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## ***SUPPORTING INFORMATION***

***Title:*** Design and Evaluation of Inclusion Resolutions, Based on Readily Available Host Compounds
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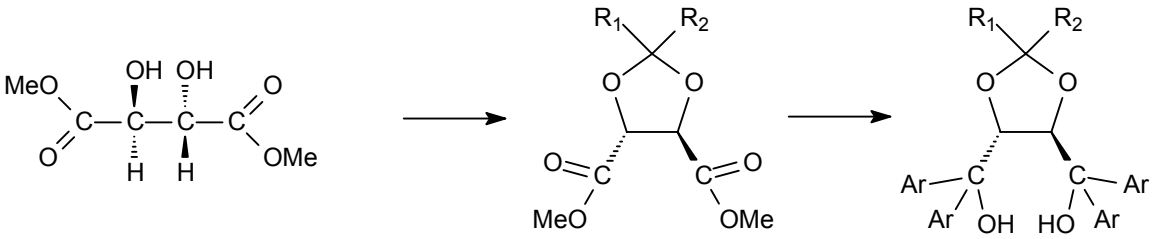
***Ref. No.:*** O040613

Contents:



## Ia: Synthesis of host compounds derived from tartaric acid




*(4R,5R)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester*
A suspension of 10 g (56 mmol) (*R*,*R*)-dimethyltartrate, 8.9 g (84 mmol) dimethoxypropane and 121 mg (0.6 mmol) *p*-toluenesulfonic acid monohydrate in 200 ml benzene was heated to reflux with a soxhlet extractor for 26 hours. The molsieves (4 Å) were exchanged twice. After cooling to room temperature, 210 mg (1.5 mmol) potassium carbonate was added and stirring was continued for 2 hours. Then the reaction mixture was filtered, the benzene removed and the residue dissolved in ether (200 ml). This solution was washed with a saturated solution of $NaHCO_3$ in water, further with water and brine. Then dried over $MgSO_4$ and evaporated to a dark red, viscous oil which was suitable to use directly in the next reaction. (GC: purity 99 %). The yield was 12.1 g (98.5 %). $^1$H-NMR (100 MHz, $CDCl_3$): δ 4.82 (s, 2H, -C<u>H</u>), δ 3.83 (s, 6H, -OC<u>H</u>$_3$), δ 1.50 (s, 6H, -C<u>H</u>$_3$)

*(2R,3R)-dioxaspiro [4,5] decane-2,3-dicarboxyl-dimethylester*
A suspension of 20g (112 mmol) (*R*,*R*)-dimethyltartrate, 46.6 ml (450 mmol) cyclohexanone, 0.7 g $ZnCl_2$ and 0.7 g *p*-toluenesulfonic acid monohydrate in 400 ml benzene was heated to reflux with a soxhlet extractor for one week. The molsieves (4 Å) were exchanged each day. After cooling to room temperature, 210 mg (1.5 mmol) potassium carbonate was added and stirring was continued for 2 hours. Then the reaction mixture was filtered, the benzene removed and the residue dissolved in ether (250 ml). This solution was washed with a saturated solution of $NaHCO_3$ in water, further with water and brine. Then dried over $MgSO_4$ and evaporated to a dark red, viscous oil. A colorless oil was obtained after vacuum distillation (2 torr, 100°C). (GC: purity 99 %). The yield was 20 g (82 %). $^1$H-NMR (100 MHz, $CDCl_3$): δ 4.82 (s, 2H, -C<u>H</u>), δ 3.82 (s, 6H, -OC<u>H</u>$_3$), δ 1.40 – 1.98 (m, 10H, -C<u>H</u>$_2$)

*1,3-dioxolan(4R,5R)-dicarboxyl-dimethylester*
A suspension of 5 g (28 mmol) (*R*,*R*)-dimethyltartrate, 2.5 g (28 mmol) trioxane and a catalytic amount of conc. $H_2SO_4$ in 100 ml benzene was heated to reflux with a soxhlet extractor for 2 days. The molsieves (4 Å) were exchanged twice. After cooling to room temperature, 210 mg (1.5 mmol) potassium carbonate was added and stirring was continued for 2 hours. Then the reaction mixture was filtered, the benzene removed and the residue dissolved in ether (150 ml). This solution was washed with a saturated solution of $NaHCO_3$ in water, further with water and brine. Then dried over $MgSO_4$ and evaporated to a dark oil (GC: purity 66 %). The yield was 4.1 g (78 %). $^1$H-NMR(100 MHz, $CDCl_3$): δ 5.19 (s, 2H, -C<u>H</u>$_2$), δ 4.79 (s, 2H, -C<u>H</u>), δ 3.82 (s, 6H, -OC<u>H</u>$_3$)

*2-phenyl-1,3-dioxolan(4R,5R)-dicarboxyl-dimethylester*
A suspension of 10 g (56 mmol) (*R*,*R*)-dimethyltartrate, 125 g (1.17 mol) benzaldehyde and 5 g $ZnCl_2$ were stirred at RT for 1 hour. While still stirring, a solution of 150 g $NaHSO_3$ in 1 l $H_2O$ was

added. The precipitated crystals were filtered off and stirred in 750 ml $H_2O$ for 30 min, then again filtered off and stirred twice in 25 ml acetone. After filtration, 35 ml $H_2O$ was added to the filtrate. The ester **15** crystallized over night. After filtration, **15** was dried under vacuum. The yield was12.1 g (81 %). $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.50-7.25 (m, 5H, arom.), δ 5.95 (s, 1H, -C<u>H</u>Ph), δ 4.85 (d, 1H, J=3.8, -C<u>H</u>), δ 4.72 (d, 1H, J=3.8, -C<u>H</u>), δ 3.79 (s, 6H, -OC<u>H</u>$_3$)

*General procedure for a Grignard reaction **A***
The magnesium turnings were stirred in ether or THF under argon for one hour. After addition of catalytic amount of iodine, the suspension was heated to reflux. While still boiling, a solution of the respective bromoaryl reagent in ether or THF was added dropwise. The reaction mixture was kept boiling, until all magnesium turnings have reacted. A solution of the respective ester in ether or THF was added drop wise at 0°C, then the reaction mixture was heated to reflux for approximately 3 hours and stirred over night at RT. Then the reaction mixture was neutralized with saturated $NH_4Cl$ solution in water at 0°C. The water layer was extracted three times with diethyl ether. The combined organic layers were washed twice with brine, dried over $MgSO_4$ and evaporated to give the crude product.

