

## Table of Contents

### Volume 10: Fused Five-Membered Heteroarenes with One Heteroatom

10.22	<b>Product Class 22: Azaindoles and Their Derivatives</b>	
10.22.1	<b>Product Subclass 1: Azaindoles</b>	(New)
	J.-Y. Mérour and B. Joseph	
10.22.1	<b>Product Subclass 1: Azaindoles</b>	1
10.22.1.1	Synthesis by Ring-Closure Reactions	12
10.22.1.1.1	By Annulation to a Pyridine	12
10.22.1.1.1.1	By Formation of One N—C and One C—C Bond	12
10.22.1.1.1.1.1	With Formation of 1—2 and 3—3a Bonds	12
10.22.1.1.1.1.1.1	Method 1: From Pyridylhydrazones (Fischer Synthesis)	12
10.22.1.1.1.1.1.1.1	Variation 1: Indolization with Pyridinium Hydrochloride	17
10.22.1.1.1.1.1.2	Variation 2: From (6-Methoxypyridin-3-yl)hydrazine or (2-Methoxypyridin-3-yl)hydrazine	18
10.22.1.1.1.1.1.3	Variation 3: Using Microwave Activation	22
10.22.1.1.1.1.1.4	Variation 4: From a Pyridin-4-yl diazonium <i>N</i> -Oxide and a $\beta$ -Oxo Acid	23
10.22.1.1.1.1.1.5	Variation 5: From a Pyridylhydrazine and an Enamine	24
10.22.1.1.1.1.1.6	Variation 6: From a Pyridylhydrazine and a $\gamma$ -Halo Ketone (Grandberg Synthesis)	25
10.22.1.1.1.1.1.7	Variation 7: From 4-Hydrazino-6-methylpyridin-2(1 <i>H</i> )-one	26
10.22.1.1.1.1.1.8	Variation 8: From a Pyridylboronic Acid and Di- <i>tert</i> -butyl Azodicarboxylate	27
10.22.1.1.1.1.2	Method 2: From <i>ortho</i> -Substituted Nitropyridines (Bartoli Synthesis)	31
10.22.1.1.1.1.3	Method 3: From <i>N</i> -Chloropyridin-2-amines and $\alpha$ -Alkylsulfanyl Ketones (Gassman Synthesis)	35
10.22.1.1.1.1.4	Method 4: From Pyridinamines and $\alpha$ -Hydroxy Ketones (Bischler Synthesis)	36
10.22.1.1.1.1.5	Method 5: From Halopyridin-2-amines and Alkynes (Larock Synthesis)	40
10.22.1.1.1.1.6	Method 6: From Enamines of Pyridyl Ketones/Aldehydes	52
10.22.1.1.1.1.7	Method 7: From Iodopyridinamines and Allyl Acetate	56
10.22.1.1.1.1.8	Method 8: From Nitropyridines and Alkynes	58
10.22.1.1.1.2	With Formation of 1—2 and 2—3 Bonds	59
10.22.1.1.1.2.1	Method 1: From an Alkyl- <i>N</i> -( <i>tert</i> -Butoxycarbonyl)pyridinamine and an Amide	59
10.22.1.1.1.2.1.1	Variation 1: From an Unprotected Alkylpyridinamine and an Ester	67
10.22.1.1.1.2.2	Method 2: From a 2-Aminopyridine-3-carbaldehyde and a Diazo Ester	68
10.22.1.1.1.2.3	Method 3: From a Methylpyridinamine and the Vilsmeier Reagent	69

10.22.1.1.1.1.3	With Formation of 1—7a and 2—3 Bonds	70
10.22.1.1.1.1.3.1	Method 1: From an Alkylpyridine and a Nitrile	70
10.22.1.1.1.1.3.1.1	Variation 1: From a 2-Fluoro(alkyl)pyridine and a Nitrile	71
10.22.1.1.1.1.3.2	Method 2: From a 2-(2-Chloropyridin-3-yl)oxirane and an Amine	72
