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# Organic & Biomolecular Chemistry

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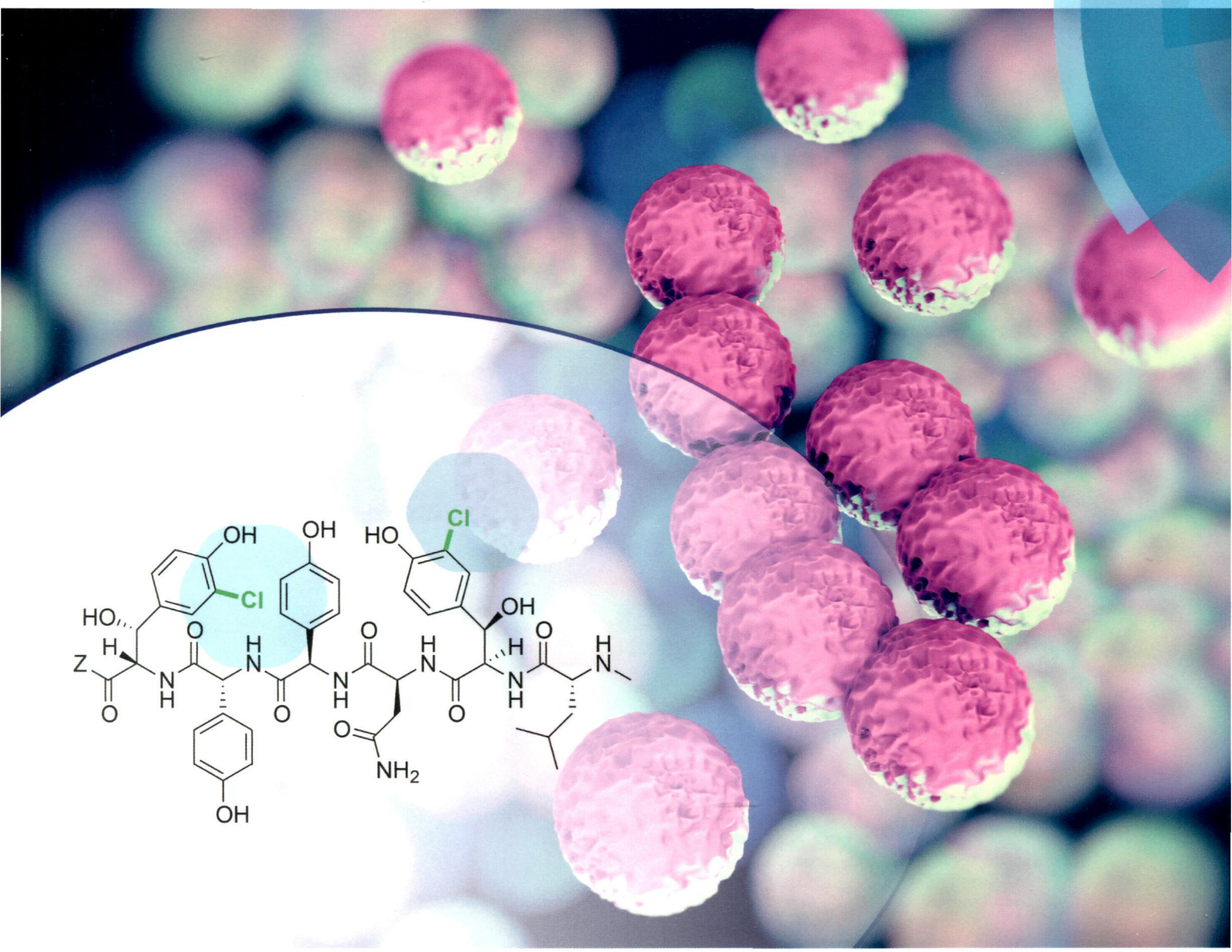


ISSN 1477-0520

ROYAL SOCIETY OF CHEMISTRY

COMMUNICATION

John A. Robinson *et al.*

Bis-chlorination of a hexapeptide–PCP conjugate by the halogenase involved in vancomycin biosynthesis

# Organic & Biomolecular Chemistry

An international journal of synthetic, physical and biomolecular organic chemistry

