

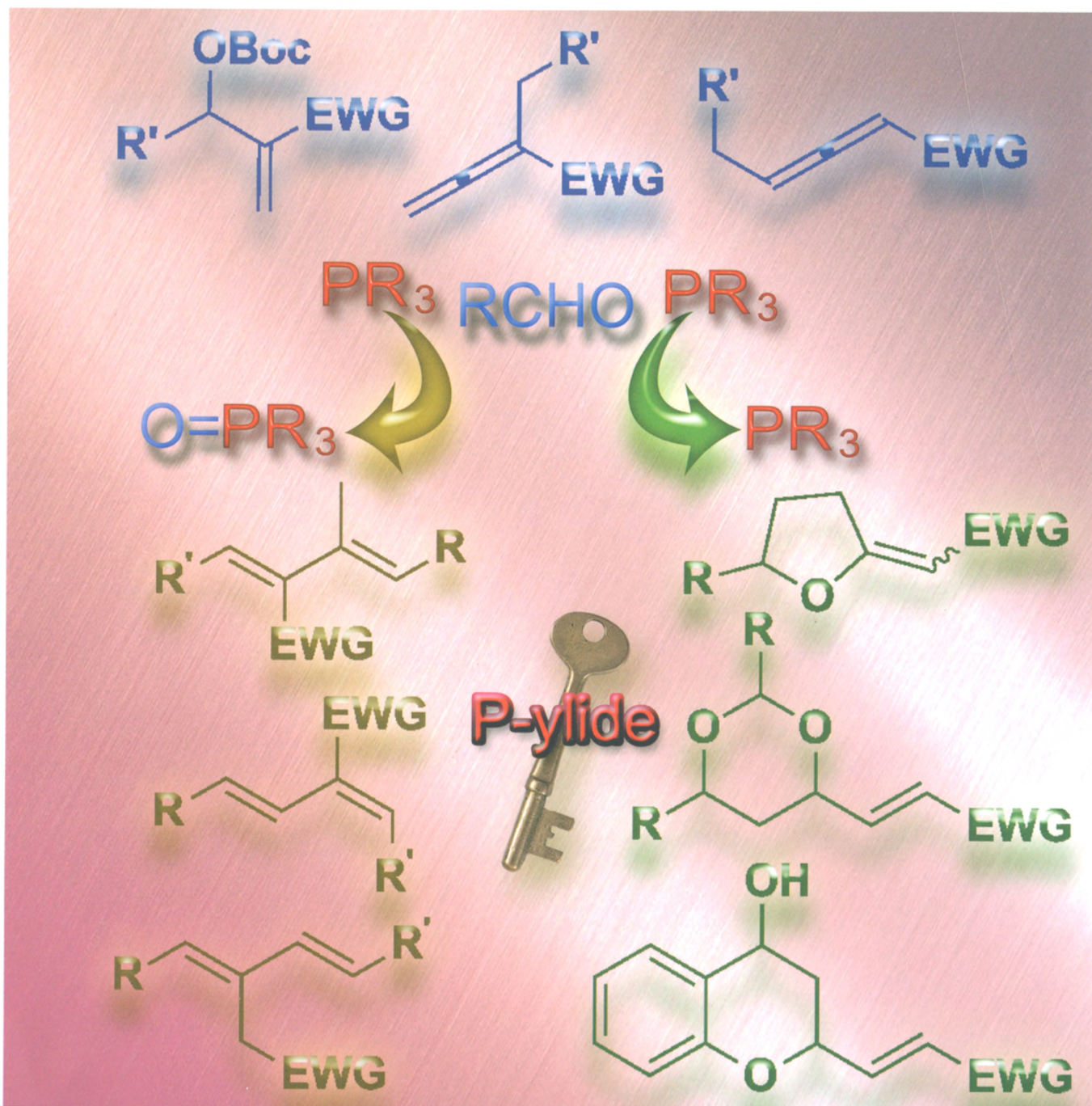
有机化学

Youji Huaxue

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* 通讯联系人.

