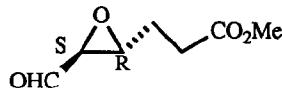


## STEREOCHEMISTRY ABSTRACTS

F.D. Bellamy, M. Bondoux, B. Boubia, P. Dodey, C. Mioskowski

*Tetrahedron: Asymmetry* 1992, 3, 355



$[\alpha]_D^{23} = -82.8$  ( $c = 1.15, \text{CHCl}_3$ )

Source of chirality = Sharpless' epoxidation

E.e >95 % [by  $^1\text{H}$ - and  $^{13}\text{C}$  NMR of imidazolines obtained by reacting the aldehyde with a chiral diamine]

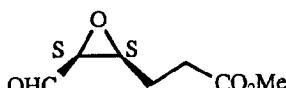
Absolute configuration 4R,5S

$\text{C}_7\text{H}_{10}\text{O}_4$

Oxirane propanoic acid, 3-formyl methyl ester

F.D. Bellamy, M. Bondoux, B. Boubia, P. Dodey, C. Mioskowski

*Tetrahedron: Asymmetry* 1992, 3, 355



$[\alpha]_D^{25} = -118.9$  ( $c = 0.98, \text{CHCl}_3$ )

Source of chirality = (2S, 3R)-(-)-3-(Benzoyloxymethyl) oxirane-2-methanol 4-nitrobenzoic acid ester (Fluka)

E.e >95 % [by  $^1\text{H}$ - and  $^{13}\text{C}$  NMR of imidazolines obtained by reacting the aldehyde with a chiral diamine]

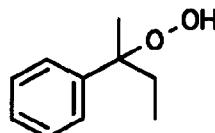
Absolute configuration 4S,5S

$\text{C}_7\text{H}_{10}\text{O}_4$

Oxirane propanoic acid, 3-formyl methyl ester

E.Höft, H.-J. Hamann, A. Kunath and L. Rüffer

*Tetrahedron: Asymmetry* 1992, 3, 507



e.e. nearly 20 % [by HPLC on Chiralcel OD]

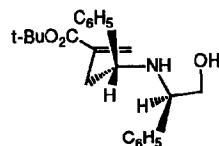
Source of chirality: Kinetic resolution by Sharpless epoxidation

$\text{C}_{10}\text{H}_{14}\text{O}_2$

(+)- or (-)-1-Methyl-1-phenylpropyl hydroperoxide

Y.A. Dembélé, C. Belaud and J. Villiéras

*Tetrahedron: Asymmetry* 1992, 3, 511



E.e. ≥95% ( $^1\text{H}$  N.M.R.)

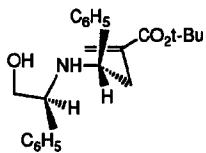
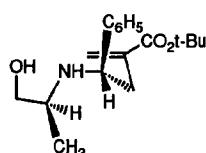
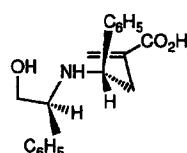
$[\alpha]_D^{24} = -237.8$  ( $c 4.00, \text{CHCl}_3$ )

Source of chirality : commercial available (R)-(-)-2-phenylglycinol

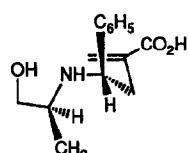
Absolute configuration 4R, 6R

$\text{C}_{23}\text{H}_{29}\text{NO}_3$ , M= 367.5

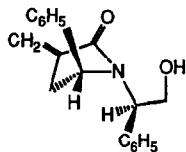
Tert-butyl 4-N-[(2-hydroxy-1-(R)-phenyl)ethylamino]-2-methylene-4-(R)-phenylbutyrate.

 $C_{23}H_{29}NO_3$ , M= 367.5E.e.≥95% ( $^1H$  N.M.R.) $[\alpha]_D^{24} = +240.1$  (c 3.80,  $CHCl_3$ )Source of chirality : commercial available (S)-(+)-2-phenylglycinol  
Absolute configuration 4S, 6S $C_{18}H_{27}NO_3$ , M= 305.4E.e.≥95% ( $^1H$  N.M.R.) $[\alpha]_D^{24} = +160.2$  (c 4.2,  $CHCl_3$ )Source of chirality : commercial available (S)-(+)-2-amino-1-propanol  
Absolute configuration 4S, 6SE.e.≥95% ( $^1H$  N.M.R.) $[\alpha]_D^{26} = +238$  (c 4.5,  $CHCl_3$ )Source of chirality : commercial available (S)-(+)-2-phenylglycinol  
Absolute configuration 4S, 6S $C_{19}H_{21}NO_3$ , M= 311.4

4-N-[(2-hydroxy-1-(S)-phenyl)ethylamino]-2-methylene-4-(S)-phenyl-butric acid.

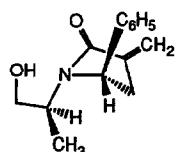
E.e.≥95% ( $^1H$  N.M.R.) $[\alpha]_D^{26} = +161$  (c 4.5,  $CHCl_3$ )Source of chirality : commercial available (S)-(+)-2-amino-1-propanol  
Absolute configuration 4S, 6S $C_{14}H_{19}NO_3$ , M= 249.3

4-N-[(2-hydroxy-1-(S)-methyl)ethylamino]-2-methylene-4-(S)-phenyl-butric acid.

 $C_{19}H_{19}NO_2$ , M= 293.4E.e.≥95% ( $^1H$  N.M.R.) $[\alpha]_D^{26} = -28$  (c 2,  $CHCl_3$ )

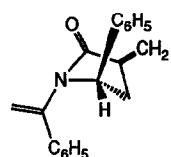
Source of chirality : commercial available (R)-(-)-2-phenylglycinol.

Absolute configuration 5R, 6R.

 $C_{14}H_{17}NO_2$ , M= 231.3E.e.≥95% ( $^1H$  N.M.R.) $[\alpha]_D^{26} = +8$  (c 1.5,  $CHCl_3$ )

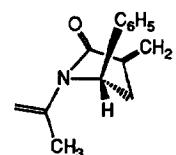
Source of chirality : commercial available (S)-(+)-2-amino-1-propanol.

Absolute configuration 5S, 6S.

 $C_{19}H_{17}NO$ , M= 275.3E.e.≥95% ( $^1H$  N.M.R.) $[\alpha]_D^{26} = +19$  (c 1.32,  $CHCl_3$ )

Source of chirality : commercial available (S)-(+)-2-phenylglycinol.

