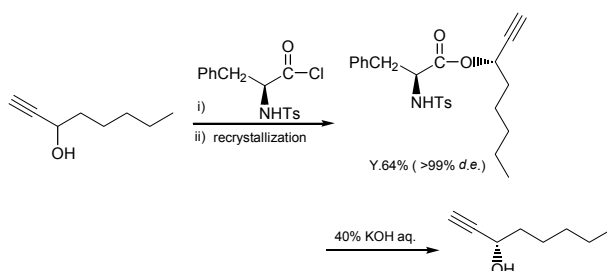


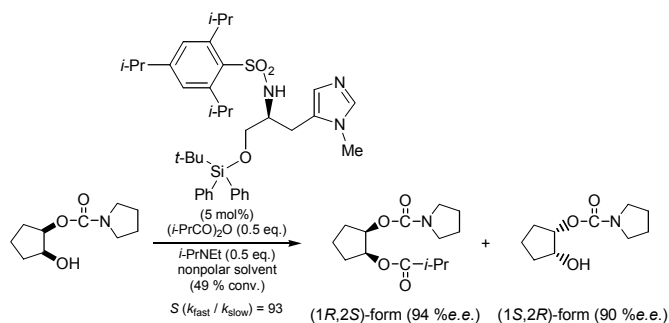
## Optical Resolution

There are currently many methods to obtain optically active compounds. These methods include asymmetric synthesis, use of chiral building blocks, and optical resolution. In recent years, there has been rapid improvements in asymmetric synthesis, with many reports of success.

Optical resolution is widely used, as it is an easy and practical method. One of the most common optical resolution methods utilizes diastereomer which are obtained from the reaction of racemic compounds and an optical resolving agents. The differences of physical properties between diastereomers are utilized in this method. The optical resolving agents are often easily obtained from natural products. For example, alkaloids are used for the optical resolution of racemic acids and tartaric acid is used for the optical resolution of racemic bases. In both cases, diastereomeric salts are formed. When alcohols are to be resolved, the alcohols are reacted with phthalic anhydride to form half ester. The resulting carboxylic acid (half ester) is often then reacted with alkaloids to form diastereomeric salts, which are then resolved.



Recently, Ikegami and co-workers have reported a new resolution method for alcohols using amino acid derivatives. According to their report, racemic 1-octyn-3-ol is reacted with (*S*)-*N*-*p*-toluenesulfonylphenylalanyl chloride, to produce diastereomeric ester. This diastereomeric ester is recrystallized four times from mixed solution of ethanol and hexane, giving the resolved ester with a theoretical yield of 64% (>99% *d.e.*). Finally, (*S*)-1-octyn-3-ol is obtained by hydrolysis of pure diastereomeric ester (>99% *e.e.*). This method is drawing attention as an easy and accurate way to optically resolve alcohols.



On the other hand, it has been reported that optical resolution is achieved by catalytic amount of chiral source. Ishihara and co-workers have studied minimal artificial enzymes to overcome various problems of enzymatic reactions and to use optical resolution. One reagent that has been developed is *N*<sup>α</sup>-(2,4,6-Triisopropylbenzenesulfonyl)-*O*-(*tert*-butyldiphenylsilyl)- $\pi$ -methyl-L-histidinol. They reported that this reagent was a very effective catalyst for kinetic resolution of racemic alcohols by selective acylation. For example, kinetic resolution of ( $\pm$ )-*cis*-1-(*N*-pyrrolidinecarbonyloxy)-2-cyclopentanol was achieved by selective acylation with isobutyric anhydride to obtain (1*R*,2*S*)-acylated product and (1*S*,2*R*)-alcohol in high optical purity [ $S(k_{\text{fast}}/k_{\text{slow}}) = 93$ ]. Moreover, the *S* value was increased to 132 when the reaction was done at -20°C. The optical purity of (1*R*,2*S*)-acylated product was also risen up to 97%*e.e.* Moreover, this reagent can also be used as catalyst for the kinetic resolution of chain 1,2-diols,  $\beta$ -hydroxycarboxylic acids, and 2-amino alcohols after the suitable derivatization.

