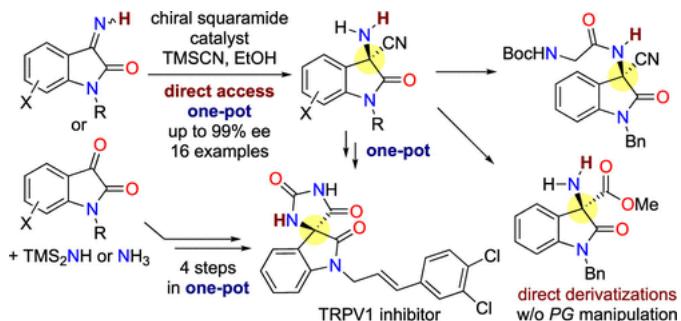


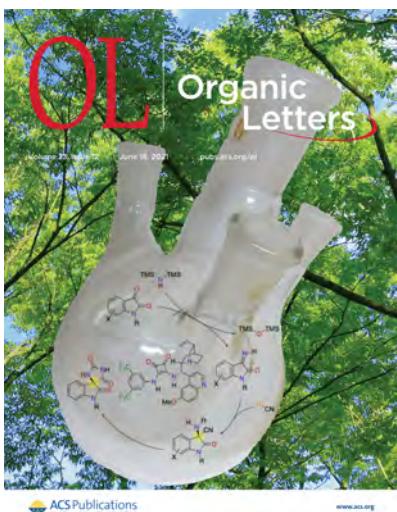
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148) Catalytic Enantioselective Strecker Reaction of Isatin-Derived N-Unsubstituted Ketimines. T. Kadota, M. Sawa, Y. Kondo, H. Morimoto, T. Ohshima, *Org. Lett.*, **23**, 4553–4558 (2021).

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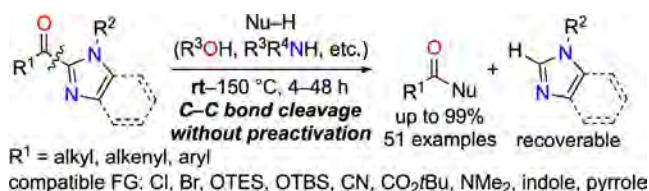


Highlighted as Cover Picture



147) C–C Bond Cleavage of Unactivated 2-Acylimidazoles. H.-L. Xin, B. Pang, J. Choi, W. Akkad, H. Morimoto, T. Ohshima, *J. Org. Chem.*, **85**, 11592–11606 (2020).

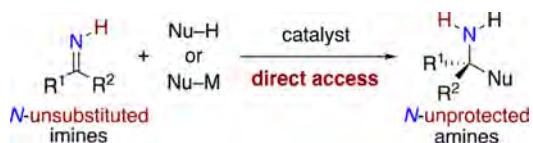
<https://dx.doi.org/10.1021/acs.joc.0c01458>



146) Recent Progress on Catalytic Addition Reactions to N-Unsubstituted Imines. K.

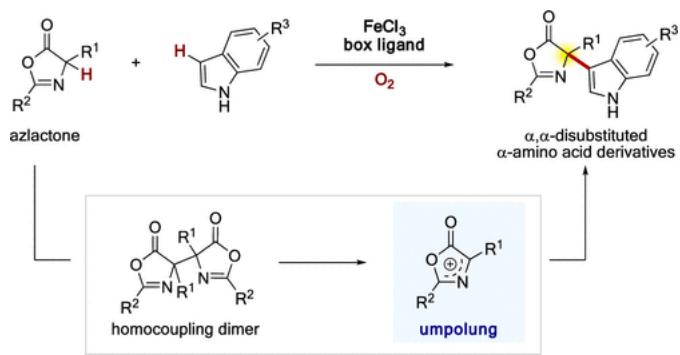
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145) Catalytic Aerobic Cross-Dehydrogenative Coupling of Azlactones en Route to α,α -Disubstituted α -Amino Acids. T. Tsuji, T. Tanaka, T. Tanaka, R. Yazaki, T. Ohshima, *Org. Lett.*, **22**, 4164–4170 (2020)

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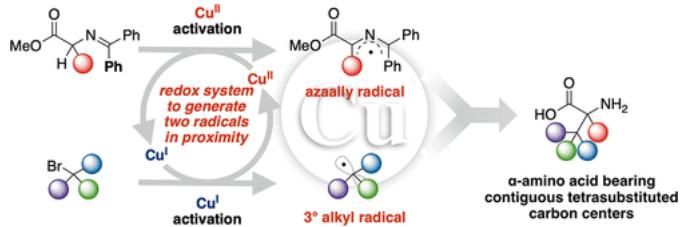


144) Amino Acid Schiff Base Bearing Benzophenone Imine As a Platform for Highly Congested Unnatural α -Amino Acid Synthesis. Y. Matsumoto, J. Sawamura, Y. Murata, T. Nishikata, R. Yazaki, T. Ohshima, *J. Am. Chem. Soc.*, **142**, 8498–8505 (2020).

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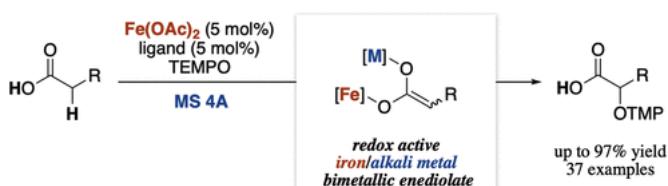
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142) Chemoselective Catalytic α -Oxidation of Carboxylic Acids: Iron/Alkali Metal Cooperative Redox Active Catalysis. T. Tanaka, R. Yazaki, T. Ohshima, *J. Am. Chem. Soc.*, **142**, 4517–4524 (2020).

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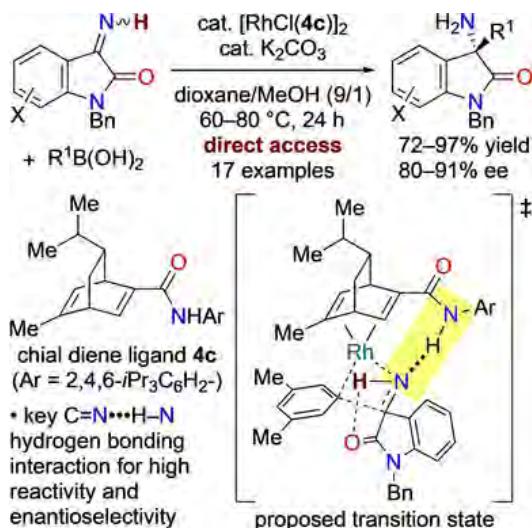
- Direct α -functionalization of carboxylic acids via radical process
- Enolization without stoichiometric Brønsted base reagent
- Bimetallic cooperative catalysis with alkali metal in molecular sieves

141) Rhodium(I)/Chiral Diene-Catalyzed Enantioselective Addition of Boronic Acids to N-Unsubstituted Isatin-Derived Ketimines. R. Yonesaki, I. Kusagawa, H. Morimoto, T. Hayashi, T. Ohshima, *Chem. Asian. J.*, **15**, 499–502 (2020).

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(https://www.chemistryviews.org/details/ezine/11214635/Addition_of_Boronic_Acids_to_NUnsubstituted_Ketimines.html)



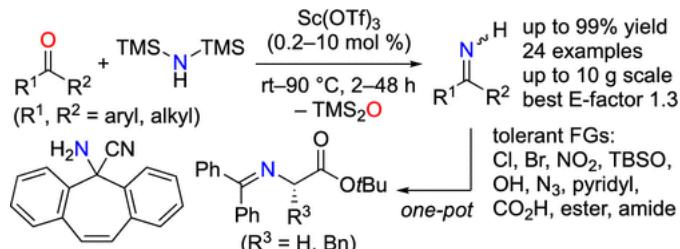
140) Scandium(III) Triflate Catalyzed Direct Synthesis of *N*-Unprotected Ketimines.

Y. Kondo, T. Kadota, Y. Hirazawa, K. Morisaki, H. Morimoto, T. Ohshima, *Org. Lett.*, **22**, 120–125 (2020).

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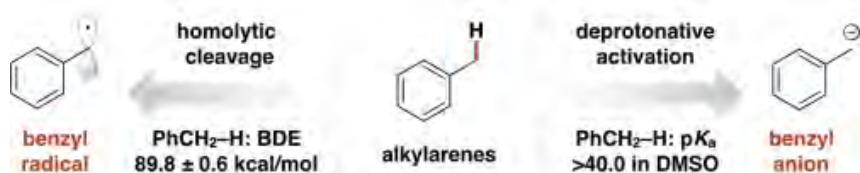


139) **Identification of candidate molecular targets of the novel antineoplastic antimitotic NP-10.** T. Yokoyama, M. Yukihiko, Y. Iwasaki, C. Tanaka, K. Sankoda, R. Fujiwara, A. Shibuta, T. Higashi, K. Motoyama, H. Arima, K. Yoshida, N. Sugimoto, H. Morimoto, H. Kosako, T. Ohshima, M. Fujita, *Sci. Rep.*, **9**, 16825–16837 (2019).

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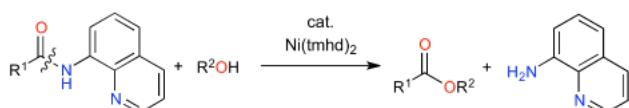
138) **Recent strategic advances for the activation of benzylic C–H bonds for the formation of C–C bonds.** R. Yazaki, T. Ohshima, *Tetrahedron Lett.*, **60**, 151225–151236 (2020).

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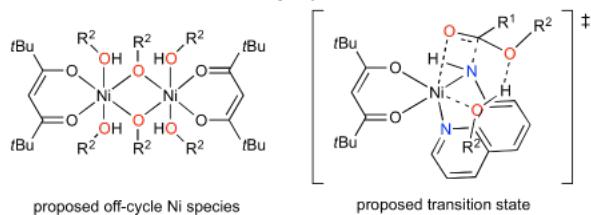


137) **Mechanistic Studies of Nickel(II)-Catalyzed Direct Alcoholysis of 8-Aminoquinoline Amides.** H. Morimoto, W. Akkad, T. Deguchi, T. Ohshima, *Heterocycles*, **101**, 471–485 (2020).

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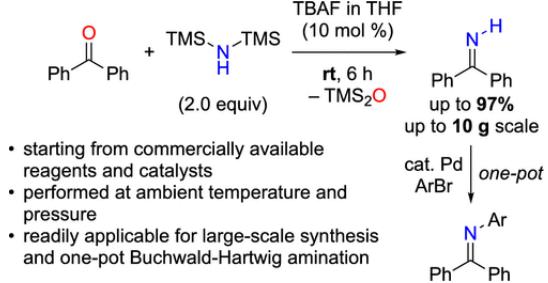


revised reaction mechanism by experiments and DFT calculations



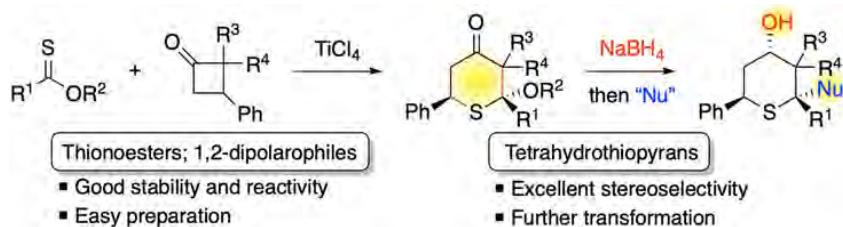
136) A Convenient Preparation Method for Benzophenone Imine Catalyzed by Tetrabutylammonium Fluoride. Y. Kondo, K. Morisaki, Y. Hirazawa, H. Morimoto, T. Ohshima, *Org. Process Res. Dev.*, **23**, 1718–1724 (2019).

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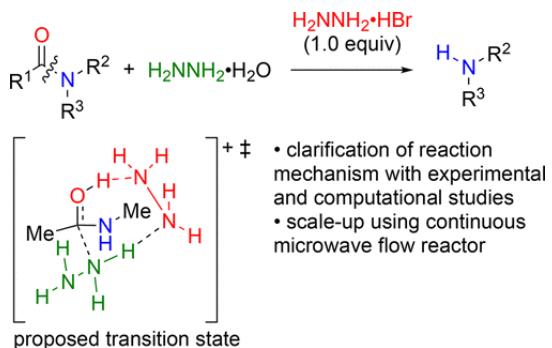
135) Thionoesters as 1,2-Dipolarophiles for [4+2] Cycloaddition with Cyclobutanones. Y. Matsumoto, T. Tsuji, D. Nakatake, R. Yazaki, T. Ohshima, *Asian J. Org. Chem.*, **8**, 1071–1074 (2019).

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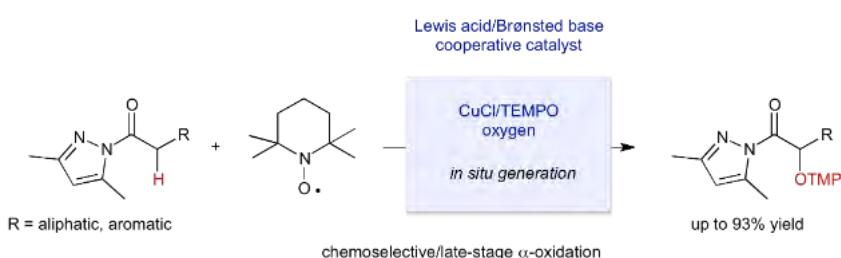
134) Ammonium Salt-Accelerated Hydrazinolysis of Unactivated Amides: Mechanistic Investigation and Application to a Microwave Flow Process. M. Noshita, Y. Shimizu, H. Morimoto, S. Akai, Y. Hamashima, N. Ohneda, H. Odajima, T. Ohshima, *Org. Process Res. Dev.*, **23**, 588–594 (2019).

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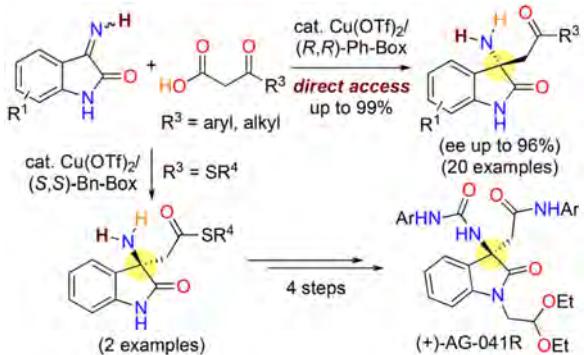
133) MECHANISTIC INSIGHT INTO CATALYTIC AEROBIC CHEMOSELECTIVE α -OXIDATION OF ACYLPYRAZOLES. S. Taninokuchi, R. Yazaki, T. Ohshima, *Heterocycles*, **99**, 906–918 (2019).