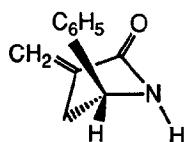
Absolute configuration 5S.

 $C_{14}H_{15}NO$ , M= 213.3E.e.≥95% ( $^1H$  N.M.R.) $[\alpha]_D^{25} = +20$  (c 1.62,  $CHCl_3$ )

Source of chirality : commercial available (S)-(+)-2-aminopropanol.

Absolute configuration 5S.

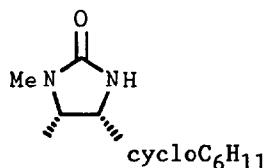
[3-methylene-5-(S)-phenylpyrrolidinone-1-yl]-2-propene.

 $C_{11}H_{11}NO$ , M = 173.2E.e. ≥ 95% ( $^1H$  N.M.R.) $[\alpha]_D^{26} = -17$  (c 1.35,  $CHCl_3$ )

Source of chirality: commercial available (R)-(-)-2-phenylglycinol.

Absolute configuration 5R.

3-methylene-5-(R)-phenylpyrrolidinone.



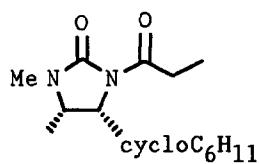
Source of chirality: 1R,2S-(-)-ephedrine

Absolute configuration - 4R,5S

 $[\alpha]_D^{26} -1$  (c = 0.6;  $CHCl_3$ ) $C_{11}H_{20}N_2O$ 

M.p. 162°C

1,5-Dimethyl-4-cyclohexyl-3-imidazolidin-2-one



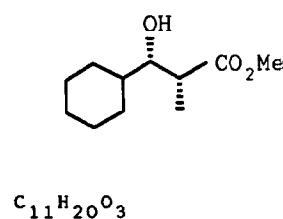
Source of chirality: 1R,2S-(-)-ephedrine

Absolute configuration - 4R,5S

 $[\alpha]_D^{26} -14.2$  (c = 0.16;  $CHCl_3$ ) $C_{14}H_{24}N_2O_2$ 

M.p. 99-100°C

1,5-Dimethyl-4-cyclohexyl-3-propanoylimidazolidin-2-one



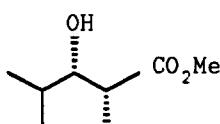
E.e. 100%

Source of chirality: asymm. synth. (aldol)

Absolute configuration - 2R,3S

 $[\alpha]_D^{25} -6.17$  (c = 1.1;  $CH_2Cl_2$ )

Methyl 3-cyclohexyl-3-hydroxy-2-methylpropionate



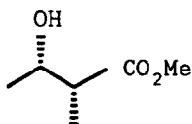
E.e. 100%

Source of chirality: asymm. synth. (aldol)

Absolute configuration - 2R, 3S

 $[\alpha]_D^{25} +7.6$  ( $c = 1.2$ ;  $\text{CHCl}_3$ ) $\text{C}_8\text{H}_{18}\text{O}_3$ 

Methyl 2,4-dimethyl-3-hydroxypentanoate



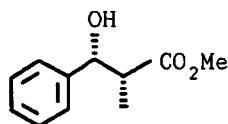
E.e. 100%

Source of chirality: asymm. synth. (aldol)

Absolute configuration - 2R, 3S

 $[\alpha]_D^{25} -13.4$  ( $c = 0.51$ ;  $\text{CH}_3\text{OH}$ ) $\text{C}_6\text{H}_{12}\text{O}_3$ 

Methyl 3-hydroxy-2-methylbutanoate



E.e. 100%

Source of chirality: asymm. synth. (aldol)

Absolute configuration - 2R, 3R

 $[\alpha]_D^{25} +23.2$  ( $c = 1.5$ ;  $\text{CHCl}_3$ ) $\text{C}_{11}\text{H}_{14}\text{O}_3$ 

Methyl 3-hydroxy-2-methyl-3-phenylpropionate

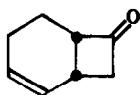


E.e.=100% [by H.P.L.C. analysis]

 $[\alpha]_D -35.1$  ( $c 0.69$ ,  $\text{MeOH}$ )Source of chirality: optical resolution  
by complexation with optically active  
host compound

Absolute configuration: 1R, 5S

Bicyclo[3.2.0]-2-hepten-6-one



Bicyclo[4.2.0]-2-octen-7-one

E.e.=100% [by H.P.L.C. analysis]

 $[\alpha]_D -155$  (c 0.30, MeOH)Source of chirality: optical resolution  
by complexation with optically active  
host compound

Absolute configuration: unknown

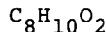
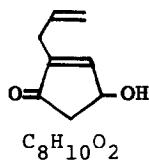


4-Hydroxycyclo-2-pentenone

E.e.=100% [by H.P.L.C. analysis]

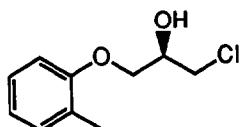
 $[\alpha]_D -92.3$  (c 0.63, MeOH)Source of chirality: optical resolution  
by complexation with optically active  
host compound

Absolute configuration: S



2-Allyl-4-hydroxycyclo-2-pentenone Absolute configuration: unknown

E.e.=100% [by H.P.L.C. analysis]

 $[\alpha]_D +35.3$  (c 0.60, MeOH)Source of chirality: optical resolution  
by complexation with optically active  
host compound

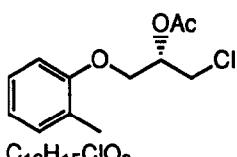
1-Chloro-3-(2-methylphenoxy)-2-propanol

E.e. = 98.6% [by HPLC using Chiralcel OD]

 $[\alpha]^{20}D = -6.8$  (c = 3.0, CHCl<sub>3</sub>)

Source of chirality: enzymatic transesterification

Absolute configuration 2*R*  
(assigned by reaction mechanism and HPLC)

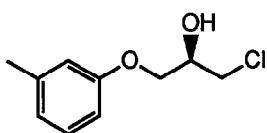


2-Acetoxy-1-chloro-3-(2-methylphenoxy)-propan

E.e. = 86.6% [by HPLC using Chiraldak OT(+)]  
 $[\alpha]^{20}D = +28.3$  ( $c = 1.0$ ,  $CHCl_3$ )

Source of chirality: enzymatic transesterification

Absolute configuration 2S  
 (assigned by reaction mechanism and HPLC)

