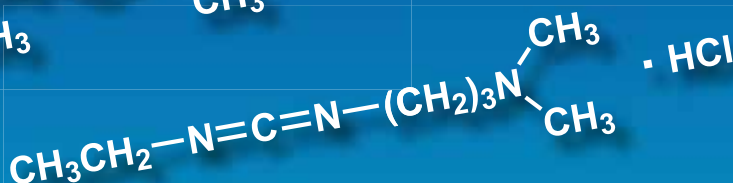
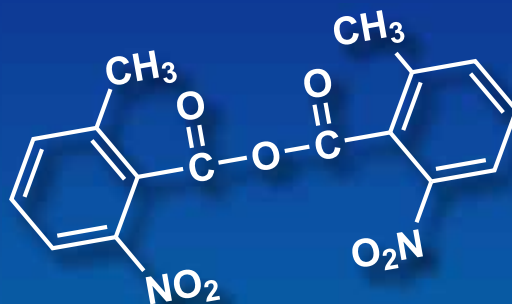
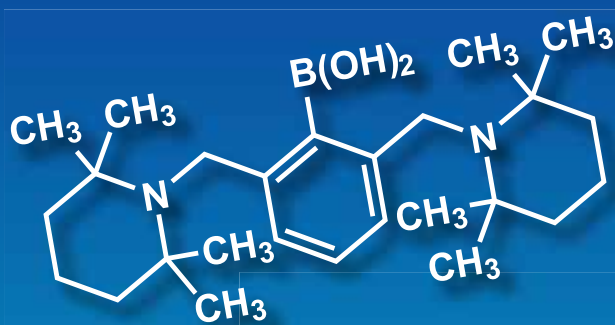


缩合剂

Condensing Agents



活性酯，添加剂

碳二亚胺

羰基二咪唑类

光气衍生物

磷盐，脲盐，甲脒盐

缩合有机催化剂

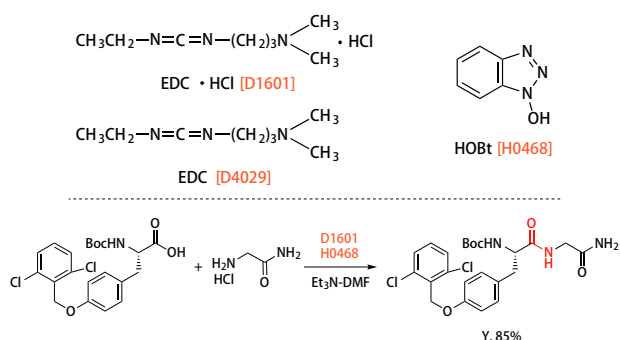
其它

缩合剂

酯化和酰胺化是有机化学中最基本的反应之一。其中，酸性条件下的酯化反应已有100多年的历史¹⁾，其条件取决于勒夏特列原理。为了使反应完全进行，水会随着反应的进行而被去除，通常是通过Dean-Stark分离器或脱水试剂。然而，当应用于热不稳定材料时，这种方法往往是无效的。为了克服这个问题，更为温和的条件和缩合剂已被开发出来。对于酰胺化反应来说，羧酸的活化是关键，胺与活化的羧酸亲核加成即可形成酰胺键。然而，如果活化羧基的反应活性过高，就会发生 α 位的差向异构化。此后，为了避免这种潜在问题的发生，人们开发了一些不产生差向异构化的试剂。近年来，随着酯化和酰胺化研究的不断深入，许多具有高反应活性和差向异构化控制能力的缩合剂得到了广泛的应用。此外，许多关于这些方法和试剂的综述已经被报道²⁾。这些不同方法的应用和参考资料可以在TCI每个产品页面上找到。

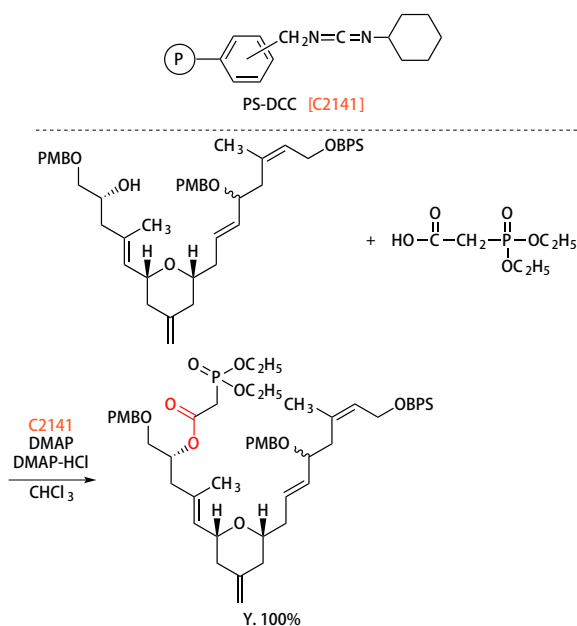
● EDC + HOBt

自20世纪50年代N,N'-二环己基碳二亚胺(DCC)[D0436]缩合反应被首次报道以来³⁾，已经有许多碳二亚胺试剂被开发出来。其中，1-(3-二甲氨基丙基)-3-乙基碳二亚胺(EDC)[D1601]和[D4029]最受青睐，因为其副产物易于分离除去。EDC与1-羟基苯并三唑(HOBt)⁴⁾ [H0468]可以一起使用，这是最常用的缩合条件之一。



