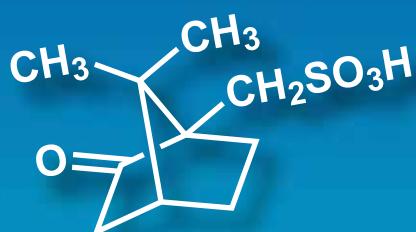
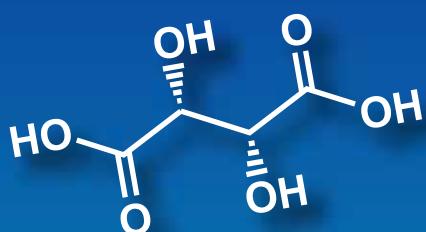
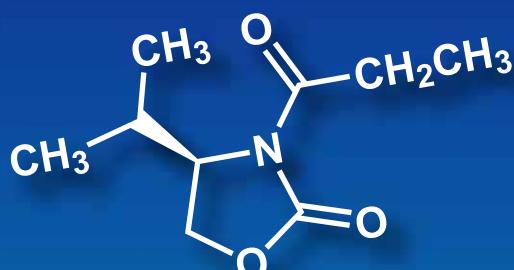


手性助剂和光学拆分剂

Chiral Auxiliaries and Optical Resolving Agents



手性助剂

光学拆分剂

手性助剂和光学拆分剂

大多数生物活性物质都具有旋光性。例如，如果合成外消旋化合物，那么它的对映体可能没有活性，甚至具有不想要的生物活性。因此，获得高光学纯化合物的方法已经被开发出来。合成对映体纯化合物的方法大致分为三种。

手性池法：

用一种容易获得的手性化合物（如氨基酸或糖）作为起始原料的方法。

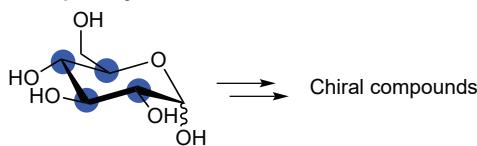
不对称合成：

把不对称点引入到没有不对称点的化合物的方法。这里包括使用非手性助剂参与的合成。

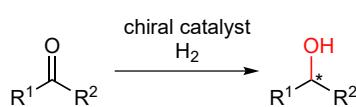
光学拆分：

把一个外消旋化合物拆分为两个对映体的方法。例如直接用手性柱进行分离，或利用光学拆分剂与外消旋化合物形成非对映异构体从而将两个对映体分离的间接方法。

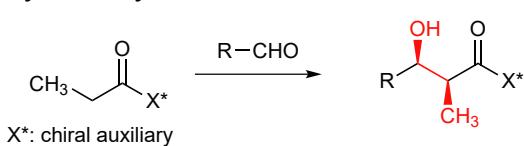
Chiral pool synthesis



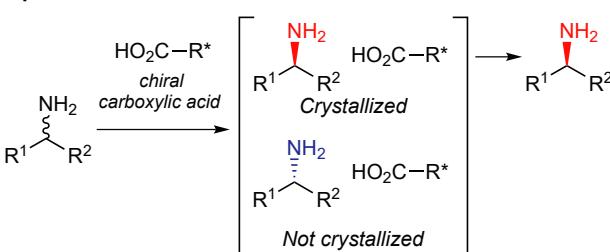
Asymmetric synthesis



Asymmetric synthesis with chiral auxiliaries



Optical resolution

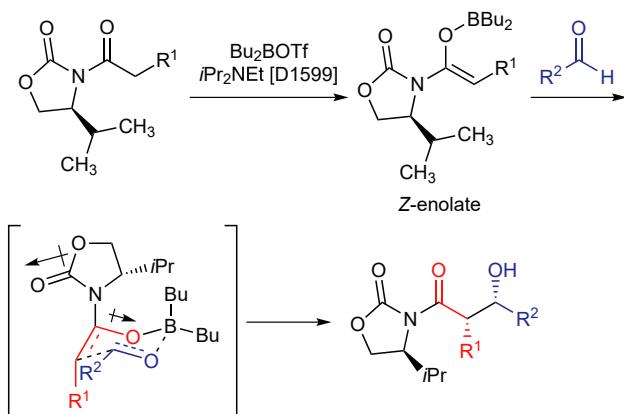


本手册介绍了种类丰富的手性助剂和手性拆分剂。希望对您的光学活性化合物的合成研究有所帮助。此外，TCI还有一些手册介绍了“手性砌块”，“萜烯”，“氨基酸”等用于手性池方法的手性化合物。糖衍生物也在目录“糖化学和生物试剂”以及糖链的分类页面有所介绍。此外，TCI有多种不对称合成催化剂，并收录在“不对称合成”、“不对称有机催化剂”等手册中。

