New Strategies for Activation of Phosphonates/Phosphates to Forge Functional Phosphorus Compounds

The direct functionalization strategy of inertial phosphate analogue

\[
R^1 = \text{aryl, alkyl, alkoxy}
\]
\[
R^2 = \text{alkyl}
\]

- >90 examples
- up to 94% yield
- late-stage phosphorylation
- synthesis of pharmaceuticals
- flow chemistry

Alkyne-Forming Furan Fragmentation: A General Method to Convert Furans into Alkynoic Acids

- well explored
- 1,4-diketones
- \(\gamma\)-ketoesters
- butenolides
- alkynoic acids
Rapid Formation of Advanced Scaffolds from Phenols and Anilines

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Synthesis of Chlorinated Arenes and Hetarenes by One-Pot Cyclizations of 1,3-Bis-silyl Enol Ethers

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DOI: 10.1055/s-0037-1609660

Transition-Metal-Catalyzed Ketone α-Alkylation and Alkenylation with Simple Alkenes and Alkynes through a Dual Activation Strategy

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Pd-Catalyzed C–H Silylation Reactions with Disilanes

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Solvent-Free Synthesis of α-Amino Ketones from α-Hydroxyl Ketones via A Novel Tandem Reaction Sequence Based on Heyns Rearrangement

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G.-x. Li*  
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An Efficient and Reusable Multifunctional Composite Magnetic Nanocatalyst for Knoevenagel Condensation

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J. Tan  
Y. Liu  
Y. L. Hu*  
China Three Gorges University, P. R. of China
Asymmetric Allylic Amination of Morita–Baylis–Hillman Adducts with Simple Aromatic Amines by Nucleophilic Amine Catalysis

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Z.-L. Chen
X. Rui
M.-M. Gao
X. Chen*
Changzhou University, P. R. of China

R2
OBoc
CO2Me
R2
NH
CO2Me

(20 mol%)

CaF2
p-xylene
72 h
rt
27 examples
up to 88% yield
R1 = R2 = Ph

97% ee

45% yield
90% ee

48% yield
91% ee

Total Synthesis of PF1163B

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S. Hosokawa*
Waseda University, Japan

Preparation of 1,3-Thiazolidine-2-thiones by Using Potassium Ethylxanthate as a Carbon Disulfide Surrogate

Z. Lu
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W. Xiong
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Synthesis of 3H-Pyrrolo[2,3-c]quinoline by Sequential I2-Promoted Cyclization/Staudinger/Aza-Wittig/Dehydroaromatization Reaction

F. Zou, F. Pei, L. Wang, Z. Ren*, X. Cheng, Y. Sun, J. Wu, P. He*
Hubei University of Arts and Science, P. R. of China

1) I2, K2CO3, DCE, 80 °C
2) PPh3, toluene, reflux

17 examples
62–81% overall yield

R1, R3 = Ar
R2 = Me, Et

Ruthenium-Promoted Acceptorless and Oxidant-Free Lactone Synthesis in Aqueous Medium

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National Institute of Technology Kurukshetra, India

(CH2)n

3CH2OH

where L = 2Br–; n = 1 (or) L = 2Br–; n = 2

9 examples
up to 97% yield

Copper-Catalyzed Acetylation of Electron-Rich Phenols and Anilines

J. Zhang, Q. Ke, F. Tian, B. Jiang, C.-A. Ji, L. Zhang, J. Yu*, D. Huang*, G. Yan*
Lishui University, P. R. of China

Cu(OAc)2 H2O (0.2 equiv)

MeCN, 80 °C, ar, 8 h

Yields: 50–93%
17 examples
Synthesis of Flavone Derivatives through Versatile Palladium-Catalyzed Cross-Coupling Reactions of Tosyloxy- and Mesyloxyflavones

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X. Chen
Z. Chen
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**Abstract**

The synthesis of flavone derivatives has been achieved through versatile palladium-catalyzed cross-coupling reactions of toslyloxy- and mesyloxyflavones. This method provides a straightforward approach to a variety of flavone derivatives with high yields and excellent chemoselectivity. The reactions proceed under mild conditions and are compatible with a wide range of functional groups.

**Scheme**

![Chemical structure](image)

**Chemical Reactions**

- **Pd(OAc)2/CM-Phos**

**Yield**

- 29 examples up to 99% yield

DOI: 10.1055/s-0037-1611742

Asymmetric α-Amination Reaction of Alkenoate Cyclic Esters Catalyzed by Chiral Tin Alkoxides

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**Abstract**

An asymmetric α-amination reaction of alkenoate cyclic esters catalyzed by chiral tin alkoxides has been developed. The method allows for the efficient and enantioselective introduction of amines into alkenoate cyclic esters. The reaction yields good to excellent enantiomeric excesses and high conversion rates.

**Scheme**

![Chemical structure](image)

**Chemical Reactions**

- **Chiral tin dibromide (5 mol%), NaOR2 (10 mol%), R2OH (12 equiv)**

**Yield**

- up to 91% ee

- 15 examples

DOI: 10.1055/s-0037-1612278

Synthesis of 2,5-Disubstituted Oxazoles from Arylacetylenes and α-Amino Acids through an I2/Cu(NO3)2•3H2O-Assisted Domino Sequence

J. Wang
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J. Xiang
A. Wu*
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**Abstract**

The synthesis of 2,5-disubstituted oxazoles has been achieved through a novel domino sequence involving the reaction of arylacetylenes and α-amino acids in the presence of I2/Cu(NO3)2•3H2O. The method provides a straightforward entry to a wide range of 2,5-disubstituted oxazoles with good yield and high selectivity.

**Scheme**

![Chemical structure](image)

**Chemical Reactions**

- **Cu(NO3)2•3H2O**

**Yield**

- 20 examples

- 66–83% yield

- natural α-amino acids

DOI: 10.1055/s-0037-1612087
A New Formal Synthetic Route to Entecavir

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Taiyuan University of Technology, P. R. of China

Sharpless epoxidation
Reductive epoxide opening

Entecavir