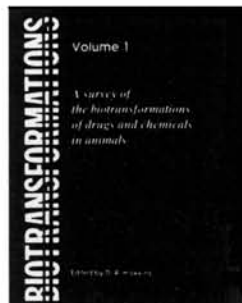


BIOTRANSFORMATIONS:

A survey of the Biotransformations of Drugs and Chemicals in Animals

Volume 1

Edited by David R. Hawkins, *Huntingdon Research Centre*



The series has been devised to provide an up-to-date survey of the literature on the biotransformation of pharmaceuticals, pesticides, food additives, and environmental and industrial chemicals in animals. The objective is to provide a comprehensive database which will allow an increased awareness of patterns in species differences and the influence of chemical structure on biotransformation pathways. The ability to predict biotransformation is the ultimate goal which could contribute to the discovery and development of new products. The material has been collated into chemical classes but an additional feature is the definition and allocation of key functional groups for each compound. The functional groups selected are those commonly associated with biotransformation. Indexing these functional groups provides ready access to reports on compounds containing common structural features. An additional index of biotransformation processes and compound names further increases the accessibility of relevant information.

Contents:

Key Functional Groups; An Overview; Hydrocarbons: Aliphatic, Aromatic (Monocyclic/Bicyclic); Polycyclic Aromatic Hydrocarbons: Unsubstituted, Hydroxylated Derivatives, Substituted; Alkenes, Halogenoalkanes, and Halogenoalkenes: Alkenes (Epoxides), Halogenoalkanes, Halogenoalkenes; Acyclic Functional Compounds; Substituted Monocyclic Aromatic Compounds: Halogenoaryl, Phenols, Nitroaryl/Nitrophenols, Carboxylic Acids/Esters, Ketones, Aryl Amines, Benzhydryls, Arylalkylamines; Miscellaneous Aromatics; Heterocycles: Monocyclic Five-membered, Monocyclic Six-membered, Monocyclic Seven-membered, Bicyclic/Tricyclic; Functional Nitrogen Compounds; Nitrosamines; Amino Acids and Peptides; Steroids; Miscellaneous: Inorganic and Organometallic, Pyrethroids, Organophosphorus Compounds, Sulphur Compounds; Compound Index; Key Functional Group Index; Reaction Type Index

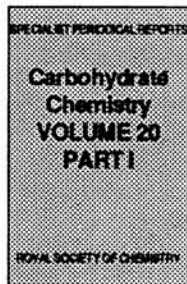
ISBN 0 85186 157 1
Hardcover 511 pages

February 1989
Price £75.00 (\$150.00)

CARBOHYDRATE CHEMISTRY

Vol. 20 Part I

Senior Reporter: Neil R. Williams, *Birkbeck College, University of London*



Since Volume 14 *Carbohydrate Chemistry* has been divided into two parts: Part 1 – Mono-, Di-, and Tri-saccharides and their derivatives. Part 2 – Macromolecules.

From Volume 19, Part I was renamed: Monosaccharides, Disaccharides, and Specific Oligosaccharides.

Carbohydrate Chemistry Volume 20 (Part I) provides a review of the literature published during 1986.

Brief Contents:

Introduction and General Aspects; Free Sugars; Glycosides and Disaccharides; Oligosaccharides; Ethers and Anhydro-sugars; Acetals; Esters; Halogeno-Sugars; Amino-sugars; Miscellaneous Nitrogen Derivatives; Thio-sugars; Deoxy-sugars; Unsaturated Derivatives; Branched-chain Sugars; Aldosuloses, Dialdososes, and Diuloses; Sugar Acids and Lactones; Inorganic Derivatives; Alditols and Cyclitols;

Antibiotics; Nucleosides; NMR Spectroscopy and Conformational Features; Other Physical Methods; Separatory and Analytical Methods; Synthesis of Enantiomerically Pure Non-carbohydrate Compounds; Author Index.

ISBN 0 85186 242 X
Hardcover 315pp

Published 1988
Price £70.00 (\$147.00)

For further information, please write to:
Royal Society of Chemistry,
Sales and Promotion department,
Thomas Graham House,
Science Park,
Milton Road,
Cambridge CB4 4WF. U.K.

To Order, please write to:
Royal Society of Chemistry, Distribution
Centre, Blackhorse Road, Letchworth,
Herts SG6 1HN. U.K.
or telephone (0462) 672555 quoting
your credit card details.
We can now accept Access/Visa/
MasterCard/Eurocard.

RSC Members are entitled to a discount on most RSC publications and should write to:
The Membership Manager,
Royal Society of Chemistry,
Thomas Graham House,
Science Park, Milton Road,
Cambridge CB4 4WF. U.K.

ROYAL
SOCIETY OF
CHEMISTRY

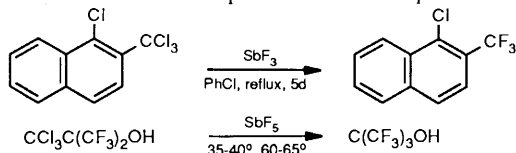


Information
Services

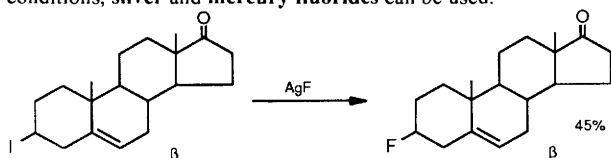
Reagents for Fluorination

Aldrich offers the world's largest range of fluorine-containing products. In addition, we offer many reagents for introducing fluorine into molecules, most of which can be handled safely and easily in standard laboratory glassware. There are four general classes of fluorination reactions, and Aldrich offers reagents suitable for use in each class.¹

1. Halogen exchange is perhaps the best known method for introduction of fluorine into organic compounds. Pioneering work by Swarts using **antimony fluorides** made the early preparation of benzo-trifluorides and fluoroalkanes possible. These compounds are more



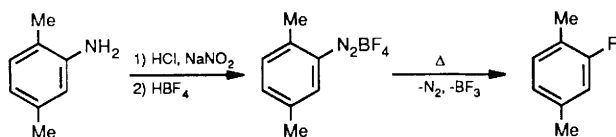
commonly prepared now using large-scale batch or continuous processes employing HF. In small-scale reactions needing much milder conditions, **silver** and **mercury fluorides** can be used.