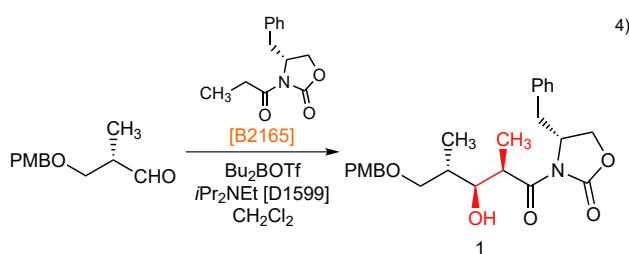
您可以通过“不对称合成”作为关键词搜索相关产品信息。

● 与手性助剂的反应

Evans羟醛反应²⁾是最著名的应用手性助剂¹⁾的人名反应之一，这个反应非常有用，因为这个反应可以高效地将两个不对称碳引入链状化合物中，而且可以预测产物的立体化学。此外，*N*-酰基噁唑烷酮已商品化，可以用氨基酸衍生物制备，手性助剂通过水解或转化为Weinreb酰胺去除，因此使用方便。此外，所有的非对映体都可以通过更换手性助剂和Lewis酸来合成³⁾。

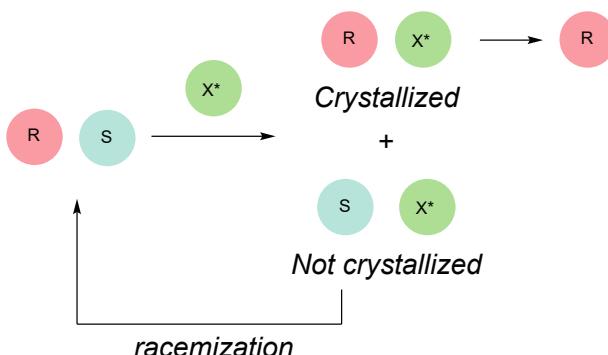


Evans羟醛反应适用于更大规模的反应。例如，Novartis的研究组将该反应用于大规模合成discodelmoride⁴⁾，并在30kg规模上实现了以下反应，得到了具有高非对映选择性和对映选择性的中间体1。



● 光学拆分

尽管光学拆分⁵⁾理论上具有以下缺点：一半的物质会丢失；需要对光学拆分试剂和分离试剂进行选择，但是至今仍然用于药品制造中。如果不想要的对映体可以（外）消旋化，就可以再次进行光学拆分，从而提高目标产物的回收率。



