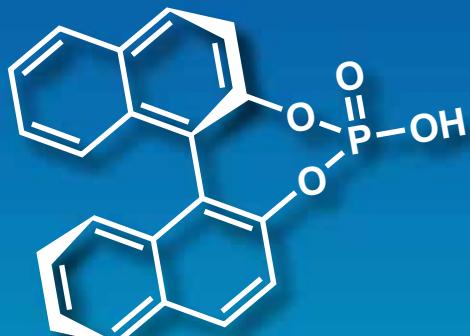
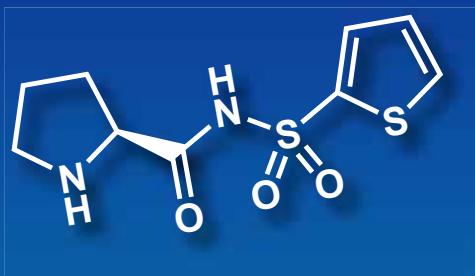


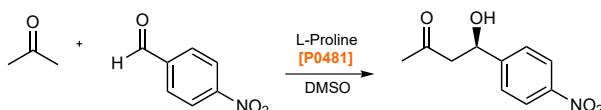
不对称有机催化剂

Asymmetric Organocatalysts

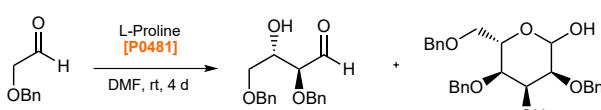


不对称有机催化剂

不对称有机催化是一种强大的合成工具，它的出现弥补了金属催化反应的不足。1970年代，Eder等以及Hajos等分别报道了L-脯氨酸[P0481]催化的分子内不对称Aldol反应^{1),2)}，从而开创了这个领域的先河。不过该反应在当时被认为是个特例。之后在2000年，List等也报道了L-脯氨酸催化的分子内不对称Aldol反应³⁾。同一年，MacMillan等记录了首个高对映选择性胺催化Diels-Alder反应⁴⁾。这些报道引起了广泛的关注，对不对称催化剂领域的研究自此大量展开⁵⁾。

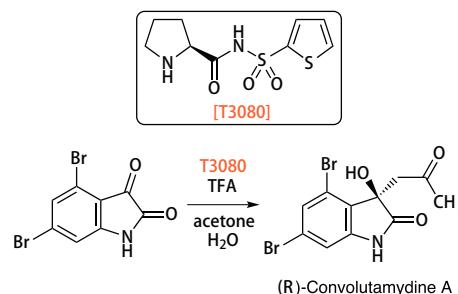


随后，MacMillan将L-脯氨酸催化反应用于糖类的合成，从而证明了这类反应的重要性⁶⁾。Córdova等则报道了在脯氨酸的催化作用下，受保护的羟基乙醛一步转化为己糖的不对称反应⁷⁾。

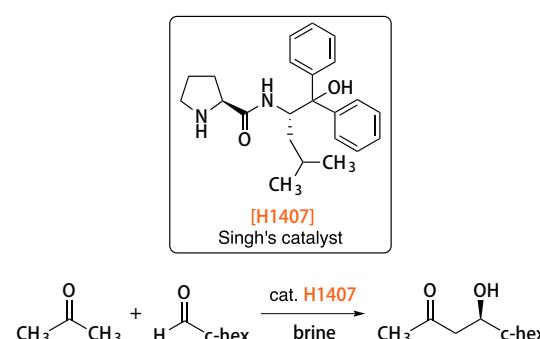


与传统的过渡金属络合物催化剂相比，不对称有机催化剂的优点包括操作简便，易得并且低毒，这对药物生产有直接的好处，对绿色化学也有贡献。

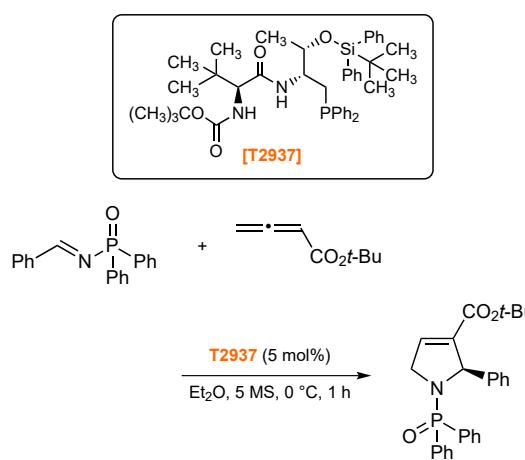
在不对称有机催化最初的巨大进展中，脯氨酸及其类似物发挥了主要的作用。通常，酮类作为受体的有机催化Aldol反应中，催化剂用量高，而该领域近期的发展则降低了催化剂的用量。Nakamura等报道了(R)-Convolutamydine的对映选择性合成，使用了5 mol% 的新型N-杂环芳基-磺酰基脯氨酸[T3080]⁸⁾。该反应中，催化剂的用量可以降低到0.5 mol%，即可保留对映选择性，尽管反应需要更长时才能完成。



