Special Thematic Issue for Current Organic Chemistry

Recent Topics in Organohalogen Reagents and Compounds

Aims & Scope:

Halogens can be incorporated into many useful organic molecules and fine chemicals utilized in a wide range of scientific fields, such as natural products, biologically active compounds, and organic materials. These halogens, as well as halogenated organic compounds, continue to play an important role as resources that make the lives of humans more prosperous. For example, fluorine is essential in the molecular design of medicines, in order to suitably model their characteristics and effectiveness. Chlorine and bromine are not only found in many natural products showing interesting properties, but also utilized as synthetic intermediates for various transformations in modern industrial production. Iodine atoms in organic compounds can easily take hypervalent forms, which are popularly used for oxidation reactions and cross-couplings as a greener alternative to heavy metal oxidants, as well as transition metal catalysts. Recently, halogen bonding interaction has evolved from a scientific curiosity to general chemical strategy, during the design and manipulation of aggregation processes of organic compounds.

This special issue aims to cover the recent synthetic interest in halogen chemistry and unique characteristics of halogen compounds. We welcome review articles dealing with the recent advances on the theme, for example, the synthesis and reaction of unique organohalogen compounds, halogen bond interaction in controlling the synthetic reaction, and halogen atom-controlled unique reactions for the synthesis of organic materials and pharmaceutical compounds.

Keywords: organohalogen compounds, synthesis, reagent, new intermediate, synthetic application, hypervalent iodine compounds, halogen-bonding

Subtopics along with Contributing authors and abstract

The subtopics to be covered within this issue are listed below:

- Organohalogen compounds
- Halogenations
- Halogenating reagents
- Haloniums and related intermediates
- Hypervalent halogens
- Halogen interaction

Title no: 1 Hypervalent Iodine Reagents in the Synthesis of Flavonoids and Related Compounds

- **Om Prakash**, Emeritus Fellow (UGC), Chemistry Department, Kurukshetra University, dromprakash50@rediffmail.com
- **Abstract:** Hypervalent iodine compounds have proved to very useful reagents to bring about various oxidative transformations including- i) α-functionalization of carbonyl compounds, ii) oxidation of phenols and iii) oxidative rearrangement of ketones and α,β-unsaturated ketones. These reactions find interesting applications in the development of newer and convenient approaches for the synthesis of flavonoids. This review focuses on the use of most common three hypervalent compounds, namely [diacetoxy(iodo)]benzene, [bis-trifluoroacetoxy(iodo)]benzene, and [hydroxyl(tosyloxy)iodo]benzene in the synthesis of flavones, isoflavones, *cis*/*trans*-3-hydroxyflavanones, 3-hydroxyflavones (flavonols) and related compounds.
- **Keywords:** Hypervalent iodine, Flavones, Isoflavones, Flavonols

Title no: 2

- **Akio Saito**, Division of Applied Chemistry, Institute of Engineering, Tokyo University of Agriculture and Technology and Department of Chemistry and Biochemistry, akio-sai@cc.tuat.ac.jp

Title no: 3

- **Jian-Wei Han**, Shanghai−Hong Kong Joint Laboratory in Chemical Synthesis, Shanghai Institute of Organic Chemistry, The Chinese Academy of Sciences, jianweihan@ecust.edu.cn

Title no: 4 Enantioselective Synthesis using Chiral Hypervalent Iodine Reagents

- **Ravi Kumar and Toshifumi Dohi**, Department of Chemistry, Dyal Singh College and School of Chemistry, ravi.dhamija@rediffmail.com, College of Pharmaceutical Sciences, Ritsumeikan University, td1203@ph.ritsumei.ac.jp
- **Abstract:** Chiral hypervalent iodine reagents have made remarkable growth in recent years in the area of asymmetric synthesis. These reagents have been used as an alternative to transition metals for delivering enantioenriched molecules. This review catalogues enantioselective synthesis triggered by chiral hypervalent iodine reagents, in stoichiometric as well as catalytic quantities, highlighting the diverse achievements in terms of yield and enantioselectivity.
- **Keywords:** Chiral hypervalent iodine, enantioselective synthesis, oxidation

Title no: 5 Computational Studies on the Mechanism of Hypervalent Iodine Mediated Dearomatization of Phenols

- **Xiao-Song Xue**, State Key Laboratory of Elemento-Organic Chemistry, College of Chemistry, Nankai University, xuexs@nankai.edu.cn