参考文献

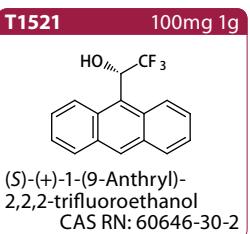
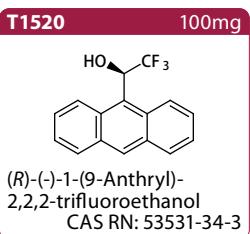
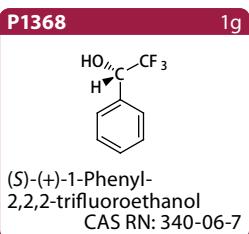
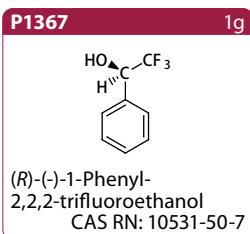
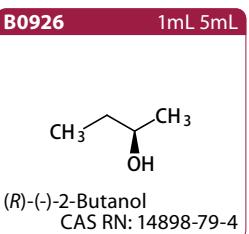
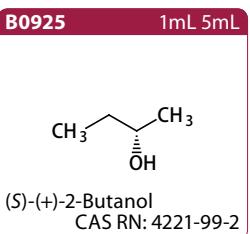
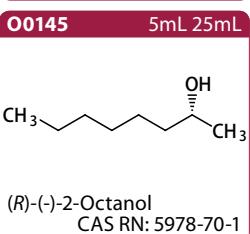
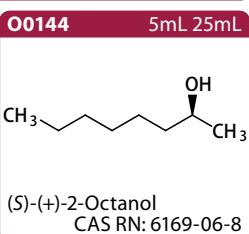
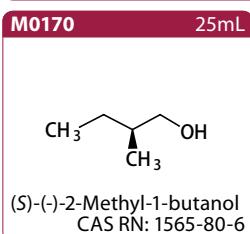
- 1) reviews of chiral auxiliaries:
 - W. Oppolzer, *Tetrahedron* **1987**, *43*, 1969.
 - H. Waldmann, *Synthesis* **1994**, 535.
 - V. Farina, J. T. Reeves, C. H. Senanayake, J. J. Song, *Chem. Rev.* **2006**, *106*, 2734.
 - X. Salom-Roig, C. Bauder, *Synthesis* **2020**, *52*, 535.
- 2) a) D. A. Evans, J. Bartroli, T. L. Shih, *J. Am. Chem. Soc.* **1981**, *103*, 2127.
b) review: D. A. Evans, J. M. Takacs, L. R. McGee, M. D. Ennis, D. J. Mathre, J. Bartroli, *Pure Appl. Chem.* **1981**, *53*, 1109.
- 3) a) M. A. Walker, C. H. Heathcock, *J. Org. Chem.* **1991**, *56*, 5747.
b) M. T. Crimmins, B. W. King, E. A. Tabet, *J. Am. Chem. Soc.* **1997**, *119*, 7883.
c) D. A. Evans, J. S. Tedrow, J. T. Shaw, C. W. Downey, *J. Am. Chem. Soc.* **2002**, *124*, 392.
d) D. A. Evans, C. W. Downey, J. T. Shaw, J. S. Tedrow, *J. Am. Chem. Soc.* **2002**, *124*, 392.
- 4) S. J. Mickel, G. H. Sedelmeier, D. Niederer, R. Daeffler, A. Osmani, K. Schreiner, M. Seeger-Weibel, B. Bérod, K. Schaer, R. Gamboni, S. Chen, W. Chen, C. T. Jagoe, F. R. Kinder, M. Loo, K. Prasad, O. Repič, W.-C. Shieh, R.-M. Wang, L. Waykole, D. D. Xu, S. Xue, *Org. Proc. Res. Dev.* **2004**, *8*, 92.
- 5) reviews of optical resolution:
 - A. Collet, M. J. Brienne, J. Jacques, *Chem. Rev.* **1980**, *80*, 215.
 - E. Fogassy, M. Nógrádi, D. Kozma, G. Egri, E. Pálóvics, V. Kiss, *Org. Biomol. Chem.* **2006**, *4*, 3011.
 - F. Faigl, E. Fogassy, M. Nógrádi, E. Pálóvics, J. Schindler, *Tetrahedron Asymmetry* **2008**, *19*, 519.
 - S. H. Wilen, A. Collet, J. Jacques, *Tetrahedron* **1977**, *33*, 2725.