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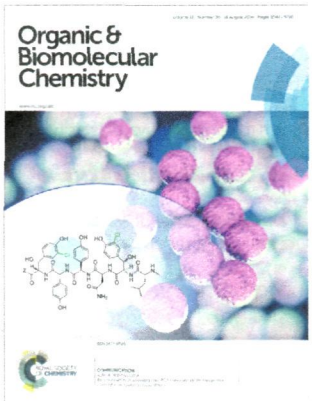
## IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 12(30) 5547–5790 (2014)




**Cover**
See John A. Robinson *et al.*, pp. 5574–5577.

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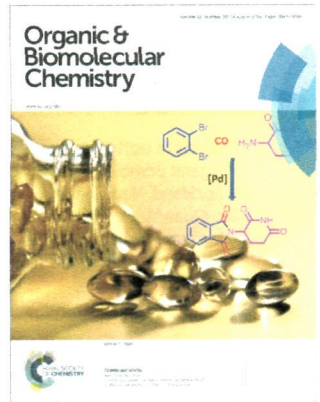


**Inside cover**
See Xiao-Feng Wu *et al.*, pp. 5578–5581.

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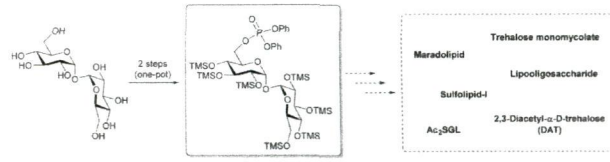
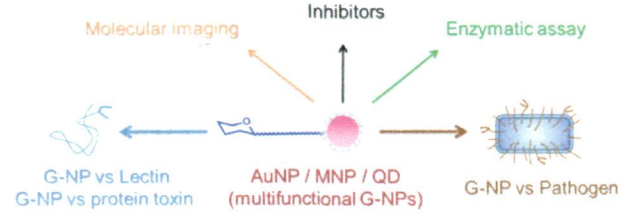
## PERSPECTIVE

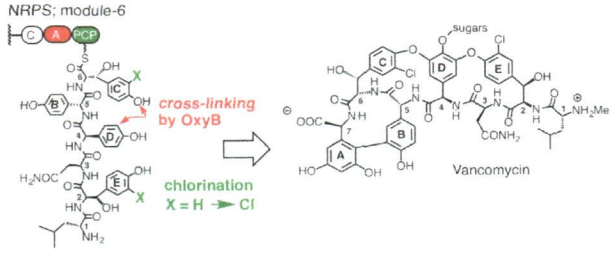




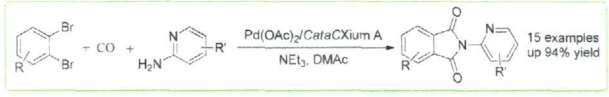

## REVIEW



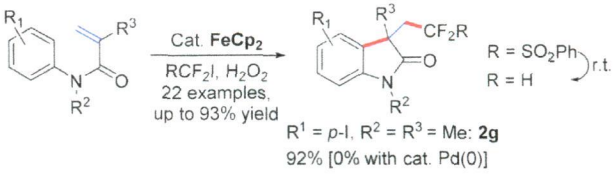




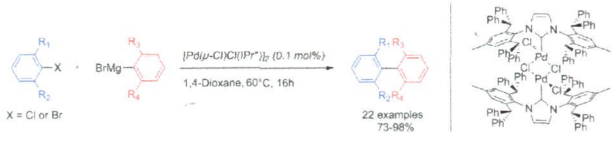


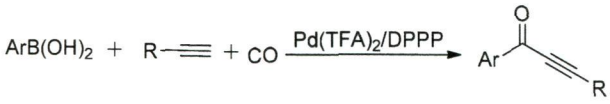







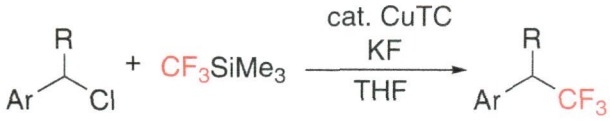



### Palladium-catalyzed oxidative carbonylative coupling of arylboronic acids with terminal alkynes to alkynones

Kishore Natte, Jianbin Chen, Helfried Neumann, Matthias Beller and Xiao-Feng Wu*

The first example of palladium-catalyzed oxidative carbonylation of arylboronic acids with terminal alkynes has been developed. By an appropriate combination of a palladium salt, a ligand, and an oxidant, the desired alkynones were isolated in moderate to good yields.