*General procedure for a lithium exchange reaction **B***
A solution of the bromoaryl reagent in diethyl ether was added drop wise to *n*-butyl lithium (1.6 m in hexane) at -30°C under argon. The reaction mixture was then stirred at room temperature for 2 h and cooled again at -30°C, while a solution of the ester in diethyl ether was added slowly. The reaction mixture was warmed to room temperature and stirred over night. Then it was neutralized with saturated $NH_4Cl$ solution in water at 0°C. The water layer was extracted three times with diethyl ether. The combined organic layers were washed twice with brine, dried over $MgSO_4$ and evaporated to give the crude product.

*(4R,5R)-[5-hydroxy-di-phenyl-methyl)-2,2-dimethyl[1,3]dioxolane-4-yl]-di-phenyl-methanol **1a***
Procedure B: 11.9 g (55 mmol) *(4R,5R)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester*
33 ml (0.33 mol) bromobenzene in 100 ml diethyl ether
200 ml (0.33 mol) *n*-butyl lithium (1.6 m in hexane)
The crude product was chromatographed on silica gel, first with 200 ml $CH_2Cl_2$ followed by 400 ml of diethyl ether. The ether fraction yielded 24.2 g (94%) of **1** as a yellow foam. After recrystallization in MeOH a 1:1 inclusion complex of **1** and MeOH was obtained. The yield was 24.0 g inclusion complex, thus 23.7 g **1a** (92 %), ΔH=36.54 kJ/mol. Methanol was removed by azeotropic evaporation with cyclohexane and **1a** was obtained as a white solid. Mp: 185 °C; $[\alpha]_D^{20}$ = -65 (1,$CHCl_3$); ΔH=15.29 kJ/mol, $^1$H-NMR (200 MHz, $CDCl_3$): δ 7.60-7.20 (m, 10H,arom.), δ 4.58 (s, 2H, -C<u>H</u>), δ 4.16 (s, 2H, -O<u>H</u>), δ 1.03 (s, 6H, -C<u>H</u>$_3$);IR: ν 3317 cm$^{-1}$ (-OH), ν 3057 cm$^{-1}$ (-$CH_{arom.}$), ν 2889 cm$^{-1}$ (-$CH_{methyl.}$), ν 1380 cm$^{-1}$ (-$CH_3$,symm.d.)

*(4R,5R)-[5-hydroxy-di-o-tolyl-methyl)-2,2-dimethyl[1,3]dioxolane-4-yl]-di-o-tolyl-methanol **1b***
Procedure A: 1.8 g (8.3 mmol) (4*R*,5*R*)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester in 50 ml diethyl ether
8 ml (66.5 mmol) *ortho*-bromotoluene
1.62 g (66.5 mmol) magnesium turnings
50 ml diethyl ether
The crude product was chromatographed on silica gel, first with 50 ml $CH_2Cl_2$ followed by 100 ml of diethyl ether. The ether fraction yielded 0.08 g (2 %) of **1b** as yellow foam.
Procedure A*: 1 g (4.6 mmol) (4*R*,5*R*)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester in 50 ml THF and 6.78 g (27.5 mmol) $CeCl_3$*
3.3 ml (27.5 mmol) *ortho*-bromotoluene
0.67 g (27.5 mmol) magnesium turnings

50 ml THF

The crude product was chromatographed on silica gel, first with 50 ml $CH_2Cl_2$ followed by 100 ml of diethyl ether. The ether fraction yielded 1.1 g (46 %) of **1b** as yellow foam. After recrystallization in MeOH a 1:1 inclusion complex of **2** and MeOH was obtained. The yield was 1 g inclusion complex, thus 0.94 g **1b** (39 %). Mp: 119 °C; ΔH=56.57 kJ/mol, Elemental analysis for $C_{35}H_{38}O_4 \cdot CH_4O$: calc.C 77.95%, H 7.63%, found C 77.89%, H 7.21%. Methanol was removed by azeotropic evaporation with cyclohexane and **2** was obtained as a white solid. Mp: 155 °C; $[\alpha]_D^{20}$ = -26 (c 0.4, $CHCl_3$); $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.79-7.77 (d, 4H, arom. $H_3C$-C-CH-C<u>H</u>, J = 6.8 Hz), δ 7.24-7.16 (m, 8H, arom.), δ 7.02-7.00 (d, 4H, arom. $H_3C$-C- C<u>H</u>, J = 5.3 Hz), δ 5.28 (s, 2H, -C<u>H</u>), δ 3.50 (s, 2H, -O<u>H</u>), δ 1.81 (br, 12H, Ph-C<u>H</u>$_3$), δ 1.55 (s, 6H, -C<u>H</u>$_3$); IR: ν 3288 cm$^{-1}$ (-OH), ν 3057 cm$^{-1}$ (-$CH_{arom.}$), ν 2881 cm$^{-1}$ (-$CH_{methyl.}$), ν 1380 cm$^{-1}$ (-$CH_3$,symm.d.), MS: ($\frac{m}{z}$) 523 ($M^+$)

Procedure B: 11.8 g (0.06 mol) (4*R*,5*R*)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester in 100 ml diethyl ether
40.5 ml (0.28 mol) *ortho*-bromotoluene in 100 ml diethyl ether
200 ml (0.33 mol) *n*-butyl lithium (1.6 m in hexane)

The crude product was chromatographed on silica gel, first with 500 ml $CH_2Cl_2$ followed by 800 ml of diethyl ether. The ether fraction yielded 15.6 g (55 %) of **1b** as yellow foam. After recrystallization in MeOH a 1:1 inclusion complex of **1b** and MeOH was obtained. The yield was 15.2 g inclusion complex, thus 14.3 g **1b** (51 %).

