

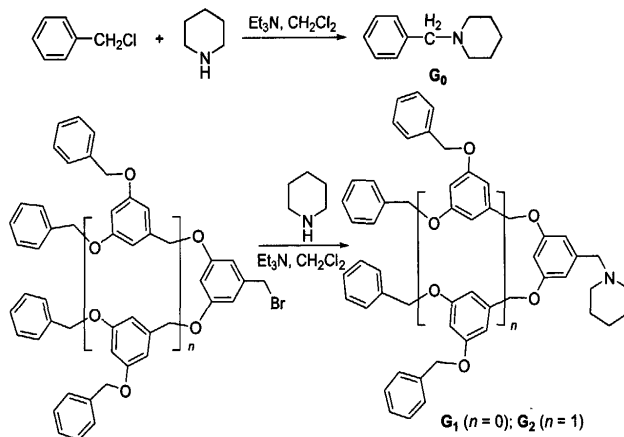
One-Pot Catalytic Synthesis of Amidoalkyl Naphthols Derivatives under Solvent-Free Conditions



Amidoalkyl naphthol derivatives were efficiently synthesized by a three components one-pot reaction of 2-naphthol, aldehydes and amines in the presence of copper *o*-toluenesulfonate without solvent.

Song, Zhiguo*; Zhao, Shuang; Wan, Xin
Chin. J. Org. Chem. **2011**, 31(6), 870

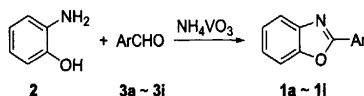
Study on Henry Reaction Catalyzed by Dendritic Amines



With the Henry reaction of benzaldehyde and nitromethane as the model, the catalytic ability of some weak basic catalysts was explored. The first- and the second-generation polyphenyl ether type dendritic piperidine catalysts, which were characterized by ^1H NMR and MS techniques, were synthesized, and their catalytic abilities were investigated in Henry reaction. The obtained results indicated that the lower generation dendritic piperidine provided preferable catalytic activity in the case of nitromethane, and the higher generation one showed negative dendritic effect.

Yi, Bing*; Zhang, Yang; Shu, Xiaoma; Yang, Yan; Du, Wenle; Liu, Zhanpeng
Chin. J. Org. Chem. **2011**, 31(6), 874

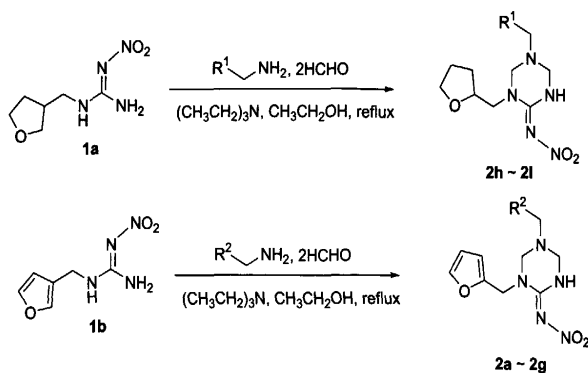
Synthesis of 2-Substituted Aryl Benzoxazoles Catalyzed by Ammonium Metavanadate



Ammonium metavanadate was found to be a practical catalyst for synthesizing 2-substituted aryl benzoxazoles, starting from 2-amino-phenol and aromatic aldehydes in ethanol at room temperature. The method was proved to be simple and convenient, and the product was isolated with excellent yields.

Xiao, Liwei
Chin. J. Org. Chem. **2011**, 31(6), 878

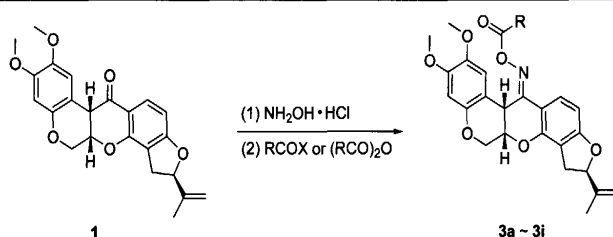
Synthesis and Insecticidal Activities of 1,5-Disubstituted-hexahydrotriazine-2-*N*-nitroimines



Twelve novel 1,5-disubstituted-hexahydrotriazine-2-*N*-nitroimines (**2a**~**2l**) were synthesized with *N*-nitro-*S*-methylisothiourea and substituted benzylamines as raw materials via nucleophilic substitution and Manich reactions in turn. Their structures were elucidated and confirmed by ^1H NMR, IR spectra and elemental analysis. The preliminary insecticidal activity tests indicated that all the target compounds have preferable insecticidal activity at the concentration of 500 mg/L.

