

有机化学

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ISSN 0253-2786

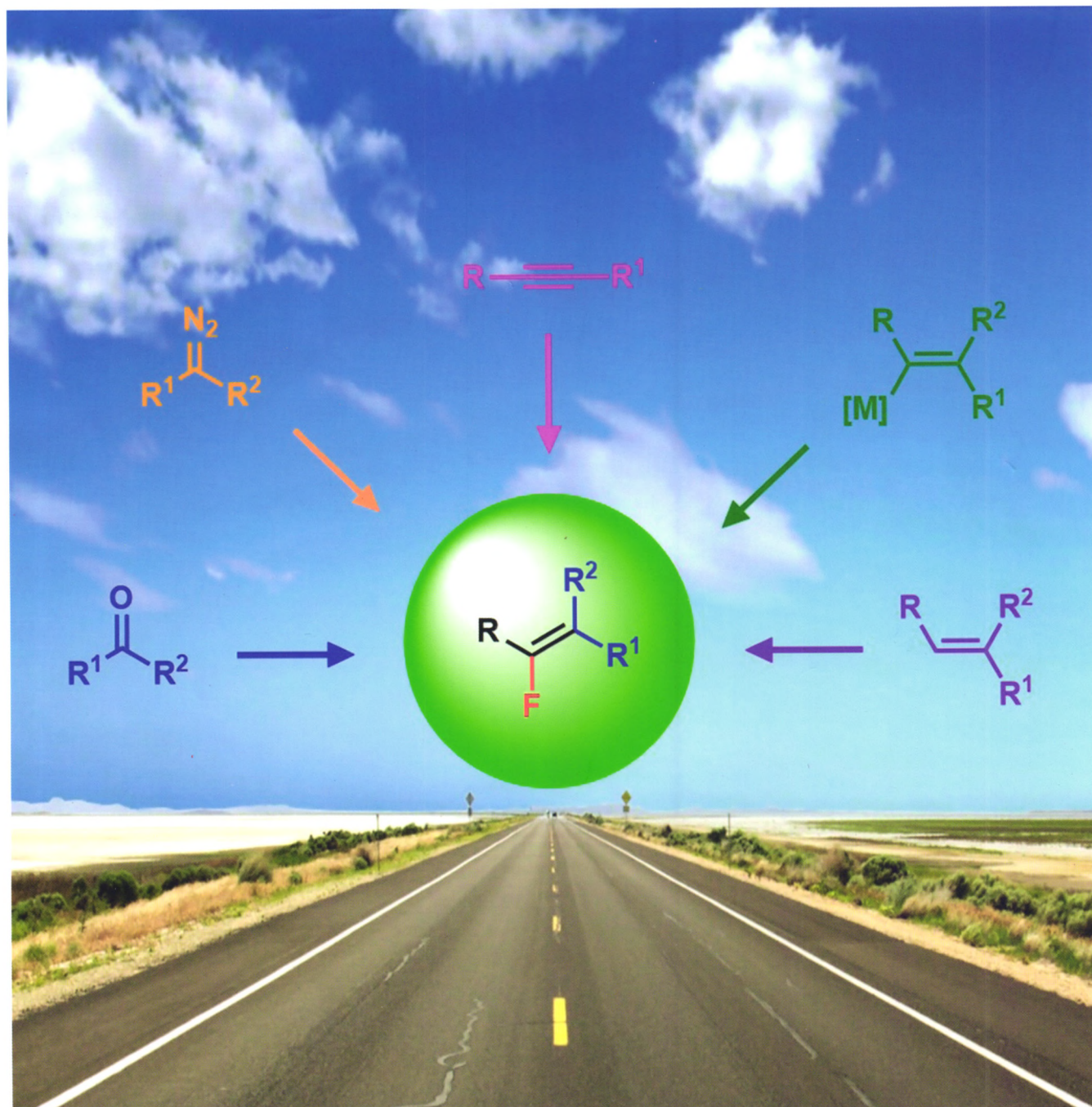
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Youji Huaxue

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(YOUJI HUAXUE)

第 37 卷 第 9 期 (总 346 期) 2017 年 9 月*

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* 通讯联系人.

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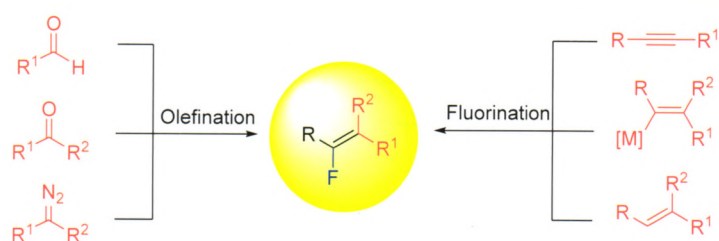
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On the Cover

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

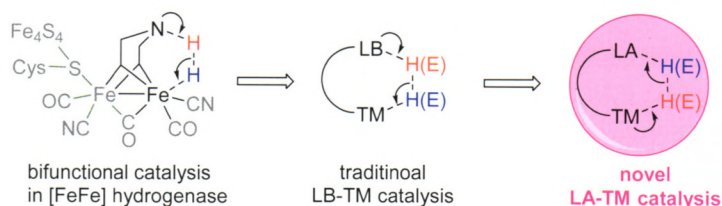
Recent Advances in the Highly Stereoselective Synthesis of Tri- or Tetra- substituted Monofluoroalkenes



Monofluoroalkenes have found applications in many areas of research, including the design and development new materials and drug. The highly stereoselective synthesis of this privileged structural motif has attracted great synthetic attention. This review summarizes recent progresses in highly stereoselective synthesis of monofluoroalkenes from aldehydes, ketones and diazo compounds, as well as other substrates such as alkynes, alkenyl metallic species and alkenes. The advantages and disadvantages of different methods are discussed.