**Keyword :** optical resolution

2007. Mar., R-5035E

for Resolution of Acids		A1230	A1231	A1017
A0953	A1029	A0526	A0528	B1119
B1118	B0946	B0670	B0671	D1588
C0347	C0348	C0349	C0350	C0351
C0791	H0752	L0071	M0826	

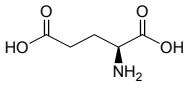
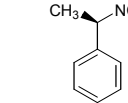
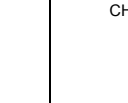
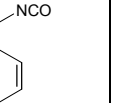
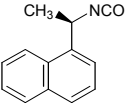
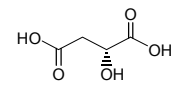
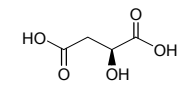
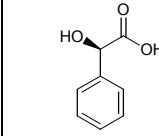
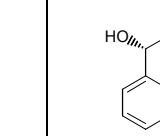
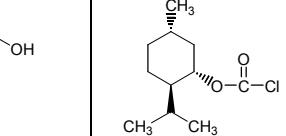
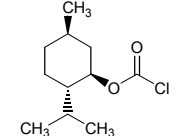
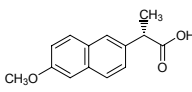
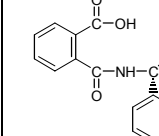
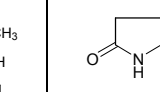
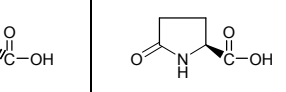
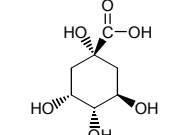
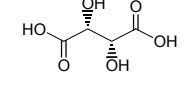
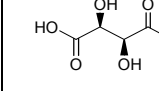
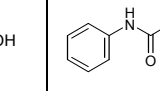
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A1231	(1 <i>S</i> ,2 <i>R</i> )-(+)-2-Amino-1,2-diphenylethanol	5g	1g
A1017	D-(-)- <i>threo</i> -2-Amino-1-(4-nitrophenyl)-1,3-propanediol	500g	25g
A0953	(1 <i>S</i> ,2 <i>S</i> )-(+)-2-Amino-1-phenyl-1,3-propanediol	25g	5g
A1029	D-(-)-Arginine		1g
A0526	L-(+)-Arginine	500g	25g
A0528	L-(+)-Arginine Hydrochloride	500g	25g
B1119	(+)- <i>cis</i> -2-Benzylaminocyclohexanemethanol		5g
B1118	(-)- <i>cis</i> -2-Benzylaminocyclohexanemethanol		5g
B0946	Brucine Anhydrous		25g
B0670	Brucine Dihydrate		25g
B0671	Brucine Hydrochloride		5g
D1588	(+)-Dehydroabietylamine	25g	5g
C0347	Cinchonidine	500g	25g
C0348	Cinchonidine Dihydrochloride		25g
C0349	Cinchonidine Sulfate	500g	25g
C0350	Cinchonine	500g	25g
C0351	Cinchonine Hydrochloride	500g	25g
C0791	Cinchonine Sulfate	500g	25g
H0752	Hydroquinidine Hydrochloride		25g
L0071	L-(+)-Lysine Monohydrochloride	500g	25g
M0826	(+)-Menthol	500g	25g

M0545 	N0543 	N0482 	N0481 	P1028 
P0794 	P0793 	P1118 	Q0006 	
Q0010 	Q0028 	Q0030 	S0249 	
S0257 	S0093 	S0094 	T1380 	
T1381 				

