PROGRESS IN HETEROCYCLIC CHEMISTRY

VOLUME 33

EDITORS
Gordon W. Gribble & John A. Joule

Progress in Heterocyclic Chemistry

VOLUME 33

This page intentionally left blank

Progress in Heterocyclic Chemistry

VOLUME 33

Edited by

Gordon W. Gribble
Department of Chemistry, Dartmouth College
Hanover, NH, USA

John A. Joule
Department of Chemistry, The University of Manchester
Manchester, UK

Elsevier
Radarweg 29, PO Box 211, 1000 AE Amsterdam, Netherlands
The Boulevard, Langford Lane, Kidlington, Oxford OX5 1GB, United Kingdom
50 Hampshire Street, 5th Floor, Cambridge, MA 02139, United States

Notices
Knowledge and best practice in this field are constantly changing. As new research and experience broaden our understanding, changes in research methods, professional practices, or medical treatment may become necessary.

Practitioners and researchers must always rely on their own experience and knowledge in evaluating and using any information, methods, compounds, or experiments described herein. In using such information or methods they should be mindful of their own safety and the safety of others, including parties for whom they have a professional responsibility.

To the fullest extent of the law, neither the Publisher nor the authors, contributors, or editors, assume any liability for any injury and/or damage to persons or property as a matter of products liability, negligence or otherwise, or from any use or operation of any methods, products, instructions, or ideas contained in the material herein.

Library of Congress Cataloging-in-Publication Data
A catalog record for this book is available from the Library of Congress

British Library Cataloguing-in-Publication Data
A catalogue record for this book is available from the British Library

ISBN: 978-0-323-98410-2
ISSN: 0959-6380

For information on all Elsevier publications visit our website at
https://www.elsevier.com/books-and-journals

Publisher: Susan Dennis
Editorial Project Manager: Franchezca A. Cabural
Production Project Manager: Bharatwaj Varatharajan
Cover Designer: Alan Studholme

Typeset by TNQ Technologies

Contents

Contributors **xi**
Foreword **xv**

1 Recent discoveries of naturally occurring halogenated nitrogen heterocycles **1**
Gordon W. Gribble
1.1 Introduction **1**
1.2 Pyrroles **1**
1.3 Indoles **7**
1.4 Miscellaneous nitrogen heterocycles **14**
1.5 Amino acids and peptides **16**
1.6 Bromotyrosine spiroisoxazolines **18**
1.7 Nitrogen-containing azaphilones **21**
1.8 Conclusion **22**
References **23**
Further reading **26**

2 Review Chapter **27**

3 Three-membered ring systems **29**
Jonathon S. Russel
3.1 Introduction **29**
3.2 Epoxides **30**
3.3 Aziridines **40**
3.4 2*H*-azirines **48**
References **50**

4 Four-membered ring systems **53**
Josefa Anaya and Ramón M. Sánchez
4.1 Introduction **53**
4.2 Azetidines, azetines, and related systems **53**
4.3 Monocyclic 2-azetidinones (β-lactams) **57**
4.4 Fused β-lactams and spirocyclic β-lactams **65**
4.5 Oxetanes, dioxetanes, and 2-oxetanones (β-lactones) **70**

4.6 Thietanes and related systems **78**
4.7 Silicon and phosphorus heterocycles: Miscellaneous **80**
References **83**

5.1 Five-membered ring systems: thiophenes and selenium/tellurium analogues and benzo analogues **93**
John A. Joule
5.1.1 Introduction **93**
5.1.2 Thienyl and benzo[*b*]thienyl groups as substituents **94**
5.1.3 Thiophene ring properties **97**
5.1.4 Thiophene ring substitution **98**
5.1.5 Thiophene ring synthesis **102**
5.1.6 Reactions at thiophene side-chains **104**
5.1.7 Thiophene oligomers **107**
5.1.8 Thiophene polymers **108**
5.1.9 Benzo[*b*]thiophenes—reactions **109**
5.1.10 Benzo[*b*]thiophenes—ring synthesis **110**
5.1.11 Selenophenes and tellurophenes—reactions **113**
5.1.12 Selenophenes and tellurophenes—ring synthesis **114**
References **114**

5.2 Five-membered ring systems: pyrroles and benzo analogues **119**
Khalilia Tillett, Kyle Pedretty and Justin M. Lopchuk
5.2.1 Introduction **119**
5.2.2 Synthesis of pyrroles **119**
5.2.3 Reactions of pyrroles **131**
5.2.4 Synthesis of indoles **137**
5.2.5 Reactions of indoles **146**
5.2.6 Isatins, oxindoles, indoxyls, and spirooxindoles **162**
5.2.7 Carbazoles **164**
5.2.8 Azaindoles **166**
5.2.9 Isoindoles **166**
References **166**

5.3 Five-membered ring systems: furans and benzofurans **175**
Halina Kwiecień
5.3.1 Introduction **175**
5.3.2 Furans **175**
5.3.3 Benzo[*b*]furans **192**
5.3.4 Benzo[*c*]furans **212**
5.3.5 Dibenzofurans **213**
References **215**

5.4 Five-membered ring systems: with more than one N atom **223**
Larry Yet
5.4.1 Introduction **223**
5.4.2 Pyrazoles and ring-fused derivatives **223**
5.4.3 Imidazoles and ring-fused derivatives **233**
5.4.4 1,2,3-triazoles and ring-fused derivatives **244**
5.4.5 1,2,4-triazoles and ring-fused derivatives **252**
5.4.6 Tetrazoles and ring-fused derivatives **256**
References **259**
Further reading **274**

5.5 Five-membered ring systems: with N and S atoms **277**
Yong-Jin Wu
5.5.1 Introduction **277**
5.5.2 Synthesis of thiazoles **277**
5.5.3 Synthesis of benzothiazoles **282**
5.5.4 Synthesis of isothiazoles **285**
5.5.5 Reactions of thiazoles, benzothiazoles, and isothiazoles **287**
5.5.6 Thiazole-containing natural products **291**
References **291**

5.6 Five-membered ring systems: with O and S (Se, Te) atoms **293**
R. Alan Aitken and Fiona M. Fotherby
5.6.1 1,3-Dioxoles and dioxolanes **293**
5.6.2 1,3-Dithioles and dithiolanes **295**
5.6.3 1,3-Oxathioles and oxathiolanes **299**
5.6.4 1,2-Dioxolanes **301**
5.6.5 1,2-Dithioles and dithiolanes **302**
5.6.6 1,2-Oxathioles and oxathiolanes **303**
5.6.7 Three heteroatoms **303**
References **304**

5.7 Five-membered ring systems with O and N atoms **311**
Franca M. Cordero, Luisa Lascialfari and Fabrizio Machetti
5.7.1 Isoxazoles **311**
5.7.2 Isoxazolines **315**
5.7.3 Isoxazolidines **318**
5.7.4 Oxazoles **322**
5.7.5 Oxazolines **326**
5.7.6 Oxazolidines **330**
5.7.7 Oxadiazoles **334**
References **335**
Further reading **340**

6.1 Six-membered ring systems: pyridines and benzo derivatives **341**
Jeanese C. Badenock
6.1.1 Introduction **341**
6.1.2 Pyridines **341**
6.1.3 Quinolines **350**
6.1.4 Isoquinolines **362**
References **369**

6.2 Six-membered ring systems: diazines and benzo derivatives **381**
K. Alison Rinderspacher
6.2.1 Introduction **381**
6.2.2 Pyridazines and benzo derivatives **382**
6.2.3 Pyrimidines and benzo derivatives **401**
6.2.4 Pyrazines and benzo derivatives **416**
References **436**

6.3 Triazines, tetrazines, and fused ring polyaza systems **441**
Marie-Aude Hiebel and Franck Suzenet
6.3.1 Introduction **441**
6.3.2 Triazines **441**
6.3.3 Tetrazines **455**
6.3.4 Fused higher polyazaaromatics **460**
References **464**

6.4 Six-membered ring systems: with *O* and/or *S* atoms **473**
Clementina M.M. Santos and Artur M.S. Silva
6.4.1 Introduction **473**
6.4.2 Heterocycles containing one oxygen atom **476**
6.4.3 Heterocycles containing one or two sulfur atoms **515**
6.4.4 Heterocycles containing two or more oxygen atoms **517**
6.4.5 Heterocycles containing two sulfur atoms **519**
6.4.6 Heterocycles containing both oxygen and sulfur in the same ring **519**
References **520**

7 Seven-membered rings **533**
Alex C. Bissember, Christopher J.T. Hyland, Steven M. Wales, Bill C. Hawkins, Jack L.-Y. Chen, Cassandra L. Fleming, Andrew P. Cording, Jackson S. Henneveld, Stephen Lo and Samuel D. Zarfos
7.1 Introduction **533**
7.2 Seven-membered systems containing one heteroatom **534**
7.3 Seven-membered systems containing two heteroatoms **546**
7.4 Seven-membered systems containing three or more heteroatoms **569**
References **571**

8 Eight-membered and larger rings **583**
Charles N. Moorefield and George R. Newkome
8.1 Introduction **583**
8.2 Carbon−oxygen rings **584**
8.3 Carbon−sulfur rings **586**
8.4 Carbon−boron rings **587**
8.5 Carbon−nitrogen rings **587**
8.6 Carbon−selenium rings **589**
8.7 Carbon−sulfur−oxygen rings **589**
8.8 Carbon−nitrogen−selenium/tellurium rings **590**
8.9 Carbon−nitrogen−oxygen rings **590**
8.10 Carbon−nitrogen−sulfur rings **591**
8.11 Carbon−nitrogen−phosphorus rings **592**
8.12 Carbon−nitrogen−sulfur−oxygen (or selenium, tellurium) rings **592**
8.13 Carbon−nitrogen−metal rings **593**
References **593**

Index **599**

Six-membered ring systems: with *O* and/or *S* atoms

6.4

Clementina M.M. Santos [1], *Artur M.S. Silva* [2,*]
[1]Centro de Investigação de Montanha (CIMO), Instituto Politécnico de Bragança, Bragança, Portugal; [2]LAQV-REQUIMTE, Department of Chemistry, University of Aveiro, Aveiro, Portugal
*Corresponding author: artur.silva@ua.pt

6.4.1 Introduction

A large variety of publications have emerged in 2020 involving *O*- and *S*-six-membered ring systems. Special emphasis is given to the large number of reviews that have been published during this year, perhaps because the pandemic situation caused by COVID-19 forced scientists around the world to leave their work in the laboratory and concentrate their efforts on the compilation of reviews.

Reviews on natural products include the chemistry, biology, and chemoenzymatic synthesis of pyran derivatives of ambruticins and jerangolids (20NPR1300); chemoenzymatic total syntheses of pyran-containing meroterpenoid natural products from *Streptomyces* bacteria (20NPR1334); total synthesis and biomedical applications of tetrahydropyran bryostatins (20CEJ1166); comparative study on the application of α-amino acid and non−amino acid-derived synthons toward total syntheses of selected natural tetrahydropyran macrolides [e.g., ripostatin B, (−)-zampanolide, biselyngbyolide B, (−)-amphidinolides O and P, ustiloxin D, and (+)-phorboxazole A] (20CEJ5131); chemistry and biological activity of secondary metabolites from hypocrealean entomopathogenic fungi including chroman, isochromene, pyran-2-one, and isocoumarin derivatives (20NPR1181); synthesis and biological activity of angular benzochromene conocurvone and related compounds (20H(100)177); isolation, synthesis, biosynthesis, and biological activity of isochromenes azaphilones (20RSCA10197); synthesis and biological activity of 5,6-dihydro-2*H*-pyran-2-ones phomopsolides and phomopsolidones (20CC12885); synthetic strategies and tactics applied in the total synthesis of aryl *C*-glycosides linked to several *O*-six-membered heterocycles (20CR1495); and isolation, synthesis, and biological activity of natural furano[3,2-*c*]coumarins (20RSCA33344).

Recent advances on antimalarial activity and structure−activity relationships of different linker-tethered artemisinin-derived dimers (20JHC526); on methods to modulate the fluorescence of synthetic dyes and sensors, possessing coumarin and xanthene skeletons, for biological applications (20OBC5747); and on the synthesis and application of coumarin fluorescent probes (20RSCA10826) were disclosed.

Progress in Heterocyclic Chemistry. https://doi.org/10.1016/B978-0-323-98410-2.00015-1

Mini reviews on the synthesis of tetrahydrobenzo[*c*]chromenes tetrahydrocannabinols (20OBC3203), on the synthesis of pyrano[3,2-*c*]coumarins (20NJC18980), and on the synthesis of 3-substituted 4*H*-chroman-4-ones through radical cascade cyclization reactions (20EJO1588) have also appeared.

Overviews on specific reactions, namely, transition-metal−catalyzed C−H activation in cascade heterocycle synthesis, including naphtho[1,8-*c*]pyrans, 2*H*-chromenes, coumarins, and xanthenes (20CEJ9749); radical-mediated oxidative 1,*n*-enyne annulation strategy involving C−H functionalization in the synthesis of 4*H*-pyran and coumarin derivatives (20CC6907); Michael and Hantzsch reactions in the synthesis of several *O*-heterocycles (4*H*-pyrans, 4*H*-chromenes, xanthenes) (20JHC1476); tandem Prins cyclization in the construction of fused/bridged/spiro tetrahydropyrans (20OBC7514); organocatalyzed [3+3] annulation reactions for the synthesis of pyran, thiopyran, and pyran-2-one derivatives (20S1181); and directing-group−assisted transition-metal−catalyzed direct oxidative annulation of arenes with diverse alkynes for the synthesis of several *O*-six-membered heterocycles (20S993) have been reported.

Studies on specific reagents were accomplished and include asymmetric ynamide chemistry with focus on the general scope, current limitations, stereochemical reaction control, and mechanistic aspects in the synthesis of 3,4-dihydro-2*H*-pyran-, 2*H*-chromene-, and isochromene-type compounds (20CSR8543); Brønsted acid−mediated reactions of ynamides, including cycloaddition, cyclization, intramolecular alkoxylation-initiated rearrangement, oxygen atom transfer reactions, and hydroheteroatom addition reactions for the preparation of 2*H*-chromene and isochromene derivatives (20CSR8897); regioselective C−H functionalizations of isoprene for the synthesis of chroman-type compounds (20SL1649); 3-formylchromones in the synthesis of various heterocyclic compounds (20H(100)993); aryne precursors in the synthesis of fluorinated tetrahydropyran and xanthene derivatives (20OBC9562); carbonylative synthesis of carbonyl-containing heterocycles (3,4-dihydrocoumarins and 4*H*-chroman-4-ones) using diverse CO surrogates (20CC6016); aldehydes as direct acylation surrogates in the synthesis of 2*H*-chromenes, coumarins, and 4*H*-chromen-4-ones (20OBC7987); 2-activated 1,3-enynes in enantioselective synthesis of 4*H*-pyrans (20OBC7977); alkaline earth metals, including magnesium, calcium, and strontium, for the synthesis of several 2*H*-chromens, chromans, 5,6-dihydro-2*H*-pyran-2-ones, and 2,3-dihydro-4*H*-pyran-4-ones (20OBC6443); lemon juice as biocatalyst in the synthesis of 4*H*-pyran-, coumarin-, and xanthene-type compounds (20TL152298); magnetic nanocatalysts in synthesis of xanthenes (20SC3777); and metal nanoparticles in the synthesis of various oxygen-containing heterocycles (20RSCA32740).

The importance of other versatile reagents was also reviewed, namely on the synthesis of small fluorescent xanthene-type probes, together with new supramolecular functional systems used as pH probes (20CEJ7516), emerging organic photoredox catalysts and the reactions catalyzed thereby (20EJO6028), on *p*-quinone methides as acceptors in 1,6-nucleophilic conjugate addition reactions (20EJO2650), on 2-arylidene-1,3-indanediones in annulation reactions for the stereoselective synthesis of spiro- and fused compounds containing tetrahydropyran, chroman, and tetrahydro-2*H*-pyran-2-one moieties (20NJC17148).

New approaches for the synthesis of naturally occurring bioactive compounds have appeared. Different routes have been established for the total synthesis of several *O*- and *S*-six-membered-containing heterocycles, namely, 3,4-dihydro-2*H*-pyran (−)-strictosidine (20AGE13414); 3,6-dihydro-2*H*-pyrans (−)-crisamincin A (20OL3607) and (−)-TAN-2483B (20OL9427); 4*H*-pyran karrikinolide (20JOC3936); tetrahydropyrans (+)-diospongin A (20T131625); exoguolide (20CEJ12862); meayamycin and *O*-acyl analogues (20OL8714); meayamycin B (20JOC4637), (±)-melicolones A and B (20OL9071), (−)-ophiocerins A and B and (+)-ophiocerin C (20TL151960); (−)-spirochensilide A (20JA8116); thromboxane B_2 (20OL6505); fused bistetrahydropyran (+)-blepharocalyxin D and analogues (20OL2548); 2*H*-chromenes yaequinolones J1 and J2 (20OL675); chromans (+)-brazilin (20TL152052), 9β-11-hydroxyhexahydrocannabinol (20JOC1291), caesalpinnone A (20OL520), (−)-guignardones A and B (20OL1644), (+)-phomactin A (20JA15536); pyran-2-ones *iso*-arabidopyl alcohol and *iso*-arabidopic acid (20SC2981), germicidin N and its stereoisomers (20SC1504), phelligridins C and D and phellifuropyranone A (20BCSJ1540) and surugapyrone B (20JHC1090); 5,6-dihydropyran-2-ones cryptolactones A_1, A_2, B_1, and B_2 (20CPB380), nafuredin B (20OBC2346), pironetin (20T131660); tetrahydro-2*H*-pyran-2-ones (+)-ieodomycin B and its three stereoisomers (20OBC9227), isocoumarins (−)-citreoisocoumarin, (−)-citreoisocoumarinol, 12-*epi*-citreoisocoumarinol, and (−)-mucorisocoumarins A and B (20JOC4122); 3,4-dihydroisocoumarins 8-*epi*-(−)-ajudazol B (20EJO6661), (−)-bactobolin A (20JA7306), (±)-clivonine (20JOC10035), 6-methoxymellein, kigelin, and fusarentin 6,7-dimethyl ether (20T131524), *ent*-10-oxodehydrodihydrobotrydial (20AGE2674), (−)-sigillin A (20OL7721); 4*H*-chromen-4-one leontopodioside A (20TL151886), 4*H*-chroman-4-ones applanatumols X and Y (20TL152611), methylophiopogonanone A (20H(100)803); 9*H*-xanthen-4-ones FD-594 (20AGE4360) and rugulotrosin A (20OL1485); thiochroman-(−)-preussochromone A (20OL6127); 1,4-oxathiane tagetitoxin (20JA13683); and 1,3-dioxanes palmarumycin BG1−3, BG5−6, C_1 and guignardin E (20RSCA1588).

Formal total synthesis of natural tetrahydropyran derivative anhydroryanodol (20JA12937) and 5,6-dihydropyran-2-one (+)-dihydrokawain-5-ol (20SC1361) has been disclosed. Total synthesis of naturally occurring analogues include tetrahydropyran derivative bryostatin 1 and analogues (20JOC15116), chroman homoproaporphine (20OL7526); pyran-2-one (*S*)-(+)-*ent*-phomapyrones B (20JHC1090); and coumarin derivatives lamellarins D and G ethers (20JOC1054).

Total synthesis and structural reassignment of 5,6-dihydro-2*H*-pyran-2-ones 2,18-*seco*-lankacidinol B and *iso*-lankacidinol (20JA15116) and total synthesis and absolute configuration of tetrahydro-2*H*-pyran-2-one penicitide A (20OL745) and of 4*H*-chroman-4-one sophoraflavanone H (20OL3820) were also accomplished.

Biomimetic total synthesis allows the structure revision of natural chroman derivatives littordials E and F and drychampone B (20OL8161), of 5,6-dihydro-2*H*-pyran-2-one 2,18-*seco*-lankacidinol A (20OL3785), of tetrahydro-2*H*-pyran-2-one isolankacidinol (20JOC13818), of dioxabicyclo[3.3.1]nonanes sanctis A and B (20OL934); and the preparation of natural chroman derivatives baefrutones A−D and frutescones A, D−F (20OBC1135). Divergent biomimetic total syntheses for

benzochromans ganocins A−C and benzo[*c*]chromenes ganocochlearins C and D and cochlearol T were established (20AGE7419). Total biosynthesis of natural hexahydrochromans paspaline and terpendoles C, E, I, and J (20AGE17996) and of tetrahydro-9*H*-xanthen-4-ones blennolides A and C (20OL1919) and combinatorial biosynthesis of tropolone-fused dihydropyran analogues pycnidione and xenovulene A (20AGE23870) were also published. In addition, the chemoenzymatic synthesis of 12 unnatural chroman-containing meroterpenoids starting from synthetic 3,5-dimethylorsellinic acid and derivatives was reported (20AGE23772).

The synthesis of 5,6-dihydro-2*H*-pyran subunit in the total synthesis of jerangolids (20EJO5833), of tetrahydropyran core of indanomycin and related natural products (20EJO1947) of DFGH-ring of natural tetrahydropyran-2-one-containing physalins (20OL8877), and of dioxabicyclo[3.3.1]nonane core of integrastatin (20BCSJ1036) has been accomplished.

Synthesis of opioid spirocyclohexane indolo[*b*]dihydro-2*H*-pyran cebranopadol involves spirocyclization through oxa-Pictet−Spengler reaction of 5-fluoro-3-(2-hydroxyethyl)indole with 4-nitro-4-phenylcyclohexanone (20OL6420), and of the pyrrolocoumarin core of lamellarins and related natural products is achieved through Barton−Zard reaction and selective *O*-demethylation of 1,2-diaryl-1-nitroethenes with ethyl isocyanoacetate (20EJO2093), as key steps.

Fused bicyclo[3.3.1]nonanes were obtained by enantioselective Michael/ketalization of (*E*)-2-hydroxyaryl-2-oxobut-3-enoates with pyrazolone derivatives (20OL3936), divergent domino annulation reaction of 2-hydroxy-2-methylchromene derivatives with prop-2-ynylsulfonium salts (20OL5941), and regiodivergent acid−catalyzed pseudo-three-component reaction of two equivalents of 4-hydroxycoumarin and (*Z*)-3-chloro-3-phenylacrylaldehyde (20OL3166). *N*-Iodosuccinimide mediates a diastereoselective dimerization reaction of 2-alkynylnaphth-2-ols to afford bicyclo[3.2.1]octanes (20OL4461).

The preparation and photochromic behavior of a couple of naphthopyran-fused pyran-2-ones has been described (20EJO985). The mechanism of the BF_3-catalyzed Manolikakes enamide-based domino reaction for the synthesis of highly substituted tetrahydropyrans has been elucidated by computational methods (20JOC3806).

Hereinafter, we provide a personal overview of the most important developments in the synthesis of *O*- and *S*-six-membered heterocycles, published in 2020.

6.4.2 Heterocycles containing one oxygen atom

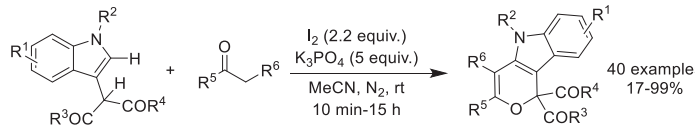
6.4.2.1 Pyrans

The synthesis of functionalized 4-alkynyl-2*H*-pyran-3-carboxylates is accomplished through an oxa-[3+3] annulation reaction of Morita−Baylis−Hillman (MBH)-carbonates of propiolaldehydes with α-nitro/bromo ketones promoted by 1,4-diazabicyclo[2.2.2]octane (DABCO) in THF at 0−25°C (20CC7191).

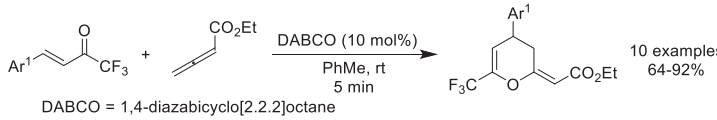
A wide range of indolo[3,2-*c*]-2*H*-pyrans containing electron-withdrawing substituents at C2 were synthesized through oxidative coupling of indolomalonate derivatives with active methylene compounds followed by tandem 6π-electrocyclization reactions promoted by iodine and potassium phosphate (Scheme 1) (20OL5528) and through double electrochemical oxidative [3+3] processes in the presence of sodium iodide and $NaBF_4$ (20AGE11886), in acetonitrile at room temperature.

Polysubstituted 5,5-difluoropent-4-en-1-ols underwent nucleophilic substitution reactions by treatment with sodium hydride in DMF to afford 6-fluoro-3,4-dihydro-2*H*-pyrans in good yields (20OL3509). DABCO-catalyzed [2+2] annulation reactions of 4-aryl-1,1,1-trifluorobut-3-en-2-ones with ethyl allenoate in toluene at room temperature for 5 minutes led to ethyl (*E*)-2-[4-aryl-6-(trifluoromethyl)-3,4-dihydro-2*H*-pyran-2-ylidene)acetates (Scheme 2) (20SC315). A few 5-(benzo[*d*]thiazol-2-ylsulfonyl)-2-ethoxy-3,4-dihydro-2*H*-pyrans were obtained via intermolecular hetero-Diels–Alder (hDA) cycloaddition reaction of (*E*)-3-(benzo[*d*]thiazol-2-ylsulfonyl)but-3-en-2-ones with ethyl vinyl ether in benzene at room temperature. Similarly, intramolecular hDA reaction of ketosulfone bearing a propargyloxy group led to pyrano[3,4-*c*]chroman in 93% albeit under harsher reaction conditions [1,2-dichloroethane (1,2-DCE), microwave irradiation, 150°C, 200 W] (20JOC7192).

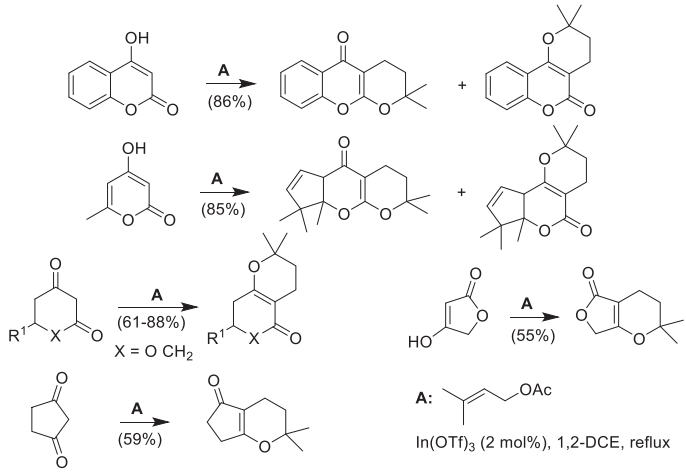
A gold(I) complex mediates cycloisomerization reactions of oxygen-tethered 1,6-enynes in toluene at 60°C to give various cyclopropano[*c*]-3,4-dihydro-2*H*-pyrans in moderate to excellent yields (20OL4058). Diastereoselective synthesis of 2,4-diaryl-3,4-dihydroxylated pyrazolo[5,4-*b*]-3,4-dihydro-2*H*-pyrans is accomplished via cascade annulation reactions of chalcone epoxides with 1-aryl-3-methylpyrazol-5-ones in the presence of potassium *t*-butoxide in ethanol at room temperature (20RSCA19003). Formal [4+2] cycloaddition reactions of DNA-encoded 5-alkenylthiazol-4-ones with aldehydes or ketones carried out in the presence of pyrrolidine and benzoic acid in a 1:1 mixture of DMSO:water provides a library of DNA-encoded thiazole-fused 3,4-dihydro-2*H*-pyrans (20OL3239). One-pot Friedel–Crafts *C*-allylation followed by cyclization reactions of cyclic 1,3-diketones with prenyl acetate mediated by indium(III) triflate in refluxing 1,2-DCE affords heterocyclic ring-fused 2,2-dimethyl-3,4-dihydro-2*H*-pyrans (Scheme 3) (20NJC6042).



Scheme 1



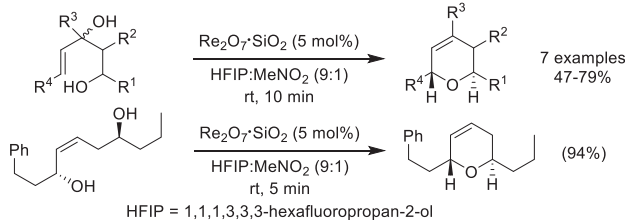
Scheme 2



Scheme 3

It is through Re_2O_7-catalyzed dehydrative cyclization reaction that monoallylic 1,3- or 1,5-diols in a 9:1 mixture of 1,1,1,3,3,3-hexafluoropropan-2-ol (HFIP):nitromethane at room temperature in a range of 5−10 min delivers 2,6-*trans*-3,6-dihydro-2*H*-pyrans as major products (Scheme 4) (20OL9513). A chiral hydrogen borate comprising an *O*,*N*,*N*,*O*-tetradentate backbone is used as catalyst in the asymmetric Prins-type cyclization reaction of 3-aryl-1-vinyloxybut-3-enes in the presence of the desiccant Drierite (porous anhydrous calcium sulfate) in chloroform at −60°C to give only *cis*-2,3-disubstituted 4-aryl-3,6-dihydro-2*H*-pyrans (20AGE11456).

