Summary: Amine Protecting Groups

Since amines are so nucleophilic, it's often desirable to mask their reactivity using a removable protecting group.

The most common situation is in **peptide synthesis**, where two amino acids are joined together. If the nitrogens of both amino acids are unprotected, then we can get undesired mixtures of products!

+ other undesired products...

Carbamates are practical protecting groups for amines. They can be installed and removed under relatively mild conditions.

Two of the most common carbamate protecting groups are t-butyloxycarbonyl (Boc) and carboxybenzyl (Cbz).

Protecting Groups

Daniel E. Levy, Peter Fügedi

Protecting Groups:

Protecting Groups Philip J. Kocienski, 1994 Protective Groups in Organic Chemistry J. McOmie, 2012-12-06 During the past decade there has been a great increase in the use of protective groups especially in the synthesis of large and complex organic molecules Perhaps the greatest activity has been in the peptide field where such triumphs as the total synthesis of insulin and of bovine ribonuclease molecular weight 13 700 have been achieved Correspondingly more protective groups have been devised for the protection of amino and imino groups than for any other functional group There are many reviews and books on the synthesis of pep tides but there has been no general survey of protective groups since my 1 own review in 1963 At that time the five main methods for the removal of protective groups involved acid or base hydroly sis reduction oxidation or thermal elimination reactions Recent advances include the use of photo sensitive and metal ion sensitive protective groups and the attachment of functional groups to reactive polymers as a method of protection during the solid phase synthesis of peptides and poly nucleotides Another interesting development is the design and use of protective groups with a built in safety catch which can be released by a specific chemical reaction so that an otherwise stable bond is made labile at the appropriate moment thereby allowing the protective group to be removed under very 2 mild conditions My own interest in protective groups dates from 1944 when as a student I gave two lectures on the subject and produced an 11 page review including 70 references Greene's Protective Groups in Organic Synthesis Peter G. M. Wuts, Theodora W. Greene, 2012-12-20 The Fourth Edition of Greene's Protective Groups in Organic Synthesis continues to be an indispensable reference for controlling the reactivity of the most common functional groups during a synthetic sequence This new edition incorporates the significant developments in the field since publication of the third edition in 1998 including New protective groups such as the fluorous family and the uniquely removable 2 methoxybenzenesulfonyl group for the protection of amines New techniques for the formation and cleavage of existing protective groups with examples to illustrate each new technique Expanded coverage of the unexpected side reactions that occur with protective groups New chart covering the selective deprotection of silvl ethers 3 100 new references from the professional literature The content is organized around the functional group to be protected and ranges from the simplest to the most complex and highly specialized protective groups **Protecting Groups: Strategies and Applications in Carbohydrate Chemistry** Sebastien Vidal, 2019-04-29 A unique overview of the most important protecting group strategies in carbohydrate chemistry Protecting Groups Strategies and Applications in Carbohydrate Chemistry provides a detailed account of key strategies and methodologies for the protection of carbohydrates Divided into two parts the first focuses on groups that are used best to protect a specific position on a carbohydrate In the second part specific carbohydrate residues or compounds are discussed in the context of a specific protecting group strategy used to reach the desired regioisomer This important book Features chapters on protecting groups at the primary and secondary positions of carbohydrates Describes protecting group strategies towards sialic acid derivatives glycofuranoses sulfated glycosaminoglycans and cyclodextrins Provides information on automated glycan assembly Includes a chapter on the industrial scale synthesis of heparin analogs Written by a team of leaders in the field Protecting Groups Strategies and Applications in Carbohydrate Chemistry is an indispensable guide for academics and industrial researchers interested in carbohydrate and natural product synthesis pharmaceutical chemistry Activating Agents and Protecting Groups Anthony J. Pearson, William R. Roush, 1999-07-09 Recognising the need for a cost effective reference work that deals not only with the most popular reagents in synthesis but also reaches the widest possible audience of practising organic chemists the editors of The Encyclopedia of Reagents for Organic Synthesis EROS have developed a list of the most important and useful reagents employed in the field conveniently presented in four separate volumes. The reagents included in this volume reflect the fact that protecting groups and activation procedures are often used in combination There are many instances in the synthesis of natural and unnatural products pharmaceuticals oligosaccharides and oligonucleotides etc where similar tactics must be employed to prevent undesired activation or reaction of functionality Accordingly the most important reagents used to protect amines alcohols carboxyl carbonyl and other reactive functional groups are included in this volume. The list of activating agents includes well known reagents that activate functional groups for substitution or elimination reactions as well as less traditional examples e g HMPA used to activate enolates and alkyllithium reagents to increase the nucleophilicity Each article contains all of the information found in EROS as well as expanded related reagents listings and additional references to enable the reader to quickly access a broad range of information that is beyond the scope of the reagent entries themselves This text will prove an invaluable resource Protecting-Group-Free Organic Synthesis Rodney A. Fernandes, 2018-05-25 Presents a comprehensive account of established protecting group free synthetic routes to molecules of medium to high complexity This book supports synthetic chemists in the design of strategies which avoid or minimize the use of protecting groups so as to come closer to achieving an ideal synthesis and back the global need of practicing green chemistry. The only resource of its kind to focus entirely on protecting group free synthesis it is edited by a leading practitioner in the field and features enlightening contributions by top experts and researchers from across the globe The introductory chapter includes a concise review of historical developments and discusses the concepts need for and future prospects of protecting group free synthesis Following this the book presents information on protecting group free synthesis of complex natural products and analogues heterocycles drugs and related pharmaceuticals Later chapters discuss practicing protecting group free synthesis using carbohydrates and of glycosyl derivatives glycol polymers and glyco conjugates. The book concludes with a chapter on latent functionality as a tactic toward formal protecting group free synthesis A comprehensive account of established protecting group free PGF synthetic routes to molecules of medium to high complexity Benefits total synthesis methodology development and drug synthesis researchers Supports synthetic chemists in the design of strategies which avoid or minimize