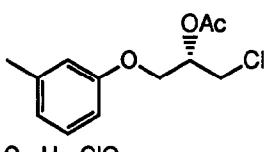


1-Chloro-3-(3-methylphenoxy)-2-propanol

E.e. = 96.3% [by HPLC using Chiralcel OD]  
 $[\alpha]^{20}D = -1.3$  ( $c = 3.0$ ,  $CHCl_3$ )

Source of chirality: enzymatic transesterification

Absolute configuration 2R  
 (assigned to Toliprolol)

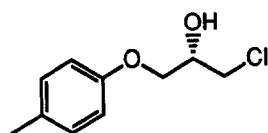


2-Acetoxy-1-chloro-3-(3-methylphenoxy)-propan

E.e. = 96% [by HPLC using Chiraldak OT(+)]  
 $[\alpha]^{20}D = +33.0$  ( $c = 1$ ,  $CHCl_3$ )

Source of chirality: enzymatic transesterification

Absolute configuration 2S  
 (assigned to Toliprolol)

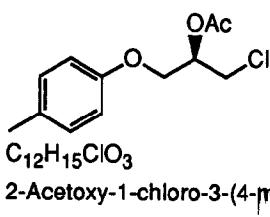


1-Chloro-3-(4-methylphenoxy)-2-propanol

E.e. = 90.5% [by HPLC using Chiralcel OD]  
 $[\alpha]^{20}D = +0.6$  ( $c = 3.1$ ,  $CHCl_3$ )

Source of chirality: enzymatic hydrolysis

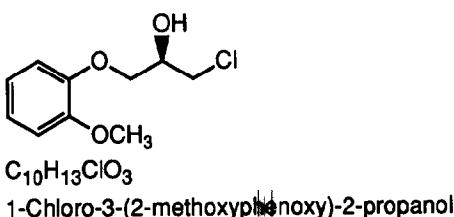
Absolute configuration 2S  
 (assigned by reaction mechanism and HPLC)



E.e. = 96.2% [by HPLC using Chiraldak OT(+)]  
 $[\alpha]^{20}D = -34.6$  ( $c = 1.0$ ,  $CHCl_3$ )

Source of chirality: enzymatic hydrolysis

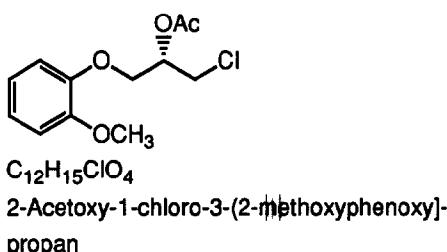
Absolute configuration 2*R*  
 (assigned by reaction mechanism and HPLC)



E.e. = 83.6% [by HPLC using Chiralcel OD]  
 $[\alpha]^{20}D = +11.7$  ( $c = 3.0$ ,  $CH_3Cl$ )

Source of chirality: enzymatic transesterification

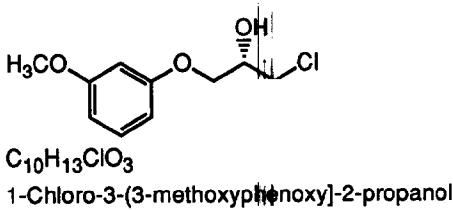
Absolute configuration 2*R*  
 (assigned to Moprolol)



E.e. = 83.1% [by HPLC using Chiralcel OB]  
 $[\alpha]^{20}D = +18.6$  ( $c = 1$ ,  $CH_3Cl$ )

Source of chirality: enzymatic transesterification

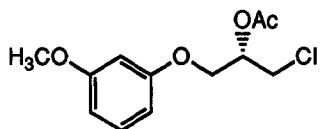
Absolute configuration 2*S*  
 (assigned to Moprolol)



E.e. =  $\geq 99\%$  [by HPLC using Chiralcel OD]  
 $[\alpha]^{20}D = +1.4$  ( $c = 3.1$ ,  $CH_3Cl$ )

Source of chirality: enzymatic hydrolysis

Absolute configuration 2*S*  
 (assigned by reaction mechanism and HPLC)

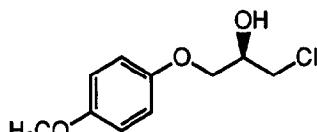
 $C_{12}H_{15}ClO_4$ 

2-Acetoxy-1-chloro-3-(3-methoxyphenoxy)-propan

E.e. = 98.6% [by HPLC using Chiralcel OB]  
 $[\alpha]^{20}D = +26.9$  ( $c = 1$ ,  $CH_3Cl$ )

Source of chirality: enzymatic transesterification

Absolute configuration 2*S*  
 (assigned by reaction mechanism and HPLC)

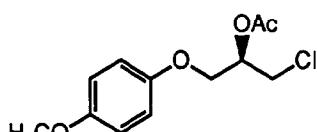
 $C_{10}H_{13}ClO_3$ 

1-Chloro-3-(4-methoxyphenoxy)-2-propanol

E.e. = 94% [by HPLC using Chiralcel OD]  
 $[\alpha]^{20}D = +0.1$  ( $c = 3.0$ ,  $CH_3Cl$ )

Source of chirality: enzymatic transesterification

Absolute configuration 2*R*  
 (assigned by reaction mechanism and HPLC)

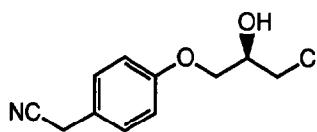
 $C_{12}H_{15}ClO_4$ 

2-Acetoxy-1-chloro-3-(4-methoxyphenoxy)-propan

E.e. = 99% [by HPLC using Chiralcel OB]  
 $[\alpha]^{20}D = -31.6$  ( $c = 1.0$ ,  $CH_3Cl$ )

Source of chirality: enzymatic hydrolysis

Absolute configuration 2*R*  
 (assigned by reaction mechanism and HPLC)

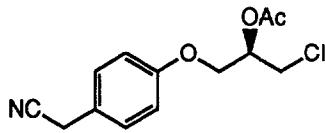
 $C_{11}H_{12}ClNO_2$ 

1-Chloro-3-(4-cyanomethylphenoxy)-2-propanol

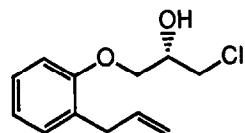
E.e. = 97% [by HPLC using Chiralcel OD]  
 $[\alpha]^{20}D = -0.03$  ( $c = 3.1$ ,  $CHCl_3$ )