A broad range of 2-acetyl-3,6-dihydro-2*H*-pyran-2-carboxylates arise from [5+1] annulation reactions of 4-bromo- or 4-mesyloxy-but-2-enyl peroxides with aliphatic and aromoatic β-keto esters in the presence of cesium carbonate in ethyl acetate at −20°C and 50°C, respectively. The reaction was extended to other nucleophiles such as phenyl ester, cyanide, cyclic, and acyclic ketones (20CC13189). Three-component reaction of vinylcyclopropanes with diazoesters and diphenyl sulfoxide under dual catalysis of rhodium(I)/lanthanium(III) complexes provides 4,6-disubstituted 3,6-dihydro-2*H*-pyran-2,2-dicarboxylates. It involves vinylcyclopropanes isomerization, oxygen atom transfer from diphenyl sulfoxide to diazoesters,

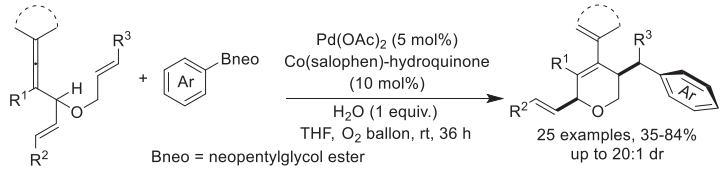


Scheme 4

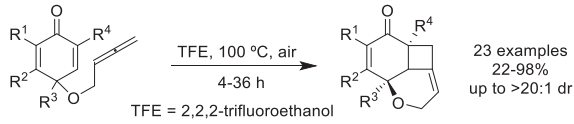
1,5-hydrogen transfer, and [4+2] cycloaddition reactions (20OL5627). Under aerobic oxidation conditions, stereoselective oxidative carbocyclization of dienallenes with arylboronic acid neopentylglycol ester promoted by palladium(II) acetate and cobalt complex [Co(salophen)-hydroquinone] as hybrid electron transfer mediator, in the presence of water and using THF as solvent affords *cis*-3,6-disubstituted 3,6-dihydro-2*H*-pyrans with high diastereoselectivity (Scheme 5) (20JA5751).

Oxa-Pictet−Spengler reaction of (indol-3-yl)ethan-1-ols with ketals promoted by a Fe_4L_6 cage complex bearing 12 carboxyclic acids in deuterated acetonitrile at room temperature furnishes a series of 2-substituted indolo[2,3-*c*]-5,6-dihydro-2*H*-pyrans (20AGE23505). An enantioselective version uses a carboxylic acid thiourea catalyst in toluene (20AGE2028). A variety of CF_3-containing indolino[3,2-*b*]-5,6-dihydro-2*H*-pyrans is readily available through [4+1]/[3+3] domino sequential annulation reaction of *o*-aminotrifluoroacetophenone derivatives with β′-acetoxy allenoates promoted by 4-dimethylaminopyridine (DMAP) and potassium carbonate in chloroform, in high yields and stereoselectivity (20OL6750). Thermally promoted intramolecular [2+2] cycloaddition reaction of cyclohexadienone-tethered allenes in 2,2,2-trifluoroethanol (TFE) provides various tricyclic cyclobutane-fused cyclohexano[*b*]-5,6-dihydro-2*H*-pyrans (Scheme 6) (20CC3405).

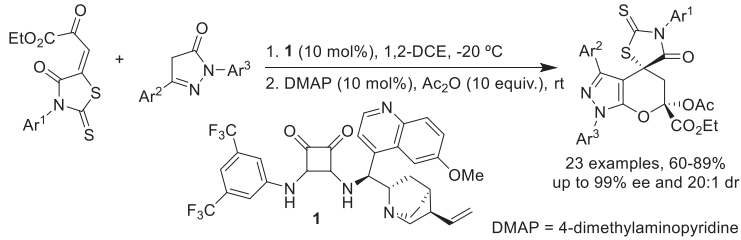
A variety of chiral spirothiazolidino pyrazolo[5,4-*b*]-3,4-dihydro-2*H*-pyran-2-carboxylates was synthesized via an enantioselective [3+3] annulation reaction of rhodamine-derived ketoesters with 2,5-diaryl-2,4-dihydro-3*H*-pyrazol-3-ones enabled by cinchona alkaloid-derived squaramide catalyst **1** in 1,2-DCE at −20°C and subsequent addition of DMAP and acetic anhydride at room temperature (Scheme 7) (20OL1028).



Scheme 5



Scheme 6

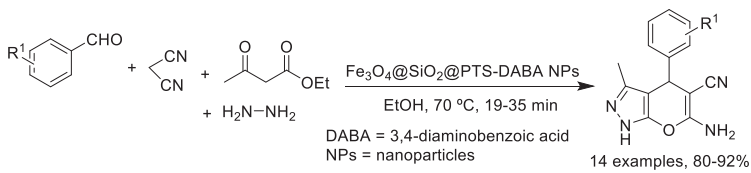


Scheme 7

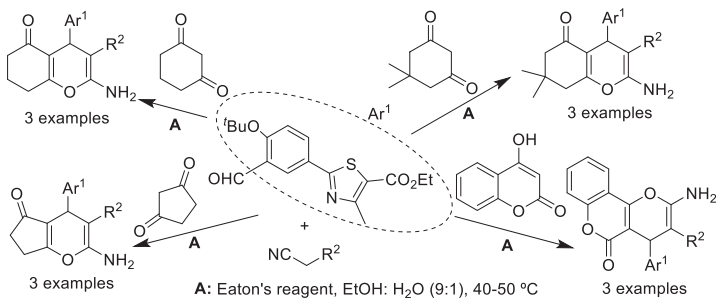
One-pot tandem reaction of curcumin with aromatic aldehydes and malononitrile promoted by sodium formate in ethanol at room temperature produces curcumin-derived 2-amino-4-aryl-4*H*-pyran-3-carbonitriles (20JHC744). Various ethyl 6-amino-4-aryl-5-cyano-2-methyl-4*H*-pyran-3-carboxylates can be prepared through multicomponent reaction of benzaldehydes with malononitrile and ethyl acetoacetate in water mediated by Fe_3O_4@-nanofiber cellulose (NFC)@Co(II) complex at 55°C. Addition of hydrazine hydrate led to pyrazolo-fused 2-amino-4-aryl-4*H*-pyran-3-carbonitriles (20RSCA37086). Further derivatives arise from a similar four-component reaction mediated by Fe_3O_4@SiO_2@PTS-3,4-diaminobenzoic acid (DABA) nanocatalyst in ethanol at 70°C (Scheme 8) (20NJC13952).

A series of polysubstituted 2-amino-4-aryl-4*H*-pyran-3-carbonitriles were synthesized via three-component reaction of *N*-aryl-3-oxopropanamides with benzaldehyde and malononitrile or ethyl cyanoacetate in the presence of triethylamine in ethanol. Using salicylaldehydes, several chromen-1-imine-fused 2-amino-4*H*-pyrans are formed (20JHC4023). Aromatic aldehydes bearing a thiazolo substituent undergo multicomponent reaction with active methylene compounds and several cyclic 1,3-dicarbonyl compounds (cyclopenta-1,3-dione, cyclohexa-1,3-dione, 5,5-dimethylcyclohexa-1,3-dione, and 4-hydroxycoumarin) promoted by Eaton's reagent in a 9:1 mixture of ethanol:water at 40−50°C to deliver the corresponding cycloalkane-fused 4*H*-pyran derivatives (Scheme 9) (20JHC1403).

Three-component reaction of bis(aldehydes), linked via phenoxymethyl to a thieno[2,3-*b*]thiophene core, with malononitrile and several active methylene compounds (namely dimedone, 4-hydroxycoumarin, and 5-methyl-2,4-dihydro-3*H*-pyrazol-3-one) using piperidine as basic catalyst in refluxing 1,4-dioxane affords the respective bis(2-amino-3-cyano-4*H*-chromene), bis(2-amino-3-cyanopyrano[3,2-*c*]coumarin),



Scheme 8

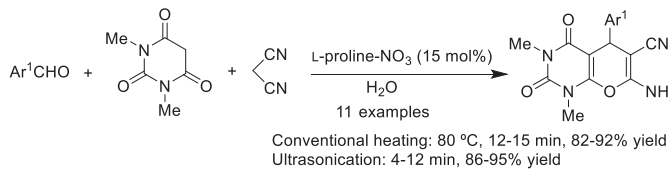


Scheme 9

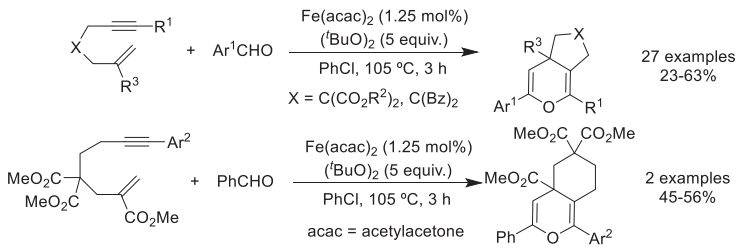
and bis(6-amino-5-cyano-1,4-dihydropyrano[2,3-*c*]pyrazole) derivatives incorporating thieno[2,3-*b*]thiophene unit (20JHC2243). Multicomponent reaction of 2-(4-oxo-4,5-dihydrothiazol-2-yl)acetonitrile with aromatic aldehydes and malononitrile or ethyl cyanoacetate in the presence of triethylamine in ethanol prompted a series of 4-aryl thiazolo[4,5-*b*]-4*H*-pyran-3-carbonitriles (20JHC1330). Several 2-amino-4-aryl pyrimido[4,5-*b*]-4*H*-pyran-3-carbonitriles are available from three-component reaction of aromatic aldehydes with 1,3-dimethylbarbituric acid and malononitrile mediated by L-proline-NO_3 catalyst in water, under classical heating and ultrasound-assisted conditions (Scheme 10) (20SC3804).

High yields and excellent diastereo- and enantioselectivities are accomplished in the synthesis of highly functionalized indolo[2,3-*b*]-4*H*-pyrans through formal [4+2] annulation reaction of electron-deficient allenes with 3-alkenyl-oxindoles under the catalysis of bifunctional phosphonium salt, potassium phosphate in xylene at room temperature (20OL395). Iron(II)-catalyzed [2+2+2] annulation of malonates or 1,3-dione-bridged 1,6-enynes with aldehydes carried out in the presence of di-*t*-butyl peroxide in chlorobenzene at 105°C furnishes polyfunctionalized cyclopentano[*c*]-4*H*-pyrans. The protocol was expanded to malonate bridged 1,7-enynes to afford a couple of tetrahydroisochromene derivatives (Scheme 11) (20EJO4425).

Three-component reaction of benzaldehydes with *N*-methyl-1-(methylthio)-2-nitroethen-1-amine and methyl 3-hydroxy-1*H*-pyrazole-5-carboxylate using triethylamine in acetonitrile provides various 2-amino-4-aryl-3-nitro pyrazolo[3,4-*b*]-4*H*-pyrans in moderate to good yields. Replacing benzaldehydes by isatins, a series of spiroxindole 2-amino-3-nitro pyrazolo[3,4-*b*]-4*H*-pyrans are formed (20JHC1781). One-pot synthesis of various spiroxindole 4,5-disubstituted 2-amino-4*H*-pyran-3-carbonitriles is accomplished through multicomponent reaction of isatins with malononitrile and 4-hydroxycoumarin/barbituric acids/3-methyl-1-phenylpyrazol-5-one



Scheme 10

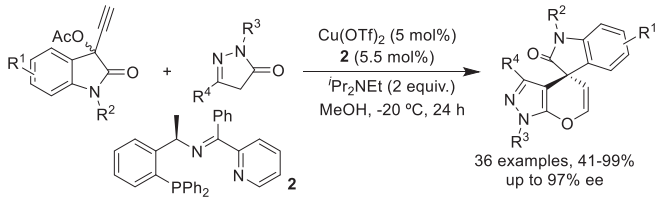


Scheme 11

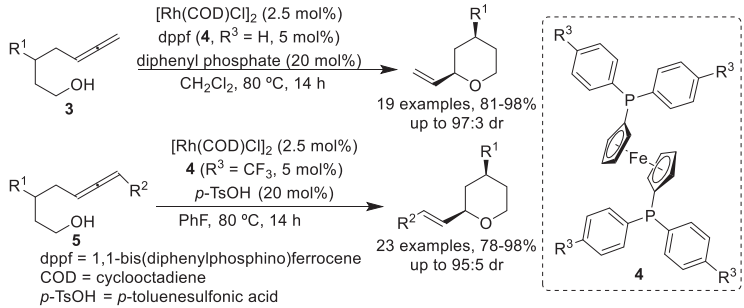
promoted by cesium fluoride in ethanol at room temperature (20JHC1101), of isatins with malononitrile and diethyl 3-oxopentanedioate in propan-1-ol at 50°C under electrochemical conditions (20JHC1599) and of isatins with malononitrile and 1,3-dicarbonyl compounds in the presence of sodium eosin Y in a mixture of 3:2 of ethyl lactate:water, under visible light irradiation (20T131059). Enantioselective [3+3] cycloaddition reaction of 3-ethynyl-2-oxoindolin-3-yl acetates with 1,3-disubstituted 1*H*-pyrazol-5(4*H*)-ones under dual catalysis of copper(II) triflate and chiral tridentate ketimine *P*,*N*,*N*-ligand in methanol gives access to a wide range of spiroxindole pyrazolo[5,4-*b*]-4*H*-pyrans, in moderate to excellent yields and with excellent enantioselectivity (Scheme 12) (20OL9534).

Organocatalytic enantio- and diastereoselective cycloetherification of 8-perfluoroalkylated 1-aryloct-2-ene-1,7-diones mediated by a bifunctional organocatalyst in the presence of three equivalents of water in dichloromethane produces a series of 2-perfluoroalkylated 6-(2-aryl-2-oxoethyl)-2-hydroxytetrahydropyrans (20CC12335). Diastereoselective synthesis of *syn*-2,4-disubstituted tetrahydropyrans occurs under rhodium(I)-catalyzed intramolecular cyclization reaction of terminal allenols **3** in the presence of 1,1-bis(diphenylphosphino)ferrocene (dppf) **4** and diphenyl phosphate in dichloromethane at 80°C and of internal allenols **5** using a dppf ligand bearing a CF_3 group and *p*-toluenesulfonic acid (*p*-TsOH) in fluorobenzene at 80°C (Scheme 13) (20AGE23485).

Palladium(II)-catalyzed oxa-[4+2] cycloaddition reactions of 2-alkenylbenzothiazoles with allyl carbonates bearing a nucleophilic alcohol side chain using 1,3-bis(diphenylphosphino)propane (dppp) as ligand in THF delivers a



Scheme 12

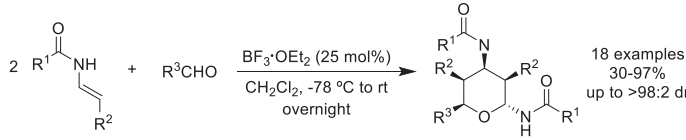


Scheme 13

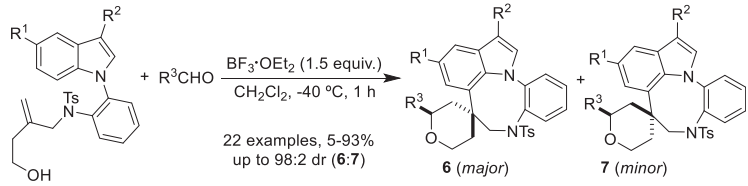
variety of 5-benzathiazole-3-methylenetetrahydropyrans (20OBC6617). Excellent diastereoselectivity is achieved in the synthesis of diversely substituted tetrahydropyran-2,4-dicarboxamides through domino reaction of two equivalents of different (*E*)-enamides or enecarbamates with aliphatic and aromatic aldehydes promoted by $BF_3 \cdot OEt_2$ in dichloromethane at −78°C (Scheme 14) (20SL1027).

Intramolecular [4+2] cycloaddition of aza-*o*-quinone methides, generated in situ from the reaction of 2-aminobenzaldehydes with 5-arylpent-4-en-1-ols, promoted by trimethylsilyl trifluoromethanesulfonate (TMSOTf) in dichloromethane affords 2-arylquinolino[4,3-*b*]tetrahydropyrans, in good yields (20EJO6887). Condensation and intramolecular alkynyl Prins reaction of oct-6-en-4-yn-1-ols with cyclic hemiaminals using trifluoromethanesulfonimide (Tf_2NH) in dichloromethane leads to the formation of tetrahydropyran oxacycle fused to several substituted seven- or eight-membered azacycles (20OL4350). A mixture of diastereomers **6** and **7** of indole-fused eight-membered spirodiazocane 2-aryltetrahydropyrans is accomplished via tandem Prins cyclization reaction of *N*-(4-hydroxy-2-methylenebutyl)-*N*-[2-(1*H*-indol-1-yl)phenyl]benzenesulfonamides with aliphatic and aromatic aldehydes employing $BF_3 \cdot OEt_2$ in dichloromethane at −40°C (Scheme 15) (20OBC6710).

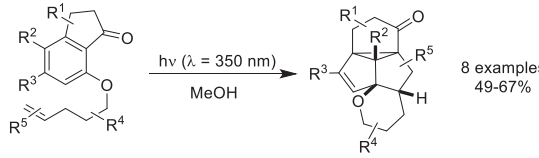
A complex three-photon cascade reaction of 7-(pent-4-en-1yloxy)indan-1-ones forms pentacyclic products with tetracyclo[5.3.1.$0^{1,7}$0^{4,11}]undec-2-ene moiety fused to a tetrahydropyran. This strategy involves *o*-photocycloaddition, disrotatory [4π] photocyclization, and di-π-methane rearrangement reactions (Scheme 16) (20AGE5656).



Scheme 14



Scheme 15



Scheme 16

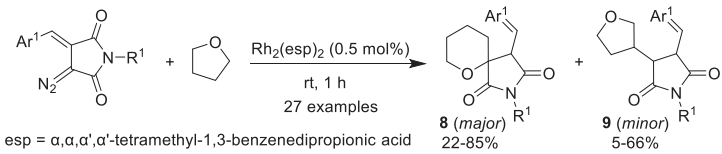
Rhodium(II)-catalyzed spirocyclization reaction of (*E*)-3-arylidene-4-diazopyrrolidine-2,5-diones with tetrahydrofuran at room temperature provides a mixture of spiro[(*E*)-3-arylidenepyrrolidine-2,5-diones] tetrahydropyrans **8** as major products along with small amounts of the C−H insertion product, 3-(tetrahydrofur-2-yl)pyrrolidines **9** (Scheme 17) (20JOC15586).

6.4.2.2 [1]Benzopyrans and dihydro[1]benzopyrans

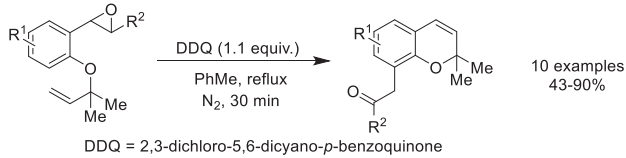
6.4.2.2.1 Chromenes and chromans

The synthesis of 2-substituted 2*H*-chromenes can be accomplished through alkenylation of salicylaldehydes with alkenylboronic acids mediated by $HBF_4 \cdot Et_2O$ in 1,4-dioxane (20EJO6000). Palladium(II)-catalyzed cascade reactions of *o*-(1-arylvinyl)bromobenzenes with *N*-tosylhydrazones of salicylaldehydes in the presence of 1,4-bis(diphenylphosphanyl)butane (dppb) ligand and potassium carbonate in 2-methylTHF produces a series of 2,2-disubstituted 2*H*-chromenes (20OBC5115). It is through cascade Claisen rearrangement/Meinwald rearrangement/oxidative oxa-6π-electrocyclization reaction that 2-{[(2-methylbut-3-en-2-yl)oxy]aryl}oxiranes in presence of 2,3-dichloro-5,6-dicyano-*p*-benzoquinone (DDQ) in refluxing toluene are converted into 8-(2-oxoalkyl)-2,2-dimethyl-2*H*-chromenes (Scheme 18) (20OL3004).

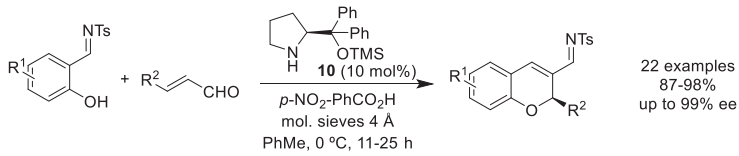
Under dual catalysis of *p*-TsOH monohydrate and pyrrolidine, the reaction of various salicylaldehydes with dimethyl or diethyl acetylenedicarboxylates in ethanol at 75°C provides a series of dimethyl or diethyl 2-hydroxy-2*H*-chromene-2,3-dicarboxylates (20TL152402). Asymmetric tandem oxa-Michael−Henry reaction of salicylaldehydes with conjugated nitroalkenes using phenyl L-prolinamide as catalyst and *p*-nitrophenol as additive in chloroform leads to 2-alkyl/aryl-3-nitro-2*H*-chromenes in excellent enantioselectivity (20JOC4627). *N*-Tosylsalicylimines underwent asymmetric domino oxa-Michael−Mannich-[1,3]-amino rearrangement reaction with α,β-unsaturated aldehydes promoted by a diarylprolinol silyl ether **10** and *p*-nitrobenzoic acid in toluene at 0°C to furnish 2-substituted 3-*N*-tosylimine-2*H*-chromenes in excellent yields and enantioselectivity (Scheme 19) (20JOC4011).



Scheme 17



Scheme 18



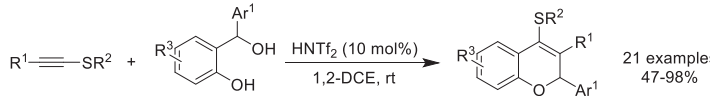
Scheme 19

A range of 4-(phosphorothio)-2,2-disubstituted 2*H*-chromenes are prepared through the reaction of 2-(3-hydroxyprop-1-yn-1-yl)phenols with *O*,*O*-diethyl phosphorothioic acid in nitromethane at 80°C, under air (20S208). Gold(I)-catalyzed cyclization reaction of 3-propargyloxy-2-iodoaryl triflates conducted in the presence of $AgNTf_2$ in 1,2-DCE at 80°C prompted several functionalized 8-iodo-7-triflato-2*H*-chromenes (20OL8505). 3-Substituted 4-(alkylthio)/4-(arylthio)-2-aryl-2*H*-chromenes arise through formal [4+2] annulation reaction of alkynyl thioethers with *o*-(hydroxyaryl)benzyl alcohols promoted by Brønsted acid Tf_2NH in 1,2-DCE at room temperature (Scheme 20) (20OL648).

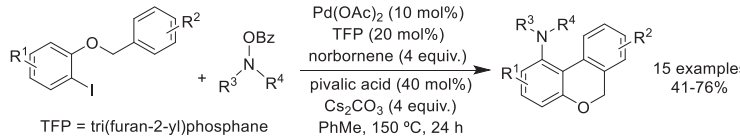
Various 2-[3-(hetero)arylpropargyloxy]-benzaldehydes or acetophenones undergo intramolecular alkyne-carbonyl metathesis mediated by 3,5-dibromopyridinium triflate in methanol at 80°C to produce 3-(hetero)aroyl-2*H*-chromenes, in high yields (20TL152657).

Palladium(II)-catalyzed Catellani reaction of 1-(arylmethyloxy)-2-iodobenzenes with secondary benzyloxyamines carried out in the presence of tri(furan-2-yl)phosphate (TFP), norbornene, cesium carbonate, and pivalic acid in toluene gives a series of 7-amino substituted 6*H*-benzo[*c*]chromenes. This strategy involves *o*-amination and unactivated $C(sp^2)$—H arylation reactions (Scheme 21) (20CC5933). The synthesis of polysubstituted benzo[*f*]chromenes is achieved via condensation reaction of naphth-2-ols with 1,1-diarylprop-2-yn-1-ols catalyzed by *p*-TsOH and trimethyl orthoformate in refluxing 1,2-DCE (20JOC10772) and catalyzed by binaphthol-derived phosphoric acid in dichloromethane at room temperature (20JOC13306).

Sequential Knoevenagel condensation of β-substituted α,β-unsaturated aldehydes with (4*R*,6*R*)-4,6-bis[(*t*-butyldimethylsilyl)oxy]cyclohexane-1,3-dione followed by 6π-



Scheme 20

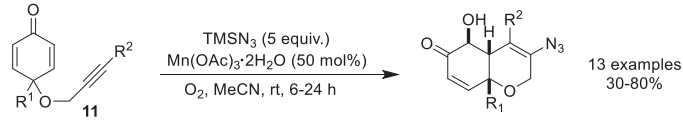


Scheme 21

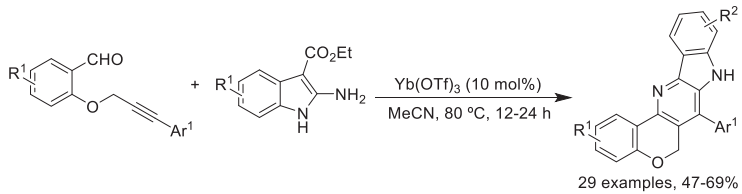
electrocyclization reaction produces 5,6,7,8-tetrahydro-2*H*-chromen-5-ones, in good yields (20TL151897). A range of 3-azidotetrahydro-2*H*-chromenes were prepared via a manganese(III)-catalyzed cascade azide radical addition/cyclization/oxygen insertion reaction of alkyne-tethered cyclohexadienones **11** with trimethylsilylazide in acetonitrile, under an oxygen atmosphere (Scheme 22) (20CC3453).

Several polysubstituted furano[2,3-*c*]chromenes arise from DMAP-catalyzed tandem reaction of *o*-alkynyl quinone methides, generated in situ from propargylic amines, with aliphatic and aromatic *N*-acylpyridinium bromides in acetonitrile at 80°C (20OL9444). 2,2-Disubstituted furano[3,2-*c*]chromenes are readily available through microwave-assisted gold(I)-catalyzed domino reaction of 4-(2-propargyloxyaryl)but-3-yn-1-ols in DMF (20OL7333). Copper(I) chloride catalyzes formal [1+2+2] annulation reaction 2-(2-propargyloxy)aryldiazoacetates with pyridines in 1,4-dioxane at 40°C to give indolizino[2,1-*c*]-2*H*-chromenes (20OBC1926). Several carboline-fused chromenes were synthesized via decarboxylative annulation reaction of *o*-propargyloxy benzaldehydes with 2-aminoindole-3-carboxylates promoted by indium triflate in acetonitrile (Scheme 23). The process involves an initial [3+2] spirocycloaddition followed by 2,3-aza migration, decarboxylation, and oxidation reactions (20OL1117).

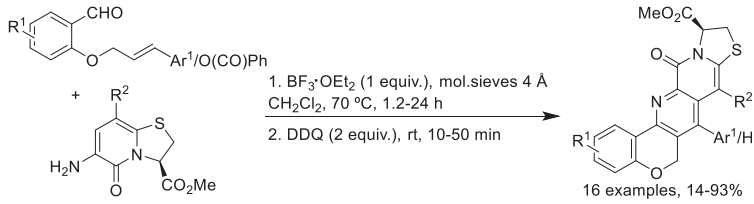
Intramolecular Povarov reaction of 2-(prop-2-en-1-yloxy)benzaldehydes with amino functionalized thiazolino-2-pyridones using $BF_3 \cdot OEt_2$ as catalyst followed by oxidation with DDQ delivers pentacyclic thiazolinopyridino-fused pyridinochromenes (Scheme 24) (20JOC14174). Under a rhodium(I)/difluorphos catalytic system, double [2+2+2] cycloaddition reaction of 2-propargylated naphth-2-ol- and benzene-linked trynes leads to single helicene-like molecules (containing one benzo[*f*]chromene unit). Using a hexayne, an S-shaped double helicene-like molecule (containing two benzo[*f*]chromene units) is formed (20AGE11020). Planar chiral zigzag-type [8]- or [12]cyclophenylene are synthesized via rhodium(I)-catalyzed intramolecular sequential fourth or sextuple cyclotrimerizations of the corresponding unsymmetric cyclic benzene-linked dodecayne or pentadecayne, respectively (20JA9834).