the use of protecting groups so as to come closer to achieving an ideal synthesis and support the global need of practicing green chemistry Covers a topic that is gaining importance because it renders syntheses more economical Protecting Group Free Organic Synthesis Improving Economy and Efficiency is an important book for academic researchers in synthetic organic chemistry green chemistry medicinal and pharmaceutical chemistry biochemistry and drug discovery Groups in Organic Synthesis James Ralph Hanson, 1999-01-01 **Heteroatom Manipulation** E. Winterfeldt, 1992-09-08 In this volume those functional groups containing heteroatoms that have gained importance in organic synthesis are dealt with in detail The introduction of these various groups and their relevant transformations are described and the various aspects of chemoselectivity regioselectivity and stereoselectivity are discussed After a compilation of the synthetically most useful substitution processes there is a series of chapters on the various types of acylation reactions and in this context the different methods of acvl group activation are discussed As functional group protection is of very general importance for organic synthesis a corresponding chapter is included This is followed by a section on the preparation of carbonyl derivatives and the most important transformations and rearrangements of functional group derivatives At the end of the volume one finds chapters on all types of elimination reactions and related thermal reactions together with a survey on fragmentation processes in organic synthesis Comprehensive Organic Functional Group Transformations Alan R. Katritzky, Gordon W. Kirby, Otto Meth-Cohn, Charles Wayne Rees, 2003-03-21 In this Volume containing 24 chapters devoted to carbon attached by single bonds to two heteroatoms the reader will find several chapters reviewing the synthesis of familiar functional groups notably acetals dithioacetals aminals and the various mixed species. The derivatives with tetracoordinated saturated carbon are described in Part I and those eg ketene acetals of tricoordinated carbon in Part II However the treatment is comprehensive and the authors have uncovered much fascinating chemistry concerning less familiar groups including some like geminal halohydrins halo amines and diols that are often unstable Again a surprisingly large number of compounds having two metals attached to the same carbon have been located in the literature and the abundance of phosphorus derivatives eg those with geminal nitrogen functions reflects their importance as biologically active species and as intermediates in synthesis Part III consists of a single short chapter describing dicoordinate carbon carbenes and examples of carbon cations anions and radicals Here the treatment is deliberately selective since throughout the work as a whole emphasis is placed on the synthesis of isolable species rather than the transient intermediates of organic reactions

Oligosaccharides Helen M. I. Osborn, Tariq H. Khan, 2000 Introduction 2 Organic Synthetic Methods James Ralph Hanson, 2002 This book introduces the major methods of creating carbon carbon and carbon nitrogen bonds along with functional group interconversions Organic Chemistry Thomas N. Sorrell, 2006 This textbook approaches organic chemistry from the ground up It focuses on the reactions of organic molecules showing why they are reactive what the mechanisms of the reactions are and how surroundings may alter the reactivity The Organic Chemistry of Sugars

Daniel E. Levy, Peter Fügedi, 2005-09-21 Intrigued as much by its complex nature as by its outsider status in traditional organic chemistry the editors of The Organic Chemistry of Sugars compile a groundbreaking resource in carbohydrate chemistry that illustrates the ease at which sugars can be manipulated in a variety of organic reactions Each chapter Carbohydrates: The Essential Molecules of Life Robert V. Stick, Spencer contains numerous examples demonst Williams, 2010-08-06 This book provides the nuts and bolts background for a successful study of carbohydrates the essential molecules that not only give you energy but are an integral part of many biological processes A question often asked is Why do carbohydrate chemistry The answer is simple It is fundamental to a study of biology Carbohydrates are the building blocks of life and enable biological processes to take place Therefore the book will provide a taste for the subject of glycobiology Covering the basics of carbohydrates and then the chemistry and reactions of carbohydrates this book will enable a chemist to gain essential knowledge that will enable them to move smoothly into the worlds of biochemistry molecular biology and cell biology Includes perspective from new co author Spencer Williams who enhances coverage of the connection between carbohydrates and life Describes the basic chemistry and biology of carbohydrates Reviews the concepts synthesis reactions and biology of carbohydrates Solid-Phase Synthesis Fernando Albericio, 2000-04-28 This volume provides the information needed to synthesize peptides by solid phase synthesis SPS employing polymeric support resins anchoring linkages handles coupling reagents activators and protection schemes It presents strategies for creating a wide variety of compounds for drug discovery and analyzes peptides DNA carbohydrates conjugates of biomolecules and small molecules