Source of chirality: enzymatic transesterification

Absolute configuration 2*R*  
 (assigned to Atenolol)

 $C_{13}H_{14}ClNO_3$ 2-Acetoxy-1-chloro-3-(4-cyanomethylphenoxy)-  
propanE.e. = ≥99.5% [by HPLC using Chiralcel OB]  
 $[\alpha]^{20}D = -32$  ( $c = 1.0, \text{CHCl}_3$ )

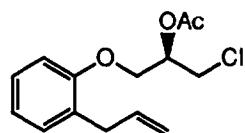
Source of chirality: enzymatic hydrolysis

Absolute configuration 2*R*  
(assigned to Atenolol) $C_{12}H_{15}ClO_2$ 

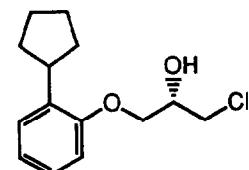
1-Chloro-3-[2-(2-propenyl)-phenoxy]-2-propanol

E.e. = 95% [by HPLC using Chiralcel OD]  
 $[\alpha]^{20}D = +0.2$  ( $c = 3.1, \text{CHCl}_3$ )

Source of chirality: enzymatic hydrolysis

Absolute configuration 2*S*  
(assigned to Alprenolol) $C_{14}H_{17}ClO_3$ 2-Acetoxy-1-chloro-3-[2-(2-propenyl)-phenoxy]-  
propanE.e. = 97.3% [by HPLC using Chiraldak OT(+)]  
 $[\alpha]^{20}D = -31.9$  ( $c = 1.0, \text{CHCl}_3$ )

Source of chirality: enzymatic hydrolysis

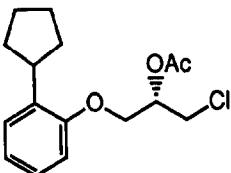
Absolute configuration 2*R*  
(assigned to Alprenolol) $C_{14}H_{19}ClO_2$ 

1-Chloro-3-(2-cyclopentylphenoxy)-2-propanol

E.e. = 98.8% [by HPLC using Chiralcel OD]  
 $[\alpha]^{20}D = +5.9$  ( $c = 3.0, \text{CHCl}_3$ )

Source of chirality: enzymatic hydrolysis

Absolute configuration 2*S*  
(assigned to Penbutenol)

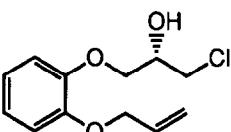
 $C_{16}H_{21}ClO_3$ 

2-Acetoxy-1-chloro-3-(2-cyclopentylphenoxy)-propan

E.e. = 97.2% [by HPLC using Chiralcel OB]  
 $[\alpha]^{20}D = +25.6$  ( $c = 1.0$ ,  $CHCl_3$ )

Source of chirality: enzymatic transesterification

Absolute configuration 2S  
 (assigned to Penbutenol)

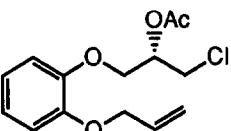
 $C_{12}H_{11}ClO_3$ 

1-Chloro-3-[2-(2-propenyloxy)-phenoxy]-2-propanol

E.e. = 92.6% [by HPLC using Chiralcel OD]  
 $[\alpha]^{20}D = -10.7$  ( $c = 3.1$ ,  $CH_3Cl$ )

Source of chirality: enzymatic hydrolysis

Absolute configuration 2S  
 (assigned to Oxprenolol)

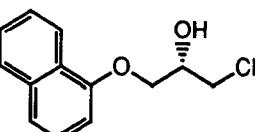
 $C_{14}H_{17}ClO_4$ 

2-Acetoxy-1-chloro-3-[2-(2-propenyloxy)-phenoxy]-propan

E.e. = 93.7% [by HPLC using Chiralcel OB]  
 $[\alpha]^{20}D = +18.3$  ( $c = 1.0$ ,  $CH_3Cl$ )

Source of chirality: enzymatic transesterification

Absolute configuration 2S  
 (assigned to Oxprenolol)

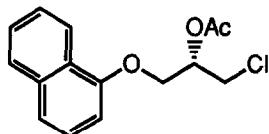
 $C_{13}H_{13}ClO_2$ 

1-Chloro-3-naphthalenyoxy-2-propanol

E.e. = 96% [by  $^1H$ -NMR using MTPA-ester]  
 $[\alpha]^{20}D = +8.6$  ( $c = 3.1$ ,  $CHCl_3$ )

Source of chirality: enzymatic hydrolysis

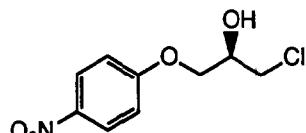
Absolute configuration 2S  
 (assigned to Propranolol)

 $C_{15}H_{15}ClO_3$ 

2-Acetoxy-1-chloro-3-phenoxypropan

E.e. = 92% [by  $^1H$ -NMR using MTPA-ester]  
 $[\alpha]^{20}_D = +29$  ( $c = 1.0$ ,  $CHCl_3$ )

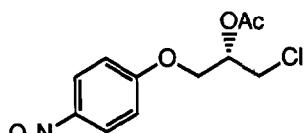
Source of chirality: enzymatic transesterification

Absolute configuration 2S  
(assigned to Propranolol) $C_9H_{10}ClNO_4$ 

1-Chloro-3-(4-nitrophenoxy)-2-propanol

E.e. = 87% [by  $^1H$ -NMR using MTPA-ester]  
 $[\alpha]^{20}_D = +0.4$  ( $c = 3.1$ ,  $CHCl_3$ )

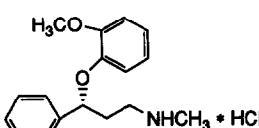
Source of chirality: enzymatic transesterification

Absolute configuration 2R  
(assigned to Practolol) $C_{11}H_{12}ClNO_5$ 

2-Acetoxy-1-chloro-3-(4-nitrophenoxy)-propan

E.e. = 92% [by  $^1H$ -NMR using MTPA-ester]  
 $[\alpha]^{20}_D = +36.9$  ( $c = 1.0$ ,  $CHCl_3$ )

Source of chirality: enzymatic transesterification

Absolute configuration 2S  
(assigned to Practolol) $C_{17}H_{22}ClNO_2$ 

N-methyl-3-(2-methoxyphenoxy)-3-propylamine hydrochloride

E.e. = >95 % [by comparison to lit. value]  
 $[\alpha]_D^{20} = +51.2$  ( $c = 1.66$ ,  $MeOH$ )Source of chirality: enzymatic hydrolysis  
of a precursor