Scheme 22



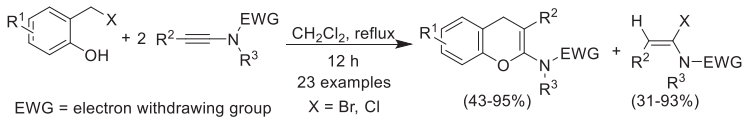
Scheme 23



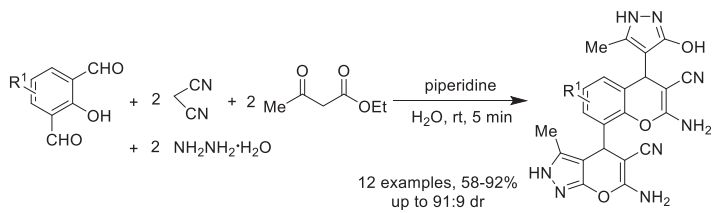
Scheme 24

[4+2] Cycloaddition reaction of 2-halomethyl phenols with two equivalents of ynamides in dichloromethane under catalyst- and additive-free conditions affords a mixture of both 3-substituted 2-amino-4*H*-chromenes and α-halo enamides, in moderate to excellent yields (Scheme 25) (20JOC12870). Cooperative organocatalysts driven by visible light is involved in the enantioselective synthesis of 4-functionalized 2-aryl-4*H*-chromenes through the reaction of 2-hydroxychalcones with aliphatic aldehydes (20CC10018). High yields of 2,4-diaryl-4-methyl-4*H*-chromenes are obtained in the zinc chloride−promoted condensation reaction of acetophenones with phenols under neat conditions at 100°C for 24 h (20SC112).

Pseudo-eight component reaction of one equiv of 2-hydroxyisophthaldehydes with two equiv of malononitrile, ethyl acetoacetate and hydrazine hydrate, in the presence of piperidine in water at room temperature provides several 4-pyrazole-8-pyranopyrazole adorned 2-amino-4*H*-chromene-3-carbonitriles (Scheme 26) (20RSCA29109). Organocatalytic domino aza/oxa-Michael/1,6-addition reactions of *o*-hydroxyaryl *p*-quinone methides with 3-arylpropinals conducted in the presence of morpholine in dichloromethane at room temperature furnishes 2,4-diaryl-4*H*-chromene-3-carbaldehydes (20JOC11240). Enantioselective synthesis of 2,3,5-trisubstituted 4*H*-chromenes is accomplished by reacting *o*-quinone methides with acyclic 1,3-dicarbonyls mediated by a nickel(II)−bis(oxazoline) complex



Scheme 25



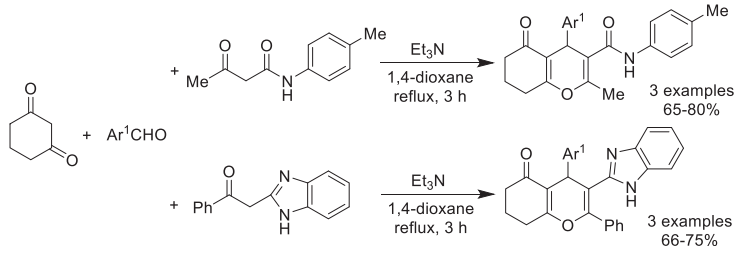
Scheme 26

followed by treatment with *p*-TSOH. This protocol involves asymmetric Michael addition, intramolecular ketalization, and dehydration reactions (20RSCA44437).

Ultrasound-assisted three-component reaction of aromatic aldehydes with malononitrile and naphth-1-ol or 2-ol promoted by silver dichromate NPs in aqueous media at room temperature affords, respectively, 2-amino-4-aryl-1*H*-benzo[*h*]chromene-2-carbonitriles or 1*H*-benzo[*f*]chromene-2-carbonitriles (20JHC1875).

Under solvent-free conditions, three-component domino Knoevenagel-hDA reaction of cyclic 1,3-diketones with aromatic aldehydes and terminal alkenes/alkynes using a magnetically separable silica (Fe_3O_4@SiO_2) catalyst produces cycloalkanone-fused 2,4-diaryl-4*H*-chromene-type compounds. Replacing aldehydes with ketones, some cycloalkanone-fused 4-spirocyclic 2-aryl-4*H*-chromene derivatives are obtained (20OBC2058). A wide range of 2-amino-5-oxo-5,6,7,8-tetrahydro-4*H*-pyran-3-carbonitrile- or 3-carboxylate-type compounds arise from multicomponent reaction of cyclohexane-1,3-diones with aliphatic or aromatic aldehydes and, respectively, malononitrile or ethyl α-cyanoacetate, carried out in the presence of ammonium carbonate in ethanol at room temperature (20JHC2957). Multicomponent reaction of cyclohexane-1,3-dione with benzaldehydes and 4-methylacetoacetanilide in the presence of triethylamine in refluxing 1,4-dioxane provides 4-aryl-2-methyl-5-oxo-5,6,7,8-tetrahydro-4*H*-pyran-3-carboxamides. Replacing 4-methylacetoacetanilide by 2-(1*H*-benzo[*d*]imidazol-2-yl)-1-phenylethanone, 4-aryl-3-(1*H*-benzo[*d*]imidazol-2-yl)-2-phenyl-5,6,7,8-tetrahydro-4*H*-chromene-5-ones are formed (Scheme 27) (20JHC3037).

The reaction of 5-arylidene barbituric acid with different active carbon and nitrogen nucleophiles (acetylacetone, barbituric acid, cyanoacetamide, ethyl acetoacetate, ethyl cyanoacetate, and malononitrile) using a few drops of triethylamine in refluxing ethanol produces a series of pyrimidino[2,3-*b*]pyran derivatives. In addition, bis(pyrimidine-2,4,6-trione) linked by an arylmethyl group reacts with P_2O_5 or P_2S_5 to give, respectively, xanthene-or thioxanthene-type compounds (20JHC842). High yields of 2-amino dihydro-4*H*-benzo[*g*]chromene derivatives are efficiently achieved from ultrasound-assisted three-component condensation reaction of aliphatic and aromatic aldehydes with 2-hydroxynaphthalene-1,4-dione and active methylene compounds in the presence of ammonium acetate in a 1:1 mixture of ethanol:water at room temperature (20SC1960).

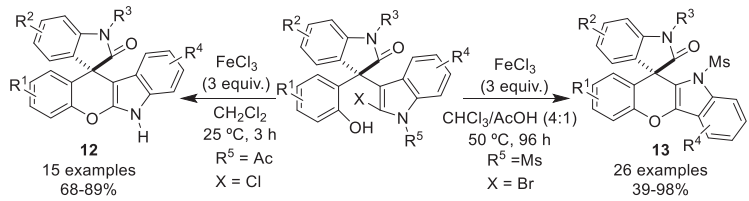


Scheme 27

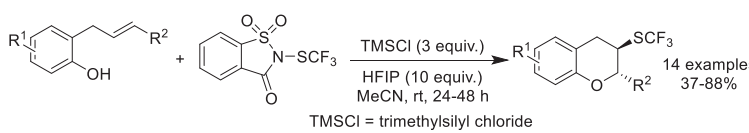
Enantioselective Friedel–Crafts alkylation/cyclization tandem reaction of isatylidene malononitriles, derived from isatins, with 4-hydroxyindole mediated by bifunctional tertiary amine-urea catalyst in toluene led to chiral spiroxindolinone 2-amino pyrrolo[2,3-*h*]-4*H*-chromene-3-carbonitriles (20NJC9788). Iron chloride–promoted annulation reaction of 2-halo-3-[1-(2-hydyroxyaryl)-1-(2-oxoindolin-3-yl)methyl] indoles is conditions-controlled: in dichloromethane at room temperature it gives spiroxindolinone indolo[2,3-*b*]chromenes **12**; in a 4:1 mixture of chloroform:acetic acid at 50°C spiroxindolinone indolo[3,2-*b*]chromenes **13** are formed (Scheme 28) (20JOC3638).

Visible light photoredox intramolecular aryl etherification of benziodoxolones, derived from 3-arylpropan-1-ols, mediated by an iridium(III) catalyst in acetonitrile produces simple substituted chromans, via 1,5-addition (20OL8436). Trimethylsilyl chloride (TMSCl) mediates intramolecular trifluoromethylthiolation of γ-substituted 2-allyphenols with *N*-trifluoromethylthiosaccharin in the presence of HFIP in acetonitrile to give 2-substituted 3-(trifluoromethylthio)chromans, in moderate to good yields (Scheme 29) (20OL7052). Copper(I) bromide–catalyzed synthesis of 2-methylenechroman-4-ols is achieved via 6-*exo-dig* ring annulation reaction of 2-(1-hydroxybut-3-yn-1-yl)phenols in DMSO at 80°C and using sodium methoxide as base (20TL151341). Other 2-(1-hydroxybut-3-yn-1-yl)phenols underwent copper(I) iodide–catalyzed 6-*exo-dig* ring annulation reaction with diorgano diselenides in the presence of sodium carbonate in DMF to afford 2-(selanylmethylene)chroman-4-ols (20OBC4619).

Intramolecular cross-dehydrogenative C(sp^3)–H arylation of 3-aryloxypropan-2-ols, bearing the alcohol group protected with *N*-pentafluorophenyl pyruvamide–derived bidentate auxiliary, promoted by palladium(II) acetate and *N*-fluorobenzenesulfonimide in 1,2-DCE furnishes several 3-*O*-protected chromans (20OL2396). The synthesis of 3-hydroxymethyl-3,4,4-trimethylchromans is accomplished via sulfuric acid–catalyzed cycloisomerization reaction of 1-(aryloxymethyl)-1-(1,1-dimethylethyl)oxiranes in HFIP at 0°C (20OL6526). Palladium(II)-catalyzed



Scheme 28

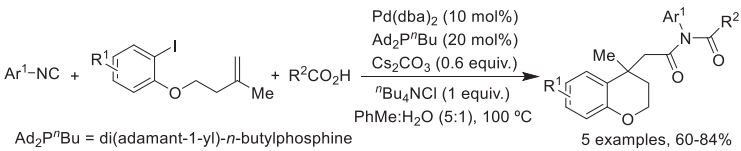


Scheme 29

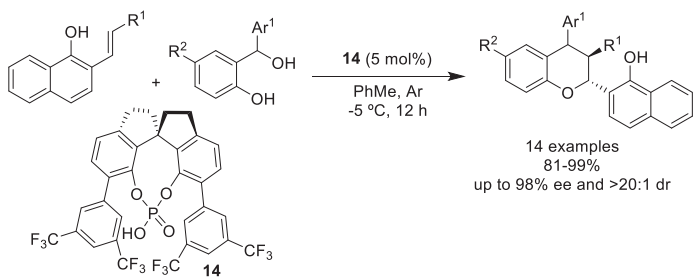
three-component reaction of aryl isocyanides with 1-(3-methylbut-3-en-1-yl)-2-iodophenols and carboxylic acids using di(adamant-1-yl)-*n*-butylphosphine, cesium carbonate, and phase transfer reagent *n*-Bu_4NCl in a mixture of 5:1 toluene:water at 100°C produces some 4-methylchromans bearing an imide substituent at 4-position (Scheme 30) (20OL6784). Examples of 4-(arylmethyl)chromans are obtained from gold(III)-catalyzed 1,2-diarylation reaction of (but-3-en-1-yloxy)benzenes with iodobenzenes conducted in the presence of $AgSbF_6$ and potassium phosphate in 1,2-DCE (20AGE11808).

One-pot regio- and stereoselective synthesis of 3-alkyl-2,4-dimethoxychromans occurs via inverse-electron-demand [4+2] cycloaddition reaction of the in situ−generated aliphatic vinyl ethers with electron-deficient *o*-quinone methides, from, respectively, aliphatic aldehydes with salicylaldehydes, carried out in the presence of trifilic acid and trimethyl orthoformate in toluene, in moderate to excellent yields and with good stereoselectivity (20SL1197). 3,4-Disubstituted chromans are prepared via formal [4+2] cycloaddition reaction of *o*-quinone methides, generated in situ from the corresponding *o*-hydroxybenzyl acetates, with the olefin of styrene, stilbene, or cinnamate derivatives mediated by different transition metal salts or Brønsted acids, in dichloromethane (20OBC8854). Further derivatives arise from asymmetric [4+2] cycloaddition reaction of 1-[(2-aryl)vinyl]naphth-2-ols (20CC439, 20JOC5231) or 2-[(2-aryl)vinyl]naphth-1-ols (Scheme 31) (20JOC5231) with *o*-quinone methides, generated in situ from *o*-hydroxy benzylic alcohols, catalyzed by chiral phosphoric acids in toluene.

Various 2-hydroxyaryl-*p*-quinone methides undergo diastereoselective [4+2] cyclization reaction with nitroalkenes promoted by DMAP in dichloromethane to afford 2,4-diaryl-3-nitrochromans (20TL151554), with β,γ-unsaturated α-keto esters mediated by 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) in 1,2-DCE to produce 2,4-diarylchroman-3-ketocarboxylates (Scheme 32) (20TL152171) and with 3-



Scheme 30



Scheme 31

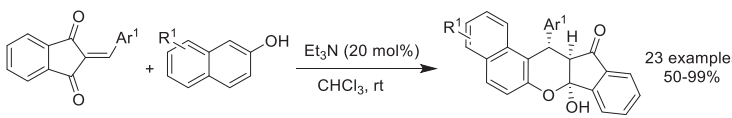
Scheme 32

(2-arylvinyl)indoles catalyzed by a chiral phosphoric acid in dichloromethane to provide 3,4-diaryl-2-(indol-3-yl)chromans (20OBC5388). Chiral 3,4-disubstituted 2-(3-methylindol-2-yl)-1,3-dioxolochromans are obtained through [4+2] cycloaddition reaction of *o*-quinone methides with 3-methyl-2-vinylindoles promoted by a chiral phosphoric acid in toluene (20JOC5403).

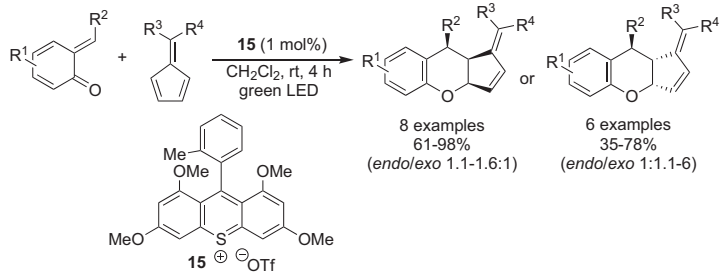
Asymmetric hDA reaction of *o*-naphthoquinone methides, derived from 1-(1-aryl-1-hydroxymethyl)naphth-2-ols, with allyltrimethylsilanes under dual catalysis of scandium(III) triflate and Feng ligand complex in dichloromethane produces a variety of 4-aryl-3-silylmethyl benzo[*f*]chromans (20CEJ14173). Pentacyclic 1-oxoindano[3,2-*b*]benzo[*f*]chromans are obtained from diastereoselective formal [3+3] cycloaddition reaction of 2-arylideneindan-1,3-diones with naphth-2-ols conducted in the presence of triethylamine in chloroform (Scheme 33) (20TL151579). Dialkyl ether−type cinnamylidene malonates undergo double C(sp^3)−H bond functionalization promoted by $BF_3 \cdot OEt_2$ in refluxing 1,2-DCE to give polyfunctionalized hexahydrochromans (20OL5801).

Polyfunctionalized cyclopropano[*c*]chromans can be synthesized via $P(NMe_2)_3$-mediated reductive intramolecular cyclopropanation reactions of aroylformates bearing electron-deficient *o*-allyloxy substituents (20CC10251) and via [4+2] annulation reaction of 2-hydroxychalcones with prop-2-ynylsulfonium salts in the presence of cesium carbonate in acetonitrile at −35°C (20OBC3303). Under green light irradiation, [4+2] cycloaddition reaction of *o*-quinone methides with pentafulvenes at room temperature employing thioxanthylium **15** as photoredox catalyst in dichloromethane provides *endo*/*exo*-cyclopenteno[*b*]chromans (Scheme 34) (20OBC8074).

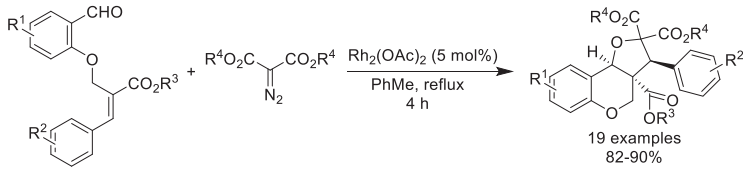
Carbonyl ylides, generated in situ from [4+2] cycloaddition reaction *O*-allylated salicylaldehydes with 2-diazomalonates promoted by rhodium(II) acetate in refluxing toluene, lead to diversely substituted furano[3,2-*c*]chromans (Scheme 35) (20JOC15221). [4+2] cyclization reaction of *o*-hydroxyphenyl *p*-quinone methides with hydroxymaleimides mediated by a chiral chinchona alkaloid squaramide in 1,4-dioxane at room temperature delivers 4-aryl-1,3-dioxopyrrolidino[4,5-*b*]chromans in excellent yields, diastereo- and enantioselectivities (20CC14825). A series of pyrrolidino[3,2-*c*]chromans arise from phosphine-catalyzed tandem cyclization reaction



Scheme 33



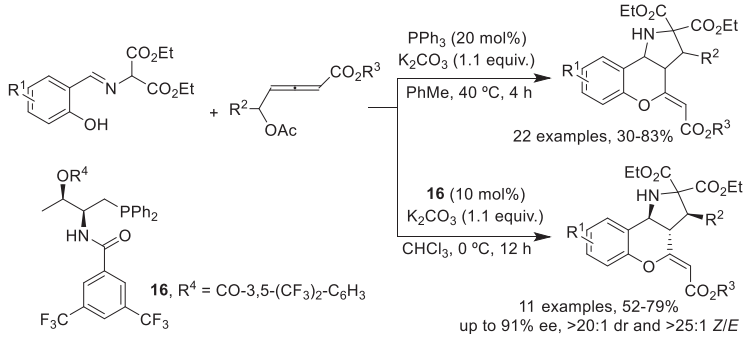
Scheme 34



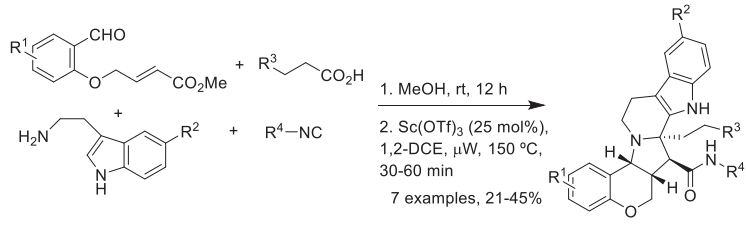
Scheme 35

of aldimine esters with allenoates carried out in the presence of potassium carbonate in toluene. The asymmetric version of this cycloaddition using chiral phosphine catalyst **16** was also successful in the synthesis of pyrrolidino[3,2-*c*]chromans, with excellent stereoselectivity and *Z*/*E* selectivity (Scheme 36) (20OL7008).

High enantioselectivity is accomplished in the synthesis of pyrano[3,2-*c*]chromans via [4+2] cycloaddition reaction of salicylaldehyde-derived acetals with bishomoallylic alcohols promoted by a thiourea-carboxylic acid catalyst in toluene (20JA15252). Various hexacyclic indolo-indolizino-fused chromans are prompted from one-pot cascade reactions of 2-allyloxysalicylaldehydes with 3-(2-aminoethyl) indoles, carboxylic acids, and isocyanides in methanol at room temperature followed by microwave-assisted reaction with scandium(III) triflate in 1,2-DCE at 150°C (Scheme 37) (20JOC10695).



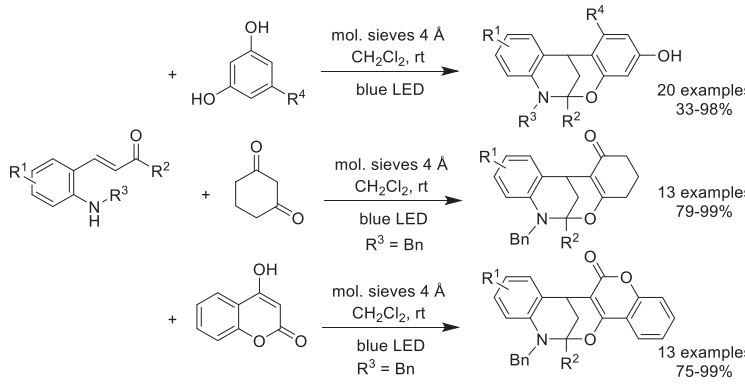
Scheme 36



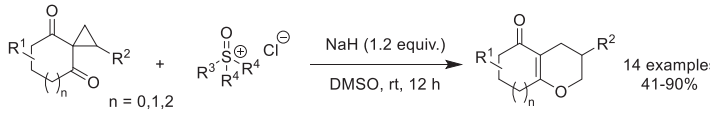
Scheme 37

Three-component cascade reactions of primary amines with β-ketoesters and 3-(2-hydroxyaryl)prop-2-enals using indium(III) chloride as catalyst in methanol at 65°C furnishes chromans bearing 2,6-methanobenzo[*g*][1,3]-oxazocine scaffolds. It involves enamine formation, Michael addition, intramolecular cyclization, and intramolecular iminium ion cyclization reactions (20JOC8062). Visible light−promoted tandem cycloisomerization/nucleophilic addition/cyclization reaction of 2-aminochalcones with bifunctional nucleophiles (benzene-1,3-diols, cyclohexane-1,3-dione, 4-hydroxycoumarin) in dichloromethane at room temperature leads to polysubstituted benzo[*d*][1,3]oxazocine derivatives (Scheme 38) (20CC6739). Complex bicyclo[3.2.1]octane derivatives are regio and diasteroselectively synthesized through intramolecular [3+2] nitrone cycloaddition reactions of *O*-vinylogous carbonates bearing ester groups of salicylaldehydes with *N*-substituted hydroxylamine hydrochlorides in ethanol at room temperature (20OBC9653).

Cyclohexane-1,3-dione-2-spirocyclopropane derivatives suffer regioselective ring-opening cyclization in the presence of dimethylsulfoxonium ylides, prepared from sulfoxonium salts and sodium hydride in DMSO, to afford 5,6,7,8-tetrahydrochroman-5-one derivatives (Scheme 39) (20CPB479). A series of 3-seleno benzo[*h*]chroman-type compounds are available through electrochemical selenation/cyclization reaction of 2-hydroxy-3-prenylnaphthalene-1,4-dione with various diselenides employing *n*-Bu_4NPF_6 as electrolyte in acetonitrile (20EJO4474).



Scheme 38

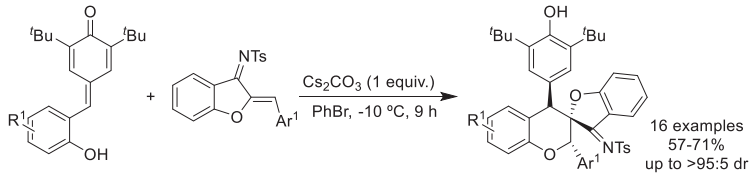


Scheme 39

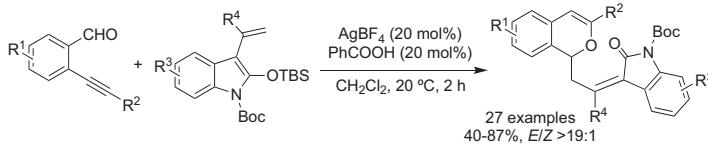
2-[2-(3-Oxocyclohex-1-en-1-yl)ethyl]phenols underwent intramolecular oxa-Michael addition catalyzed by a bifunctional cinchona alkaloid thiourea catalyst to provide a series of 2-(spiro-3-oxocyclohexan)chromans with high enantioselectivity (20JOC10189). Cesium carbonate promotes [2+4] annulation reaction of *p*-quinone methides with *N*-[(2-arylidene)benzofuran-3(2*H*)-ylidene]-4-methylbenzenesulfonamides in bromobenzene to give 3-(spirobenzofurano) 2,4-diarylchroman derivatives (Scheme 40) (20S2979). Intramolecular α-arylation of 2-(2-aryloxyethyl)-2-hydroxycyclopentanones, via oxy-allyl cation intermediates, promoted by *p*-TsOH hydrate in TFE at room temperature produces a series of 4-(spirocyclopentanone) chromans. The reaction also proceeded with larger cyclic ketones and some acyclic ketones, forming a 4-acylchromans in the latter case (20EJO1907).

6.4.2.3 [2]Benzopyrans and dihydro[2]benzopyrans (Isochromenes and isochromans)

Several 2-alkynylbenzaldehydes underwent regioselective palladium(III)-catalyzed cyclization using two equivalents of methanol in THF at room temperature to provide 3-alkyl/3-aryl-1-methoxy-1*H*-isochromenes, in moderate to good yields (20EJO227). One-pot synthesis of 1-amino-3-alkyl/3-aryl-1*H*-isochromenes is achieved through domino reaction of 2-alkynylbenzaldehydes with electron-poor anilines promoted by a silver complex containing a macrocyclic-pyridine ligand in 1,2-DCE at 40°C for 16 h (20EJO2592). Several 3-amino-1-bromo-1*H*-isochromenes were synthesized via 6-*endo*-cyclization reactions of 2-(bromoethynyl)benzaldehydes with secondary amines in the presence of potassium carbonate, copper(II) sulfate pentahydrate, and 1,10-phenanthroline in toluene at 80°C (20RSCA9934). Tandem cycloisomerization-vinylogous aldol reaction of 2-alkynylbenzaldehydes with 3-alkenyl-2-silyloxindoles promoted by $AgBF_4$ and benzoic acid in dichloromethane yields 3-substituted 1-[2-(oxindol-3-yl)ethylidene]-1*H*-isochromenes (Scheme 41) (20CC15153).



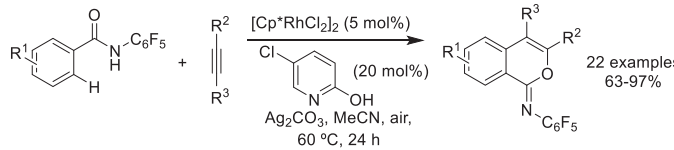
Scheme 40



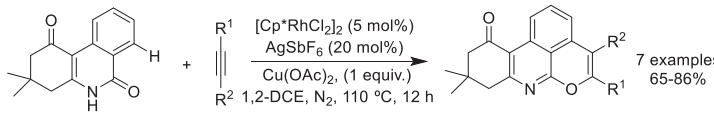
Scheme 41

A wide range of 1,1-disubstituted 3,4-diaryl-1*H*-isochromenes are readily available from palladium(II)-catalyzed annulation reaction of *o*-bromo tertiary benzylic alcohols with internal acetylenes carried out in the presence of potassium carbonate, L-proline, tetra-*n*-butylammonium iodide (TBAI), and water at 140°C (20RSCA338). Rhodium(III)-catalyzed selective annulation reaction of benzamides with internal alkynes using 5-chloropyridin-2-one as ligand, silver carbonate as oxidant in acetonitrile affords 3,4-disubstituted 1*H*-isochromen-1-imines (Scheme 42) (20OL9462).

One-pot two-step syntheses of pyrazolo[3,4-*c*]isochromenes involve the reaction of several monosubstituted *o*-bromobenzyl bromides with 1-aryl-5-hydroxy-3-trifluoromethylpyrazoles in the presence of potassium carbonate in DMF at room temperature to promote selective *O*-benzylation and subsequent palladium(II)-catalyzed intramolecular C−H arylation carried out in the presence of triphenylphosphine, potassium carbonate in DMF at 120°C (20EJO5616). A rhodium(III) complex mediates an asymmetric [5+1] annulation reaction of 2-aryl-3-hydroxycyclohexanones with 1,3-enynes employing copper(II) acetate, silver acetate in propan-2-ol to give 1,1-disubstituted 1-oxocyclohexano[3,2-*c*]isochromenes. A couple of coumarin-fused isochromenes were obtained by replacing cyclohexanones with 3-aryl-4-hydroxycoumarins (20AGE22706). A rhodium(III) catalyst mediates dual C−H functionalization/cyclization cascade reaction of azomethine imine with diazophosphonates using $AgSbF_6$ and copper(II) sulfate in 1,2-DCE at 100°C to give diversely substituted pyrano[4,3,2-*ij*]isochromenes (20JOC12097) and peri-C−H/O−H activation/annulation reactions of isoquinolin-1-one derivatives with internal alkynes using $AgSbF_6$ and copper(II) acetate in 1,2-DCE, produces pyridino[*ij*]isochromenes (Scheme 43) (20CC15462).



Scheme 42



Scheme 43

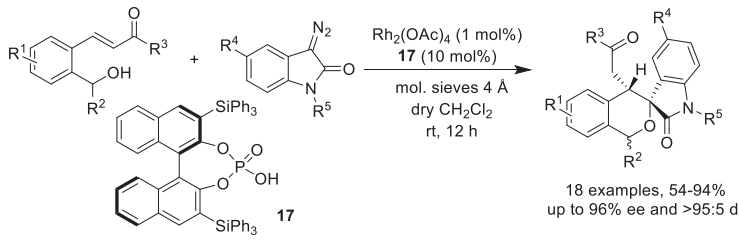
Cascade reactions of β-methyl-α,β-unsaturated aldehydes with 3-(3-aryl-3-oxoprop-1-enyl)-4*H*-chromen-4-one derivatives promoted by an *N*-heterocyclic carbene (NHC) catalyst, 3,3′,5,5′-tetra-*t*-butyldiphenoquinone and sodium acetate in THF provides various functionalized chromen-4-one-fused isochromen-3-one derivatives (20OL2595).