10.22.1.1.1.1.3.3	Method 3: From a 2-Halopyridyl Aldehyde and Ethyl Isocyanacetate	74
10.22.1.1.1.1.4	With Formation of 1—2 and 1—7a Bonds	75
10.22.1.1.1.1.4.1	Method 1: From a 2-Chloro-3-(2-chloroethyl)pyridine and an Amine	75
10.22.1.1.1.1.4.1.1	Variation 1: From 3-(2-[[Trifluoromethyl)sulfonyl]oxy]ethyl)pyridine-2,6-diyl Bis(trifluoromethanesulfonate) and an Amine	77
10.22.1.1.1.1.4.2	Method 2: From a 2-Bromo-3-(2-bromoalkenyl)pyridine and an Amine	79
10.22.1.1.1.1.4.3	Method 3: From a 2-Alkynyl-3-bromopyridine and a Carbamate	81
10.22.1.1.1.2	By Formation of One N—C Bond	81
10.22.1.1.1.2.1	With Formation of the 1—2 Bond	81
10.22.1.1.1.2.1.1	Method 1: From (3-Nitropyridin-2-yl)pyruvates (Reisert Synthesis)	81
10.22.1.1.1.2.1.2	Method 2: From a Halopyridinamine and an Enolate	89
10.22.1.1.1.2.1.3	Method 3: From Alkynylpyridinamines	92
10.22.1.1.1.2.1.3.1	Variation 1: Base-Mediated Cyclization	92
10.22.1.1.1.2.1.3.2	Variation 2: Using Microwave Activation	103
10.22.1.1.1.2.1.3.3	Variation 3: Copper(I) Iodide Mediated Cyclization	106
10.22.1.1.1.2.1.3.4	Variation 4: Copper(II) Acetate Mediated Cyclization	111
10.22.1.1.1.2.1.3.5	Variation 5: Indium(III) Bromide Mediated Cyclization	111
10.22.1.1.1.2.1.3.6	Variation 6: Gold(III) Chloride Mediated Cyclization	112
10.22.1.1.1.2.1.3.7	Variation 7: Acid-Mediated Cyclization	113
10.22.1.1.1.2.1.3.8	Variation 8: Palladium(0)-Mediated Cyclization with Concomitant Introduction of a 3-Aryl Substituent	113
10.22.1.1.1.2.1.3.9	Variation 9: Iodine-Mediated Cyclization with Concomitant Introduction of a 3-Iodo Substituent	115
10.22.1.1.1.2.1.3.10	Variation 10: Copper(I)-Mediated Cyclization with Concomitant Introduction of a 2-Dialkylamino Substituent	115
10.22.1.1.1.2.1.4	Method 4: From Allenylpyridinamines	117
10.22.1.1.1.2.1.5	Method 5: From Nitropyridyl Enamines (Leimgruber–Batcho Synthesis)	118
10.22.1.1.1.2.1.6	Method 6: From 2-(2-Nitropyridyl)enol Ethers	125
10.22.1.1.1.2.1.7	Method 7: From Nitro(vinyl)pyridines	128
10.22.1.1.1.2.1.8	Method 8: From Nitro(2-nitrovinyl)pyridines	132
10.22.1.1.1.2.1.9	Method 9: From Alkenylnitropyridines or Alkenylazidopyridine <i>N</i> -Oxides via Nitrenes	134
10.22.1.1.1.2.1.10	Method 10: From 2-(Arylamino)-3-(1-hydroxyalkyl)pyridines or 2-(Arylamino)-3-alkenylpyridines	135
10.22.1.1.1.2.1.11	Method 11: From (2,2-Dihalovinyl)pyridinamines	136
10.22.1.1.1.2.1.12	Method 12: From <i>N</i> -(Styrylpyridyl)hydroxylamines	140
10.22.1.1.1.2.1.13	Method 13: From a 2-(Nitropyridyl)acetonitrile	141