Title no: 6 Recent Advances in Halogen Bond-Assisted Organic Synthesis

- **Shigeyuki Yamada and Tsutomu Konno**, Faculty of Molecular Chemistry and Engineering, Kyoto Institute of Technology, konno@kit.ac.jp
- **Abstract:** Halogen bond interactions, which take place between an electrophilic halogen and the electron-pair of a Lewis base and exhibit high directionality (approximately 180°), are non-covalent bond interactions similar to the hydrogen bond interaction. Many reports on halogen bond interactions have been published thus far, but many of them discuss halogen bond in the context of crystal engineering or supramolecular architecture. Since a seminal report by Bolm in 2008, halogen bond-assisted or -promoted organic synthesis has received significant attention. This review aims to introduce the molecular design of suitable halogen bond donors and organic transformations involving halogen bond interactions to afford a variety of organic compounds.
- **Keywords:** Hologen-bonding interaction, halogen catalyst, non-classical Lewis acid

Title no: 7 Ecofriendly Approaches to Obtain Halogenated Flavonoids

- **Artur M. S. Silva**, *QOPNA & Department of Chemistry, University of Aveiro*, artur.silva@ua.pt
- **Abstract:** Flavonoids are a class of naturally occurring bioactive heterocyclic compounds. In their natural organisms, they provide colors to flowers, protect the plant against UV radiation, participate in energy transfer and plant growth, just to mention a few. In human health promotion, their most cited activities are anticancer and anti-inflammatory. Halogenated flavonoids are less

found in nature but are recognized due to their biological properties from which the antifungal activity can be highlighted. These aspects encourage the search for efficient synthetic routes to achieve their halogenation, in particular, some authors are dedicating their efforts to develop environmentally-friendly methodologies. In this review, the most interesting green methodologies will be presented and discussed.

Title no: 8 Highly Selective Hydroiodination of Carbon–Carbon Double or Triple Bonds

- **Akiya Ogawa**, Department of Applied Chemistry, Graduate School of Engineering, Osaka Prefecture University, ogawa@chem.osakafu-u.ac.jp
- **Abstract:** Iodine is an element that exhibits characteristic features of heavy halogen, and several compounds containing iodine constitute important synthetic intermediates due to synthetically easy manipulation. To utilize iodine units for organic synthesis, a highly regioselective and stereoselective introduction of iodine to versatile building blocks is significant, and a lot of researches for the selective introduction of iodine to alkynes or alkenes have been investigated. In this review article, we describe regioselective and stereoselective hydroiodination to multiple bonds of building blocks, and its synthetic applications as key intermediates to construct several important compounds.
- **Keywords:** Iodination, stereoselective synthesis, alkene and alkyne activations

Title no: 9 Stereoselective Synthesis of Multisubstituted α-Fluoro-β-Lactams

- **Masanori Omote**, Faculty of Pharmaceutical Sciences, Setsunan University, omote@pharm.setsunan.ac.jp
- **Abstract:** β-Lactams, structures found in β-lactam antibiotics, are the structurally distorted cyclic compounds being subject to nucleophilic acyl substitution reaction. α-Fluorination of β-lactams is a simple and expedient approach to control the reactivity of β-lactam ring toward nucleophilic attack, which would hopefully lead to new design of future antibiotics. From the viewpoint of obtaining multisubstituted α-fluoro-β-lactams, α-bromo-α-fluoro-β-lactams were considered as key compounds for structure functionalization, including nucleophilic substitution reaction, aldol-type reaction and metal-catalysed cross-coupling reaction. All the reactions were proceeded smoothly to afford a variety of multisubstituted α-fluoro-β-lactams. During the course of the examination, we successfully obtained chiral α,α-difluoro-β-lactams and α-bromo-α-fluoro-β-lactams which were the suitable precursor for making stereocontrolled multisubstituted α-fluoro-β-lactams.
- **Keywords:** Fluorinated β-Lactam, stereoselective synthesis, fluorine atom effect, pharmaceutical compounds

Title no: 10

- **Vadim Soloshonok**, Department of Organic Chemistry I, University of the Basque Country, vadym.soloshonok@ehu.eus

Schedule:

- ✧ Manuscript submission deadline: Feb 2020
- ✧ Peer Review Due: Mar 2020
- ✧ Revision Due: Apl 2020
- ✧ Announcement of acceptance by the Guest Editors: May 2020
- ✧ Final manuscripts due: by the end of May 2020

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