A few 3-methylisochromans were prepared via copper(II)-catalyzed enantioselective hydroetherification of 2-allylbenzyl alcohols using (*S*,*S*)-*i*-Pr-Box as ligand, in the presence of potassium or silver carbonate, cyclohexa-1,4-diene in trifluoromethylbenzene at 120°C (20OL7409). Under dual catalysis of a dirhodium complex and chiral phosphonic acid **17**, enantioselective cyclization of 2-hydroxymethyl chalcones with 3-diazoindolin-2-ones in dry dichloromethane at room temperature affords spiroindolin-2-ones isochromans in good to excellent yields and enantioselectivities (Scheme 44) (20OL2925).

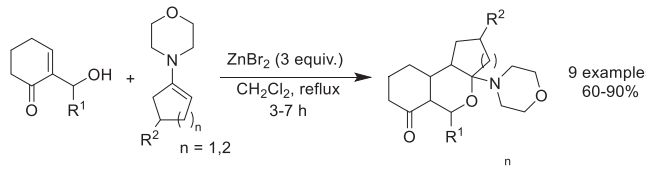
Several cyclopentane/cyclohexane-fused hexahydroisochroman-type compounds are prepared through annulative domino reaction of cyclic MBH alcohols with enamines mediated by zinc(II) bromide in refluxing dichloromethane (Scheme 45) (20SL1282).

6.4.2.4 Pyranones

The Claisen condensation reaction of ethyl benzoates with ethyl acetoacetate in the presence of *n*-butyllithium and sodium hydride delivers ethyl 5-aryl-5-hydroxy-3-oxopent-4-enoates that undergo cyclization promoted by DBU in refluxing benzene to produce 6-aryl-4-hydroxy-2*H*-pyran-2-ones (20S726). 3,6-Disubstituted 4-hydroxy-2*H*-pyran-2-ones are obtained through gold(I)-catalyzed homo- and cross-annulation reactions of alkynyl carboxylic acids using toluene as solvent at 80°C (20OBC8716). Further functionalized 2*H*-pyran-2-ones arise from annulation



Scheme 44

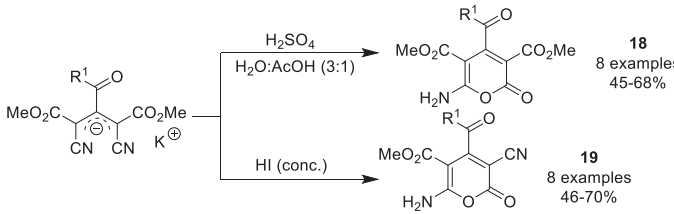


Scheme 45

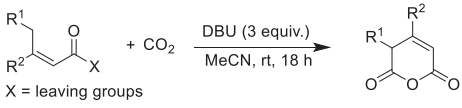
reactions of β-chlorovinyl ketones with various active methylene compounds promoted by potassium carbonate in refluxing acetone, in moderate to good yields (20EJO1976) and of cyclopropenones with β-ketosulfoxonium ylides mediated by a rhodium(III) catalyst and sodium acetate in acetonitrile (20JOC360). Various 2-acyl(aroyl)-1,3-dicyano-1,3-bismethoxycarbonylpropenides undergo regioselective heterocyclization reactions using aqueous sulfuric acid or hydroiodic acid leading mainly to the formation of 6-amino-2*H*-pyran-2-ones **18** or **19**, respectively (Scheme 46) (20TL152084).

Reacting various 1,3-dicarbonyl compounds with dimethyl (methoxymethylene) malonate in the presence of cesium carbonate in THF at room temperature affords 5,6-disubstituted 2-oxo-2*H*-pyran-3-carboxylate derivatives (20H(100)429). The synthesis of polysubstituted 2*H*,6*H*-pyrano-2,6-diones is efficiently achieved through carboxylative cyclization of but-2-enoates with carbon dioxide mediated by DBU in acetonitrile at room temperature (Scheme 47) (20JOC11579).

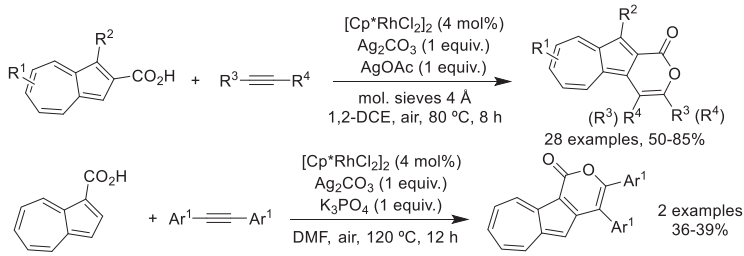
Regioselective iodolactonization of 3-alkynylthiophene-2-carboxylic acids or 3-alkynylpicolinic acids under the action of iodine and sodium hydrogen carbonate in acetonitrile affords 5-iodothieno[2,3-*c*]pyran-2-ones or 5-iodopyridino[2,3-*c*]pyran-2-ones, respectively (20EJO3712). A series of indeno[1,2-*c*]pyran-2-ones are synthesized through silver-catalyzed carbon dioxide fixation on 2-alkynylindene derivatives and subsequent intramolecular cyclization using silver benzoate and DBU in toluene at room temperature (20OL8648). High yields of 6-substituted indolo[3,2-*c*]pyran-2-ones are obtained through the reaction of various 2-alkynylindoles with carbon dioxide using the protic ionic liquid [HTBD$^+$][TFE$^-$], acting both as solvent and reaction promoter (20TL152449). Under aerobic conditions, rhodium(III)-catalyzed oxidative [4+2] cyclization of azulene-2-carboxylic acids with symmetrical and unsymmetrical alkynes employing silver carbonate and silver acetate as oxidants in 1,2-DCE provides azulene-fused pyran-2-ones. A similar protocol was developed using as starting materials azulene-1-carboxylic acids with symmetrical alkynes in the presence of silver acetate as oxidant and potassium phosphate as additive in DMF to afford azulene-fused pyran-2-ones (Scheme 48) (20JOC3824).



Scheme 46



Scheme 47

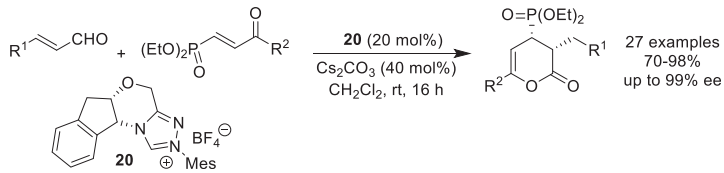


Scheme 48

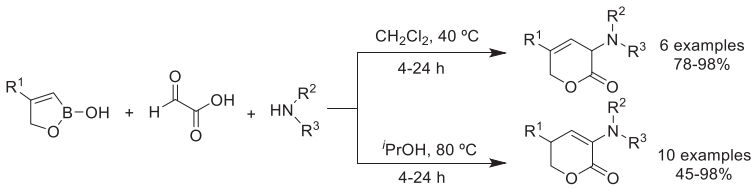
NHC-catalyzed cycloaddition reaction of β-phosphorylenones with enals using cesium carbonate in dichloromethane at room temperature leads to diversely substituted 4-phosphorylated 3,4-dihydro-2*H*-pyran-2-ones (Scheme 49) (20CC7155). A range of 5-acetyl-6-methyl-3,4-dihydro-2*H*-pyran-2-ones were prepared via stereoselective phase transfer−catalyzed domino Michael−cyclization reactions of cinnamic thioesters with acetylacetone mediated by a cinchona-derived quaternary ammonium phenoxide, in the presence of phenol and potassium carbonate in dichloromethane (20JOC5183).

Regioselective Petasis reactions of 4-substituted 1,2-oxaborol-2(5*H*)-ols, with glyoxylic acid and secondary amines, are solvent-controlled: in dichloromethane at 40°C 3-amino-5-substituted 3,6-dihydro-2*H*-pyran-2-ones are the products and in propan-2-ol at 80°C, 3-amino-5-substituted 5,6-dihydro-2*H*-pyran-2-ones result (Scheme 50) (20JOC1285).

A wide range of 4,6-disubstituted 6-trifluoromethyl-5,6-dihydro-2*H*-pyran-2-ones are achieved enantioselectively through vinylogous aldol/lactonization cascade reactions of β,γ-unsaturated amides with trifluoromethyl ketones promoted by a tertiary amine-thiourea catalyst in *t*-butylbenzene at −15°C (20OBC7848). Chiral bifunctional



Scheme 49



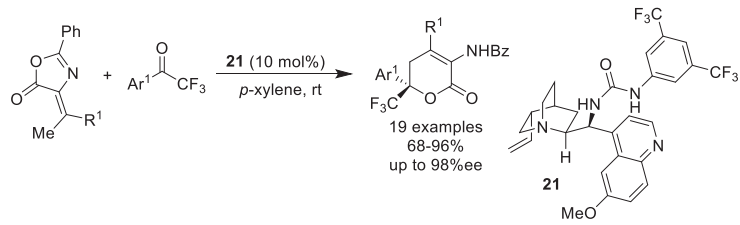
Scheme 50

urea catalyst **21** promotes hDA reaction of alkylidene azlactone−derived dienes with trifluoromethyl aryl ketones in *p*-xylene at room temperature to give 4,6-disubstituted 3-amino-6-trifluoromethy-5,6-dihydro-2*H*-pyran-2-ones (Scheme 51) (20JOC3202).

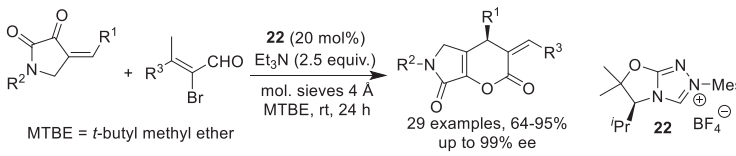
NHC-mediated [3+3] annulation reactions of enals with pyrrol-4-ones carried out in the presence of potassium *t*-butoxide and a quinone as oxidant provided pyrrolo[1,2-*e*]-3,4-dihydro-2*H*-pyran-2-ones (20CC9854). Another NHC-catalyzed asymmetric α-regioselective [4+2] annulation reaction of α-bromoenals with 4-methylidene-2,3-dioxopyrrolidines using triethylamine as base and *t*-butyl methyl ether (MTBE) as solvent gave a series of pyrrolidino[4,3-*e*]-3,4-dihydro-2*H*-pyran-2-ones (Scheme 52) (20OL7025). High yields and stereoselectivity are accomplished in the synthesis of indolo[3,2-*e*]-3,4-dihydro-2*H*-pyran-2-ones, via cycloaddition reaction of 3-alkylydeneoxindoles with α-diazoketones in the presence of potassium phosphate in THF, involving a sequential visible light photoactivation and NHC catalysis (20OL4440).

Polyfunctional pyridino[2,3-*d*]-3,6-dihydro-2*H*-pyran-2-ones can be prepared through three different strategies: (i) palladium(II)-catalyzed selective α-arylation of trimethylsilyl protected (2-chloropyridin-3-yl)methanol, nucleophilic substitution of the *t*-butyl ester enolate formed, and acidic-mediated lactonization reaction; (ii) nucleophilic aromatic substitution of trimethylsilyl protected (2-chloropyridin-3-yl)methanols and subsequent intramolecular Pinner reaction mediated by *p*-TsOH monohydrate; (iii) reduction of the carbonyl function of alkynyl pyridyl carboxaldehydes, reaction with phenylselenyl bromide and copper(I) iodide and finally cyclization reaction of alkynyl pyridyl selenides formed with *p*-TsOH monohydrate (Scheme 53) (20EJO5880).

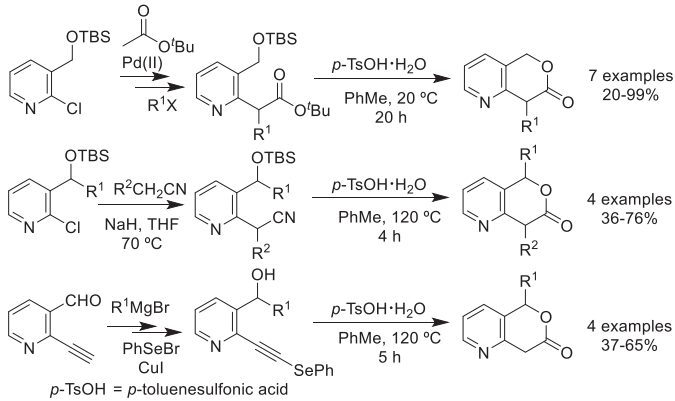
A tris(4-bromophenyl)aminium hexachloroantimonate-initiated oxidative Povarov-type reaction of secondary glycine amides with methylenecyclopropanes conducted in the presence of dioxygen in acetonitrile forms quinolino[2,3-*c*]-5,6-dihydro-2*H*-pyran-2-ones, in modest yields (20OL6294). Some spiropyrrolidinone 5,6-dihydro-2*H*-



Scheme 51



Scheme 52

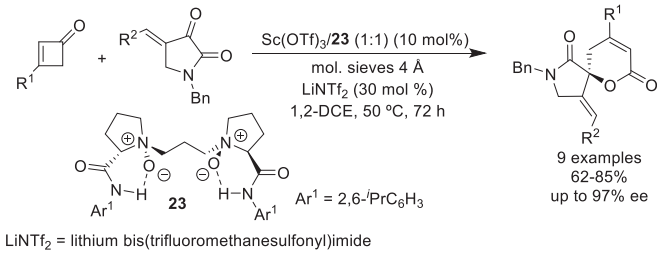


Scheme 53

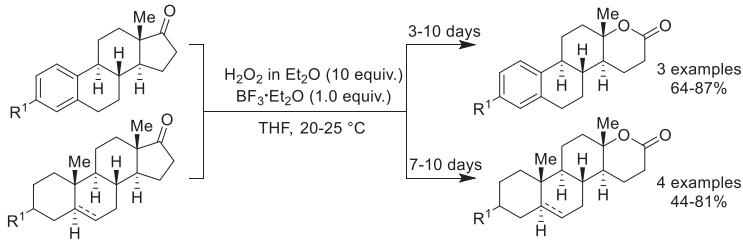
pyran-2-ones arise from hDA reaction of cyclobutenones with (*E*)-dioxopyrrolidines conducted in the presence of scandium(III) triflate, and an L-proline derived *N*,*N*′-dioxide ligand [lithium bis(trifluoromethanesulfonyl)imide] **23** in 1,2-DCE (Scheme 54) (20OL2645).

Squaramide-catalyzed enantioselective bromolactonization reactions of 5-arylhex-5-enoic acids in the presence of *N*-bromophthalimide in acetone at −78°C lead to 6-aryl-6-bromomethyltetrahydro-2*H*-pyran-2-ones, in moderate to good yields and with enantiomeric excess of 18%−88% (20TL151756). Palladium(II)-catalyzed γ-C(sp^3)−H olefination of 3,3-disubstituted butanoic acids with various acrylates using mono-*N*-protected amino acids as ligand, in the presence of silver carbonate and sodium hydrogen carbonate in HFIP delivers 4,4,6-trisubstituted tetrahydropyran-2-ones (20AGE12848, 20AGE12853). On treating steroidal cyclopentanones with ethereal H_2O_2 and $BF_3 \cdot Et_2O$ in THF at room temperature, a regioselective Baeyer−Villiger oxidation takes place to furnish steroidal tetrahydro-2*H*-pyran-2-ones (Scheme 55) (20EJO402).

Tandem hydration−oxacyclization reaction of 1,5-disubstituted penta-1,4-diyn-3-ones under gold(I) catalysis (IPrAuNTf$_2$) allows switchable synthesis of 2,6-disubstituted 4*H*-pyran-4-ones in 1,4-dioxane at 100°C (20OL7681). Acylation



Scheme 54

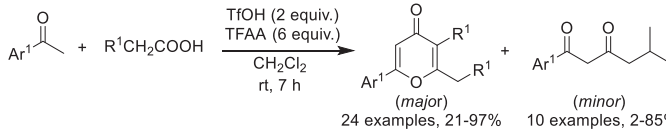


Scheme 55

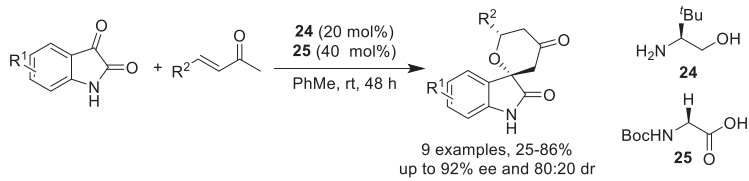
reactions of enaminodiones bearing α-alkoxy/aroyloxy groups as substituents with acylbenzotriazoles in the presence of $MgBr_2 \cdot OEt_2$ and *N*,*N*-diisopropylethylamine (DIPEA) in dichloromethane at room temperature for 1 day followed by acidic treatment to promote cyclization give access to a series of 2-substituted 5-acyl-3-alkoxy/3-aroyloxypyran-4-ones (20S2267). 2,3,6-Trisubstituted 4*H*-pyran-4-ones are obtained as major products from the reaction of (hetero)aryl methyl ketones with substituted acetic acids conducted in the presence of triflic acid and trifluoroacetic anhydride in dichloromethane at room temperature (Scheme 56) (20JOC15051).

Some 2-methyl-4-oxo-3,4-dihydropyran-2-carboxylates were prepared via asymmetric hDA reaction of Danishefsky's diene with glyoxylate/pyruvate esters mediated by a zinc(II) triflate complex with BOX ligand and subsequent treatment with trifluoroacetic acid (20EJO5388).

Under dual catalysis of primary β-amino alcohol **24** and *N*-Boc-aminoacid **25**, hDA reaction of isatins with enones produces chiral spirooxindolin-2-one tetrahydropyran-4-ones in moderate to good yields and with good stereoselectivity (Scheme 57) (20RSCA17486). Further derivatives arise from diastereoselective intramolecular oxa-Michael cyclization reaction of β-hydroxyenones, generated from isatins and enones, mediated by triflic acid (20H(101)339).



Scheme 56



Scheme 57

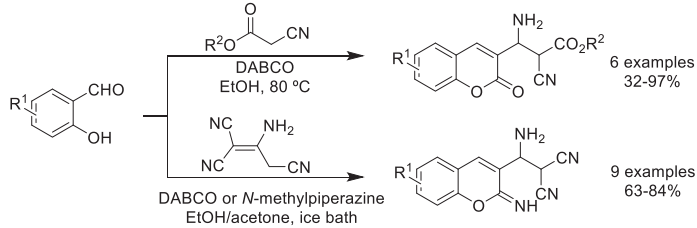
6.4.2.5 Coumarins

High yields of 3,4-diunsubstituted coumarins are accomplished via condensation reactions of salicylaldehydes with acetic anhydride promoted by polyphosphoric acid (PPA) in DMF (20SC3080).

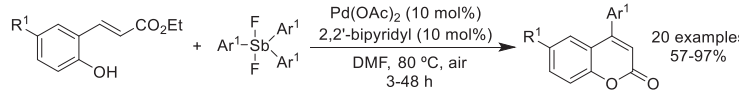
Phosphine-mediated domino reaction of 2-(but-2-ynyloxy)salicylaldehydes with various nucleophilic reagents (pyrrolidine-2,5-dione, dimethyl fluoromalonate, 2-aminobenzaldehydes, diethyl phthalimidomalonates) provides structurally diverse 3-substituted coumarins. This strategy involves MBH-type reaction/umpolung γ-addition and dephosphorylation reactions (20OL488). One-pot synthesis of 3-alkyl/3-arylcoumarins is achieved through condensation reactions of substituted salicylaldehydes with alkyl/arylacetic acids using 1,1-carbonyl-diimidazole (CDI) and DBU in THF or employing an ionic liquid—mediated approach which uses [PAIM][NTf_2] as base and [BMIM][PF_6] or [BMIM][BF_4] as solvent (20TL151854). Knoevenagel reactions of polysubstituted salicylaldehydes with ethyl acetoacetate in the presence of piperazine citrate in ethanol give 3-acetylcoumarins (20SC1468), with benzylsulfinylacetic acids in the presence of EDCI and DMAP in acetonitrile at room temperature provide 3-(benzylsulfinyl)coumarins, and with benzylsulfonylacetic acids in the presence of sodium acetate in hot acetic anhydride afford 3-(benzylsulfonyl)coumarins (20CPB443). The reaction of salicylaldehydes with ethyl/methyl cyanoacetates promoted by DABCO in ethanol at 80°C delivers 3-amino-2-cyano-3-(coumarin-3-yl) acrylates, while with 2-amino-1,1,3-tricyanopropene (malononitrile dimer) mediated by DABCO or *N*-methylpiperazine in a mixture of ethanol/acetone in an ice bath provides 2-[amino(2-iminocoumarin-3-yl)methylene]malononitriles (Scheme 58) (20SL1298).

Visible light—induced radical cascade cyclization of salicylaldehydes with 4-hydroxy-6-methyl-2*H*-pyran-2-one and aryl hydrazines using eosin-Y and air as photoredox system in acetonitrile produces 3-pyrazolocoumarins in good to excellent yields (20NJC13350).

A wide variety of 4-arylcoumarins can be synthesized through intramolecular cyclization of aryl propynoates mediated by [(ppy)PtCl(MeCN)] complex in the presence of $AgSbF_6$ in 1,2-DCE. Using naphthyl propynoates, various benzocoumarins are formed (20T131029). Further derivatives arise from palladium(II)-mediated cascade reaction of 3-(2-hydroxyaryl)acrylates with triarylantimony difluorides in the presence of 2,2′-bipyridyl in DMF at 80°C, under aerobic conditions (Scheme 59)



Scheme 58



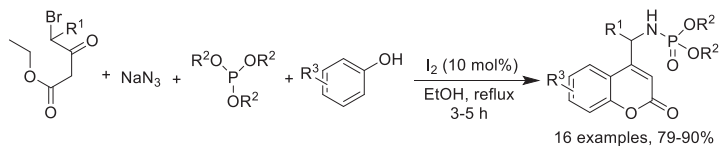
Scheme 59

(20EJO1652). A gold(I) complex based on NHC-capped permethylated cyclodextrin mediates cycloisomerization reaction of aryl propynoates in pure water to give 4-substituted coumarins (20CEJ15901). Under solvent-free conditions, Pechmann condensation of different phenols with ethyl acetoacetate or ethyl benzoylacetate promoted by $MnSb_2O_6$-chitosan nanocomposites at 80°C led to synthesis of, respectively, 4-methyl or 4-phenylcoumarins (20JHC173).

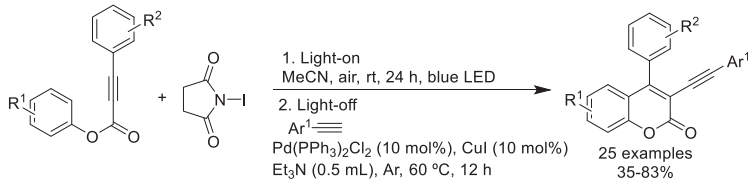
Several 4-sulfonatocoumarins are obtained through addition reactions of ethyl 3-(2-hydroxyaryl)propynoates with sulfonic acids in 1,2-DCE at 50°C for 4 h followed by lactonization (20NJC3970). The synthesis of 4-alkynylcoumarins is accomplished via aerobic DMAP-catalyzed cascade reaction of (2-hydroxyaryl)propargylic amines with 1,1′-(2-oxopropane-1,3-diyl)bis(pyridin-1-ium) dibromide in acetonitrile at 80°C (20OL7348). One-pot four-component reaction of ethyl 4-bromo-3-oxo-alkanoates with sodium azide, trialkyl phosphites, and phenols promoted by molecular iodine in refluxing ethanol affords 4-phosphoramidato-coumarins. The process involves nucleophilic substitution reaction, phosphoramidate rearrangement, and Pechmann cyclization reactions (Scheme 60) (20NJC18573).

A wide range of 3,4-diarylcoumarins are synthesized via a sequential procedure including visible light−induced cyclization reaction of aryl 3-arylpropynoates with diethyl bromomalonate promoted by an iridium catalyst in the presence of cesium acetate in DMF at room temperature followed by palladium(II)-catalyzed Suzuki cross-coupling reaction with aryl boronic acids in the presence of potassium carbonate in water at 80°C (20T131677). A similar approach involves light-driven radical cyclization reactions of aryl 3-arylpropynoates with *N*-iodosuccinimide (NIS) in acetonitrile under air atmosphere at room temperature for 24 h followed by palladium(II)-catalyzed Sonogashira cross-coupling reaction with arylacetylenes in the presence of copper(I) iodide and triethylamine, under argon atmosphere at 60°C for 12 h giving access to 4-aryl-3-arylethynylcoumarins (Scheme 61) (20OBC3346).

A few examples of 3-aryl-4-methylcoumarins arise from activation of phenylacetic acids with CDI carried out in the presence of potassium carbonate and acetone at room temperature for 1 h, followed by reaction with 2-hydroxyacetophenones in refluxing conditions for 4 h (20RSCA11615). Silver-promoted cascade reactions of aryl alkynoates with sodium monofluoroalkanesulfinate carried out in the presence of potassium persulfate in DMSO leads to 4-aryl-3-fluoromethylcoumarins (20SC388).



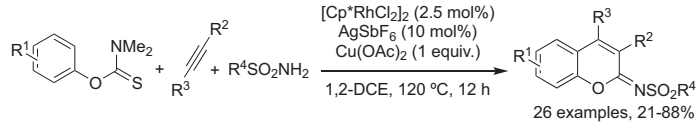
Scheme 60



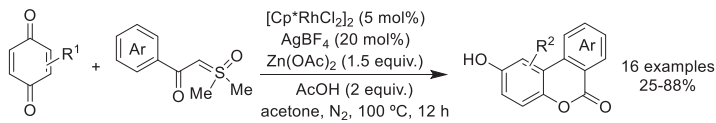
Scheme 61

Rhodium(III)-promoted multicomponent annulation reaction of thiocarbamates with internal alkynes and sulfonamides using $AgSbF_6$ and copper(II) acetate in 1,2-DCE affords a series of 3,4-disubstituted iminocoumarins (Scheme 62) (20JOC11006). An ecofriendly approach for the synthesis of 3,4-disubstituted 8-azacoumarins uses grinding and ultrasonic irradiation for the multicomponent reaction of cinnamylidenoacetophenones with active methylene compounds (ethyl cyanoacetate, ethyl acetoacetate, or diethyl malonate) and ammonium acetate, via a pyridin-2-one intermediate. This reaction occurs using 2 mol equivalents of the same active methylene compound or a mixture of two different compounds. Additionally, the 3-cyanopyridin-2-one intermediates were isolated and reacted with active methylene compounds, under two- or three-component reactions, to afford the expected 8-azacoumarins (20JHC867).

The synthesis of a wide range of benzo[*c*]coumarins arises from lactonization reactions of 2-arylbenzoic acids using *N*-chlorosuccinimide (NCS) and sodium iodide under fluorescent lighting conditions (20H(100)1405); using DDQ and *t*-butyl nitrite (TBN) (20SL261), $CeCl_3$ and sodium hydrogen carbonate (20OBC983) or $Fe(NO_3)_3 \cdot 9H_2O$ and $NaBrO_3$ (20OL1385) as photocatalysts and O_2 as terminal oxidant, upon irradiation with blue LEDs. Further derivatives result from rhodium(III)-mediated cascade reactions of 1,4-benzoquinones with sulfoxonium ylides carried out in the presence of $AgBF_4$, zinc acetate, acetic acid in acetone (Scheme 63) (20CC6688) and palladium(II)-catalyzed cascade reactions of a variety of aromatic acids with 2-fluoro-substituted diaryliodonium salts in the presence of potassium carbonate in DMF (20OL4776). Various 7-hydroxy-6*H*-naphtho[2,3-*c*]coumarins are



Scheme 62



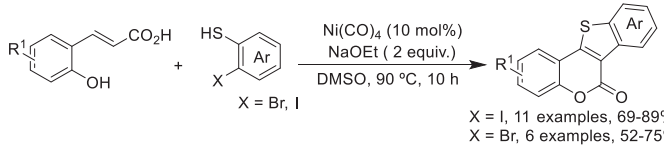
Scheme 63

achieved via tandem reaction of 2-aryl-2'-hydroxyacetophenones with Meldrum's acid promoted by *p*-TsOH in a 1:1 mixture of toluene:*p*-xylene at 150°C (20CC10369).