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132) Catalytic Enantioselective Decarboxylative Mannich-Type Reaction of N-Unprotected Isatin-Derived Ketimines. M. Sawa, S. Miyazaki, R. Yonesaki, H. Morimoto, T. Ohshima, *Org. Lett.*, **20**, 5393–5397 (2018).

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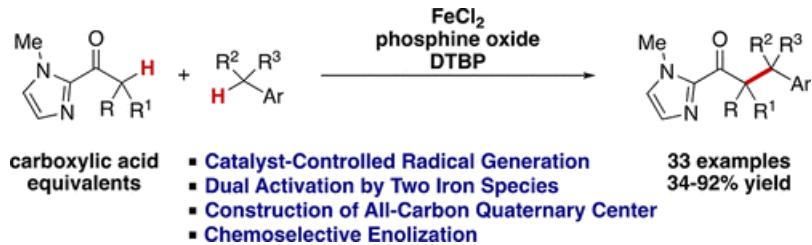
131) 3-Mono-Substituted BINOL Phosphoric Acids as Effective Organocatalysts in Direct Enantioselective Friedel-Crafts-Type Alkylation of N-Unprotected α -

Ketiminoester. R. Yonesaki, Y. Kondo, W. Akkad, M. Sawa, K. Morisaki, H. Morimoto, T. Ohshima, *Chem. Eur. J.*, **24**, 15211–15214 (2018).

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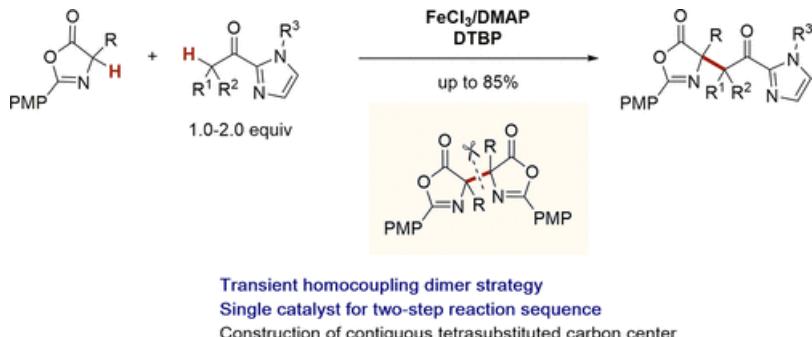


130) Chemosselective Catalytic Dehydrogenative Cross-Coupling of 2-Acylimidazoles: Mechanistic Investigations and Synthetic Scope. T. Tanaka, K. Hashiguchi, T. Tanaka, R. Yazaki, T. Ohshima, *ACS Catal.*, **8**, 8430–8440 (2018).
<https://pubs.acs.org/doi/abs/10.1021/acscatal.8b02361>



129) Strategy for Catalytic Chemosselective Cross-Enolate Coupling Reaction via a Transient Homocoupling Dimer. T. Tanaka, T. Tanaka, T. Tsuji, R. Yazaki, T. Ohshima, *Org. Lett.*, **20**, 3541–3544 (2018).

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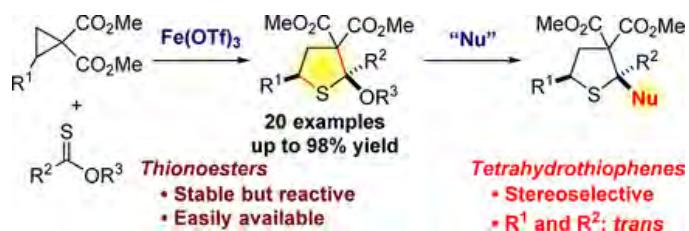
128) Growth suppression of human colorectal cancer cells with mutated KRAS by 3-deaza-cytarabine in 3d floating culture. H. Luo, K. Nishi, S. Ishikura, A. Swain, N. Morishige, R. Yazaki, T. Ohshima, S. Shirasawa, T. Tsunoda, *Anticancer research*, **38**,

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127) **An Expeditious Route to trans-Configured Tetrahydrothiophenes Enabled by Fe(OTf)₃-Catalyzed [3+2] Cycloaddition of Donor-Acceptor Cyclopropanes with Thionoesters.** Y. Matsumoto, D. Nakatake, R. Yazaki, T. Ohshima, *Chem. Eur. J.*, **24**, 6062–6066 (2018).

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126) **Bioconjugation with Thiols by Benzylic Substitution.** K. Watanabe, T. Ohshima, *Chem. Eur. J.*, **24**, 3959–3964 (2018).

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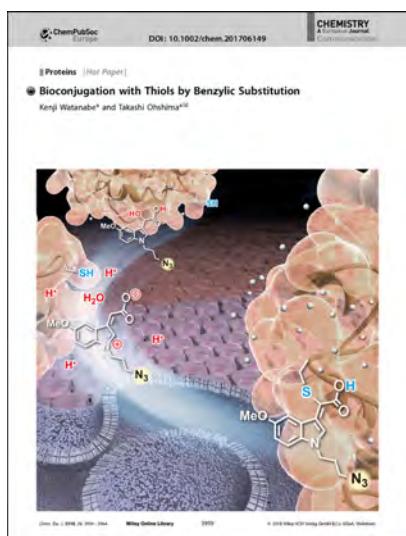
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Benzylic substitution of alcohol



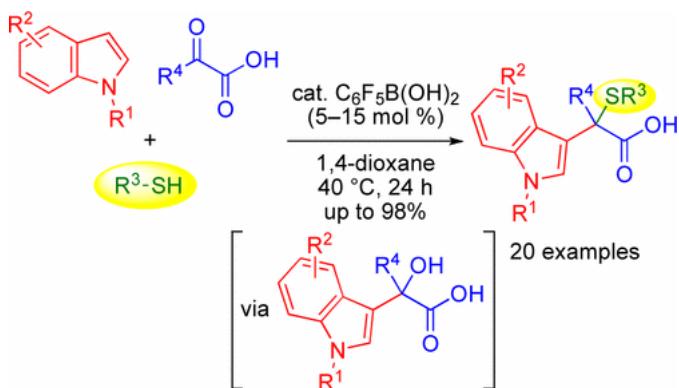


125) Development of Direct Enantioselective Alkynylation of α -Ketoester and α -Ketiminoesters Catalyzed by Phenylbis(oxazoline)Rh(III) Complexes. K. Morisaki, H. Morimoto, K. Mashima, T. Ohshima, *Journal of Synthetic Organic Chemistry, Japan*, **76**, 226–240 (2018).

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124) Boronic Acid Accelerated Three-Component Reaction for the Synthesis of α -Sulfanyl-Substituted Indole-3-acetic Acids. A. Das, K. Watanabe, H. Morimoto, T. Ohshima, *Org. Lett.*, **19**, 5794–5797 (2017).

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123) Direct Access to N-Unprotected α - and/or β -Tetrasubstituted Amino Acid Esters via Direct Catalytic Mannich-Type Reactions Using N-Unprotected Trifluoromethyl Ketimines. M. Sawa, K. Morisaki, Y. Kondo, H. Morimoto, T. Ohshima,

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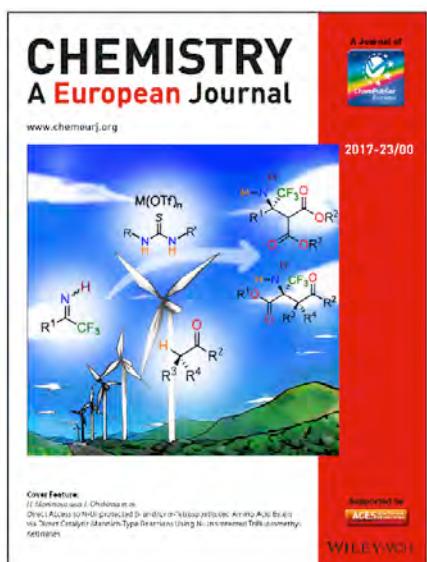
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122) **Synthesis of 1-Tetrasubstituted 2,2,2-Trifluoroethylamine Derivatives via Palladium-Catalyzed Allylation of sp^3 C–H Bonds.** K. Morisaki, Y. Kondo, M. Sawa, H. Morimoto, T. Ohshima, *Chem. Pharm. Bull.*, **65**, 1089–1092 (2017).

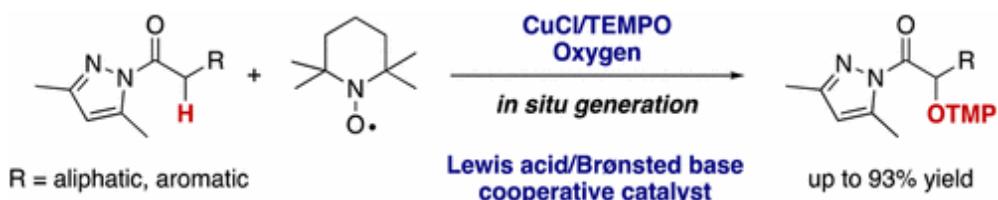
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121) Catalytic Aerobic Chemoselective α -Oxidation of Acylpyrazoles en Route to α -Hydroxy Acid Derivatives. S. Taninokuchi, R. Yazaki, T. Ohshima, *Org. Lett.*, **19**, 3187–3190 (2017).

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120) Direct access to *N*-unprotected tetrasubstituted propargylamines via direct catalytic alkynylation of *N*-unprotected trifluoromethyl ketimines. K. Morisaki, H. Morimoto, T. Ohshima, *Chem. Commun.*, **53**, 6319–6322 (2017).

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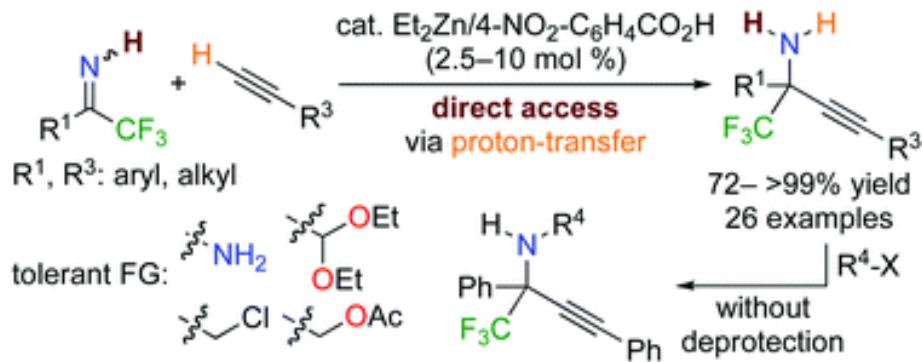
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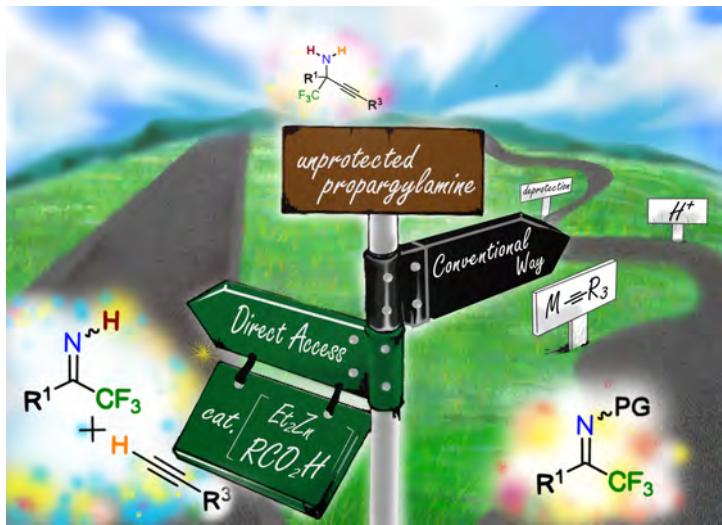
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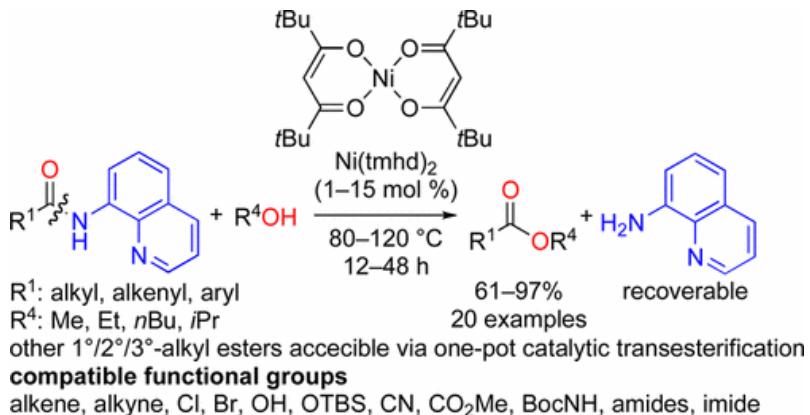




119) Direct Catalytic Alcoholytic of Unactivated 8-Aminoquinoline Amides. T.

Deguchi, H.-L. Xin, H. Morimoto, T. Ohshima, *ACS Catal.*, **7**, 3157–3161 (2017).

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118) DIRECT ENANTIOSELECTIVE ALKYNYLATION OF α -KETOESTERS

AND α -KETIMINOESTERS CATALYZED BY

[BIS(OXAZOLINE)PHENYL]RHODIUM(III) COMPLEXES. K. Morisaki, H.

Morimoto, K. Mashima, T. Ohshima, *Heterocycles*, **95**, 637–661 (2017).

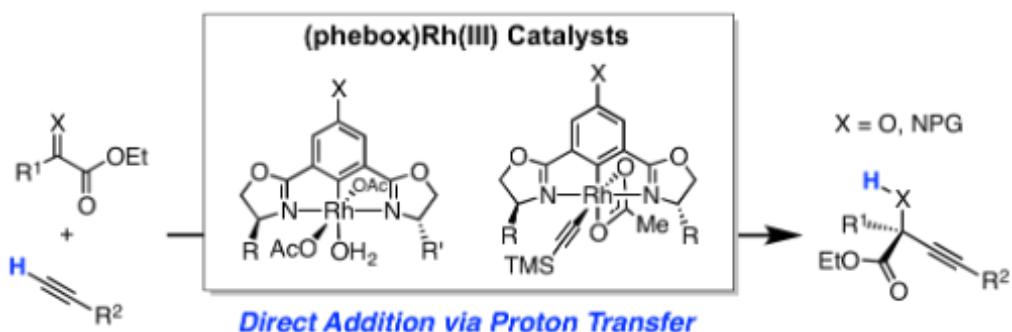
DOI: 10.3987/REV-16-SR(S)4

PREFACE TO HETEROCYCLES ISSUE

HONORING THE 70TH BIRTHDAY OF PROFESSOR MASAKATSU

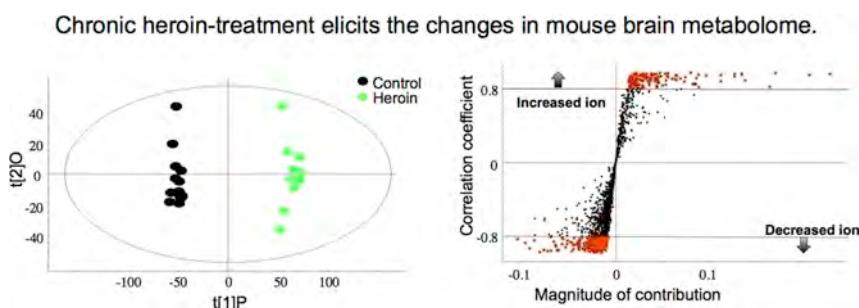
SHIBASAKI. T. Ohshima, *Heterocycles*, **95**, 3–6 (2017).

