FR901483: Synthetic Efficiency Remains a Challenge

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The Chemical Syntheses of Nannocystins

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State University of New York, USA
A New Wave of Amide Bond Formations for Peptide Synthesis

**Short Review**

K. Hollanders  
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Belgium  
University of Antwerp, Belgium

**A New Wave of Amide Bond Formations for Peptide Synthesis**

**2261**

Directed ortho-Metalation of Arenesulfonyl Fluorides and Aryl Fluorosulfates

**Feature**

A. Talko  
D. Antoniak  
M. Barbasiewicz*

University of Warsaw, Poland

**Directed ortho-Metalation of Arenesulfonyl Fluorides and Aryl Fluorosulfates**

**2278**

A Graphene Oxide Nanosheet Supported NHC–Palladium Complex as a Highly Efficient and Recyclable Suzuki Coupling Catalyst

**Paper**

Y. Qian  
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S.-Y. Jung  
S. Hwang  
M.-J. Jin*  
S. E. Shim*

Inha University, South Korea

**A Graphene Oxide Nanosheet Supported NHC–Palladium Complex as a Highly Efficient and Recyclable Suzuki Coupling Catalyst**

**2287**
Synthesis of 4-Organoselanyl-1H-pyrazoles: Oxone®-Mediated Electrophilic Cyclization of α,β-Alkynyl Hydrazones by Using Diorganyl Diselenides

Universidade Federal de Pelotas (UFPel), Brazil

Bioinspired Synthesis of the Central Core of Halichonadin H: The Passerini Reaction in a Hypothetical Biosynthesis of Marine Natural Products

Y. Ichikawa*, T. Yamasaki, K. Nakanishi, Y. Udagawa, S. Hosokawa, T. Masuda
Kochi University, Japan

Regioselective Synthesis of 5-(Trifluoromethyl)[1,2,4]triazolo[1,5-a]pyrimidines from β-Enamino Diketones

V. P. Andrade, M. Mittersteiner, H. G. Bonacorso, C. P. Frizzo, M. A. P. Martins, N. Zanatta*
Universidade Federal de Santa Maria, Brazil
A New Method for the Preparation of Bis(alkylamino)maleonitriles from Aliphatic Isocyanides with TMSCN and Bi(OTf)₃

**Synthesis** 2019, 51, 2318–2322
DOI: 10.1055/s-0037-1610865

S. Tafuku
T. Fukuda
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Y. Kitano*
Tokyo University of Agriculture and Technology, Japan

**A New Method for the Preparation of Bis(alkylamino)maleonitriles from Aliphatic Isocyanides with TMSCN and Bi(OTf)₃**

![Chemical reaction diagram]

- **R** = tert-alkyl
- In a single step
- Highly functional-group tolerant
- Simple and mild conditions

13 examples
up to 43% yield

---

Copper-Catalyzed Three-Component Coupling Reaction of Aryl Iodides, a Disilathiane, and Alkyl Benzoates Leading to a One-Pot Synthesis of Alkyl Aryl Sulfides

**Synthesis** 2019, 51, 2323–2330
DOI: 10.1055/s-0037-1610869

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**Copper-Catalyzed Three-Component Coupling Reaction of Aryl Iodides, a Disilathiane, and Alkyl Benzoates Leading to a One-Pot Synthesis of Alkyl Aryl Sulfides**

![Chemical reaction diagram]

- Three-component coupling reaction via a single step
- Utility of a disilathiane as a sulfur source
- Expansion of an alkyl source to an alkyl benzoate

23 examples

---

Trichloroisocyanuric Acid Induced Chlorine Radical Cascade Chlorination/Carbocyclization of Acrylamides: Constructing Chlorinated Oxindoles by C–Cl and C–C Bond-Forming Reactions

**Synthesis** 2019, 51, 2331–2338
DOI: 10.1055/s-0037-1610868

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L. Cao
Y. Shi
Y. Feng
W. Xue
G. Cao
K.-H. Wang
D. Huang
C. Huo
Y. Hu
Northwest Normal University, P. R. of China

**Trichloroisocyanuric Acid Induced Chlorine Radical Cascade Chlorination/Carbocyclization of Acrylamides: Constructing Chlorinated Oxindoles by C–Cl and C–C Bond-Forming Reactions**

![Chemical reaction diagram]

- Chlorine-radical-induced cyclization
- Without metal or additional oxidant
- Efficient C–Cl and C–C bond formation

23 examples
30–80% yield
Highly Efficient, Catalyst-Free, Diastereoselective, Diversity-Oriented Synthesis of Dihydrocoumarin–Pyrrolidine–Spirooxindoles Bearing Three Contiguous Stereocenters

