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Nota di contenuto	Linker Strategies In Solid-Phase Organic Synthesis; Contents; Foreword; Preface; List of Contributors; About the Editor; Abbreviations; I INTRODUCTION; 1 General Overview; 1.1 Introduction, background and pivotal discoveries; 1.2 Fundamentals of conducting solid-phase organic chemistry; 1.2.1 Apparatus; 1.2.2 Typical solid supports; 1.2.3 Fluorous supports; 1.2.4 Linker strategies; 1.2.5 Challenges; 1.2.6 Linker groups; 1.3 Concluding comments; 1.4 Personal perspective and testimony: solid-phase Mannich chemistry; References; II TRADITIONAL LINKER UNITS FOR SOLID-PHASE ORGANIC SYNTHESIS 2 Electrophile Cleavable Linker Units2.1 Introduction; 2.2 Resins for use with electrophilic linkers; 2.3 Electrophile cleavable linkers; 2.3.1 Acid labile linkers; 2.4 Conclusion; References; 3 Nucleophile Cleavable Linker Units; 3.1 Introduction; 3.2 Linker units; 3.3 Nucleophilic labile linker units; 3.3.1 Cleavage by saponification or basic trans-esterification; 3.3.2 Cleavage by aminolysis; 3.3.3 Cleavage by hydrazinolysis; 3.3.4 Cleavage by Hydroxylamines; 3.3.5 Cleavage by

other nucleophiles; 3.3.6 Linker cleavage by intramolecular nucleophilic reaction; 3.4 Conclusion; References

4 Cyclative Cleavage as a Solid-Phase Strategy 4.1 Introduction; 4.2 C-N bond formation; 4.2.1 Cyclopeptides and cyclodepsipeptides; 4.2.2 Heterocycles, five-membered ring formation; 4.2.3 Heterocycles, six- and seven-membered ring formation; 4.3 C-O bond formation; 4.4 C-C bond formation; 4.5 Conclusion; References; 5 Photolabile Linker Units; 5.1 Introduction; 5.2 Linkers based on the ortho-nitrobenzyloxy function; 5.3 Linkers based on the ortho-nitrobenzylamino function; 5.4 Linkers based on the -substituted ortho-nitrobenzyl group; 5.5 Linkers based on the ortho-nitroveratryl group 5.6 Linkers based on the phenacyl group 5.7 Linkers based on the para-methoxyphenacyl group; 5.8 Linkers based on the benzoin group; 5.9 Linkers based on the pivaloyl group; 5.10 Traceless linkers; 5.11 Other types of photolabile linker units; 5.12 Conclusion; References; 6 Safety-Catch Linker Units; 6.1 Introduction; 6.2 Activation of a carbonyl group by the inductive effect (I-) of an adjacent substituent; 6.2.1 Kenner-type safety-catch linker; 6.2.2 N-boc-activated safety-catch linker; 6.2.3 Sulfide/sulfone safety-catch linker; 6.2.4 Dpr(phoc) safety-catch linker 6.3 Activation by the mesomeric effect (M-) of the -X-Y=Z moiety adjacent to a carbonyl group 6.3.1 Carbonyl activation by oxidative aromatization; 6.3.2 Carbonyl activation by indole ring formation; 6.3.3 Benzyl/phenyl-hydrazide safety-catch linker; 6.3.4 Dehydration activated safety-catch linker; 6.4 Activation by the positive mesomeric effect (M+) of the -X-Y=Z moiety adjacent to a N-acyl or O-alkyl group; 6.4.1 Benzhydryl-based safety-catch linker; 6.4.2 Indole-based safety-catch linker; 6.4.3 Nitrobenzyl alcohol-based safety-catch linker; 6.5 Aromatic S<sub>N</sub>Ar substitution 6.6 Fragmentation by -elimination

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## Sommario/riassunto

Linker design is an expanding field with an exciting future in state-of-the-art organic synthesis. Ever-increasing numbers of ambitious solution phase reactions are being adapted for solid-phase organic chemistry and to accommodate them, large numbers of sophisticated linker units have been developed and are now routinely employed in solid-phase synthesis. Linker Strategies in Solid-Phase Organic Synthesis guides the reader through the evolution of linker units from their genesis in solid-supported peptide chemistry to the cutting edge diversity linker units that are defining a new

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