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117) Metabolomic profiling of brain tissues of mice chronically exposed to heroin. R. Li, T. Takeda, T. Ohshima, H. Yamada, Y. Ishii, *Drug Metab. Pharmacokinet.*, **32**, 108–111 (2017).

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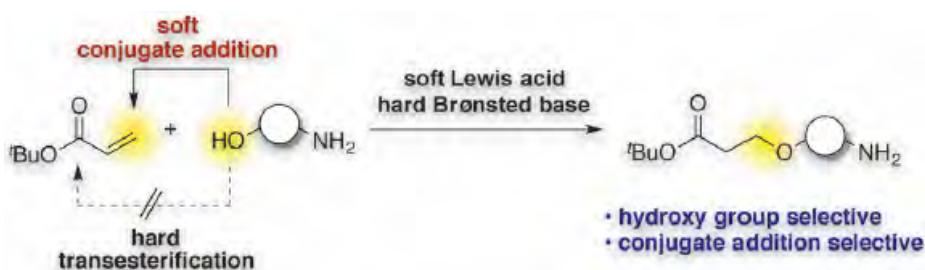


116) Catalytic Chemosselective Conjugate Addition of Amino Alcohols to α,β -Unsaturated Ester: Hydroxy Group over Amino Group and Conjugate Addition over Transesterification. Z. Li, M. Tamura, R. Yazaki, T. Ohshima, *Chem. Pharm. Bull.*, **65**, 19–21 (2017).

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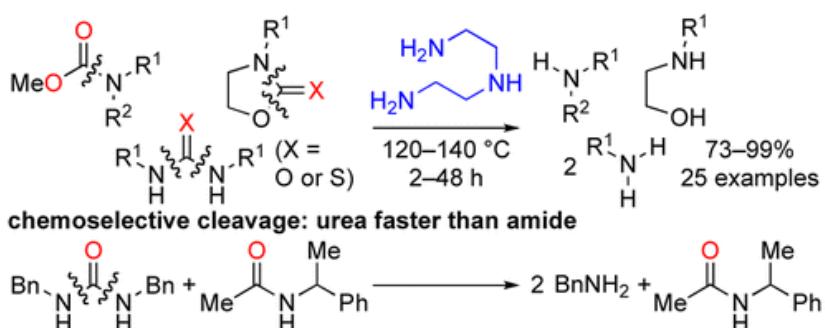


115) Diethylenetriamine-Mediated Direct Cleavage of Unactivated Carbamates and Ureas. M. Noshita, Y. Shimizu, H. Morimoto, T. Ohshima, *Org. Lett.*, **18**, 6062–6065 (2016).

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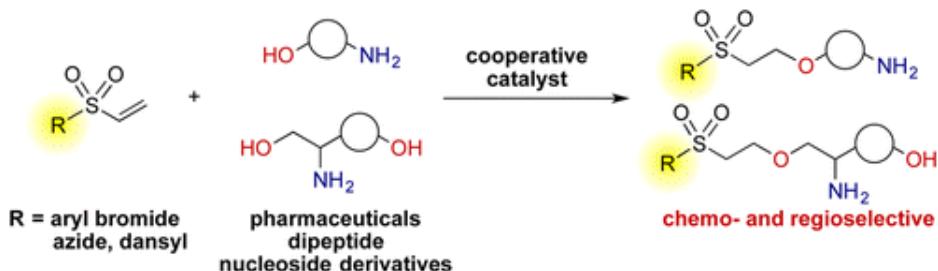
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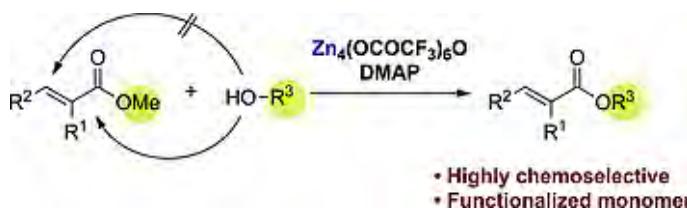
114) Chemo- and Regioselective Direct Functional Group Installation through Catalytic Hydroxy Group Selective Conjugate Addition of Amino Alcohols to α,β -Unsaturated Sulfonyl Compounds. Z. Li, R. Yazaki, T. Ohshima, *Org. Lett.*, **18**, 3350–3353 (2016).

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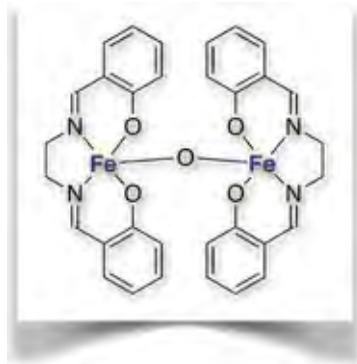
113) Chemoselective Transesterification of Acrylate Derivatives for Functionalized Monomer Synthesis Using a Hard Zinc Alkoxide Generation Strategy. D. Nakatake, R. Yazaki, T. Ohshima, *Eur. J. Org. Chem.*, 3696–3699 (2016).

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112) **μ -Oxo-Dinuclear-Iron(III)-Catalyzed O-Selective Acylation of Aliphatic and Aromatic Amino Alcohols and Transesterification of Tertiary Alcohols.** R. Horikawa, C. Fujimoto, R. Yazaki, T. Ohshima, *Chem. Eur. J.*, **22**, 12278–12281 (2016).
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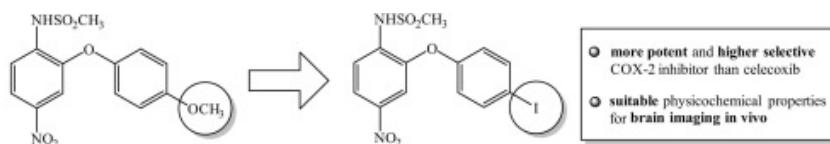
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<https://www.kyushu-u.ac.jp/ja/researches/view/27>



111) **Isomeric iodinated analogs of nimesulide: synthesis, physicochemical characterization, cyclooxygenase-2 inhibitory activity, and transport across Caco-2 cells.** Y. Yamamoto, J. Arai, T. Hisa, Y. Saito, T. Mukai, T. Ohshima, M. Maeda, F. Yamamoto, *Bioorg. Med. Chem.*, **24**, 3727–3733 (2016).

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potential candidate for COX-2 PET imaging agent
 (as reported BMC 23, 6807-6814, 2015)

an attractive candidate for the development of
 radioiodine-labeled tracers for COX-2 imaging

110) **Mechanistic Studies and Expansion of the Substrate Scope of Direct Enantioselective Alkynylation of α -Ketiminoesters Catalyzed by Adaptable (Phebox)Rh(III) Complexes.** K. Morisaki, M. Sawa, R. Yonesaki, H. Morimoto, K.

Mashima, T. Ohshima, *J. Am. Chem. Soc.*, **138**, 6194–6203 (2016).

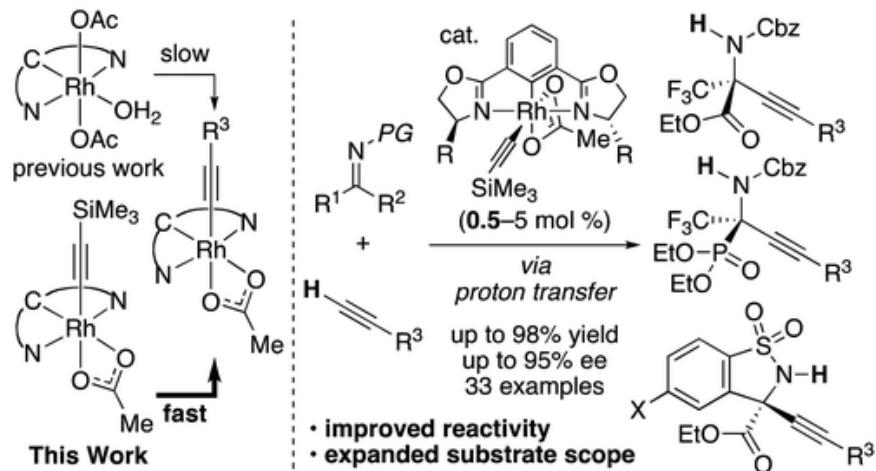
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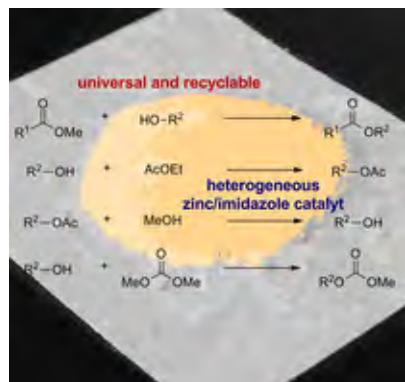
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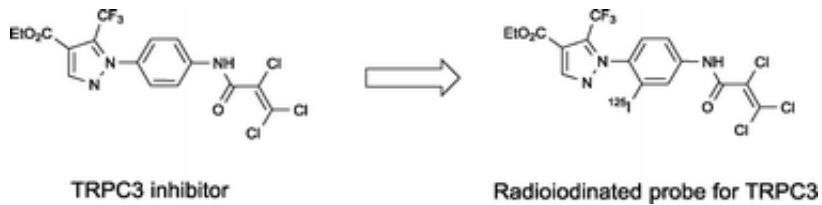
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108) Synthesis of radioiodinated probes to evaluate the biodistribution of a potent

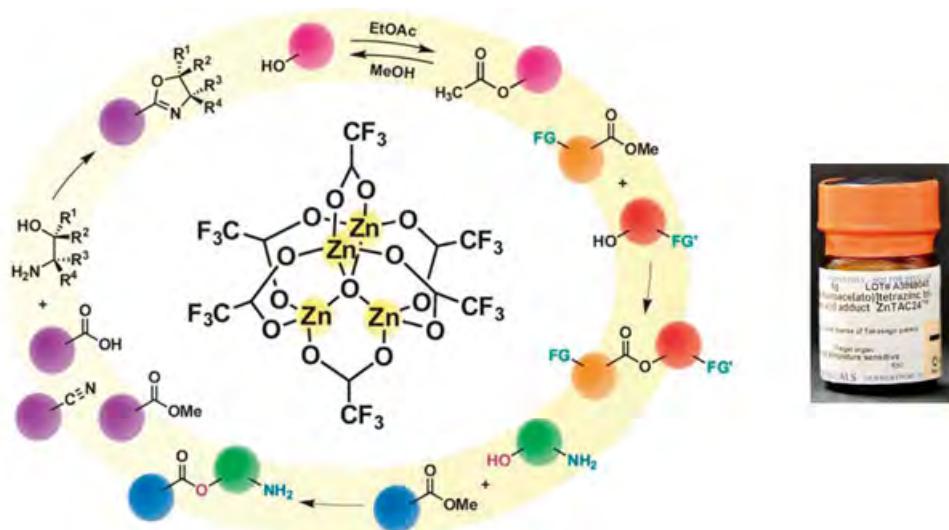
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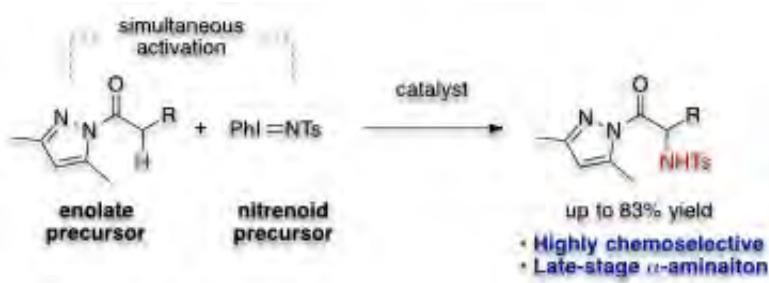
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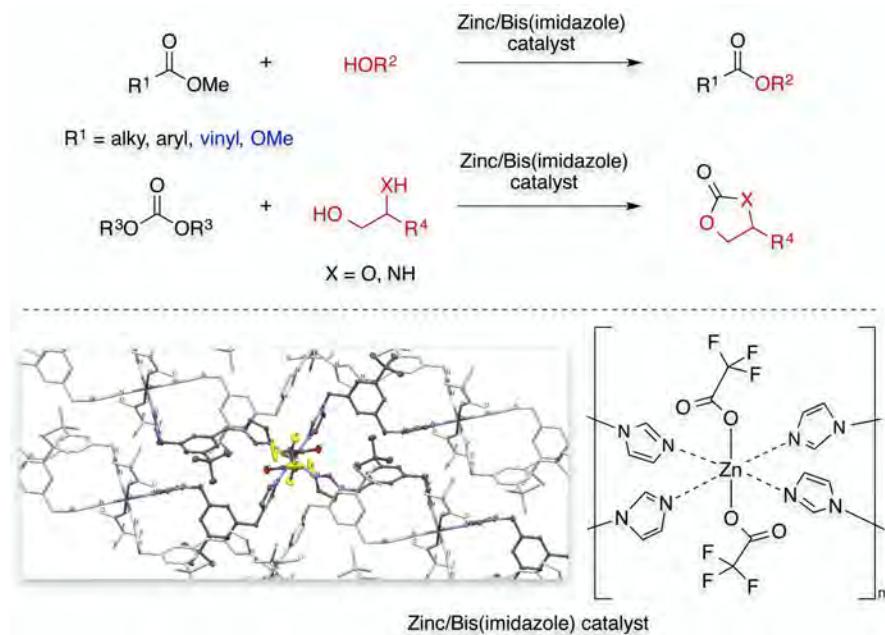
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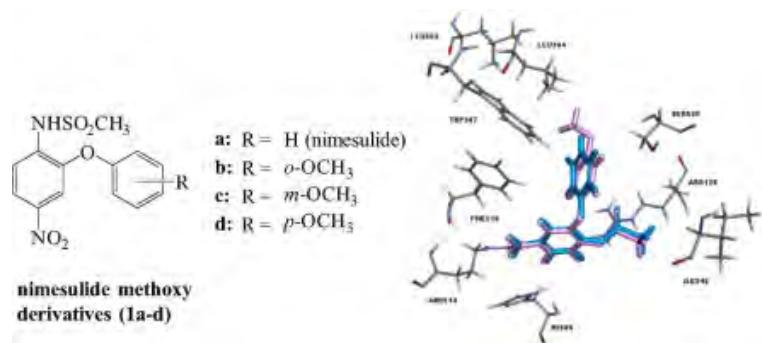
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103) Isomeric Methoxy Analogs of Nimesulide for Development of Brain