10.22.1.1.1.2.1.14	Method 14: From (2-Aminopyridyl) Aldehydes and Ketones Derived by Carbolithiation of a 3-Vinylpyridin-2-amine	146

10.22.1.1.1.2.2	With Formation of the 1—7a Bond .....	148
10.22.1.1.1.2.2.1	Method 1: From a (2-Aminoethyl)halopyridine .....	148
10.22.1.1.1.2.2.2	Method 2: From a Pyridylacetic Acid Hydrazide .....	149
10.22.1.1.1.2.2.3	Method 3: From a 2-Azido-3-pyridylacrylate (Hemetsberger–Knittel Synthesis) .....	149
10.22.1.1.1.2.2.4	Method 4: From a 2-Amino-3-(3-bromopyridin-4-yl)acrylate .....	155
10.22.1.1.1.3	By Formation of One C—C Bond .....	155
10.22.1.1.1.3.1	With Formation of the 2—3 Bond .....	155
10.22.1.1.1.3.1.1	Method 1: From an Acylaminopyridyl Ketone (Fürstner Synthesis) .....	155
10.22.1.1.1.3.1.2	Method 2: From an Acylamino(methyl)pyridine (Madelung Synthesis) .....	156
10.22.1.1.1.3.1.2.1	Variation 1: From a 2-[3-(Acylamino)pyridin-2-yl]acetonitrile .....	160
10.22.1.1.1.3.2	With Formation of the 3—3a Bond .....	161
10.22.1.1.1.3.2.1	Method 1: From a 2-(Pyridin-2-ylamino)ethyl Ethylxanthate .....	161
10.22.1.1.1.3.2.2	Method 2: From an <i>N</i> -Allyl-3-halopyridin-2-amine .....	162
10.22.1.1.1.3.2.3	Method 3: From an <i>N</i> -(2-Halopyridin-3-yl)cycloalkanimine .....	163
10.22.1.1.1.3.2.4	Method 4: From an <i>N</i> -Alkynylhalopyridinamine .....	164
10.22.1.1.2	By Annulation to a Pyrrole .....	166
10.22.1.1.2.1	By Formation of One N—C Bond and Two C—C Bonds .....	166
10.22.1.1.2.1.1	With Formation of 3a—4, 5—6, and 6—7 Bonds .....	166
10.22.1.1.2.1.1.1	Method 1: From a Pyrrol-2-amine, a Ketone, and an Aldehyde .....	166
10.22.1.1.2.2	By Formation of One N—C Bond and One C—C Bond .....	167
10.22.1.1.2.2.1	With Formation of the 3a—4 and 4—5 Bonds .....	167
10.22.1.1.2.2.1.1	Method 1: From 2-Aryl-2-(1 <i>H</i> -pyrrol-2-yl)ethan-1-amines and an Aromatic Aldehyde .....	167
10.22.1.1.2.2.2	With Formation of 3a—4 and 6—7 Bonds .....	169
10.22.1.1.2.2.2.1	Method 1: From a Pyrrol-2-amine and a 1,3-Diketone .....	169
10.22.1.1.2.2.3	With Formation of 3a—4 and 7—7a Bonds .....	173
10.22.1.1.2.2.3.1	Method 1: From a 2,2-Dimethoxypyrrolidine and an Enaminone .....	173
10.22.1.1.2.3	By Formation of One N—C Bond .....	174
10.22.1.1.2.3.1	With Formation of the 1—7a Bond .....	174
10.22.1.1.2.3.1.1	Method 1: From Nicotine .....	174
10.22.1.1.2.3.2	With Formation of the 4—5 Bond .....	174
10.22.1.1.2.3.2.1	Method 1: From Ethyl 2-(2-Amino-1-hydroxyethyl)-1 <i>H</i> -pyrrole-3-car- boxylates .....	174
10.22.1.1.2.3.2.2	Method 2: From ( <i>Z</i> )-2-(1-Amino-3-methoxy-3-oxoprop-1-en-2-yl)- 1-methyl-1 <i>H</i> -pyrrole-3-carboxylate .....	176