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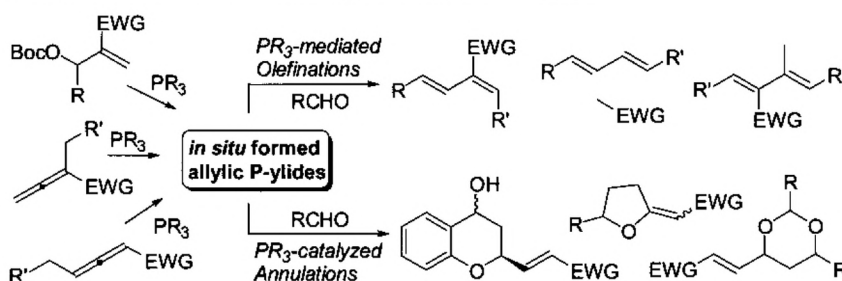
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On the Cover

The reactivity of *in situ* generated allylic phosphorus ylides, derived from allylic carbonates or allenates with tertiary phosphines, towards aldehydes is summarized by Xu and He on page 1159, primarily including stoichiometric phosphine-mediated highly stereoselective Wittig and vinylogous Wittig olefinations to provide polysubstituted 1,3-dienes under salt-free conditions, and several phosphine-catalyzed annulations to afford 5- and 6-membered oxygen heterocycles.

ACCOUNT

Studies on Reactivity of *in situ* Generated Allylic Phosphorus Ylides with Aldehydes



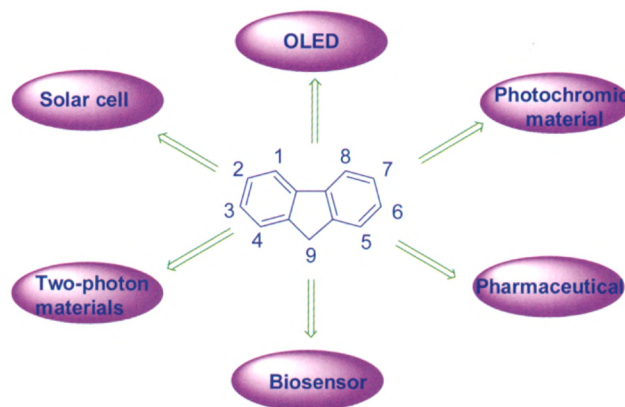
This account summarizes our recent work on the reactivity of *in situ* generated allylic phosphorus ylides with aldehydes, primarily including stoichiometric phosphine-mediated highly stereoselective Wittig and vinylogous Wittig olefinations to provide polysubstituted 1,3-dienes under salt-free conditions, and several phosphine-catalyzed annulations to afford 5- and 6-membered oxygen heterocycles.

Xu, Silong; He, Zhengjie*

Chin. J. Org. Chem. **2012**, 32(7), 1159

REVIEWS

New Progress of Researches in Fluorene Compounds



The syntheses of fluorene derivatives and their potential applications were extensively investigated and have become highly active highlight in recent years, and the progress is quite rapid. Combining with our researches and referring other works from literatures, this paper systematically reviews the recent advance in the research and development of fluorene in organic electro-luminescence materials, two-photon absorbing materials, photochromic materials, solar cells, medicinal chemistry and so on. The perspectives of the foreseeable future are also presented.

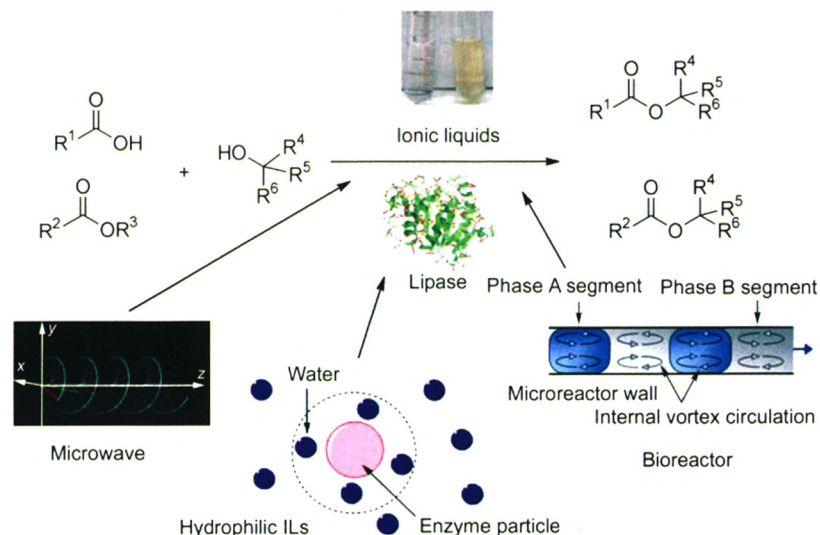
Huo, Yanping*; Fang, Xiaoming; Huang, Baohua*; Zhang, Kun; Nie, Xiaoli; Zeng, Heping*