*(4R,5R)-[5-hydroxy-di-m-tolyl-methyl)-2,2-dimethyl[1,3]dioxolane-4-yl]-di-m-tolyl-methanol* ***1c***

Procedure A: 3 g (14 mmol) (4*R*,5*R*)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester in 50 ml diethyl ether
10 ml (82 mmol) *meta*-bromotoluene
2 g (82 mmol) magnesium turnings
50 ml diethyl ether

The crude product was chromatographed on silica gel (heptane/EtOAc 4:1), yielded in 2.4 g (34 %) of **1c** as yellow foam. After recrystallization from hexane pure **1c** was obtained as a white solid. The yield was 2.0 g (28 %). Mp: 110 °C; ΔH=26.84kJ/mol; $[\alpha]_D^{20}$ = -56 (c 1, $CHCl_3$), $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.39-7.37 (d, 4H, arom. $H_3C$-C-C<u>H</u>, J = 7.8 Hz), δ 7.30-7.10 (m, 8H, arom.), δ 7.05-7.03 (d, 4H, arom. $H_3C$-C- C<u>H</u>-CH, J = 6.9 Hz), δ 4.57 (s, 2H, -C<u>H</u>), δ 3.79 (s, 2H, -O<u>H</u>), δ 2.33 (s, 6H, Ph-C<u>H</u>$_3$), δ 2.27 (s, 6H, Ph-C<u>H</u>$_3$), δ 1.06 (s, 6H, -C<u>H</u>$_3$); IR: ν 3312 cm$^{-1}$ (-OH), ν 3035 cm$^{-1}$ (-$CH_{arom.}$), ν 2882 cm$^{-1}$ (-$CH_{methyl.}$), ν 1377 cm$^{-1}$ (-$CH_3$,symm.d.), Elemental analysis for $C_{35}H_{38}O_4 \cdot CH_4O$: calc.C 77.95%, H 7.63%, found C 78.14%, H 7.54%; MS: ($\frac{m}{z}$) 523 ($M^+$)

Procedure B: 11.8 g (0.06 mol) (4*R*,5*R*)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester in 100 ml diethyl ether
40.5 ml (0.33 mol) *meta*-bromotoluene in 100 ml diethyl ether
200 ml (0.33 mol) *n*-butyl lithium (1.6 m in hexane)

The crude product was dissolved in hexane and by seeding with crystals of pure **1c**, 13.2 g **1c** was obtained as a white solid (yield 41 %).

*(4R,5R)-[5-hydroxy-di-p-tolyl-methyl)-2,2-dimethyl[1,3]dioxolane-4-yl]-di-p-tolyl-methanol* ***1d***

Procedure A: 30 g (0.14 mol) (4*R*,5*R*)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester in 200 ml diethyl ether
101.6 ml (0.84 mol) *para*-bromotoluene
20 g (0.84 mol) magnesium turnings
300 ml diethyl ether

The crude product was chromatographed on silica gel, first with 500 ml $CH_2Cl_2$ followed by 700 ml of diethyl ether. The ether fraction yielded 34.9 g (48.5 %) of **1d** as a yellow foam. After recrystallisation in MeOH a 1:1 inclusion complex of **1c** and MeOH was obtained. The yield was 35.1 g inclusion complex, thus 32.99 g **1d** (45 %).
Mp: 116 °C; ΔH=55.26 kJ/mol; $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.37-7.34 (d, 4H, arom. $H_3C$-C-CH-C<u>H</u>, J = 8.1 Hz), δ 7.22-7.19 (d, 4H, arom. $H_3C$-C-CH-C<u>H</u>, J = 8.1 Hz), δ 7.12-7.10 (d, 4H, arom. $H_3C$-C- C<u>H</u>, J = 8.3 Hz), δ 7.05-7.02 (d, 4H, arom. $H_3C$-C- C<u>H</u>, J = 8.3 Hz), δ 4.53 (s, 2H, -C<u>H</u>), δ 4.23 (s, 2H, -O<u>H</u>), δ 2.35 (s, 6H, Ph-C<u>H</u>$_3$), δ 2.28 (s, 6H, Ph-C<u>H</u>$_3$), δ 1.05 (s, 6H, -C<u>H</u>$_3$) and MeOH δ 3.38 (s, 3H, -C<u>H</u>$_3$), δ 1.17 (b, 1H, -OH);
Methanol was removed by azeotropic evaporation with cyclohexane and **1d** was obtained as a white solid. Mp: 80 °C, $[\alpha]_D^{20}$= -49 (c 1, $CHCl_3$); $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.37-7.34 (d, 4H, arom., $H_3C$-C-CH-C<u>H</u>, J = 8.1 Hz), δ 7.22-7.19 (d, 4H, arom. $H_3C$-C-CH-C<u>H</u>, J = 8.1 Hz), δ 7.12-7.10 (d, 4H, arom., $H_3C$-C- C<u>H</u>, J = 8.3 Hz), δ 7.05-7.02 (d,4H, arom. $H_3C$-C- C<u>H</u>, J = 8.3 Hz), δ 4.53 (s, 2H, -C<u>H</u>), δ 3.90 (s, 2H, -O<u>H</u>), δ 2.35 (s, 6H, Ph-C<u>H</u>$_3$), δ 2.28 (s, 6H, Ph-C<u>H</u>$_3$), δ 1.05 (s, 6H, -C<u>H</u>$_3$); ); IR: ν 3312 cm$^{-1}$ (-OH), ν 3035 cm$^{-1}$ (-$CH_{arom.}$), ν 2882 cm$^{-1}$ (-$CH_{methyl.}$), ν 1377 cm$^{-1}$ (-$CH_3$,symm.d.), Elemental analysis for $C_{35}H_{38}O_4 \cdot CH_4O$: calc.C 77.95%, H 7.63%, found C 78.14%, H 7.54%; MS: ($\frac{m}{z}$) 523 ($M^+$)

Procedure B: 10 g (0.05 mol) (4*R*,5*R*)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester in 100 ml diethyl ether
34 ml (0.28 mol) *para*-bromotoluene in 100 ml diethyl ether
168 ml (0.28 mol) *n*-butyl lithium (1.6 m in hexane)
The crude product was dissolved in MeOH and by seeding with crystals of the 1:1 complex of **1c** with MeOH, 14.2 g of this complex was obtained. The MeOH was then removed by refluxing a solution of the complex in benzene with a soxhlet extractor for 24 h. After removing the benzene and drying under reduced pressure **1d** was obtained as a white solid (yield 53 %).