Liao, Fumin; Yu, Jinsheng*; Zhou, Jian*
Chin. J. Org. Chem. **2017**, 37(9), 2175

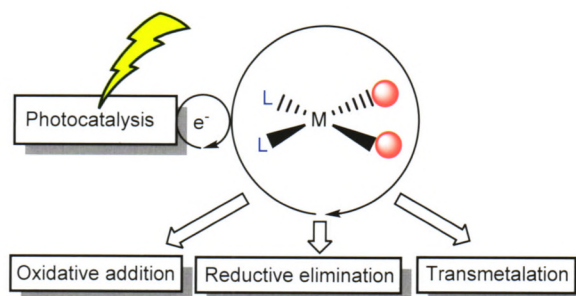
Boron-Based Lewis Acid Transition Metal Complexes as Potential Bifunctional Catalysts



Li, Yinwu; Zhang, Jianyu; Shu, Siwei; Shao, Youxiang; Liu, Yan*; Ke, Zhuofeng*
Chin. J. Org. Chem. **2017**, 37(9), 2187

The boron-based Lewis acid-transition metal complexes as potential bifunctional catalysts are reviewed according to their binding features, as well as their applications in reactions.

Visible Light Photoredox Catalysis Mediated Elementary Steps in Organometallic Reactions



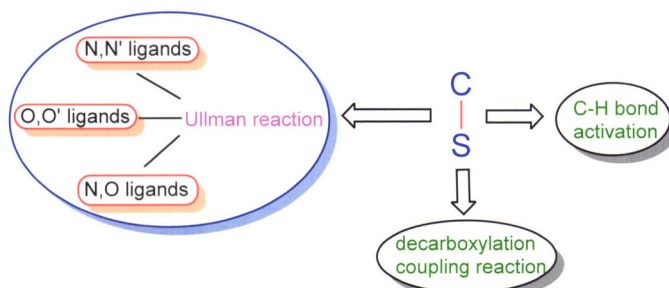
The recent progress in organometallic reactions mediated by visible light photoredox catalysis is reviewed. Prominent examples from the recent literatures are organized on the basis of the elementary transformation enabled by photoredox catalysis.

Wu, Jiang; Li, Jiawen; Li, Hao; Zhu, Chun-yin*
Chin. J. Org. Chem. **2017**, 37(9), 2203

tion enabled by photoredox catalysis.

CONTENT

Progress in the Formation of C—S Bond

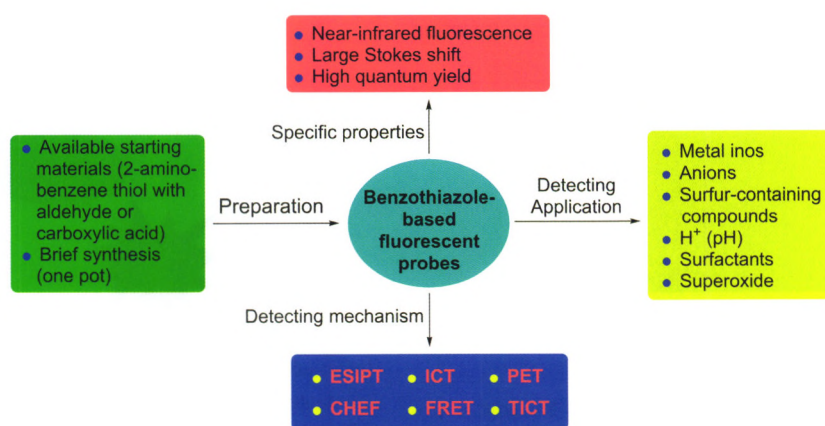


The construction of C—S bond is the fundamental of organic synthesis, which plays an important role in the synthesis of natural products, biomolecules and functional materials. Based on the different C—S bonding methods, the C—H bond activation, decarboxylation coupling reaction and Ullmann reaction are introduced. The ligands of Ullmann C—S coupling are also summarized.

