FR901483: Synthetic Efficiency Remains a Challenge

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The Chemical Syntheses of Nannocystins

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A New Wave of Amide Bond Formations for Peptide Synthesis

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Directed ortho-Metalation of Arenesulfonyl Fluorides and Aryl Fluorosulfates

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

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

**Authors:** G. Perin*, P. C. Nobre, D. H. Mailahn, M. S. Silva, T. Barcellos, R. G. Jacob, E. J. Lenardão, C. Santi, J. A. Roehrs

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**Abstract:** The synthesis of 4-organoselanyl-1H-pyrazoles was achieved via the oxone®-mediated electrophilic cyclization of α,β-alkynyl hydrazones using diorganyl diselenides as coupling agents. The reaction was carried out in ethanol at 70 °C under an open flask condition. The mild reaction conditions, combined with 77Se NMR and HRMS studies, led to good yields with 17 examples. The process is metal- and halogen-free, making it easy to scale-up.

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

**Authors:** Y. Ichikawa*, T. Yamasaki, K. Nakanishi, Y. Udagawa, S. Hosokawa, T. Masuda

**Institution:** Kochi University, Japan

**Abstract:** The bioinspired synthesis of the central core of Halichonadin H was achieved through the Passerini reaction in a hypothetical biosynthesis of marine natural products. The synthesis involved the oxidation of the starting material followed by the Passerini reaction, leading to the formation of the central core. Further hydrolysis resulted in the formation of Halichonadin H.

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

**Authors:** V. P. Andrade, M. Mittersteiner, H. G. Bonacorso, C. P. Frizzo, M. A. P. Martins, N. Zanatta*

**Institution:** Universidade Federal de Santa Maria, Brazil

**Abstract:** The regioselective synthesis of 5-(trifluoromethyl)[1,2,4]triazolo[1,5-a]pyrimidines from β-enamino diketones was achieved with yields ranging from 68–94%. This new starting material for triazolopyrimidine synthesis is characterized by high yielding and easy purification products, providing access to a new pattern of substitution on the pyrimidine ring.
A New Method for the Preparation of Bis(alkylamino)maleonitriles from Aliphatic Isocyanides with TMSCN and Bi(OTf)₃

S. Tafuku, T. Fukuda, K. Chiba, 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

- In a single step
- Highly functional-group tolerant
- Simple and mild conditions

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

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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

- 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

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

Y. Su, L. Cao, Y. Shi, Y. Feng, W. Xue, G. Cao, K.-H. Wang, D. Huang, C. Huo, Y. Hu
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Trichloroisocyanuric Acid Induced Chlorine Radical Cascade Chlorination/Carbocyclization of Acrylamides: Constructing Chlorinated Oxindoles by C–Cl and C–C Bond-Forming Reactions

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

![Chemical Structures and Reactions](image)

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

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

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

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

![Chemical Structures and Reactions](image)

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**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

![Chemical Structures and Reactions](image)
**Vinylation of Carboxyl Oxygen in 4-Hydroxycoumarin: Synthesis of Heteroarylated Vinyl Ethers**

**R. Chatterjee, S. Santra, G. V. Zyryanov, A. Majee**
Visva-Bharati (A Central University), India

**Conditions:** BF$_3$·OEt$_2$ (20 mol%), neat, 80 °C, 10 min

- Major (E/Z = 3:1)
  - R = aryl, alkyl, heteroaryl
  - 19 examples
  - 73–86% yields

**Paper** 2371

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

**G. G. Zakirova, D. Y. Mladentsev, N. E. Borisova**
Lomonosov Moscow State University, Russian Federation

**Pd-catalyzed cross-coupling**

- 12 examples
  - 35–95%
- 7 examples
  - 75–96%
- 9 examples
  - 35–91%
- 8 examples
  - 68–98%

**R = alkyl, aryl; X = I, Br, Cl; R’ = EWG, EDG**

**Paper** 2379

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

**C. Cimarelli, F. Navazio, F. V. Rossi, F. Del Bello, E. Marcantoni**
University of Camerino, Italy

**Method A:** 9 examples up to 62% yield
1. CuSO$_4$ (30 mol%)/NaI (60 mol%), PhCOOH (5 mol%), solventless, N$_2$, 80 °C
2. MgSO$_4$, CeCl$_3$·7H$_2$O (30 mol%), solventless, N$_2$, r.t., 0.25 h

**Method B:** 20 examples up to 85% yield
1. CuI (30 mol%), solventless, N$_2$, 40 °C

**Paper** 2387
A Facile and Efficient Approach for the Synthesis of 3-Aryl-4-hydroxy-1,3-thiazolidin-2-ones

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 (η⁵:η⁵-Fulvalene)diiridium Platform: Syntheses and Structures of (η⁵:η⁵-Fulvalene)Ir₂(ortho-μ-C₆H₄)(CO)₂ (Ir–Ir) and Related Complexes

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

F. Fache*
I. de Azpiazu
B. Pelotier
O. Piva
C. Gozzi
Université Claude Bernard Lyon 1, France

14 examples up to 92% yield

R, R' = alkyl, aryl