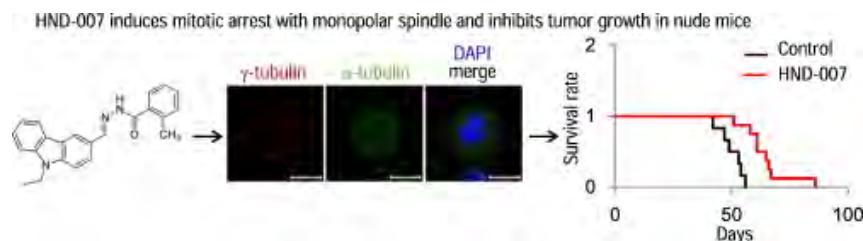
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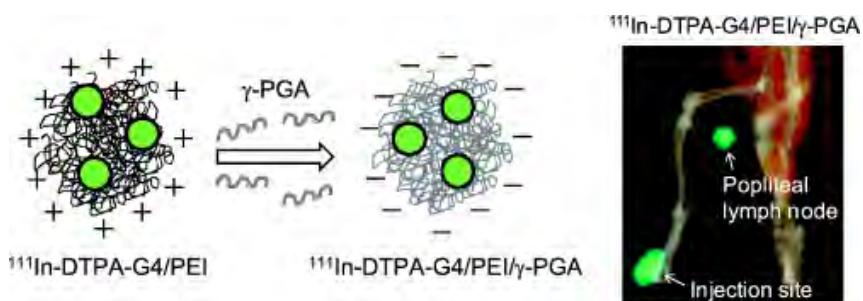
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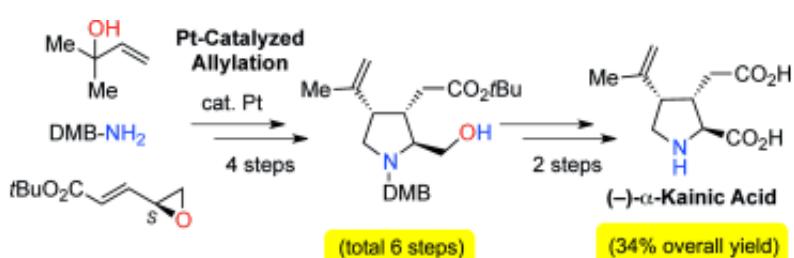
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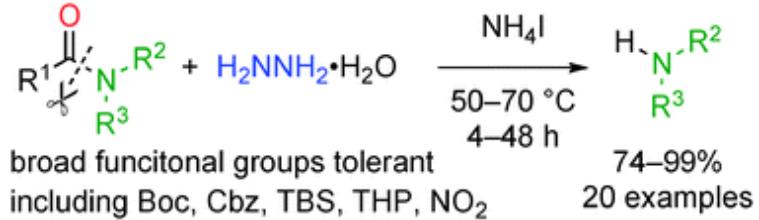
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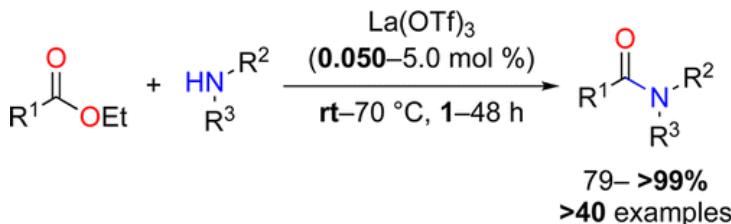
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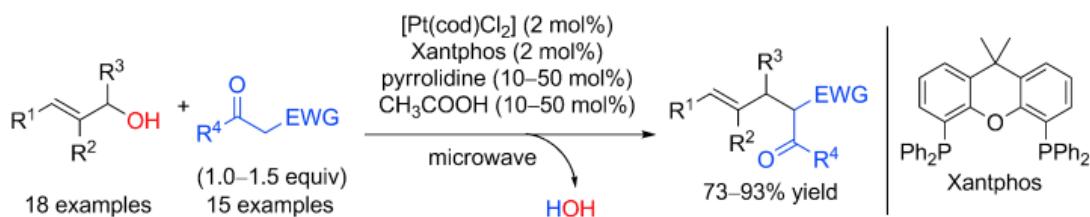
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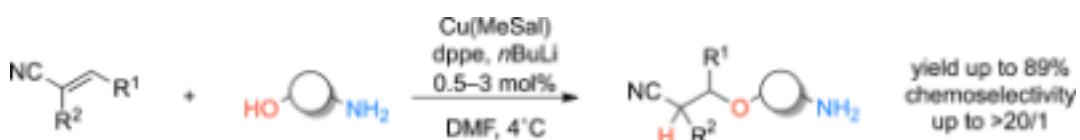
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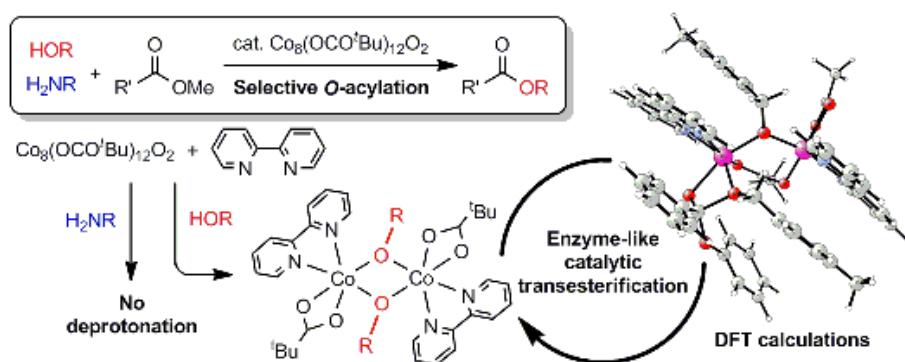
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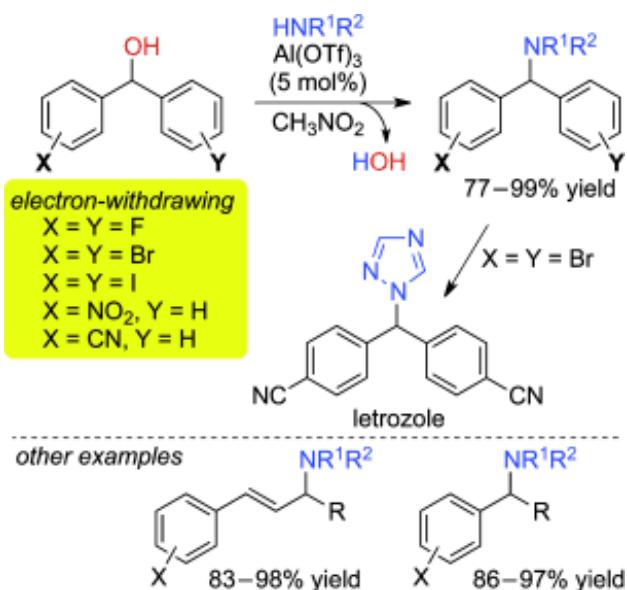


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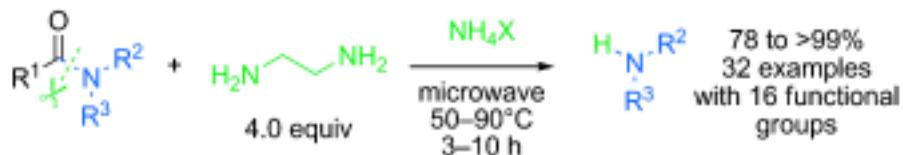
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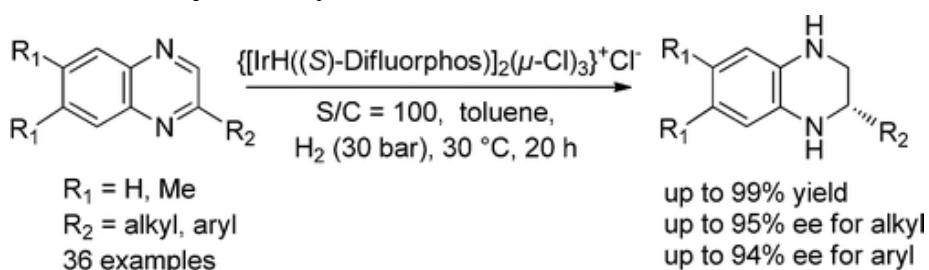
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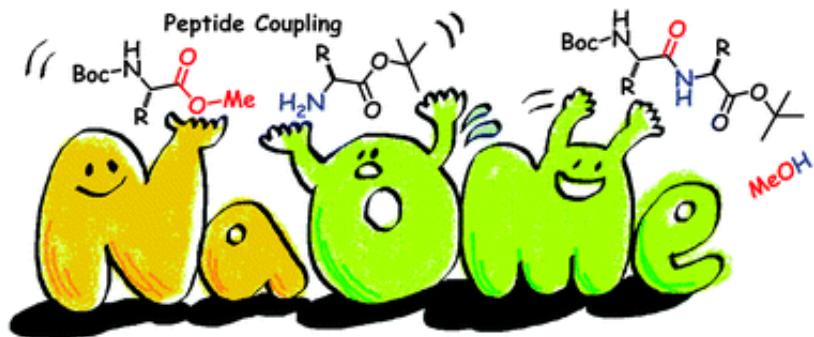
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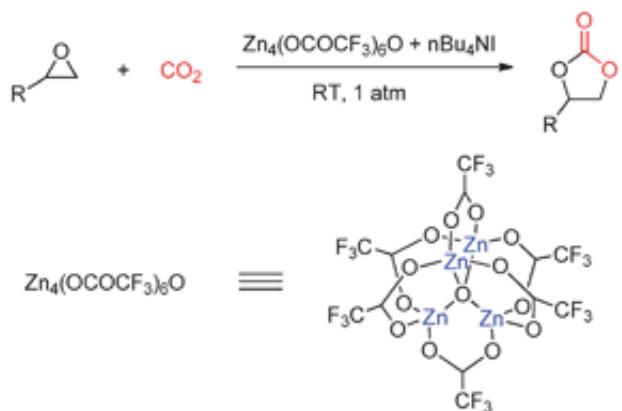
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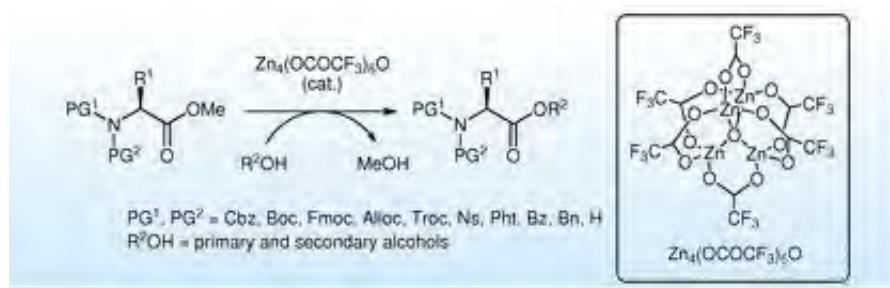
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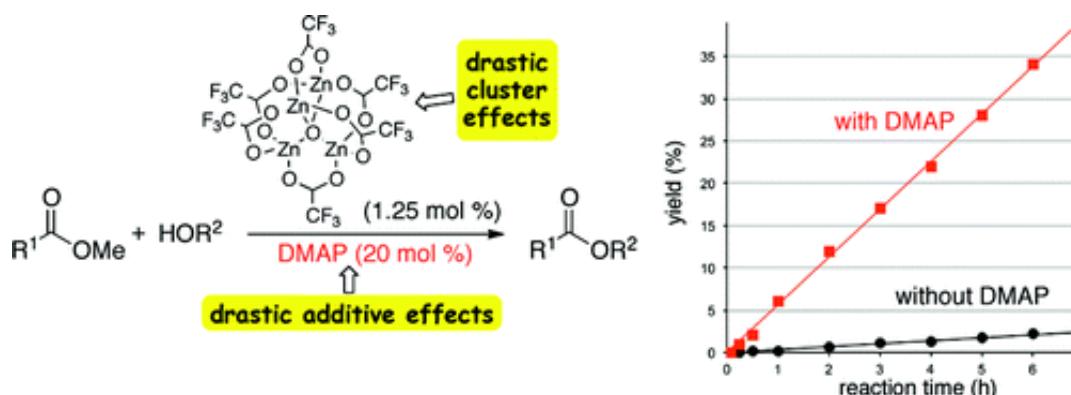
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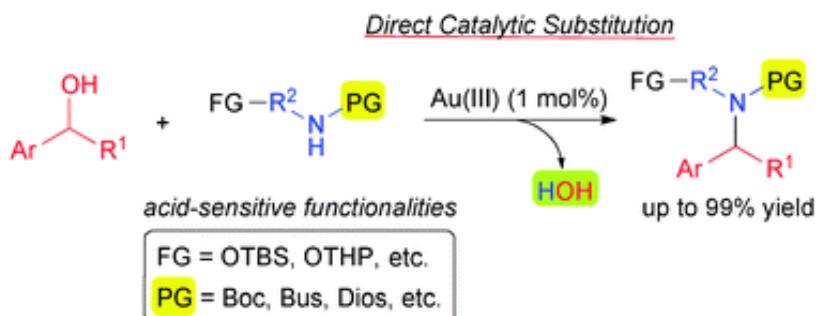
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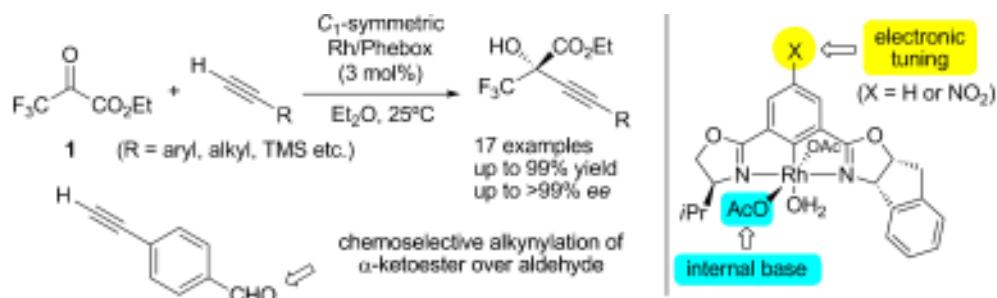
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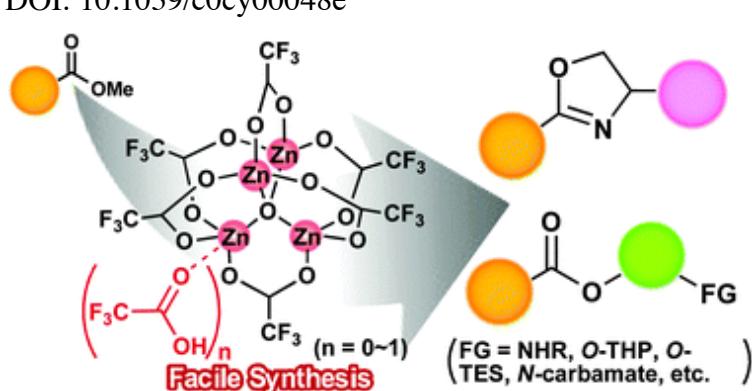
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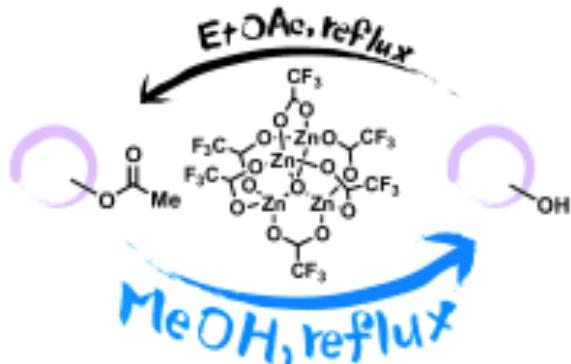