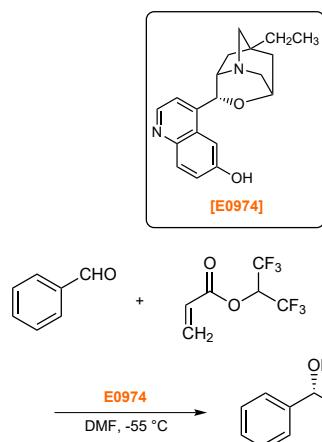
Singh等基于脯氨酸开发出一类新的有机催化剂，并将其用于不对称直接Aldol反应，得到了优异的对映选择性(>99% ee)。该反应对于多种酮和醛都适用，且催化剂[H1407]用量仅为0.5 mol%⁹⁾。



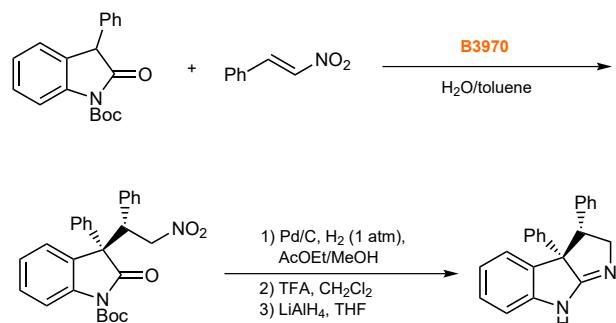
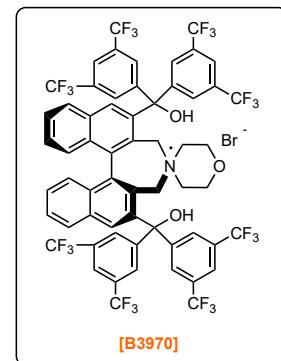
由于这类有机催化剂的简单易得性和多样性等诸多优点，利用其它氨基酸作为有机催化剂的不对称反应也得到了广泛的研究。近来，Lu的团队尝试基于氨基酸结构获取大量的新型多功能有机催化剂¹⁰⁾。二肽衍生的膦化合物[T2937]作为一种新的催化剂，已被证明可以促进丙二烯与丙烯酸酯或丙烯酰胺之间的对映选择性[3+2]环加成反应。



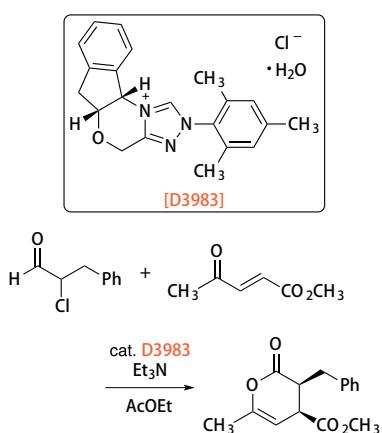
金鸡纳碱及其衍生物广泛用于金属催化的手性配体或作为有机催化剂，其与OsO₄形成金鸡纳碱复合物可用于Sharpless不对称双羟基化反应¹¹⁾。其奎宁环上的叔胺可以成为Brønsted碱催化，Lewis碱催化，以及亲核催化的活性中心。奎宁环上的氮经烷基化后，得到的铵盐则可以用作相转移催化剂，即另一类有机催化。Hatakeyama, Ishihara等开发出了α-异铜色树碱(a-ICPN)[E0974]，β-6'-羟基异辛可宁[I0728]的一种伪对映体，并将其应用于Morita-Baylis-Hillman (MBH)反应¹²⁾。