手性助剂 Chiral Auxiliaries

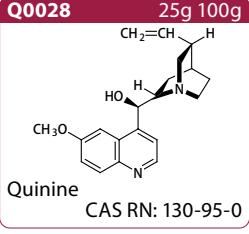
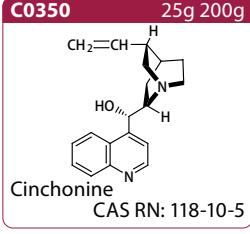
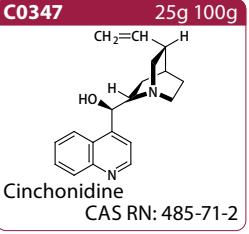
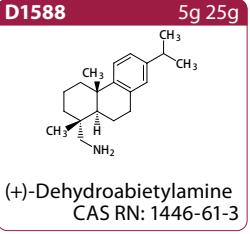
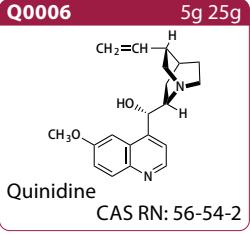
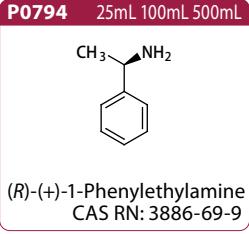
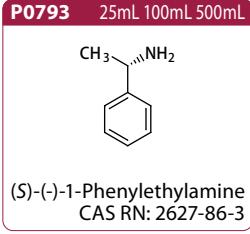
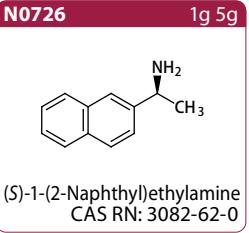
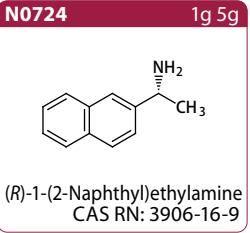
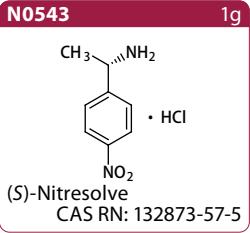
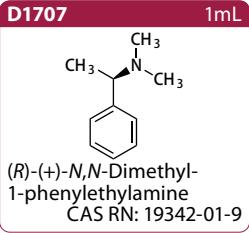
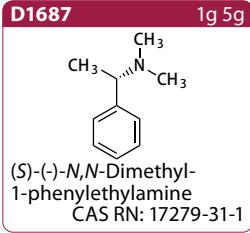
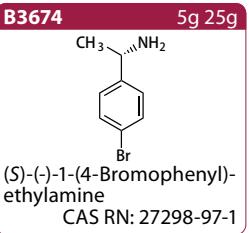
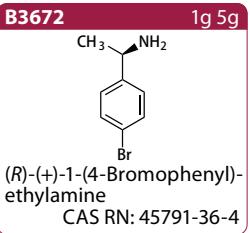
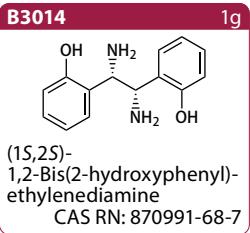
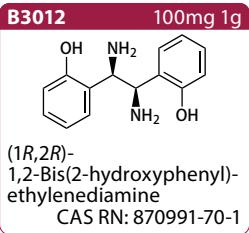
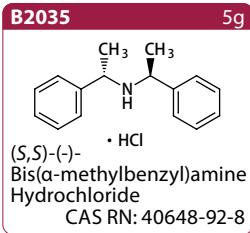
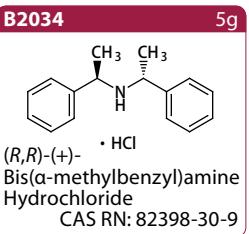
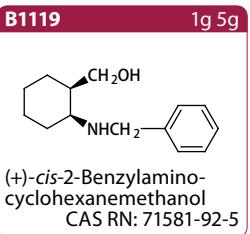
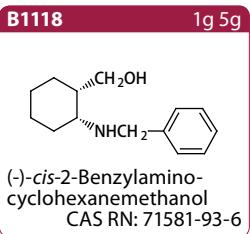
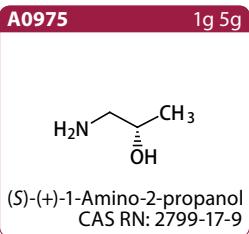
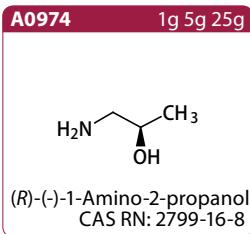
<h2 style="margin: 0;">手性助剂 Chiral Auxiliaries</h2>	<p>亚磺酰胺 Sulfonamides</p>	<p>B2907 1g 5g</p> <p>(R)-(+)-tert-Butylsulfonamide CAS RN: 196929-78-9</p>	<p>B2908 1g 5g</p> <p>(S)-(-)-tert-Butylsulfonamide CAS RN: 343338-28-3</p>	
<p>T2069 1g 5g</p> <p>(S)-(+)-p-Toluenesulfonamide CAS RN: 188447-91-8</p>	<p>T2814 200mg 1g</p> <p>(R)-(-)-p-Toluenesulfonamide CAS RN: 247089-85-6</p>	<p>B1755 1g 5g 25g</p> <p>(S)-(-)-3-Boc-4-methoxycarbonyl-2,2-dimethyl-1,3-oxazolidine CAS RN: 108149-60-6</p>	<p>B1759 1g 5g</p> <p>(S)-(-)-3-Boc-4-formyl-2,2-dimethyl-1,3-oxazolidine CAS RN: 102308-32-7</p>	
<p>B1754 5g 25g</p> <p>(S)-4-Benzyl-2-oxazolidinone CAS RN: 90719-32-7</p>	<p>B1786 5g 25g</p> <p>(R)-4-Benzyl-2-oxazolidinone CAS RN: 102029-44-7</p>	<p>A2095 1g 5g</p> <p>(S)-4-(4-Aminobenzyl)-2-oxazolidinone CAS RN: 152305-23-2</p>	<p>B2165 1g 5g 25g</p> <p>(R)-(-)-4-Benzyl-3-propionyl-2-oxazolidinone CAS RN: 131685-53-5</p>	<p>B2166 1g 5g</p> <p>(S)-(+)-4-Benzyl-3-propionyl-2-oxazolidinone CAS RN: 101711-78-8</p>
