

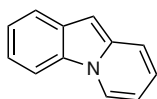
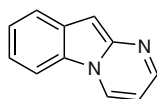
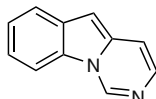
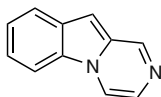
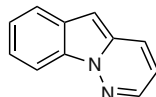
Abstracts

New

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10.24 **Product Class 24: Pyrido[1,2-*a*]indoles and Azapyrido[1,2-*a*]indoles**P. A. Harris ^{1b}

This introductory chapter describes the various pyrido[1,2-*a*]indole and azapyrido[1,2-*a*]indole ring systems that will be covered in subsequent chapters. Biologically active indole alkaloids containing these structural motifs are also detailed, the most well-known of which is the toxic alkaloid strychnine.

pyrido[1,2-*a*]indolepyrimido[1,2-*a*]indolepyrimido[1,6-*a*]indolepyrazino[1,2-*a*]indolepyridazino[1,6-*a*]indole

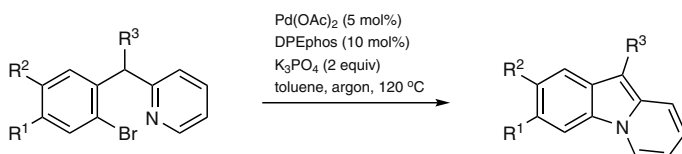
Keywords: pyrido[1,2-*a*]indoles · pyrimido[1,2-*a*]indoles · pyrimido[1,6-*a*]indoles · pyrazino[1,2-*a*]indoles · pyridazino[1,6-*a*]indoles

New

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10.24.1 **Product Subclass 1: Pyrido[1,2-*a*]indoles and Related Benzo-Fused Ring Systems**P. A. Harris ^{1b}

This review describes methods for the synthesis of pyrido[1,2-*a*]indoles, as well as the related benzo-fused ring systems indolo[1,2-*a*]quinolines, indolo[1,2-*b*]isoquinolines, indolo[2,1-*a*]isoquinolines, and indolo[1,2-*f*]phenanthridines. The most common routes to access these ring systems involve a variety of transition-metal-catalyzed cyclizations, but alternative approaches are also covered.



Keywords: pyrido[1,2-*a*]indoles · indolo[1,2-*a*]quinolines · indolo[1,2-*b*]isoquinolines · indolo[2,1-*a*]isoquinolines · indolo[1,2-*f*]phenanthridines · cyclization

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New Contributions

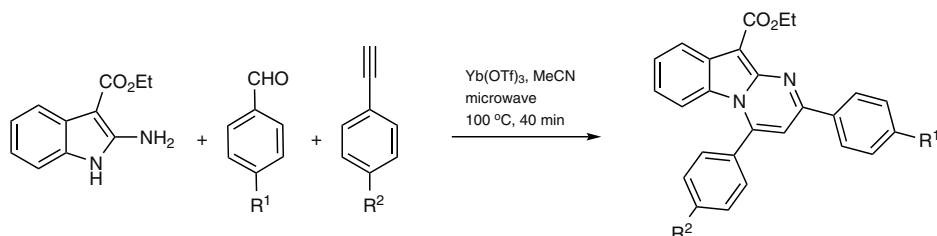
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10.24.2 Product Subclass 2: Pyrimido[1,2-*a*]indoles and Related Benzo-Fused Ring Systems

P. A. Harris 

Methods for the synthesis of pyrimido[1,2-*a*]indoles and the related indolo[1,2-*a*]quinazoline and indolo[2,1-*b*]quinazoline ring systems are reviewed in this chapter. Although limited reports have been published to date, a variety of differing approaches to these heterocycles have been described.




Keywords: pyrimido[1,2-*a*]indoles · indolo[1,2-*a*]quinazolines · indolo[2,1-*b*]quinazolines · cyclization

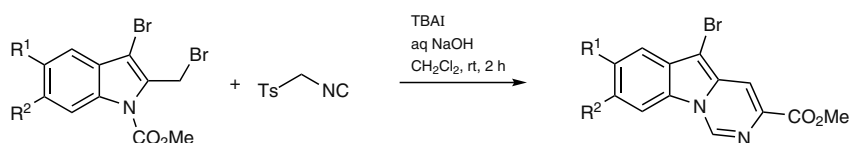
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10.24.3 Product Subclass 3: Pyrimido[1,6-*a*]indoles and Related Benzo-Fused Ring Systems

P. A. Harris 

Methods for the synthesis of pyrimido[1,6-*a*]indoles, indolo[1,2-*c*]quinazolines, and the less-common pyrido[2',1':2,3]pyrimido[1,6-*a*]indol-5-ium ring systems are reviewed in this chapter. Indolo[1,2-*c*]quinazolines are the most represented in the literature, most often being accessed via cyclization of either 2-(2-aminoaryl)indoles or 2-(2-haloaryl)indoles, although a variety of additional approaches are described.



Keywords: pyrimido[1,6-*a*]indoles · indolo[1,2-*c*]quinazolines · pyrido[2',1':2,3]pyrimido[1,6-*a*]indol-5-ium salts · cyclization

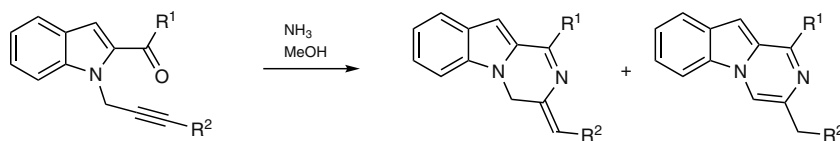
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10.24.4 Product Subclass 4: Pyrazino[1,2-*a*]indoles and Related Benzo-Fused Ring Systems

P. A. Harris 

The synthesis of pyrazino[1,2-*a*]indoles and related indolo[1,2-*a*]quinoxalines and pyrido[2',1':3,4]pyrazino[1,2-*a*]indol-5-ium salts are reviewed in this chapter. The most common routes to pyrazino[1,2-*a*]indoles involve cyclization of indole derivatives containing a formyl, keto, ester, or nitrile function at the 2-position. Indolo[1,2-*a*]quinoxalines are most readily accessed via cyclization of 1-(aryl)-1*H*-indoles, where the aryl group is substituted at the 2-position by either amino, iodo, or nitro functionality.



