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研究简报

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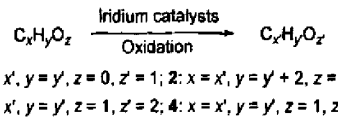
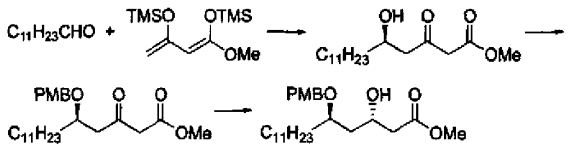
Progress in Iridium-Catalyzed Oxidation Reaction



Iridium-catalyzed oxidation reaction is a method of synthesis of oxygen-containing organic compounds. In this paper, the recent progresses in iridium- catalyzed oxidation reactions of alkanes, alkenes, alcohols, phenols, ethers, aldehydes, ketones and other organic compounds are reviewed, and some parts of reaction mechanisms are also discussed.

Tu, Qingqiang; Yang, Dingqiao*
Chin. J. Org. Chem. **2010**, *30*(8), 1164

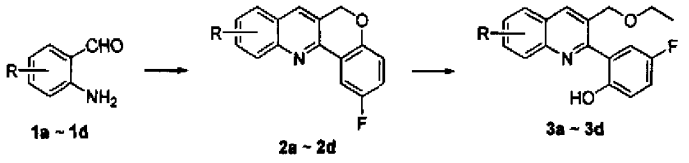
A New Route for the Preparation of Orlistat



The compound was obtained under mild reaction conditions with a high diastezeoselectivity via Mukaiyama-aldol reaction and Noyori reduction, which is a key intermediate for orlistat. The *p*-methoxybenzyl group played an important role in this new route.

Xu, Qinyao; Yu, Jianghui; Hu, Wenhao; Yang, Liping*
Chin. J. Org. Chem. **2010**, *30*(8), 1175

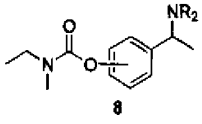
Friedländer Synthesis and Structure Characterization of Novel Quinoline Derivatives



A series of 2-fluoro-6*H*-chromeno[4,3-*b*]quinoline derivatives **2a**~**2d** were synthesized by the Friedländer condensation of substituted (unsubstituted) *o*-aminobenzaldehyde with 6-fluorochroman-4-one in alkaline ethanol solutions. In addition, the expected products can give 2-[3-(ethoxymethyl)quinolin-2-yl]-4-fluorophenol derivatives **3a**~**3d** by nucleophilic substitution reaction in alkaline solutions. The structures of the title compounds **2a**~**2d** and **3a**~**3d** were characterized by elemental analysis, IR, ^{1}H NMR and MS techniques. The compound **3d** was confirmed by X-ray single crystal diffraction analysis.

Wu, Lihuan*; Yang, Dingqiao
Chin. J. Org. Chem. **2010**, *30*(8), 1180

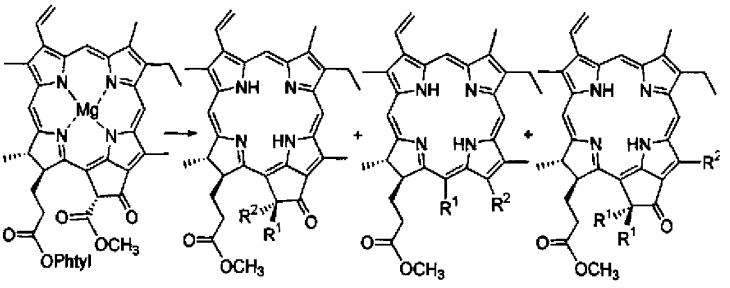
Asymmetric Synthesis and Biological Evaluation of Cholinesterase Inhibitor Rivastigmine and Analogues Thereof



(*S*)-Rivastigmine and twelve chiral analogues were synthesized starting from *p*-hydroxybenzaldehyde or *m*-hydroxybenzaldehyde via (*R*)- or (*S*)-*tert*-butanesulfinylimines as a chiral initiator, and characterized by IR, ^{1}H NMR, ^{13}C NMR and HRMS techniques. Ellman's method was applied to evaluate their bioactivities.