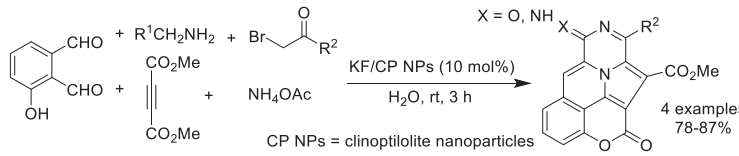
A series of 3-aryl-4-methylpyrano[2,3-*h*]coumarins are readily available through the reaction of 6-acetyl-5-hydroxy-2*H*-chromenes with 2-aryl-1-(2,3-dihydro-1*H*-imidazol-1-yl)ethanones using dry potassium carbonate in dry acetone, under classical heating conditions and microwave irradiation (20JHC3943). Few examples of 6-cyanopyridino[3,4-*c*]coumarins are synthesized through the reaction of 3-aminocrotononitrile with salicylaldehydes in refluxing acid acetic (20JHC813). Nickel-catalyzed tandem reaction of 3-(2-hydroxyaryl)acrylic acids with 2-halobenzenethiols in the presence of sodium ethoxide in DMSO produces benzothiopheno[3,2-*c*]coumarins, in moderate to good yields (Scheme 64) (20RSCA26414).

Knoevenagel condensation of 1-hydroxy/1-alkoxyanthraquinone with β-keto esters in the presence of potassium carbonate in DMSO followed by intramolecular cyclization reaction gives access to 3-acylated naphtho[1,2,3-*de*]coumarins (20JHC1003). Four-component reaction of 2-hydroxyphthalaldehyde with primary amines, α-haloketones, and activated acetylenic compounds promoted by KF/clinoptilolite nanoparticles (CP NPs), under solvent-free conditions at room temperature, provides indolizine-fused coumarins (20JHC4057). Using additional ammonium acetate in water at room temperature delivers some polycyclic pyridoindolizine-fused coumarins (Scheme 65) (20JHC3856).

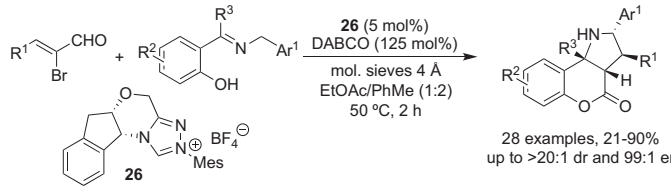
Under dual catalysis of dihydroquinidine-derived aminophosphine and silver nitrate, sequential 1,6-addition and transesterification cascade of α-isocyanoacetates with 2-hydroxyaryl *p*-quinone methides in dichloromethane at −10°C produced functionalized 4-aryl-3-cyano-3,4-dihydrocoumarins, in moderate to good yields and with high diastereo- and enantioselectivities (20OBC1637). Polysubstituted pyrrolidino[3,2-*c*]-3,4-dihydrocoumarins are synthesized via NHC-promoted cascade cycloaddition reaction of α-bromo enals with (*E*)-2-[1-(benzylimino)ethyl]phenols using DABCO in a 1:2 mixture of ethyl acetate:toluene (Scheme 66) (20OL326). High enantio- and diasteroselectivity is achieved in the intramolecular Povarov reaction of



Scheme 64



Scheme 65

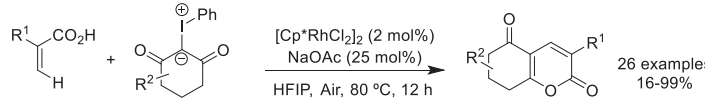


Scheme 66

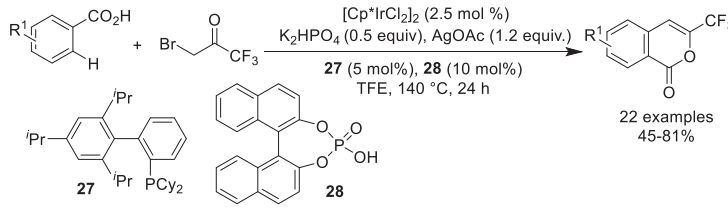
2-formylaryl 3-(4-hydroxyphenyl)acrylate derivatives with 2-aminophenols mediated by a chiral phosphoric acid catalyst in 1,2-DCE to produce quinoline[3,2-*c*]-3,4-dihydrocoumarins. A similar protocol uses 2-[3-(4-hydroxyphenyl)]prop-2-en-1-yloxybenzaldehydes with 2-aminophenols to form quinoline[3,2-*c*]chromans (20CEJ1406). Rhodium(III)-mediated coupling reaction of α-substituted acrylic acids with iodonium ylides of cyclic 1,3-diketones carried out in the presence of sodium acetate in HFIP at 80°C under air delivers 3-substituted tetrahydrocoumarin-type compounds (Scheme 67) (20OL7475).

A range of 3,4-diunsubstituted isocoumarins are obtained via rhodium(III)-mediated vinylene annulation reaction of benzoic acids with vinylene carbonate using $AgSbF_6$ in 1,2-DCE, without any external oxidant or base (20OL5706). Ruthenium(II)-catalyzed dual C−H/C−C activation of benzoyl sulfoxonium ylides using 2,4,6-trimethylbenzoic acid as additive, sodium phosphate as base in HFIP at 110°C under air atmosphere for 24 h provided a series of 3-arylisocoumarins (20JOC1216). The synthesis of 3-trifluoromethylisocoumarins is accomplished via iridium(III)-catalyzed *o*-selective C−H alkylation of benzoic acids with 3-bromo-1,1,1-trifluoroacetone and subsequent intermolecular cyclization reaction promoted by silver acetate in the presence of 1,1′-binaphthyl-2,2′-diyl hydrogen phosphate and a phosphine ligand in TFE (Scheme 68) (20OL5109).

It is through palladium(II)-mediated α-arylation and spontaneous intramolecular cyclization reactions that aldehydes react with 2-halobenzoic esters in the presence of cesium carbonate in 1,4-dioxane to produce 3- or 4-substituted isocoumarins, in



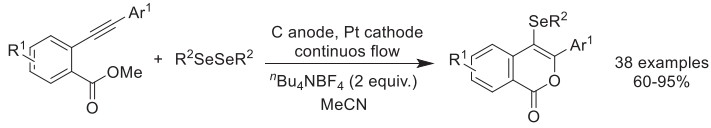
Scheme 67



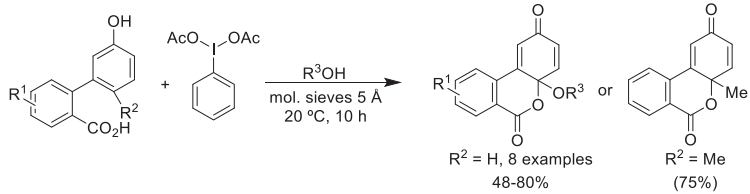
Scheme 68

moderate to good yields (20OL7662). Another palladium(II) catalyst is involved in the reaction of 2-pseudohalobenzaldehydes (2-formylaryl triflates) with aryl diazoesters using sodium acetate in 1,4-dioxane to provide 3-alkoxy-4-arylisocoumarins (20EJO723). 2-Alkynylbenzoates underwent regioselective intramolecular 6-*endo*-*dig* cyclization mediated by $SOCl_2$ and DMSO/DMSO-d_6, as solvent and sulfur source, at room temperature to afford 4-(methylthio)isocoumarins/4-(d_3-methylthio) isocoumarins, respectively (20EJO852). Silver nitrate mediates three-component reaction of methyl *o*-(2-arylethynyl)benzoates with selenium powder and aryl boronic acids employing potassium persulfate in 1,4-dioxane to form 3-aryl-4-(arylseleno)isocoumarins (20RSCA30439). Other methyl *o*-(2-arylethynyl)benzoates undergo electrochemical oxidative cyclization with diorganyl diselenides using *n*-Bu_4NBF_4 in acetonitrile to give more examples of 3-aryl-4-(arylseleno)isocoumarins (Scheme 69). 3-Phenyl-4-(phenyltelluro)isocoumarin is obtained using diphenyl ditelluride (20CEJ13738).

Rhodium(III)-catalyzed cascade C−H activation, regioselective [4+2] oxidative annulation, and lactonization reactions of aromatic acids or anhydrides with 4-hydroxy-2-alkynoates using $AgSbF_6$, silver carbonate, and lithium acetate in ethyl acetate provide a range of 2-oxofurano[4,3-*c*]isocoumarins as major products along with their regioisomer 2-oxofurano[3,4-*c*]isocoumarins as minor product. Starting from acrylic acid derivatives, a series of 2-oxofurano[3,4-*e*]pyran-2-ones are obtained as single regioisomers (20JOC3548). The construction of coumarino[4,3-*c*]isocoumarins is accomplished via palladium(II)-mediated oxidative annulation reaction of benzoic acids with 4-hydroxycoumarins in the presence of potassium and copper(II) acetates in refluxing 1,4-dioxane (20OL73). 3′-Hydroxy-[1,1′-biphenyl]-2-carboxylic acids suffer alkoxy-oxylactonization and dearomatization reactions using stoichiometric amounts of $PhI(OAc)_2$ in the presence of aliphatic alcohols to provide cyclohexanone-fused isocoumarins (Scheme 70). An enantioselective version uses chiral iodoarenes as catalysts with *m*-chloroperoxybenzoic acid (*m*-CPBA) in methanol (20JOC3125).



Scheme 69



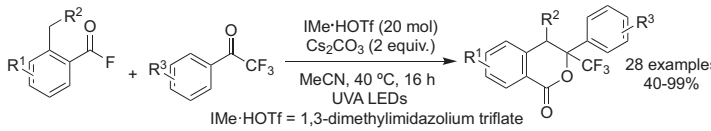
Scheme 70

Various 3-alkyl/3-aryl-3,4-dihydroisocoumarins are obtained from the reaction of methyl 2-(chloromethyl)benzoate with aliphatic or aromatic aldehydes mediated by a super electron donor, generated in situ from a pyridinium salt and potassium bis(trimethylsilyl)amide (HMDS), in DMF at room temperature for 16 h under an inert atmosphere (20JOC15736). Under dual catalysis of light activation and NHC organocatalysis, photoenolization/DA reaction of 2-alkylbenzoyl fluorides with 2,2,2-trifluoroacetophenones carried out in the presence of 1,3-dimethylimidazolium triflate (IMe·HOTf) and cesium carbonate in degassed acetonitrile produces 3-aryl-3-(1,1,1-trifluoromethyl) 3,4-dihydroisocoumarins (Scheme 71) (20AGE3190). Some examples of 3-substituted 4-phenylthio-3,4-dihydroisocoumarins are available through annulation reaction of phenylthiobutenolides with mono-epoxy sorbate esters employing lithium *t*-butoxide in THF (20S2821).

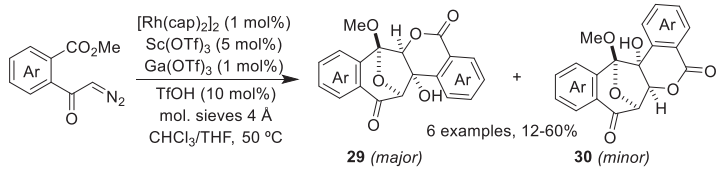
High yields and stereoselectivity is achieved in the asymmetric Michael addition/transesterification tandem reaction of α-hydroxy indanones with chalcone 2-esters using dinuclear zinc catalyst and a chiral ligand containing an azetidine moiety in THF to afford a series of 3-aroylmethyl spiro[indanone-2,3′-(3,4-dihydroisocoumarin)] derivatives (20OBC3917).

Polyfunctionalized furano[2,3-*c*]-3,4-dihydroisocoumrins are prepared via rhodium(III)-promoted relay double carbenoid insertion and diannulation of sulfoximine benzamides with α-diazo carbonyl compounds in the presence of $AgSbF_6$ and silver acetate in 1,2-DCE at 70°C (20OL2506). A cooperative approach involving rhodium(II), Lewis and Brønsted acid catalysis is established for the synthesis of both regioisomers of epoxycycloheptane-fused 3,4-dihydroisocoumarin derivatives **29** and **30** starting from readily available *o*-diazoacyl-substituted arene carboxylates, using scandium(III) and gallium(III) triflates and triflic acid in a mixture of chloroform:THF (Scheme 72). Using two different diazo precursors with electronically very similar π systems, there is slight preference for the formation of heterodimers type **29** (20CEJ11119).

Enantioselective cascade reactions of substituted benzofuranyl/indolyl methylenemalononitriles with α-bromoenals mediated by NHC **31** and triethylamine in THF



Scheme 71



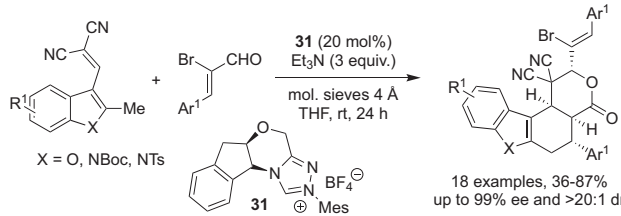
Scheme 72

produces a variety of benzofurano/indolo[3,2-*f*]-hexahydroisocoumarins. It includes formal [4+2] cycloaddition, aldol, and intramolecular lactonization reactions (Scheme 73) (20OL2542).

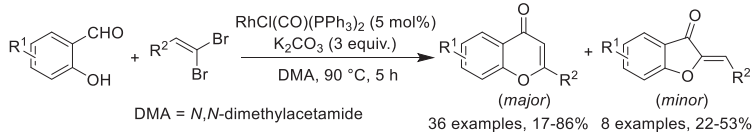
6.4.2.6 Chromones and chromanones

Under solvent-free conditions, intramolecular cyclization reaction of 2′-hydroxylchalcones promoted by banana peel ash [combination of *Musa* sp. "Malbhog" peel ash (MMPA) and *Musa Champa* Hort. ex Hook. F. peel ash (MCPA)] with an oxygen balloon at room temperature for 35−60 min delivers 2-aryl-4*H*-chromen-4-ones, in good yields (20NJC20956). A wide variety of 2-alkyl/2-aryl-4*H*-chromen-4-ones are produced through rhodium(I)-catalyzed in situ aldehydic C−H bond alkynylation and annulation reaction of salicylaldehydes with 1,1-dibromoalkenes in the presence of potassium carbonate in *N*,*N*-dimethylacetamide (DMA) at 90°C. Using sterically hindered aryl and heteroaryl 1,1-dibromoalkenes, minor amounts of the corresponding aurones are also obtained (Scheme 74) (20OBC1402). Several examples of 2-[1-benzyl-3-(4-fluorophenyl)-1*H*-pyrazol-4-yl]-7-fluoro-4*H*-chromen-4-ones arise from cyclization reactions of (*E*)-3-[1-benzyl-3-(4-fluorophenyl)-1*H*-pyrazol-4-yl]-1-(4-fluoro-2-hydroxyphenyl)prop-2-en-1-ones using a catalytic amount of iodine in DMSO at 140°C for 2 hours (20JHC1692).

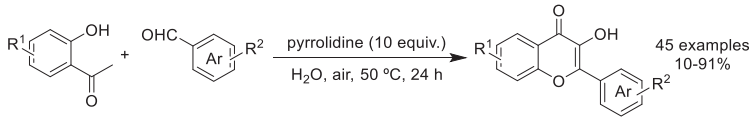
Intermolecular double aldol condensation of 2′-hydroxyacetophenones with two equivalents of aromatic aldehydes in the presence of sodium hydroxide in methanol at 50°C furnishes 2-aryl-3-(arylmethyl)-4*H*-chromen-4-ones (20S861). One-pot synthesis of 2-aryl-3-hydroxy-4*H*-chromen-4-ones is achieved through the condensation reaction of 2′-hydroxyacetophenones with aromatic aldehydes in the presence of pyrrolidine in water, under atmospheric conditions (Scheme 75) (20JOC13160). Further derivatives are prompted from a multistep approach which involves as key step LiHMDS-promoted intramolecular Claisen condensation of 2′-aroyloxy-



Scheme 73



Scheme 74



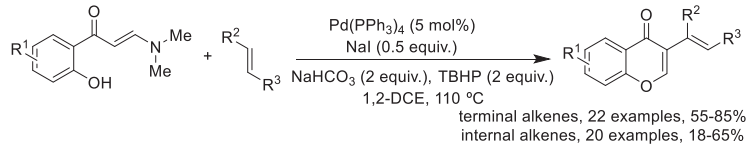
Scheme 75

2-benzoyloxyacetophenones to form 1,3-diaryl-3-benzoyloxybuta-1,3-diones, dehydration using a solution of sodium acetate in acetic acid and finally hydrolysis of the benzoyl moiety (20JOC4279).

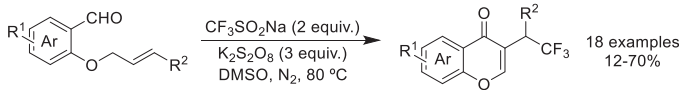
A wide variety of 3-aryl-4*H*-chromen-4-ones can be synthesized through visible light−mediated arylation of 2-hydroxyaryl enaminones by aryl diazonium tetrafluoroborates mediated by Eosin Y and by diaryliodonium triflates promoted by $Ru(bpy)_3Cl_2 \cdot 6H_2O$ (20CC2606). Other 2-hydroxyaryl enaminones undergo cyclization with Selectfluor in the presence of sodium acetate and 3,5-di-*t*-4-butylhydroxytoluene in THF to provide 3-fluoro-4*H*-chromen-4-ones (20T130833), with potassium halides (I and Br) in the presence of $PhI(OAc)_2$ in ethyl lactate to afford 3-halo-4*H*-chromen-4-ones (20NJC8120), with KSeCN and potassium persulfate in 1,2-DCE to give 3-selenocyano-4*H*-chromen-4-ones (20NJC2222), with potassium thiocyanate in acetonitrile under undivided electrolytic conditions to afford 3-thiocyanato-4*H*-chromen-4-ones (20S711), and with various terminal and internal alkenes catalyzed by $Pd(PPh_3)_4$, sodium iodide, sodium hydrogen carbonate, and *t*-butyl hydroperoxide (TBHP) in 1,2-DCE to give 3-vinyl-4*H*-chromen-4-ones (Scheme 76) (20OL9518).

Three-component tandem condensation reaction of 2-hydroxyaryl enaminones with arylglyoxals and cyclic 1,3-diketones carried out in the presence of triethylamine in acetonitrile for 2 days followed by hot acidic treatment delivers 3-(2-aryl-4-oxo-4,5,6,7-tetrahydrobenzofuran-3-yl)-4*H*-chromen-4-ones (20OBC2501). A similar approach replaces cyclic 1,3-diketones by Meldrum's acid carried out in acetonitrile for 2 days followed by treatment with refluxing acetic acid for 30 min to give 3-[5-aryl-2-oxofuran-4-yl]-4*H*-chromen-4-ones, in modest yields (20TL152602).

One-step radical cascade cyclization−coupling reaction of 2-(allyloxy)arylaldehydes using Langlois' reagent (CF_3SO_2Na) and potassium persulfate in DMSO at 80°C gives 3-trifluoroethyl-4*H*-chromen-4-ones (Scheme 77) (20EJO209).



Scheme 76

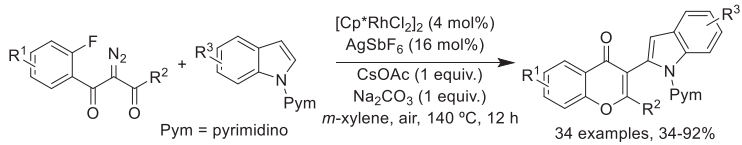


Scheme 77

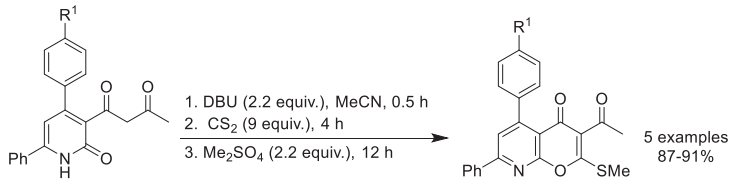
Various 2-substituted 3-(quinolin-2-yl)-4*H*-chromen-4-ones are synthesized through tandem [3+2] cycloaddition/ring-opening/*O*-arylation reactions of 3-alkyl/3-aryl-1-(2-fluoroaryl)prop-2-yn-1-ones with quinoline *N*-oxides using toluene as solvent at 120°C under air for 12 h. Under the same conditions, using pyridine *N*-oxides delivers 2-substituted 3-(pyridin-2-yl)-4*H*-chromen-4-ones. The same transformations occur using sodium phosphate in DMF at 100°C under air for 12 h (20CC4078). Annulation reactions of 3-alkyl/3-aryl-1-(2-bromoaryl)prop-2-yn-1-ones with isoquinoline *N*-oxides in the presence of potassium carbonate in DMF affords 2-substituted 3-(isoquinolin-1-yl)-4*H*-chromen-4-ones (20CC1183). Rhodium(III)-catalyzed coupling of 2-diazo-1-(2-fluoroaryl)propane-1,3-dione derivatives with *N*-pyrimidinoindoles in the presence of $AgSbF_6$, cesium acetate and sodium carbonate in *m*-xylene furnishes a series of 2-substituted 3-(*N*-pyrimidinoindolin-2-yl)-4*H*-chromen-4-ones (Scheme 78). The reaction was extended to other heteroarenes (*N*-pyridinoisoquinolin-1-ones, *N*-pyridinopyridin-2-one, 2-phenylpyridine) (20CC13169).

The synthesis of 3-acetyl-5-aryl-2-(methylthio)-8-azachromen-4-ones is accomplished through cyclization reaction of 3-acetoacetyl-4,6-diarylpyridin-2-ones with carbon disulfide in the presence of DBU in acetonitrile followed by treatment with dimethyl sulfate (Scheme 79) (20JOC11778).

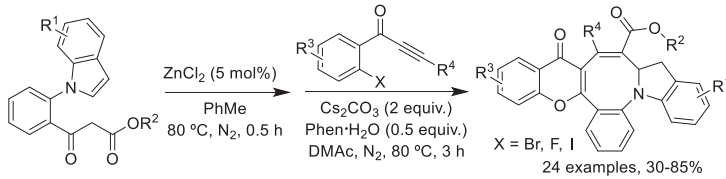
Various 5*H*,12*H*-isochromeno[3,4-*b*]chromen-12-one are prompted via rhodium(III)-catalyzed C−H activation/annulation reaction of salicylaldehydes with 4-diazoisochroman-3-imines using cesium carbonate in 1,4-dioxane, under atmospheric conditions (20TL152387). It is through transition-metal-free approach that 3-aryl-1-(2-bromoaryl)prop-2-yn-1-ones underwent selective insertion with indolin-2-ones promoted by cesium carbonate in DMF giving access to nitrogen-seven-membered ring-fused chromen-4-ones (20OL155). A wide variety of indoline-fused nitrogen-eight-membered ring-fused chromen-4-ones were prepared through dearomative alkylation of 3-[2-(1*H*-indol-1-yl)phenyl]-3-oxopropanoates mediated by zinc(II) iodide in toluene, base-promoted ring-expansion with 1-(2-haloaryl)prop-2-yn-1-ones and intramolecular S_NAr reaction (Scheme 80) (20OBC6916).



Scheme 78



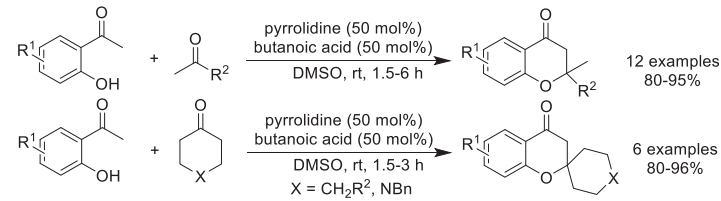
Scheme 79



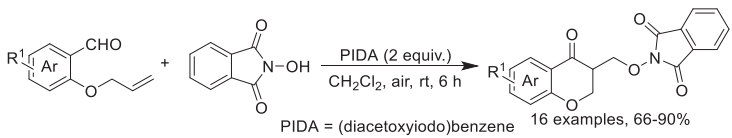
Scheme 80

Microwave-assisted tandem Claisen rearrangement and 6-*endo-trig* cyclization reactions of 2′-allyloxychalcones occurs in toluene for 1 hour and then the solvent is evaporated, a mixture of sodium acetate in methanol is added and reacted for another 1 hour to give 8-allyloxy-2-aryl-4*H*-chroman-4-ones, in moderate to good yields (20EJO7373). Polysubstituted 2-aryl-4*H*-chroman-4-ones arise from DBU-promoted one-pot cascade reactions of 2-[3-aryl-1-(piperidin-1-yl)prop-2-yn-1-yl]phenols with water in acetonitrile, under air. The process involves 1,4-conjugate addition of water to the formed alkynyl *o*-quinone methide, alkyne−allene isomerization, and subsequent intramolecular oxa-Michael addition reactions (20OL4306). Condensation reaction of 2′-hydroxyacetophenones with acyclic methyl ketones mediated by the pyrrolidine-butanoic acid as bifunctional catalyst in DMSO at room temperature leads to 2,2-dialkylsubstitued 4*H*-chroman-4-ones while using cyclic ketones, a series of 2-spiro-4*H*-chroman-4-ones are obtained (Scheme 81) (20JHC3369).

An iridium(III) catalyst promotes visible light photoredox cascade cyclization reactions of 2-(allyloxy)arylaldehydes with several alkyl radical precursors (α-carbonyl alkyl bromides, primary-, secondary-, and tertiary-α-bromoalkyl ketones, esters and 2-bromo-2,2-difluoroacetate), carried out in the presence of 2,6-lutidine in dry DMSO at room temperature, to afford 3-substituted 4*H*-chroman-4-ones (20JOC3963). Other 2-(allyloxy)arylaldehydes undergo radical cyclization reactions with sodium sulfinates promoted by silver nitrate in presence of potassium persulfate in a 1:1 mixture of DMSO:water (20TL151704)and with *N*-hydroxyphthalimide in the presence of (diacetoxyiodo)benzene (PIDA) in dichloromethane (Scheme 82) (20TL152482) to give 3-substituted 4*H*-chroman-4-ones.



Scheme 81



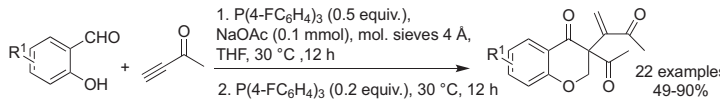
Scheme 82

The synthesis of 2-aryl-3-sulfonyl-4*H*-chroman-4-ones is readily achieved through one-pot intermolecular [5+1] annulation reaction of arylaldehydes (dual electrophile) with 2′-hydoxy-2-sulfonylacetophenones (dual nucleophile) promoted by $POCl_3$ in refluxing toluene for 3 hours (20JOC1033). High yields of (*E*)-2-aryl-3-benzylidene-4*H*-chroman-4-ones are obtained from the reaction of 2′-hydroxyacetophenone with benzaldehydes under dual catalysis of polyphosphoric acid and sulfuric acid (20TL151180). Phosphine-mediated domino sequence of salicylaldehydes with but-3-yn-2-one in the presence of sodium acetate in dry THF furnishes 3-acetyl-3-(1-methylidene-2-oxoprop-1-yl)-4*H*-chroman-4-ones, in moderate to good yields (Scheme 83) (20OBC8916).

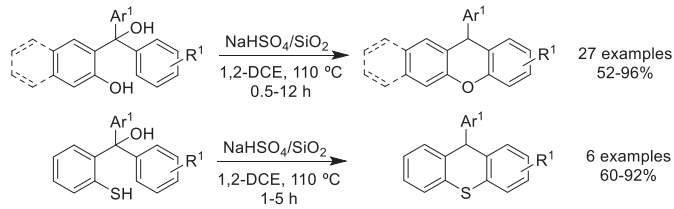
6.4.2.7 Xanthenes and xanthones

The synthesis of 12 aryl-substituted benzo[*b*]xanthenes was accomplished through cascade reactions of triaryl carbinols mediated by silica-supported sodium hydrogen sulfate catalyst, at elevated temperatures. Some 9-aryl thioxanthenes were also obtained starting from triaryl thiocarbinols. The reaction involves *o*-quinone methide formation via dehydration, oxa-6π-electrocyclization, and isomerization reactions (Scheme 84) (20OBC8653). Further derivatives of 9-styryl (thio)xanthenes were obtained via intramolecular Friedel−Crafts alkylation reaction of π-activated secondary allylic alcohols, derived from 2-aryloxybenzaldehydes or 2-(arythio)benzaldehydes with acetophenones, with a catalytic amount of indium(III) triflate in (20TL152347).