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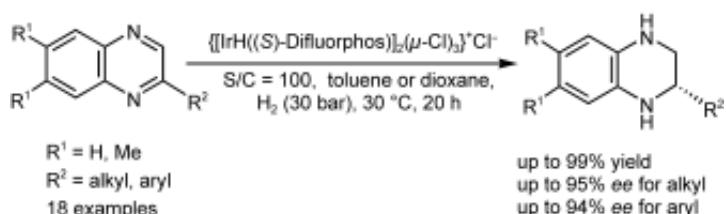
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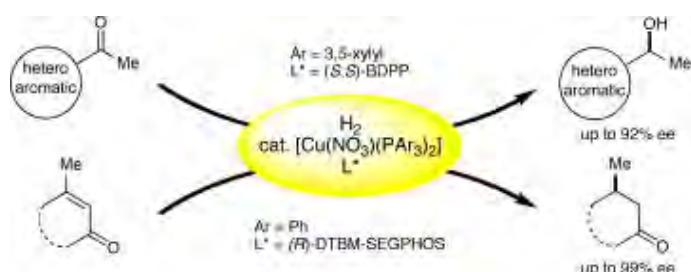
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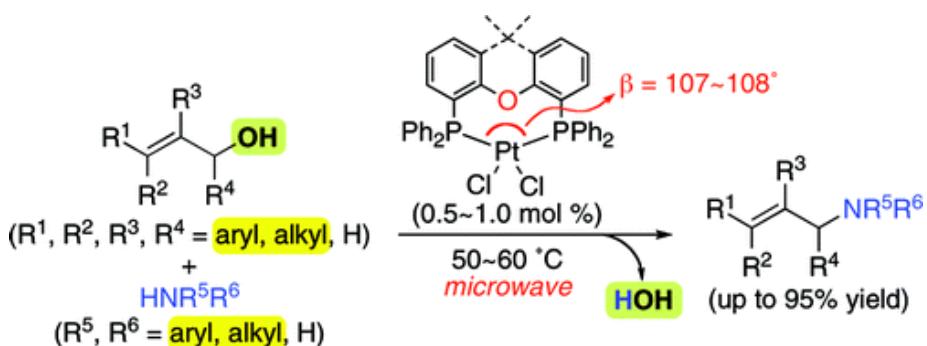


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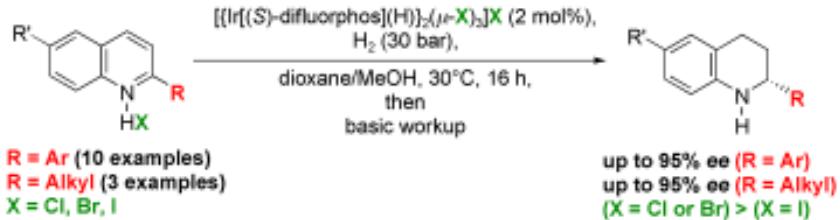
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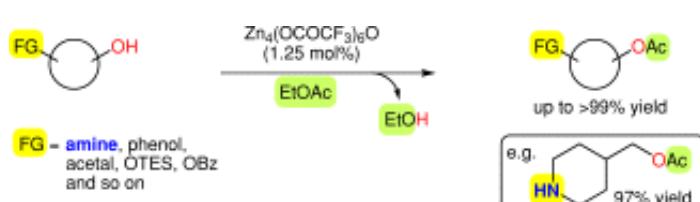


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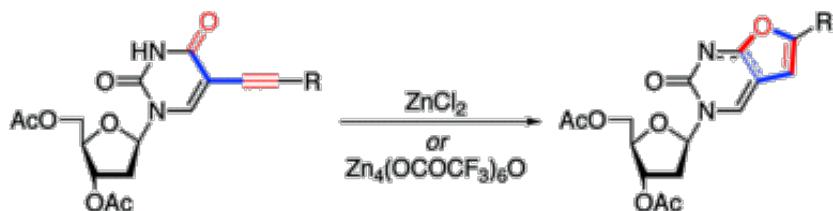
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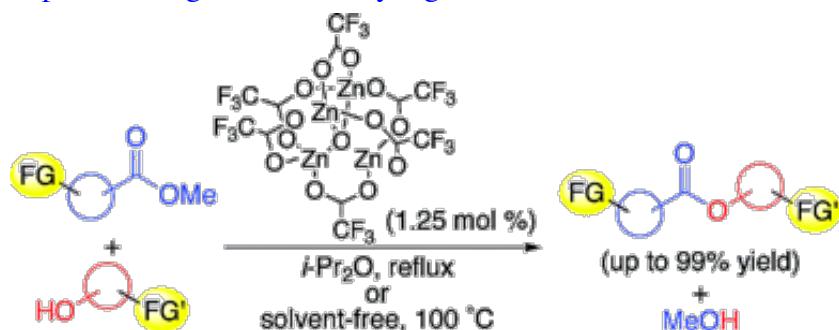
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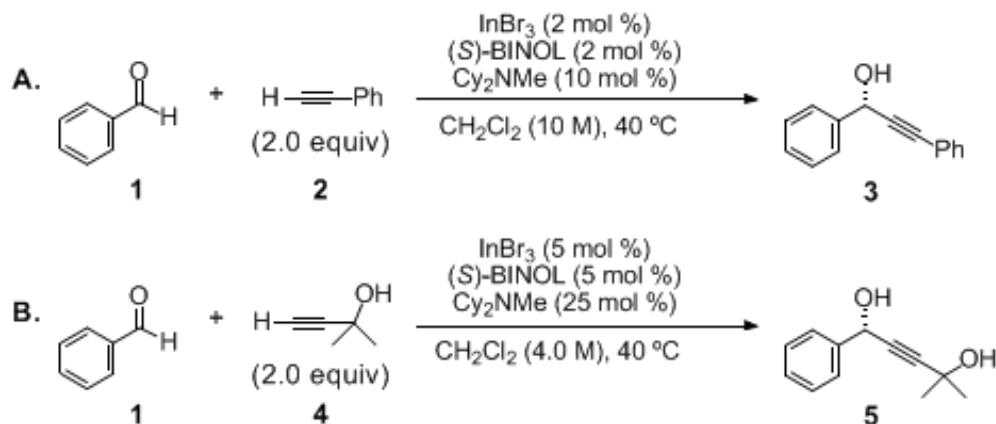
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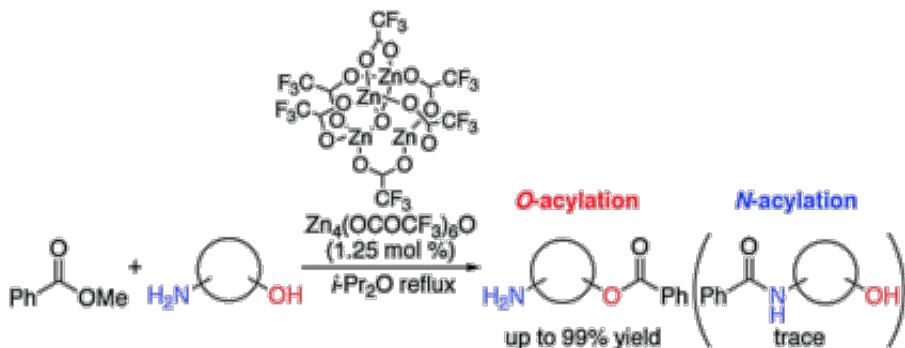
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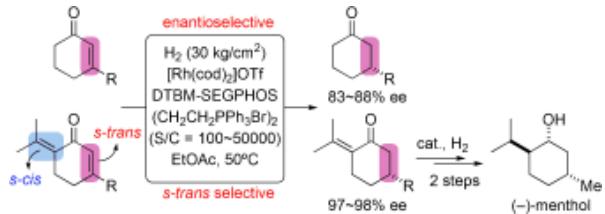
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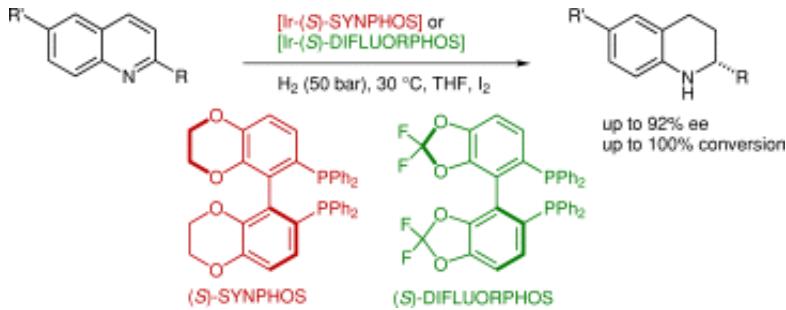