10.22.1.1.2.3.2.3	Method 3: From 3-(Ethoxycarbonyl)pyrrole-2-acetamide .....	176
10.22.1.1.2.3.3	With Formation of the 5—6 Bond .....	177
10.22.1.1.2.3.3.1	Method 1: From 3-Alkynyl-2-(azidomethyl)pyrroles .....	177

10.22.1.1.2.4	By Formation of One C—C Bond	179
10.22.1.1.2.4.1	With Formation of the 3a—4 Bond	179
10.22.1.1.2.4.1.1	Method 1: From a Pyrrole with a C <sub>2</sub> N-Chain at C2	179
10.22.1.1.2.4.1.2	Method 2: From a Pyrrole with a 2,2-Diethoxyethylimino Chain at C2	180
10.22.1.1.2.4.1.3	Method 3: From a Pyrrole with a 2-(Azidocarbonyl)vinyl Chain at C2	181
10.22.1.1.2.4.1.4	Method 4: From 2-Cyano-2-(pyrrolidin-2-ylidene)acetamide and Dimethylformamide Dimethyl Acetal	183
10.22.1.1.2.4.2	With Formation of the 4—5 Bond	184
10.22.1.1.2.4.2.1	Method 1: From an Ethyl 2-[[N-(2-Methoxy-2-oxoethyl)tosylamino]methyl]-1 <i>H</i> -pyrrole-3-carboxylate	184
10.22.1.1.2.4.2.2	Method 2: From a 2-Amino-1 <i>H</i> -pyrrole-3-carbonitrile and a 3-Oxo Ester	185
10.22.1.1.2.4.3	With Formation of the 7—7a Bond	186
10.22.1.1.2.4.3.1	Method 1: From a 3-(1 <i>H</i> -Pyrrol-3-yl)acryloyl Azide	186
10.22.1.1.2.4.3.2	Method 2: From <i>N</i> -Pyrrol-3-yl Enamines	187
10.22.1.1.2.4.3.3	Method 3: From 1-(Pyrrol-3-yl)-1-azaenynes	188
10.22.1.1.3	Without Annulation to an Existing Ring	189
10.22.1.1.3.1	By Formation of Two N—C and Three C—C Bonds	189
10.22.1.1.3.1.1	With Formation of the 2—3, 3a—4, 5—6, 7—7a, and 1—7a Bonds	189
10.22.1.1.3.1.1.1	Method 1: From a Dialkynylsilane, an Isocyanide, and a Nitrile	189
10.22.1.1.3.2	By Formation of One N—C Bond and Two C—C Bonds	193
10.22.1.1.3.2.1	With Formation of the 3—3a, 4—5, and 7—7a Bonds	193
10.22.1.1.3.2.1.1	Method 1: From Ethyl Acrylate and a 3-[(Cyanomethyl)amino]acrylate	193
10.22.1.2	Synthesis by Ring Transformation	194
10.22.1.2.1	Ring Expansion	194
10.22.1.2.1.1	Method 1: From a 3-Azabicyclo[4.1.0]heptane and a Nitrile	194
10.22.1.2.2	Formal Exchange of Ring Members with Retention of the Ring Size	197
10.22.1.2.2.1	Method 1: From a 2,3-Dihydro-5-azabenzob[ <i>b</i> ]furan	197
10.22.1.2.2.2	Method 2: From 1,2,4-Triazines and an Alkyne	197
10.22.1.2.2.3	Method 3: From Pyrazolo[1,5- <i>a</i> ]pyridines	199
10.22.1.2.3	Ring Contraction	201
10.22.1.2.3.1	Method 1: From a Naphthyridine Diazonium Salt	201
10.22.1.2.3.2	Method 2: From 3 <i>H</i> -Azepines	202
10.22.1.3	Aromatization	203
10.22.1.3.1	Method 1: From 2,3-Dihydroazaindoles (Azaindoles)	203
10.22.1.3.2	Method 2: From Di- and Tetrahydropyridine Ring Azaindoles	205

10.22.1.4	Synthesis by Substituent Modification	206
10.22.1.4.1	Substitution of Existing Substituents	206