*(4R,5R)-[5-hydroxy-di-(o-methoxy-phenyl)-methyl)-2,2-dimethyl[1,3]dioxolane-4-yl]-di-(o-methoxy-phenyl)-methanol* **1e**

Procedure B: 10 g (0.05 mol) (4*R*,5*R*)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester in 100 ml diethyl ether
34 ml (0.28 mol) *ortho*-bromotoluene in 100 ml diethyl ether
168 ml (0.28 mol) *n*-butyl lithium (1.6 m in hexane)
The crude product was chromatographed on silica gel, first with 200 ml $CH_2Cl_2$ followed by 300 ml of diethyl ether. The ether fraction yielded 15.2 g (56 %) of **1e** as yellow foam. After recrystallisation in MeOH a 1:1 inclusion complex of **1e** and MeOH was obtained. The yield was 15.85 g inclusion complex, thus 14.9 g **5** (55 %). Mp (with MeOH): 152°C; ΔH (with MeOH)= 13.27 kJ/mol; Mp: 223 °C; $[\alpha]_D^{20}$= +134 (c 0.2, $CHCl_3$).
Methanol was removed by azeotropic evaporation with cyclohexane and **1e** was obtained as a white solid. $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.96-7.93 (dd, 2H, arom., $J_1$ = 7.8 Hz, $J_2$ = 1.8 Hz), δ 7.72-7.69 (dd, 2H, arom., $J_1$ = 7.8 Hz, $J_2$ = 1.8 Hz), δ 7.11-7.05 (td, 2H, arom., $J_1$ = 7.5 Hz, $J_2$ = 1.2), δ 6.96-6.90 (td, 2H, arom., $J_1$ = 7.5 Hz, $J_2$ = 1.2), δ 7.00-6.71 (m, 4H, arom.), δ 6.69-6.65 (dd, 2H, arom., $J_1$ = 8.1 Hz, $J_2$ = 1.2), δ 5.92-5.89 (dd, 2H, arom., $J_1$ = 7.8 Hz, $J_2$ = 1.5), δ 5.67 (d, 2H, -C<u>H</u>, J=2.7), δ 5.24 (d, 2H, -O<u>H</u>, J=2.7), δ 3.36 (s, 6H, Ph-CO<u>H</u>$_3$), δ 3.11 (s, 6H, Ph-CO<u>H</u>$_3$), δ 1.58 (s, 6H, -C<u>H</u>$_3$); IR: ν 3504 cm$^{-1}$ (-OH), ν 2975 cm$^{-1}$ (-$CH_{arom.}$), ν 2931 cm$^{-1}$ (-$CH_{methyl.}$), ν 2832 cm$^{-1}$ (-$OCH_3$), ν 1371 cm$^{-1}$ (-$CH_3$,symm.d.), MS: ($\frac{m}{z}$) 587 ($M^+$); Elemental analysis for $C_{35}H_{38}O_8$: calc.C 71.65%, H 6.53%, found C 71.49%, H 6.53%.

*(4R,5R)-[5-hydroxy-di-(m-methoxy-phenyl)-methyl)-2,2-dimethyl[1,3]dioxolane-4-yl]-di-(m-methoxy-phenyl)-methanol* **1f**

Procedure A: 10 g (46 mmol) (4*R*,5*R*)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester in 100 ml diethyl ether
34.4 ml (276 mmol) *meta*-bromoanisole
6.7 g (276 mmol) magnesium turnings
150 ml diethyl ether

The crude product was chromatographed on silica gel, first with 200 ml $CH_2Cl_2$ followed by 300 ml of diethyl ether. The ether fraction yielded 10.3 g (38 %) of **1f** as yellow foam.

Mp: 125°C; $[\alpha]_D^{20}$ = -33 (c 0.2, $CHCl_3$); $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.27-7.21 (m, 2H, arom.), δ 7.20-7.14 (m, 4H, arom.), δ 7.10-7.09 (t, 2H, arom., J = 14.0 Hz), δ 6.96-6.93 (m, 4H, arom.), δ 6.85-6.81 (dd, 2H, arom., $J_1$ = 8.1 Hz, $J_2$ = 0.9 Hz), δ 6.77-6.73 (dd, 2H, arom., $J_1$ = 8.1 Hz, $J_2$ = 0.9 Hz), δ 4.57 (s, 2H, -C<u>H</u>), δ 3.92 (s, 2H, -O<u>H</u>), δ 3.76 (s, 6H, Ph-CO<u>H</u>$_3$), δ 3.70 (s, 6H, Ph-CO<u>H</u>$_3$), δ 1.10 (s, 6H, -C<u>H</u>$_3$); ); IR: ν 3345 cm$^{-1}$ (-OH), ν 2975 cm$^{-1}$ (-$CH_{arom.}$), ν 2930 cm$^{-1}$ (-$CH_{methyl.}$), ν 2833 cm$^{-1}$ (-$OCH_3$), ν 1371 cm$^{-1}$ (-$CH_3$,symm.d.), MS: ($\frac{m}{z}$) 586; Elemental analysis for $C_{35}H_{38}O_8$: calc.C 71.65%, H 6.53%, found C 72.06%, H 6.68%.

*(4R,5R)-[5-hydroxy-di-(p-methoxy-phenyl)-methyl)-2,2-dimethyl[1,3]dioxolane-4-yl]-di-(p-methoxy-phenyl)-methanol* **1g**

Procedure A: 10 g (46 mmol) (4*R*,5*R*)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester in 100 ml diethyl ether
34.4 ml (276 mmol) *para*-bromoanisole
6.7 g (276 mmol) magnesium turnings
150 ml diethyl ether

The crude product was chromatographed on silica gel, first with 200 ml $CH_2Cl_2$ followed by 300 ml of diethyl ether. The ether fraction yielded 22.3 g (83 %) of **1g** as yellow foam, from which, after trituration in MeOH a 1:1 inclusion complex of **1g** and MeOH was obtained. The yield was 22.4 g (79 %).