Keywords: pyrazino[1,2-*a*]indoles · indolo[1,2-*a*]quinoxalines · pyrido[2',1':3,4]pyrazino[1,2-*a*]indol-5-ium salts · annulation · cyclization

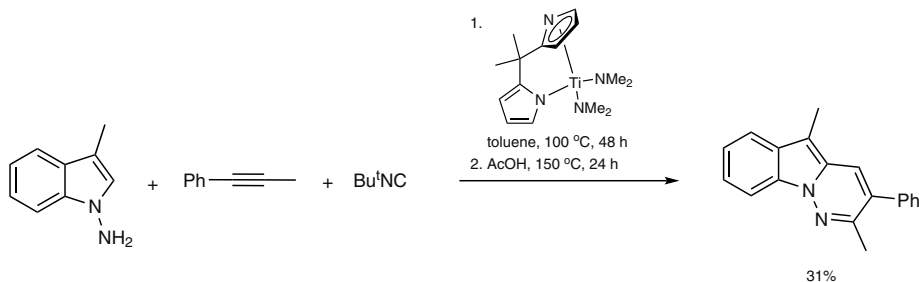
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10.24.5 Product Subclass 5: Pyridazino[1,6-*a*]indoles and Related Benzo-Fused Ring Systems

P. A. Harris 

The synthesis of pyridazino[1,6-*a*]indoles, as well as the related indolo[1,2-*b*]cinnolines and indolo[2,1-*a*]phthalazines, are reviewed in this chapter. The most utilized methods to access pyridazino[1,6-*a*]indoles involve annulation of 1*H*-indol-1-amine derivatives.



Keywords: pyridazino[1,6-*a*]indoles · indolo[1,2-*b*]cinnolines · indolo[2,1-*a*]phthalazines · annulation · cyclization

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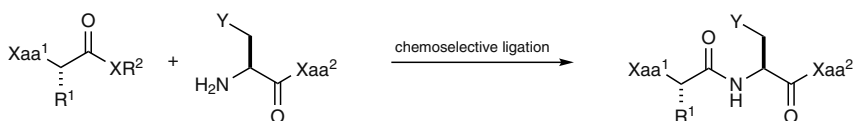
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21.11.7 Chemoselective Ligation Methods Based on the Concept of Native Chemical Ligation

L. R. Malins and R. J. Payne

This chapter extends from the earlier *Science of Synthesis* contribution on peptide synthesis (Section 21.11) and focuses on recent developments in chemoselective ligation chemistry based on the logic of native chemical ligation. Synthetic strategies that broaden the scope and versatility of the ligation reaction and that have been widely adopted for the preparation of homogeneous peptides and proteins are highlighted. Methods enabling the efficient preparation of peptide ligation precursors are also included in this chapter.



X = S, Se; Y = SH, SeH, ---Se---Se---

Keywords: ligation · cysteine · selenocysteine · desulfurization · deselenization · acyl shift · peptides · proteins · amides · solid-phase peptide synthesis · peptide coupling

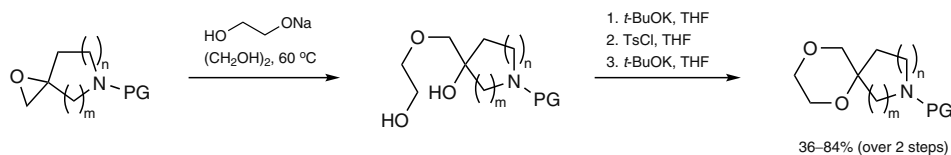
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37.9 Product Class 9: 1,4-Dioxanes

B. V. Vashchenko and O. O. Grygorenko

In this chapter, the synthesis of substituted 1,4-dioxanes and their saturated bridged, fused, and spirocyclic derivatives is discussed for the first time in *Science of Synthesis*. Partially unsaturated compounds, in particular benzo, 2-oxo, and related derivatives, are excluded from this review. Methods based on the construction of the 1,4-dioxane core, as well as on functionalization of the parent 1,4-dioxane and 2,3-dihydro-1,4-dioxine are presented.



Keywords: 1,4-dioxanes · ethers · oxygen heterocycles · carbon–oxygen bonds · oxiranes · diols · cyclization · Williamson ether synthesis · radical reactions · acetalization · cycloaddition · halogen addition reactions

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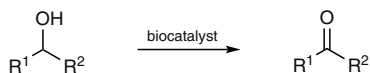
New Contributions

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3.3.4 **Biocatalytic Oxidation of Alcohols: An Overview***F. Hollmann*

This chapter provides a representative, but non-exhaustive, overview of biocatalytic methods for the oxidation of alcohols to the corresponding carbonyl products. Enzymes represent an attractive alternative to established oxidation catalysts, especially if mild reaction conditions are needed or if regio- or stereoselectivity are desirable.



Keywords: alcohol dehydrogenases · alcohol oxidases · alcohol oxidation · aldehyde synthesis · biocatalysis · carboxylate synthesis · ketone synthesis · oxidative kinetic resolution · regioselective oxidation · stereoselective oxidation