Sun, Fengli; Liu, Xuemin; Chen, Xinzh; Qian, Chao; Ge, Xin*

Chin. J. Org. Chem. **2017**, 37(9), 2211

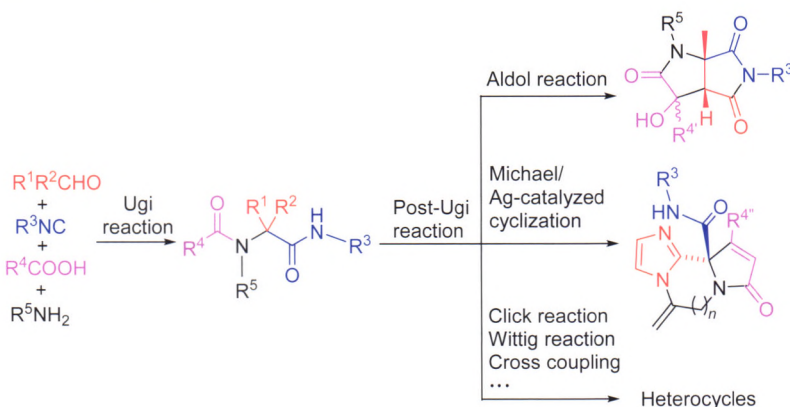
Research Progress in Design, Synthesis and Application of Benzothiazole-Based Fluorescent Probes



Jiang, Kai; Cao, Liang; Hao, Zhifeng*; Chen, Meiyang; Cheng, Jieluan; Li, Xiao; Xiao, Ping; Chen, Liang; Wang, Zhaoyang*
Chin. J. Org. Chem. **2017**, 37(9), 2221

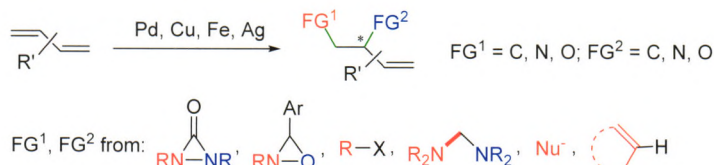
Classifying benzothiazole-based fluorescent probes into four main categories according to different analytes, such as metal cations, anions, sulfur-containing compounds and other species, the design, synthesis, detecting mechanism and relevant application of these probes are reviewed. And their development tendency in the future is prospected.

Recent Progress on Post-Ugi Reaction



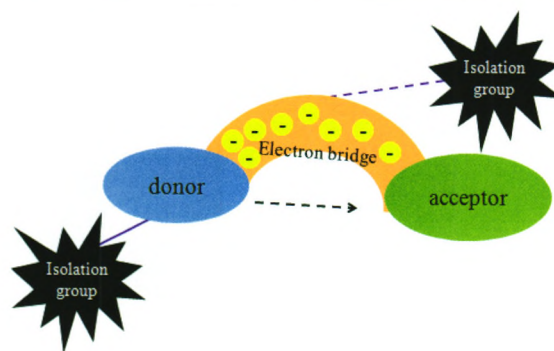
Ugi reaction is an effective and atom-economical multicomponent reaction. The sequences of Ugi multicomponent reactions and following various postcondensation transformations constitute an extremely powerful synthetic method for heterocyclic compounds with elaborate substitution patterns. Herein, the development in this field is summarized.

Li, Xiuming; Jia, Xueshun*; Yin, Liang*
Chin. J. Org. Chem. **2017**, 37(9), 2237

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

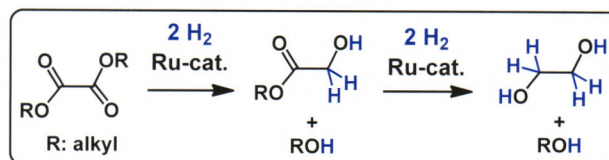
The 1,2-difunctionalization of conjugated dienes is an important homogeneous catalytic reaction. The obtained products through 1,2-difunctionalization are widely existed in natural products and bioactive compounds, in addition, the preserved double bond in the difunctionalized product can be further 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

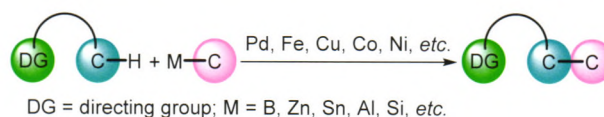
Isolation groups are good modification way to improve the shape of chromophores and attenuate large dipole-dipole between chromophores. In this review, the recent processes of novel organic second-order nonlinear optical (NLO) chromophores modified by isolation groups are summarized systematically and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

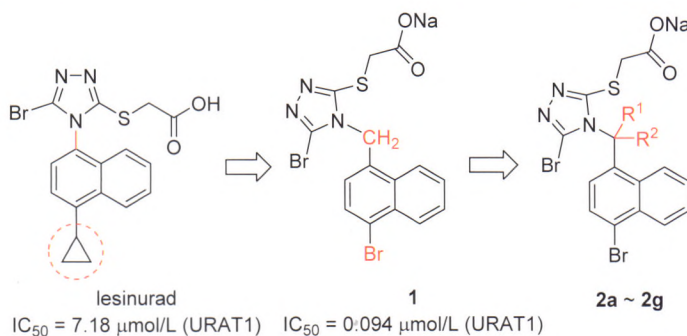
Cross-Coupling of Directed C—H and
Organometallic Reagents for C—C Bond
Formation

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

ARTICLES

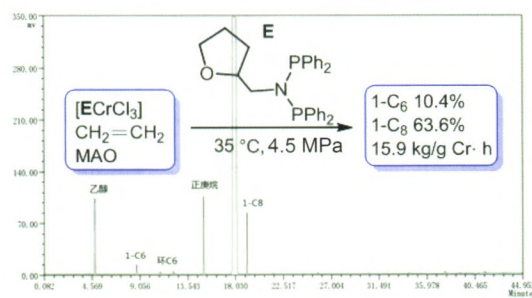
Design, Synthesis and Bioactivity of Highly Sterically Congested Flexible Uric Acid Transporter 1 (URAT1) Inhibitors



The flexible naphthyltriazolylmethane-bearing uric acid transporter 1 (URAT1) inhibitor **1** is a novel, highly potent drug candidate for the treatment of hyperuricemia and gout. In order to further understand the effect of substituents at the CH₂ linker between the naphthalene and triazole rings on the bioactivity, 7 highly congested compounds **2a~2g** were designed and synthesized.