20世纪80年代，N-苄基辛可宁卤化物作为手性相转移催化剂被用于氨基酸的不对称合成以来¹³⁾，大量由金鸡纳碱衍生的手性相转移催化剂被开发了出来¹⁴⁾。90年代末期，出现了一种设计手性相转移催化剂的全新角度即基于C₂-对称手性联萘骨架¹⁵⁾。对于简化催化剂持续不断的努力，可以在更加温和条件下获得优秀对映选择性的新型催化剂也被开发出来。Maruoka等报道了一种3-氧化羟吲哚对Michael受体的对映选择性共轭加成，该反应使用了一种新开发出的吗啉衍生物手性相转移催化剂[B3970]，不需要加碱，在中性条件下、富含水的溶剂中即可进行¹⁶⁾。

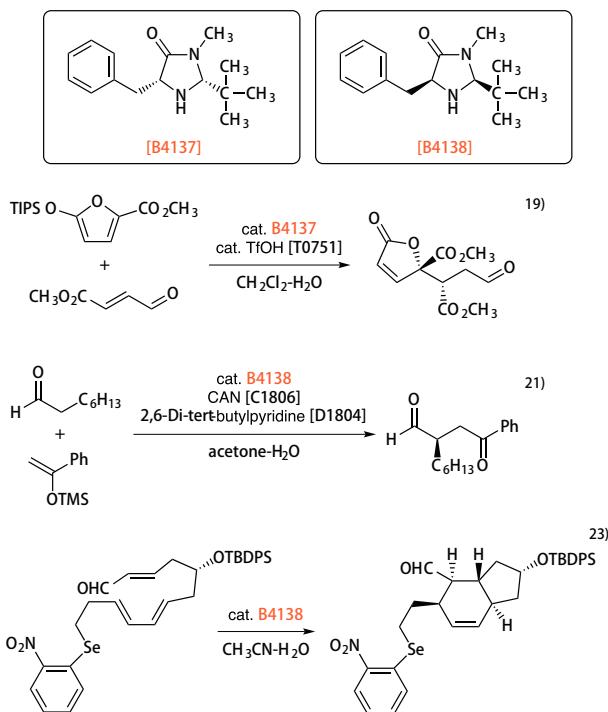


亲核卡宾是一类新兴的不对称有机催化剂。自从首个不对称分子内Stetter反应被Enders等报道后，出现了很多通过N-杂环卡宾(NHC)催化剂介导醛的极性转换实现不对称C-C键形成的报道¹⁷⁾。Bode等利用一种原位生成的NHC催化剂[D3983]，高度对映选择性催化Diels-Alder反应制备出二氢吡啶酮¹⁸⁾。

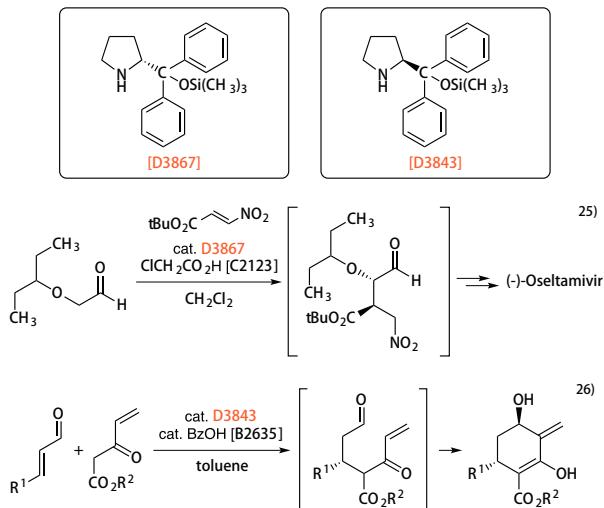


咪唑烷酮衍生物[B4137] [B4138]是由MacMillan等开发的不对称有机催化剂，使用B4137和B4138的多种类型的不对称反应已有报道，例如Mukaiyama-Michael加成¹⁹⁾，α,β-不饱和醛的环氧化²⁰⁾，醛的1,3-加成²¹⁾和Diels-Alder反应²²⁾。在所有情况下均能以高收率和高选择性得到目标产物。该反应常用于天然产物的全合成，也可用于构建复杂的稠环结构（如在