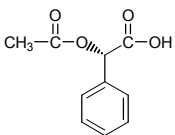
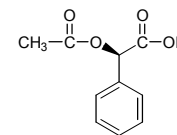
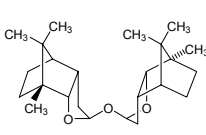
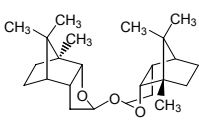
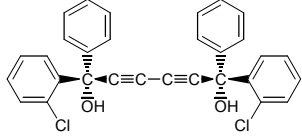
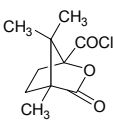
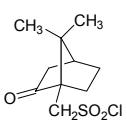
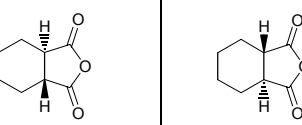
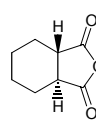
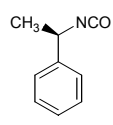
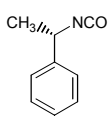
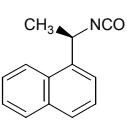
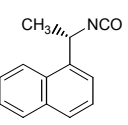
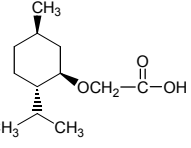
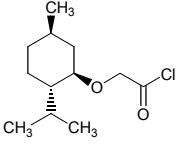
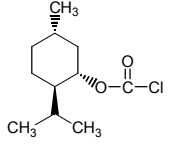
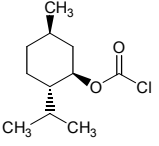
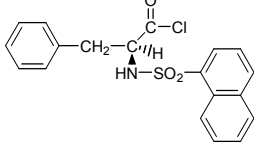
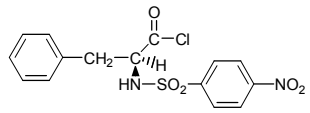
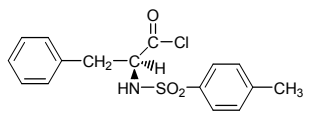
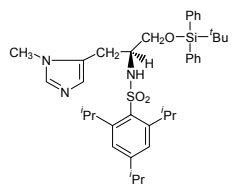
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N0543	(S)- $\alpha$ -Methyl-4-nitrobenzylamine Hydrochloride		1g
N0482	(R)-(+)-1-(1-Naphthyl)ethylamine	5g	1g
N0481	(S)-(-)-1-(1-Naphthyl)ethylamine	5g	1g
P1028	L-Phenylalaninol	25g	5g
P0794	(R)-(+)-1-Phenylethylamine	500ml	25ml
P0793	(S)-(-)-1-Phenylethylamine	500ml	25ml
P1118	(S)-1-Phenyl-2-(p-tolyl)ethylamine		25g
Q0006	Quinidine	25g	5g
Q0010	Quinidine Sulfate	25g	5g
Q0028	Quinine	500g	25g
Q0030	Quinine Hydrochloride		25g
S0249	Strychnine		25g
S0257	Strychnine Hydrochloride		25g
S0093	Strychnine Nitrate		25g
S0094	Strychnine Sulfate Pentahydrate		25g
T1380	(R)-(+)-1-(p-Tolyl)ethylamine		5ml
T1381	(S)-(-)-1-(p-Tolyl)ethylamine		5ml

for Resolution of Bases		A1454	A1453	A0545
A0546	B1121	B1120	B1143	B1144
C0012	C0015	C0972	C0998	C1308
C1417	C1418	D1386	D1911	D1398
D1354	D1853	D1417	D1387	G0057

A1454	(+)- <i>O</i> -Acetyl-L-mandelic Acid	25g	5g
A1453	(-)- <i>O</i> -Acetyl-D-mandelic Acid	25g	5g
A0545	D-Aspartic Acid		25g
A0546	L-Aspartic Acid	500g	25g
B1121	(+)- <i>cis</i> -2-Benzamidocyclohexanecarboxylic Acid		5g
B1120	(-)- <i>cis</i> -2-Benzamidocyclohexanecarboxylic Acid		5g
B1143	( <i>R</i> )-(-)-1,1'-Binaphthyl-2,2'-diyl Hydrogenphosphate	1g	100mg
B1144	( <i>S</i> )-(+)-1,1'-Binaphthyl-2,2'-diyl Hydrogenphosphate	1g	100mg
C0012	(+)-Camphoric Acid	500g	25g
C0015	(+)-10-Camphorsulfonic Acid	500g	25g
C0972	(-)-10-Camphorsulfonic Acid	500g	25g
C0998	(+)-10-Camphorsulfonyl Chloride		10g
C1308	(-)-10-Camphorsulfonyl Chloride	25g	5g
C1417	(+)- <i>trans</i> -1,2-Cyclohexanedicarboxylic Anhydride		100mg
C1418	(-)- <i>trans</i> -1,2-Cyclohexanedicarboxylic Anhydride		100mg
D1386	(-)-Diacetyl-L-tartaric Acid		25g
D1911	(+)-Diacetyl-L-tartaric Anhydride		25g
D1398	(+)-Dibenzoyl-D-tartaric Acid Monohydrate		25g
D1354	(-)-Dibenzoyl-L-tartaric Acid Monohydrate	500g	25g
D1853	( <i>R</i> )-(-)- <i>N</i> -(3,5-Dinitrobenzoyl)- $\alpha$ -phenylglycine		5g
D1417	(+)-Di- <i>p</i> -toluoyl-D-tartaric Acid		25g
D1387	(-)-Di- <i>p</i> -toluoyl-L-tartaric Acid		25g
G0057	D-Glutamic Acid		25g

G0059		I0334		I0335		I0336		I0398	
M0021		M0022		M0662		M0661		M1221	
M0990		M1021		M1622		P1354		P0573	
Q0009		T0025		T0026		T1702			