Chin. J. Org. Chem. **2012**, 32(7), 1169

CONTENT

Progress of Lipase-Catalyzed Ester Synthesis in Ionic Liquid

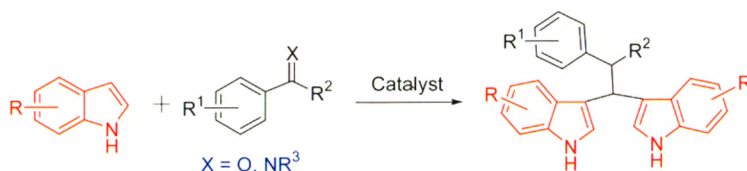
Lipase-catalyzed synthesis of esters in ionic liquids



Combined with the recent decade's references, this review is focused on summarizing various ionic liquids as the media, process factors, strengthening effect of field and bio-reactors in the lipase-catalyzed ester synthesis process. Moreover, some future perspectives on enzymatic synthesis in ionic liquid are discussed.

Li, Jing; Wang, Jun*; Zhang, Leixia; Gu, Shuangshuang; Wu, Fuan; Guo, Yuewei
Chin. J. Org. Chem. **2012**, 32(7), 1186

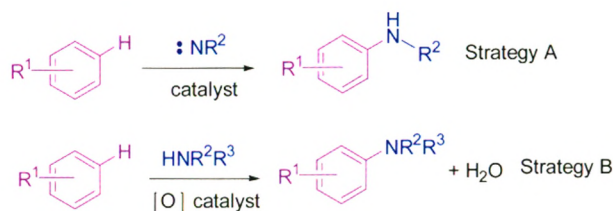
Research Progress of Synthesis of Bis-(indolyl)methanes



Bis(indolyl)methane derivatives are well known to possess various biological activities, pharmacological activities and have applications in pharmaceuticals. Recently, there is an increasing interest in the synthesis of bis(indolyl)alkanes. The paper makes a summary to the synthesis of bis(indolyl)methane derivatives in term of the kinds of the catalysts on the following: (1) Lewis acid catalyst, (2) molecular iodine catalyst, (3) protic acid catalyst, (4) solid-borne catalyst, (5) heteropoly acid catalyst, (6) small molecular organic catalyst, (7) coordination compound catalyst, (8) ionic liquid catalyst, and (9) other catalysts.

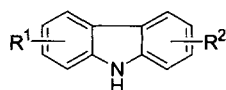
Gong, Haiwei; Xie, Zhengfeng*
Chin. J. Org. Chem. **2012**, 32(7), 1195

Research Progress in the Construction of Aromatic C—N Bond from Activation of Aromatic C—H Bond



Amines are important compounds that are found throughout the pharmaceutical, bioactive natural products and agrochemical industries. The transformation from aromatic C—H bond to aromatic C—N bond is an important organic conversion. It is an effective method for the construction of aromatic amine. This transformation has the characteristic of atom economy, sustainable development and environment friendly. This review is focused on the research progress in the direct amination of C—H bonds in the past decade.