X. Zuo
S. Chen
S.-W. Xu
S.-Q. Chang
X.-L. Liu*
Y. Zhou
W.-C. Yuan
Guizhou University, P. R. of China

15 examples, up to 87% yield and 19:1 dr

18 examples, up to 92% yield and >20:1 dr

Synthesis of 2-Fluoroacetoacetic Acid and 4-Fluoro-3-hydroxybutyric Acid

S. J. Mattingly
F. Wuest*
R. Schirrmacher*
University of Alberta, Canada

7 day half-life at pH 10

ETOHNHF, 70 °C

resists cyclization

Palladium-Catalyzed Decarboxylative [4+2] Cycloaddition of Vinyl Benzoxazinanones with Cyclic N-Sulfimines: Stereoselective Synthesis of Benzosulfamidate-Fused Tetrahydroquinazolines

D. Mun
E. Kim
S.-G. Kim*
Kyonggi University, Republic of Korea
### Vinylation of Carbonyl Oxygen in 4-Hydroxycoumarin: Synthesis of Heteroarylated Vinyl Ethers

**Method:** O-Vinylation of 4-Hydroxycoumarin

**Conditions:** BF₃·OEt₂ (20 mol%), neat, 80 °C, 10 min

<table>
<thead>
<tr>
<th><strong>R</strong></th>
<th><strong>R'</strong></th>
<th><strong>Yield</strong></th>
</tr>
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<tbody>
<tr>
<td>aryl, alkyl, heteroaryl</td>
<td>H</td>
<td>73–86%</td>
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<tr>
<td>Me</td>
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<tr>
<td>Ph</td>
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**Major**

(E/Z = 3:1)

19 examples

### Palladium-Catalyzed C–P Cross-Coupling between (Het)aryl Halides and Secondary Phosphine Oxides

**Method:** Pd-catalyzed cross-coupling

<table>
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<th><strong>R</strong></th>
<th><strong>R'</strong></th>
<th><strong>Yield</strong></th>
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<tbody>
<tr>
<td>alkyl, aryl</td>
<td>X</td>
<td>35–95%</td>
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<td>I</td>
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<tr>
<td>Br</td>
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<tr>
<td>Cl</td>
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<tr>
<td>EWG</td>
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<td>68–98%</td>
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12 examples

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<td>Cl</td>
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<tr>
<td>EWG</td>
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<td>66–98%</td>
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7 examples

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<th><strong>Yield</strong></th>
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<td>Cl</td>
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<tr>
<td>EWG</td>
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<td>68–98%</td>
</tr>
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</table>

9 examples

### Activation of Primary Amines by Copper(I)-Based Lewis Acid Promoters in the Solventless Synthesis of Secondary Propargylamines

**Method A:**

1. CuSO₄ (30 mol%)/NaI (60 mol%), PhCOOH (5 mol%), solventless, N₂, 80 °C

2. MgSO₄, CoCl₂·6H₂O (30 mol%), solventless, N₂, r.t., 0.25 h

3. CuI (30 mol%), solventless, N₂, 40 °C

**Method B:**

1. CuSO₄ (30 mol%)/NaI (60 mol%), PhCOOH (5 mol%), solventless, N₂, 80 °C

2. MgSO₄, CoCl₂·6H₂O (30 mol%), solventless, N₂, r.t., 0.25 h

3. CuI (30 mol%), solventless, N₂, 40 °C

Method A: 9 examples up to 62% yield

Method B: 20 examples up to 85% yield
A Facile and Efficient Approach for the Synthesis of 3-Aryl-4-hydroxy-1,3-thiazolidin-2-ones

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Q. Wang§
H. Luo
Z. Wang
G. Zhang*
Y. Yu*
Zhejiang University,
P. R. of China

One-Pot Three-Component Synthesis of Pyrrolidin-2-ones via a Sequential Wittig/Nucleophilic Addition/Cyclization Reaction

Z.-R. Guan
S. Liu
Z.-M. Liu
M.-W. Ding*
Central China Normal University,
P. R. of China

The Quest for Double Vicinal C–H Bond Activation on the \((\eta^5:\eta^5\text{-Fulvalene})\text{diiridium Platform: Syntheses and Structures of } \text{(} \eta^5:\eta^5\text{-Fulvalene)Ir}_2(\text{ortho-}\mu-\text{C}_6\text{H}_4)(\text{CO})_2 \text{ (Ir–Ir) and Related Complexes}}

J. Baumgartner
R. G. Bergman*
B. Kayser
T. P. Klupinski
Y. K. Park
K. P. C. Vollhardt*
M. J. West
B. Zhu
University of California at Berkeley, USA
Green Access to α-Haloalkyl and α-Halobenzyl Esters, Versatile Intermediates for the One-Pot Two-Step Synthesis of O,O'-Diacyl Acetals Using Zinc-Based Ionic Liquid Catalyst

14 examples up to 92% yield