Mp: 102°C; ΔH=51.14kJ/mol; $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.45-7.42 (d, 4H, arom. $H_3$OC-C-CH-C<u>H</u>, J = 8.8Hz), δ 7.25-7.22 (d, 4H, arom. $H_3$OC-C-CH-C<u>H</u>, J = 9.0 Hz), δ 6.87-6.84 (d, 4H, arom. $H_3$OC-C- C<u>H</u>, J = 8.8 Hz), δ 6.78-6.75 (d, 4H, arom. $H_3$OC-C- C<u>H</u>, J = 8.3 Hz), δ 4.46 (s, 2H, -C<u>H</u>), δ 4.22 (s, 2H, -O<u>H</u>), δ 3.82 (s, 6H, Ph-CO<u>H</u>$_3$), δ 3.75 (s, 6H, Ph-CO<u>H</u>$_3$), δ 1.06 (s, 6H, -C<u>H</u>$_3$) and MeOH δ 3.38 (s, 3H, -C<u>H</u>$_3$), δ 1.61 (b, 1H, -OH).

Methanol was removed by azeotropic evaporation with cyclohexane and **1g** was obtained as a white solid. Mp: 171°C; $[\alpha]_D^{20}$ = -52 (c 0.99, $CHCl_3$); ΔH=39.44 kJ/mol; IR: ν 3303 cm$^{-1}$ (-OH), ν 2960 cm$^{-1}$ (-$CH_{arom.}$), ν 2914 cm$^{-1}$ (-$CH_{methyl.}$), ν 2847 cm$^{-1}$ (-$OCH_3$), ν 1370 cm$^{-1}$ (-$CH_3$,symm.d.), MS: ($\frac{m}{z}$) 587 ($M^+$); Elemental analysis for $C_{35}H_{38}O_8$: calc.C 71.65%, H 6.53%, found C 71.52%, H 6.59%.

*(4R,5R)-[5-hydroxy-di-(p-chloro-phenyl)-methyl)-2,2-dimethyl[1,3]dioxolane-4-yl]-di-(p-chloro-phenyl)-methanol* **1h**

Procedure B: 7.1 g (0.032 mol) *(4R,5R)-2,2-dimethyl [1,3] dioxolane-4,5-dicarboxyl-dimethylester* in 80 ml THF
30.63 g (0.16 mol) *para*-chlorobromobenzene in 80 ml THF
100 ml (0.16 mol) *n*-butyl lithium (1.6 m in hexane)

The crude product was chromatographed on silica gel, first with 100 ml $CH_2Cl_2$ followed by 200 ml of diethyl ether. The ether fraction yielded 15.6 g (81 %) of **1h** as yellow foam, from which pure **1h** was obtained after crystallization from hexane. The yield was 14.1 g (73 % **1h**).

Mp: 180°C; $[\alpha]_D^{20}$ = +90(c 0.1, $CHCl_3$); $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.75-7.71 (dt, 2H, arom., $J_1$ = 9.3 Hz, $J_2$ = 2.4), δ 7.52-7.47 (dt, 2H, arom., $J_1$ = 9.3 Hz, $J_2$ = 2.4), δ 7.38-7.25 (m, 10H, arom.), δ

6.78-6.75 (dt, 2H, arom., $J_1$ = 9.3 Hz, $J_2$ = 2.4), δ 5.69-5.67 (d, 2H, -C<u>H</u>$_2$, J = 6.3), δ 4.99-4.97 (d, 2H, -O<u>H</u>, J = 6.3), δ 1.38 (s, 6H, -C<u>H</u>$_3$); ); IR: ν 3479 cm$^{-1}$ (-OH), ν 2965 cm$^{-1}$ (-CH$_{arom.}$), ν 2920 cm$^{-1}$ (-CH$_{methyl.}$), ν 1373 cm$^{-1}$ (-CH$_3$,symm.d.), ν 1090 cm$^{-1}$ (-CCl); MS: ($\frac{m}{z}$) 309 $CH_3COCHCOH(C_6H_4Cl)_2$+1, 293 $COCHCOH(C_6H_4Cl)_2$, 265 $CHCOH(C_6H_4Cl)_2$, 251 $COH(C_6H_4Cl)_2$, 139 $COHC_6H_4Cl$-1, 111 $C_6H_4Cl$ -1; Elemental analysis for $C_{31}H_{26}Cl_4O_4$: calc. C 61.61%, H 4.34%, found C 61.21%, H 4.61%.

*(4R,5R)-{3-[hydroxy-(diphenyl)-methyl]-1,4-dioxaspiro[4,5]dec-2-yl}(diphenyl)-methanol* **1i**

Procedure B: 14.2 g (55 mmol) *(2R,3R)-dioxaspiro [4,5] decane-2,3-dicarboxyl-dimethylester* in 80 ml THF
33 ml (0.33 mol) bromobenzene in 100 ml diethyl ether
200 ml (0.33 mol) *n*-butyl lithium (1.6 m in hexane)

The crude product was chromatographed on silica gel, first with 200 ml $CH_2Cl_2$ followed by 400 ml of diethyl ether. The ether fraction yielded 24.3 g (88%) of **1i** as a yellow foam. After recrystallisation in MeOH a 1:1 inclusion complex of **1i** and MeOH was obtained. Methanol was removed by azeotropic evaporation with cyclohexane and **1i** was obtained as a white solid.