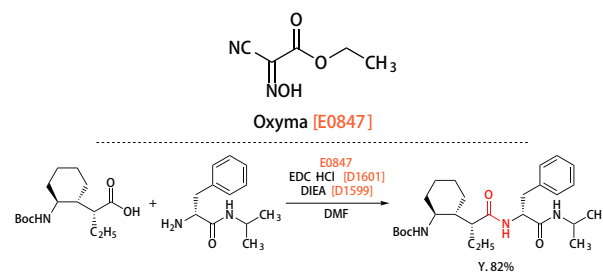
● PS-DCC

当使用缩合剂时，副产物往往难以除去。从缩合反应中去除副产品是比较麻烦的问题之一。二环己基脲是DCC的副产物，很难去除。然而，聚合物负载的PS-DCC[C2141]具有过滤容易去除的优点，常用于天然产物的全合成⁵⁾。



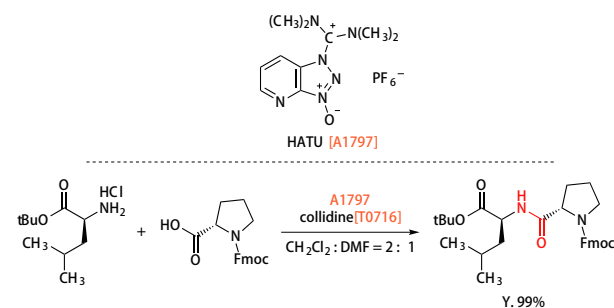
● Oxyma

1,2,3-三唑衍生物用于合成活性酯类化合物，常与碳二亚胺联用，但干燥的条件下可能发生爆炸。试剂oxyma[E0847]与三唑反应活性相同，爆炸风险最小⁶⁻⁹⁾。



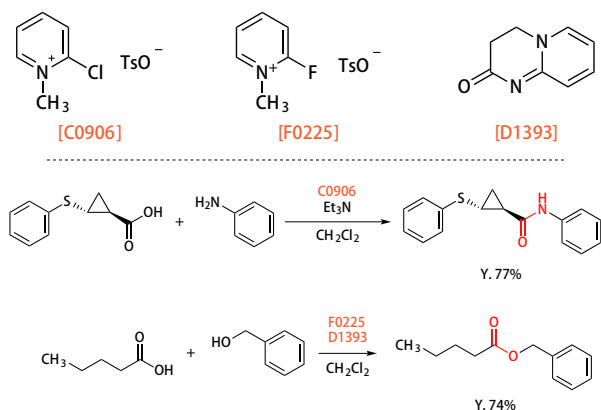
● HATU

以HATU[A1797]为代表的脲盐被认为是具有高反应活性和不易发生差向异构化的缩合剂^{10,11)}。



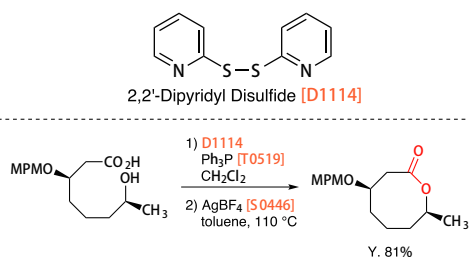
● Mukaiyama缩合剂

Mukaiyama等报道了2-氯-1-甲基吡啶对甲苯磺酸盐[C0906]和2-氟-1-甲基吡啶对甲苯磺酸盐[F0225]的缩合反应^{12,13}。在该反应中,传统的有机碱如三乙胺或酸捕捉剂H[D1393]都可以作为酸的缩合剂。



