

SPECIAL ISSUE: JAPANESE SOCIETY FOR PROCESS CHEMISTRY

Editorial

417

Process Chemi-Stories in Japan
Kazuhiko Takahashi

DOI: 10.1021/acs.oprd.9b00084

418

The Spirit of the Japanese Society for Process Chemistry
Hironao Sajiki

DOI: 10.1021/acs.oprd.9b00085

Reviews

419

Efficient Azacycle Formations Developed in Japanese Pharmaceutical Industries: Elegancy, Logistics, and Training (ELT)
"Sandwich" for Process Chemists
Hideya Mizufune*

DOI: 10.1021/acs.oprd.8b00412



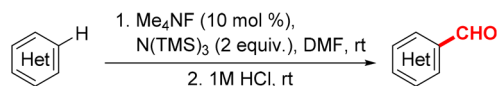
443



DOI: 10.1021/acs.oprd.8b00247

Catalytic Deprotonative α -Formylation of Heteroarenes by an Amide Base Generated in Situ from Tetramethylammonium Fluoride and Tris(trimethylsilyl)amine

Masanori Shigeno,* Yuki Fujii, Akihisa Kajima, Kanako Nozawa-Kumada, and Yoshinori Kondo*

*Catalytic deprotonative formylation*

- Room temperature condition
- Easy operation
- High functional group tolerance (halo, CN, CO₂Et, CONMePh, MeO, pyridyl)

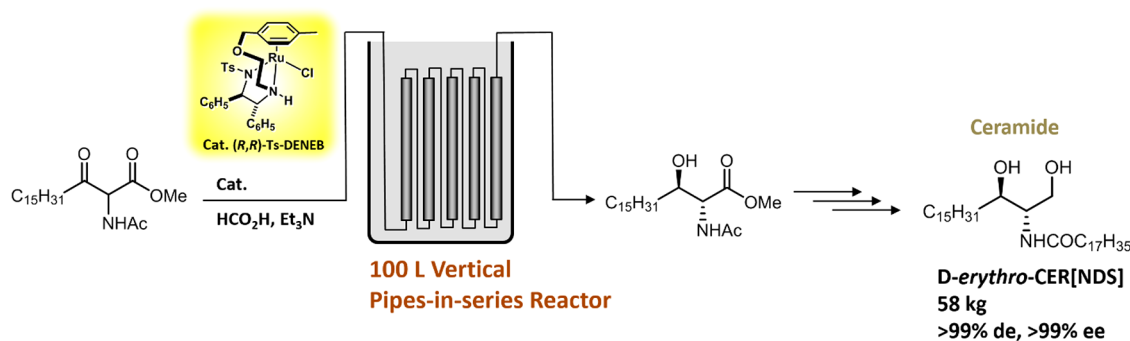
452



DOI: 10.1021/acs.oprd.8b00338

Development of Asymmetric Transfer Hydrogenation with a Bifunctional Oxo-Tethered Ruthenium Catalyst in Flow for the Synthesis of a Ceramide (D-erythro-CER[NDS])

Taichiro Touge,* Masahiro Kuwana, Yasuhiro Komatsuki, Shigeru Tanaka, Hideki Nara, Kazuhiko Matsumura, Noboru Sayo, Yoshinobu Kashibuchi, and Takao Saito



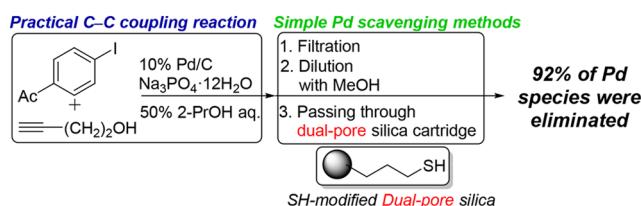
462



DOI: 10.1021/acs.oprd.8b00291

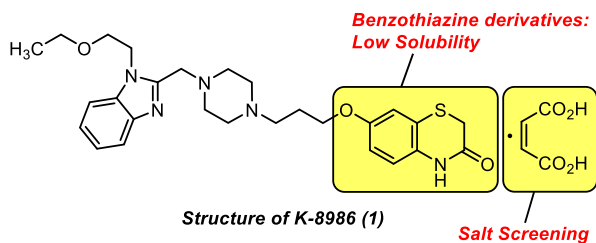
Application of Thiol-Modified Dual-Pore Silica Beads as a Practical Scavenger of Leached Palladium Catalyst in C–C Coupling Reactions