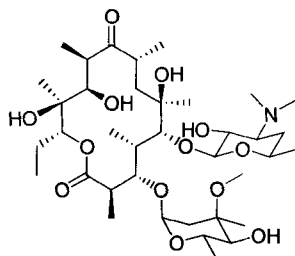
Xu, Juan; Wei, Zhen; Li, Jiarong*
Chin. J. Org. Chem. **2012**, 32(7), 1208

Progresses in Synthetic Methods of
Carbazole and Its Derivatives

Carbazole and its derivatives are a class of important nitrogen-containing heterocyclic compounds possessing various unique properties and biological activity. Herein the current progress of their synthetic strategies reported in the past 5 years is reviewed. The representative examples, which have been divided into four categories according to the usage of different key intermediates, are selected and discussed in detail. Special emphasis is put on the newly developed cyclization reactions which may be useful for the construction of the tricyclic backbone of carbazoles.

Fang, Xubin; Fang, Lei*; Gou, Shaohua*
Chin. J. Org. Chem. **2012**, 32(7), 1217

Biosynthesis and Combinatorial Biosynthesis of Erythromycin

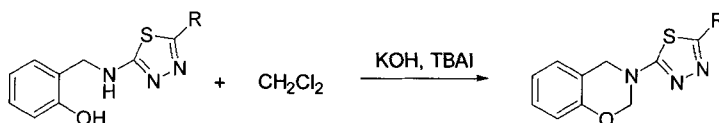


Combinatorial biosynthesis plays a growing role of drug discovery and development in the fields of biology, chemistry and medical sciences. Erythromycin, as the model molecule, has long been appreciated for the investigations into the biosynthesis of natural products and their associated structural diversity by pathway engineering.

Wu, Jiequn; Liu, Wen; Zhang, Siliang*
Chin. J. Org. Chem. **2012**, 32(7), 1232

ARTICLES

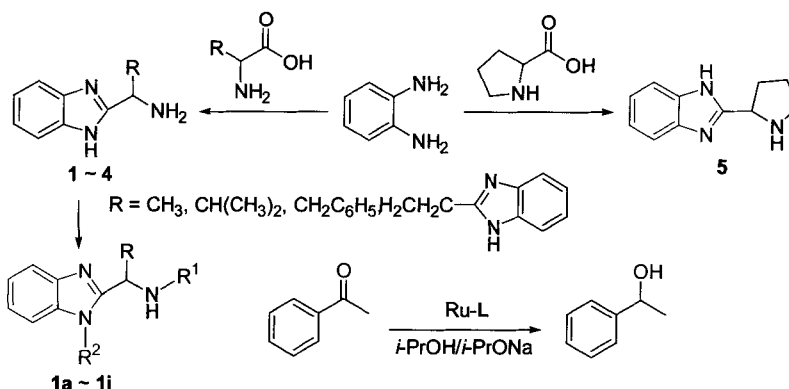
Synthesis and Fungicidal Activity of Novel 3-(1,3,4-Thiadiazolyl)-1,3-benzoxazines



A series of novel 3-(1,3,4-thiadiazolyl)-1,3-benzoxazines were prepared in 47%~62% yields from 2-(1,3,4-thiadiazolylaminomethyl)phenols and CH_2Cl_2 by phase transfer catalysis reaction for the first time.

Tang, Zilong*; Chang, Shuhong; Yan, Lin; Cui, Meiyang; Liu, Hanwen
Chin. J. Org. Chem. **2012**, 32(7), 1241

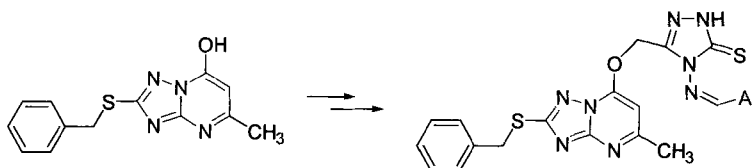
Syntheses and Application of Aminated Benzimidazole Derivatives in Transfer Hydrogenation Reaction of Ketones



A series of α -amino-benzimidazole derivatives were synthesized. The catalytic capabilities of combination of aminated benzimidazole derivatives with Ru compound were evaluated in transfer hydrogenation of ketones. The reaction condition was optimized and the highest TOF was 40200 h^{-1} .