Cai, Wenqing; Liu, Wei; Zhang, Shuo; Wang, Jianwu*; Zhao, Guilong*
Chin. J. Org. Chem. **2017**, 37(9), 2303

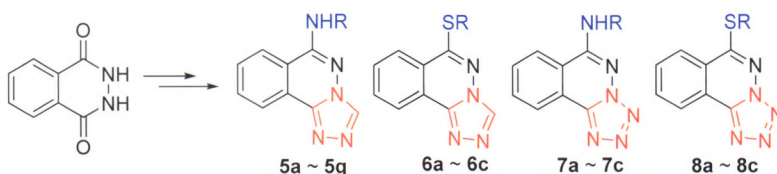
Selective Ethylene Oligomerization Catalyzed by the Chromium Complex Bearing *N*-Tetrahydrofurfuryl PNP Ligand



Upon activation by methylaluminoxane (MAO), [Ph₂P-N(CH₂OC₄H₇)PPh₂]₂CrCl₂(μ-Cl)₂ catalyzed ethylene tri/tetramerization with an activity of 15.9 kg (product)/g (Cr)·h at 35 °C and 4.5 MPa pressure within 30 min, achieving a total 74.0% selectivity for 1-C₆ and 1-C₈.

Liu, Rui; Zhong, Xianghong*; Liu, Zhenyu; Liang, Shengbiao; Zhu, Hongping*
Chin. J. Org. Chem. **2017**, 37(9), 2315

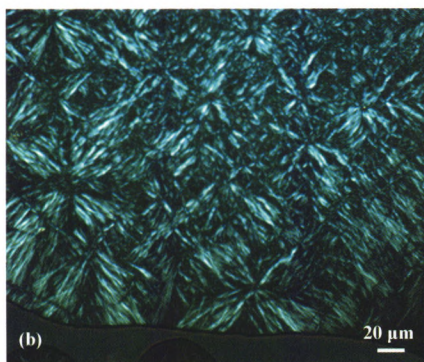
Synthesis and Anticonvulsant Activity Evaluation of Phthalazine and Heterocyclic Derivatives



In this paper, four series of derivatives, 6-substituted-1,2,4-triazolo[3,4-*a*]phthalazine derivatives and 6-substituted tetrazolo[5,1-*a*]phthalazine derivatives were synthesized and the compounds were evaluated for their anticonvulsant activity using by maximal electroshock.

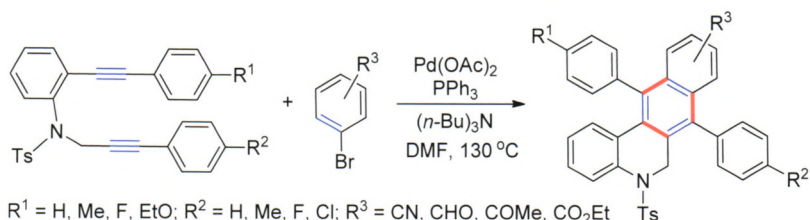
Zhang, Haiming; Zhang, Hongjian; Tian, Yushun*; Quan, Zheshan*
Chin. J. Org. Chem. **2017**, 37(9), 2322

Spectroscopic, Electrochemistry and Thermal Properties of Mono- and 1,1'-Disubstituted 1,2,3-Triazolylferrocene Derivatives



A series of mono- and 1,1'-disubstituted 1,2,3-triazolylferrocene derivatives have been synthesized. Their absorption and emission properties were studied. The 1,2,3-triazolylferrocene derivative with one terminal alkoxy chain suffered from degradation reaction before melting, and those with multiterminal alkoxy chains showed either simple melting and freezing process or crystal polymorphic phase to isotropic liquid phase transitions in the heating and cooling cycles.

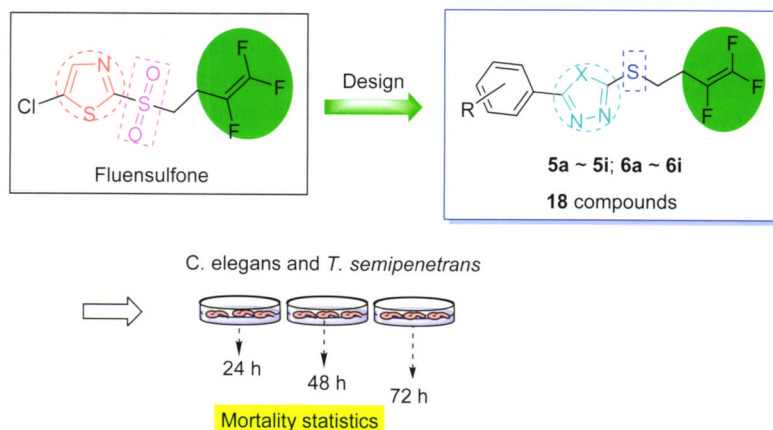
Qian, Chao; Chuo, Luopeng; Zhao, Haiying*; Bian, Zhanxi
Chin. J. Org. Chem. **2017**, 37(9), 2328

Synthesis of Dihydrophenanthridines by
Palladium-Catalyzed [2+2+2] Cycliza-
tion Reactions

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.