Xu, Gang; Wen, Fuhua; Mai, Xiaopang; Sun, Pinghua; Huang, Meiyan; Chen, Weimin*
Chin. J. Org. Chem. **2010**, *30*(8), 1185

Synthesis of Chorin Alcohol Derivatives by Chemical Modifications for Chlorophyll-a and Its Degradation Products



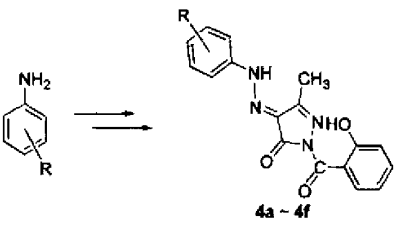
The demetal, ester exchange, allomerization and rearrangement reactions were accomplished by one pot method using chlorophyll-a as a basic material to yield various degraded and oxidized chlorin derivatives in different yields. The chemical modification for the vinyl group on 3-position and carbonyl group on the E-ring of methyl pheophorbide-a and methyl pyropheophorbide-a, as primary degraded products were carried out by addition, oxidation and reduction.

Wang, Jinjun*; Zhang, Peng; Wang, Peng; Chen, Guanlong; Li, Fuguo
Chin. J. Org. Chem. **2010**, *30*(8), 1192

Synthesis, Characterization and Antibacterial Activities of 1-(2-Hydroxybenzoyl)-3-methyl-4-substituted phenylhydrazonopyrazolones and Their Intermediates

Zou, Min; Lu, Junrui*; Xin, Chunwei; Bao, Xiurong; Yang, Bo; Zhu, Shanshan; Liu, Qian; Li, Yinghui; Tao, Jiqiang

Chin. J. Org. Chem. **2010**, *30*(8), 1201

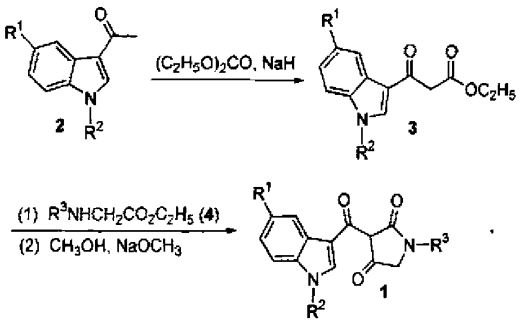


Substituted anilines were diazotized and subsequently reacted with ethylacetoacetate, then condensed with salicylic hydrazide to obtain 2-(2-phenylhydrazono)-3-(2-hydroxybenzoylhydrazono)-ethyl butanoates (**3a**~**3f**). The title compounds **4a**~**4f** were acquired by intramolecular cyclization reaction of compounds **3a**~**3f**. **3a**~**3f** and **4a**~**4f** were tested for their antibacterial activities against *Monilia albican*, *Escherichia coli*, *Staphlococcus aureus*.

Synthesis and Bioactivities of Novel 3-[α-Hydroxy(indol-3-yl)methylene]-pyrrolidine-2,4-dione Derivatives

Zhu, Youquan*; Zhu, Ran; Yuan, Yanwei; Zhang, Jin; Wang, Wenhu; Zou, Xiaomao*; Hu, Fangzhong; Liu, Xiangming; Yang, Huazheng

Chin. J. Org. Chem. **2010**, *30*(8), 1207

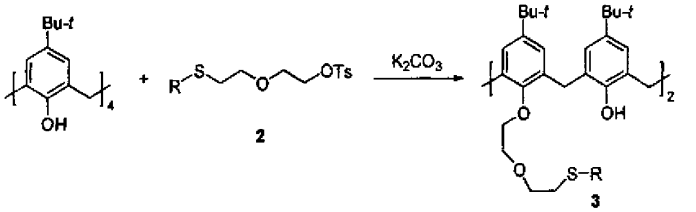


In this paper, according to the characters of the 4-hydroxyphenylpyruvate dioxygenase (HPPD) inhibitors, the target compound **1** was designed and synthesized according to the convenient method above. The preliminary bioassay results indicated that all of them possessed some extent herbicidal activities. Preliminary quantitative structure-activity relationship (QSAR) analysis indicated that the herbicidal activity for pyrrolidine-2,4-dione derivatives was strongly related with the configuration for planes A and B.

Synthesis and Structures of *p-tert*-Butylcalix[4]arene Derivatives Containing Heterocycle Functional Groups Tethered by Ether Bridges

Zhao, Bangtun*; Wang, Chengbin; Wu, Shengjiang; Ye, Baoxian*

Chin. J. Org. Chem. **2010**, *30*(8), 1212

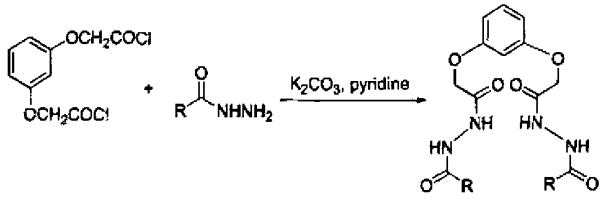


A series of *p-tert*-butylcalix[4]arene derivatives **3a**~**3e** which contain heterocycle functional groups tethered by ether bridges were easily synthesized, respectively. Meanwhile, the structures of calix[4]arene derivatives **3a**, **3b** and **3d** were identified by X-ray diffraction analysis.