Tsuyoshi Yamada, Tomohiro Matsuo, Aya Ogawa, Tomohiro Ichikawa, Yutaka Kobayashi, Hayato Masuda, Riichi Miyamoto, Hongzhi Bai, Kanji Meguro, Yoshinari Sawama, Yasunari Monguchi, and Hironao Sajiki*

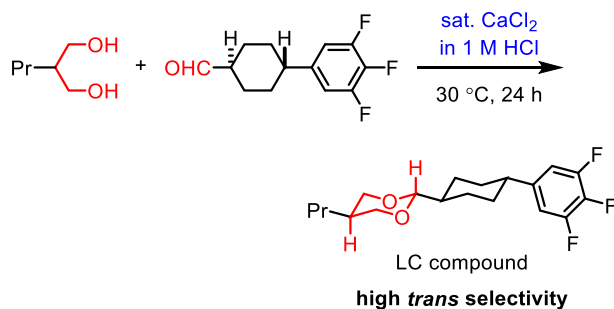


Development of a Synthetic Process for K-8986, an H1-Receptor Antagonist

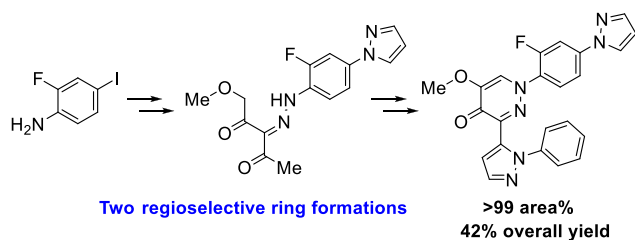
Tomoaki Fukuda,* Takeaki Hara, Shinji Ina, Tetsuhiro Nemoto, and Takeshi Oshima*

Stereoselective Acetalization for the Synthesis of Liquid-Crystal Compounds Possessing a *trans*-2,5-Disubstituted 1,3-Dioxane Ring with Saturated Aqueous Solutions of Inorganic Salts

Haruki Maebayashi, Tsugumichi Fuchigami, Yasuyuki Gotoh, and Munenori Inoue*

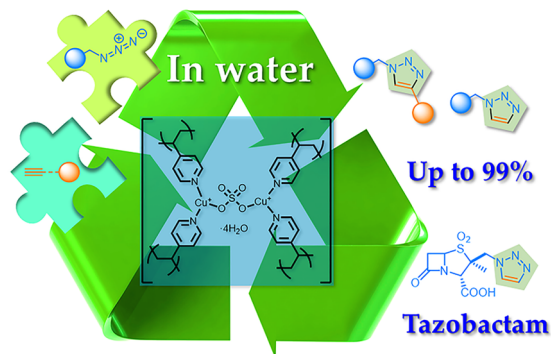
Practical Preparation of a 1,3,5-Trisubstituted Pyridazin-4(1*H*)-one Using Selective C₁ Unit Insertion and Cyclization