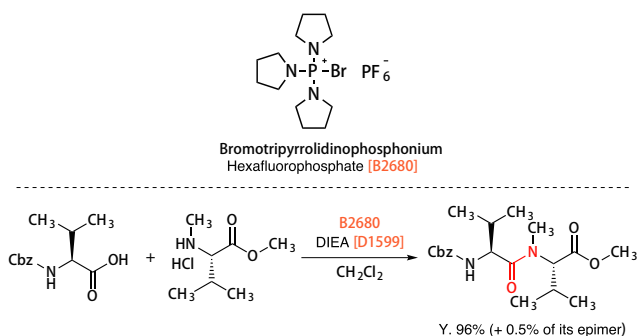
● Corey-Nicolaou大环内酯化反应

2,2'-二吡啶二硫醚[D1114]用于在温和条件下进行内酯化。Corey和Nicolaou已经报道了该方法在几种全合成中的应用^{14,15}。



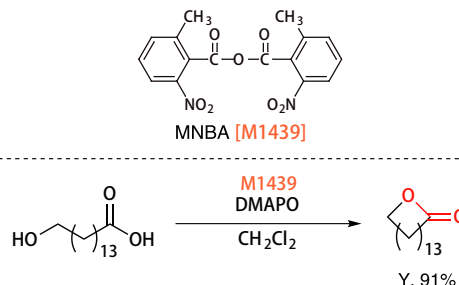
● 溴化三吡咯烷磷六氟磷酸盐

溴化三吡咯烷磷六氟磷酸盐[B2680]等磷盐在缩合反应中也有应用价值¹⁶。当使用这些盐时,不需要诸如HOBt等添加剂。此外,差向异构化的比率较低,同时也适用于难以活化的*N*-甲基氨基酸衍生物。因此,这些磷盐有望广泛应用于进一步的研究中。



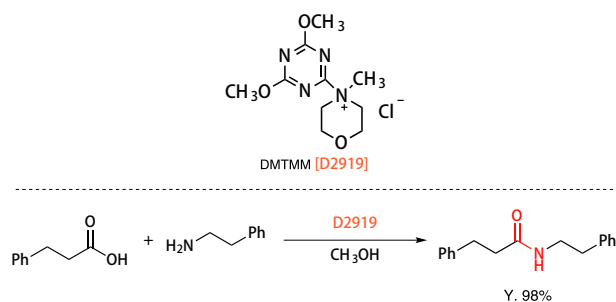
● Shiina大环内酯化

Shiina等报道了2-甲基-6-硝基苯甲酸酐(MNBA)[M1439]在碱性条件下,等摩尔的羧酸和醇或胺反应,高产率的得到了相应的酯类或酰胺类化合物^{17,18}。此方法也可以用于大环内酯化。



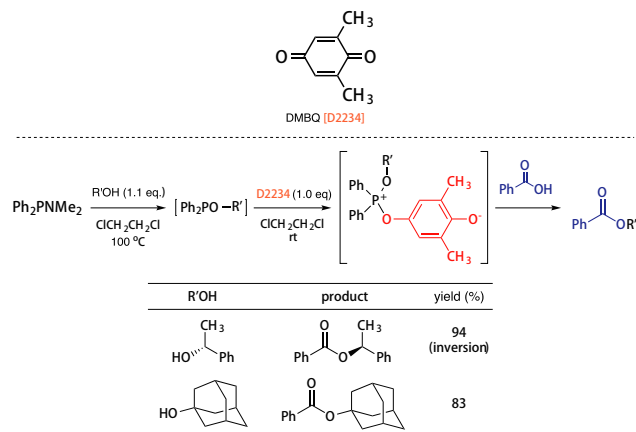
● DMTMM

Kunishima等报道了4-(4,6-二甲氧基-1,3,5-三嗪-2-基)-4-甲基吗啉盐酸盐(DMTMM) [D2919]用作缩合剂^{19,20}。这个试剂有一些独特优势:即使在乙醇溶剂中也能选择性进行酰胺化,并且副产物易于去除。D2919是一种高效的缩合剂²¹。



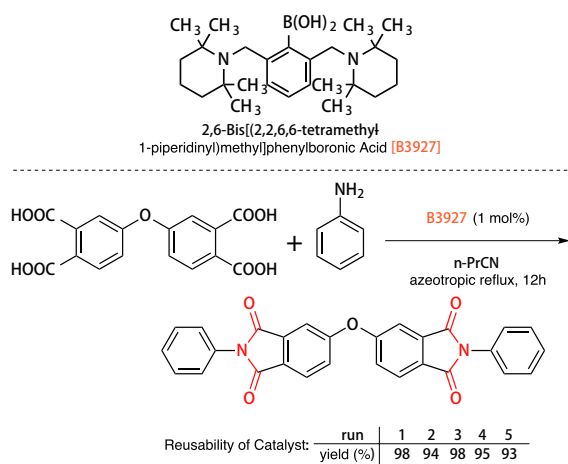
● Mukaiyama氧化还原缩合

Mukaiyama等报道了一种利用2,6-二甲基-1,4-苯醌(DMBQ)[D2234]等二氢醌衍生物的氧化还原缩合反应^{22,23}。该反应也适用于具有高立体位阻的叔醇,可生成立体翻转产物。此外,该反应甚至可用于结构难以立体翻转的1-金刚烷醇。