Condensation reaction of 4*H*-chromene-3-carbaldehydes with cyclic 1,3-dicarbonyl compounds (4-hydroxy-6-methyl-2*H*-pyran-2-one, 4-hydroxy-6-methylpyridin-2(1*H*)-ones) and their benzocondensed analogues carried out in the presence of ammonium acetate in refluxing acetic acid provides polycyclic benzoxanthene-type compounds, in high yields. The reaction was extended to the reaction of 1*H*-benzo[*f*]chromene-2-carbaldehyde with 2*H*-pyrido[1,2-*a*]pyrimidine-



Scheme 83

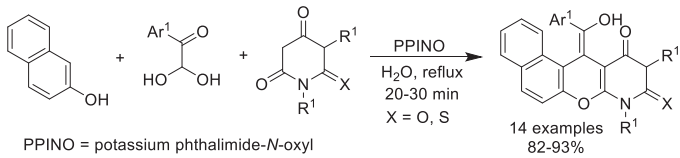


Scheme 84

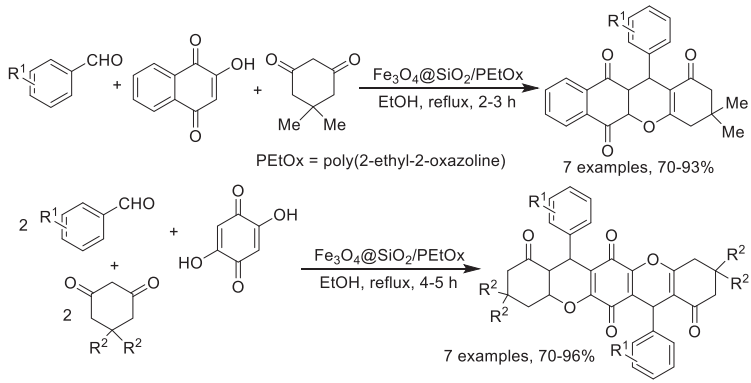
2,4(3*H*)-dione to give the corresponding heptacyclic xanthene derivative (20RSCA34344). Other benzoxanthene-type compounds arise from one-pot, three-component reactions of naphth-2-ol with various arylglyoxal monohydrates and (thio)barbituric acids in the presence of catalytic amounts potassium phthalimide-*N*-oxyl (PPINO) in refluxing water (Scheme 85) (20S1707).

o-Quinone methides, generated in situ from *o*-hydroxybenzyl alcohols, underwent [4+2] cycloaddition reactions with cyclic 1,3-dicarbonyl compounds (1,3-diketones, 1,3-keto nitriles, and 1,3-keto esters) promoted by a binol-phosphoric acid catalyst to afford 9-aryltetrahydro-1*H*-xanthen-1-ones. Using acyclic 1,3-dicarbonyl compounds, a series of 4-aryl-2-methyl-4*H*-chromenes is obtained (20JOC11699).

A wide variety of tetrahydroxanthene-type compounds arise from three-component reactions of benzaldehydes with dimedone and with 5-hydroxy-2-(hydroxymethyl)-4*H*-pyran-4-one promoted by the amino sugar meglumine in a 1:1 mixture of ethanol:water at room temperature (20JHC355), or with barbituric acid derivatives mediated by TBAI in water under room temperature (20JHC39). Under solvent-free conditions, multicomponent reaction of aromatic aldehydes with two equivalents of dimedone mediated by aluminized polyborate at 100−110°C leads to octahydroxanthene-1,8-diones, in good to excellent yields (20JHC3691). The synthesis of benzo[*b*]xanthene triones and tetrahydrochromeno[2,3-*b*]xanthene tetraones can be accomplished through one-pot three- or pseudo−five-component reaction of benzaldehydes with 2-hydroxy-1,4-naphthoquinone or 2,5-dihydroxy-1,4-benzoquinone and dimedone or cyclohexane-1,3-dione in refluxing ethanol and using poly(2-ethyl-2-oxazoline) (PEtOx) immobilized on Fe_3O_4 nanoparticles (Fe_3O_4@SiO_2/PEtOx) (Scheme 86) (20JHC1825).



Scheme 85



Scheme 86

Fused polyheterocyclic xanthene-type compounds are obtained through enantioselective dearomative multiple functionalization reaction with *o*-hydroxybenzylideneacetones with activated *N*-alkylpyridinium promoted by a quinine-derived primary amine, salicylic acid, and potassium salicylate, and with *N*-alkylquinolinium salts carried out in the presence of a different quinine-derived catalyst, mandelic acid, and sodium hydrogen phosphate (20OL7617). Three-component reaction of alkyl 2-(benzo[*b*][1,4]thiazin-3-ylidene)acetates with isatins and 4-hydroxycoumarin in the presence of acetic acid in ethanol furnishes polycyclic spiro[benzo[*b*]chromeno[3′,4′:5,6]pyrano[2,3-*e*][1,4]thiazine-7,3′-indolines] (Scheme 87) (20JOC12117).

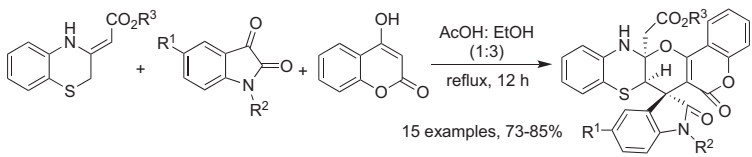
Microwave-assisted one-step synthesis of 9*H*-xanthen-9-ones occurs via intermolecular catalytic coupling reaction of salicylaldehydes with 1,2-dihaloarenes mediated by a palladium nanocatalyst supported on a green biochar, in the presence of potassium carbonate in DMF at 150°C, in moderate to good yields (Scheme 88) (20S619).

6.4.3 Heterocycles containing one or two sulfur atoms

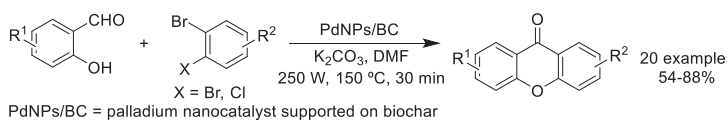
6.4.3.1 Thiopyrans and analogues

A couple of 5,6-dihydro-2*H*-thiopyran-*S*,*S*-oxides are obtained via ruthenium(II)-catalyzed ring-closing metathesis of sulfone-tethered dienes in refluxing toluene, in excellent yields (20OL7064). Polyfunctionalized indolo[2,3-*b*]dihydrothiopyrans arise regio- and stereoselectively from cascade [3+3] annulation of indoline-2-thiones with nitroallylic acetates (MBH acetates) mediated by DBU in toluene at room temperature (20NJC1389). A transition-metal-free approach for the synthesis of a series of substituted 2,6-diaryltetrahydrothiopyrans involves domino reaction of benzaldehydes with 2-acetylfuran/2-acetylthiophene with sodium sulfide in methanol at room temperature (Scheme 89) (20JOC9088).

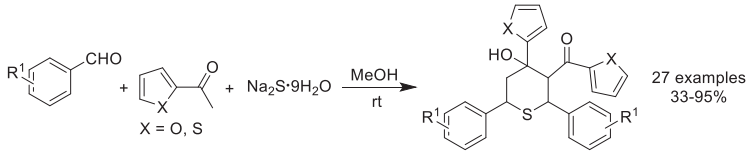
Rhodium(III)-catalyzed *peri*-selective C−H bond activation and cyclization reactions of naphtha-1-thiols with alkynes carried out in the presence of copper(II) and sodium acetates in acetonitrile provides a large variety of 2,3-disubstituted benzo



Scheme 87



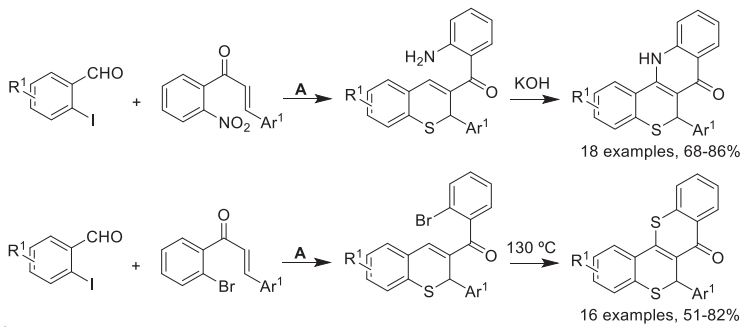
Scheme 88



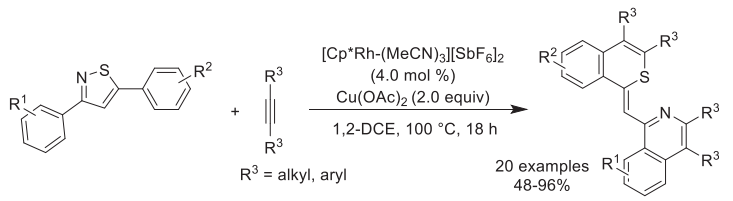
Scheme 89

[*de*]thiochromenes (20OL7825). Copper(II)-catalyzed regioselective Michael addition reaction of 2-iodobenzaldehydes with 2′-nitrochalcones and xanthate as sulfur surrogate, followed by aldol condensation and chemoselective reduction of the aromatic nitro group led to 3-(2-aminobenzoyl)-2*H*-thiochromenes which suffer base-promoted aza-Michael addition followed by oxidation to the formation of 4-oxoquinolino[3,2-*c*]thiochromenes. Conversely, changing the Michael acceptor to 2′-bromochalcones affords 3-(2-bromobenzoyl)-2*H*-thiochromenes which at higher temperature delivers thioflavono[3,2-*c*]thiochromenes (Scheme 90) (20CC8826). The synthesis of 4-aminosubstituted thiochromans is accomplished through formal [3+3] annulation of simple aminocyclopropanes with thiophenols, carried out in the presence of NIS, under acidic conditions, in chloroform (20OL9123).

Rhodium(III)-catalyzed annulative coupling reaction of 3,5-diarylisothiazoles with alkyl/aryl alkynes using copper(II) acetate as oxidant in 1,2-DCE provides various 1-[(3,4-dialkyl/diarylisoquinolin-1-yl)methylidene]-3,4-dialkyl/diaryl-1*H*-isothiochromenes (Scheme 91) (20OL661).



Scheme 90



Scheme 91

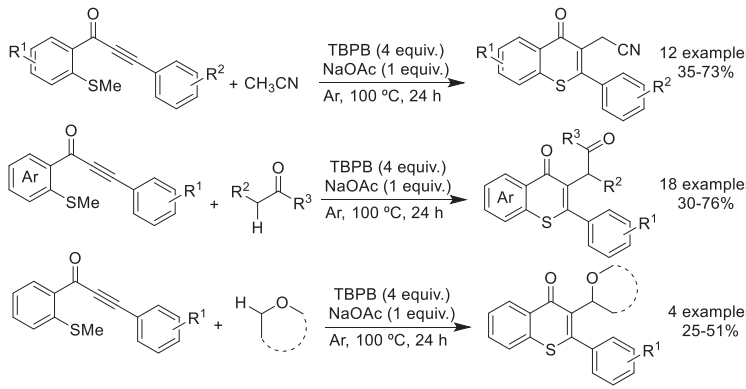
Cyclization reaction of 2′-tosyloxychalcones using elemental sulfur and triethylamine in DMSO leads to 2-aryl-4*H*-thiochromen-4-ones as main products along with thioaurones, in smaller amounts (20SC2347). 3-Alkylsubstituted 2-aryl-4*H*-thiochromen-4-one derivatives are obtained via radical cyclization reaction of diverse 3-aryl-1-[2-(methylthio)aryl]prop-2-yn-1-ones, as free radical acceptors, with various free radical donors (acetonitrile, ketones, esters, ethers), carried out in the presence of *t*-butyl peroxybenzoate (TBPB) and sodium acetate under argon atmosphere (Scheme 92) (20EJO4534). Other 3-aryl-1-[2-(methylthio)aryl]prop-2-yn-1-ones underwent sulfonylation cyclization reactions with sulfonyl hydrazides promoted by sodium iodide and TBHP in a 5:1 mixture of methanol:water to deliver 3-sulfonylated 2-aryl-4*H*-thiochromen-4-ones (20NJC14786). Copper(II)-catalyzed cascade reaction of 2′-iodochalcones with rongalite (as sulfone source) in the presence of 1,10-phenanthroline and tetrabutylammonium chloride in refluxing acetonitrile affords 2-aryl-4*H*-thiochroman-4-one 1,1-dioxides (20CC13653).

Functionalized acene- and heteroacene-fused thiopyrylium salts are synthesized through intramolecular Friedel−Crafts cyclization reaction of diarylthioethers bearing an *o*-formyl group, mediated by Me_3SiOTf or TfOH in dichloromethane at room temperature (20OL6192). Tandem sulfa-Michael/aldol reaction of 2-mercaptobenzaldehydes or 2-mercaptoindole-3-carbaldehydes with benzo[*b*]thiophene sulfones provides polycyclic dihydrothiopyran-fused benzosulfolanes in high yields and with excellent diastereo- and enantioselectivities (Scheme 93) (20CC12363).

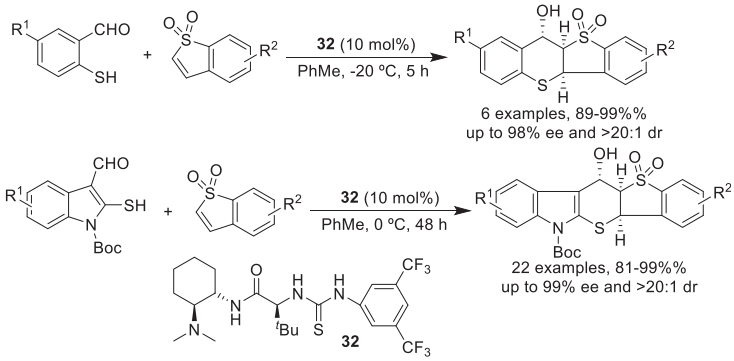
6.4.4 Heterocycles containing two or more oxygen atoms

6.4.4.1 Dioxanes

A phosphine and carbene gold(I) complex catalyzes cascade reactions of propargylic β-ketoesters in xylene at 120°C to furnish a series of 4*H*-1,3-dioxin-4-ones. The sequence involves [3,3]-sigmatropic rearrangement followed by regioselective *O*-



Scheme 92

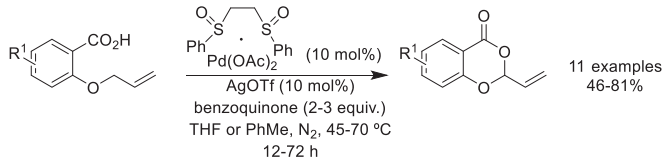


Scheme 93

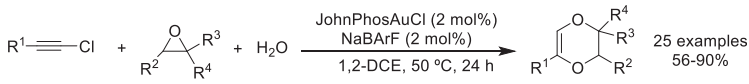
annulation reactions (20CC7734). A series of 4*H*-benzo[*d*][1,3]dioxin-4-ones arise from palladium(II)/bissulfoxide-catalyzed chemo-, regio-, and stereoselective allylic oxidation of 2-allyloxybenzoic acids in the presence of silver triflate, benzoquinone, and using THF or toluene as solvent. This strategy involves double-bond isomerization from the allylic to the vinylic position, intramolecular carboxypalladation, and β-hydride elimination reactions (Scheme 94) (20OL7443). Other 4*H*-benzo[*d*][1,3] dioxin-4-ones are obtained in excellent yields through cascade reaction of allenic ketones and salicylaldehydes in the presence of lithium carbonate and oxone in DMF at room temperature, under open-air conditions (20EJO1727).

A gold(I) complex promotes intermolecular [3+2+1] cycloaddition reaction of various chloroalkynes with epoxides and water in 1,2-DCE to provide polysubstituted 2,3-dihydro-1,4-dioxines (Scheme 95) (20CC12993). Diastereospecific synthesis of (*Z*)-2-arylidene-2,3-dihydrobenzo[*b*][1,4]dioxines occurs under transition-metal-free conditions through the reaction of (*Z*)-3-aryl-1,2-dibromoprop-2-enes with catechols in the presence of cesium carbonate in DMF at 140°C (20T131482).

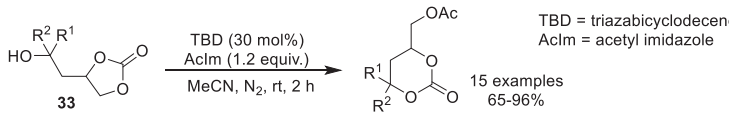
The synthesis of 4-(acetyloxymethyl)-1,3-dioxan-2-ones is accomplished through activation of the β-hydroxyethyl substituent of five-membered cyclic carbonates **33** promoted by NHC base to convert into its six-membered congener followed by



Scheme 94



Scheme 95



Scheme 96

acylation of the pendant alcohol group (Scheme 96) (20AGE18446). Oxidative fluorocyclization of vinyl azides bearing a carbonate moiety using pyridine·HF as fluorine source and PIDA as oxidant in dichloromethane at room temperature provides 5-azido-5-fluoro-1,3-dioxan-2-ones, in high yields (20EJO693).

6.4.5 Heterocycles containing two sulfur atoms

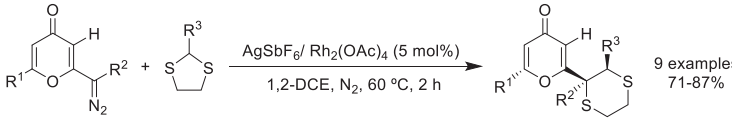
6.4.5.1 Dithianes

Under dual catalysis of $Rh_2(OAc)_4/AgSbF_6$ system, regioselective synthesis of 2-(4-oxopyrano)-1,4-dithianes are achieved from ring expansion of 2-diazopyran-4-ones with dithioacetals in 1,2-DCE (Scheme 97) (20JOC2575). Various dibenzo[*c*,*e*][1,2]dithiin derivatives are formed via a multistep approach starting from Suzuki coupling of bromo-2-(methylthio)benzenes with 2-(methylthio)benzeneboronic acids, reductive demethylation, and protonation for the formation of disulfide bonds (20CEJ8007).

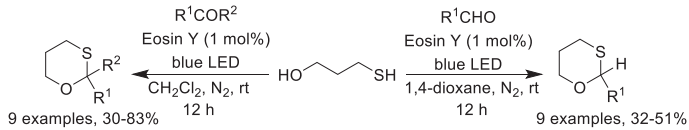
6.4.6 Heterocycles containing both oxygen and sulfur in the same ring

6.4.6.1 Oxathianes

Through visible light−promoted eosin Y−catalyzed oxathiacetalization of aldehydes or ketones with 3-mercaptopropan-1-ol, under metal-free conditions, a series of 1,3-oxathiines was obtained in moderate to good yields (Scheme 98) (20EJO2542).



Scheme 97



Scheme 98

References

20AGE2028 Z. Zhu, M. Odagi, C. Zhao, K.A. Abboud, H.U. Kirm, J. Saame, M. Lõkov, I. Leito, D. Seidel, *Angew. Chem. Int. Ed.* **2020**, *59,* 2028.

20AGE2674 H. Yi, P. Hu, S.A. Snyder, *Angew. Chem. Int. Ed.* **2020**, *59,* 2674.

20AGE3190 A. Mavroskoufis, K. Rajes, P. Golz, A. Agrawal, V. Ruß, J.P. Götze, M.N. Hopkinson, *Angew. Chem. Int. Ed.* **2020**, *59,* 3190.

20AGE4360 T. Xie, C. Zheng, K. Chen, H. He, S. Gao, *Angew. Chem. Int. Ed.* **2020**, *59,* 4360.

20AGE5656 L. Næsborg, C. Jandl, A. Zech, T. Bach, *Angew. Chem. Int. Ed.* **2020**, *59,* 5656.

20AGE7419 H. Shao, X. Gao, Z.-T. Wang, Z. Gao, Y.-M. Zhao, *Angew. Chem. Int. Ed.* **2020**, *59,* 7419.

20AGE11020 S. Kinoshita, R. Yamano, Y. Shibata, Y. Tanaka, K. Hanada, T. Matsumoto, K. Miyamoto, A. Muranaka, M. Uchiyama, K. Tanaka, *Angew. Chem. Int. Ed.* **2020**, *59,* 11020.

20AGE11456 D. Uraguchi, F. Ueoka, N. Tanaka, T. Kizu, W. Takahashi, T. Ooi, *Angew. Chem. Int. Ed.* **2020**, *59,* 115456.

20AGE11808 C.C. Chintawar, A.K. Yadav, N.T. Patil, *Angew. Chem. Int. Ed.* **2020**, *59,* 11808.

20AGE11886 S. Choi, J. Park, E. Yu, J. Sim, C.-M. Park, *Angew. Chem. Int. Ed.* **2020**, *59,* 11886.

20AGE12848 K.K. Ghosh, A. Uttry, A. Mondal, F. Ghiringhelli, P. Wedi, M. van Gemmeren, *Angew. Chem. Int. Ed.* **2020**, *59,* 12848.

20AGE12853 H.S. Park, Z. Fan, R.-Y. Zhu, J.-Q. Yu, *Angew. Chem. Int. Ed.* **2020**, *59,* 12853.

20AGE13414 J. Sakamoto, Y. Umeda, K. Rakumitsu, M. Sumimoto, H. Ishikawa, *Angew. Chem. Int. Ed.* **2020**, *59,* 13414.

20AGE17996 Y. Jiang, T. Ozaki, M. Harada, T. Miyasaka, H. Sato, K. Miyamoto, J. Kanazawa, C. Liu, J.-i. Maruyama, M. Adachi, A. Nakazaki, T. Nishikawa, M. Uchiyama, A. Minami, H. Oikawa, *Angew. Chem. Int. Ed.* **2020**, *59,* 17996.

20AGE18446 C. Qiao, A. Villar-Yanez, J. Sprachmann, B. Limburg, C. Bo, A.W. Kleij, *Angew. Chem. Int. Ed.* **2020**, *59,* 18446.

20AGE22706 J. Sun, W. Yuan, R. Tian, P. Wang, X.-P. Zhang, X. Li, *Angew. Chem. Int. Ed.* **2020**, *59,* 22706.

20AGE23485 J.P. Schmidt, B. Breit, *Angew. Chem. Int. Ed.* **2020**, *59,* 23485.

20AGE23505 C. Ngai, C.M. Sanchez-Marsetti, W.H. Harman, R.J. Hooley, *Angew. Chem. Int. Ed.* **2020**, *59,* 23505.

20AGE23772 T. Mitsuhashi, L. Barra, Z. Powers, V. Kojasoy, A. Cheng, F. Yang, Y. Taniguchi, T. Kikuchi, M. Fujita, D.J. Tantillo, J.A. Porco Jr., I. Abe, *Angew. Chem. Int. Ed.* **2020**, *59,* 23772.

20AGE23870 C. Schotte, L. Li, D. Wibberg, J. Kalinowski, R.J. Cox, *Angew. Chem. Int. Ed.* **2020**, *59,* 23870.

20BCSJ1036 Y. Yamagiwa, N. Haruna, H. Kawakami, K. Matsumoto, *Bull. Chem. Soc. Jpn.* **2020**, *93,* 1036.

20BCSJ1540 T. Ohyoshi, K. Mitsugi, F. Ichimura, T. Higuma, M. Yoshida, H. Kigoshi, *Bull. Chem. Soc. Jpn.* **2020**, *93,* 1540.

20CC439 Y. You, T.-T. Li, S.-P. Yuan, K.-X. Xie, Z.-H. Wang, J.-Q. Zhao, M.-Q. Zhou, W.-C. Yuan, *Chem. Commun.* **2020**, *56,* 439.

20CC1183 W.-W. Yang, L.-L. Chen, P. Chen, Y.-F. Ye, Y.-B. Wang, X. Zhang, *Chem. Commun.* **2020**, *56,* 1183.

20CC2606 S. Mkrtchyan, V.O. Iaroshenko, *Chem. Commun.* **2020**, *56,* 2606.

20CC3405 S. Zhai, S. Qiu, L. Chen, Y. Niu, Y. Yu, B. Yang, B. Zhang, C. Han, L. Yang, H. Zhai, *Chem. Commun.* **2020**, *56,* 3405.

20CC3453 P. Pal, P.S. Mainkar, K. Nayani, S. Chandrasekhar, *Chem. Commun.* **2020**, *56,* 3453.

20CC4078 J. Liu, D. Ba, Y. Chen, S. Wen, G. Cheng, *Chem. Commun.* **2020**, *56,* 4078.

20CC5933 Y. An, B.-S. Zhang, Z. Zhang, C. Liu, X.-Y. Gou, Y.-N. Ding, Y.-M. Liang, *Chem. Commun.* **2020**, *56,* 5933.

20CC6016 Z. Chen, L.-C. Wang, X.-F. Wu, *Chem. Commun.* **2020**, *56,* 6016.

20CC6688 Y. Dong, J.-T. Yu, S. Sun, J. Cheng, *Chem. Commun.* **2020**, *56,* 6688.

20CC6739 Y.-Q. Gao, Y. Hou, L. Zhu, J. Chen, R. Li, S.-Y. Zhang, Y.-P. He, W. Xie, *Chem. Commun.* **2020**, *56,* 6739.

20CC6907 Y. Li, G.-A. Pan, M.-J. Luo, J.-H. Li, *Chem. Commun.* **2020**, *56,* 6907.

20CC7155 R.S. Verma, A.K. Khatana, M. Mishra, S. Kumar, B. Tiwari, *Chem. Commun.* **2020**, *56,* 7155.

20CC7191 C.R. Reddy, A.D. Patil, S.Z. Mohammed, *Chem. Commun.* **2020**, *56,* 7191.

20CC7734 J. An, R. Pedrazzani, M. Monari, M. Marin-Luna, C.S. Lopez, M. Bandini, *Chem. Commun.* **2020**, *56,* 7734.

20CC8826 N. Sundaravelu, G. Sekar, *Chem. Commun.* **2020**, *56,* 8826.

20CC9854 Y.-T. Wu, R. Zhang, X.-Y. Duan, H.-F. Yu, B.-Y. Sun, J. Qi, *Chem. Commun.* **2020**, *56,* 9854.

20CC10018 J. Hu, Y.-Q. Gao, D. Xu, L. Chen, W. Wen, Y. Hou, L. Chen, W. Xie, *Chem. Commun.* **2020**, *56,* 10018.

20CC10251 J. Zhang, J. Hao, Z. Huang, J. Han, Z. He, *Chem. Commun.* **2020**, *56,* 10251.

20CC10369 D. Wang, Z. Ma, N. Wang, C. Li, T. Wang, Y. Liang, Z. Zhang, *Chem. Commun.* **2020**, *56,* 10369.

20CC12335 R. Murata, A. Matsumoto, K. Asano, S. Matsubara, *Chem. Commun.* **2020**, *56,* 12335.

20CC12363 L. Yang, J.-Q. Zhao, Y. You, Z.-H. Wang, W.-C. Yuan, *Chem. Commun.* **2020**, *56,* 12363.

20CC12885 A.Z. Aljahdali, K.A. Foster, G.A. O'Doherty, *Chem. Commun.* **2020**, *56,* 12885.

20CC12993 C. Liu, J. Xu, G. Wu, *Chem. Commun.* **2020**, *56,* 12993.

20CC13169 J. Yao, L. Kong, X. Li, *Chem. Commun.* **2020**, *56,* 13169.

20CC13189 C. Zhong, Q. Yin, Y. Zhao, Q. Li, L. Hu, *Chem. Commun.* **2020**, *56,* 13189.

20CC13653 X.-L. Chen, B.-C. Tang, C. He, J.-T. Ma, S.-Y. Zhuang, Y.-D. Wu, A.-X. Wu, *Chem. Commun.* **2020**, *56,* 13653.

20CC14825 M. Xiang, C.-Y. Li, X.-J. Song, Y. Zou, Z.-C. Huang, X. Li, F. Tian, L.-X. Wang, *Chem. Commun.* **2020**, *56,* 14825.

20CC15153 K. Kumar, B. Singh, R.P. Singh, *Chem. Commun.* **2020**, *56,* 15153.

20CC15462 S. Mayakrishnan, M. Tamizmani, N.U. Maheswari, *Chem. Commun.* **2020**, *56,* 15462.

20CEJ1166 R. Wu, H. Chen, N. Chang, Y. Xu, J. Jiao, H. Zhang, *Chem. Eur. J.* **2020**, *26,* 1166.

20CEJ1406 L. Jarrige, V. Gandon, G. Masson, *Chem. Eur. J.* **2020**, *26,* 1406.

20CEJ5131 S.L.K. Manda, S. Tripathi, A. Ghoshal, M.D. Ambule, A.K. Srivastava, G. Panda, *Chem. Eur. J.* **2020**, *26,* 5131.

20CEJ7516 A. Méndez-Ardoy, J.J. Reina, J. Montenegro, *Chem. Eur. J.* **2020**, *26,* 7516.

20CEJ8007 C. Sonnenschein, C.P. Ender, F. Wang, D. Schollmeyer, X. Feng, A. Narita, K. Mellen, *Chem. Eur. J.* **2020**, *26,* 8007.

20CEJ9749 A. Baccalini, G. Faita, G. Zanoni, D. Maiti, *Chem. Eur. J.* **2020**, *26,* 9749.

20CEJ11119 M. Petzold, A. Genther, P.G. Jones, D.B. Werz, *Chem. Eur. J.* **2020**, *26,* 11119.

20CEJ12862 K. Oka, S. Fuchi, K. Komine, H. Fukuda, S. Hatakeyama, J. Ishihara, *Chem. Eur. J.* **2020**, *26,* 12862.

20CEJ13738 X. Lin, Z. Fang, C. Zeng, C. Zhu, X. Pang, C. Liu, W. He, J. Duan, N. Qin, K. Guo, *Chem. Eur. J.* **2020**, *26,* 13738.