Mp: 198°C; $[\alpha]_D^{20}$ = -72 (c 1, $CHCl_3$); ΔH=39.94 kJ/mol; $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.53-7.23 (m, 20H, arom.), δ 4.56 (s, 2H, -C<u>H</u>), δ 3.92 (s, 2H, -O<u>H</u>), δ 1.44-1.40 (m, 10H, -C<u>H</u>$_2$); IR: ν 3320 cm$^{-1}$ (-OH), ν 2974 cm$^{-1}$ (-CH$_{arom.}$), ν 2932 cm$^{-1}$ (-CH$_{methyl.}$), ν 1445 cm$^{-1}$ (-CH$_2$)

*(4R,5R)-{3-[hydroxy-(di-p-tolyl)-methyl]-1,4-dioxaspiro[4,5]dec-2-yl}(di-p-tolyl)-methanol* **1j**

Procedure B: 7.04 g (27 mmol) *(2R,3R)-dioxaspiro [4,5] decane-2,3-dicarboxyl-dimethylester* in 80 ml THF
20.4 ml (0.17 mol) *para*-bromotoluene in 100 ml diethyl ether
101 ml (0.28 mol) *n*-butyl lithium (1.6 m in hexane)

The crude product was chromatographed on silica gel, first with 200 ml $CH_2Cl_2$ followed by 300 ml of diethyl ether. The ether fraction yielded 8.6 g (56 %) of **1j** as yellow foam. After recrystallization in MeOH a 1:1 inclusion complex of **1j** and MeOH was obtained. Methanol was removed by azeotropic evaporation with cyclohexane and **1j** was obtained as a white solid (6.4 g, 42%). ΔH=26.71 kJ/mol; Mp: 195°C; $[\alpha]_D^{20}$ = -65 (c 0.9, $CHCl_3$); $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.39-7.37 (d, 4H, arom., J = 8.1 Hz), δ 7.26-7.24 (d, 4H, arom., J = 8.1), δ 7.10-7.08 (d, 4H, arom., J = 8.1 Hz), δ 7.07-7.04 (d, 4H, arom., J = 8.1 Hz), δ 4.51 (s, 2H, -C<u>H</u>), δ 3.90 (s, 2H, -O<u>H</u>), δ 2.35 (s, 6H, Ph-C<u>H</u>$_3$), δ 2.29 (s, 6H, Ph-C<u>H</u>$_3$), δ 1.46-1.14 (m, 10H, -C<u>H</u>$_2$); ); IR: ν 3317 cm$^{-1}$ (-OH), ν 3025 cm$^{-1}$ (-CH$_{arom.}$), ν 2931 cm$^{-1}$ (-CH$_{methyl.}$), ν 1445 cm$^{-1}$ (-CH$_2$)ν 1365 cm$^{-1}$ (-CH$_3$,symm.d.), Elemental analysis for $C_{38}H_{42}O_4$: calc.C 81.11%, H 7.52%, found C 81.04%, H 7.62%; MS: ($\frac{m}{z}$) 562

*(4R,5R)-{hydroxy-[di(p-tolyl)]methyl]-1,3-dioxolan-4-yl[di(p-tolyl)]methanol* **1k**

Procedure B: 5.2 g (27 mmol) 1,3-dioxolan(4R,5R)-dicarboxyl-dimethylester
20.4 ml (0.17 mol) *para*-bromotoluene in 100 ml diethyl ether
101 ml (0.28 mol) *n*-butyl lithium (1.6 m in hexane)

The crude product was chromatographed on silica gel, first with 100 ml $CH_2Cl_2$ followed by 200 ml of diethyl ether. The ether fraction yielded 7.0 g (52 %) of **1k** as a yellow foam, from which pure **1k** was obtained after crystallisation from hexane. The yield was 4.7 g (35 %) **1k**.

Mp: 122°C; $[\alpha]_D^{20}$ = +14(c 0.9, $CHCl_3$); $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.38-7.35 (d, 4H, arom., J = 8.3 Hz), δ 7.16-7.13 (d, 4H, arom., J = 8.3), δ 7.12-7.09 (d, 4H, arom., J = 8.3 Hz), δ 6.95-6.93 (d, 4H, arom., J = 8.3 Hz), δ 5.03 (s, 2H, -C<u>H</u>), δ 4.76 (s, 2H, -O<u>H</u>), δ 2.52 (s, 2H, -C<u>H</u>$_2$); δ 2.31 (s, 6H, Ph-C<u>H</u>$_3$), δ 2.26 (s, 6H, Ph-C<u>H</u>$_3$); IR: ν 3375 cm$^{-1}$ (-OH), ν 3026 cm$^{-1}$ (-CH$_{arom.}$), ν 2921 cm$^{-1}$ (-CH$_{methyl.}$), ν 1450 cm$^{-1}$ (-CH$_2$), ν 1408 cm$^{-1}$ (-CH$_2$), Elemental analysis for $C_{33}H_{34}O_4$: calc.C 80.13%, H 6.93%, found C 81.06%, H 7.15%; MS: ($\frac{m}{z}$) 494

*(4R,5R)-(5-{hydroxy[di(p-toly)]methyl)-2-phenyl-1,3-dioxolan-4-yl)[di(p-toly)]methanol* **1l**
Procedure B: 1.5 g (6 mmol) *2-phenyl-1,3-dioxolan(4R,5R)-dicarboxyl-dimethylester*
4 ml (43 mmol) *para*-bromotoluene in 20 ml diethyl ether
20 ml (43 mmol) *n*-butyl lithium (1.6 m in hexane)
The crude product was chromatographed on silica gel, first with 30 ml $CH_2Cl_2$ followed by 100 ml of diethyl ether. The ether fraction yielded 1.7 g (49 %) of **1l** as a yellow foam, from which pure **1l** was obtained after crystallisation from hexane. The yield was 1.1 g (31 %) **1l**.
Mp: 57°C; $[\alpha]_D^{20}$ = +32(c 0.2, $CHCl_3$); $^1$H-NMR (300 MHz, $CDCl_3$: δ 7.52-7.39 (m, 5H, arom.), δ 7.33-7.30 (d, 4H, arom., J = 8.0 Hz), δ 7.21-7.18 (d, 4H, arom., J = 8.0 Hz), δ 7.15-7.11 (d, 4H, arom., J = 8.0 Hz), δ 7.08-7.01 (d, 4H, arom., J = 8.0 Hz), δ 5.85 (s, 1H, -CHPh), δ 4.86 (d, 1H, J=3.7, -CH), δ 4.74 (d, 1H, J=3.7, -CH), δ 4.60 (s, 2H, -OH), δ 2.33 (s, 6H, Ph-$CH_3$), δ 2.26 (s, 6H, Ph-$CH_3$); IR: ν 3393 cm$^{-1}$ (-OH), ν 3008 cm$^{-1}$ (-$CH_{arom.}$), ν 2927 cm$^{-1}$ (-$CH_{methyl.}$); MS: ($\frac{m}{z}$) 447 $(CHCOH(C_6H_4CH_3)_2)_2$, 211 $COH(C_6H_4CH_3)_2$ +1, 195 $C(C_6H_4CH_3)_2$ +1, 134 $CCOHC_6H_4CH_3$, 119 $C_6H_4CH_3$ –1, 105 $CC_6H_4CH_3$ +1, 91 $C_6H_4CH_3$ –1, 77 $C_6H_4$ +1; Elemental analysis for $C_{39}H_{38}O_4$: calc.C 82.08%, H 6.71%, found C 80.83%, H 7.58%