Akihiro Suzuki,* Naohiro Fukuda, Takeshi Kajiware, and Tomomi Ikemoto*



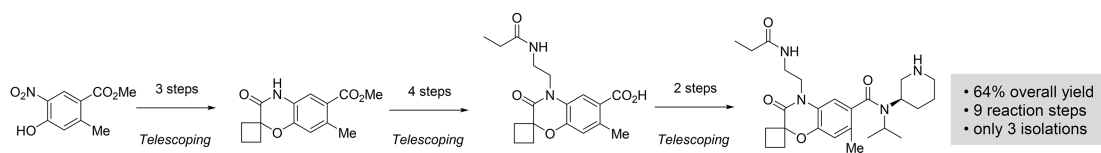
Self-Assembled Polymeric Pyridine Copper Catalysts for Huisgen Cycloaddition with Alkynes and Acetylene Gas: Application in Synthesis of Tazobactam

Hao Hu, Aya Ohno, Takuma Sato, Toshiaki Mase, Yasuhiro Uozumi,* and Yoichi M. A. Yamada*



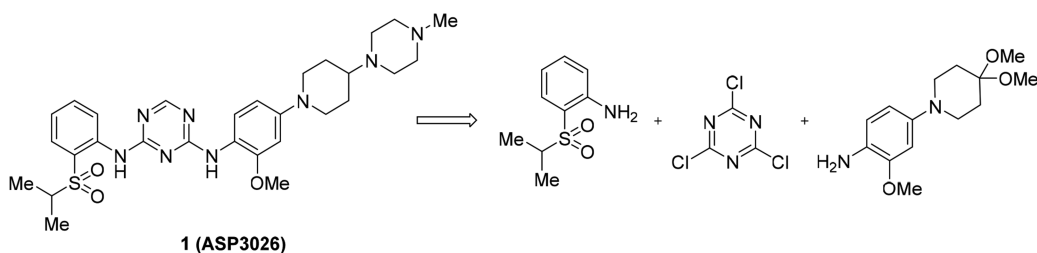
Process Development of an Efficient and Cost-Effective Telescoping Route to a Key Synthetic Precursor for the Preparation of a Renin Inhibitor

Akihito Nonoyama,* Yoshio Nakai, Shoukou Lee, Satoshi Suzuki, Takeya Ando, Nobuhisa Fukuda, Hiroaki Tanaka, and Kazuhiko Takahashi



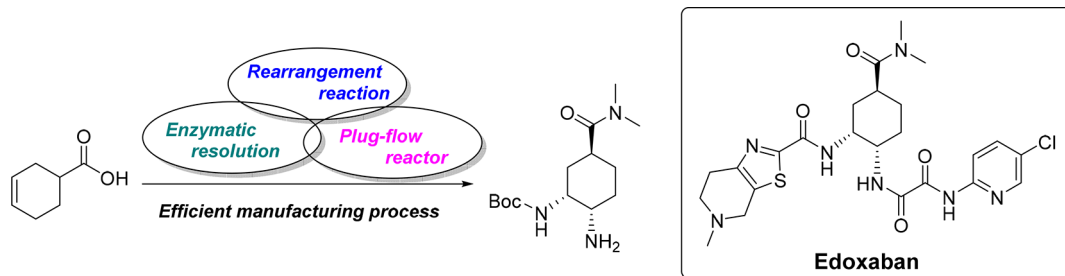
Improved Manufacturing Route and Polymorphic Control of a Potent and Selective Anaplastic Lymphoma Kinase (ALK) Inhibitor ASP3026

Yuji Takahama,* Kazuyoshi Obitsu, Kazuhiro Takeguchi, Shun Hirasawa, Koji Kobayashi, Takahiro Akiba, Norihiro Ueda, Ryoki Orie, Atsushi Ohigashi, Takumi Takahashi, Minoru Okada, and Shigeru Ieda



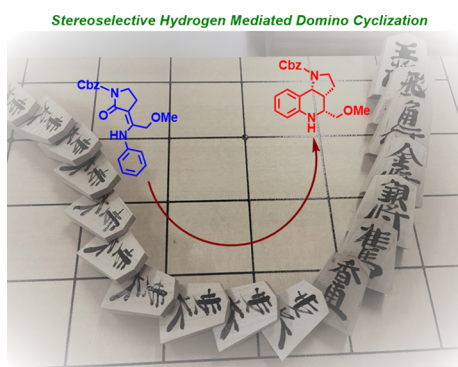
Development of an Efficient Manufacturing Process for a Key Intermediate in the Synthesis of Edoxaban