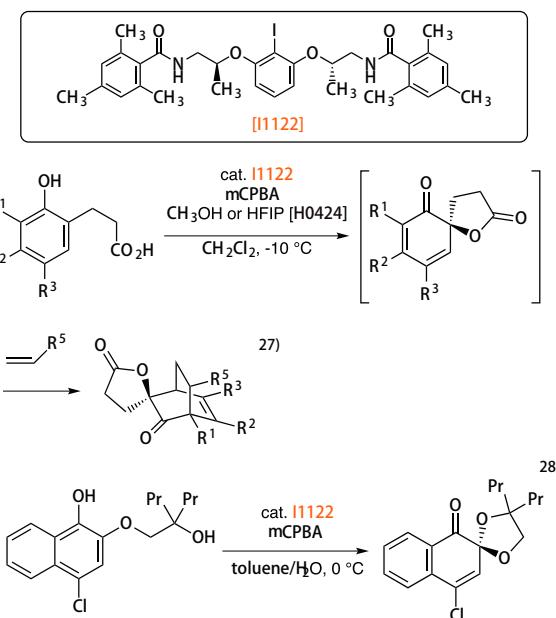
多杀菌素中发现的²³⁾。因此，B4137和B4138是不对称反应的有力工具，其在新型不对称反应中的应用值得期待。



D3867和D3843这两种脯氨酸衍生物被称为Hayashi-Jørgensen催化剂，可用于多种类型的不对称反应。例如，Hayashi等报道了硝基烯烃和醛的不对称Michael加成，得到了具有高对映选择性和非对映选择性的syn加成物²⁵⁾。此外，以该反应作为关键前期步骤，缩短了抗流感药磷酸奥司他韦的合成流程²⁵⁾。此外，Jørgensen的团队还报道了串联型Michael/分子内Morita-Baylis-Hillman反应，得到了环己酮衍生物²⁶⁾。



Ishihara的团队开发了一种用于对映选择性螺内酯化的新型碘代芳烃催化剂[I1122]²⁷⁾。得到的螺内酯具有二烯结构，因此能与烯烃通过Diels-Alder反应一锅法合成具有光学活性的稠环化合物。此外，I1122还能用于构建不对称环缩醛²⁸⁾。



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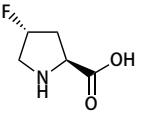
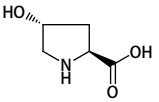
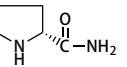
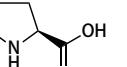
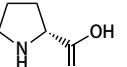
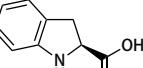
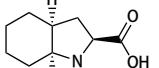
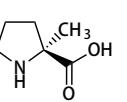
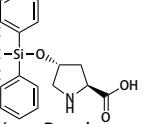
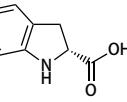
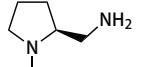
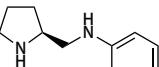
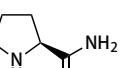
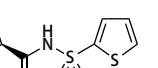
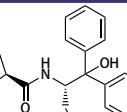
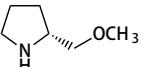
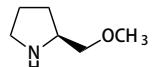
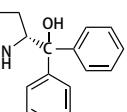
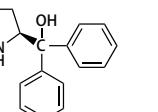
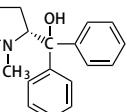
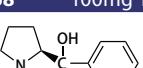
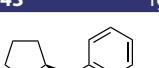
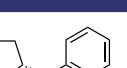
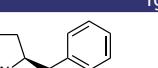
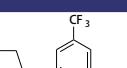
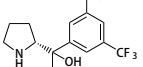
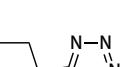
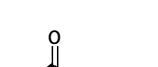
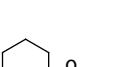
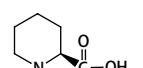
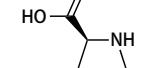
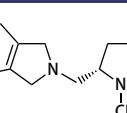
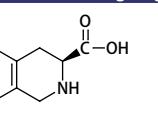
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产品列表

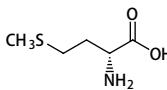
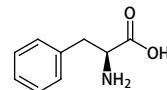
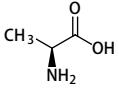
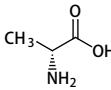
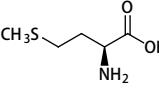
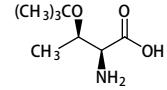
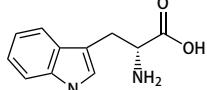
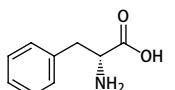
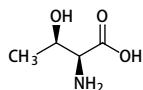
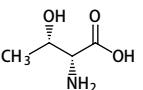
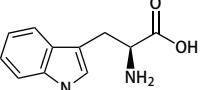
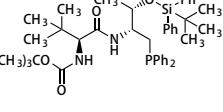
以下产品基于结构列出

脯氨酸, 脯氨酸类似物	7
氨基酸	8
金鸡纳碱	8
手性咪唑烷酮	9
手性恶唑硼烷	9
手性异硫脲	9
手性二醇	9
手性磷酸	10
手性磺酸	10
手性胺	10
手性铵盐	10
手性N-杂环卡宾 (NHC)	10
其它	11