● 用于缩合反应的有机催化剂

2,6-双[(2,2,6,6-四甲基-1-哌啶基)甲基]苯硼酸 [B3927] 是 Ishihara 等人开发的一种复合催化剂，其分子内同时含有 Lewis 酸和 Brønsted 碱。B3927 催化二羧酸（如邻苯二甲酸）和胺的缩合，在温和条件下以高产率得到了相应的酰亚胺。此外，B3927 是可回收的，重复使用五次后仍可保持催化活性 (24.25)。

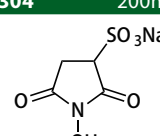
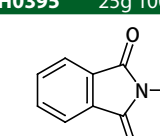
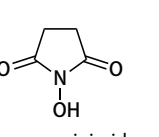
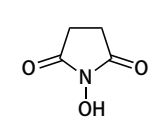
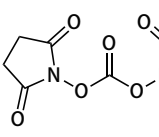
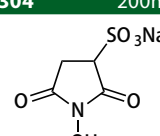
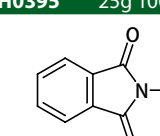
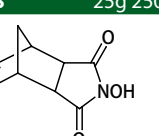
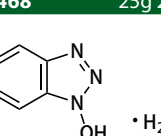
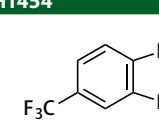
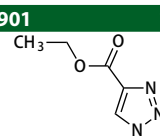
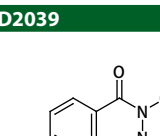
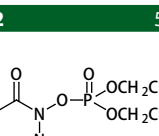
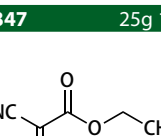
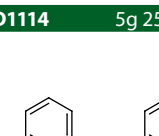


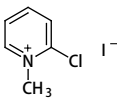
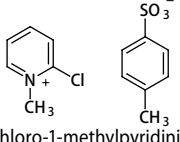
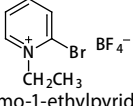
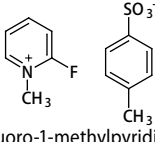

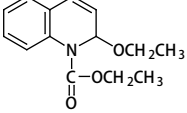
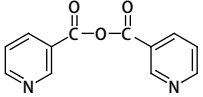
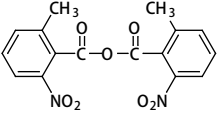
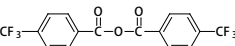
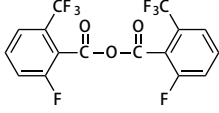
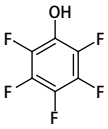
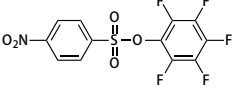
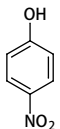
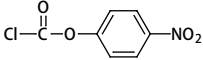
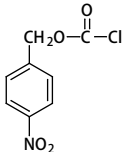
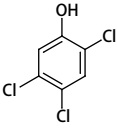
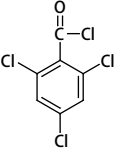
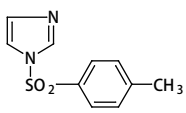
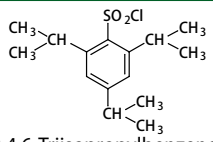
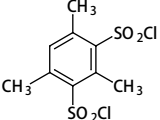
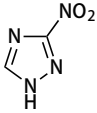
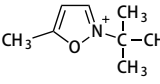
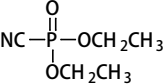
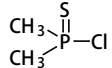
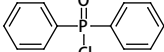
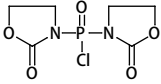
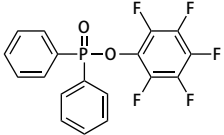
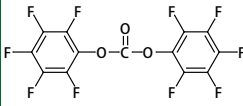
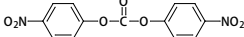
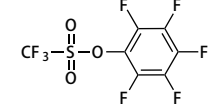
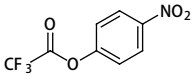
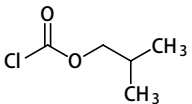
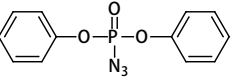
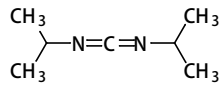
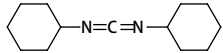
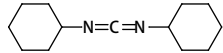
参考文献