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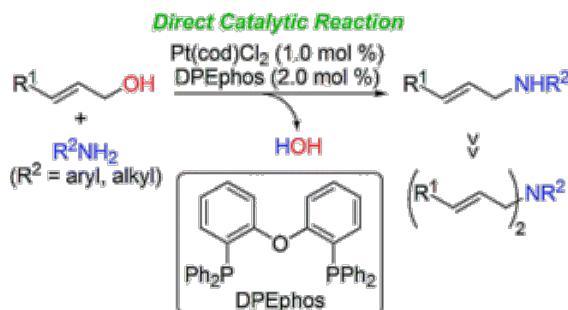
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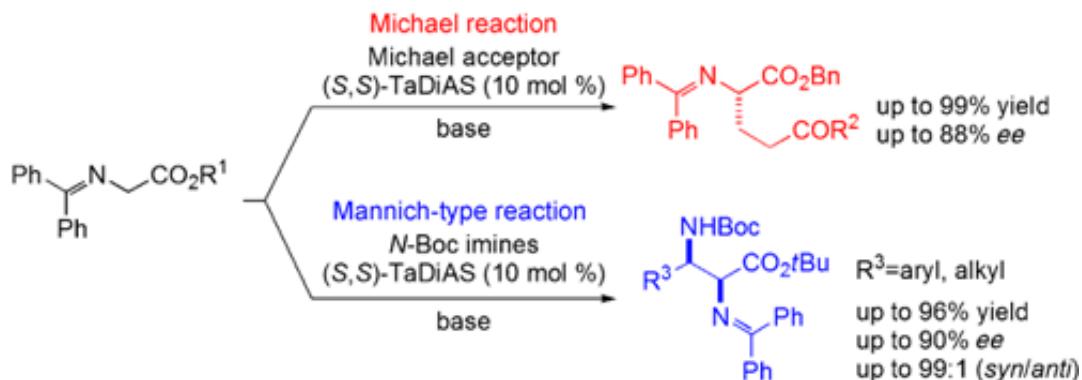
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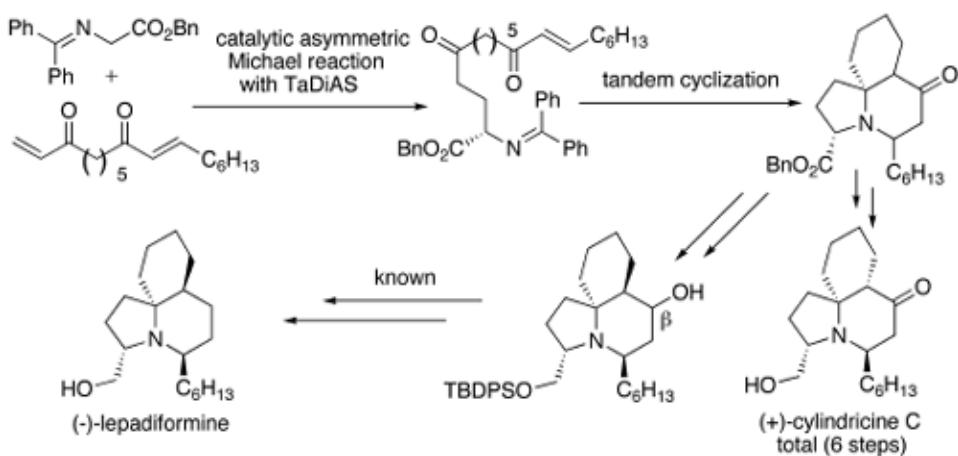
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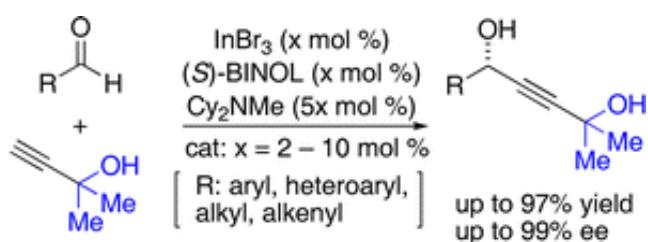
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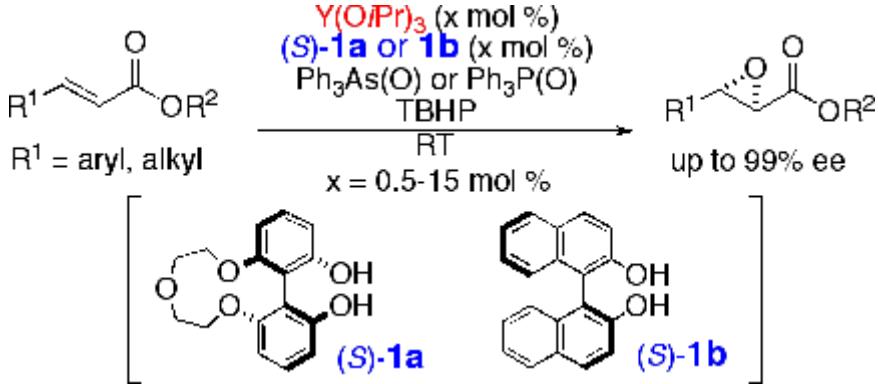
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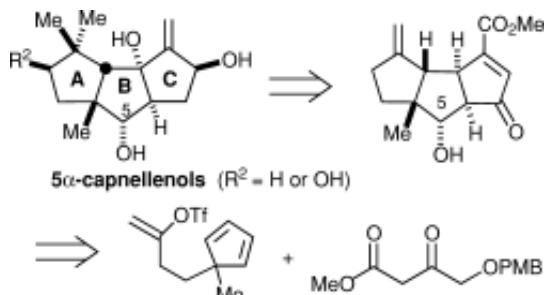
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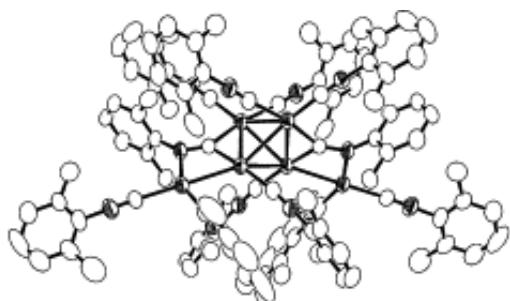
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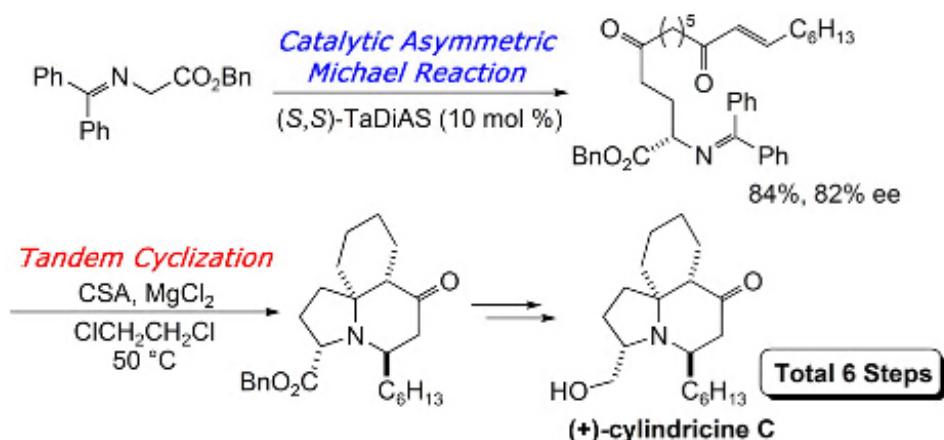
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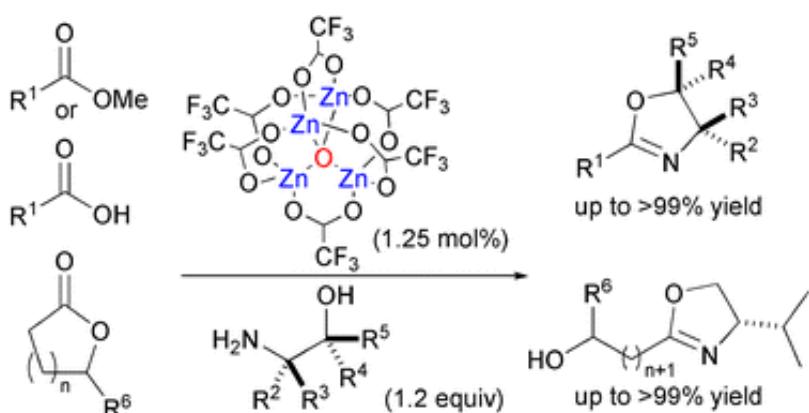
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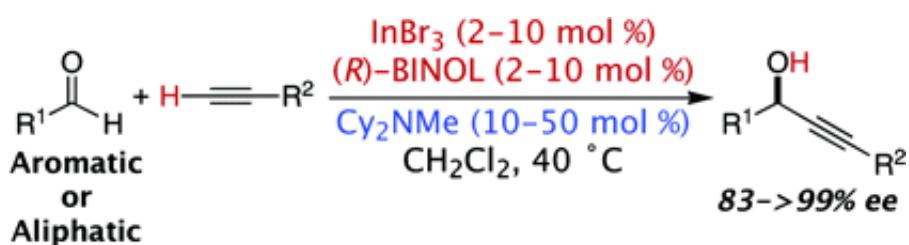
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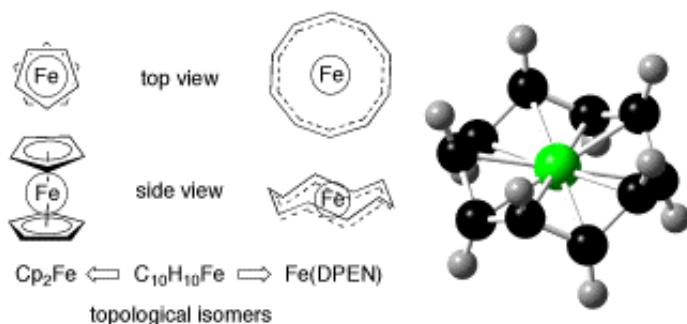
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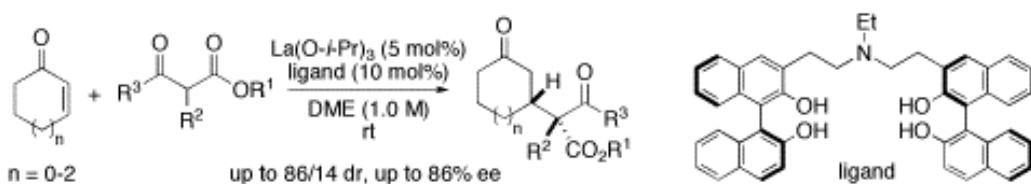
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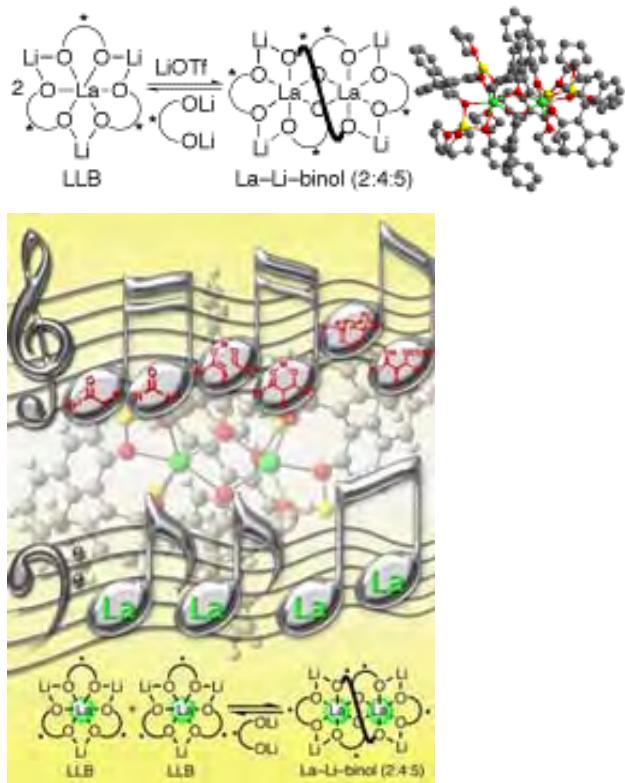
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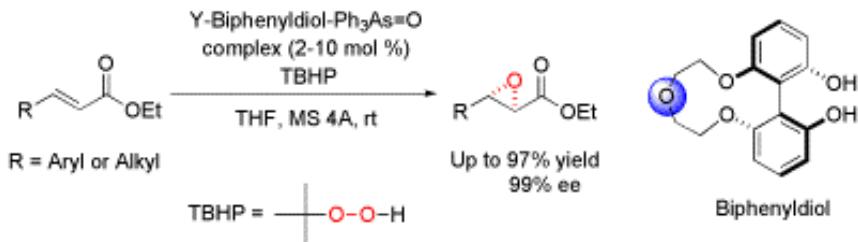
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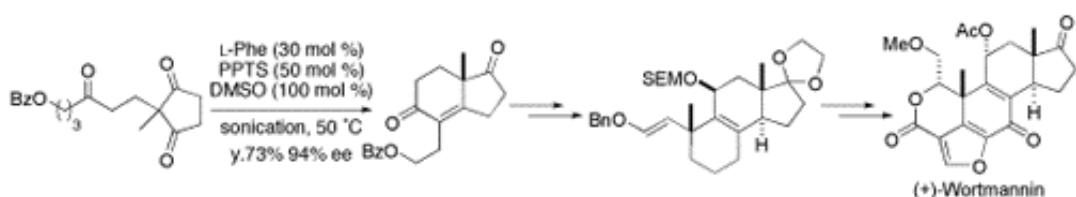
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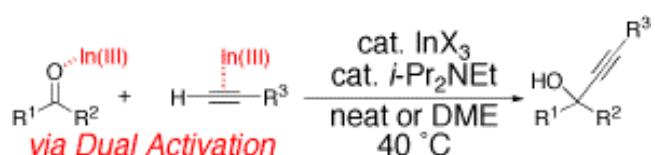


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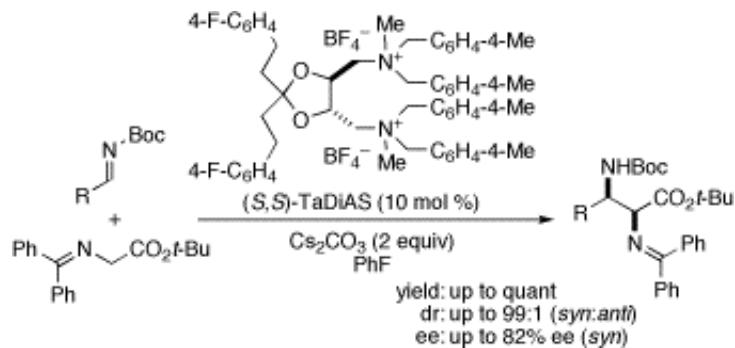
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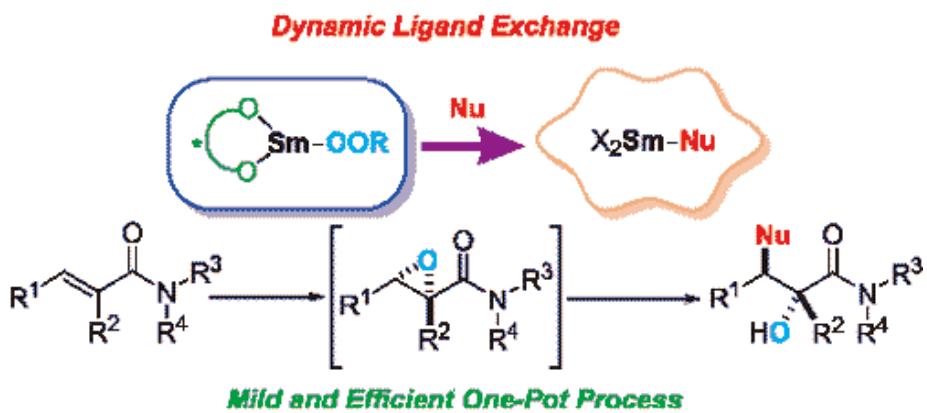
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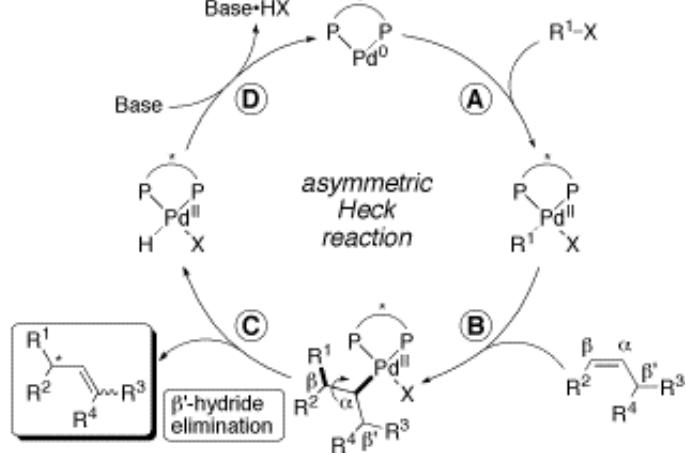
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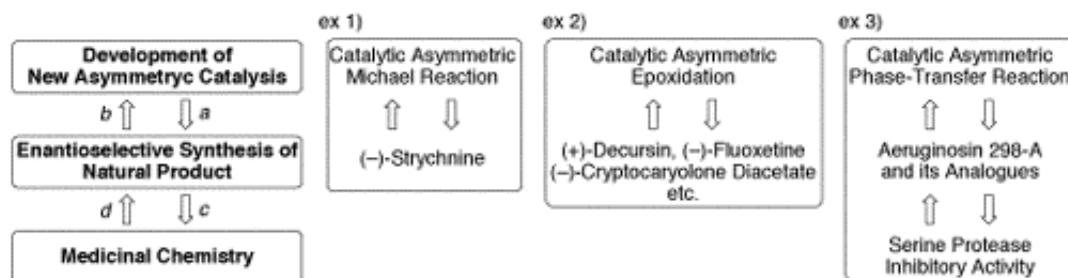
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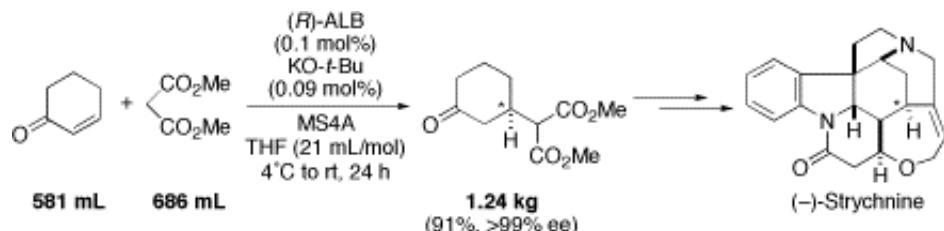
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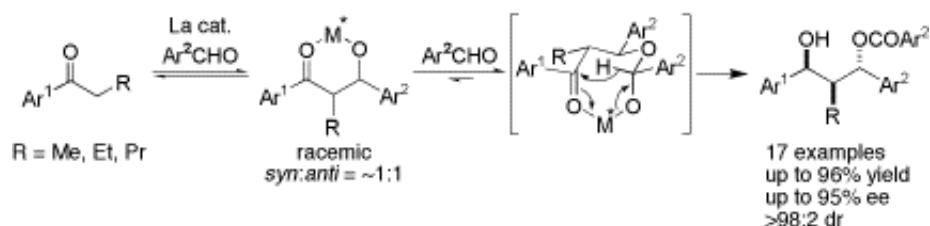