Studies on the Synthesis of Novel Arylhydrazide Type Molecular Tweezer Artificial Receptors in Solvent-Free Conditions under Microwave Irradiation and Its Recognition Properties

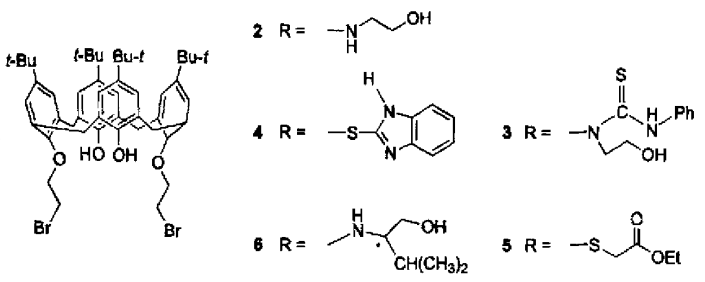
Shi, Peiyu; Zhao, Zhigang*; Li, Xiaorui; Liu, Xingli

Chin. J. Org. Chem. **2010**, *30*(8), 1220



Nine new arylhydrazide type molecular tweezer artificial receptors have been designed and synthesized with high yields using solid K_2CO_3 as supporter in solvent-free conditions under microwave irradiation. The structures of all molecular tweezers were characterized by ^1H NMR, IR, MS techniques and elemental analysis. The recognition properties for halogen anions were investigated by UV-vis spectra titration. The preliminary results indicate that these molecular tweezers show selective recognition for iodine anions.

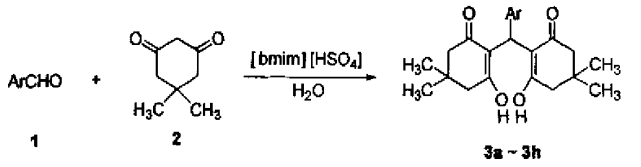
Syntheses of Some Novel Calix[4]arene Derivatives with Azo- and Sulfur-functional Groups



Zhang, Xiaoyi; Yang, Fafu*; Wang, Yanhua; Huang, Zhisheng; Cai, Xiuqin
Chin. J. Org. Chem. **2010**, *30*(8), 1226

Several novel calix[4]arene derivatives with azo- and sulfur-functional groups were synthesized in ideal yields.

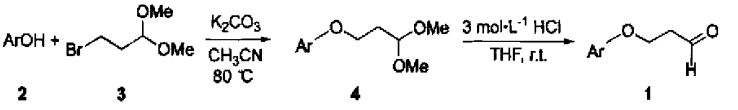
Synthesis of Arylmethylene Bis(3-hydroxy-5,5-dimethyl-2-cyclohexene-1-ketone)



Kang, Liqin*; Zhou, Yanping; Cai, Yueqin
Chin. J. Org. Chem. **2010**, *30*(8), 1230

In aqueous media, acid ionic liquid [bmim][HSO_4] was found to be effective catalyst for synthesizing arylmethylene bis(3-hydroxy-5,5-dimethyl-2-cyclohexene-1-ketone) by the reaction of aromatic aldehyde with 5,5-dimethylcyclohexane-1,3-dione. The process is simple and environmentally benign.

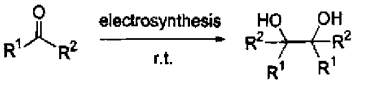
Improved Preparation of 3-Aryloxypropanals



Huang, Jun; Xu, Xiaoying; Wang, Lixin*
Chin. J. Org. Chem. **2010**, *30*(8), 1233

An improved preparation of 3-aryloxypropanals starting from substituted phenols, via coupling with 3-bromo-1,1-dimethoxypropane and deprotection in aqueous HCl is described.

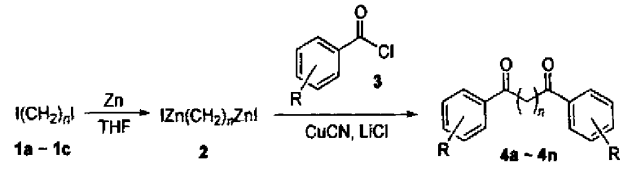
Reductive Coupling Reactions of Aromatic Aldehydes Using Zinc as Electrode in Aqueous Media



Electrosynthesis of pinacols by using zinc tablets for the electrode and aromatic aldehydes as substrate in acid condition was performed. The influence of the current density, reaction time and hydrochloric acid concentration on pinacols yield was explored. The optimal reaction conditions were as follow: current density of 2.4 A/dm^2, reaction time of 60 min, hydrochloric acid concentration of 2 mol/L, the yield of pinacols was up to 14%~80%.