Duan, Kai; Li, Xiaona; Li, Yunqing; Wang, Jiayi*
Chin. J. Org. Chem. **2012**, 32(7), 1247

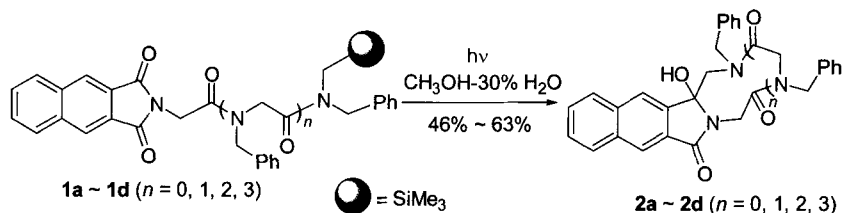
Synthesis and Bioactivities of Novel 1,2,4-Triazolo[1,5-a]pyrimidine Derivatives Containing 1,2,4-Triazole-5-thione Schiff Base Unit



A series of novel 1,2,4-triazolo[1,5-a]pyrimidine derivatives containing 1,2,4-triazole-5-thione Schiff-base unit were synthesized through sequential reactions of etherification, hydrazinolysis, salification, cyclization and condensation. Preliminary bioassay indicated that some compounds exhibited certain fungicidal or good anti-TMV activities.

Xiong, Qizhong; Lin, Xuanfu; Liu, Junhu; Bi, Liang; Bao, Xiaoping*
Chin. J. Org. Chem. **2012**, 32(7), 1255

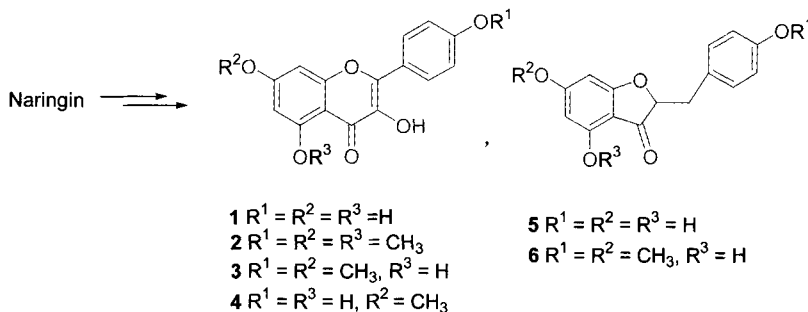
Photoinduced Single Electron Transfer
Cyclization Reaction of *N*-(Amidosilane
terminated polybenzylglycine peptide
chain)-2,3-naphthalimide



Jin, Yingxue; Wang, Jiachang; Yue, Qunfeng;
Qu, Fengyu; Wei, Shuquan; Tan, Guanghui*
Chin. J. Org. Chem. **2012**, 32(7), 1290

Novel intramolecular electron donor-accepter system *N*-(amidosilane terminated polybenzylglycine peptide chain)-2,3-naphthalimide (**1**) could undergo a photoinduced single electron transfer cyclization reaction in MeOH-30% H₂O solvent to provide novel cyclopolyglypeptides **2** in high regioselectivity.

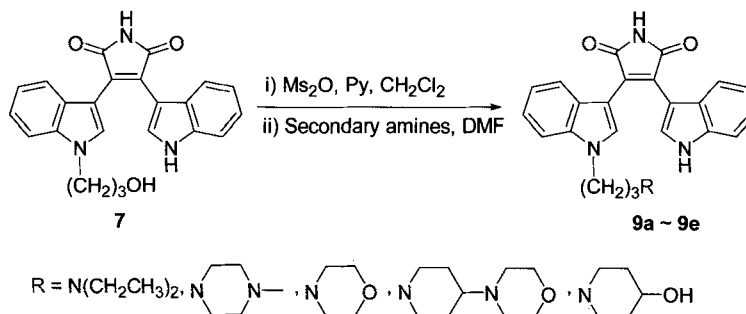
Semisynthesis of Bioactive Flavonols
and Aurones from Naringin



Wu, Zheng; Cai, Shuanglian; Fan, Wenjin;
Wang, Qian*
Chin. J. Org. Chem. **2012**, 32(7), 1296