20CEJ14173 X. Lin, Y. Liu, C. Li, *Chem. Eur. J.* **2020**, *26,* 14173.

20CEJ15901 X. Zhu, G. Xu, L.-M. Chamoreau, Y. Zhang, V. MouriHs-Mansuy, L. Fensterbank, O. Bistri-Aslanoff, S. Roland, M. Sollogoub, *Chem. Eur. J.* **2020**, *26,* 15901.

20CPB380 M. Inai, Y. Oguri, M. Horikawa, H. Kaku, S. Suzuki, K. Kitamura, T. Tsunoda, *Chem. Pharm. Bull.* **2020**, *68,* 380.

20CPB443 T. Wang, T. Peng, X. Wen, G. Wang, S. Liu, Y. Sun, S. Zhang, L. Wang, *Chem. Pharm. Bull.* **2020**, *68,* 443.

20CPB479 Y. Onuki, H. Nambu, T. Yakura, *Chem. Pharm. Bull.* **2020**, *68,* 479.

20CR1495 K. Kitamura, Y. Ando, T. Matsumoto, K. Suzuki, *Chem. Rev.* **2020**, *120,* 1495.

20CSR8543 C.C. Lynch, A. Sripada, C. Wolf, *Chem. Soc. Rev.* **2020**, *49,* 8543.

20CSR8897 Y.-B. Chen, P.-C. Qian, L.-W. Ye, *Chem. Soc. Rev.* **2020**, *49,* 8897.

20EJO209 F. Gao, F.-X. Meng, J.-Y. Du, S. Zhang, H.-L. Huang, *Eur. J. Org. Chem.* **2020,** 209.

20EJO227 N. Nardangeli, N. Topolovčan, R. Simionescu, T. Hudlický, *Eur. J. Org. Chem.* **2020,** 227.

20EJO402 A.I. Ilovaisky, V.M. Merkulova, V.A. Vil', E.I. Chernoburova, M.A. Shchetinina, S.D. Loguzov, A.S. Dmitrenok, I.V. Zavarzin, A.O. Terent'ev, *Eur. J. Org. Chem.* **2020,** 402.

20EJO693 L. Li, S. Cao, F. Lin, P. Liao, Y. Ning, *Eur. J. Org. Chem.* **2020,** 693.

20EJO723 C. Yan, Y. Yu, B. Peng, X. Huang, *Eur. J. Org. Chem.* **2020,** 723.

20EJO852 X. An, B. Zhang, X. Li, T. Du, Z. Ai, C. Zhang, J. Xu, F. Sun, Y. Zhang, Y. Du, *Eur. J. Org. Chem.* **2020,** 852.

20EJO985 C.M. Sousa, P.J. Coelho, *Eur. J. Org. Chem.* **2020,** 985.

20EJO1588 L. Xiong, H. Hu, C.-W. Wei, B. Yu, *Eur. J. Org. Chem.* **2020,** 1588.

20EJO1652 Y. Kitamura, M. Matsumura, Y. Kato, Y. Murata, S. Yasuike, *Eur. J. Org. Chem.* **2020,** 1652.

20EJO1727 S.R. Sahoo, D. Sarkar, *Eur. J. Org. Chem.* **2020,** 1727.

20EJO1907 Y. Aota, Y. Doko, T. Kano, K. Maruoka, *Eur. J. Org. Chem.* **2020,** 1907.

20EJO1947 R. Padma, B. Srinivas, J.S. Yadav, D.K. Mohapatra, *Eur. J. Org. Chem.* **2020,** 1947.

20EJO1976 Y. Zhang, J. Zhang, Y. Yuan, L. Liu, B. Chen, T. Sun, *Eur. J. Org. Chem.* **2020,** 1976.

20EJO2093 E.A. Silyanova, A.V. Samet, L.K. Salamandra, V.N. Khrustalev, V.V. Semenov, *Eur. J. Org. Chem.* **2020,** 2093.

20EJO2542 Y.-C. Liu, D.M. Reddy, X.-A. Chen, Y.-C. Shieh, C.-F. Lee, *Eur. J. Org. Chem.* **2020,** 2542.

20EJO2592 V. Pirovano, G. Hamdan, D. Garanzini, E. Brambilla, E. Rossi, A. Caselli, G. Abbiati, *Eur. J. Org. Chem.* **2020,** 2592.

20EJO2650 C.G.S. Lima, F.P. Pauli, D.C.S. Costa, A.S. de Souza, L.S.M. Forezi, V.F. Ferreira, F.C. da Silva, *Eur. J. Org. Chem.* **2020,** 2650.

20EJO3712 R. Mancuso, M. Novello, P. Russo, A.P. Piccionello, B. Gabriele, *Eur. J. Org. Chem.* **2020,** 3712.

20EJO4425 T. Tian, X. Wang, L. Lv, Z. Li, *Eur. J. Org. Chem.* **2020,** 4425.

20EJO4474 A. Kharma, C. Jacob, Í.A.O. Bozzi, G.A.M. Jardim, A.L. Braga, K. Salomão, C.C. Gatto, M.F.S. Silva, C. Pessoa, M. Stangier, L. Ackermann, E.N.S. Júnior, *Eur. J. Org. Chem.* **2020,** 4474.

20EJO4534 X. Zheng, T. Zhong, L. Zhang, J. Chen, Z. Chen, X. Jiang, C. Yu, *Eur. J. Org. Chem.* **2020,** 4534.

20EJO5388 M. Stefaniak, S. Buda, J. Mlynarski, *Eur. J. Org. Chem.* **2020,** 5388.

20EJO5616 A.M. Nikolić, F. Živković, Ž. Selaković, P. Wipf, I.M. Opsenica, *Eur. J. Org. Chem.* **2020,** 5616.

20EJO5880 A. Beghennou, K. Passador, A. Passador, V. Corcé, S. Thorimbert, C. Botuha, *Eur. J. Org. Chem.* **2020,** 5880.

20EJO5833 J. Lenhof, M. Hutter, V. Huch, J. Jauch, *Eur. J. Org. Chem.* **2020,** 5833.

20EJO6000 D.H. Harris, R.O. Barichello, Y. Bolshan, *Eur. J. Org. Chem.* **2020,** 6000.

20EJO6028 Y. Lee, M.S. Kwon, *Eur. J. Org. Chem.* **2020,** 6028.

20EJO6661 L. Adair, B.A. Egan, C.M. Pearson, R. Lopez-Gonzalez, M. Kuchar, A. Mendoza-Mendoza, J. Prunet, R. Marquez, *Eur. J. Org. Chem.* **2020,** 6661.

20EJO6887 S.J. Gharpure, D.S. Vishwakarma, *Eur. J. Org. Chem.* **2020,** 6887.

20EJO7373 C. Schultze, S. Foß, B. Schmidt, *Eur. J. Org. Chem.* **2020,** 7373.

20H(100)177 T. Kumamoto, K. Katakawa, *Heterocycles* **2020**, *100,* 177.

20H(100)429 T. Tanaka, S. Inoue, T. Miura, Y.-H. Hsieh, H. Iwasaki, M. Ozeki, N. Kojima, M. Yamashita, *Heterocycles* **2020**, *100,* 429.

20H(100)803 R. Katagiri, Y. Uekusa, Y. Narukawa, F. Kiuchi, *Heterocycles* **2020**, *100,* 803.

20H(100)993 G.M. Ziarani, P. Mofatehnia, F. Mohajer, R. Moradi, *Heterocycles* **2020**, *100,* 993.

20H(100)1405 M. Nakamura, H. Togo, *Heterocycles* **2020**, *100,* 1405.

20H(101)339 M. Pasha, M. Sohail, F. Tanaka, *Heterocycles* **2020**, *101,* 339.

20JA5751 C. Zhu, J. Liu, B.K. Mai, F. Himo, J.-E. Bäckvall, *J. Am. Chem. Soc.* **2020**, *142,* 5751.

20JA7306 P. Vojáčková, L. Michalska, M. Nečas, D. Shcherbakov, E.C. Böttger, J. Šponer, J.E. Šponer, J. Švenda, *J. Am. Chem. Soc.* **2020**, *142,* 7306.

20JA8116 X.-T. Liang, J.-H. Chen, Z. Yang, *J. Am. Chem. Soc.* **2020**, *142,* 8116.

20JA9834 J. Nogami, Y. Tanaka, H. Sugiyama, H. Uekusa, A. Muranaka, M. Uchiyama, K. Tanaka, *J. Am. Chem. Soc.* **2020**, *142,* 9834.

20JA12937 K. Du, M.J. Kier, Z.D. Stempel, V. Jeso, A.L. Rheingold, G.C. Micalizio, *J. Am. Chem. Soc.* **2020**, *142,* 12937.

20JA13683 C. He, H. Chu, T.P. Stratton, D. Kossler, K.J. Eberle, D.T. Flood, P.S. Baran, *J. Am. Chem. Soc.* **2020**, *142,* 13863.

20JA15116 L. Cai, Y. Yao, S.K. Yeon, I.B. Seiple, *J. Am. Chem. Soc.* **2020**, *142,* 15116.

20JA15252 Z. Zhu, M. Odagi, N. Supantanapong, W. Xu, J. Saame, H.-U. Kirm, K.A. Abboud, I. Leito, D. Seidel, *J. Am. Chem. Soc.* **2020**, *142,* 15252.

20JA15536 P.R. Leger, Y. Kuroda, S. Chang, J. Jurczyk, R. Sarpong, *J. Am. Chem. Soc.* **2020**, *142,* 15536.

20JHC39 J. Malviya, R.K.P. Singh, *J. Heterocycl. Chem.* **2020**, *57,* 39.

20JHC173 B. Bahramnezhad, D. Ghazanfari, E. Sheikhhosseini, M.R. Akhgar, S.A. Ahmadi, *J. Heterocycl. Chem.* **2020**, *57,* 173.

20JHC355 G. Sravya, G. Suresh, G.V. Zyryanov, A. Balakrishna, K.M.K. Reddy, C.S. Reddy, C. Venkataramaiah, W. Rajendra, N.B. Reddy, *J. Heterocycl. Chem.* **2020**, *57,* 355.

20JHC526 P. Yang, M. Lu, K. Li, Y. Xie, *J. Heterocycl. Chem.* **2020**, *57,* 526.

20JHC744 G. Brahmachari, M. Mandal, *J. Heterocycl. Chem.* **2020**, *57,* 744.

20JHC813 M. Shkoor, H.-L. Su, S. Ahmed, S. Hegazy, *J. Heterocycl. Chem.* **2020**, *57,* 813.

20JHC842 R.A. Haggam, M.G. Assy, E.K. Mohamed, A.S. Mohamed, *J. Heterocycl. Chem.* **2020**, *57,* 842.

20JHC867 S.A. Rizk, S. Shaban, H.A. Sallam, *J. Heterocycl. Chem.* **2020**, *57,* 867.

20JHC1003 W. Tan, J. Zheng, J. Guan, X. Zhan, L. Gao, L. Lyu, B. Shan, Q. Yang, M. Ma, Y. Xia, *J. Heterocycl. Chem.* **2020**, *57,* 1003.

20JHC1090 H. Ohmukai, Y. Sugiyama, A. Hirota, M. Kirihata, S. Tanimori, *J. Heterocycl. Chem.* **2020**, *57,* 1090.

20JHC1101 Y.B. Wagh, S.A. Padvi, P.P. Mahulikar, D.S. Dalal, *J. Heterocycl. Chem.* **2020**, *57,* 1101.

20JHC1330 R.M. Mohareb, E.M. Khalil, A.E. Mayhoub, A.E.M. Abdallah, *J. Heterocycl. Chem.* **2020**, *57,* 1330.

20JHC1403 K. Aggile, M. Alagumuthu, P. Kumar, A.A. Napoleon, *J. Heterocycl. Chem.* **2020**, *57,* 1403.

20JHC1476 A.M. Abdella, A.M. Abdelmoniem, I.A. Abdelhamid, A.H.M. Elwahy, *J. Heterocycl. Chem.* **2020**, *57,* 1476.

20JHC1599 S. Makarem, *J. Heterocycl. Chem.* **2020**, *57,* 1599.

20JHC1692 K.S. Hon, H.N. Akolkar, B.K. Karale, *J. Heterocycl. Chem.* **2020**, *57,* 1692.

20JHC1781 Y. Ji, L. Li, G. Zhu, Y. Zhou, X. Lu, W. He, L. Gao, L. Rong, *J. Heterocycl. Chem.* **2020**, *57,* 1781.

20JHC1825 R. Rahnamafar, L. Moradi, M. Khoobi, *J. Heterocycl. Chem.* **2020**, *57,* 1825.

20JHC1875 M. Ebrahimi, S. Abdolmohammadi, R. Kia-Kojoori, *J. Heterocycl. Chem.* **2020**, *57,* 1875.

20JHC2243 E.M. Eid, H.M.E. Hassaneen, I.A. Abdelhamid, A.H.M. Elwahy, *J. Heterocycl. Chem.* **2020**, *57,* 2243.

20JHC2957 I.M. Paczkowski, E.P. Guedes, E.B. Mass, E.W. de Menezes, L.A. Marques, M.S. Mantovani, D. Russowsky, *J. Heterocycl. Chem.* **2020**, *57,* 2957.

20JHC3037 R.A. Azzam, R.M. Mohareb, M.H. Helal, K.K. Eisa, *J. Heterocycl. Chem.* **2020**, *57,* 3037.

20JHC3369 N.P. Kapuriya, J.J. Bhalodia, M.A. Ambasana, R.B. Patel, A.H. Bapodra, *J. Heterocycl. Chem.* **2020**, *57,* 3369.

20JHC3691 D. Aute, A. Kshirsagar, B. Uphade, A. Gadhave, *J. Heterocycl. Chem.* **2020**, *57,* 3691.

20JHC3856 S.A. Moghaddas, Z. Hossaini, D. Zareyee, *J. Heterocycl. Chem.* **2020**, *57,* 3856.

20JHC3943 R. Dharavath, M. Sarasija, M.R. Reddy, N. Nalaparaju, R. Katta, D. Ashok, *J. Heterocycl. Chem.* **2020**, *57,* 3943.

20JHC4023 B.A. Ibrahim, R.M. Mohareb, *J. Heterocycl. Chem.* **2020**, *57,* 4023.

20JHC4057 R. Dharavath, M. Sarasija, M.R. Reddy, N. Nalaparaju, R. Katta, D. Ashok, *J. Heterocycl. Chem.* **2020**, *57,* 4057.

20JOC360 P. Zhou, W.-T. Yang, A.U. Rahman, G. Li, B. Jiang, *J. Org. Chem.* **2020**, *85,* 360.

20JOC1033 M.-Y. Chang, Y.-L. Tsai, Y.-L. Chang, *J. Org. Chem.* **2020**, *85,* 1033.

20JOC1054 R. Klintworth, C.B. de Koning, J.P. Michael, *J. Org. Chem.* **2020**, *85,* 1054.
20JOC1216 S. Wen, Y. Chen, Z. Zhao, D. Ba, W. Lv, G. Cheng, *J. Org. Chem.* **2020**, *85,* 1216.
20JOC1285 H. Li, C.-x. Cui, G.-h. Zhang, X.-q. Li, J. Yang, *J. Org. Chem.* **2020**, *85,* 1285.
20JOC2575 L. Tang, Q. Yang, J. Zhang, G. Deng, *J. Org. Chem.* **2020**, *85,* 2575.
20JOC3125 Q. Deng, W. Xia, M.I. Hussain, X. Zhang, W. Hu, Y. Xiong, *J. Org. Chem.* **2020**, *85,* 3125.
20JOC3202 H. Joshi, A. Yadav, A. Das, V.K. Singh, *J. Org. Chem.* **2020**, *85,* 3202.
20JOC3548 A. Kumar, K.R. Prabhu, *J. Org. Chem.* **2020**, *85,* 3548.
20JOC3638 M. Luo, X. Zhu, R. Liu, S. Yu, W. Wei, *J. Org. Chem.* **2020**, *85,* 3638.
20JOC3806 S. Chen, J.J. Wong, K.N. Houk, *J. Org. Chem.* **2020**, *85,* 3806.
20JOC3824 C. Maeng, J.-Y. Son, S.C. Lee, Y. Baek, K. Um, S.H. Han, G.H. Ko, G.U. Han, K. Lee, K. Lee, P.H. Lee, *J. Org. Chem.* **2020**, *85,* 3824.
20JOC3936 K. Kirita, S. Hosokawa, *J. Org. Chem.* **2020**, *85,* 3936.
20JOC3963 H.-L. Huang, J.-Y. Du, Q.-L. Li, F. Gao, C.-L. Ma, *J. Org. Chem.* **2020**, *85,* 3963.
20JOC4011 S. Hu, J. Wang, G. Huang, K. Zhu, F. Chen, *J. Org. Chem.* **2020**, *85,* 4011.
20JOC4122 N.A. Mallampudi, U.M. Choudhury, D.K. Mohapatra, *J. Org. Chem.* **2020**, *85,* 4122.
20JOC4279 S. Kim, Y. Li, L. Lin, P.R. Sayasith, A.T. Tarr, E.B. Wright, S. Yasmin, D.A. Lannigan, G.A. O'Doherty, *J. Org. Chem.* **2020**, *85,* 4279.
20JOC4627 R. Mohanta, G. Bez, *J. Org. Chem.* **2020**, *85,* 4627.
20JOC4637 R.K. Bressin, S. Osman, I. Pohorilets, U. Basu, K. Koide, *J. Org. Chem.* **2020**, *85,* 4637.
20JOC5183 D. Destro, C. Bottinelli, L. Ferrari, D.C.M. Albanese, G. Bencivenni, M.W. Gillick-Healy, B.G. Kelly, M.F.A. Adamo, *J. Org. Chem.* **2020**, *85,* 5183.
20JOC5231 S. Feng, B. Yang, T. Chen, R. Wang, Y.-H. Deng, Z. Shao, *J. Org. Chem.* **2020**, *85,* 5231.
20JOC5403 M.-S. Tu, S.-J. Liu, C. Zhong, S. Zhang, H. Zhang, Y.-L. Zheng, F. Shi, *J. Org. Chem.* **2020**, *85,* 5403.
20JOC7192 O. Kováč, F. Zálešák, D.J.-Y.D. Bon, L. Roiser, L.V. Baar, M. Waser, J. Pospíšil, *J. Org. Chem.* **2020**, *85,* 7192.
20JOC8062 B.S. Vachan, M. Karuppasamy, G. Jan, N. Bhuvanesh, C.U. Maheswari, V. Sridharan, *J. Org. Chem.* **2020**, *85,* 8062.
20JOC9088 D. Chen, W. Du, X. Yang, T. Liu, *J. Org. Chem.* **2020**, *85,* 9088.
20JOC10035 C.-d. Wang, Q. Chen, S. Shin, C.-G. Cho, *J. Org. Chem.* **2020**, *85,* 10035.
20JOC10189 K. Yoshida, H. Inoue, Y. Oji, H. Suzuki, K.-i. Takao, *J. Org. Chem.* **2020**, *85,* 10189.
20JOC10695 V. Srinivasulu, P. Schilf, S. Ibrahim, I.A. Shehadi, O.G. Malik, S. Sieburth, M.A. Khanfar, M. Hamad, I.A. Abu-Yousef, A.F. Majdalawieh, T.H. Al-Tel, *J. Org. Chem.* **2020**, *85,* 10695.
20JOC10772 O.D.C.C. de Azevedo, P.I.P. Elliott, C.D. Gabbutt, B.M. Heron, K.J. Lord, C. Pullen, *J. Org. Chem.* **2020**, *85,* 10772.
20JOC11006 Z. Chen, S. Jin, W. Jiang, F. Zhu, Y. Chen, Y. Zhao, *J. Org. Chem.* **2020**, *85,* 11006.
20JOC11240 J. Wang, Q. Rong, L. Zhao, X. Pan, L. Zhao, K. Zhao, L. Hu, *J. Org. Chem.* **2020**, *85,* 11240.

20JOC11579 K. Zhang, W.-Z. Zhang, X.-Y. Tao, M. Zhang, W.-M. Ren, X.-B. Lu, *J. Org. Chem.* **2020**, *85,* 11579.

20JOC11699 F. Göricke, S. Haseloff, M. Laue, M. Schneider, T. Brumme, C. Schneider, *J. Org. Chem.* **2020**, *85,* 11699.

20JOC11778 S. Saulnier, R. Ghoteimi, C. Mathé, S. Peyrottes, J.-P. Uttaro, *J. Org. Chem.* **2020**, *85,* 11778.

20JOC12097 Z. Shu, J. Zhou, J. Li, Y. Cheng, H. Liu, D. Wang, Y. Zhou, *J. Org. Chem.* **2020**, *85,* 12097.

20JOC12117 Q.-S. Sun, J. Sun, L.-N. Pan, C.-G. Yan, *J. Org. Chem.* **2020**, *85,* 12117.

20JOC12870 H. Wen, W. Yan, P. Chen, Y. Li, Y. Tang, *J. Org. Chem.* **2020**, *85,* 12870.

20JOC13160 W. Xiong, X. Wang, X. Shen, C. Hu, X. Wang, F. Wang, G. Zhang, C. Wang, *J. Org. Chem.* **2020**, *85,* 13160.

20JOC13306 X.-Z. Zhang, B.Q. Li, Z.-W. Qiu, A.-J. Ma, J.-B. Peng, J.-Y. Du, N. Feng, X.-T. Xu, H.-P. Pan, *J. Org. Chem.* **2020**, *85,* 13306.

20JOC13818 K. Zheng, D. Shen, B. Zhang, R. Hong, *J. Org. Chem.* **2020**, *85,* 13818.

20JOC14174 D.E. Adolfsson, M. Tyagi, P. Singh, A. Deuschmann, J. Ådén, A.L. Gharibyan, S.W. Jayaweera, A.E.G. Lindgren, A. Olofsson, F. Almqvist, *J. Org. Chem.* **2020**, *85,* 14174.

20JOC15051 X. Sun, M. Gong, M. Huang, Y. Li, J.K. Kim, V. Kovalev, E. Shokova, Y. Wu, *J. Org. Chem.* **2020**, *85,* 15051.

20JOC15116 P.A. Wender, J.L. Sloane, Q.H. Luu-Nguyen, Y. Ogawa, A.J. Shimizu, S.M. Ryckbosch, J.H. Tyler, C. Hardman, *J. Org. Chem.* **2020**, *85,* 15116.

20JOC15221 M. Bakthadoss, V. Agarwal, *J. Org. Chem.* **2020**, *85,* 15221.

20JOC15586 D. Dar'in, G. Kantin, O. Bakulina, A. Inyutina, E. Chupakhin, M. Krasavin, *J. Org. Chem.* **2020**, *85,* 15586.

20JOC15736 C. Spitz, M. Matteudi, G. Tintori, J. Broggi, T. Terme, P. Vanelle, *J. Org. Chem.* **2020**, *85,* 15736.

20NJC1389 P. Basu, C. Hazra, T.V. Baiju, I.N.N. Namboothiri, *New J. Chem.* **2020**, *44,* 1389.

20NJC2222 G.S. Sorabad, M.R. Maddani, *New J. Chem.* **2020**, *44,* 2222.

20NJC3970 R.A. Fernandes, A.J. Gangani, R.A. Kunkalkar, *New J. Chem.* **2020**, *44,* 3970.

20NJC6042 N. Boufroua, E. Dunach, F. Fontaine-Vive, S. Achouche-Bouzroura, S. Poulain-Martini, *New J. Chem.* **2020**, *44,* 6042.

20NJC8120 Y. Lin, J.-P. Wan, Y. Liu, *New J. Chem.* **2020**, *44,* 8120.

20NJC9788 Y. Gao, X. Wang, Z. Wei, J. Cao, D. Liang, Y. Lin, H. Duan, *New J. Chem.* **2020**, *44,* 9788.

20NJC13350 A.K. Sharma, A. Jaiswal, A. Mishra, J. Tiwari, D. Jaiswal, S. Singh, J. Singh, J. Singh, *New J. Chem.* **2020**, *44,* 13350.

20NJC13952 S. Karami, M.G. Dekamin, E. Valiey, P. Shakib, *New J. Chem.* **2020**, *44,* 13952.

20NJC14786 Z.-W. Feng, J. Li, Y.-Q. Jiang, Y. Tian, G.-Q. Xu, X. Shi, Q.-J. Ding, W. Li, C.-H. Ma, B. Yu, *New J. Chem.* **2020**, *44,* 14786.

20NJC17148 S. Das, *New J. Chem.* **2020**, *44,* 17148.

20NJC18573 M. Imrankhan, K. Shivashankar, *New J. Chem.* **2020**, *44,* 18573.

20NJC18980 A. Mukherjee, S. Mahato, G.V. Zyryanov, A. Majee, S. Santra, *New J. Chem.* **2020**, *44,* 18980.

20NJC20956 K.J. Tamuli, R.K. Sahoo, M. Bordoloi, *New J. Chem.* **2020**, *44,* 20956.

20NPR1181 L. Zhang, O.E. Fasoyin, I. Molnár, Y. Xu, *Nat. Prod. Rep.* **2020**, *37,* 1181.

20NPR1300 F. Hahan, F.M. Guth, *Nat. Prod. Rep.* **2020**, *37,* 1300.

20NPR1334 L.A.M. Murray, S.M.K. McKinnie, B.S. Moore, J.H. George, *Nat. Prod. Rep.* **2020**, *37,* 1334.

20OBC983 K. Wadekar, S. Aswale, V.R. Yatham, *Org. Biomol. Chem.* **2020**, *18,* 983.

20OBC1135 J.-Q. Hou, J.-H. Yu, H. Zhao, Y.-Y. Dong, Q.-S. Peng, B.-B. Zhang, H. Wang, *Org. Biomol. Chem.* **2020**, *18,* 1135.

20OBC1402 M.L.N. Rao, B.S. Ramakrishna, *Org. Biomol. Chem.* **2020**, *18,* 1402.

20OL1485 J. Chen, Y. Li, Z. Xiao, H. He, S. Gao, *Org. Lett.* **2020**, *22,* 1485.

20OBC1637 M.-X. Zhao, J. Xiang, Z.-Q. Zhao, X.-L. Zhao, M. Shi, *Org. Biomol. Chem.* **2020**, *18,* 1637.

20OBC1926 S. Dong, J. Huang, H. Sha, L. Qiu, W. Hu, X. Xu, *Org. Biomol. Chem.* **2020**, *18,* 1926.

20OBC2058 M. Suri, F.L. Hussain, C. Gogoi, P. Das, P. Pahari, *Org. Biomol. Chem.* **2020**, *18,* 2058.

20OBC2346 G.H. Mandal, D. Saha, R.K. Goswami, *Org. Biomol. Chem.* **2020**, *18,* 2346.

20OBC2501 B.V. Lichitskii, V.G. Melekhina, A.N. Komogortsev, C.V. Milyutin, A.N. Fakhrutdinov, Y.O. Gorbunov, M.M. Krayushkin, *Org. Biomol. Chem.* **2020**, *18,* 2501.

20OBC3203 V.R.L.J. Bloemendal, J.C.M. van Hest, F.P.J.T. Rutjes, *Org. Biomol. Chem.* **2020**, *18,* 3203.

20OBC3303 T. Lu, X. Zhang, Z. Miao, *Org. Biomol. Chem.* **2020**, *18,* 3303.

20OBC3346 X. Wu, M. Jia, M. Huang, J.K. Kim, Z. Zhao, J. Liu, J. Xi, Y. Li, Y. Wu, *Org. Biomol. Chem.* **2020**, *18,* 3346.

20OBC3917 X.-C. Yang, M. Xu, J.-B. Wang, M.-M. Liu, F. Mathey, Y.-Z. Hua, M.-C. Wang, *Org. Biomol. Chem.* **2020**, *18,* 3917.

20OBC4619 S.R. Sahoo, D. Sarkar, F. Henkel, H. Reuter, *Org. Biomol. Chem.* **2020**, *18,* 4619.

20OBC5115 H. Zhang, Y. Yu, X. Huang, *Org. Biomol. Chem.* **2020**, *18,* 5115.

20OBC5388 S.-F. Wu, M.-S. Tu, Q.-Q. Hang, S. Zhang, H. Ding, Y.-C. Zhang, F. Shi, *Org. Biomol. Chem.* **2020**, *18,* 5388.

20OBC5747 J.V. Jun, D.M. Chenoweth, E.J. Petersson, *Org. Biomol. Chem.* **2020**, *18,* 5747.

20OL6127 M.P. Beller, K. Harms, U. Koert, *Org. Lett.* **2020**, *22,* 6127.

20OBC6443 Y. Sun, M. Hu, S. Fu, B. Liu, *Org. Biomol. Chem.* **2020**, *18,* 6443.

20OBC6617 X. Song, L. Xu, Q. Ni, *Org. Biomol. Chem.* **2020**, *18,* 6617.

20OBC6710 C. Rapelli, B. Sridhar, B.V.S. Reddy, *Org. Biomol. Chem.* **2020**, *18,* 6710.