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

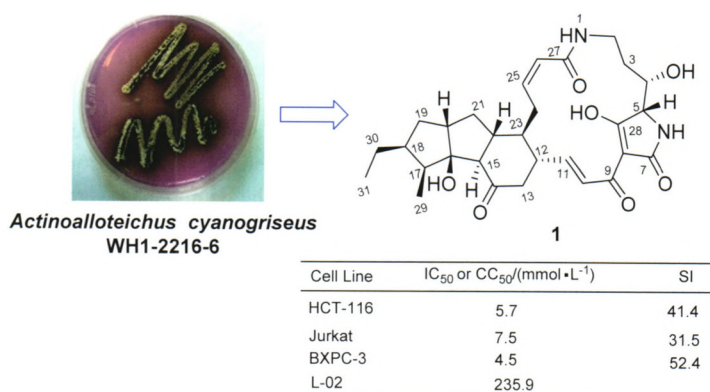
Chin. J. Org. Chem. **2017**, 37(9), 2336

Synthesis and Nematicidal Activity of
Novel 1,3,4-Oxadiazole (Thiadiazole)
Thioether Derivatives Containing Trifluoro-
buten Moieity

Chen, Xuwen; 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

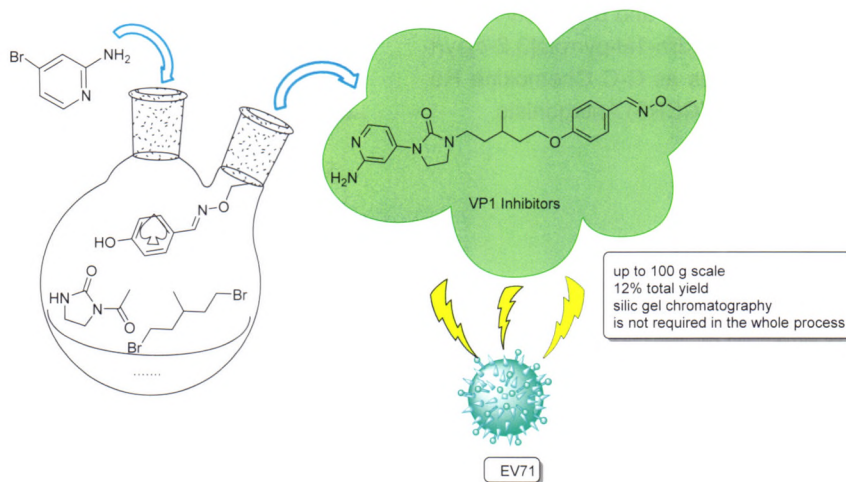
The new 5,5,6-PTMs compound, 16-hydroxymaltophilin (**1**) along with the known dihydromaltophilin (**2**), 4-deoxydihydromaltophilin (**3**), maltophilin (**4**), xanthobaccin C (**5**) and FI-2 (**6**) were obtained from the fermentation broth of the marine-derived *Actinoalloteichus cyanogriseus* 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.

Mei, Xiangui; Wang, Liping; Wang, Dong-
yang; Fan, Jie; Zhu, Weiming*

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

CONTENT

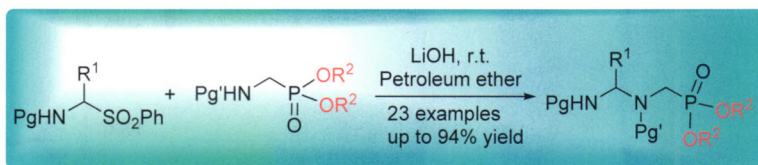
Practical Synthesis of TJAB1099: An Effective Anti EV71 Inhibitor



An practical synthesis of TJAB1099, initiated with 2-amino-4-bromide pyridine is reported. The total synthetic steps are six and its total yield is 12%. The purity of TJAB1099 is more than 99%, and silic gel chromatography is not required in the whole process. This synthetic method has been examined by hectogram level starting feeding for several times, and the total yield and the content of impurities are stable. This method could meet the need of the inhibitor amount for the preclinical study, and it could lay the foundation of further large scale synthesis.

He, Wanli; Zhao, Yangyang; Mao, Yonghong; Zhao, Peipei*; Wang, Ying*; Cai, Yan*
Chin. J. Org. Chem. **2017**, 37(9), 2361