<p>I0451 1g 5g 25g</p> <p>(S)-4-Isopropyl-2-oxazolidinone CAS RN: 17016-83-0</p>	<p>I0572 1g 5g 25g</p> <p>(R)-4-Isopropyl-2-oxazolidinone CAS RN: 95530-58-8</p>	<p>I0573 1g 5g</p> <p>(S)-(-)-4-Isopropyl-3-propionyl-2-oxazolidinone CAS RN: 77877-19-1</p>	<p>I0594 1g 5g</p> <p>(R)-(-)-4-Isopropyl-3-propionyl-2-oxazolidinone CAS RN: 89028-40-0</p>	<p>I0575 1g</p> <p>(S)-4-Isopropylthiazolidine-2-thione CAS RN: 76186-04-4</p>
<p>I0761 1g 5g</p> <p>(4R)-(+)-4-Isopropyl-5,5-diphenyl-2-oxazolidinone CAS RN: 191090-32-1</p>	<p>I0762 1g 5g</p> <p>(4S)-(-)-4-Isopropyl-5,5-diphenyl-2-oxazolidinone CAS RN: 184346-45-0</p>	<p>P1307 5g 25g</p> <p>(R)-(-)-4-Phenyl-2-oxazolidinone CAS RN: 90319-52-1</p>	<p>P1308 5g 25g</p> <p>(S)-(+)-4-Phenyl-2-oxazolidinone CAS RN: 99395-88-7</p>	<p>P1959 1g</p> <p>(R)-4-Phenylthiazolidine-2-thione CAS RN: 110199-18-3</p>
<p>P1960 1g</p> <p>(S)-4-Phenylthiazolidine-2-thione CAS RN: 185137-29-5</p>	<p>氨基醇衍生物 Aminoalcohol Derivatives</p>	<p>B2103 1g 5g</p> <p>(1R,2S)-N-Benzyl-N-(mesitylenesulfonyl)-norephedrine CAS RN: 187324-63-6</p>	<p>B2104 1g 5g</p> <p>(1S,2R)-N-Benzyl-N-(mesitylenesulfonyl)-norephedrine CAS RN: 187324-64-7</p>	<p>A1534 1g</p> <p>(1R,2S)-N-Benzyl-N-(mesitylenesulfonyl)-O-acetyl norephedrine CAS RN: 240423-74-9</p>
<p>A1535 1g</p> <p>(1S,2R)-N-Benzyl-N-(mesitylenesulfonyl)-O-acetyl norephedrine CAS RN: 240423-53-4</p>	<p>P1371 1g</p> <p>(1R,2S)-N-Benzyl-N-(mesitylenesulfonyl)-O-propionyl norephedrine CAS RN: 187324-66-9</p>	<p>C1325 1g 5g</p> <p>(-)-10,2-Camphorsultam CAS RN: 94594-90-8</p>	<p>其它 Others</p>	
<p>B5518 1g 5g</p> <p>(R)-N-(2-Benzoylphenyl)-1-benzylpyrrolidine-2-carboxamide CAS RN: 105024-93-9</p>	<p>T1490 100mg 1g</p> <p>(1R,2S)-(-)-trans-2-Phenyl-1-cyclohexanol CAS RN: 98919-68-7</p>	<p>T1491 100mg 1g</p> <p>(1S,2R)-(+)-trans-2-Phenyl-1-cyclohexanol CAS RN: 34281-92-0</p>	<p>T2578 1g 5g</p> <p>(1R,4R,5R)-4,7,7-Trimethyl-6-thiabicyclo[3.2.1]octane CAS RN: 5718-75-2</p>	<p>T2579 1g 5g</p> <p>(1S,4S,5S)-4,7,7-Trimethyl-6-thiabicyclo[3.2.1]octane CAS RN: 1208985-45-8</p>