10.22.1.4.1.1	Pyridine Ring Substituents	206
10.22.1.4.1.1.1	Substitution of C-Hydrogen	206
10.22.1.4.1.1.1.1	Method 1: Introduction of C-Halogen to an Azaindole <i>N</i> -Oxide	206
10.22.1.4.1.1.1.2	Method 2: Introduction of C-Halogen via a C-Metalated Azaindole	213
10.22.1.4.1.1.1.3	Method 3: Introduction of C-Halogen to an Activated Azaindole	218
10.22.1.4.1.1.1.4	Method 4: Introduction of C-Sulfur	219
10.22.1.4.1.1.1.5	Method 5: Introduction of C-Oxygen to an Azaindole <i>N</i> -Oxide	220
10.22.1.4.1.1.1.6	Method 6: Introduction of C-Oxygen via a C-Metalated Azaindole	221
10.22.1.4.1.1.1.7	Method 7: Introduction of C-Nitrogen by Amination of an Azaindole <i>N</i> -Oxide	222
10.22.1.4.1.1.1.8	Method 8: Introduction of C-Nitrogen by Nitration of an Azaindole <i>N</i> -Oxide	227
10.22.1.4.1.1.1.9	Method 9: Introduction of C-Nitrogen via a C-Metalated Azaindole	229
10.22.1.4.1.1.1.10	Method 10: Introduction of C-Nitrogen to a 2,3-Dihydro-1 <i>H</i> -pyrrolo[2,3- <i>b</i> ]pyridine	230
10.22.1.4.1.1.1.11	Method 11: Introduction of C-Carbon to an Azaindole <i>N</i> -Oxide	231
10.22.1.4.1.1.1.12	Method 12: Introduction of C-Carbon via a C-Metalated Azaindole	233
10.22.1.4.1.1.1.13	Method 13: Introduction of C-Boron to a Metalated Azaindole	235
10.22.1.4.1.1.2	Substitution of C-Halogen	237
10.22.1.4.1.1.2.1	Method 1: Introduction of C-Hydrogen	237
10.22.1.4.1.1.2.2	Method 2: Introduction of C-Halogen	238
10.22.1.4.1.1.2.3	Method 3: Introduction of C-Sulfur by Nucleophilic Substitution	239
10.22.1.4.1.1.2.4	Method 4: Introduction of C-Sulfur by Lithium–Bromine Exchange	240
10.22.1.4.1.1.2.5	Method 5: Introduction of C-Oxygen	240
10.22.1.4.1.1.2.6	Method 6: Introduction of C-Nitrogen by Direct Reaction with Amines	243
10.22.1.4.1.1.2.7	Method 7: Introduction of C-Nitrogen by Palladium-Catalyzed Cross Coupling with Amines	247
10.22.1.4.1.1.2.8	Method 8: Introduction of C-Nitrogen by Palladium-Catalyzed Cross Coupling with Amides	259
10.22.1.4.1.1.2.9	Method 9: Introduction of a Cyano Group	261
10.22.1.4.1.1.2.10	Method 10: Introduction of Aryl, Carboxy, Acyl, Alkynyl, Alkenyl, or Alkyl Groups	263
10.22.1.4.1.1.2.11	Method 11: Introduction of C-Boron to Metalated Azaindoles	282
10.22.1.4.1.1.2.12	Method 12: Introduction of C-Boron via Palladium(0) Catalysis	283
10.22.1.4.1.1.3	Substitution of C-Sulfur	285
10.22.1.4.1.1.3.1	Method 1: Introduction of C-Halogen	285
10.22.1.4.1.1.4	Substitution of C-Nitrogen	286
10.22.1.4.1.1.4.1	Method 1: Introduction of C-Oxygen	286
10.22.1.4.1.1.4.2	Method 2: Reduction of a Nitro Group	287