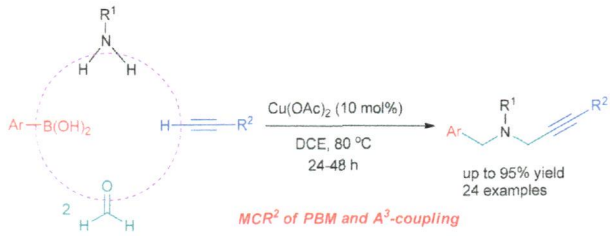



### Copper-catalyzed nucleophilic trifluoromethylation of benzylic chlorides

Yoshihiro Miyake, Shin-ichi Ota, Masashi Shibata, Kazunari Nakajima and Yoshiaki Nishibayashi*

The reactions of primary and secondary benzylic chlorides with trifluoromethyltrimethylsilane in the presence of a catalytic amount of copper(I) thiophene-2-carboxylate (CuTC) have been found to give the corresponding benzylic trifluoromethylated products in good to high yields.





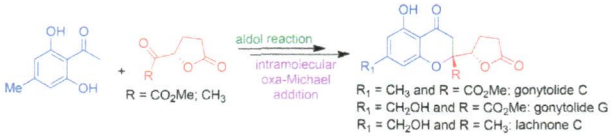

### Synthesis of tertiary propargylamines *via* a rationally designed multicomponent reaction of primary amines, formaldehyde, arylboronic acids and alkynes

Jiayi Wang, Qiaoying Shen, Pinzhen Li, Yanqing Peng and Gonghua Song*

A novel approach for the synthesis of tertiary propargylamines is achieved through a $Cu(OAc)_2$-catalyzed multicomponent reaction of primary amines, formaldehyde, arylboronic acids and alkynes.



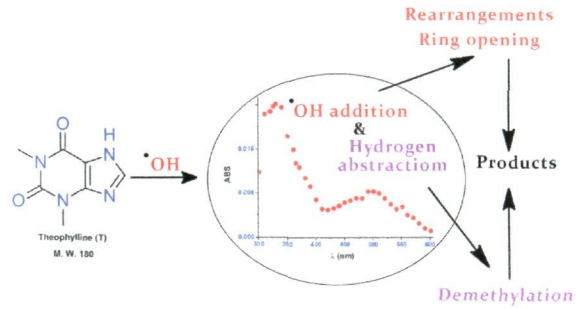




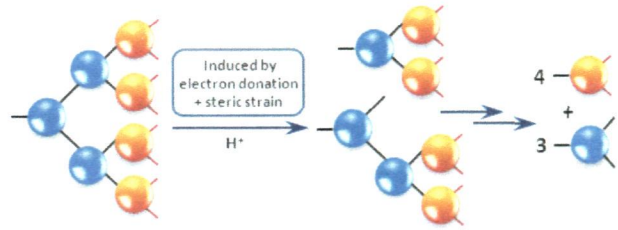

### Total synthesis of gonytolides C and G, lachnone C, and formal synthesis of blennolide C and diversonol

Gangarajula Sudhakar,* Shruthi Bayya, Vilas D. Kadam and Jagadeesh Babu Nanubolu

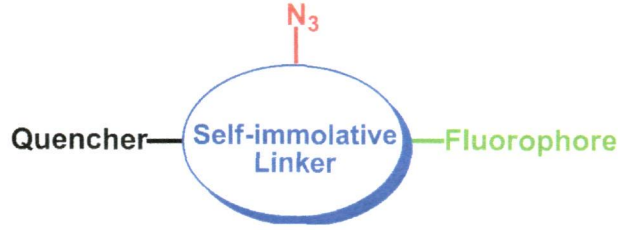
The total syntheses of gonytolide C and related compounds have been accomplished from the aldol reaction between acetophenone derived from orcinol and butyrolactone containing ketone, followed by the diastereoselective intramolecular cyclization.