光学拆分剂 Optical Resolving Agents

醇类 Alcohols



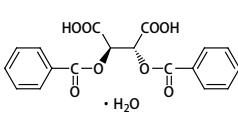
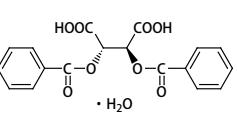
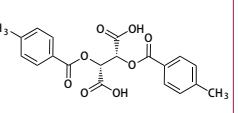
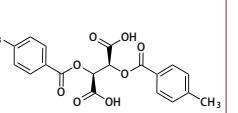
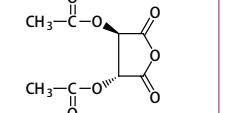
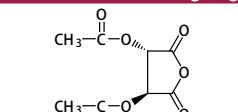
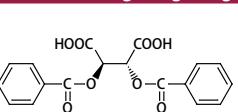
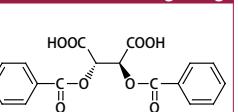
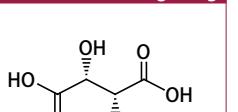
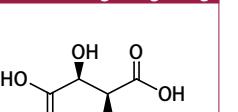
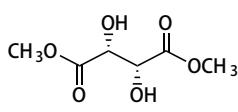
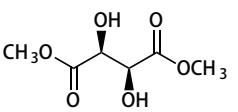
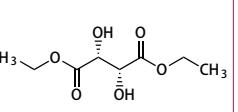
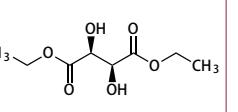
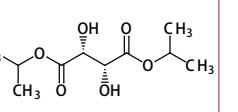
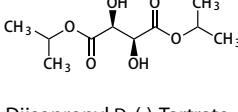
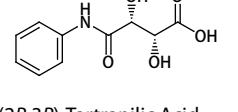
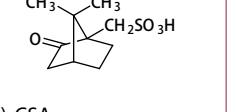
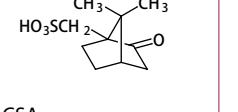
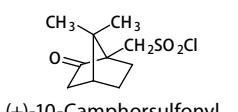
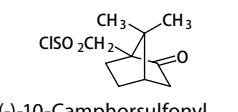
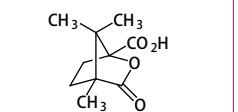
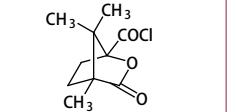
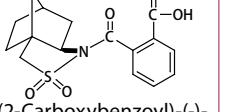
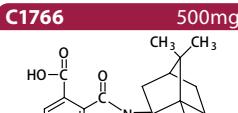
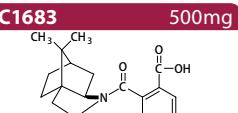
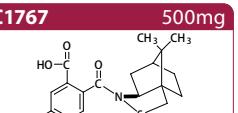
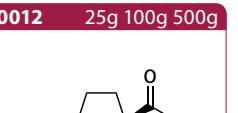
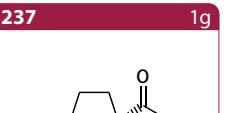
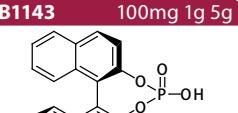
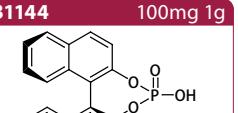
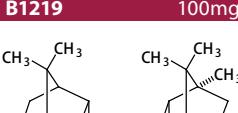
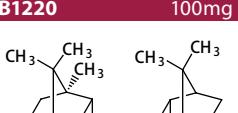
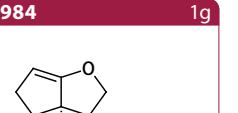
胺类和氨基醇 Amines and Aminoalcohols