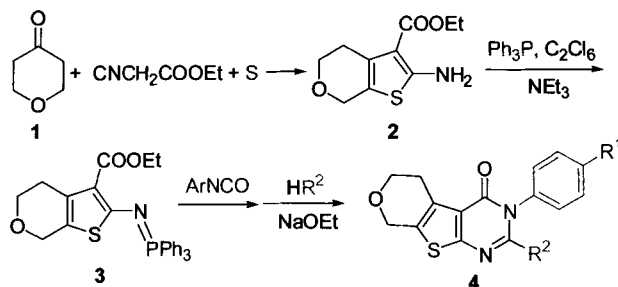
Four natural flavonols **1~4** and two novel aurones **5~6** were semisynthesized from naringin.

Synthesis of Novel Bisindolylmaleimide
Derivatives



CONTENT

Sequential One-Pot Synthesis of 5,6,8-Trihydropyrano[3',4':4,5]thieno[2,3-*d*]pyrimidin-4(3*H*)-one

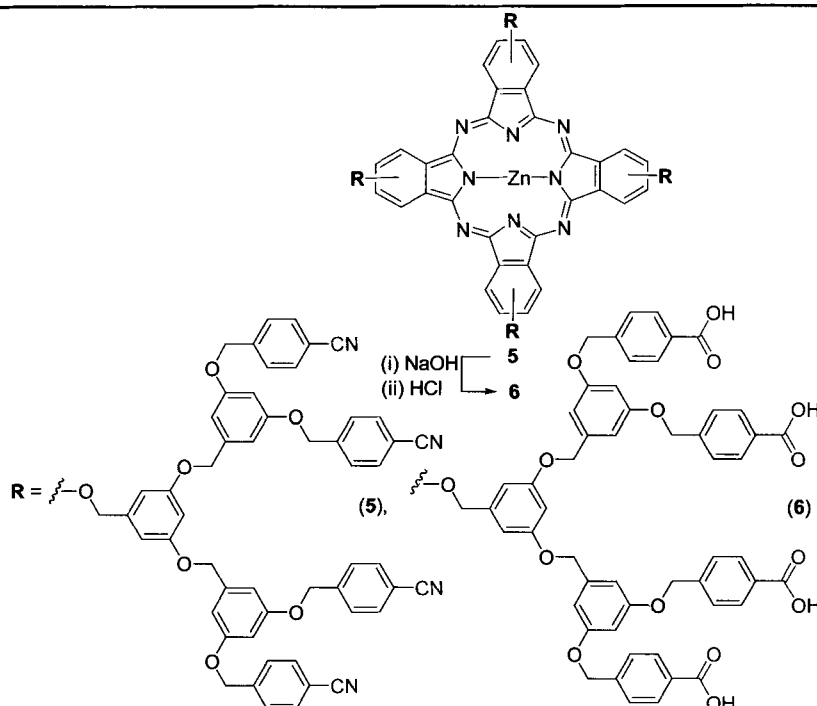


Nineteen novel 5,6,8-trihydropyrano[3',4':4,5]thieno[2,3-*d*]pyrimidin-4(3*H*)-one derivatives were synthesized using the sequential one-pot reaction, from 4,5,7-trihydropyrano[4,3-*d*]thiophen-2-ylidene-triphenyl-phosphorane, aryl-isocyanate and primary amine or secondary amine. Their structures were characterized by ^1H NMR, IR and MS techniques. Meanwhile, the structure of **4a** was further confirmed by X-ray single diffraction method.

Chen, Li; Sun, Shaofa*; Song, Gongwu
Chin. J. Org. Chem. **2012**, 32(7), 1314

NOTES

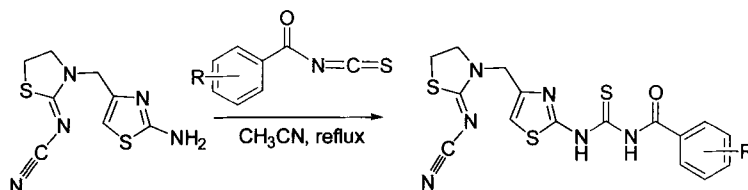
Synthesis and Characterization of a Novel Fréchet Dendritic Phthalocyanine Zinc(II): Tetra-{3,5-di-[3,5-di-(4-carboxylic benzyloxy)benzyloxy]benzyloxy} Phthalocyanine Zinc(II)



A novel Fréchet structural dendritic substituted photosensitizer, tetra-{3,5-di-[3,5-di-(4-carboxylic benzyloxy)benzyloxy]benzyloxy} phthalocyanine zinc(II) (**6**), was synthesized. The photophysical properties of **5** and **6** were studied by UV/Vis, steady state and transient fluorescence spectrometry. The compound **6** is a kind of good performance of dendritic photosensitizer.