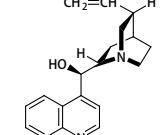
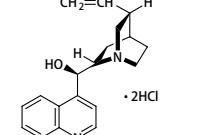
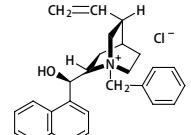
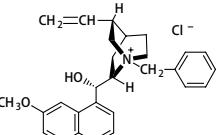
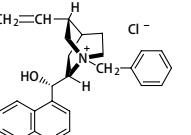
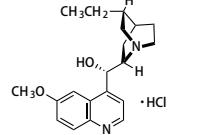
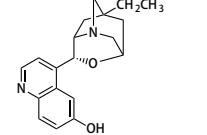
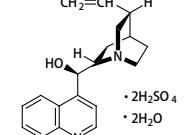
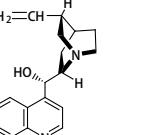
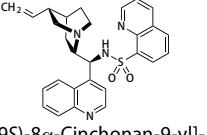
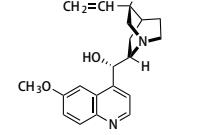
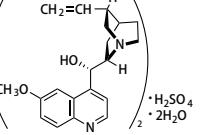
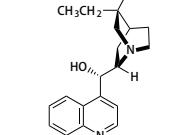
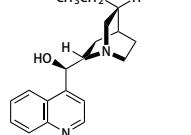
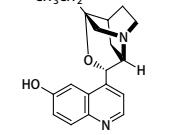
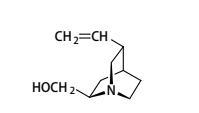
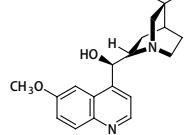
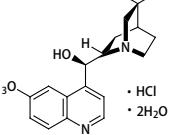
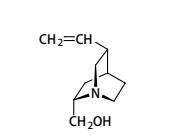
**脯氨酸，
脯氨酸类似物**
**Prolines,
Proline Analogs**

F0818 50mg  <i>trans</i> -4-Fluoro-L-proline CAS RN: 2507-61-1	H0296 5g 25g 100g  <i>trans</i> -4-Hydroxy-L-proline CAS RN: 51-35-4	P2083 1g 5g  D-Prolinamide CAS RN: 62937-45-5	P0481 25g 250g  L-Proline CAS RN: 147-85-3	P0994 5g 25g  D-Proline CAS RN: 344-25-2
I0395 1g 5g  (S)-(-)-Indoline-2-carboxylic Acid CAS RN: 79815-20-6	O0370 1g 5g  (2 <i>S</i> ,3a <i>S</i> ,7a <i>S</i>)-Octahydro-1 <i>H</i> -indole-2-carboxylic Acid CAS RN: 80875-98-5	M2077 1g 5g  α-Methyl-L-proline CAS RN: 42856-71-3	B3440 1g 5g  <i>trans</i> -4-(<i>tert</i> -Butyl-diphenylsilyloxy)-L-proline CAS RN: 259212-61-8	I0589 1g  (R)-(-)-Indoline-2-carboxylic Acid CAS RN: 98167-06-7
A1301 1g 5g  (S)-(-)-2-Aminomethyl-1-ethyl-pyrrolidine CAS RN: 22795-99-9	A0945 1g 5g  (S)-(+)-2-(Anilinomethyl)-pyrrolidine CAS RN: 64030-44-0	P1382 1g 5g 25g  L-Prolinamide CAS RN: 7531-52-4	T3080 100mg  <i>N</i> -(2-Thiophenesulfonyl)-L-prolinamide CAS RN: 1089663-51-3	H1407 200mg 1g  Singh's Catalyst CAS RN: 910110-45-1
M1169 1g 5g  (R)-2-(Methoxymethyl)-pyrrolidine CAS RN: 84025-81-0	M1161 1g 5g  (S)-2-(Methoxymethyl)-pyrrolidine CAS RN: 63126-47-6	D2365 1g 5g  (R)-(+)- α,α -Diphenyl-2-pyrrolidinemethanol CAS RN: 22348-32-9	D2735 1g 5g  (S)-(-)- α,α -Diphenyl-2-pyrrolidinemethanol CAS RN: 112068-01-6	H0784 100mg 1g 5g  (R)-(-)-2-[Hydroxy(diphenyl)-methyl]-1-methylpyrrolidine CAS RN: 144119-12-0
H0768 100mg 1g 5g  (S)-(-)-2-[Hydroxy(diphenyl)-methyl]-1-methylpyrrolidine CAS RN: 110529-22-1	D3843 1g 5g  (S)-Hayashi-Jorgensen Catalyst CAS RN: 848821-58-9	D3867 1g 5g  (R)-Hayashi-Jorgensen Catalyst CAS RN: 943757-71-9	D3804 1g  (S)-(-)-2-(Diphenylmethyl)-pyrrolidine CAS RN: 119237-64-8	B5701 1g  (S)- α,α -Bis[3,5-bis(trifluoromethyl)-phenyl]-2-pyrrolidinemethanol CAS RN: 848821-76-1
B5882 1g 5g  (R)-Bis[3,5-bis(trifluoromethyl)-phenyl](pyrrolidin-2-yl)methanol CAS RN: 948595-00-4	D3185 100mg  (2 <i>R</i> ,5 <i>R</i>)-2,5-Diphenylpyrrolidine CAS RN: 155155-73-0	P1784 100mg 500mg  (S)-5-(Pyrrolidin-2-yl)-1 <i>H</i> -tetrazole CAS RN: 33878-70-5	A1043 100mg 1g  L-Azetidine-2-carboxylic Acid CAS RN: 2133-34-8	P1830 5g 25g  D-Pipeolic Acid CAS RN: 1723-00-8
P1404 1g 5g  L-Pipeolic Acid CAS RN: 3105-95-1	T0219 25g 500g  L-Thioproline CAS RN: 34592-47-7	M1995 1g 5g  (S)-2-[(1-Methyl-2-pyrrolidinyl)methyl]isoindoline Dihydrochloride	T1515 5g 25g  (S)-1,2,3,4-Tetrahydroisoquinoline-3-carboxylic Acid CAS RN: 74163-81-8	

