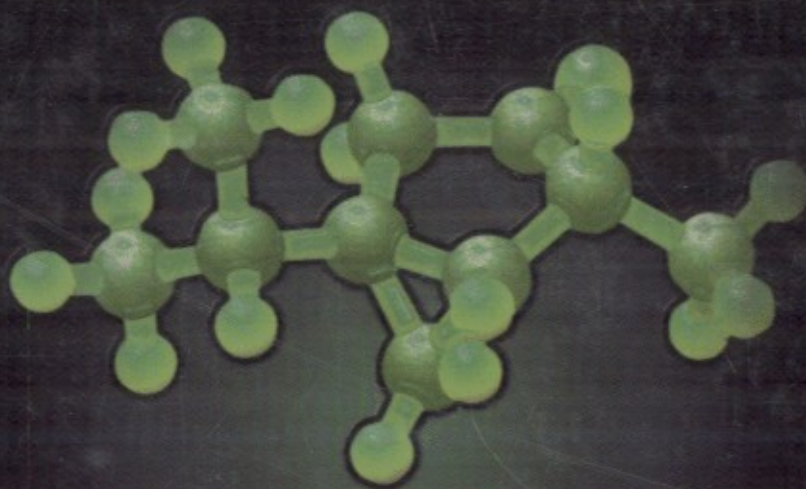




Handbook
of Reagents for
Organic Synthesis

**Reagents for High-
Throughput Solid-Phase
and Solution-Phase
Organic Synthesis**

Edited by
Peter Wipf

Contents

Preface

Introduction

Recent Review Articles and Monographs

4-Acetoxymethylbenzoic Acid	
N-[(Allyloxycarbonyl)oxy]maleimide-styrene Copolymer (Alloc-resin)	
Alumina	
5-[(4-Aminomethyl)-3,5-dimethoxyphenoxy]pentanoic Acid (PAL-linker)	
3-Amino-3-(2-nitrophenyl)propionic Acid (ANP-linker)	
O-(7-Azabenzotriazol-1-yl)-1,3-dimethyl-1,3-dimethylenuronium Hexafluorophosphate (HAMDU)	
7-Azabenzotriazol-1-yloxytris(dimethylamino)phosphonium Hexafluorophosphate (AOP)	
(7-Azabenzotriazol-1-yloxy)tris(pyrrolidino)phosphonium Hexafluorophosphate (PyAOP)	
Azidation Polymer	
Azidotris(dimethylamino)phosphonium Hexafluorophosphate	
BEMP Resin (PS-2-tert-Butylimino-2-diethylamino-1,3-dimethylperhydro-1,3,2-diazaphosphorine)	
1,2-Benziodoxol-3(1H)-one, 1-hydroxy, 1-oxide (IBX)	
1-Benzotriazolyl Diethyl Phosphate (BDP)	
(1H-Benzotriazol-1-yloxy)tripyrrolidino-phosphonium Hexafluorophosphate (PyBOP)	
Benzotriazol-1-yloxytris(dimethylamino)phosphonium Hexafluorophosphate (BOP)	
O-Benzotriazol-1-yl-N,N,N',N'-tetramethyluronium Hexafluorophosphate (HBTU)	
O-Benzotriazol-1-yl-N,N,N',N'-tetramethyluronium Tetrafluoroborate (TBTU)	
Bis(2,4-dinitrophenyl) Carbonate	
Bis(o-nitrophenyl) Phenylphosphonate	
Bis(p-nitrophenyl) Phenylphosphonate	
Bis(2-oxo-3-oxazolidinyl)phosphinic Chloride (BOP-Cl)	
Bis(pentafluorophenyl)carbonate	
1,1,3,3-Bis(tetramethylene)chlorouronium Hexafluorophosphate (PyCIU)	
Bis(tricyclohexylphosphine)(4-polystyrylmethylidene)ruthenium Dichloride	
Borohydride Exchange Resin	
4-Bromomethyl-3-nitrobenzoic Acid	
4-(2-Bromopropionyl)phenoxyacetic Acid	
Bromotripyrrolidinophosphonium Hexafluorophosphate (PyBroP)	