CRC Handbook of Organic Photochemistry and Photobiology, Volumes 1 & 2 William M. Horspool, Francesco Lenci, 2003-09-29 The second edition of this best selling handbook is bigger more comprehensive and now completely current In addition to thorough updates to the discussions featured in the first edition this edition includes 66 new chapters that reflect recent developments new applications and emerging areas of interest Within the handbook s 145 critically r

Organic Chemistry, Or, The Happy Carbon I. David Reingold, 2007 This Is A Course In Organic Chemistry Yikes Isn T That The Killer Course That Sophomores Around The World Dread Why Are They Teaching It To Us Students Taking Our First Chemistry Course How Will We Survive Organic Synthesis Michael Smith, 2016-11-22 Organic Synthesis Fourth Edition provides a reaction based approach to this important branch of organic chemistry Updated and accessible this eagerly awaited revision offers a comprehensive foundation for graduate students coming from disparate backgrounds and knowledge levels to provide them with critical working knowledge of basic reactions stereochemistry and conformational principles This reliable resource uniquely incorporates molecular modeling content problems and visualizations and includes reaction examples and homework problems drawn from the latest in the current literature In the Fourth Edition the organization of the book has been improved to better serve students and professors and accommodate important updates in the field The first chapter reviews basic retrosynthesis conformations and stereochemistry The next three chapters provide

an introduction to and a review of functional group exchange reactions these are followed by chapters reviewing protecting groups oxidation and reduction reactions and reagents hydroboration selectivity in reactions A separate chapter discusses strategies of organic synthesis and he book then delves deeper in teaching the reactions required to actually complete a synthesis Carbon carbon bond formation reactions using both nucleophilic carbon reactions are presented and then electrophilic carbon reactions followed by pericyclic reactions and radical and carbone reactions. The important organometallic reactions have been consolidated into a single chapter Finally the chapter on combinatorial chemistry has been removed from the strategies chapter and placed in a separate chapter along with valuable and forward looking content on green organic chemistry process chemistry and continuous flow chemistry Throughout the text Organic Synthesis Fourth Edition utilizes Spartan generated molecular models class tested content and useful pedagogical features to aid student study and retention including Chapter Review Questions and Homework Problems A full Solutions Manual is also available online for qualified instructors to support teaching Winner 2018 Textbook Excellence Award Texty from the Textbook and Academic Authors Association Fully revised and updated throughout and organized into 19 chapters for a more cogent and versatile presentation of concepts Includes reaction examples taken from literature research reported between 2010 2015 Features new full color art and new chapter content on process chemistry and green organic chemistry Offers valuable study and teaching tools including Chapter Review Questions and Homework Problems for students Solutions Manual for qualified **Protection of Functional Groups in Peptide Synthesis** Erhard Gross, Johannes course instructors Meienhofer, 2014-05-10 The Peptides Analysis Synthesis Biology Volume 3 Protection of Functional Groups in Peptide Synthesis focuses on protection of functional groups in peptide synthesis This book consists of seven chapters Chapter 1 reviews the large variety of amine protecting groups The protection of carboxyl groups is described in Chapter 2 while the chemistry of sulfhydryl group protection in peptide synthesis is discussed in Chapter 3 Chapter 4 covers the protection of the hydroxyl groups of serine threonine tyrosine and other hydroxyl containing amino acids Differential protection and selective deprotection in peptide synthesis is deliberated in Chapter 5 In chapter 6 the opportunities and constraints of the tactics of minimal protection of side chain functions during peptide synthesis are reviewed. The last chapter is devoted to the interesting aspects of dual function groups This volume is recommended for specialists and researchers concerned with Synthetic Glycomes Wanyi Guan, Lei Li, Peng George Wang, 2019-04-08 Glycans play peptide and protein research essential roles in diverse biological and etiological processes and their structural complexity endow various functions The glycome is the entire set of glycans produced by an individual organism As the glycan microarray emerged a good amount of knowledge has been obtained in understanding the functions of glycans However limited accessibility of glycans is a major obstacle to the functional glycomics study Although isolation from biology samples provided some structures the low abundance of glycans obtained and the difficulty in complete structural assignment restricted the subsequent assay To

circumvent this limitation many synthetic strategies including chemical enzymatic and chemo enzymatic ones have been developed to make libraries of structurally defined complex glycans available. The glycans provided by these techniques combined with high throughput glycoarray techniques have broadened and deepened our understanding about functional glycomics. The aim of this book is to provide a comprehensive review of the current state of the synthetic glycome and a brief introduction of the application of the synthetic glycome in glycoarray assay Accordingly synthetic strategies toward generating glycans with comprehensive structures as well as the glycoarrays to unveil the glycan functions are described in this book.

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