氨基酸 Amino Acids

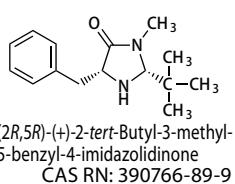
M0102 1g 5g 25g	P0134 25g 250g	A0179 25g 250g	A0177 5g 25g	M0099 25g 100g 500g
 D-Methionine CAS RN: 348-67-4	 L-Phenylalanine CAS RN: 63-91-2	 L-Alanine CAS RN: 56-41-7	 D-Alanine CAS RN: 338-69-2	 L-Methionine CAS RN: 63-68-3
B3398 1g 5g	T0539 5g 25g	P0135 5g 25g	T0230 25g 100g 500g	T0228 25g 100g 500g
 O-tert-Butyl-L-threonine CAS RN: 4378-13-6	 D-Tryptophan CAS RN: 153-94-6	 D-Phenylalanine CAS RN: 673-06-3	 L-(+)-Threonine CAS RN: 72-19-5	 D-(+)-Threonine CAS RN: 632-20-2
T0541 25g 100g	T2937 100mg			
 L-Tryptophan CAS RN: 73-22-3	 O-TBDPS-D-Thr-N-Boc-L-tert-Leu-Diphenylphosphine CAS RN: 1264520-63-9			

金鸡纳碱 Cinchona Alkaloids

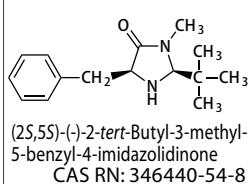
C0347 25g 100g	C0348 25g	B1683 10g	B1684 5g	B1689 5g
 Cinchonidine CAS RN: 485-71-2	 Cinchonidine Dihydrochloride CAS RN: 24302-67-8	 N-Benzylcinchonidinium Chloride CAS RN: 69257-04-1	 N-Benzylquinidinium Chloride CAS RN: 77481-82-4	 N-Benzylcinchoninium Chloride CAS RN: 69221-14-3
H0752 25g 250g	E0974 100mg	C0349 25g 500g	C0350 25g 200g	C2728 100mg
 Hydroquinidine Hydrochloride CAS RN: 1476-98-8	 α-Isocupreine CAS RN: 1476067-44-3	 Cinchonidine Sulfate Dihydrate CAS RN: 524-61-8	 Cinchonine CAS RN: 118-10-5	 N-[9S]-8α-Cinchonan-9-yl]-quinoline-8-sulfonamide CAS RN: 1440939-88-7
Q0006 5g 25g	Q0010 5g 25g	H1701 1g 5g	H1702 1g 5g	I0728 1g
 Quinidine CAS RN: 56-54-2	 Quinidine Sulfate Dihydrate CAS RN: 6591-63-5	 Hydrocinchonine CAS RN: 485-65-4	 Hydrocinchonidine CAS RN: 485-64-3	 β-Isocupreidine CAS RN: 253430-48-7
Q0076 100mg 1g		Q0028 25g 100g	Q0030 25g	Q0074 100mg 1g
 Quincordine CAS RN: 207129-36-0		 Quinine CAS RN: 130-95-0	 Quinine Hydrochloride Dihydrate CAS RN: 6119-47-7	 Quincorine CAS RN: 207129-35-9