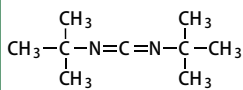
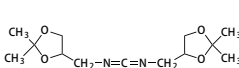
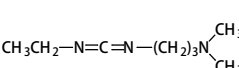
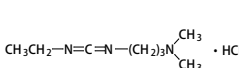
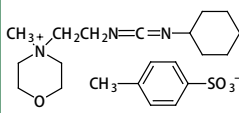
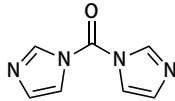
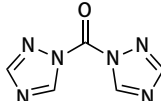
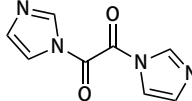
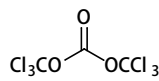
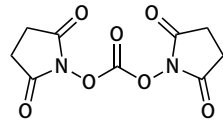
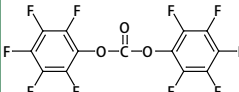
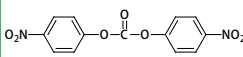
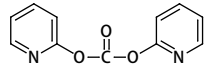

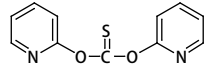
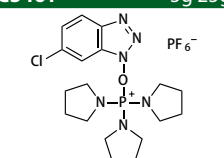
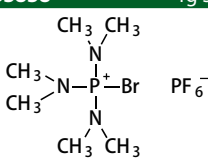
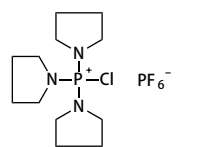
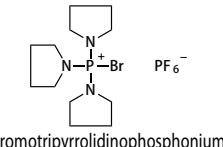
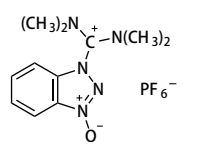
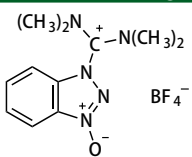
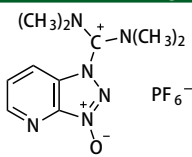
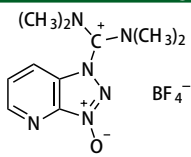
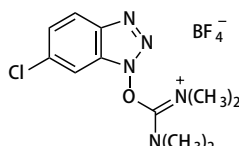
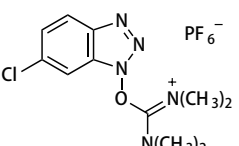
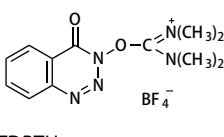
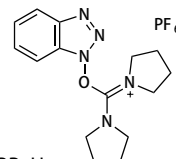
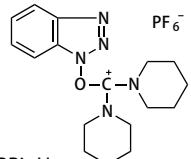
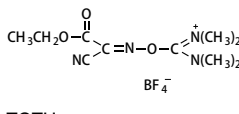
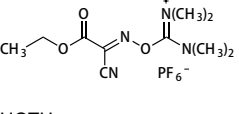
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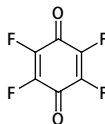
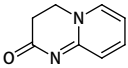
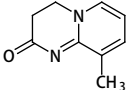


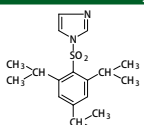
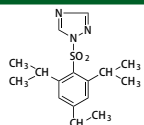
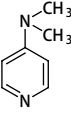
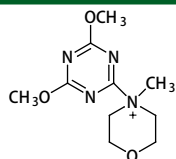
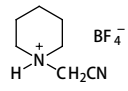
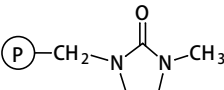
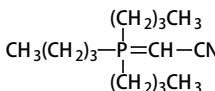
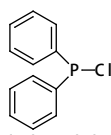
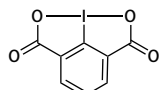
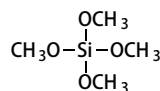
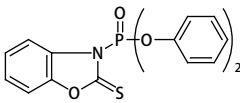
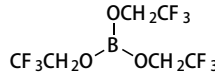
Active Esters, Additives

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| H1304 200mg 1g  Sulfo-NHS CAS RN: 106627-54-7 | H0395 25g 100g 500g  N-Hydroxyphthalimide CAS RN: 524-38-9 | B0249 25g  N-Hydroxysuccinimide [Coupling Reagent for Peptide] CAS RN: 6066-82-6 | H0623 25g 100g 500g  N-Hydroxysuccinimide CAS RN: 6066-82-6 | D1662 5g 25g  DSC CAS RN: 74124-79-1 |
| H1304 200mg 1g  Sulfo-NHS CAS RN: 106627-54-7 | H0395 25g 100g 500g  N-Hydroxyphthalimide CAS RN: 524-38-9 | H0528 25g 250g  N-Hydroxy-5-norbornene-2,3-dicarboximide CAS RN: 21715-90-2 | H0468 25g 200g  HOBt Monohydrate CAS RN: 80029-43-2 | H1454 1g 5g  1-Hydroxy-6-(trifluoromethyl)-benzotriazole CAS RN: 26198-21-0 |
| E0901 1g 5g  Ethyl 1-Hydroxy-1H-1,2,3-triazole-4-carboxylate CAS RN: 137156-41-3 | D2039 10g 25g  3-Hydroxy-4-ketobenzotriazine CAS RN: 28230-32-2 | D3262 5g  DEPBT CAS RN: 165534-43-0 | E0847 25g 100g  Oxyma CAS RN: 3849-21-6 | D1114 5g 25g 250g  2,2'-Dithiodipyridine CAS RN: 2127-03-9 |