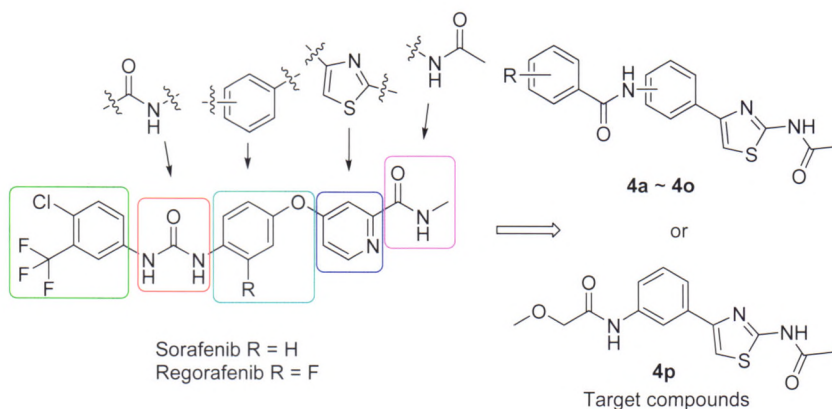
An Access to the *gem*-Diamine Derivatives from α -Carbamatemethylphosphonate



The preparation of *gem*-diamine derivatives of phosphonates from α -carbamatemethylphosphonates is reported. After optimization, a methodology for the synthesis of *gem*-diamine derivatives of α -carbamatemethylphosphonate is provided. The reaction of α -carbamatemethylsulfone with α -carbamatemethylphosphonate using LiOH as a base and commercial petroleum ether as solvent provided *gem*-diamine derivatives in good to excellent yields. This simple protocol runs under very mild conditions, and has a broad substrate scope.

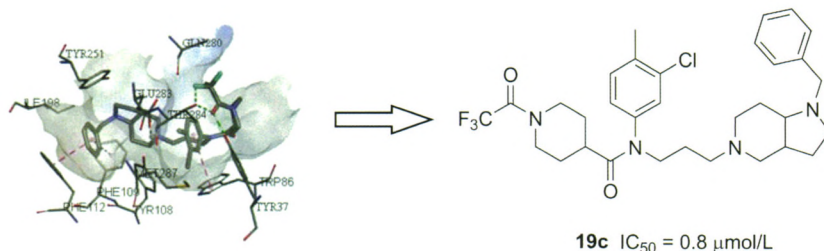
Huang, Xiaoli; Ren, Linjing; Pu, Jiazhi; He, Chunyang; Yao, Qiuli*
Chin. J. Org. Chem. **2017**, 37(9), 2369

Synthesis, Anticancer and Antibacterial Activities of Novel 2-Amino-4-phenylthiazole Derivatives Containing Amide Moiety



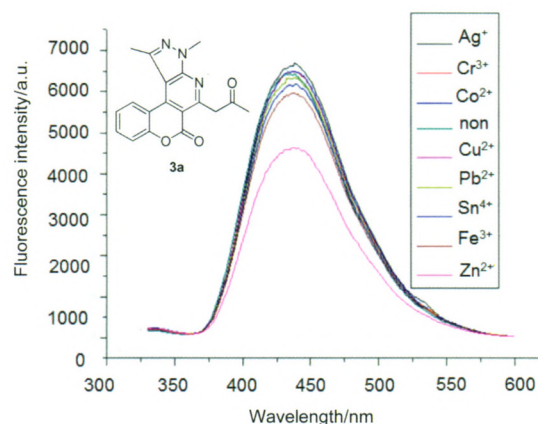
Zhang, Zhihua; Chen, Yu; Chai, Baoshan; Yang, Xiaoman; Cai, Xiaoyu; Cui, Bo; You, Song*
Chin. J. Org. Chem. **2017**, 37(9), 2377

A series of novel 2-amino-4-phenylthiazole derivatives containing amide moiety were designed and synthesized based on the structural features of sorafenib. The structures of synthesized compounds were characterized by ^1H NMR, ^{13}C NMR and HRMS. Both the anticancer and antibacterial activities of all the target compounds were evaluated.

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

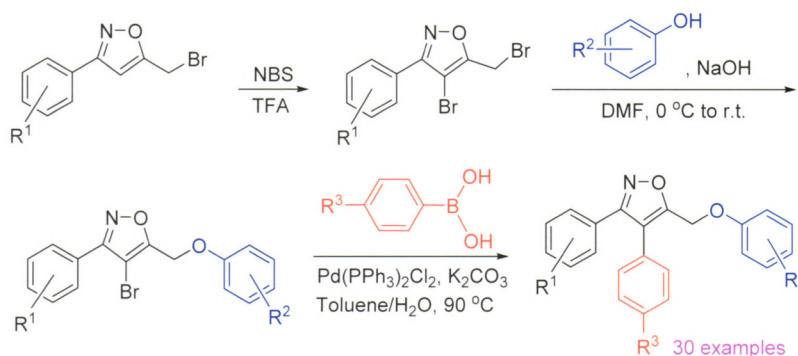
A series of novel octahydro-1*H*-pyrrolo[3,2-*c*]pyridine derivatives were designed and synthesized as C-C chemokine receptor type 5 (CCR5) antagonists, and their biological activity of anti-human immunodeficiency virus type 1 (HIV-1) is evaluated. A majority of these compounds showed anti-HIV-1 activities. 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 Couma-
rino[4,3-*d*]pyrazolo[3,4-*b*]pyridine Deriva-
tives 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-amino-pyrazole 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