Potassium fluoride offers a readily available source of fluoride ion and is used extensively in so-called 'Halex' reactions to produce good yields of highly fluorinated products. The desire to obtain better yields under milder conditions has resulted in the development of a number of new reagents such as **TBAF**, **KF/CaF₂** and **TAS-F²**.

A new and easy-to-handle source of nucleophilic fluoride ion is **triethylamine trihydrofluoride** (Et₃N•3HF). The versatility of this reagent has been demonstrated in halofluorination reactions of alkenes,³ quantitative opening of epoxides to fluoroalcohols⁴ and the fluoride substitution of activated alcohols.

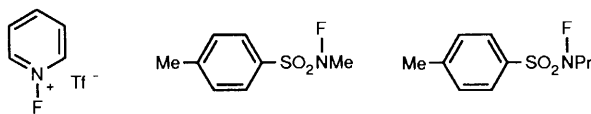
2. Balz-Schiemann reactions are the classical method for introducing fluorine to an aryl nucleus. An arylamine is converted to a diazonium tetrafluoroborate and then decomposed thermally to the aryl fluoride. Improved yields have been reported in some cases using arenediazonium hexafluoroantimonate or hexafluorophosphate intermediates or by photolytic decomposition of the tetrafluoroborate salt.



3. Sulfur tetrafluoride and analogs have been used extensively for the conversion of oxygen-containing functional groups to fluorinated substituents. **Sulfur tetrafluoride** itself presents handling and toxicity problems and replacements are continually being sought. Perhaps the best known is **diethylaminosulfur trifluoride** (DAST)² which can be used to convert -CHO to -CHF₂ and -CH₂OH to -CH₂F. Recently, **morpholinosulfur trifluoride**⁵ has been reported as a safer alternative to DAST. Other aminosulfur trifluorides are also available.



4. Electrophilic fluorinating agents have been developed as alternatives to elemental fluorine for the selective introduction of fluorine into biologically active molecules. **N-Fluoropyridinium triflates** and **N-fluorosulfonamides** offer varying fluorination strength by altering the substitution on the molecule.



References:

- 1) For a more detailed discussion, see Hewitt, C.D.; Silvester, M.J. *Aldrichim. Acta* **1988**, 21(1), 3.
- 2) For references, see *Aldrichim. Acta* **1988**, 21(1), 27.
- 3) Alvernhe, G.; Laurent, A.; Haufe, G. *Synthesis* **1987**, 6, 562.
- 4) *Idem J. Fluorine Chem.* **1986**, 34, 147.
- 5) For references, see *Aldrichim. Acta* **1988**, 21(4), 109.

17,512-9	Antimony pentafluoride	100g \$128.80
20,111-1	Antimony trifluoride, 98%	5g \$10.00; 100g \$21.10 500g \$72.00
14,074-0	Benzoyl fluoride, 99%	25g \$22.85; 100g \$74.05
19,832-3	Cesium fluoride, 99%	25g \$19.90; 100g \$58.00
23,525-3	Diethylaminosulfur trifluoride (DAST)	5g \$43.40 25g \$133.70
24,821-5	Dimethylaminosulfur trifluoride (methyl DAST)	5g \$40.40; 25g \$130.60
20,793-4	Fluoboric acid, 48 wt. % in water	25g \$10.00 500g \$20.00; 6x500g \$88.50
33,483-9	N-Fluoro-N-methyl-p-toluenesulfonamide	1g \$16.30 5g \$54.50
33,484-7	N-Fluoro-N-propyl-p-toluenesulfonamide	1g \$16.30 5g \$54.50
32,365-9	1-Fluoropyridinium triflate, 99%	250mg \$19.60 1g \$54.50
33,926-1	Hydrofluoric acid, 99.99+%	100mL \$20.00 800mL \$85.00
18,422-5	Hydrogen fluoride-pyridine	25g \$14.30; 100g \$35.00
33,932-6	Mercury(II) fluoride, 97%	10g \$32.70; 50g \$136.20
33,891-5	Morpholinosulfur trifluoride (morph-DAST)	1g \$14.00; 5g \$45.00
30,759-9	Potassium fluoride, spray-dried, 99%	250g \$26.50 1kg \$79.00
31,663-6	Potassium fluoride, 20 wt. % on CaF ₂	25g \$12.30 100g \$32.10
23,928-3	Potassium hydrogen fluoride, 99%	25g \$10.00 500g \$11.70; 2.5kg \$42.40
20,092-1	Silver difluoride, 98+%	10g \$49.80; 50g \$184.00
22,686-6	Silver(I) fluoride, 99%	5g \$27.10; 25g \$100.80
24,151-2	Tetrabutylammonium fluoride hydrate, 98% (TBAF)	10g \$18.40; 100g \$125.10
34,464-8	Triethylamine trihydrofluoride, 98%	5g \$7.00 25g \$22.00
25,060-0	Tris(dimethylamino)sulfur (trimethylsilyl)difluoride (TAS-F)	1g \$23.85; 5g \$78.65



chemists helping chemists in research & industry

aldrich chemical co.

© P.O. Box 355, Milwaukee, Wisconsin 53201 USA • (414) 273-3850