Makoto Michida,* Hideaki Ishikawa, Takeshi Kaneda, Shinya Tatekabe, and Yoshitaka Nakamura



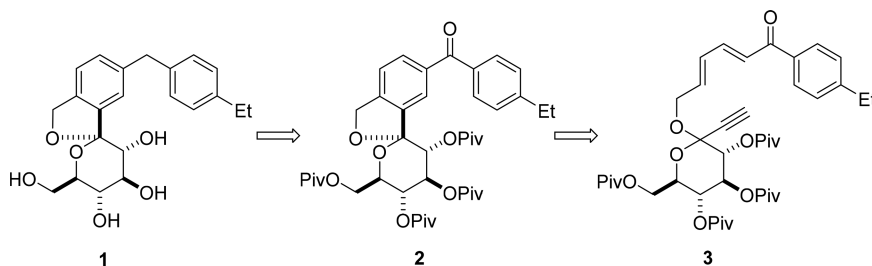
Construction of a (3*aR*,4*R*,9*bR*)-Hexahydropyrroloquinoline by Stereoselective Hydrogen-Mediated Domino Cyclization

Masatoshi Yamada,* Hirotugu Usutani, Tatsuya Ito, and Mitsuhiro Yamano



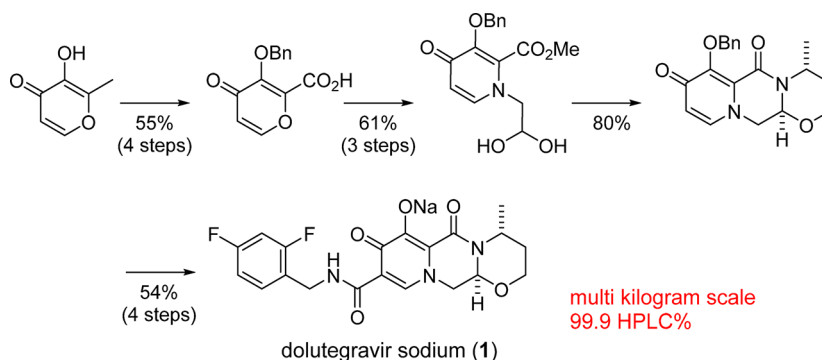
Synthesis of Tofogliflozin as an SGLT2 Inhibitor via Construction of Dihydroisobenzofuran by Intramolecular [4 + 2] Cycloaddition

Masatoshi Murakata,* Akira Kawase, Nobuaki Kimura, Takuma Ikeda, Masahiro Nagase, Masatoshi Koizumi, Kazuaki Kuwata, Kenji Maeda, and Hitoshi Shimizu



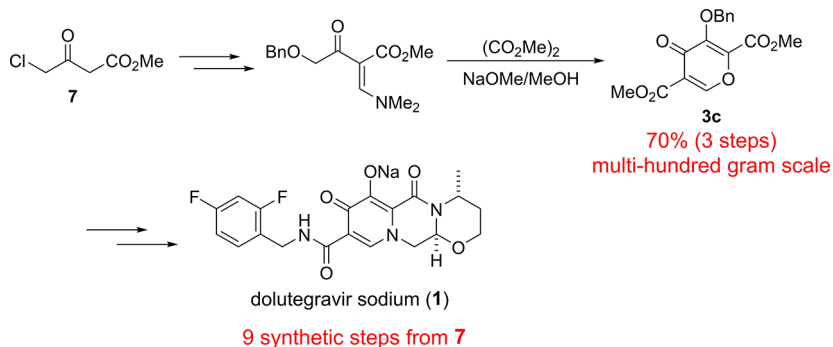
Practical and Scalable Synthetic Method for Preparation of Dolutegravir Sodium: Improvement of a Synthetic Route for Large-Scale Synthesis