Absolute configuration R

U. Goergens and M. P. Schneider

Tetrahedron: Asymmetry 1992, 3, 525



N-methyl-3-(4-trifluoromethylphenoxy)-3-propylamine, hydrochloride

E.e. = >95 % [by comparison to lit. value]

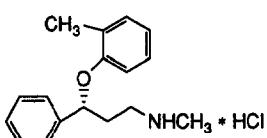
[ $\alpha$ ]<sub>D</sub><sup>20</sup> = +13.9 (c = 1.01, CHCl<sub>3</sub>)

Source of chirality: enzymatic hydrolysis of a precursor

Absolute configuration S

U. Goergens and M. P. Schneider

Tetrahedron: Asymmetry 1992, 3, 525



N-methyl-3-(2-methylphenoxy)-3-propylamine, hydrochloride

E.e. = >95 % [by comparison to lit. value]

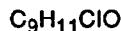
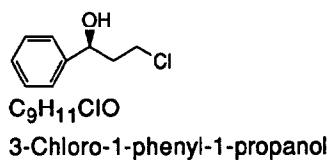
[ $\alpha$ ]<sub>D</sub><sup>20</sup> = -41.8 (c = 1.78, MeOH)

Source of chirality: enzymatic hydrolysis of a precursor

Absolute configuration R

U. Goergens and M. P. Schneider

Tetrahedron: Asymmetry 1992, 3, 525



3-Chloro-1-phenyl-1-propanol

E.e. = >99 % [by HPLC using Chiralcel OD]

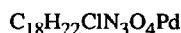
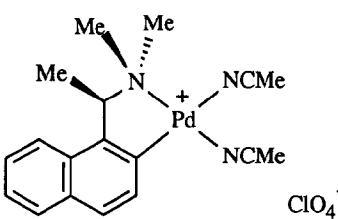
[ $\alpha$ ]<sub>D</sub><sup>20</sup> = -24.1 (c = 1.12, CHCl<sub>3</sub>)

Source of chirality: enzymatic resolution

Absolute configuration S  
(assigned on the basis of  $\alpha_D$ )

S. Y. M. Chooi, P.H. Leung, C.C. Lim, K.F. Mok, G.H. Quek,  
K.Y. Sim, M.K. Tan

Tetrahedron: Asymmetry 1992, 3, 529

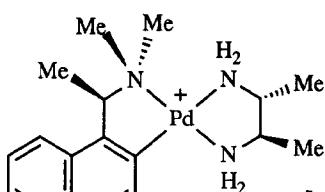


E.e. = > 99% (by nmr)

[ $\alpha$ ]<sub>D</sub><sup>22</sup> = -104.4 (c 1.0, CH<sub>2</sub>Cl<sub>2</sub>)

Source of chirality: asymm. synth.

Absolute configuration: R

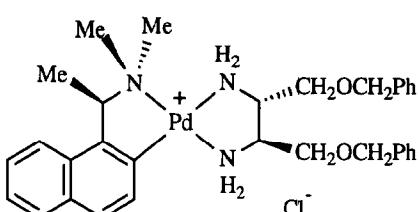


E.e. = > 99% (by nmr)

[α]<sub>D</sub><sup>22</sup> = -53.4 (c 1.0, H<sub>2</sub>O)

Source of chirality: asymm. synth.

Absolute configuration: R, R, R

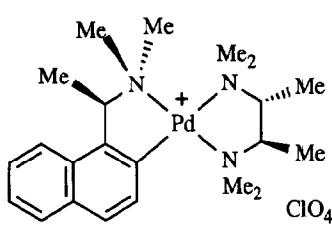


E.e. = > 99% (by nmr)

[α]<sub>D</sub><sup>22</sup> = -41.5 (c 1.0, CH<sub>2</sub>Cl<sub>2</sub>)

Source of chirality: asymm. synth.

Absolute configuration: R, R, R

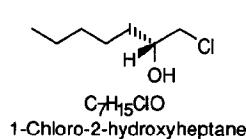


E.e. = > 99% (by nmr)

[α]<sub>D</sub><sup>22</sup> = -87.0 (c 1.0, CH<sub>2</sub>Cl<sub>2</sub>)

Source of chirality: asymm. synth.

Absolute configuration: R, R, R



Absolute configuration 2R

[α]<sub>D</sub><sup>30</sup> -1.47 (c 1.0, CHCl<sub>3</sub>)

Source of chirality: (R)-epichlorohydrin

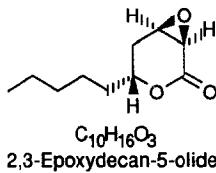
E.e.=>95% (by <sup>1</sup>H-NMR of derivative)

Seiichi Takano,\* Masaki Setoh, and Kunio Ogasawara



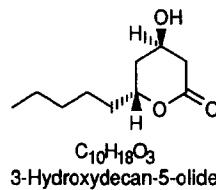
Absolute configuration 5*R*  
[ $\alpha$ ]D<sup>29</sup> -107.5 (*c* 1.1, CHCl<sub>3</sub>)  
Source of chirality: (*R*)-epichlorohydrin  
E.e.=>95% (comparison to the reported value)

Seiichi Takano,\* Masaki Setoh, and Kunio Ogasawara



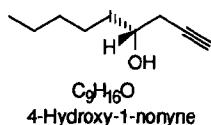
Absolute configuration 2*R*,3*R*,5*R*  
[ $\alpha$ ]D<sup>25</sup> +81.3 (*c* 1.0, CHCl<sub>3</sub>)  
E.e.=>95% (by precursor)

Seiichi Takano,\* Masaki Setoh, and Kunio Ogasawara



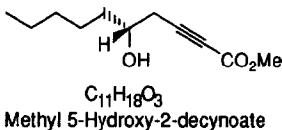
pAbsolute configuration 3*R*,5*R*  
[ $\alpha$ ]D<sup>28</sup> +38.4 (*c* 1.6, CHCl<sub>3</sub>)  
E.e.=>95% [comparison to the reported value (corrected)]