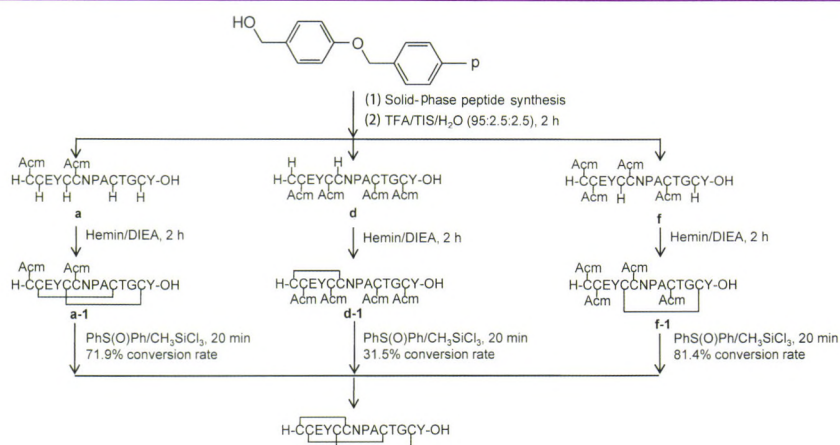
Synthesis of 3,4-Diaryl-5-aryloxymethyl
Isoxazole Derivatives

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

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 $\text{Pd}(\text{PPh}_3)_2\text{Cl}_2$.

NOTES

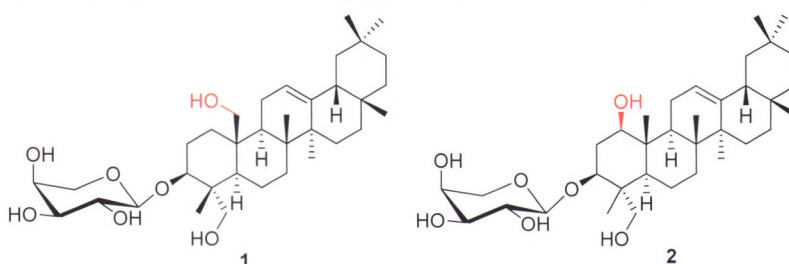
Semiregioselective Formation of Linaclootide with Orthogonal Cysteine Protection Strategy



Ge, Weiwei; Chen, Jing; Zhang, Ye; Zong, Liang; Zhang, Ming; Dong, Junjun*
Chin. J. Org. Chem. **2017**, 37(9), 2409

The precursors of peptides [4 Trt(2,5,10,13)+2 Acn(1,6)], [2 Trt(1,6)+4 Acn(2,5,10,13)] and [2 Trt(5,13)+2 Acn(1,2,6,10)] give linaclootide at the conversion ratios of 71.9%, 31.5%, and 81.4%, respectively.

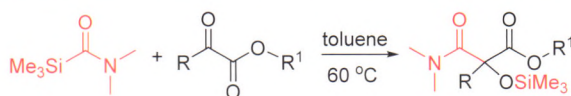
Triterpenoid Glycosides from the Leaves of *Lyonia ovalifolia* var. *hebecarpa* and Their Antitumor Activities



Teng, Yang; Zhang, Hanqi; Zhou, Junfei; Li, Yongji*; Yao, Guangmin*
Chin. J. Org. Chem. **2017**, 37(9), 2416

Three triterpenoid glycosides **1**~**3** were isolated from the leaves of *Lyonia ovalifolia* var. *hebecarpa*. Compound **1** and its aglycone are new compounds, and compounds **2** and **3** were isolated from *Lyonia ovalifolia* var. *hebecarpa* for the first time. This is the first report of the NMR data assignment of compound **2** and its aglycone. Compound **2** showed significant anti-proliferation activities against five cancer cell lines HL-60, MCF-7, SMMC-7221, A-549 and SW480.

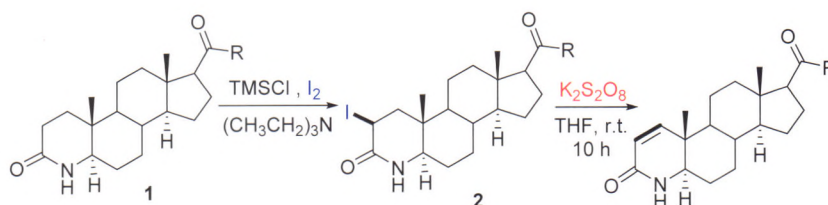
Synthesis of α -Alkoxy carbonyl- α -siloxy amides by the Reaction of a Carbamoylsilane with α -Ketoesters



Li, Weidong; Han, Shenghua; Lui, Yanhong; Chen, Jianxin*
Chin. J. Org. Chem. **2017**, 37(9), 2423

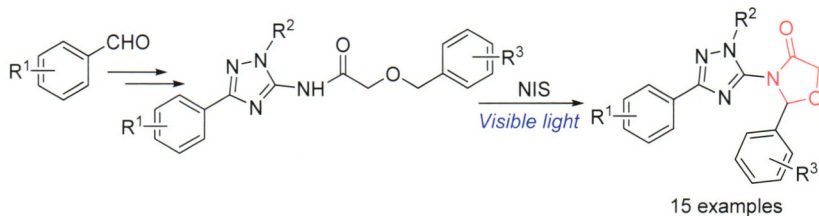
The addition reaction of *N,N*-dimethylcarbamoyl(trimethyl)silane with various α -ketoesters directly affords good yields of α -alkoxy carbonyl- α -siloxy amides derivatives under anhydrous condition in anhydrous toluene at 60 °C. When the alkyl of α -ketoesters was *L*-2-isopropyl-5-methylcyclohexyl, the reactions possess stereo selectivity.