Xue, Sijia*; Ma, Xubo; Bu, Hongfei
Chin. J. Org. Chem. **2011**, 31(6), 881

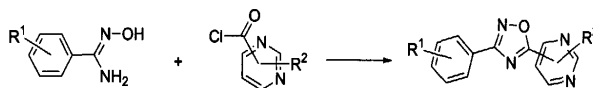
Synthesis and Biological Activity of Rotenone Oxime Esters



Nine rotenone oxime ester derivatives were synthesized from natural rotenone with two steps. Their structures were clearly established by ^1H NMR, IR spectra and elemental analysis. The preliminary bioassays indicated that three compounds exhibited strong insecticidal activity.

Ye, Jiao; Peng, Junmei; Hu, Aixi*; Wang, Chao; Zou, Meng; Ou, Xiaoming
Chin. J. Org. Chem. **2011**, 31(6), 886

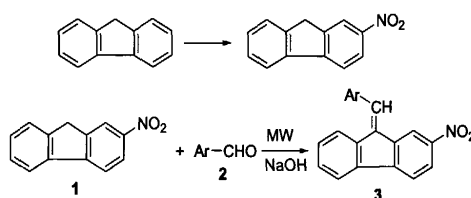
Synthesis and Biological Activity of 5-Pyrimidinyl-1,2,4-oxadiazole Derivatives



A series of 5-pyrimidinyl-1,2,4-oxadiazole derivatives were prepared by the reaction of substituted benzamidoxime with pyrimidinecarbonyl chloride. Preliminary bioassay showed that most of title compounds have good herbicidal activity.

Huang, Tonghui; Tu, Haiyang*; Liu, Ming; Hou, Changjian; Zhang, Aidong*
Chin. J. Org. Chem. **2011**, 31(6), 891

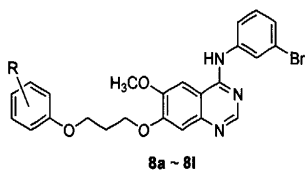
Microwave-Assisted Knoevenagel Reaction of 2-Nitrofluorene with Aromatic Aldehyde



A series of novel Knoevenagel products of 2-nitrofluorene to a series of aromatic aldehydes were obtained in the presence of sodium hydroxide under microwave irradiation (500 W) within short reaction times (5~8 min) in high yields (78%~89%). The structures of the products were characterized by IR, ^1H NMR, MS techniques and elemental analysis. The UV absorption and fluorescent spectra of compounds **3a**~**3d** were detected and showed that they had fluorescence.

Hu, Weibing*; Feng, Fu; Li, Yunpan; Zhou, Hongyan; Wang, Hui; Tian, Dating
Chin. J. Org. Chem. **2011**, 31(6), 897

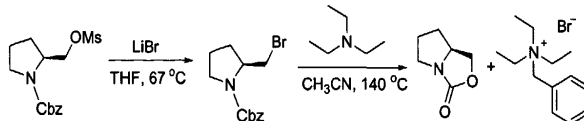
Synthesis, Characterization and X-ray Structure of 4-Phenylamino Quinazoline Derivatives



Twelve new compounds, 4-phenylamino quinazoline compounds **8a~8l**, were synthesized from vanillin by seven steps reaction. Their structures were characterized by ^1H NMR, ^{13}C NMR, IR and MS techniques. Compound **8b** was investigated with X-ray crystallography. The crystal of **8b** belongs to Triclinic, space group *P*-1 with cell parameters $a=0.8868(5)$ nm, $b=1.0239(6)$ nm, $c=1.4515(9)$ nm, $\alpha=71.02(2)^\circ$, $\beta=82.42(2)^\circ$, $\gamma=71.78(2)^\circ$, $V=1.1831$ nm 3 , $Z=2$, $D_c=1.430$ Mg/m 3 , $\mu(\text{Mo K}\alpha)=1.773$ mm $^{-1}$, $\lambda=0.071073$ nm, $F(000)=522$.

Yan, Heping; Ouyang, Guiping*
Chin. J. Org. Chem. **2011**, 31(6), 901

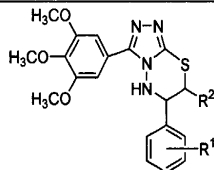
Stability of Cbz or Boc Protected *L*-Prolinol Derivatives



The stability of Cbz or Boc protected *L*-prolinol derivatives is investigated. It was found that when the hydroxyl group of Boc protected *L*-prolinol is replaced by easily leaving group, it is unstable and cyclization proceeds effectively to give γ -butyrolactone at 67 $^\circ\text{C}$; however, Cbz protected *L*-prolinol derivatives are more stable, similar cyclization will happen at 140 $^\circ\text{C}$ in the presence of triethylamine.