He, Dandan; Zhang, Hong; Peng, Yiru*; Ma, Dongdong; Wang, Yuhua; Yang, Hongqin; Chen, Wanlin; Zhang, Tiantian
Chin. J. Org. Chem. **2012**, 32(7), 1320

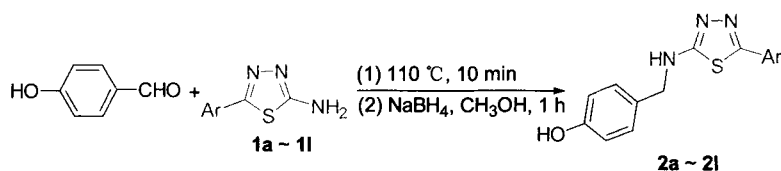
Synthesis and Biological Activity of 1-Aroyl-3-[4-((2-cyanoimino-1,3-thiazolidine-3-yl)methyl)-thiazol-2-yl]thioureas



Fourteen new 1-aryl-3-[4-((2-cyanoimino-1,3-thiazolidine-3-yl)methyl)-thiazol-2-yl]thiourea derivatives were synthesized. Their structures were identified by ^1H NMR spectra and elemental analysis. The preliminary bioassay exhibited that some compounds have certain fungicidal, plant growth regulatory or herbicidal activities.

Dai, Hong*; Miao, Wenke; Liu, Jianbing; Wu, Shanshan; Qin, Xue; Zhang, Xin; Fang, Jianxin*
Chin. J. Org. Chem. **2012**, 32(7), 1327

One-Pot Synthesis of Novel 4-[(5-Aryl-1,3,4-thiadiazol-2-ylamino)methyl]phenol Derivatives

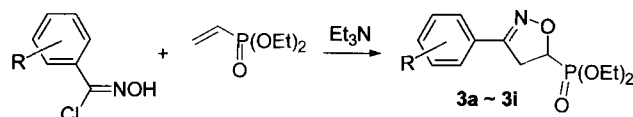


a Ar: 2-ClC₆H₄; b Ar: 3-ClC₆H₄; c Ar: 4-ClC₆H₄; d Ar: C₆H₅; e Ar: 2-CH₃C₆H₄;
f Ar: 3-CH₃C₆H₄; g Ar: 4-CH₃C₆H₄; h Ar: 2-CH₃OC₆H₄; i Ar: 3-CH₃OC₆H₄;
j Ar: 4-CH₃OC₆H₄; k Ar: 4-BrC₆H₄; l Ar: 4-CF₃C₆H₄

A new class of 4-[(5-aryl-1,3,4-thiadiazol-2-ylamino)methyl]phenol compounds were synthesized via the nucleophilic addition reaction of 5-phenyl-1,3,4-thiadiazol-2-amine with 4-hydroxybenzaldehyde, dehydration and reduction of unsaturated double bond in one-pot. This procedure has the advantages of short reaction time and simple post treatment. The structures of the products were characterized thoroughly by NMR, IR, MS techniques and elemental analysis.