Preparation of Finasteride via Oxidative Elimination of 2-Iododihydrofinasteride by Using Peroxydisulfates as Oxidizing Agents



Mao, Jichuan; Shen, Yuliang; Cao, Chunyu; Shu, Zhijian; Zhen, Liangbin; Xu, Xinhua*
Chin. J. Org. Chem. **2017**, 37(9), 2430

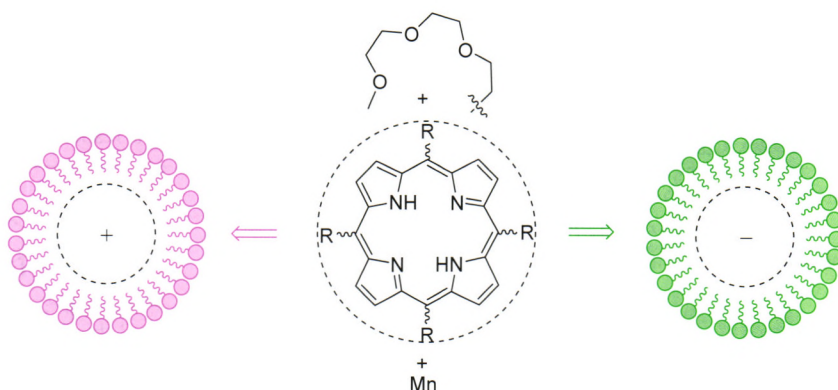
The influence of various bases and oxidizing agents on the oxidation elimination of 2-iododihydrofinasteride was explored, and the results showed that $K_2S_2O_8$ is the best oxidizing agent. The influences of solvents, amount of $K_2S_2O_8$, temperature and reaction time on the oxidation elimination were also investigated, and the optimal conditions were obtained.

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

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

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.

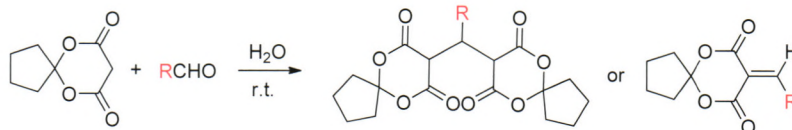
Efficient Synthesis of Anionic and Cationic Water-Soluble Porphyrins



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

Two different ion types of water soluble porphyrins 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.

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



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

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%).

HIGHLIGHTS

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

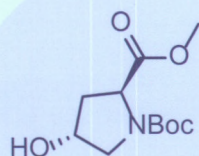
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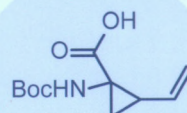
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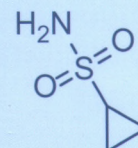
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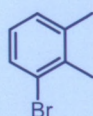
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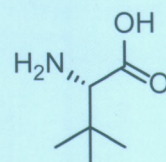
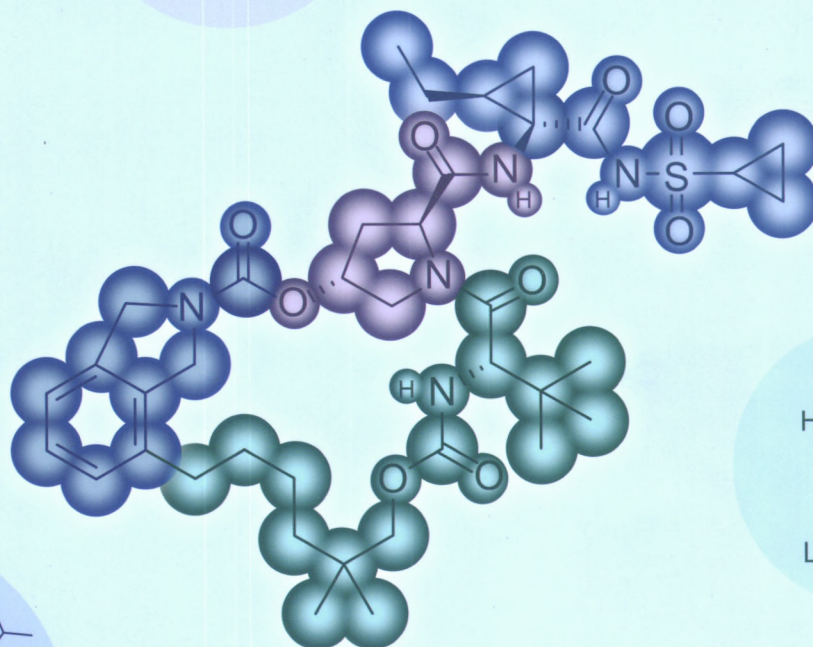
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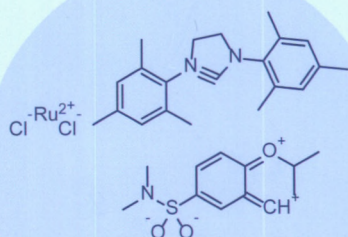
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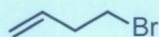
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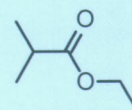
LN00008029



Zhan's catalyst
LN02178327



LN00009061



LN00220499

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