Yasunori Aoyama,* Toshikazu Hakogi, Yuki Fukui, Daisuke Yamada, Takao Ooyama, Yutaka Nishino, Shoji Shinomoto, Masahiko Nagai, Naoki Miyake, Yoshiyuki Taoda, Hiroshi Yoshida, and Tatsuro Yasukata



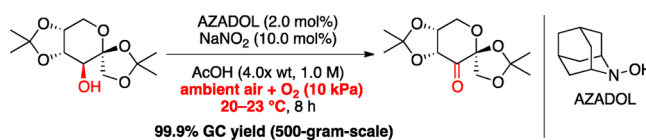
Practical Synthetic Method for the Preparation of Pyrone Diesters: An Efficient Synthetic Route for the Synthesis of Dolutegravir Sodium

Tatsuro Yasukata,* Moriyasu Masui, Fumiya Ikarashi, Kazuya Okamoto, Takanori Kurita, Masahiko Nagai, Yoshihide Sugata, Naoki Miyake, Shinichiro Hara, You Adachi, and Yukihiro Sumino



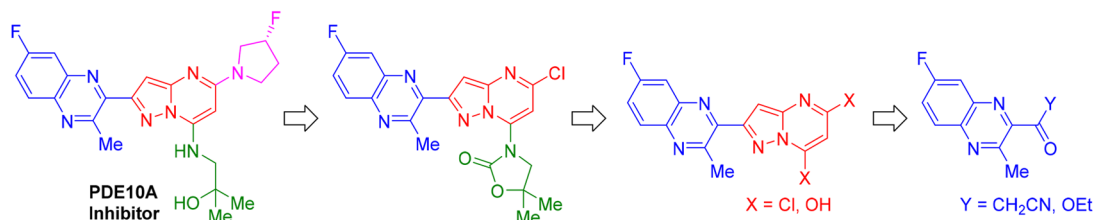
Safe and Scalable Aerobic Oxidation by 2-Azaadamantan-2-ol (AZADOL)/NO_x Catalysis: Large-Scale Preparation of Shi's Catalyst

Yusuke Sasano, Hikaru Sato, Shinsuke Tadokoro, Masami Kozawa, and Yoshiharu Iwabuchi*



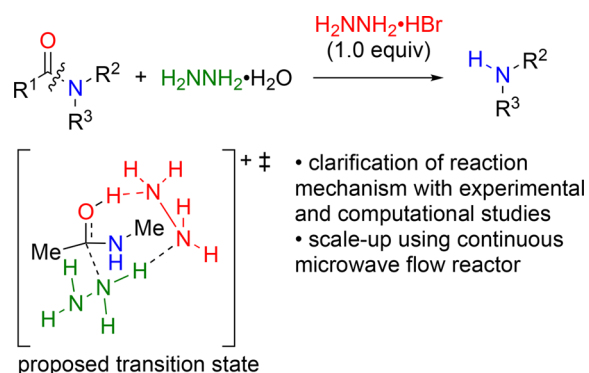
Scalable Process Design for a PDE10A Inhibitor Consisting of Pyrazolopyrimidine and Quinoxaline as Key Units

Takafumi Yamagami,* Ryo Kobayashi,* Noriaki Moriyama, Hideki Horiuchi, Eiji Toyofuku, Yoichi Kadoh, Eiji Kawanishi, Shinichi Izumoto, Hajime Hiramatsu, Takehiro Nanjo, Masuhiro Sugino, Masayuki Utsugi, and Yasunori Moritani



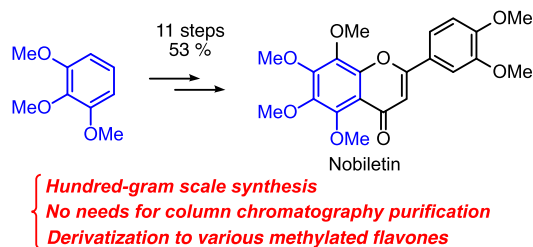
Ammonium Salt-Accelerated Hydrazinolysis of Unactivated Amides: Mechanistic Investigation and Application to a Microwave Flow Process