***Ib: Synthesis of host compounds derived from lactic acid and other hydroxy acids.***

*General procedure for Grignard reactions*
The Grignard reagents were prepared from magnesium turnings (21.6 g, 0.9 mol) in $Et_2O$ (100 ml) and the respective bromoaryl compound (0.9 mol) in $Et_2O$ (200 ml). The cooled Grignard solution was diluted with dry $Et_2O$ (200 ml) and the ethyl L-lactate (35.4 g, 0.3 mol) added in etheral solution. The mixture was refluxed for 2 h and then stirred overnight at room temperature. Work-up of the reaction mixture, including hydrolysis (saturated $NH_4Cl$ solution), extraction with $Et_2O$, washing, drying ($MgSO_4$), and evaporation of the solvent under reduced pressure yielded the crude product. Purification was effected by recrystallization from light petroleum (b.p. 60-90°C) or $Et_2O$.

*General procedure for BuLi reaction*
THF (100 ml) was added to a solution of 0.11 mol of butyllithium in 77 ml of hexane with cooling to below 0°C. The mixture was cooled (occasionally cooling in a bath with liquid nitrogen) to ca. –105°C. A mixture of 0.1 mol of the bromoaryl compound in 30 ml of $Et_2O$ was added dropwise over 10 min, while keeping the temperature between –100 and –105°C. A white suspension was formed. After an additional 10 min, 0.03 mol (3.54 g) of ethyl lactate was added over 10 min with vigorous stirring while keeping the temperature below –80°C. During the addition, the suspension disappeared. The temperature was allowed to rise to 20°C. Ammoniumchloride solution (100 ml) was added, after which the product was isolated in the usual way.

*Mitsunobu reaction*
*Synthesis of O-Arylated Lactic Acid derivatives*
The reaction was performed under Argon atmosphere. A solution of DEAD (110 mmol) in dry THF (75 ml) was added dropwise during 1 h to a mixture of (*S*)-ethyl lactate (100 mmol), the substituted phenol (100mmol), and triphenylphosphine (100 mmol) in THF (150 ml). The reaction mixture was subsequently stirred at ambient temperature overnight. The THF was evaporated and diethyl ether was added in order to precipitate the triphenylphosphine oxide formed, which was filtered off. This procedure was repeated several times.

*Synthesis of S-(-)-1,1-diphenyl-1,2-propanediol* ***2a*** *(by general procedure BuLi reaction).*
In the Grignard reaction bromobenzene was used. Yield 85%. Mp: 91.7°C; ΔH=99.15 J/g; (Lit[1]. M.p. 91-93°C); $[\alpha]_D^{20}$ = -141 (c 2.6, Benzene) [Lit[1]. $[\alpha]_D^{20}$ = +149 (*R*) (c 2.4, Benzene)]; $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.62-7.21 (m, 10H, arom.), δ 4.84-4.74 (q, 1H, $CH_3$-C<u>H</u>, J = 3.9 Hz), δ 3.05 (s, 1H, tert. O<u>H</u>), δ 1.94-1.90 (d, 1H, sec. O<u>H</u>, J = 3.8 Hz), δ 1.11-1.05 (d, 3H, -C<u>H</u>$_3$, J = 6.2 Hz); IR (film) $\nu$ 3567 (m, free OH), 3503 (broad, s, OH), 3050-3090 (v, C-H, arom.) cm$^{-1}$, EA Found: C, 78.07; H, 7.16; $C_{15}H_{16}O_2$ requires C, 78.92; H, 7.06%

*Synthesis of S-(-)-1,1-bis-o-tolyl-1,2-propanediol* ***2b*** *(by general procedure Grignard reaction).*
In the butyllithium-halogen-reaction *o*-bromotoluene was used. Yield 40%. Mp: 75.8°C; ΔH=80.54 J/g; $[\alpha]_D^{20}$ = -150 (c 2, MeOH); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.76-6.80 (m, 8H, arom.), δ 4.95-4.84 (dq, 1H, $CH_3$-C<u>H</u>, J = 5.3 Hz), δ 3.01 (s, 1H, tert. O<u>H</u>), δ 2.11-2.06 (d, 1H, sec. O<u>H</u>, J = 5.2 Hz), δ 2.01-1.96 (d, 6H, phenyl-C<u>H</u>$_3$, J = 5.0 Hz), δ 1.04-0.98 (d, 3H, -C<u>H</u>$_3$, J = 6.3 Hz); IR (KBr) $\nu$ 3553 (m, free OH), 3387 (broad, s, OH), 3103-3060 (v, C-H, arom.) cm$^{-1}$; EA Found: C, 79.70; H, 7.84; $C_{15}H_{16}O_2$ requires C, 79.65; H, 7.86%; GC-MS m/z calcd for $C_{17}H_{20}O_2$ ($M^+$) 256, found 255 (M-1H), 239 (M-1OH).

*Synthesis of S-(-)-1,1-bis-m-tolyl-1,2-propanediol* ***2c*** *(by general procedure BuLi reaction).*
In the butyllithium-halogen-exchange reaction *m*-bromotoluene was used. Yield 72%. Mp: 65°C (Lit[2]. M.p. 36°C); $[\alpha]_D^{20}$ = -93 (c=2, MeOH); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.43-7.02 (m, 8H, arom.), δ 4.85-4.76 (dq, 1H, $CH_3$-C<u>H</u>, J = 2.6 Hz), δ 2.93 (s, 1H, tert. O<u>H</u>), δ 2.34-2.31 (d, 6H, phenyl-C<u>H</u>$_3$, J = 2.7 Hz); δ 1.85-1.82 (d, 1H, sec. O<u>H</u>, J = 3.4 Hz), δ 1.13-1.07 (d, 3H, -C<u>H</u>$_3$, J = 6.2 Hz); IR (KBr) $\nu$ 3500 (broad, s, OH), 3056-3032 (v, C-H, arom.) cm$^{-1}$; GC-MS m/z calcd for $C_{17}H_{20}O_2$ ($M^+$) 256, found 255 (M-1H), 239 (M-1OH).