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|--|--|--|--|---|
| <p>C0903 25g</p>  <p>2-Chloro-1-methylpyridinium Iodide CAS RN: 14338-32-0</p> | <p>C0906 25g</p>  <p>2-Chloro-1-methylpyridinium <i>p</i>-Toluenesulfonate CAS RN: 7403-46-5</p> | <p>B1036 5g 25g</p>  <p>2-Bromo-1-ethylpyridinium Tetrafluoroborate CAS RN: 878-23-9</p> | <p>F0225 5g 25g</p>  <p>2-Fluoro-1-methylpyridinium <i>p</i>-Toluenesulfonate CAS RN: 58086-67-2</p> | <p>H0672 25g</p>  <p>2-Hydroxypyridine <i>N</i>-Oxide CAS RN: 13161-30-3</p> |
| <p>E0363 25g</p>  <p>EEDQ CAS RN: 16357-59-8</p> | <p>P1768 1g 5g</p>  <p>Nicotinic Anhydride CAS RN: 16837-38-0</p> | <p>M1439 1g 5g 25g</p>  <p>MNBA CAS RN: 434935-69-0</p> | <p>T1593 10g</p>  <p>TFBA CAS RN: 25753-16-6</p> | <p>F1184 1g</p>  <p>FTFBA CAS RN: 2118332-08-2</p> |
| <p>P0919 10g 25g</p>  <p>Pentafluorophenol CAS RN: 771-61-9</p> | <p>P2231 1g 5g</p>  <p>Pentafluorophenyl 4-Nitrobenzenesulfonate CAS RN: 244633-31-6</p> | <p>N0220 25g 100g 500g</p>  <p>4-Nitrophenol CAS RN: 100-02-7</p> | <p>C1400 25g 250g</p>  <p>4-Nitrophenyl Chloroformate CAS RN: 7693-46-1</p> | <p>C1077 25g</p>  <p>4-Nitrobenzyl Chloroformate CAS RN: 4457-32-3</p> |
| <p>T0389 25g 500g</p>  <p>2,4,5-Trichlorophenol CAS RN: 95-95-4</p> | <p>T1413 5g 25g</p>  <p>2,4,6-Trichlorobenzoyl Chloride CAS RN: 4136-95-2</p> | <p>T1985 5g 25g</p>  <p>1-Tosylimidazole CAS RN: 2232-08-8</p> | <p>T0459 25g 500g</p>  <p>2,4,6-Triisopropylbenzenesulfonyl Chloride CAS RN: 6553-96-4</p> | <p>M1186 5g 25g</p>  <p>2,4-Mesitylenedisulfonyl Dichloride CAS RN: 68985-08-0</p> |
| <p>N0477 1g 5g</p>  <p>3-Nitro-1,2,4-triazole CAS RN: 24807-55-4</p> | <p>B0832 1g 5g</p>  <p>Woodward's Reagent L CAS RN: 10513-45-8</p> | <p>C1242 5g 25g</p>  <p>Diethyl Cyanophosphonate CAS RN: 2942-58-7</p> | <p>D2159 1g 5g</p>  <p>Dimethylthiophosphinoyl Chloride CAS RN: 993-12-4</p> | <p>C1415 5g 25g</p>  <p>Diphenylphosphinic Chloride CAS RN: 1499-21-4</p> |
| <p>B1213 5g 25g</p>  <p>BOP-Cl CAS RN: 68641-49-6</p> | <p>P2726 1g 5g</p>  <p>FDPP CAS RN: 138687-69-1</p> | <p>B3604 5g</p>  <p>Bis(pentafluorophenyl) Carbonate CAS RN: 59483-84-0</p> | <p>C1481 5g 25g</p>  <p>Bis(4-nitrophenyl) Carbonate CAS RN: 5070-13-3</p> | <p>P2188 200mg 1g</p>  <p>Pentafluorophenyl Triflate CAS RN: 60129-85-3</p> |
| <p>T0681 5g 25g</p>  <p>4-Nitrophenyl Trifluoroacetate CAS RN: 658-78-6</p> | <p>C0178 25g 100g 500g</p>  <p>IBCF CAS RN: 543-27-1</p> | <p>D1672 5g 25g 250g</p>  <p>DPPA CAS RN: 26386-88-9</p> | <p>D0254 25g 250g</p>  <p>DIC CAS RN: 693-13-0</p> | <p>D0436 25g 400g</p>  <p>DCC CAS RN: 538-75-0</p> |
| <p>碳二亚胺 Carbodiimides</p> | | | <p>D0437 100mL</p>  <p>DCC (25% in Pyridine, ca. 1.2mol/L) CAS RN: 538-75-0</p> | |