Megumi Noshita, Yuhei Shimizu, Hiroyuki Morimoto,* Shuji Akai, Yoshitaka Hamashima, Noriyuki Ohneda, Hiromichi Odajima, and Takashi Ohshima*



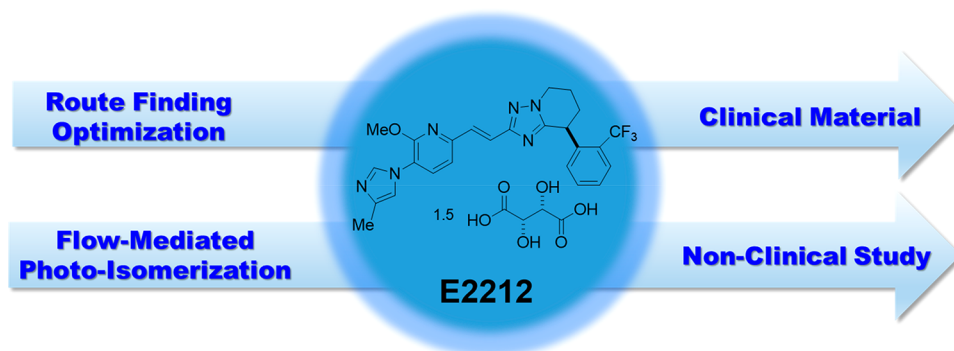
Practical Synthesis of Polymethylated Flavones: Nobiletin and Its Desmethyl Derivatives

Tomohiro Asakawa, Hiroto Sagara, Masaki Kanakogi, Aiki Hiza, Yuta Tsukaguchi, Takahiro Ogawa, Miho Nakayama, Hitoshi Ouchi, Makoto Inai, and Toshiyuki Kan*



Development of an Efficient Manufacturing Process for E2212 toward Rapid Clinical Introduction

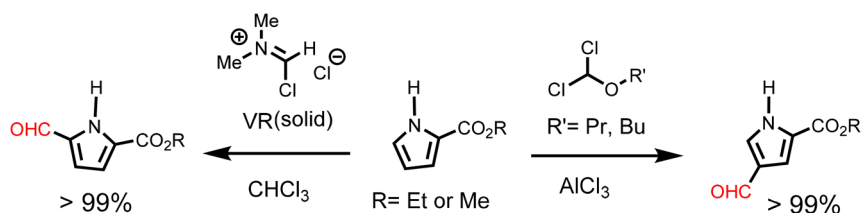
Minetaka Isomura,* Taiju Nakamura, Atsushi Kamada, Takeo Sasaki, Toshiyuki Uemura, Yoriyoshi Hoshino, Masaaki Matsuda, Yongbo Hu, Daiju Hasegawa, Kazato Inanaga, Nobuaki Sato, Kazuhiro Yoshizawa, George A. Moniz, Gordon D. Wilkie, Francis G. Fang, Yoshihiro Nishikawa, and Katsuya Tagami*



Communications

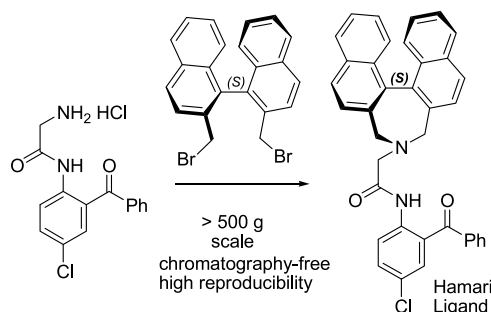
Regioselective Formylation of Pyrrole-2-Carboxylate: Crystalline Vilsmeier Reagent vs Dichloromethyl Alkyl Ether