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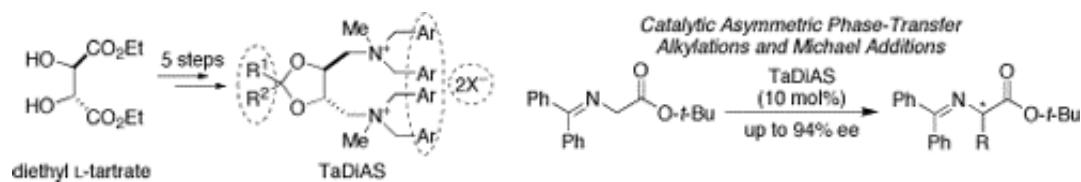
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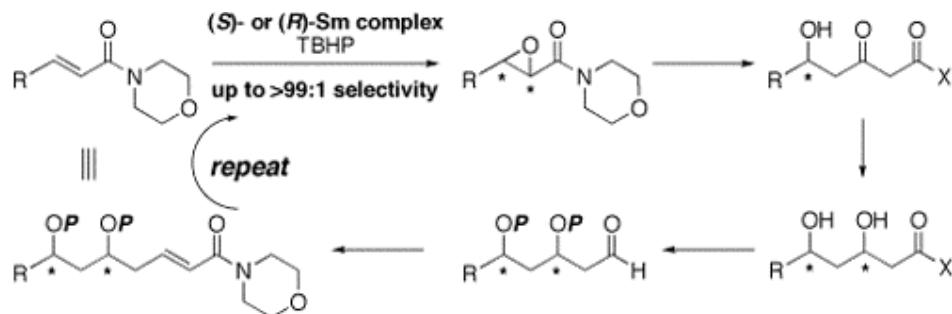
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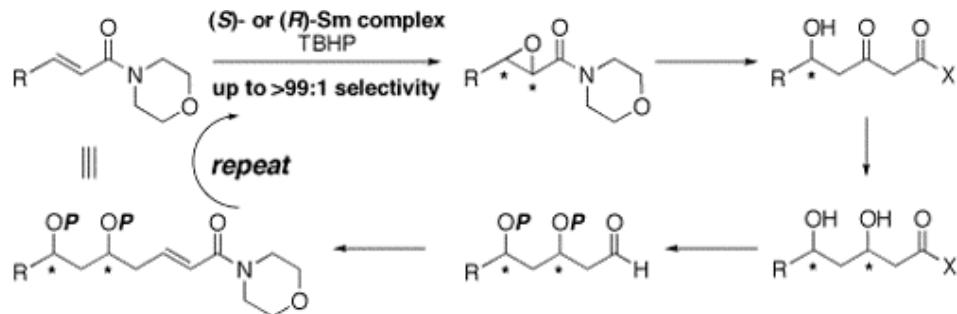
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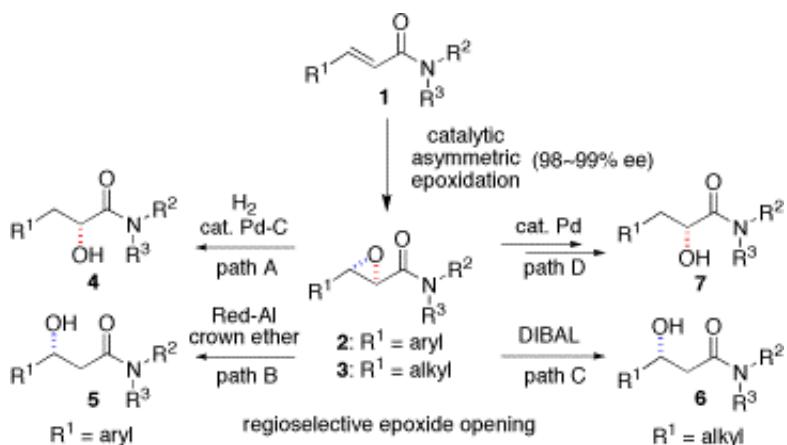
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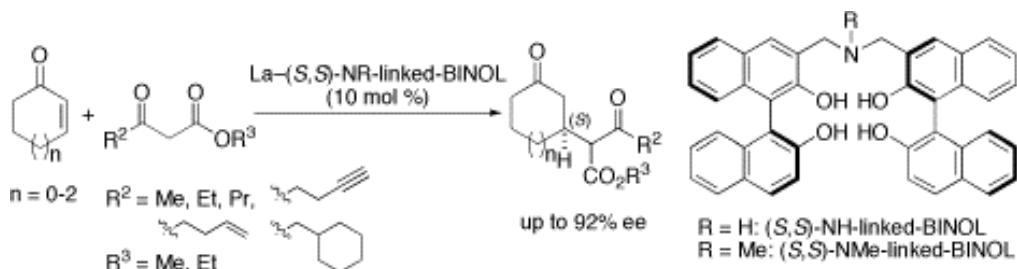
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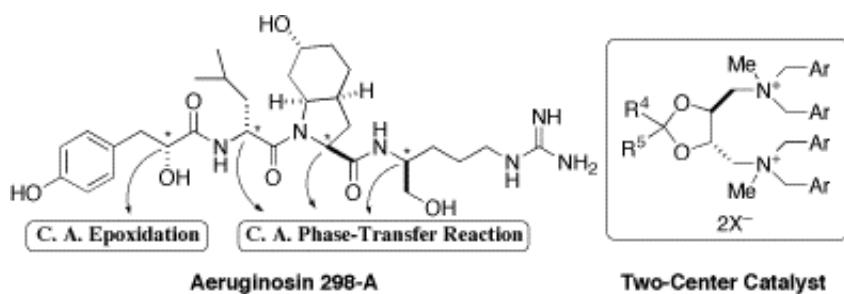
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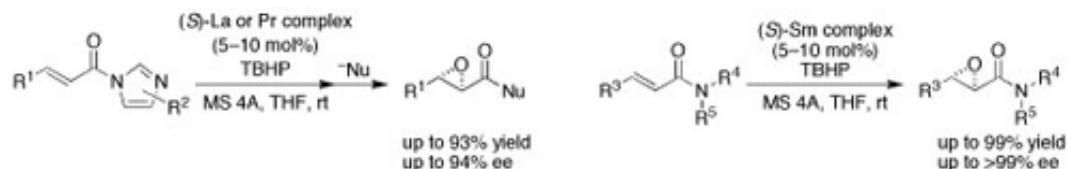
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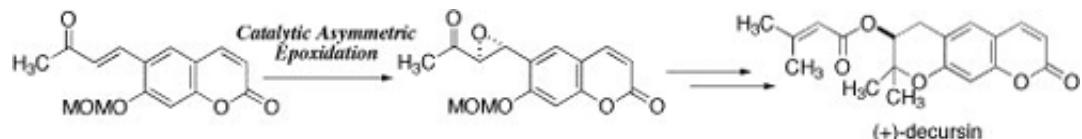
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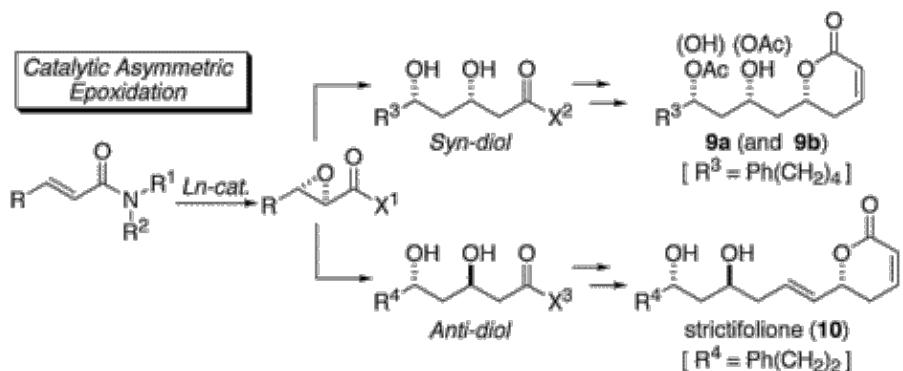
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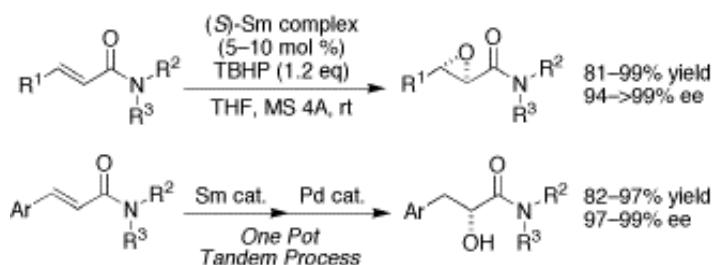
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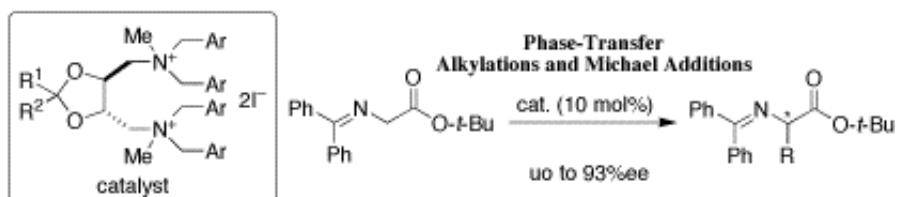
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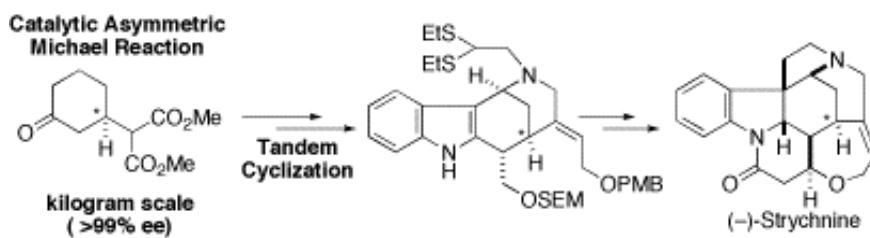
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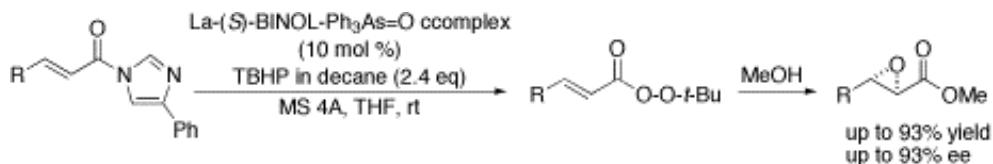
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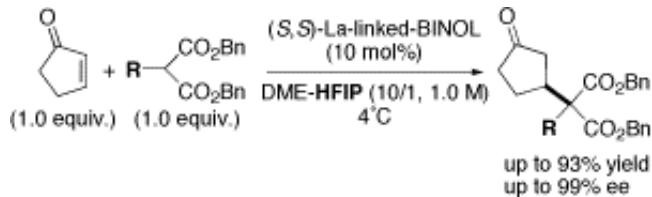
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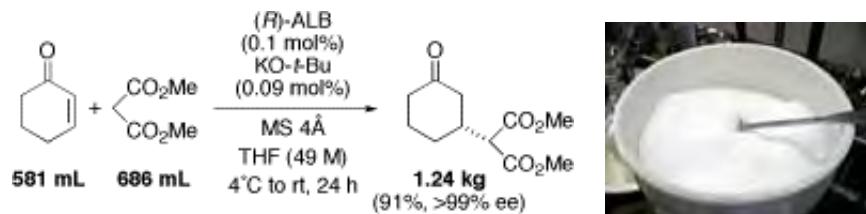
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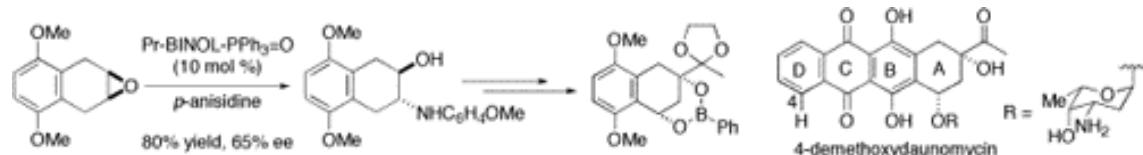
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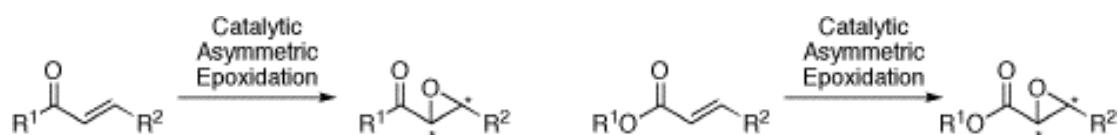
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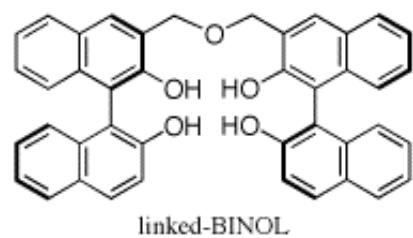
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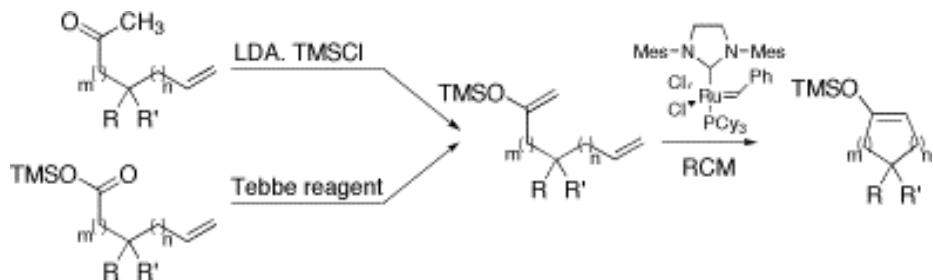
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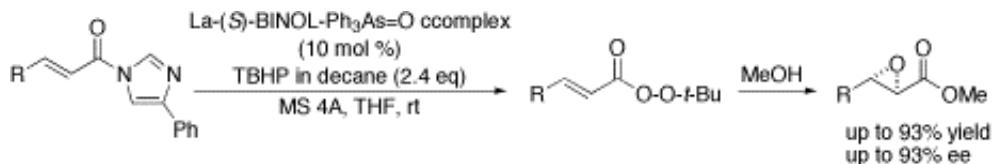
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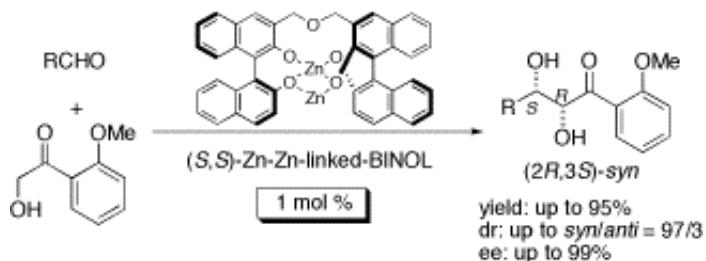
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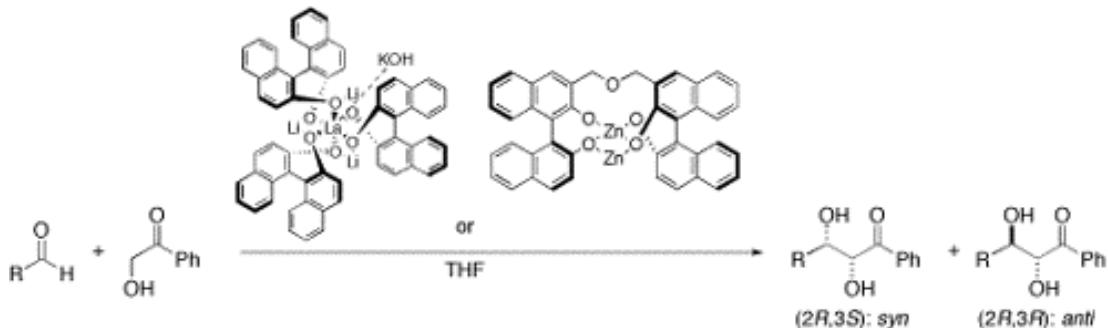
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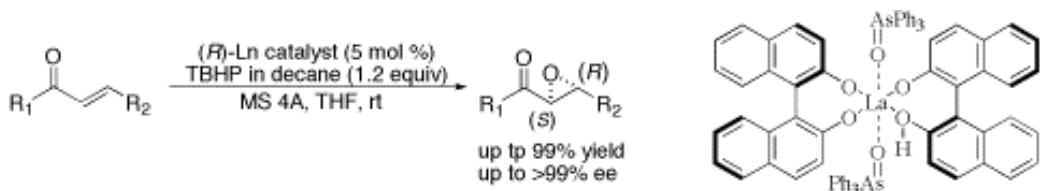