| | | | | |
|---|--|---|---|--|
| <p>D5347 5mL 25mL</p>  <p><i>N,N'</i>-Di-<i>tert</i>-butylcarbodiimide CAS RN: 691-24-7</p> | <p>B2771 1g</p>  <p>BDDC CAS RN: 159390-26-8</p> | <p>D4029 5g 25g 100g</p>  <p>EDC CAS RN: 1892-57-5</p> | <p>D1601 5g 25g 100g 250g</p>  <p>EDC·HCl CAS RN: 25952-53-8</p> | <p>C0793 5g 25g</p>  <p>CMC CAS RN: 2491-17-0</p> |
| <p>羰基二咪唑类 Carbonyldiimidazoles</p> | | | | |
| <p>C0119 5g 25g 250g</p>  <p>CDI CAS RN: 530-62-1</p> | <p>C2325 5g 25g</p>  <p>CDT CAS RN: 41864-22-6</p> | <p>O0200 1g 5g</p>  <p>1,1'-Oxalyldiimidazole CAS RN: 18637-83-7</p> | | |
| <p>光气衍生物 Phosgene Derivatives</p> | | | | |
| <p>T1467 25g 250g</p>  <p>Triphosgene CAS RN: 32315-10-9</p> | <p>D1662 5g 25g</p>  <p>DSC CAS RN: 74124-79-1</p> | <p>B3604 5g</p>  <p>Bis(pentafluorophenyl) Carbonate CAS RN: 59483-84-0</p> | | |
| <p>C1481 5g 25g</p>  <p>Bis(4-nitrophenyl) Carbonate CAS RN: 5070-13-3</p> | <p>C1407 1g 5g</p>  <p>Di-2-pyridyl Carbonate CAS RN: 1659-31-0</p> | <p>T1320 25g 100g</p>  <p>Thiophosgene CAS RN: 463-71-8</p> | <p>T1906 1g</p>  <p><i>O,O'</i>-Di-2-pyridyl Thiocarbonate CAS RN: 96989-50-3</p> | |
| <p>磷盐，脲盐，甲脒盐 Phosphonium Salts, Uronium Salts, Formamidinium Salts</p> | | | | |
| <p>C3461 5g 25g</p>  <p>TPTDP CAS RN: 893413-42-8</p> | <p>B3838 1g 5g</p>  <p>BroP CAS RN: 50296-37-2</p> | <p>C2551 5g 25g</p>  <p>PyClop CAS RN: 133894-48-1</p> | <p>B2680 5g 25g</p>  <p>Bromotripyrrolidinophosphonium Hexafluorophosphate CAS RN: 132705-51-2</p> | <p>B1657 5g 25g 100g</p>  <p>HBTU CAS RN: 94790-37-1</p> |
| <p>B1658 5g 25g</p>  <p>TBTU CAS RN: 125700-67-6</p> | <p>A1797 5g 25g</p>  <p>HATU CAS RN: 148893-10-1</p> | <p>A1861 1g 5g</p>  <p>TATU CAS RN: 873798-09-5</p> | <p>C1926 5g 25g</p>  <p>TCTU CAS RN: 330641-16-2</p> | <p>C1988 1g 5g</p>  <p>HCTU CAS RN: 330645-87-9</p> |
| <p>D3263 5g 25g</p>  <p>TDBTU CAS RN: 125700-69-8</p> | <p>B4805 1g 5g</p>  <p>HBPpyU CAS RN: 105379-24-6</p> | <p>B3816 1g 5g</p>  <p>HBPipU CAS RN: 206752-41-2</p> | <p>E0916 1g 5g</p>  <p>TOTU CAS RN: 136849-72-4</p> | <p>E1306 1g 5g</p>  <p>HOTU CAS RN: 333717-40-1</p> |