Takuya Warashina, Daisuke Matsuura, Tetsuya Sengoku, Masaki Takahashi, Hidemi Yoda, and Yoshikazu Kimura*



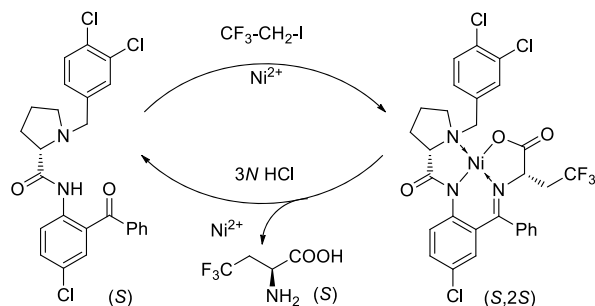
Large Scale Synthesis of Chiral (3Z,5Z)-2,7-Dihydro-1H-azepine-Derived Hamari Ligand for General Asymmetric Synthesis of Tailor-Made Amino Acids

Motohiro Takahashi,* Hiroki Moriwaki, Toshio Miwa, Brittanie Hoang, Peng Wang, and Vadim A. Soloshonok*



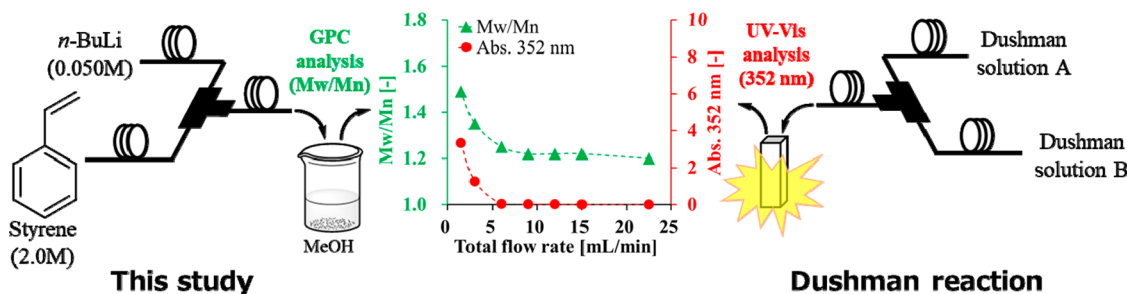
Expedient Asymmetric Synthesis of (S)-2-Amino-4,4,4-trifluorobutanoic Acid via Alkylation of Chiral Nucleophilic Glycine Equivalent

Haibo Mei, Takahiro Hiramatsu, Ryosuke Takeda, Hiroki Moriwaki,* Hidenori Abe, Jianlin Han,* and Vadim A. Soloshonok*



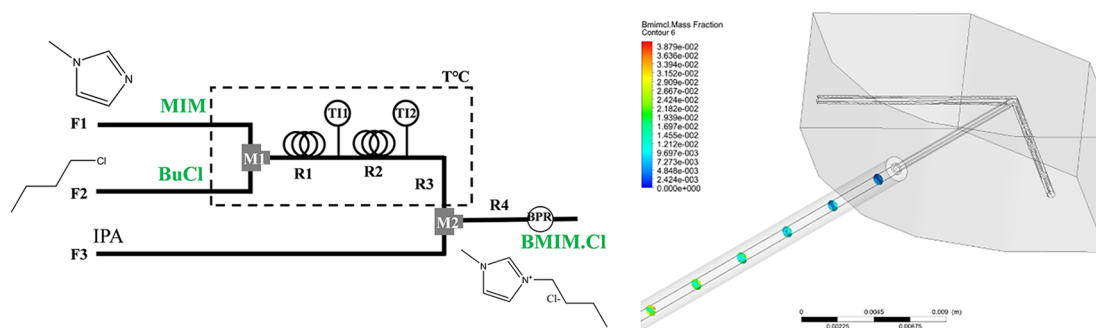
Molecular Weight Distribution of Polymers Produced by Anionic Polymerization Enables Mixability Evaluation