Liu, Hanwen*; Pei, Wenchou; Tang, Zilong
Chin. J. Org. Chem. **2012**, 32(7), 1332

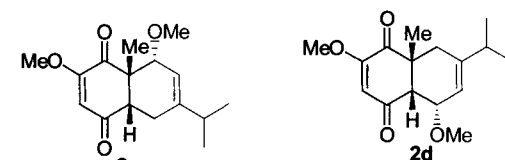
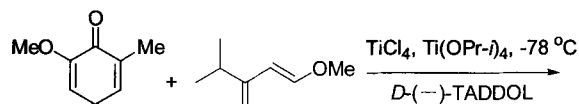
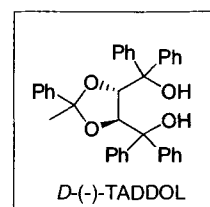
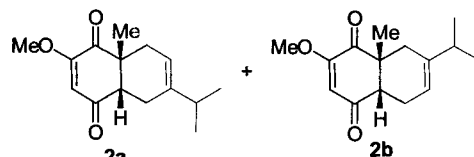
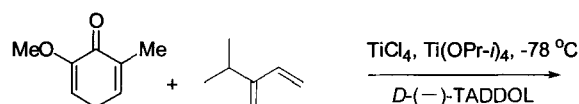
Synthesis and Characterization of 5-Phosphonyl-3-arylisoxazoline



A series of regioselectively isoxazolines were synthesized through cycloaddition reaction of nitrile oxides with vinylphosphonate. The structure of title compound was proved as 5-phosphonyl isoxazoline by X-ray crystallography of compound 3c. Their structures were confirmed by NMR, ESI-MS and elemental analysis. The suppression of the neuraminidase inhibitors was also tested. The result showed that they could inhibit neuraminidase.

Zhang, Changshui; Ye, Yong*
Chin. J. Org. Chem. **2012**, 32(7), 1136

Studies on Constructing of the Key Intermediate of Eudesmane Sesquiterpenoid Analogues via Diels-Alder Reaction



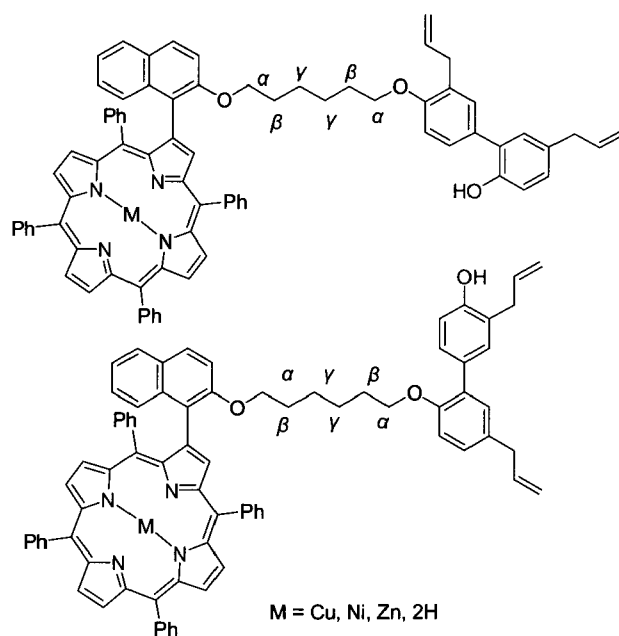
No 2d was detected

Zhang, Yu; Wang, Penghui; Chu, Yong; Liu, Mingming; Chen, Guangyi; Lu, Yiping; Ye, Deyong*
Chin. J. Org. Chem. **2012**, 32(7), 1340

The key intermediate of eudesmane sesquiterpenoid analogue 2c was synthesized with high regioselectivity via Diels-Alder reaction from the dienophile 2-methoxy-1,4-benzoquinone and the diene 1-methoxy-3-isopropyl-1,4-butadiene.

CONTENT

Synthesis and Characterization of Honokiol Bridged Porphyrins as Photosensitizers



Huang, Qimao; Wang, Siwei; Deng, Pengxing; Zhou, Hong; Hu, Xuele; Pan, Zhiqian*
Chin. J. Org. Chem. **2012**, 32(7), 1344

Four pairs of honokiol bridged porphyrin isomers have been synthesized and characterized, their production of singlet oxygen was determined with DPBF as the quencher, the photocleavage ability to pBR322 plasmid DNA has been tested by gel electrophoresis and the interaction with CT DNA was detected by UV-Vis spectroscopy preliminarily.

HIGHLIGHTS

Chin. J. Org. Chem. **2012**, 32(7), 1350