ix	1,1'-Carbonylbis(3-methylimidazolium) Bis(trifluoromethanesulfonate)	63
xi	N,N'-Carbonyldiimidazole (CDI)	63
	Celite	67
1	6-Chloro-1-(p-chlorobenzenesulfonyloxy)benzotriazole	68
7	2-Chloro-1,3-dimethylimidazolidium Hexafluorophosphate (CIP)	69
8	6-Chloro-2,4-dimethoxy-s-triazine (CDMT)	72
9	Chlorotripyrrolidinophosphonium Hexafluorophosphate (PyCIOP)	74
13	Copper(II) Nitrate - K10 Bentonite Clay	76
14	Cyanuric Fluoride	77
16	1-Cyclohexyl-3-(2-morpholinoethyl)carbodiimide	79
17	1-Cyclohexyl-3-(2-morpholinoethyl)carbodiimide Metho-p-toluenesulfonate	80
19	1,3-Dicyclohexylcarbodiimide (DCC)	83
21	N,N-Diethanolaminomethyl Polystyrene (PS-DEAM)	86
22	Diethyl Phosphorocyanidate (DEPC)	88
25	3-(Diethoxyphosphoryloxy)-1,2,3-benzo-triazin-4(3H)-one (DEPBT)	90
26	1,3-Diisopropylcarbodiimide (DIC)	93
30	2,4-Dimethoxy-4'-hydroxybenzophenone	97
31	4-[(2,4-Dimethoxyphenyl){[1-(9H-fluoren-9-ylmethoxy)carbonyl]amino}methyl]phenoxyacetic acid (Rink Amide-linker)	98
35	N-[(Dimethylamino)-1H-1,2,3-triazolo[4,5-b]pyridin-1-ylmethylene]-N-methylmethanaminium Hexafluorophosphate N-Oxide (HATU)	102
39	Diphenyl Phosphorochloridate	104
40	2-Ethoxy-1-ethoxycarbonyl-1,2-dihydroquinoline (EEDQ)	107
43	1-Ethyl-3-(3'-dimethylaminopropyl)carbodiimide hydrochloride (EDCI)	108
44	N-Ethyl-5-phenylisoxazolium-3'-sulfonate (Woodward's Reagent K)	110
45	4-{4-[1-(9H-Fluoren-9-ylmethoxycarbonyl)amino]ethyl}-2-methoxy-5-nitrophenoxy}butanoic Acid	115
47	5-[4-(9-Fluorenylmethyloxycarbonyl)aminomethyl-3,5-dimethoxyphenoxy]pentanoic acid (Fmoc-PAL-linker)	117
49	Fluorous Alkoxyethyl Ether (AE(F), [4,4,5,5,6,6,7,7,8,8,9,9,10,10,11,11,11-heptadecafluoro-1-(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluoro-decyl)undecyloxy]ethene)	121
50	Fluorous DEAD	123
51	Fluorous DMSO (1,1,1,2,2,3,3,4,4-nonafluoro-6-(methanesulfinyl)hexane)	125
56	Fluorous Tetrahydropyranyl Ether (THP(F), 2-benzenesulfinyl-3-heptadecafluorooctyltetrahydropyran)	126
58	Fluorous TIPS Triflate	128