Wang, Ge; Cao, Xiaohui; Sun, Huichao;
Chen, Ligong*
Chin. J. Org. Chem. **2011**, 31(6), 908

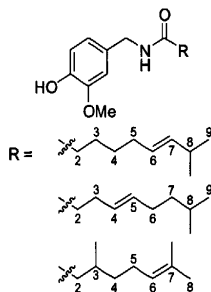
Synthesis and Antitumor Activity of 5,6-2*H*-[1,2,4]-Triazolo[3,4-*b*][1,3,4]thiadiazine Derivatives



A series of 4-(substituted-3-phenylideneamino)-3-(3,4,5-trimethoxyphenyl)-1*H*-1,2,4-triazole-5(4*H*)-thiones (**3**) were synthesized by the condensation reaction of 4-amino-3-(3,4,5-trimethoxyphenyl)-1*H*-1,2,4-triazole-5(4*H*)-thione (**2**) with various substituted benzaldehydes. Alkylation of **3** with alkyl halides afforded [1,2,4]-triazolo[3,4-*b*][1,3,4]thiadiazine derivatives **4**. Their structures were confirmed by ^1H NMR, ^{13}C NMR, IR spectra and elemental analyses. Preliminary bioassay indicated that some compounds posed antitumor activity to human prostate cancer cell line (PC3) *in vitro* by microculture tetrazolium (MTT) method. The antiproliferation activity of compound **4a** to PC3 cells at the concentration of 10 $\mu\text{mol}\cdot\text{L}^{-1}$ was 75.9%.

Zheng, Yuguang; Xue, Wei*; Guo, Qingqing;
Lu, Ping; Wang, Zhenchao; Yuan, Kai
Chin. J. Org. Chem. **2011**, 31(6), 912

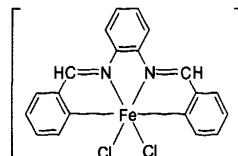
Synthesis and Characterization of New Members of Capsaicinoids and Preliminary Research on the Relationship between the Structure and Pungency



Two new members of capsaicinoids, *N*-(4-hydroxy-3-methoxybenzyl)-8-methylnon-*trans*-4-enamide and *N*-(4-hydroxy-3-methoxybenzyl)-3,7-dimethyloct-6-enamide, were synthesized, and their structures were confirmed by elemental analysis, FT-IR, ^1H NMR, ^{13}C NMR *etc.* Meanwhile, their pungencies were determined through scoville organoleptic test and compared with capsaicin, norcapsaicin and homocapsaicin. The double bond location, branch chain and length of the alcy chain were confirmed to be the structural factors that could affect the pungency remarkably.

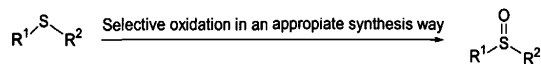
Wang, Junlian; Zhou, Shengze; Peng, Zhenghong; Zhou, Xiangfeng; Zhang, Xiaobin; Peng, Bixian*
Chin. J. Org. Chem. **2011**, 31(6), 917

Epoxidation of Cyclohexene Catalyzed by Metal Iron Schiff Base Complexes



One of pyridinecarboxaldehyde iron(III) Schiff base complexes of $[\text{Fe}(\text{PA}_2\text{OPd})\text{Cl}_2]\text{Cl}$ was synthesized and its catalytic activities for epoxidation of cyclohexene were studied. The complex of $[\text{Fe}(\text{PA}_2\text{OPd})\text{Cl}_2]\text{Cl}$ has the highest catalytic activity for cyclohexene epoxidation using hydrogen peroxide as an oxygen source. At optimum reaction condition (ethyl acetate as a solvent, 25 $^\circ\text{C}$, pH=5.0, 6.0 h), the conversion rate of cyclohexene reached 90.5%, the selectivity of cyclohexene oxide was 97.2%, and the TON (moles of cyclohexene oxide produced per mole of $[\text{Fe}(\text{PA}_2\text{OPd})\text{Cl}_2]\text{Cl}$) was 1759.

Zhang, Fuli*; Tang, Kun
Chin. J. Org. Chem. **2011**, 31(6), 921



Chen, Chunyu*; Li, Yi; Xiao, Ying; Zhu, Lin; Cheng, Changming; Liu, Yang
Chin. J. Org. Chem. **2011**, *31*(6), 925

Sulfoxide compound as an important intermediate has attracted considerable attention. The research advances in synthesis and application of sulfoxide compound are reviewed, and the developing trend and prospects for future application of sulfoxide compound are discussed.

Progress in Synthesis and Application of
Cyclosilazanes (1)—Cyclodisilazanes

Teng, Yadi*; Sun, Chiyu; Sheng, Yonggang; Wang, Shuli
Chin. J. Org. Chem. **2011**, *31*(6), 932

The progress in synthesis and application of cyclodisilazanes in recent years is reviewed. Three methods of synthesis which made from lithiated cyclotrisilazane, aminosilane and disilicon hexachloride are described. The productions of cyclodisilazanes received by ion ring-opening polymerization, plasma polymerization, copolymerization, hydrolysis and metal coordination, and their applications in ceramic, adhesives and expected catalysts are exhibited.

Highlights

Chin. J. Org. Chem. **2011** *31*(6), 946