G0059	L-Glutamic Acid	500g	25g
I0334	Isocyanic Acid ( <i>R</i> )-(+)- $\alpha$ -Methylbenzyl Ester	5g	1g
I0335	Isocyanic Acid ( <i>S</i> )-(-)- $\alpha$ -Methylbenzyl Ester	5g	1g
I0336	Isocyanic Acid ( <i>R</i> )-(-)-1-(1-Naphthyl)ethyl Ester	5g	1g
I0398	Isocyanic Acid ( <i>S</i> )-(+)-1-(1-Naphthyl)ethyl Ester		1g
M0021	D-(+)-Malic Acid	25g	5g
M0022	L-(-)-Malic Acid	500g	25g
M0662	D-(-)-Mandelic Acid	500g	25g
M0661	L-(+)-Mandelic Acid	250g	25g
M1221	(+)-Menthyl Chloroformate	25ml	5ml
M0990	(-)-Menthyl Chloroformate		25ml
M1021	( <i>S</i> )-(+)-2-(6-Methoxy-2-naphthyl)propionic Acid	500g	25g
M1622	( <i>R</i> )-(+)-( $\alpha$ -Methylbenzyl)phthalamic Acid		5g
P1354	D-Pyroglutamic Acid	25g	5g
P0573	L-Pyroglutamic Acid	500g	25g
Q0009	D-(-)-Quinic Acid		25g
T0025	L-(+)-Tartaric Acid	500g	25g
T0026	D-(-)-Tartaric Acid	500g	25g

for Resolution of Alcohols & Thiols		A1454 	A1453 	B1219 
		B1220 	B1508 	C1022 
C1308 	C1417 	C1418 	I0334 	I0335 
I0336 	I0398 	M0573 	M0571 	M1221 
M0990 	N0581 	N0582 		
T1444 		T2223 		

T1702	(2 <i>R</i> ,3 <i>R</i> )-Tartranilic Acid	5g	1g
A1454	(+)- <i>O</i> -Acetyl-L-mandelic Acid	25g	5g
A1453	(-)- <i>O</i> -Acetyl-D-mandelic Acid	25g	5g
B1508	(-)-1,6-Bis(2-chlorophenyl)-1,6-diphenyl-2,4-hexadiyne-1,6-diol		1g
B1219	Bis[(2 <i>R</i> ,3 <i>aS</i> ,4 <i>R</i> ,7 <i>aS</i> )-octahydro-7,8,8-trimethyl-4,7-methanobenzofuran-2-yl] Ether	1g	100mg
B1220	Bis[(2 <i>S</i> ,3 <i>aR</i> ,4 <i>S</i> ,7 <i>aR</i> )-octahydro-7,8,8-trimethyl-4,7-methanobenzofuran-2-yl] Ether	1g	100mg
C1022	(-)-Camphanic Chloride		1g
C0998	(+)-10-Camphorsulfonyl Chloride		10g
C1308	(-)-10-Camphorsulfonyl Chloride	25g	5g
C1417	(+)- <i>trans</i> -1,2-Cyclohexanedicarboxylic Anhydride		100mg
C1418	(-)- <i>trans</i> -1,2-Cyclohexanedicarboxylic Anhydride		100mg
I0334	Isocyanic Acid ( <i>R</i> )-(+)- $\alpha$ -Methylbenzyl Ester	5g	1g
I0335	Isocyanic Acid ( <i>S</i> )-(-)- $\alpha$ -Methylbenzyl Ester	5g	1g
I0336	Isocyanic Acid ( <i>R</i> )-(-)-1-(1-Naphthyl)ethyl Ester	5g	1g
I0398	Isocyanic Acid ( <i>S</i> )-(+)-1-(1-Naphthyl)ethyl Ester		1g
M0573	(-)-Menthoxyacetic Acid	25ml	5ml
M0571	(-)-Menthoxyacetyl Chloride		10g
M1221	(+)-Menthyl Chloroformate	25ml	5ml
M0990	(-)-Menthyl Chloroformate		25ml
N0581	<i>N</i> -(1-Naphthalenesulfonyl)-L-phenylalanyl Chloride		5g
N0582	<i>N</i> -(4-Nitrophenylsulfonyl)-L-phenylalanyl Chloride		5g
T1444	<i>N</i> -( <i>p</i> -Toluenesulfonyl)-L-phenylalanyl Chloride		5g
T2223	<i>N</i> $^{\alpha}$ -(2,4,6-Triisopropylbenzenesulfonyl)- <i>O</i> -( <i>tert</i> -butyldiphenylsilyl)- $\pi$ -methyl-L-histidinol		100mg

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