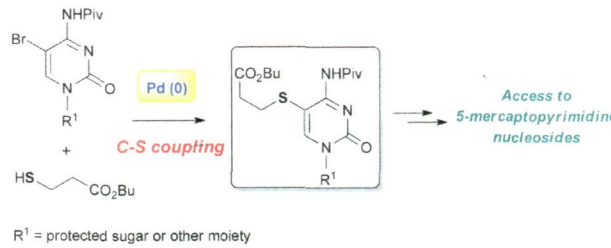




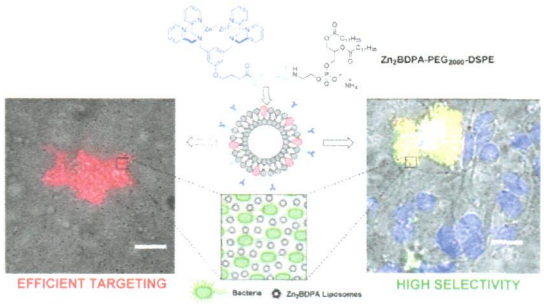






$R^1$ = protected sugar or other moiety

5645

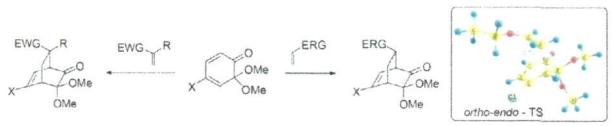



## Selective recognition of anionic cell membranes using targeted liposomes coated with zinc(II)-bis(dipicolylamine) affinity units

Serhan Turkyilmaz, Douglas R. Rice, Rachael Palumbo and Bradley D. Smith*

Liposomes containing phospholipid-PEG conjugates with terminal zinc(II)-bis(dipicolylamine) affinity units selectively target anionic membrane surfaces including the exterior of bacterial and dead/dying mammalian cells.

5656

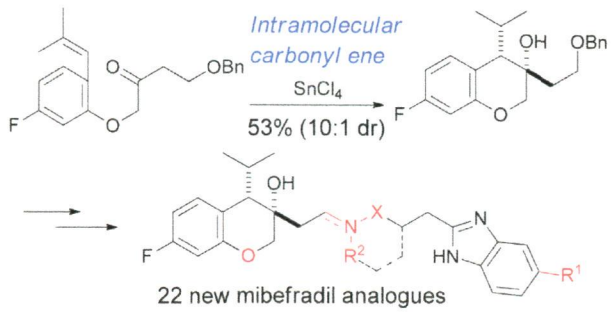



## Diels–Alder reactions of 4-halo masked *o*-benzoquinones. Experimental and theoretical investigations

Seshi Reddy Surasani, Santosh Kumar Reddy Parumala and Rama Krishna Peddinti*

The title reactions afforded densely substituted bicyclo-[2.2.2]octenones in high yields and high selectivities. The transition-state energies and global electronic indexes computed at the B3LYP/6-31G** theory level supported the observed selectivities of the reaction.

5669

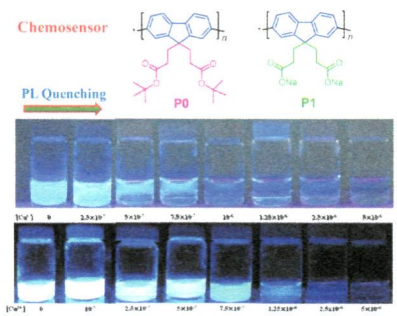



## Efficient synthesis of mibefradil analogues: an insight into *in vitro* stability

Ji Eun Lee, Tae Hui Kwon, Su Jin Gu, Duck-Hyung Lee, B. Moon Kim, Jae Yeol Lee, Jae Kyun Lee, Seon Hee Seo, Ae Nim Pae, Gyochang Keum, Yong Seo Cho* and Sun-Joon Min*

New mibefradil analogues were synthesized by a diastereoselective intramolecular carbonyl–ene reaction as a key transformation. The structural modification of mibefradil significantly reduced CYP inhibition and microsomal degradation.