Yuta Endo, Mai Furusawa, Toshiya Shimazaki, Yusuke Takahashi, Yuichi Nakahara, and Aiichiro Nagaki*



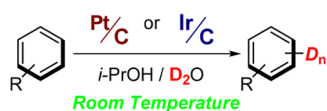
Modeling and Design of a Flow-Microreactor-Based Process for Synthesizing Ionic Liquids

Yuichi Nakahara,* Bert Metten, Osamu Tonomura, Aiichiro Nagaki, Shinji Hasebe, and Jun-ichi Yoshida



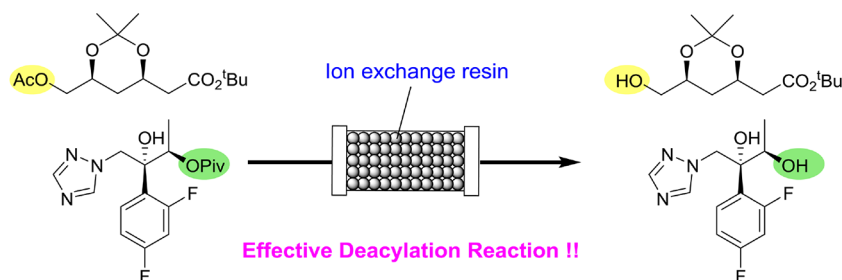
H–D Exchange Deuteration of Arenes at Room Temperature

Yoshinari Sawama,* Akihiro Nakano, Takumi Matsuda, Takahiro Kawajiri, Tsuyoshi Yamada, and Hironao Sajiki*

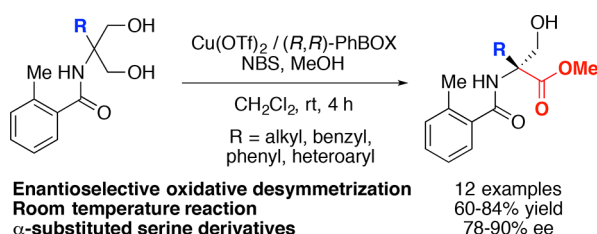


Efficient and Practical Deacylation Reaction System in a Continuous Packed-Bed Reactor

Hiroaki Yasukouchi,* Koji Machida, Akira Nishiyama, and Masaru Mitsuda

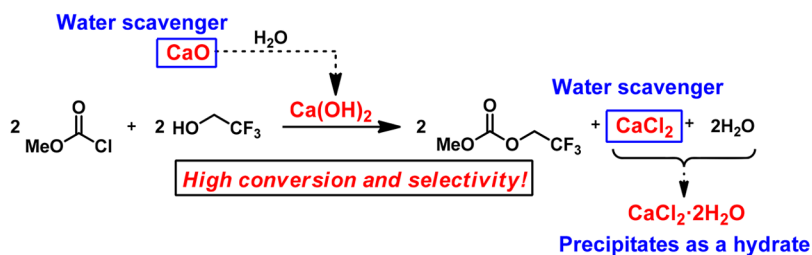
Enantioselective Synthesis of α -Substituted Serine Derivatives via Cu-Catalyzed Oxidative Desymmetrization of 2-Amino-1,3-diols

Kosuke Yamamoto, Shota Ishimaru, Tatsuya Oyama, Satoko Tanigawa, Masami Kuriyama, and Osamu Onomura*



Improved Synthesis of Unsymmetrical Carbonate Derivatives Using Calcium Salts

Tomohito Hamada, Michiaki Okada, Akiyoshi Yamauchi, and Yosuke Kishikawa*



Highlights from the Literature

Some Items of Interest to Process R&D Chemists and Engineers

Wenyi Zhao, Sylvain Guizzetti, James A. Schwindeman, David S. B. Daniels, Sylvain Petit, James J. Douglas, and John Knight*