Seiichi Takano,\* Masaki Setoh, and Kunio Ogasawara



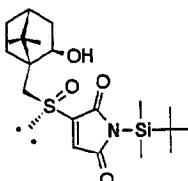
Absolute configuration 4*R*  
[ $\alpha$ ]D<sup>28</sup> +22.2 (*c* 1.0, CHCl<sub>3</sub>)  
Source of chirality: (*R*)-epichlorohydrin

Seiichi Takano,\* Masaki Setoh, and Kunio Ogasawara



Absolute configuration 5*R*  
 $[\alpha]_D^{29} +11.95$  (*c* 1.8, CHCl<sub>3</sub>)  
 Source of chirality: (*R*)-epichlorohydrin

Y. Arai, T. Kontani and T. Koizumi

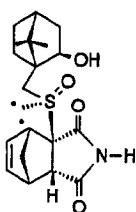


D.e. >99% [by <sup>1</sup>H NMR analysis]  
 $[\alpha]_D^{25} = +40.4$  (*c* 2.08, CHCl<sub>3</sub>)  
 mp 107-109 °C  
 Source of chirality: asymm. oxid.  
 Absolute configuration 1'S, 2'R, 4'R, R<sub>S</sub>

C<sub>20</sub>H<sub>33</sub>NO<sub>4</sub>SSi

N-tert-Butyldimethylsilyl-3-[(2-hydroxy-7,7-dimethylbicyclo[2.2.1]heptan-1-yl)methylsulfinyl]maleimide

Y. Arai, T. Kontani and T. Koizumi

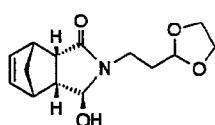


D.e. >99% [by HPLC analysis]  
 $[\alpha]_D^{26} = +2.4$  (*c* 2.13, CHCl<sub>3</sub>)  
 $[\alpha]_D^{26} = +11.7$  (*c* 1.73, acetone)  
 mp 230-232 °C  
 Source of chirality: asymm. synth.  
 Absolute configuration 1R, 2R, 3S, 4S, 1'S, 2'R, 4'R, R<sub>S</sub>  
 (assigned by conversion into the known compound)

C<sub>19</sub>H<sub>25</sub>NO<sub>4</sub>S

2-exo-[(2-Hydroxy-7,7-dimethylbicyclo[2.2.1]heptan-1-yl)methylsulfinyl]bicyclo[2.2.1]hept-5-ene-2,3-dicarboxamide

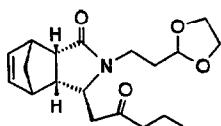
Y. Arai, T. Kontani and T. Koizumi



D.e. >99% [by <sup>1</sup>H NMR analysis]  
 $[\alpha]_D^{25} = +84.6$  (*c* 2.0, CHCl<sub>3</sub>)  
 mp 116-118 °C  
 Source of chirality: asymm. synth.  
 Absolute configuration: 1R, 2S, 5S, 6S, 7S

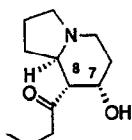
C<sub>14</sub>H<sub>19</sub>NO<sub>9</sub>

4-(1,1-Ethylenedioxy-3-propyl)-5-hydroxy-4-azatricyclo[5.2.1.0^2.6]dec-8-en-3-one

D.e. >99% [by  $^1\text{H}$  NMR analysis] $[\alpha]_D^{25} = +86.9$  (*c* 1.98,  $\text{CHCl}_3$ )

Source of chirality: asymm. synth.

Absolute configuration: 1R, 2S, 5S, 6R, 7S

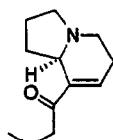
 $\text{C}_{19}\text{H}_{27}\text{NO}_4$ 1-(4-(1,1-Ethylenedioxy-3-propyl)-3-oxo-4-azatricyclo[5.2.1.0<sup>2,6</sup>]dec-8-en-5-yl)-pentan-2-oneE.e. >92% [by  $^{19}\text{F}$  NMR analysis of (+)-MTPA ester] $[\alpha]_D^{25} = +36.9$  (*c* 0.58,  $\text{CHCl}_3$ )

Source of chirality: asymm. synth.

Absolute configuration: 7S, 8R, 8aR

(assigned by comparison with  $[\alpha]_D$  of the literature) $\text{C}_{12}\text{H}_{21}\text{NO}_2$ 

Elaeokanine C

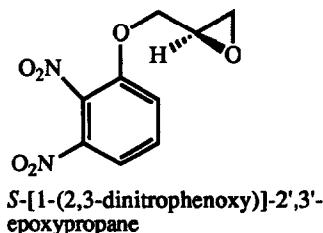
E.e. >92% [by  $^{19}\text{F}$  NMR analysis of a precursor] $[\alpha]_D^{25} = +63.0$  (*c* 0.93,  $\text{CHCl}_3$ )

Source of chirality: asymm. synth.

Absolute configuration: R

(assigned by comparison with  $[\alpha]_D$  of the literature) $\text{C}_{12}\text{H}_{19}\text{NO}$ 

Elaeokanine A

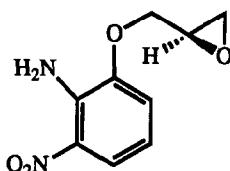


E.e. = 94 % by chiral HPLC.

 $[\alpha]_D = +8.1 \pm 2$  (Methanol) $g_{260}^{210}$  (from CD) = + 4.2  $\times$  10<sup>-5</sup> (Methanol)

Source of chirality: asymmetric synthesis.

Absolute configuration: *S*, assigned by mechanistic considerations.



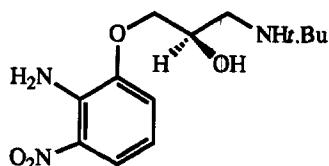
S-[1-(2-Amino-3-nitrophenoxy)]-2',3'-epoxypropane

E.e.= 95.3 % by chiral HPLC.

$[\alpha]_D = + 17 \pm 0.1$  (Methanol)

$g_{234}^{225}$  (from CD) = +  $4.2 \times 10^{-5}$  (Methanol)

Source of chirality: asymmetric synthesis.  
Absolute configuration: *S*, assigned by mechanistic considerations.



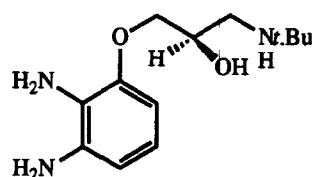
S-[1-(2-amino-3-nitrophenoxy)]-3'-(*N*-*t*-butylamino)propan-2'-ol

E.e.= > 99.4% by chiral HPLC.