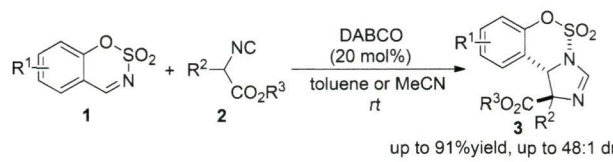
5682



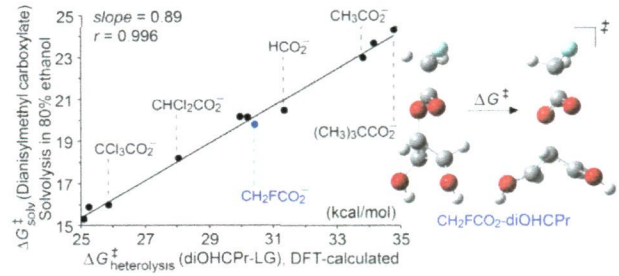

## Synthesis and chemosensory application of water-soluble polyfluorenes containing carboxylated groups

Chia-Shing Wu, Hsiao-Chu Su and Yun Chen*

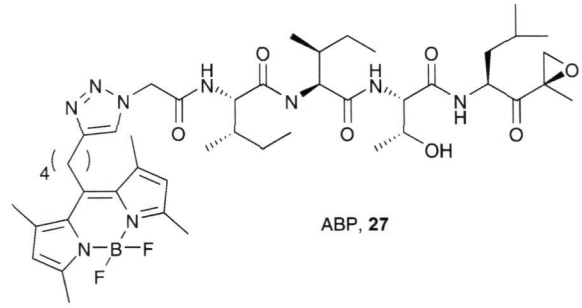
A water-soluble polyfluorene containing carboxylated groups (**P1**), prepared *via* the hydrolysis of poly[9,9′-bis(*tert*-butyl-3′′-propanoate)fluoren-2,7-yl] (**P0**), shows high selectivity and sensitivity toward $Cu^{+}$ and $Cu^{2+}$, with the Stern–Volmer constants ($K_{SV}$) being $3.5 \times 10^{6}$ and $5.78 \times 10^{6}$ $M^{-1}$, respectively.



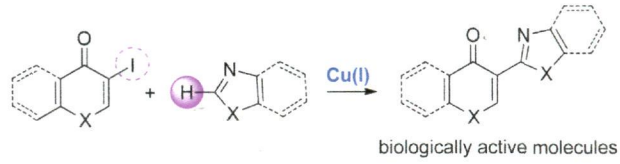


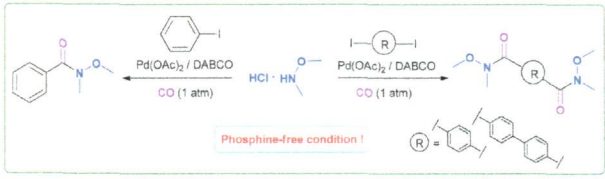







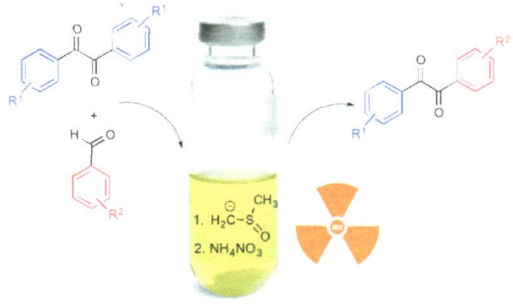



## $Pd(OAc)_2$/DABCO as an efficient and phosphine-free catalytic system for the synthesis of single and double Weinreb amides by the aminocarbonylation of aryl iodides

Sandip T. Gadge and Bhalchandra M. Bhanage*

Single and double Weinreb amides were synthesized using a $Pd(OAc)_2$ catalyst and DABCO as an inexpensive and stable ligand using carbonylation methodology under phosphine-free conditions.



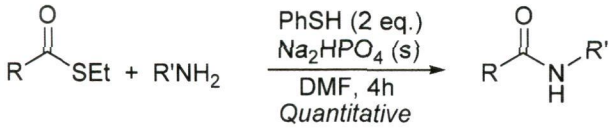



## One-pot, two-step desymmetrization of symmetrical benzils catalyzed by the methylsulfinyl (dimsyl) anion

Daniele Ragno, Olga Bortolini,* Pier Paolo Giovannini, Alessandro Massi,* Salvatore Pacifico and Anna Zaghi

Symmetrical-to-unsymmetrical benzil conversion is realized by a one-pot two-step procedure involving a chemoselective cross-benzoin reaction followed by microwave-assisted oxidation of the benzoylated benzoin intermediate.