20OBC6916 Y. Mu, Y. Yuan, Y. Wang, M. Xu, Y. Feng, Y. Zhao, Y. Li, *Org. Biomol. Chem.* **2020**, *18,* 6916.

20OBC7514 P. Padmaja, P.N. Reddy, B.V.S. Reddy, *Org. Biomol. Chem.* **2020**, *18,* 7514.

20OBC7848 J.-H. Fu, Z.-G. Zhang, X.-Y. Zhou, C.-W. Fu, F. Sha, X.-Y. Wu, *Org. Biomol. Chem.* **2020**, *18,* 7848.

20OBC7977 X. Bao, J. Ren, Y. Yang, X. Ye, B. Wang, H. Wang, *Org. Biomol. Chem.* **2020**, *18,* 7977.

20OBC7987 P. Kumar, S. Dutta, S. Kumar, V. Bahadur, E.V. Van der Eycken, K.S. Vimaleswaran, V.S. Parmar, B.K. Singh, *Org. Biomol. Chem.* **2020**, *18,* 7987.

20OBC8074 K. Tanaka, Y. Asada, Y. Hoshino, K. Honda, *Org. Biomol. Chem.* **2020**, *18,* 8074.

20OL8648 A. Okumura, P.-Y. Chuang, K. Saito, T. Yamada, *Org. Lett.* **2020**, *22,* 8648.

20OBC8653 M. Karthick, E.K. Abi, N. Someshwar, S.P. Anthony, C.R. Ramanathan, *Org. Biomol. Chem.* **2020**, *18,* 8653.

20OBC8716 Gayyur, S. Choudhary, A. Saxena, N. Ghosh, *Org. Biomol. Chem.* **2020**, *18,* 8716.

20OBC8854 K. Akkarasereenon, K. Tangdenpaisal, S. Ruchirawata, P. Ploypradith, *Org. Biomol. Chem.* **2020**, *18,* 8854.

20OBC8916 Z.-Z. Xi, Z.-X. Deng, Y. Zheng, Y.-S. Chen, J.-A. Xiao, K. Chen, H.-Y. Xiang, H. Yang, *Org. Biomol. Chem.* **2020**, *18,* 8916.

20OBC9227 D.-B. Choi, H. Choi, J. Lee, Y.-J. Lee, H.-S. Lee, J.M. Joo, J.S. Lee, *Org. Biomol. Chem.* **2020**, *18,* 9227.

20OBC9562 M. Rahman, A.K. Bagdi, D.S. Kopchuk, I.S. Kovalev, G.V. Zyryanov, O.N. Chupakhin, A. Majee, A. Hajra, *Org. Biomol. Chem.* **2020**, *18,* 9562.

20OBC9653 M. Bakthadoss, M. Mushaf, *Org. Biomol. Chem.* **2020**, *18,* 9653.

20OL73 K. Sharma, K. Neog, P. Gogoi, *Org. Lett.* **2020**, *22,* 73.

20OL155 M. Wang, Y. Yang, B. Song, L. Yin, S. Yan, Y. Li, *Org. Lett.* **2020**, *22,* 155.

20OL326 T. Li, J. Wang, J. Xu, J. Jin, Y.R. Chi, Z. Jin, *Org. Lett.* **2020**, *22,* 326.

20OL395 J.-H. Wu, J. Pan, J. Du, X. Wang, X. Wang, C. Jiang, T. Wang, *Org. Lett.* **2020**, *22,* 395.

20OL488 Z.-X. Deng, Y. Zheng, Z.-Z. Xie, Y.-H. Gao, J.-A. Xiao, S. Qi, H.-Y. Xiang, X.-Q. Chen, H. Yang, *Org. Lett.* **2020**, *22,* 488.

20OL520 Z. Liu, Y. Meng, P. Yuan, Z. Wang, J.-M. Gao, H. Zheng, *Org. Lett.* **2020**, *22,* 520.

20OL648 H.-Z. Bu, H.-H. Li, W.-F. Luo, C. Luo, P.-C. Qian, L.-W. Ye, *Org. Lett.* **2020**, *22,* 648.

20OL661 G. Mihara, T. Noguchi, Y. Nishii, Y. Hayashi, S. Kawauchi, M. Miura, *Org. Lett.* **2020**, *22,* 661.

20OL675 J. Schwan, M. Kleoff, P. Heretsch, M. Christmann, *Org. Lett.* **2020**, *22,* 675.

20OL745 D. Saha, S. Guchhait, R.K. Goswami, *Org. Lett.* **2020**, *22,* 745.

20OL934 L. Huo, C. Dong, M. Wang, X. Lu, W. Zhang, B. Yang, Y. Yuan, S. Qiu, H. Liu, H. Tan, *Org. Lett.* **2020**, *22,* 934.

20OL1028 D.-S. Ji, Y.-C. Luo, X.-Q. Hu, P.-F. Xu, *Org. Lett.* **2020**, *22,* 1028.

20OL1117 M. Rajesh, R. Kumar, S. Puri, J.B. Nanubolu, M.S. Reddy, *Org. Lett.* **2020**, *22,* 1117.

20JOC1291 V. Maurya, C. Appayee, *J. Org. Chem.* **2020**, *85,* 1291.

20OL1385 S. Xia, K. Hu, C. Lei, J. Jin, *Org. Lett.* **2020**, *22,* 1385.

20OL1644 Z. Yan, C. Zhao, J. Gong, Z. Yang, *Org. Lett.* **2020**, *22,* 1644.

20OL1919 X. Wei, Y. Matsuda, *Org. Lett.* **2020**, *22,* 1919.

20OL2396 H.-Y. Hao, Y.-J. Mao, Z.-Y. Xu, S.-J. Lou, D.-Q. Xu, *Org. Lett.* **2020**, *22,* 2396.

20OL2506 C. Yang, C. Chen, S. Li, X. He, Y. Zuo, W. Hu, T. Zhou, J. Wang, Y. Shang, *Org. Lett.* **2020**, *22,* 2506.

20OL2542 C. Mou, L. Zhou, R. Song, H. Chai, L. Hao, Y.R. Chi, *Org. Lett.* **2020**, *22,* 2542.

20OL2548 E.R. Venegas, C.L. Willis, *Org. Lett.* **2020**, *22,* 2548.

20OL2595 J. Sun, J. Xu, G. Nie, Z. Jin, Y.R. Chi, *Org. Lett.* **2020**, *22,* 2595.

20OL2645 Y. Luo, H. Zhang, S. Wang, Y. Zhou, S. Dong, X. Feng, *Org. Lett.* **2020**, *22,* 2645.

20OL2925 A.G.K. Reddy, P. Niharika, S. Zhou, S.-K. Jia, T. Shi, X. Xu, Y. Qian, W. Hu, *Org. Lett.* **2020**, *22,* 2925.

20OL3004 L. Song, Q. Su, X. Lin, Z. Du, H. Xu, M.-A. Ouyang, H. Yao, R. Tong, *Org. Lett.* **2020**, *22,* 3004.

20OL3166 S. Vyasamudri, D.-Y. Yang, *Org. Lett.* **2020**, *22,* 3166.

20OL3239 W. Wu, Z. Sun, X. Wang, X. Lu, D. Dai, *Org. Lett.* **2020**, *22,* 3239.

20OL3509 Z. Lin, Y. Lan, C. Wang, *Org. Lett.* **2020**, *22,* 3509.

20OL3607 J. Kopp, R. Brückner, *Org. Lett.* **2020**, *22,* 3607.

20OL3785 K. Zheng, R. Hong, *Org. Lett.* **2020**, *22,* 3785.

20OL3820 H. Murakami, T. Asakawa, Y. Muramatsu, R. Ishikawa, A. Hiza, Y. Tsukaguchi, Y. Tokumaru, M. Egi, M. Inai, H. Ouchi, F. Yoshimura, T. Taniguchi, Y. Ishikawa, M. Kondo, T. Kan, *Org. Lett.* **2020**, *22,* 3820.

20OL3936 W.-T. Fan, X.-P. Yang, H.-P. Lv, X.-W. Wang, Z. Wang, *Org. Lett.* **2020**, *22,* 3936.

20OL4058 R. Laher, C. Marin, V. Michelet, *Org. Lett.* **2020**, *22,* 4058.

20OL4306 X. He, M. Xie, R. Li, P. Ying, C.Q. Tang, Y. Shang, F.Y. Kwong, *Org. Lett.* **2020**, *22,* 4306.

20OL4350 S. Abdul-Rashed, G. Alachouzos, W.W. Brennessel, A.J. Frontier, *Org. Lett.* **2020**, *22,* 4350.

20OL4440 C. Wang, Z. Wang, J. Yang, S.-H. Shi, X.-P. Hui, *Org. Lett.* **2020**, *22,* 4440.

20OL4461 Y. Tan, Z. Zhao, Z. Chen, S. Huang, S. Jia, L. Peng, D. Xu, W. Qin, H. Yan, *Org. Lett.* **2020**, *22,* 4461.

20OL4776 C. Pan, L. Wang, J. Han, *Org. Lett.* **2020**, *22,* 4776.

20OL5109 K. Zhou, J. Geng, D. Wang, J. Zhang, Y. Zhao, *Org. Lett.* **2020**, *22,* 5109.

20OL5528 S. Choi, H. Oh, J. Sim, E. Yu, S. Shin, C.-M. Park, *Org. Lett.* **2020**, *22,* 5528.

20OL5627 Y.-L. Zhang, R.-T. Guo, H. Luo, X.-S. Liang, X.-C. Wang, *Org. Lett.* **2020**, *22,* 5627.

20OL5706 G. Mihara, K. Ghosh, Y. Nishii, M. Miura, *Org. Lett.* **2020**, *22,* 5706.

20OL5801 K. Yokoo, D. Sakai, K. Mori, *Org. Lett.* **2020**, *22,* 5801.

20OL5941 Y. Zhou, Y. Chen, Y. Huang, *Org. Lett.* **2020**, *22,* 5941.

20OL6192 N. Nagahora, S. Yahata, S. Goto, K. Shioji, K. Okuma, *Org. Lett.* **2020**, *22,* 6192.

20OL6294 Y. Yuan, S. Zhang, Z. Sun, Y. Su, Q. Ma, Y. Yuan, X. Jia, *Org. Lett.* **2020**, *22,* 6294.

20OL6420 D. Wachtendorf, M. Schmidtmann, J. Christoffers, *Org. Lett.* **2020**, *22,* 6420.

20OL6505 C. Jing, V.K. Aggarwal, *Org. Lett.* **2020**, *22,* 6505.

20OL6526 M. Schmid, K.R. Sokol, L.A. Wein, S.T. Venegas, C. Meisenbichler, K. Wurst, M. Podewitz, T. Magauer, *Org. Lett.* **2020**, *22,* 6526.

20OL6750 Y. Zhu, Y. Huang, *Org. Lett.* **2020**, *22,* 6750.

20OL6784 T. Yao, B. Wang, D. He, X. Zhang, X. Li, R. Fang, *Org. Lett.* **2020**, *22,* 6784.

20OL7008 Z. Dai, J. Zhu, W. Su, W. Zeng, Z. Liu, M. Chen, Q. Zhou, *Org. Lett.* **2020**, *22,* 7008.

20OL7025 L. Liu, D. Guo, J. Wang, *Org. Lett.* **2020**, *22,* 7025.

20OL7052 X.-F. Song, T.-M. Ding, D. Zhu, J. Huang, Z.-M. Chen, *Org. Lett.* **2020**, *22,* 7052.

20OL7064 C.F. Heinrich, D. Durand, J. Starck, V. Michelet, *Org. Lett.* **2020**, *22,* 7064.

20OL7333 P. Wagner, N. Ghosh, V. Gandon, G. Blond, *Org. Lett.* **2020**, *22,* 7333.

20OL7348 X. He, R. Li, P.Y. Choy, T. Liu, O.Y. Yuen, M.P. Leung, Y. Shang, F.Y. Kwong, *Org. Lett.* **2020**, *22,* 7348.

20OL7409 D. Chen, I.A. Berhane, S.R. Chemler, *Org. Lett.* **2020**, *22,* 7409.

20OL7443 K. Manna, H.M. Begam, K. Samanta, R. Jana, *Org. Lett.* **2020**, *22,* 7443.

20OL7475 Y. Jiang, P. Li, J. Zhao, B. Liu, X. Li, *Org. Lett.* **2020**, *22,* 7475.

20OL7526 L.-Y. Pu, F. Yang, J.-Q. Chen, Y. Xiong, H.-Y. Bin, J.-H. Xie, Q.-L. Zhou, *Org. Lett.* **2020**, *22,* 7526.

20OL7617 X. Song, R.-J. Yan, W. Du, Y.-C. Chen, *Org. Lett.* **2020**, *22,* 7617.

20OL7662 S. Plunkett, L.G. DeRatt, S.D. Kuduk, J. Balsells, *Org. Lett.* **2020**, *22,* 7662.

20OL7681 M. Solas, M.A. Muñoz, S. Suárez-Pantiga, R. Sanz, *Org. Lett.* **2020**, *22,* 7681.

20OL7721 Y. Yamaoka, T. Nakayama, S. Kawai, K. Takasu, *Org. Lett.* **2020**, *22,* 7721.

20OL7825 K. Yan, M. Liu, J. Wen, S. Wang, J. Li, H. Wang, *Org. Lett.* **2020**, *22,* 7825.

20OL8161 T.V. de Castro, O. Yahiaoui, R.A. Peralta, T. Fallon, V. Lee, J.H. George, *Org. Lett.* **2020**, *22,* 8161.

20OL8436 A.R. Rivero, P. Fodran, A. Ondrejková, C.-J. Wallentin, *Org. Lett.* **2020**, *22,* 8436.

20OL8505 Y. Nakamura, Y. Sakata, T. Hosoya, S. Yoshida, *Org. Lett.* **2020**, *22,* 8505.

20OL8714 C. Gartshore, S. Tadano, P.B. Chanda, A. Sarkar, N.S. Chowdari, S. Gangwar, Q. Zhang, G.D. Vite, J. Momirov, D.L. Boger, *Org. Lett.* **2020**, *22,* 8714.

20OL8877 M. Yoritate, Y. Morita, M. Gemander, M. Morita, T. Yamashita, M. Sodeoka, G. Hirai, *Org. Lett.* **2020**, *22,* 8877.

20OL9071 Z. Wang, S.F. Martin, *Org. Lett.* **2020**, *22,* 9071.

20OL9123 M.-M. Wang, S. Jeon, J. Waser, *Org. Lett.* **2020**, *22,* 9123.

20OL9427 J.A.J. McCone, K.K. Somarathne, C.L. Orme, R.J. Hewitt, E.-R. Grant, K.R. Hall, D.F. Ackerley, A.C. La Flamme, J.E. Harvey, *Org. Lett.* **2020**, *22,* 9427.

20OL9444 X. He, R. Li, P.Y. Choy, T. Liu, J. Wang, O.Y. Yuen, M.P. Leung, Y. Shang, F.Y. Kwong, *Org. Lett.* **2020**, *22,* 9444.

20OL9462 P. Zhang, W. Chang, Y.-S. Kang, W. Zhao, P.-P. Cui, Y. Liang, W.-Y. Sun, Y. Lu, *Org. Lett.* **2020**, *22,* 9462.

20OL9513 J.-M.I.A. Lawrence, P.E. Floreancig, *Org. Lett.* **2020**, *22,* 9513.

20OL9518 L. Fu, Z. Xu, J.-P. Wan, Y. Liu, *Org. Lett.* **2020**, *22,* 9518.

20OL9534 Y.-W. Xu, L. Li, X.-P. Hu, *Org. Lett.* **2020**, *22,* 9534.

20RSCA338 L. Punia, K. Ramesh, G. Satyanarayana, *RSC Adv.* **2020**, *10,* 338.

20RSCA1588 X. Liu, S. Li, X. Wei, Y. Zhao, D. Lai, L. Zhou, M. Wang, *RSC Adv.* **2020**, *10,* 1588.

20RSCA9934 L. Habert, I. Diachenko, I. Gillaizeau, *RSC Adv.* **2020**, *10,* 9934.

20RSCA10197 C. Chen, H. Tao, W. Chen, B. Yang, X. Zhou, X. Luo, Y. Liu, *RSC Adv.* **2020**, *10,* 10197.

20RSCA10826 X.-Y. Sun, T. Liu, J. Sun, X.-J. Wang, *RSC Adv.* **2020**, *10,* 10826.

20RSCA11615 R. Dharavath, N. Nagaraju, M.R. Reddy, D. Ashok, M. Sarasija, M. Vijjulatha, V.T.K. Jyothid, G. Prashanthi, *RSC Adv.* **2020**, *10,* 11615.

20RSCA17486 P. Parasuraman, Z. Begum, M. Chennapuram, C. Seki, Y. Okuyama, E. Kwon, K. Uwai, M. Tokiwa, S. Tokiwa, M. Takeshita, H. Nakano, *RSC Adv.* **2020**, *10,* 17486.

20RSCA19003 M. Bakthadoss, M. Surendar, *RSC Adv.* **2020**, *10,* 19003.

20RSCA26414 R. Cai, Q. Wei, R. Xu, *RSC Adv.* **2020**, *10,* 26414.

20RSCA29109 K. Kumaravel, B. Rajarathinam, G. Vasuki, *RSC Adv.* **2020**, *10,* 29109.

20RSCA30439 G.-Q. Jin, W.-X. Gao, Y.-B. Zhou, M.-C. Liu, H.-Y. Wu, *RSC Adv.* **2020**, *10,* 340439.

20RSCA32740 T.M. Dhameliya, H.A. Donga, P.V. Vaghela, B.G. Panchal, D.K. Sureja, K.B. Bodiwala, M.T. Chhabria, *RSC Adv.* **2020**, *10,* 32740.

20RSCA33344 I. Cortés, L.J. Cala, A.B.J. Bracca, T.S. Kaufman, *RSC Adv.* **2020**, *10,* 33344.

20RSCA34344 V.A. Osyanin, D.V. Osipov, I.A. Semenova, K.S. Korzhenko, A.V. Lukashenko, O.P. Demidov, Y.N. Klimochkin, *RSC Adv.* **2020**, *10,* 34344.

20RSCA37086 P.G. Kargar, G. Bagherzade, H. Eshghi, *RSC Adv.* **2020**, *10,* 37086.

20RSCA44437 X. Yu, W. Lan, J. Li, H. Bai, Z. Qin, B. Fu, *RSC Adv.* **2020**, *10,* 44437.

20S208 X.-R. Song, T. Yang, H. Ding, Q. Xiao, *Synthesis* **2020**, *52,* 208.

20S619 H.S. Steingruber, P. Mendioroz, A.S. Diez, D.C. Gerbino, *Synthesis* **2020**, *52,* 619.

20S711 Z. Yang, Y. Wang, L. Hu, J. Yu, A. Li, L. Li, T. Yang, C. Zhou, *Synthesis* **2020**, *52,* 711.

20S726 G. Obi, J.C. Chukwujekwu, F.R. van Heerden, *Synthesis* **2020**, *52,* 726.

20S861 M.-Y. Chang, K.-T. Chen, Y.-L. Tsai, H.-Y. Chen, *Synthesis* **2020**, *52,* 861.

20S993 G. Kuang, G. Liu, X. Zhang, N. Lu, Y. Peng, Q. Xiao, Y. Zhou, *Synthesis* **2020**, *52,* 993.

20S1181 Y. Zhu, Y. Huang, *Synthesis* **2020**, *52,* 1181.

20S1707 N. Etivand, J. Khalafy, M.G. Dekamin, *Synthesis* **2020**, *52,* 1707.

20S2267 D.L. Obydennov, V.V. Viktorova, E.V. Chernyshova, A.S. Shirinkin, S.A. Usachev, V.Y. Sosnovskikh, *Synthesis* **2020**, *52,* 2267.

20S2821 S. Wang, G.A. Kraus, *Synthesis* **2020**, *52,* 2821.

20S2979 Y.-X. Wang, Y.-N. Lu, L.-L. Xu, F.-T. Sheng, J.-P. Zhang, W. Tan, F. Shi, *Synthesis* **2020**, *52,* 2979.

20SC112 C. Sreenivasulu, D.A. Thadathil, S. Pal, S. Gedu, *Synth. Commun.* **2020**, *50,* 112.

20SC315 T. Wang, Y. Liu, J. Xu, *Synth. Commun.* **2020**, *50,* 315.

20SC388 W. Fu, Y. Sun, X. Li, *Synth. Commun.* **2020**, *50,* 388.

20SC1361 P.K. Metri, *Synth. Commun.* **2020**, *50,* 1361.

20SC1468 S.M.H. Sanad, A.E.M. Mekky, *Synth. Commun.* **2020**, *50,* 1468.

20SC1504 T. Douchi, M. Akitake, M. Sonoda, Y. Sugiyama, S. Tanimori, *Synth. Commun.* **2020**, *50,* 1504.

20SC1960 S.N. Gracious, N. Kerru, S. Maddila, W.E. van Zyl, S.B. Jonnalagadda, *Synth. Commun.* **2020**, *50,* 1960.

20SC2347 S. Venkateswarlu, G.N. Murty, M. Satyanarayana, V. Siddaiah, *Synth. Commun.* **2020**, *50,* 2347.

20SC2981 T. Matsumoto, S. Harima, J.-K. Weng, K.-i. Nihei, *Synth. Commun.* **2020**, *50,* 2981.

20SC3080 L.-S. Yang, Y. Wang, E.-H. Wang, J. Yang, X. Pan, X. Liao, X.-S. Yang, *Synth. Commun.* **2020**, *50,* 3080.

20SC3777 M.A. Ashraf, Z. Liu, C. Li, D. Zhang, *Synth. Commun.* **2020**, *50,* 3777.

20SC3804 P.G. Patil, Y. Satkar, D.H. More, *Synth. Commun.* **2020**, *50,* 3804.

20SL261 Y. Wang, S. Wang, B. Chen, M. Li, X. Hu, B. Hu, L. Jin, N. Sun, Z. Shen, *Synlett* **2020**, *31,* 261.

20SL1027 P. Kramer, G. Manolikakes, *Synlett* **2020**, *31,* 1027.

20SL1197 K. Tanaka, K. Ueno, Y. Tanaka, N. Ohtsuka, Y. Asada, M. Kishimoto, S. Sunaga, Y. Hoshino, K. Honda, *Synlett* **2020**, *31,* 1197.

20SL1282 G. Bouhalleb, A. Meddeb, N.F. Bourguiba, J. Legros, G. Poli, F. Rezgui, *Synlett* **2020**, *31,* 1282.

20SL1298 D. Lopes, M. Costa, J. Louçano, F. Proença, *Synlett* **2020**, *31,* 1298.

20SL1649 W.-S. Zhang, Y.-C. Hu, Q.-A. Chen, *Synlett* **2020**, *31,* 1649.

20T130833 Q.-L. Zhao, P.-J. Xia, L. Zheng, Z.-Z. Xie, Y.-Z. Hu, G.-J. Chen, X.-Q. Chen, H.-Y. Xiang, H. Yang, *Tetrahedron* **2020**, *76,* 130833.

20T131029 O. Zaitceva, V. Bénéteau, D.S. Ryabukhin, I.I. Eliseev, M.A. Kinzhalov, B. Louis, A.V. Vasilyev, P. Pale, *Tetrahedron* **2020**, *76,* 131029.

20T131059 M.-N. Chen, J.-Q. Di, J.-M. Li, L.-P. Mo, Z.-H. Zhang, *Tetrahedron* **2020**, *76,* 131059.

20T131482 S.P. Rekowski, A.A. Wani, J. Conrad, P.V. Bharatam, W. Frey, U. Beifuss, *Tetrahedron* **2020**, *76,* 131482.

20T131524 S.B. Markad, B.B. Mane, S.B. Waghmode, *Tetrahedron* **2020**, *76,* 131524.

20T131625 K. Vaithegi, K.R. Prasad, *Tetrahedron* **2020**, *76,* 131625.

20T131660 Q. Gu, L. Kong, L. Yang, L. Zhu, R. Hong, *Tetrahedron* **2020**, *76,* 131660.

20T131677 H. Zhang, Q. Li, Y. Yin, J.K. Kim, M. Huang, Y. Li, Y. Wu, *Tetrahedron* **2020**, *76,* 131677.

20TL151180 L. Yang, E. Wang, Y. Fan, J. Yang, Z. Luo, Y. Wang, M. Peng, T. Deng, X. Yang, *Tetrahedron Lett.* **2020**, *61,* 151180.

20TL151341 D. Sarkar, S. Behera, *Tetrahedron Lett.* **2020**, *61,* 151341.

20TL151554 N. Maripally, V.R. Reddy, R. Donthi, R. Mutyala, R. Chandra, *Tetrahedron Lett.* **2020**, *61,* 151554.

20TL151579 N. Li, L. Tu, G. Cheng, H. Sa, Z. Li, T. Feng, Y. Zheng, J. Liu, *Tetrahedron Lett.* **2020**, *61,* 151579.

20TL151704 Q.-Q. Han, G.-H. Li, Y.-Y. Sun, D.-M. Chen, Z.-L. Wang, X.-Y. Yu, X.-M. Xu, *Tetrahedron Lett.* **2020**, *61,* 151704.

20TL151756 R. Kristianslund, T.V. Hansen, *Tetrahedron Lett.* **2020**, *61,* 151756.

20TL151854 P. Prabhala, H.M. Savanur, S.M. Sutar, S.S. Malunavar, R.G. Kalkhambkar, K.K. Laali, *Tetrahedron Lett.* **2020**, *61,* 151854.

20TL151886 S. Yan, Y. Zhu, Y. Wang, Q. Xiao, N. Ding, Y. Li, *Tetrahedron Lett.* **2020**, *61,* 151886.

20TL151897 T. Kobayashi, I. Takizawa, Y. Kawamoto, H. Ito, *Tetrahedron Lett.* **2020**, *61,* 151897.

20TL151960 F. Zhou, X. Liu, Y. Jia, Y. Hu, G. Luo, X. Chen, *Tetrahedron Lett.* **2020**, *61,* 151960.

20TL152052 S. Huang, W. Ou, W. Li, H. Xiao, Y. Pang, Y. Zhou, X. Wang, X. Yang, L. Wang, *Tetrahedron Lett.* **2020**, *61,* 152052.

20TL152084 S. Karpov, Y. Kayukov, A. Grigor'ev, O. Nasakin, O. Kayukova, V. Tafeenko, *Tetrahedron Lett.* **2020**, *61,* 152084.

20TL152171 W. Si, F. Xu, Z. Liu, R. Song, J. Lv, *Tetrahedron Lett.* **2020**, *61,* 152171.

20TL152298 D. Das, *Tetrahedron Lett.* **2020**, *61,* 152298.

20TL152347 A. Prajapati, M. Kumar, R. Thakuria, A.K. Basak, *Tetrahedron Lett.* **2020**, *61,* 152347.

20TL152387 Y. Li, Z. Wang, S. Xu, J. Cheng, *Tetrahedron Lett.* **2020**, *61,* 152387.

20TL152402 S. Somprasong, W. Prasitwatcharakorn, T. Luanphaisarnnont, *Tetrahedron Lett.* **2020**, *61,* 152402.

20TL152449 C. Li, J. Jiang, L. Li, L. Zhang, Q. Chen, M. Wang, C. Fu, L. Zhang, *Tetrahedron Lett.* **2020**, *61,* 152449.

20TL152482 D.-M. Chen, Y.-Y. Sun, Q.-Q. Han, Z.-L. Wang, *Tetrahedron Lett.* **2020**, *61,* 152482.

20TL152602 B.V. Lichitsky, V.G. Melekhina, A.N. Komogortsev, M.E. Minyaev, *Tetrahedron Lett.* **2020**, *61,* 152602.

20TL152611 K. Uchida, Y. Kawamoto, T. Kobayashi, H. Ito, *Tetrahedron Lett.* **2020**, *61,* 152611.

20TL152657 M.K. Saini, H.S. Korawat, S.K. Verma, A.K. Basak, *Tetrahedron Lett.* **2020**, *61,* 152657.

PROGRESS IN HETEROCYCLIC CHEMISTRY

VOLUME 33

Progress in Heterocyclic Chemistry (PHC), Volume 33, is the latest in this annual review series commissioned by the International Society of Heterocyclic Chemistry (ISHC). Volumes in the series contain both highlights of the previous year's literature on heterocyclic chemistry and articles on new developing topics of particular interest to heterocyclic chemists. The additional article in this volume is "Recent discoveries of naturally occurring halogenated nitrogen heterocycles" written by Gordon W. Gribble.

The highlight chapters in Volume 33 are all written by leading researchers in their field and these chapters constitute a systematic survey of the important original material reported in the literature of heterocyclic chemistry in 2020. As with previous volumes in the series, Volume 33 will enable academic and industrial chemists, and advanced students, to keep abreast of developments in heterocyclic chemistry in a convenient way.

ISBN 978-0-323-98410-2

9 780323 984102

ELSEVIER

elsevier.com/books-and-journals