手性咪唑烷酮 Chiral Imidazolidinones

B4137 200mg 1g

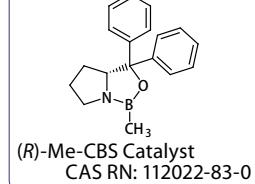


B4138 200mg 1g

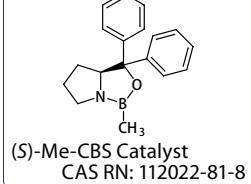


手性恶唑硼烷 Chiral Oxazaborolidines

D2130 1g 5g

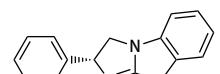


D2131 1g 5g

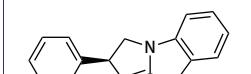


手性异硫脲 Chiral Isothioureas

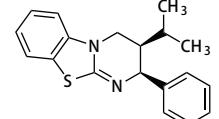
B3296 200mg 1g 5g



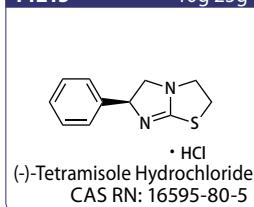
B3549 1g



D4808 50mg 200mg

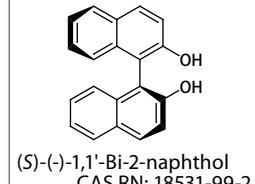


T1215 10g 25g

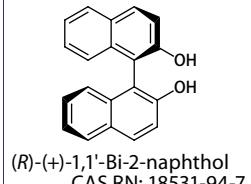


手性二醇 Chiral Diols

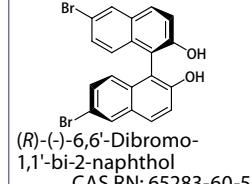
B1100 1g 5g 25g



B1142 1g 5g 25g



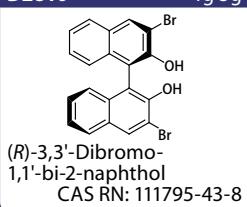
D2729 1g



D2730 1g 5g



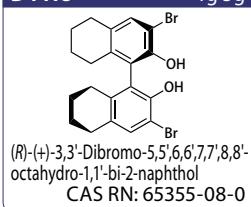
D2810 1g 5g



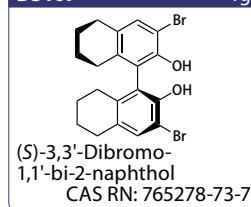
D2811 1g 5g



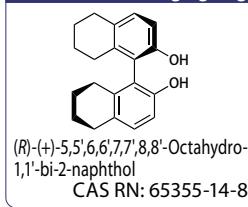
D4418 1g 5g



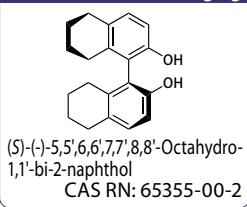
D5107 1g



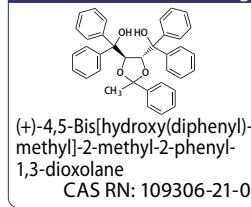
O0282 1g 5g 25g



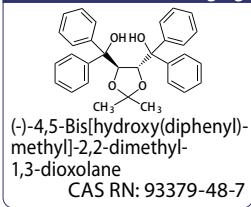
O0283 1g 5g



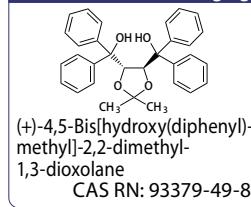
B1615 1g



B1614 1g 5g



B2048 1g 5g

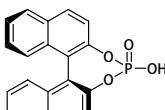


手性磷酸

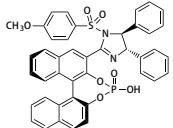
Chiral Phosphoric Acids

B1144

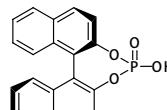
100mg 1g

(S)-(+)-1,1'-Binaphthyl-2,2'-diyl Hydrogen Phosphate
CAS RN: 35193-64-7**M2765**

50mg

(S)-3-[1-(4-Methoxybenzenesulfonyl)-(4S,5S)-4,5-diphenyl-4,5-dihydro-1H-imidazol-2-yl]-1,1'-binaphthalene-2,2'-diyl Hydrogen Phosphate
CAS RN: 1621994-95-3**B1143**