羰基化合物

Carbonyl Compounds

I0334	1g 5g 25g	I0335	1g 5g	I0336	1g 5g	I0398	1g 5g	M1103	100mg 1g
(R)-(+)- α -Methylbenzyl Isocyanate CAS RN: 33375-06-3		(S)-(-)- α -Methylbenzyl Isocyanate CAS RN: 14649-03-7		(R)-(-)-1-(1-Naphthyl)ethyl Isocyanate CAS RN: 42340-98-7		(S)-(+)-1-(1-Naphthyl)ethyl Isocyanate CAS RN: 73671-79-1		(S)-(+)-MTPA-Cl CAS RN: 20445-33-4	
M1221	5mL 25mL	M1104	100mg 1g	M0990	5mL 25mL				
(+)-Menthyl Chloroformate CAS RN: 7635-54-3		(R)-(-)-MTPA-Cl CAS RN: 39637-99-5		(-)-Menthyl Chloroformate CAS RN: 14602-86-9					
M1349	1g 5g	M1350	1g 5g	羰基化合物 Carbonyl Compounds		M0824	1g	M1622	1g 5g
Methyl D-(-)-Mandelate CAS RN: 20698-91-3		Methyl L-(+)-Mandelate CAS RN: 21210-43-5				(S)-(-)-N-(1-Phenylethyl)-phthalamic Acid CAS RN: 21752-36-3		(R)-(+)-N-(1-Phenylethyl)-phthalamic Acid CAS RN: 21752-35-2	
M0829	1g 5g	M0830	100mg 1g 5g	M0831	1g 5g	M0832	1g 5g	O0276	1g 5g
(S)-(+)- α -Methoxyphenylacetic Acid CAS RN: 26164-26-1		(R)-(-)- α -Methoxyphenylacetic Acid CAS RN: 3966-32-3		(+)-MTPA CAS RN: 20445-31-2		(-)-MTPA CAS RN: 17257-71-5		(S)-(+)- γ -Carboxy- γ -butyrolactone CAS RN: 21461-84-7	
00281	1g 5g	P1219	1g 5g	P1220	1g 5g	M0021	5g 25g	M0022	25g 100g 500g
(R)-(-)- γ -Carboxy- γ -butyrolactone CAS RN: 53558-93-3		(R)-(-)-Hydratropic Acid CAS RN: 7782-26-5		(S)-(-)-Hydratropic Acid CAS RN: 7782-24-3		D-(+)-Malic Acid CAS RN: 636-61-3		L-(-)-Malic Acid CAS RN: 97-67-6	
M0573	5g 25g	M0661	25g 100g 250g	M0662	25g 100g 500g	Q0009	5g 25g	氨基酸衍生物 Amino Acid Derivatives	
(-)-Menthoxycrylic Acid CAS RN: 40248-63-3		L-(-)-Mandelic Acid CAS RN: 17199-29-0		D-(-)-Mandelic Acid CAS RN: 611-71-2		D-(-)-Quinic Acid CAS RN: 77-95-2			
A0545	25g	A0546	25g 500g	G0057	25g 100g 250g	G0059	25g 500g	P1354	5g 25g
D-Aspartic Acid CAS RN: 1783-96-6		L-Aspartic Acid CAS RN: 56-84-8		D-Glutamic Acid CAS RN: 6893-26-1		L-Glutamic Acid CAS RN: 56-86-0		D-Pyroglutamic Acid CAS RN: 4042-36-8	
P0573	25g 100g 500g	V0012	1g 25g	V0014	25g 100g 500g	T1444	1g 5g	酒石酸衍生物 Tartaric Acid Derivatives	
L-Pyroglutamic Acid CAS RN: 98-79-3		D-Valine CAS RN: 640-68-6		L-Valine CAS RN: 72-18-4		Tosyl-L-phenylalanyl Chloride CAS RN: 29739-88-6			