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|---|--|--|--|--|
| O0390 1g 5g TPTU CAS RN: 125700-71-2 | N0634 5g 25g TNTU CAS RN: 125700-73-4 | T2224 1g 5g TSTU CAS RN: 105832-38-0 | T2929 5g 25g HSTU CAS RN: 265651-18-1 | B3817 1g 5g HSPyU CAS RN: 207683-26-9 |
| T3569 5g 25g TOTT CAS RN: 255825-38-8 | T2821 5g HOTT CAS RN: 212333-72-7 | F0726 1g 5g TFFH CAS RN: 164298-23-1 | C1957 1g 5g TCFH CAS RN: 94790-35-9 | C1379 5g 25g PyCIU CAS RN: 135540-11-3 |
| C1408 5g 25g DMC CAS RN: 37091-73-9 | C1639 25g DMC (ca. 25% in Dichloromethane) CAS RN: 37091-73-9 | C1651 5g 25g CIP CAS RN: 101385-69-7 | C3444 1g 5g CIB CAS RN: 153433-26-2 | |
| 缩合有机催化剂 Condensation Organocatalysts | | | | |
| | D3683 1g 5g 25g DPAT CAS RN: 164411-06-7 | T1122 25g CPTS CAS RN: 59229-09-3 | P0941 5g 25g Pyridinium 3-Nitrobenzenesulfonate CAS RN: 84752-61-4 | |
| P1626 1g 5g 25g PFPAT CAS RN: 912823-79-1 | D3293 1g 5g Dimesitylammonium Pentafluorobenzenesulfonate CAS RN: 850629-65-1 | B2291 100mg 1g α, α -Bis(trifluoromethanesulfonyl)- 2,3,4,5,6-pentafluorotoluene CAS RN: 405074-81-9 | B2292 100mg Bis(trifluoromethanesulfonyl)methyltetrafluorophenyl Polystyrene Resin cross-linked with 2% DVB (200- 400mesh) (0.9-1.2mmol/g) | |
| B1886 1g 5g 25g 3,5-Bis(trifluoromethyl)- phenylboronic Acid CAS RN: 73852-19-4 | B3022 1g 5g 2,4-Bis(trifluoromethyl)- phenylboronic Acid CAS RN: 153254-09-2 | T1929 1g 5g 2,4,6-Tris(3,4,5- trifluorophenyl)boroxin CAS RN: 223440-94-6 | B3927 200mg 1g 2,6-Bis((2,2,6,6-tetramethyl- 1-piperidinyl)methyl)- phenylboronic Acid CAS RN: 1243264-54-1 | T2908 1g 2-((2,2,6,6-Tetramethyl-1-piperidinyl)- methyl)phenylboronic Acid CAS RN: 815581-79-4 |
| D3962 1g 5g 1,4-Dimethyl- 1,2,4-triazolium Iodide CAS RN: 120317-69-3 | D3982 100mg 6,7-Dihydro-2-mesityl- 5H-pyrrolo[2,1-c]-1,2,4- triazolium Perchlorate CAS RN: 1334529-08-6 | | | |
| 其它 Others | | | | |
| B0887 25g 500g 1,4-Benzoquinone CAS RN: 106-51-4 | D2234 1g 5g 25g DMBQ CAS RN: 527-61-7 | D2256 5g 25g 2,6-Di-tert-butyl-p-quinone CAS RN: 719-22-2 | | |

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|--|---|---|---|---|
| T0790 1g 5g  Fluoranil CAS RN: 527-21-9 | D1393 5g 25g  Acid Captor H CAS RN: 5439-14-5 | M0670 25g  Acid Captor 9M CAS RN: 61751-44-8 | T0340 25g 100g 500g  1,2,4-Triazole CAS RN: 288-88-0 | T1017 5g 25g  1H-Tetrazole CAS RN: 288-94-8 |
| T1410 5g 25g  1-(2,4,6-Triisopropylbenzenesulfonyl)imidazole CAS RN: 50257-40-4 | T2951 1g 5g  1-(2,4,6-Triisopropylbenzenesulfonyl)-1,2,4-triazole CAS RN: 54230-60-3 | D1450 25g 100g 500g  DMAP CAS RN: 1122-58-3 | D2919 5g 25g  DMTMM CAS RN: 3945-69-5 | C2421 5g  1-(Cyanomethyl)piperidinium Tetrafluoroborate CAS RN: 434937-12-9 |
| M1452 1g  3-Methyl-2-oxoimidazolidin-1-ylmethyl Polystyrene Resin cross-linked with 1% DVB | C1500 1g 5g 25g  Tsunoda Reagent CAS RN: 157141-27-0 | C0597 25g 100g 500g  Chlorodiphenylphosphine CAS RN: 1079-66-9 | I0865 1g  Iodosodilactone CAS RN: 2902-68-3 | T0588 25g 100g 500g  TMOS CAS RN: 681-84-5 |
| D2038 5g 25g  DBOP CAS RN: 111160-56-6 | T3010 1g  Tris(2,2,2-trifluoroethyl) Borate CAS RN: 659-18-7 | | | |

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