100mg 1g 5g

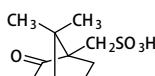
(R)-(-)-1,1'-Binaphthyl-2,2'-diyl Hydrogen Phosphate
CAS RN: 39648-67-4

手性磺酸

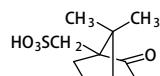
Chiral Sulfonic Acids

C0015

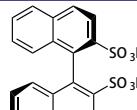
25g 100g 500g

**C0972**

25g 100g 500g

**D4445**

100mg

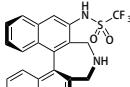
Dipotassium (R)-1,1'-Binaphthyl-2,2'-disulfonate
CAS RN: 1092934-19-4

手性胺

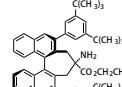
Chiral Amines

D4663

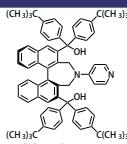
20mg

**E1267**

50mg

**P2380**

50mg

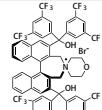
(S)-[4-(Pyridin-4-yl)-4,5-dihydro-3H-dinaphtho[2,1-c:1',2'-e]azepine-2,6-diyl]bis[bis[4-(tert-butyl)phenyl]methanol]
CAS RN: 1883396-49-3

手性铵盐

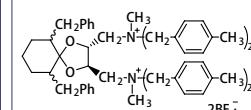
Chiral Ammonium Salts

B3970

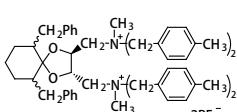
50mg

**D3475**

200mg 1g

(R,R)-TaDiAS-2nd
CAS RN: 2010983-27-2**D3476**

200mg 1g

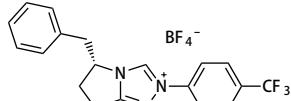
(S,S)-TaDiAS-2nd
CAS RN: 2135524-59-1

手性N-杂环卡宾 (NHC)

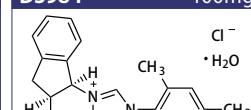
Chiral N-Heterocyclic Carbenes (NHC)

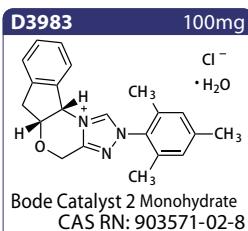
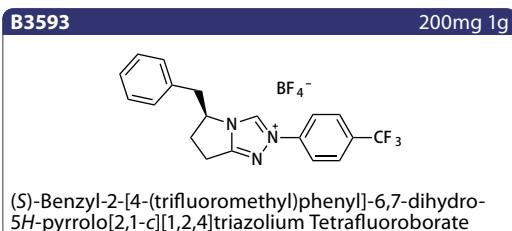
B3592

200mg 1g

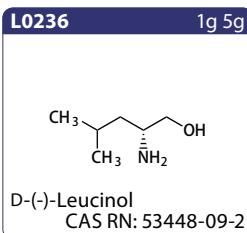
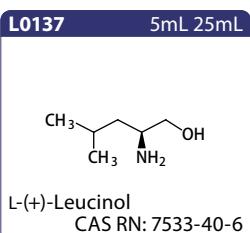
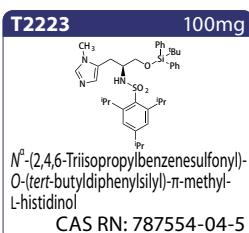
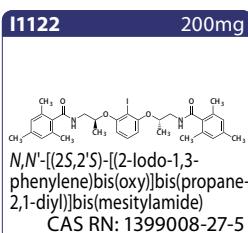
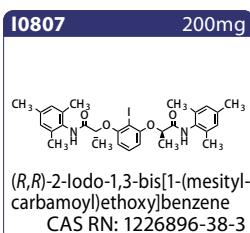
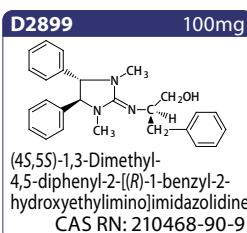
**D3984**

100mg

Bode Catalyst 1 Monohydrate
CAS RN: 919102-70-8



其它 Others





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