D1354	25g 500g		(-) -Dibenzoyl-L-tartaric Acid Monohydrate CAS RN: 62708-56-9
D1398	25g 500g		(+) -Dibenzoyl-D-tartaric Acid Monohydrate CAS RN: 80822-15-7
D1387	25g 250g		(-) -Di-p-toluoyl-L-tartaric Acid CAS RN: 32634-66-5
D1417	25g 250g		(+) -Di-p-toluoyl-D-tartaric Acid CAS RN: 32634-68-7
D1911	25g		(+) -Diacetyl-L-tartaric Anhydride CAS RN: 6283-74-5
D2645	5g 25g		(-) -Diacetyl-D-tartaric Anhydride CAS RN: 70728-23-3
D3492	25g 100g 250g		(-) -Dibenzoyl-L-tartaric Acid CAS RN: 2743-38-6
D3826	25g 250g		(+) -Dibenzoyl-D-tartaric Acid CAS RN: 17026-42-5
T0025	25g 500g		L-(+)-Tartaric Acid CAS RN: 87-69-4
T0026	25g 100g 500g		D-(-)-Tartaric Acid CAS RN: 147-71-7
T0006	25g		Dimethyl L-(+)-Tartrate CAS RN: 608-68-4
T1659	5g 25g		Dimethyl D-(-)-Tartrate CAS RN: 13171-64-7
T0003	25g 100g 500g		Diethyl L-(+)-Tartrate CAS RN: 87-91-2
T1195	25g 250g		Diethyl D-(-)-Tartrate CAS RN: 13811-71-7
T0621	25g 100g 500g		Diisopropyl L-(+)-Tartrate CAS RN: 2217-15-4
T1387	25g 250g		Diisopropyl D-(-)-Tartrate CAS RN: 62961-64-2
T1702	1g 5g		(2R,3R)-Tartranilic Acid CAS RN: 3019-58-7
樟脑衍生物 Camphor Derivatives			
C0015	25g 100g 500g		(+)-CSA CAS RN: 3144-16-9
C0972	25g 100g 500g		(-) -CSA CAS RN: 35963-20-3
C0998	5g 25g		(+)-10-Camphorsulfonyl Chloride CAS RN: 21286-54-4
C1308	5g 25g		(-) -10-Camphorsulfonyl Chloride CAS RN: 39262-22-1
C1021	1g 5g		(-) -Camphanic Acid CAS RN: 13429-83-9
C1022	1g 5g 25g		(-) -Camphanic Chloride CAS RN: 39637-74-6
C1682	500mg		N-(2-Carboxybenzoyl)-(-)-10,2-camphorsultam CAS RN: 179950-32-4
C1766	500mg		N-(2-Carboxybenzoyl)-(+)-10,2-camphorsultam
C1683	500mg		N-(2-Carboxy-4,5-dichlorobenzoyl)-(-)-10,2-camphorsultam CAS RN: 193202-37-8
C1767	500mg		N-(2-Carboxy-4,5-dichlorobenzoyl)-(+)-10,2-camphorsultam
C0012	25g 100g 500g		(+)-Camphoric Acid CAS RN: 124-83-4
C3237	1g		(1S,3R)-(-)-Camphoric Acid CAS RN: 560-09-8
含磷化合物 Phosphorous Compounds			
B1143	100mg 1g 5g		(R)-(-)-1,1'-Binaphthyl-2,2'-dyl Hydrogen Phosphate CAS RN: 39648-67-4
B1144	100mg 1g		(S)-(+) -1,1'-Binaphthyl-2,2'-dyl Hydrogen Phosphate CAS RN: 35193-64-7
B1219	100mg		(+)-MBF-OH Dimer CAS RN: 87248-50-8
B1220	100mg		(-) -MBF-OH Dimer CAS RN: 108031-79-4
其它 Others			
A1984	1g		(S)-5-Allyl-2-oxa-bicyclo[3.3.0]oct-8-ene CAS RN: 1052236-86-8



梯希爱(上海)化成工业发展有限公司

试剂热线：800-988-0390或021-67121386

大包装热线：800-988-1865

传真：021-67121385

邮箱：Sales-CN@TCIchemicals.com

地址：上海化学工业区普工路96号

邮编：201507

www.TCIchemicals.com