4-(4-Formyl-3-methoxyphenoxy)-butanoic Acid (FMPB-linker)	129	Polymer-supported O-Methylisourea	237
4-Hydroxymethylbenzoic acid (HMBA-linker)	131	Polymer-supported Perruthenate	239
4-(4-Hydroxymethyl-3-methoxyphenoxy)butyric Acid (HMPB-linker)	133	Polymer-supported Sulfonic Acid (Dowex 50W)	240
4-(Hydroxymethyl)phenoxyacetic Acid	135	Polymer-supported Sulfonic Acid (Dowex 50×8)	247
N-(3-Hydroxy-9H-xanthenyl-O-(9H-fluoren-9-yl)methylcarbamic Acid	139	Polymer-supported Tetrathiafulvalene	249
Iron(III) Chloride–Silica Gel	143	Polymer-supported Thiophenol	250
JandaJel	145	Polymer-supported p-Toluenesulfonyl Chloride and Related Reagents	252
Merrifield Resin (Chloromethylcopoly(styrene-divinylbenzene))	151	Polymer-supported 1,5,7-Triazabicyclo[4.4.0]dec-5-ene (PTBD)	255
1-(Mesitylene-2-sulfonyl)-3-nitro-1,2,4-triazole (MSNT)	157	Polymer-supported Trialkylsilyl Cyanide	260
N-Methylmorpholine Polystyrene (PS-NMM)	161	Polymer-supported Trisamine	262
Montmorillonite K10	163	Polystyrene	265
Nafion-H	167	Polystyrene-bound 1-Hydroxybenzotriazole (PS-HOBT)	269
2-(5-Norbornene-2,3-dicarboximido)-1,1,3,3-tetramethyluronium Tetrafluoroborate (TNTU)	169	Polystyrene-bound Dimethylaminopyridine (PS-DMAP)	272
S-(1-Oxido-2-pyridinyl)-1,1,3,3-tetramethylthiuronium Hexafluorophosphate (HOTT)	171	Polystyrene-1-ethyl-3-(3'-dimethylaminopropyl)-carbodiimide Hydrochloride (PS-EDCI)	274
Ozone–Silica Gel	173	Polystyrene-supported Methylenetriphenylphosphorane	278
Palladium on Carbon	177	Polystyrene-supported Tosyl Azide	281
Palladium(II) Hydroxide on Carbon	181	Polystyrene-supported Triphenylphosphine	283
PAMAM Dendrimer Resin (DendroGel)	183	Polystyrylboronic Acid	286
Pd Nanoparticles	185	Poly(4-vinylpyridine)	288
Pentafluorophenyl Diphenylphosphinate (FDPP)	186	Poly(4-vinylpyridinium Bromide Dichromate)	289
1 <i>H</i> ,1 <i>H</i> ,2 <i>H</i> ,2 <i>H</i> -Perfluorodecanethiol (Fluorous Thiol)	191	Poly(4-vinylpyridinium Bromide Perbromide)	289
Phenylbis[4-(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)phenyl]-phosphine (Fluorous Triphenylphosphine)	193	Potassium Fluoride–Alumina	290
Platinum on Alumina	195	Potassium Fluoride–Celite	292
Platinum(IV) Oxide	196	Potassium Hydroxide–Alumina	293
(Polyallyl)scandium Triflylamide Ditriflate (PA-Sc-TAD)	199	Potassium on Alumina	294
3,3'-PolyBINOL	200	N-[(Propargyloxycarbonyl)oxy]maleimidestyrene Copolymer (Proc-resin)	295
Poly[4-(diacetoxiodo)styrene] (PS-DIB)	202	Pyridinium Chlorochromate–Alumina	296
Poly(ethylene glycol)-supported Burgess Reagent (PEG-Burgess Reagent)	206	2-Pyridyldimethylsilane	298
Poly(ethylene glycol)-supported 1,4-Phthalazinediyl Diether Hydroquinidine ((DHQD) ₂ PHAL Ligand)	208	Rhodium on Alumina	303
Poly(ethylene glycol)-supported Dialkylborane	209	Silver(I)carbonate on Celite	307
Poly(ethylene glycol)-supported N-Phenyl Triflimide	210	Solid-supported Phosphine-free Ruthenium Alkylidene for Olefin Metathesis	312
Poly(ethylene glycol)-supported Triarylphosphine	211	O-(N-Succinimidyl)-1,1,3,3-tetramethyluronium Tetrafluoroborate (TSTU)	315
Poly(exo-N-hydroxy-7-oxabicyclo[2.2.1]hept-5-ene-2,3-dicarboximide) (ROMPGEL-N-Hydroxysuccinimide)	213	TEMPO-methyl-polystyrene Resin	317
Polyhexamethylenecarbodiimide	215	Tentagel	318
Polymer-bound Diisopropyl Chlorosilane	216	1,1,3,3-Tetramethylfluoroformamidinium Hexafluorophosphate (TFFH)	321
Polymer-bound Diphenyl Chlorosilane	217	Tetramethylurea	323
Polymer-bound N-Hydroxysuccinimide	218	Triazene Resin	325
Polymer-supported O-Allylisourea	221	Triphenylmethyl Chloride Resins	329
Polymer-supported Ammonium Periodate	223	Triphenylphosphine Selenide	332
Polymer-supported O-Benzylisourea	224	Tris(2-perfluorohexylethyl)tin Hydride (Fluorous Tin Hydride)	333
Polymer-supported Bis(acyloxy)bromate (I)	226	Wang Resin	337
Polymer-supported Bis(acyloxy)iodate (I)	228	List of Contributors	341
Polymer-supported Bis(azido)iodate (I)	231	Reagent Formula Index	351
Polymer-supported Carbonate	233	Subject Index	355
Polymer-supported Hydroxide	235		