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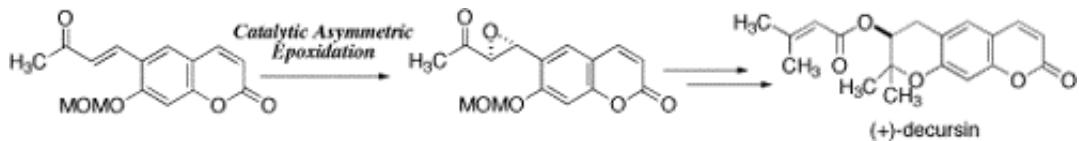
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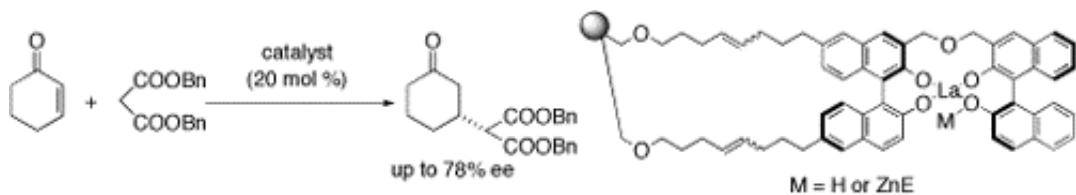
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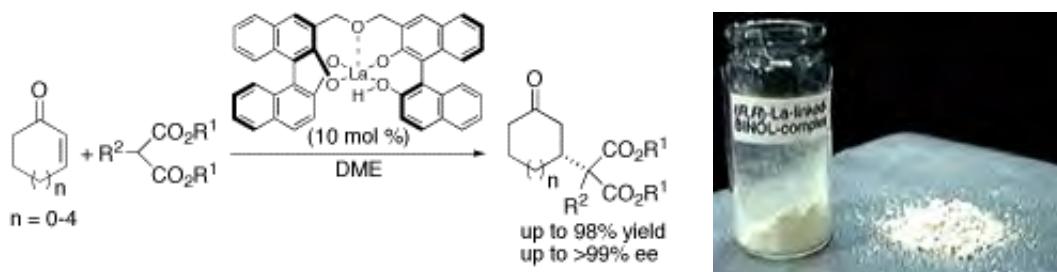


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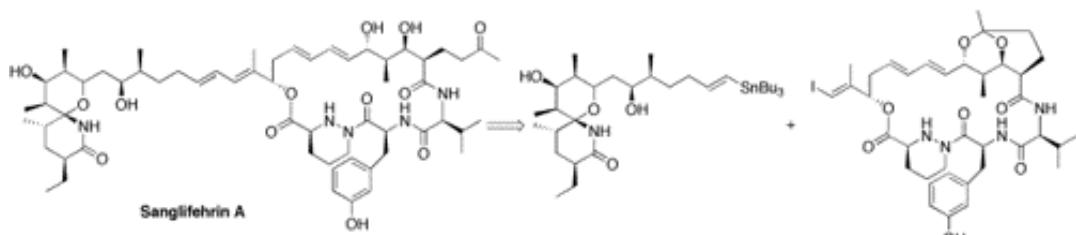
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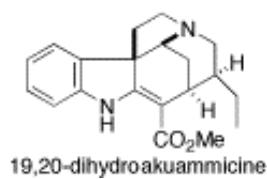
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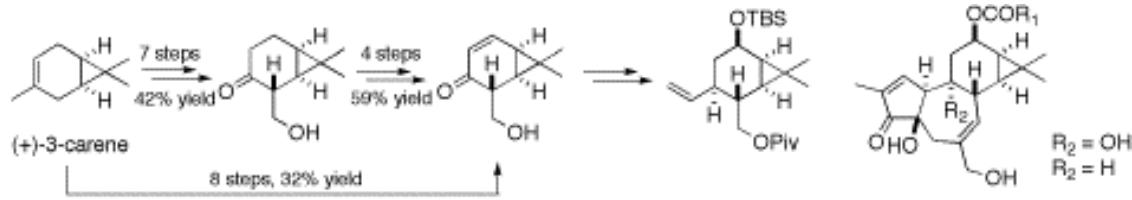


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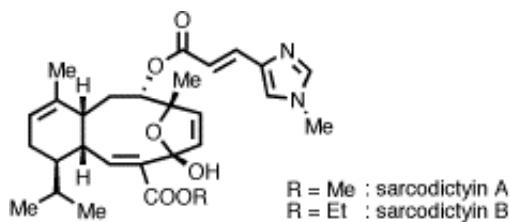
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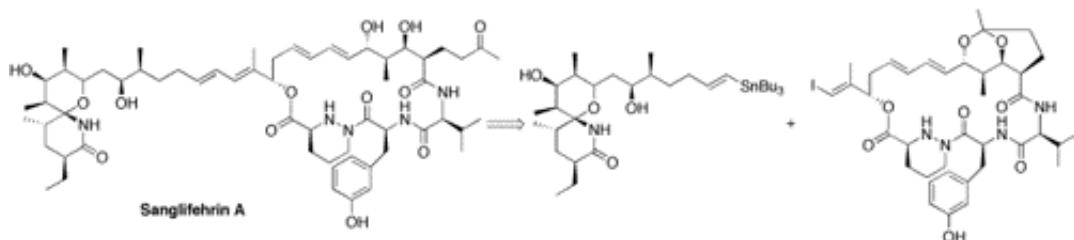


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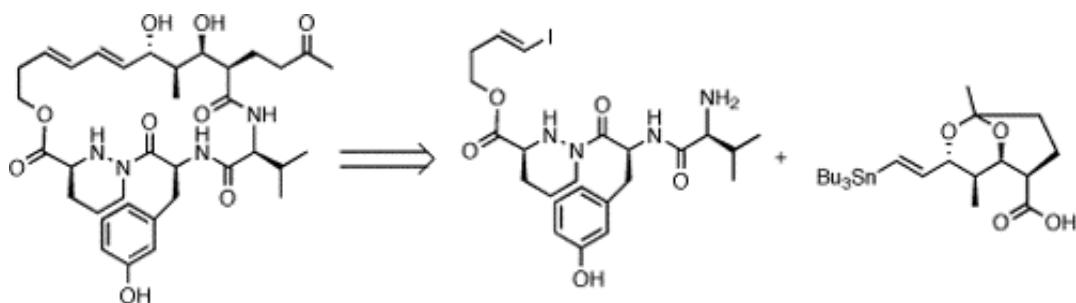


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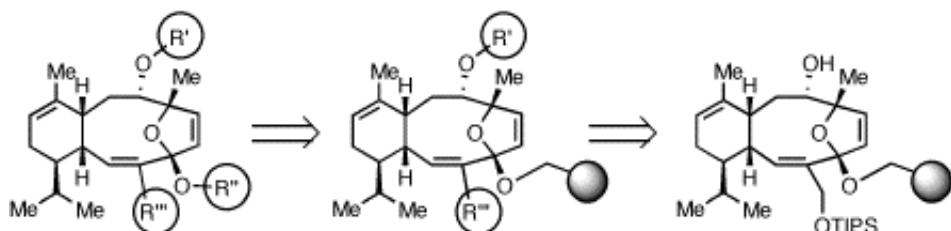
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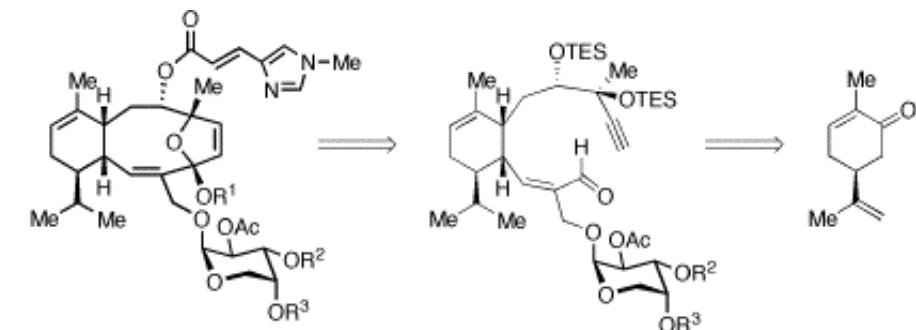
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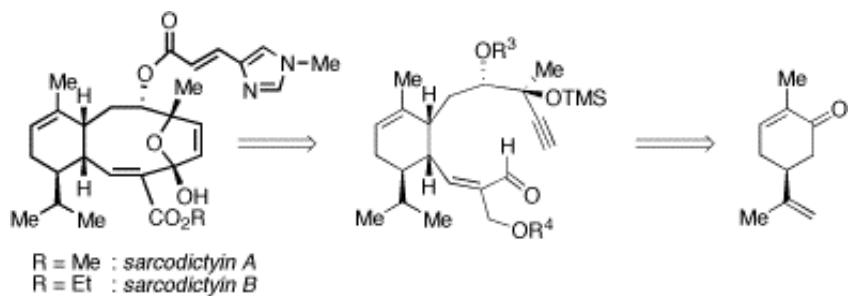
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R¹ = Me, R² = H, R³ = H : eleutherobin
R¹ = H, R² = Ac, R³ = H : eleuthoside A
R¹ = H, R² = H, R³ = Ac : eleuthoside B

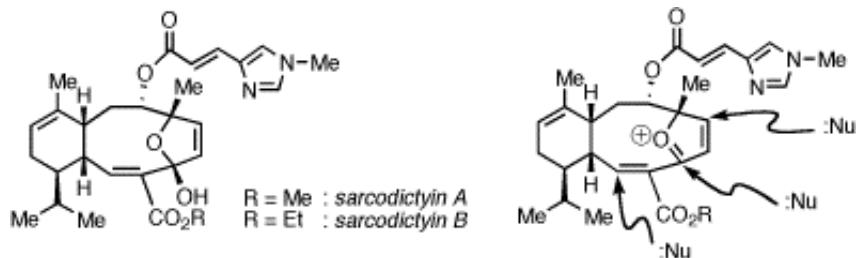
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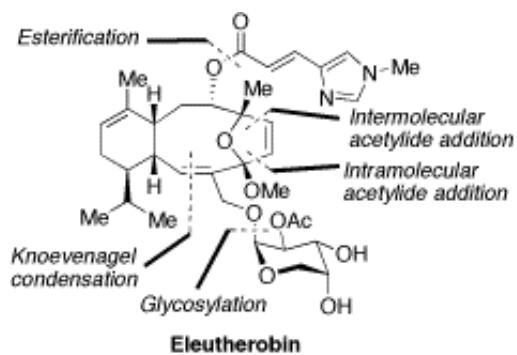
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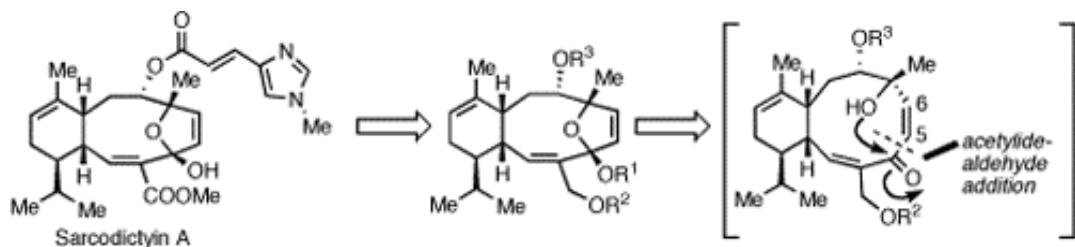
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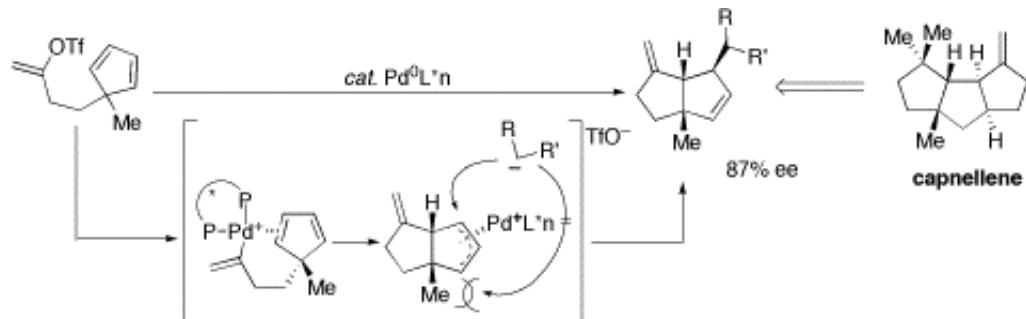
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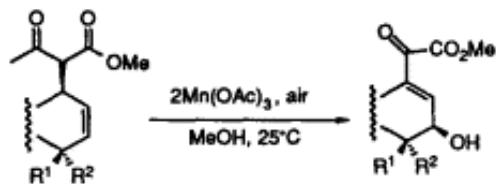
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