10.22.1.4.1.1.5	Substitution of C-Boron	288
10.22.1.4.1.1.5.1	Method 1: Introduction of C-Carbon	288

10.22.1.4.1.1.6	Modification of C-Carbon	289
10.22.1.4.1.1.6.1	Method 1: Giving C-Carbon	289
10.22.1.4.1.2	Pyrrrole Ring Substituents	291
10.22.1.4.1.2.1	Substitution of C-Hydrogen at C3	291
10.22.1.4.1.2.1.1	Method 1: Introduction of Bromine	291
10.22.1.4.1.2.1.2	Method 2: Introduction of Chlorine	297
10.22.1.4.1.2.1.3	Method 3: Introduction of Iodine	298
10.22.1.4.1.2.1.4	Method 4: Giving C-Sulfur	302
10.22.1.4.1.2.1.5	Method 5: Giving C-Nitrogen	306
10.22.1.4.1.2.1.6	Method 6: Introduction of Ester or Amide Groups	308
10.22.1.4.1.2.1.7	Method 7: Introduction of a Formyl Group	310
10.22.1.4.1.2.1.8	Method 8: Introduction of Acyl Groups	316
10.22.1.4.1.2.1.9	Method 9: Introduction of an Oxyalkyl Group	326
10.22.1.4.1.2.1.10	Method 10: Introduction of an Aminoalkyl Group	333
10.22.1.4.1.2.1.11	Method 11: Introduction of a Sulfanyalkyl Group	339
10.22.1.4.1.2.1.12	Method 12: Introduction of Alkenyl Groups	339
10.22.1.4.1.2.1.13	Method 13: Introduction of Hetaryl Groups	342
10.22.1.4.1.2.1.14	Method 14: Introduction of Alkyl Groups	342
10.22.1.4.1.2.1.15	Method 15: Introduction of C-Boron	348
10.22.1.4.1.2.2	Substitution of C-Hydrogen at C2	350
10.22.1.4.1.2.2.1	Method 1: Introduction of C-Halogen	350
10.22.1.4.1.2.2.2	Method 2: Introduction of C-Carbon by Intermolecular Metal-Catalyzed Direct Substitution	352
10.22.1.4.1.2.2.3	Method 3: Introduction of C-Carbon by Palladium-Catalyzed Cyclization of 1-Substituted Azaindoles	356
10.22.1.4.1.2.2.4	Method 4: Introduction of C-Carbon by Radical Cyclization of 1-Substituted Azaindoles	360
10.22.1.4.1.2.2.5	Method 5: Introduction of C-Carbon by Acid-Mediated Cyclization of 1-Substituted Azaindoles	361
10.22.1.4.1.2.2.6	Method 6: Introduction of C-Carbon by Enzyme-Mediated Cyclization of 1-Substituted 1 <i>H</i> -Pyrrolo[2,3- <i>b</i> ]pyridines	362
10.22.1.4.1.2.2.7	Method 7: Introduction of C-Carbon Using 2-Metalated Azaindoles	362
10.22.1.4.1.2.2.8	Method 8: Introduction of C-Boron and C-Tin	378
10.22.1.4.1.2.3	Substitution of C-Halogen at C3	381
10.22.1.4.1.2.3.1	Method 1: Introduction of C-Sulfur	381
10.22.1.4.1.2.3.2	Method 2: Introduction of Acid, Ester, or Amide Groups	381
10.22.1.4.1.2.3.3	Method 3: Introduction of a Cyano Group	385
10.22.1.4.1.2.3.4	Method 4: Introduction of Formyl or Acyl Groups	385
10.22.1.4.1.2.3.5	Method 5: Introduction of Hydroxyalkyl, Aminoalkyl, or Alkyl Groups	387
10.22.1.4.1.2.3.6	Method 6: Introduction of Alkenyl or Alkynyl Groups	390
10.22.1.4.1.2.3.7	Method 7: Introduction of Aryl or Hetaryl Groups	391