$[\alpha]_D = + 32 \pm 4$  (Methanol)

$g_{238}^{227}$  (from CD) = +  $4.3 \times 10^{-5}$  (Methanol)

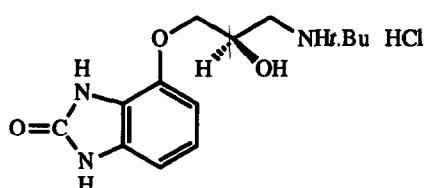
Source of chirality: asymmetric synthesis.  
Absolute configuration: *S*, assigned by mechanistic considerations.



S-[1-(2,3-Diaminophenoxy)]-3'-(*N*-*t*-butylamino)propan-2'-ol

E.e.= > 98.4% by chiral HPLC on derivative  
 $g_{240}^{210}$  (from CD) = +  $10 \times 10^{-5}$  (Methanol)

Source of chirality: asymmetric synthesis.  
Absolute configuration: *S*, assigned by mechanistic considerations.



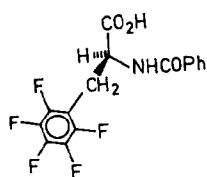
S-(3'-*t*-butylamino-2'-hydroxypropoxy)-benzimidazol-2-one hydrochloride

E.e.= > 98.4% by chiral HPLC

$[\alpha]_D = - 8.0 \pm 2$  (Methanol)

$g_{271}^{225}$  (from CD) = +  $1.95 \times 10^{-5}$  (Methanol)

Source of chirality: asymmetric synthesis.  
Absolute configuration: *S*, assigned by mechanistic considerations.



E.e.= 89% (by GLC)

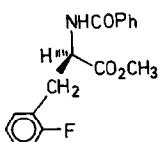
$[\alpha]_D^{20} = -56.1$  (c 1.0, MeOH)

Source of chirality: enantioselective hydrogenation of a precursor.

Absolute configuration: S

(assigned by catalyst configuration)

C<sub>16</sub>H<sub>10</sub>F<sub>5</sub>NO<sub>3</sub>  
(S)-8-(2,3,4,5,6)-Pentafluoro-N-benzoylphenylalanine



E.e.= 89% (by GLC)

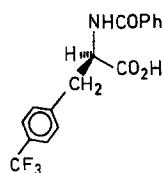
$[\alpha]_D^{20} = +64.6$  (c 1.0, MeOH)

Source of chirality: enantioselective hydrogenation of a precursor.

Absolute configuration: R

(assigned by catalyst configuration)

C<sub>17</sub>H<sub>16</sub>FNO<sub>3</sub>  
(R)-2-Fluoro-N-benzoylphenylalanine methylester



E.e.= 92% (by GLC)

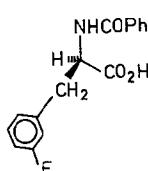
$[\alpha]_D^{20} = +41.8$  (c 1.0, MeOH)

Source of chirality: enantioselective hydrogenation of a precursor.

Absolute configuration: R

(assigned by catalyst configuration)

C<sub>17</sub>H<sub>14</sub>F<sub>3</sub>NO<sub>3</sub>  
(R)-4-Trifluoromethyl-N-benzoylphenylalanine



E.e.= 99% (by GLC)

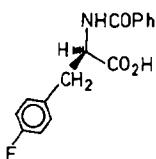
$[\alpha]_D^{20} = +43.0$  (c 1.0, MeOH)

Source of chirality: enantioselective hydrogenation of a precursor.

Absolute configuration: R

(assigned by catalyst configuration)

C<sub>16</sub>H<sub>14</sub>FNO<sub>3</sub>  
(R)-3-Fluoro-N-benzoylphenylalanine



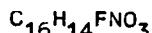
E.e. = 99% (by GLC)

$[\alpha]_D^{20} = +38.1$  (c 1.0, MeOH)

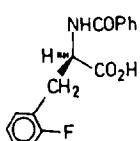
Source of chirality: enantioselective hydrogenation of a precursor.

Absolute configuration: R

(assigned by catalyst configuration)



(R)-4-Fluoro-N-benzoylphenylalanine



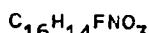
E.e. = 99% (by GLC)

$[\alpha]_D^{20} = +61.1$  (c 1.0, MeOH)

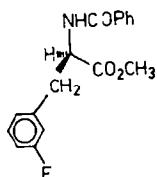
Source of chirality: enantioselective hydrogenation of a precursor.

Absolute configuration: R

(assigned by catalyst configuration)



(R)-2-Fluoro-N-benzoylphenylalanine



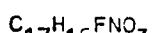
E.e. = 99% (by GLC)

$[\alpha]_D^{20} = +56.5$  (c 1.0, MeOH)

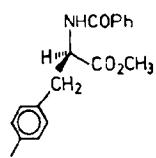
Source of chirality: enantioselective hydrogenation of a precursor.

Absolute configuration: R

(assigned by catalyst configuration)



(R)-3-Fluoro-N-benzoylphenylalanine methylester



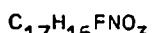
E.e. = 88% (by GLC)

$[\alpha]_D^{20} = +50.1$  (c 1.0, MeOH)

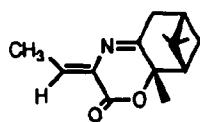
Source of chirality: enantioselective hydrogenation of a precursor.

Absolute configuration: R

(assigned by catalyst configuration)



(R)-4-Fluoro-N-benzoylphenylalanine methylester

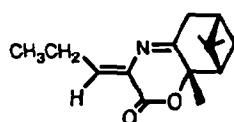


e.e.&gt;95% by NMR

 $[\alpha]_D^{20} + 609.8$  ( $c = 1.08$ ,  $\text{CHCl}_3$ )Source of chirality :  $\alpha$ -pinene

Absolute configuration : 5aS, 6aS, 6bS

$\text{C}_{14}\text{H}_{19}\text{NO}_2$   
Z-3-methylmethylen-4,4a-didehydropinan[2,3-b]morpholin-2-one

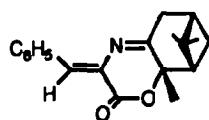


e.e.&gt;95% by NMR

 $[\alpha]_D^{20} + 475.3$  ( $c = 1.02$ ,  $\text{CHCl}_3$ )Source of chirality :  $\alpha$ -pinene

Absolute configuration : 5aS, 6aS, 6bS

$\text{C}_{15}\text{H}_{21}\text{NO}_2$   
Z-3-ethylmethylen-4,4a-didehydropinan[2,3-b]morpholin-2-one