Bian, Yanjiang*; Zhang, Gaofeng
Chin. J. Org. Chem. **2010**, *30*(8), 1237

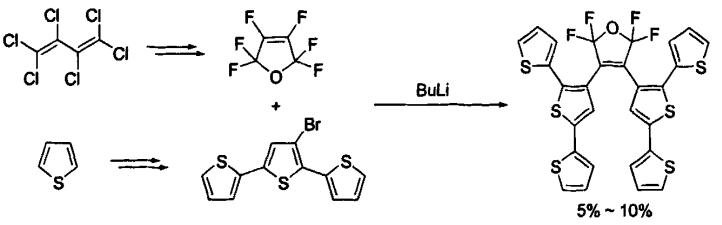
Preparation of Diketones via the Reaction of Bisorganozinc Iodides and Benzoyl Chlorides



Xu, Changming; Yang, Lei; Huang, Dangfeng*; Niu, Teng; Fu, Ying; Hu, Yulai*
Chin. J. Org. Chem. **2010**, *30*(8), 1240

A series of symmetrical aromatic diketones were synthesized by the coupling reaction of bisorganozinc iodides and acyl chlorides in the presence of cuprous cyanide and lithium chloride in THF at −25 ℃. The structures of the products were characterized by IR, ^{1}H NMR, ^{13}C NMR, MS techniques and elemental analysis. This method has the advantages of shorter reaction steps, good yields and simple work-up procedures, which is a new and efficient method for synthesis of long chain diketones.

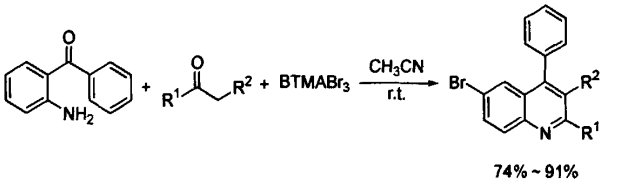
Synthesis of Photochromic 1,2-Dithienylethene Derivative with a 2,2,5,5-Tetrafluoro-2,5-dihydrofuran Bridge Unit



Deng, Xiangjun; Zhang, Zhaoqi; Huang, Yangen; Qing, Fengling*
Chin. J. Org. Chem. **2010**, *30*(8), 1245

Novel photochromic 1,2-dithienylethene derivative with a 2,2,5,5-tetrafluoro-2,5-dihydrofuran bridge unit can be prepared by a multiple-step process starting from cheap and readily available materials hexachlorobuta-1,3-diene and thiophene.

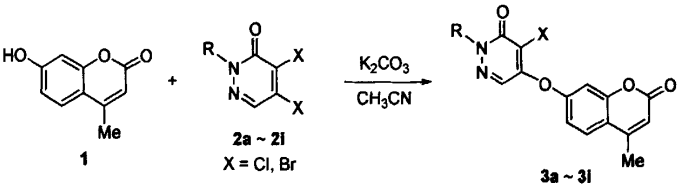
Synthesis of 6-Bromoquinolines Using Benzyltrimethylammonium Tribromide



Wu, Liqiang*; Yan, Fulin; Yang, Limin; Yang, Chunguang
Chin. J. Org. Chem. **2010**, *30*(8), 1250

A series of 6-bromoquinolines were synthesized in high yields by reaction of 2-aminobenzophenone with α-methylene carbonyl compounds in the presence benzyltrimethylammonium tribromide in CH_3CN at room temperature. All products were characterized by ^1H NMR, ^{13}C NMR spectra and elemental analysis.

Synthesis and Bioactivity of 4-Halogen-5-[(4-methyl-2-oxo-2*H*-1-benzopyran-7-yl)oxy]-2-substituted-3(2*H*)-pyridazinone Derivatives



Bai, Kun; Yao, Caiping; Chen, Qing; Shen, Chen; Pei, Wen*
Chin. J. Org. Chem. **2010**, *30*(8), 1255

Pyridazinone fragments were introduced into coumarin derivatives by the condensation of 4,5-dihalogenpyridazinone with 7-hydroxy-4-methyl coumarin in CH_3CN/K_2CO_3 at reflux. Eight new compounds of pyridazinone derivatives containing coumarin ring were designed and synthesized. The structures of the new compounds were identified by ^1H NMR and MS techniques and elemental analysis. The preliminary bioassay results showed that 4-chloro-5-[(4-methyl-2-oxo-2*H*-1-benzopyran-7-yl)oxy]-2-(4-nitrophenyl)-3(2*H*)-pyridazinone possessed restraining cucumber cotyledon root-formation activity.

Highlights

Chin. J. Org. Chem. **2010**, *30*(8), 1259