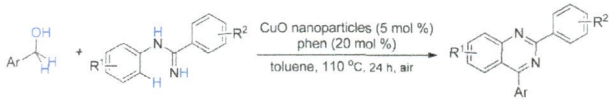

R, R' = alkyl *e.g.* side-chain protected peptide sequence

## Mechanistic insight into benzenethiol catalyzed amide bond formations from thioesters and *primary amines*

Nicolai Stuhr-Hansen, Nicolai Bork* and Kristian Strømgaard

Thiophenol catalysed formations of amides from alkyl thioesters and primary amines in DMF were studied experimentally and theoretically.






## Synthesis of quinazolines *via* CuO nanoparticles catalyzed aerobic oxidative coupling of aromatic alcohols and amidines

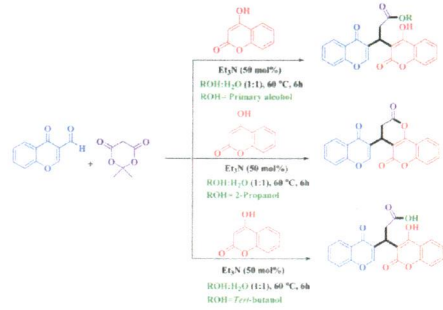
Wu Zhang,* Fei Guo, Fei Wang, Na Zhao, Liang Liu, Jia Li and Zhenghua Wang

Quinazoline derivatives were obtained *via* CuO nanoparticles catalyzed reaction of *N*-arylamidines and aromatic alcohols in air.

## Synthesis of functionalized chromones through sequential reactions in aqueous media

Saber Mehrparvar, Saeed Balalaie,* Mahnaz Rabbanizadeh, Frank Rominger and Elmira Ghabraie

An efficient synthesis protocol has been developed for diversity-oriented functionalized compounds *via* four-component reaction of chromone carbaldehydes, Meldrum's acid, 4-hydroxyl coumarin or 6-methyl-4-hydroxyl-pyrone and primary alcohols in aqueous media.

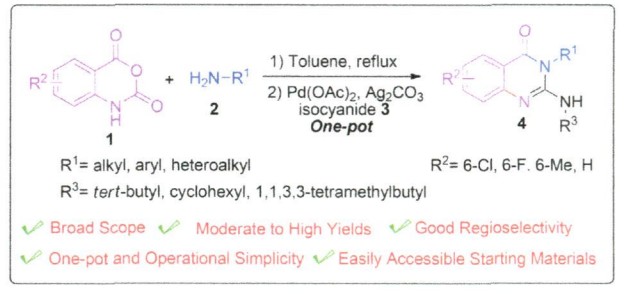




## One-pot synthesis of 2-amino-4(3*H*)-quinazolinones *via* ring-opening of isatoic anhydride and palladium-catalyzed oxidative isocyanide-insertion

Fei Ji, Mei-Fang Lv, Wen-Bin Yi and Chun Cai*

An efficient and practical two-step process has been developed for the synthesis of 2-amino-4(3*H*)-quinazolinones *via* ring-opening of isatoic anhydride and palladium-catalyzed oxidative isocyanide-insertion in one pot.

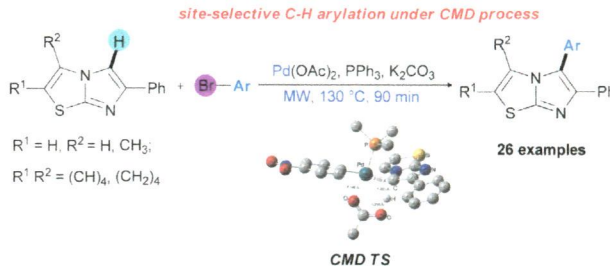





## Palladium-catalyzed microwave-assisted direct arylation of imidazo[2,1-*b*]thiazoles with aryl bromides: synthesis and mechanistic study

Yi-Shuo Zhu, Benyi Shi, Ran Fang, Xiaoxuan Wang and Huanwang Jing*

A palladium-catalyzed regioselective C–H arylation of imidazo[2,1-*b*]thiazoles under microwave irradiation *via* a concerted metalation–deprotonation (CMD) pathway was developed.






## Electrophilicity and nucleophilicity of commonly used aldehydes

Sanjay Pratihar

The present approach for determining the electrophilicity (*E*) and nucleophilicity (*N*) of aldehydes includes a kinetic study of $KMNO_4$ oxidation and $NaBH_4$ reduction of aldehydes.