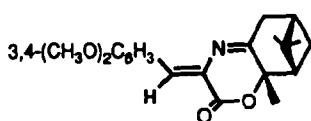


e.e.&gt;95% by NMR

 $[\alpha]_D^{20} + 1428.8$  ( $c = 0.89$ ,  $\text{CHCl}_3$ )Source of chirality :  $\alpha$ -pinene

Absolute configuration : 5aS, 6aS, 6bS

$\text{C}_{19}\text{H}_{21}\text{NO}_2$   
Z-3-phenylmethylen-4,4a-didehydropinan[2,3-b]morpholin-2-one

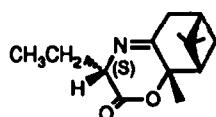


e.e.&gt;95% by NMR

 $[\alpha]_D^{20} + 1428.8$  ( $c = 0.89$ ,  $\text{CHCl}_3$ )Source of chirality :  $\alpha$ -pinene

Absolute configuration : 5aS, 6aS, 6bS

$\text{C}_{21}\text{H}_{25}\text{NO}_2$   
Z-3-(3,4-dimethoxyphenylmethylen)-4,4a-didehydropinan[2,3-b]-morpholin-2-one



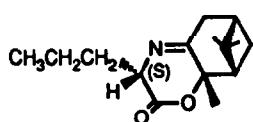
e.e.&gt;95% by NMR

 $[\alpha]_D^{20} - 220.4$  ( $c = 1.04$ ,  $\text{CHCl}_3$ )Source of chirality :  $\alpha$ -pinene and diastereoselective hydrogenation

Absolute configuration : 3S, 5aS, 6aS, 6bS

 $C_{14}H_{21}NO_2$ 

3-ethyl-4,4a-didehydropinan[2,3-b]morpholin-2-one



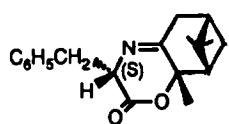
e.e.&gt;95% by NMR

 $[\alpha]_D^{20} - 176.8$  ( $c = 1.07$ ,  $\text{CHCl}_3$ )Source of chirality :  $\alpha$ -pinene and diastereoselective hydrogenation

Absolute configuration : 3S, 5aS, 6aS, 6bS

 $C_{15}H_{23}NO_2$ 

3-propyl-4,4a-didehydropinan[2,3-b]morpholin-2-one



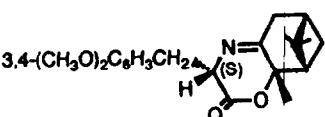
e.e.&gt;95% by NMR

 $[\alpha]_D^{20} - 154.8$  ( $c = 0.98$ ,  $\text{CHCl}_3$ )Source of chirality :  $\alpha$ -pinene and diastereoselective hydrogenation

Absolute configuration : 3S, 5aS, 6aS, 6bS

 $C_{19}H_{23}NO_2$ 

3-benzylpinan-4,4a-didehydropinan[2,3-b]morpholin-2-one



e.e.&gt;95% by NMR

 $[\alpha]_D^{20} - 134$  ( $c = 1.02$ ,  $\text{CHCl}_3$ )Source of chirality :  $\alpha$ -pinene and diastereoselective hydrogenation

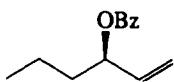
Absolute configuration : 3S, 5aS, 6aS, 6bS

 $C_{21}H_{27}NO_4$ 

3-(3,4-dimethoxyphenylmethyl)-4,4a-didehydropinan[2,3-b]morpholin-2-one

Martín, V.S., Ode, J.M., Palazón, J.M., Soler, M.A.

$[\alpha]_{25}^D -34.8$  (c 5.1, CHCl<sub>3</sub>)

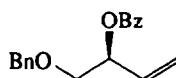


C<sub>13</sub>H<sub>16</sub>O<sub>2</sub>  
3-Benzoyloxy-1-hexene

Source of chirality: Asymmetric Epoxidation  
Absolute configuration: R

Martín, V.S., Ode, J.M., Palazón, J.M., Soler, M.A.

$[\alpha]_{25}^D -12.5$  (c=1.5, CHCl<sub>3</sub>)

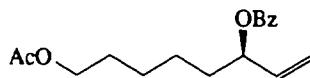


C<sub>18</sub>H<sub>18</sub>O<sub>3</sub>  
4-Benzoyloxy-3-benzoyloxy-1-butene

Source of chirality: Asymmetric Epoxidation  
Absolute configuration: S

Martín, V.S., Ode, J.M., Palazón, J.M., Soler, M.A.

$[\alpha]_{25}^D -17.4$  (c 0.2, CHCl<sub>3</sub>)

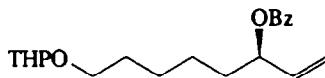


C<sub>17</sub>H<sub>22</sub>O<sub>4</sub>  
8-Acetoxy-3-benzoyloxy-1-octene

Source of chirality: Asymmetric Epoxidation  
Absolute configuration: R

Martín, V.S., Ode, J.M., Palazón, J.M., Soler, M.A.

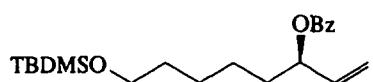
$[\alpha]_{25}^D -17.3$  (c 1.0, CHCl<sub>3</sub>)



C<sub>20</sub>H<sub>28</sub>O<sub>4</sub>  
8-Tetrahydropyranoyloxy-3-benzoyloxy-1-octene

Martín, V.S., Ode, J.M., Palazón, J.M., Soler, M.A.

$[\alpha]_{25}^D -14.0$  (c 1.2, CHCl<sub>3</sub>)



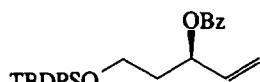
Source of chirality: Asymmetric Epoxidation  
Absolute configuration: R

C<sub>21</sub>H<sub>34</sub>O<sub>3</sub>

8-*tert*-Butyldimethylsilyloxy-3-benzoyloxy-1-octene

Martín, V.S., Ode, J.M., Palazón, J.M., Soler, M.A.

$[\alpha]_{25}^D -7.3$  (c 1.5, CHCl<sub>3</sub>)



Source of chirality: Asymmetric Epoxidation  
Absolute configuration: R

C<sub>28</sub>H<sub>34</sub>O<sub>3</sub>

5-*tert*-Butyldiphenylsilyloxy-3-benzoyloxy-1-pentene