10.22.1.4.1.2.3.8	Method 8: Introduction of C-Boron	395
10.22.1.4.1.2.3.9	Method 9: Introduction of C-Tin	396
10.22.1.4.1.2.4	Substitution of C-Halogen at C2	399

10.22.1.4.1.2.4.1	Method 1: Introduction of C-Carbon	399
10.22.1.4.1.2.5	Substitution of C-Silicon at C2	407
10.22.1.4.1.2.5.1	Method 1: Introduction of C-Halogen	407
10.22.1.4.1.2.6	Substitution of C-Tin at C3	408
10.22.1.4.1.2.6.1	Method 1: Introduction of C-Carbon	408
10.22.1.4.1.2.7	Substitution of C-Tin at C2	410
10.22.1.4.1.2.7.1	Method 1: Introduction of C-Carbon	410
10.22.1.4.1.2.8	Substitution of C-Boron at C3	411
10.22.1.4.1.2.8.1	Method 1: Introduction of C-Carbon	411
10.22.1.4.1.2.9	Substitution/Modification of C-Carbon at C3	414
10.22.1.4.1.2.9.1	Method 1: Introduction of C-Carbonyl, C-Alkyl, and C-Vinyl Derivatives	414
10.22.1.4.1.2.10	Substitution/Modification of C-Carbon at C2	433
10.22.1.4.1.2.10.1	Method 1: Giving C-Halogen, C-Carbon, or C-Nitrogen	433
10.22.1.4.1.2.11	Substitution/Modification at N1	440
10.22.1.4.1.2.11.1	Method 1: Introduction of N-Nitrogen	440
10.22.1.4.1.2.11.2	Method 2: Introduction of N-Sulfur	441
10.22.1.4.1.2.11.3	Method 3: Introduction of Acid, Ester, or Amide Groups	445
10.22.1.4.1.2.11.4	Method 4: Introduction of Acyl Groups	451
10.22.1.4.1.2.11.5	Method 5: Introduction of Oxyalkyl or Aminoalkyl Groups	452
10.22.1.4.1.2.11.6	Method 6: Introduction of Alkenyl Groups	455
10.22.1.4.1.2.11.7	Method 7: Introduction of Alkyl Groups via Michael-Type Addition	457
10.22.1.4.1.2.11.8	Method 8: Introduction of Alkyl Groups by Reaction with Alkyl Halides, Alkyl Sulfonates, or Dimethyl Sulfate	459
10.22.1.4.1.2.11.9	Method 9: Introduction of Alkyl Groups by Reaction with Dimethylformamide Dimethyl Acetal	466
10.22.1.4.1.2.11.10	Method 10: Introduction of Alkyl Groups by Reaction with an Allylic Carbonate	467
10.22.1.4.1.2.11.11	Method 11: Introduction of Alkyl Groups by Reaction with an Oxirane, Aziridine, or Azirine	468
10.22.1.4.1.2.11.12	Method 12: Introduction of Aryl or Hetaryl Groups	470
10.22.1.4.1.2.11.13	Method 13: Introduction of N-Silicon	476
10.22.1.4.1.2.11.14	Method 14: N-Deprotection at N1	477
10.22.1.4.1.2.11.15	Method 15: Modification of N-Carbon at N1	480
10.22.1.4.2	Addition Reactions	481
10.22.1.4.2.1	Addition of Organic Groups	481
10.22.1.4.2.1.1	Method 1: Alkylation of the Pyridine Nitrogen Atom: Formation of Pyridinium Salt	481
10.22.1.4.2.1.2	Method 2: Bis-acylation of the Two Nitrogen Atoms of 1 <i>H</i> -Pyrrolo[2,3- <i>b</i> ]pyridine	483
	<b>Author Index</b>	505
	<b>Abbreviations</b>	537