*Synthesis of S-(-)-1,1-bis-p-tolyl-1,2-propanediol* ***2d*** *(by general procedure Grignard reaction).*
In the Grignard reaction *p*-bromotoluene was used. Yield 79%. Mp: 92°C; ΔH=85.48 J/g; (Lit[3]) M.p. 91-93°C); $[\alpha]_D^{20}$ = -82 (c 2, MeOH) [Lit.[3] $[\alpha]_D^{20}$ = -85 (c 2, MeOH)]; $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.51-7.03 (m, 8H, arom.), δ 4.86-4.63 (dq, 1H, $CH_3$-C<u>H</u>, J = 2.4 Hz), δ 2.92 (s, 1H, tert. O<u>H</u>), δ 2.30-2.28 (d, 6H, phenyl-C<u>H</u>$_3$, J = 2.4 Hz); δ 1.90-1.87 (d, 1H, sec. O<u>H</u>, J = 3.8 Hz), δ 1.12-1.06 (d, 3H, -C<u>H</u>$_3$, J = 6.2 Hz); IR (film) $\nu$ 3548 (m, free OH), 3435 (broad, s, OH), 3027-3096 (v, C-H, arom.) cm$^{-1}$; GC-MS m/z calcd for $C_{17}H_{20}O_2$ ($M^+$) 256, found 255 (M-1H), 239 (M-1OH).

*Synthesis of S-(-)-1,1-bis-o-anisyl-1,2-propanediol* ***2e*** *(by general procedure BuLi reaction).*
In the butyllithium-halogen-exchange reaction *o*-bromoanisol was used. Yield 73%. Mp: 98°C; ΔH=88.09 J/g; $[\alpha]_D^{20}$ = -83 (c 2, MeOH); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.79-6.68 (m, 8H, arom.), δ 5.07 (s, 1H, O<u>H</u>), δ 5.21-5.06 (dq, 1H, $CH_3$-C<u>H</u>, J = 3.4 Hz), δ 3.58-3.46 (d, 6H, OC<u>H</u>$_3$, J = 12.3 Hz); δ 2.76-2.06 (d, 1H, sec. O<u>H</u>, J = 9.9 Hz), δ 1.05-0.99 (d, 3H, -C<u>H</u>$_3$, J = 6.3 Hz); IR (film) $\nu$ 3541 (m, free OH), 3474 (br, s, OH), 3066 (v, C-H, arom.), 2834 (s, -$OCH_3$) cm$^{-1}$; EA Found: C, 71.18; H, 7.17; $C_{17}H_{20}O_4$ requires C, 70.81; H, 6.99%; MS (EI) m/z calcd for $C_{17}H_{20}O_4$ ($M^+$) 288, found 270 (M-1$H_2O$), 243 [M-$CH_3C$(OH)H], 181 (M-anisyl).

*Synthesis of S-(-)-1,1-bis-m-anisyl-1,2-propanediol* ***2f*** *(by general procedure BuLi reaction).*
In the butyllithium-halogen-exchange reaction *m*-bromoanisol was used. Yield 45%. Mp: 84.4°C; ΔH=115.85 J/g; $[\alpha]_D^{20}$ = -91 (c 2, MeOH); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.43-6.55 (m, 8H, arom.), δ 4.86-4.67 (q, 1H, $CH_3$-C<u>H</u>, J = 6.2 Hz), δ 3.77 (s, 6H, OC<u>H</u>$_3$), δ 3.90 (br, 1H, O<u>H</u>), δ 1.90 (br, 1H,

O<u>H</u>), δ 1.13-1.07 (d, 3H, -C<u>H</u>$_3$, J = 6.3 Hz); IR ($CHCl_3$) $\nu$ 3550-3400 (br, OH), 2990-2800 (v, C-H, arom.), 2840 (s, -$OCH_3$) $cm^{-1}$; MS (CI) m/z calcd for $C_{17}H_{20}O_4$ ($M^+$) 288, found 289 (M+1H), 271 (M-OH), 243 [M-$CH_3C(OH)H$].

*Synthesis of S-(-)-1,1-bis-p-anisyl-1,2-propanediol* ***2g*** *(by general procedure BuLi reaction).*
In the butyllithium-halogen-exchange reaction *p*-bromoanisol was used. Yield 79%. Mp: 58°C; $[\alpha]_D^{20}$ = -82 (c 2, MeOH); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.51-7.03 (m, 8H, arom.), δ 4.86-4.63 (dq, 1H, $CH_3$-C<u>H</u>, J = 2.4 Hz), δ 2.92 (s, 1H, tert. O<u>H</u>), δ 2.30-2.28 (d, 6H, phenyl-C<u>H</u>$_3$, J = 2.4 Hz); δδ 1.90-1.87 (d, 1H, sec. O<u>H</u>, J = 3.8 Hz), δ 1.12-1.06 (d, 3H, -C<u>H</u>$_3$, J = 6.2 Hz); IR (film) $\nu$ 3548 (m, free OH), 3435 (broad, s, OH), 3027-3096 (v, C-H, arom.) $cm^{-1}$; MS (EI) m/z calcd for $C_{17}H_{20}O_4$ ($M^+$) 288, found 287 (M-1H), 271 (M-1OH)

*Synthesis of S-(-)-1,1-bis-o-trifluoromethylbenzene-1,2-propanediol* ***2i*** *(by general procedure BuLi reaction).*
In the butyllithium-halogen-exchange reaction *o*-trifluoromethylbromobenzene was used. Yield 51% (oil); $[\alpha]_D^{20}$ = -33 (c 1.2, $CHCl_3$); $^1$H-NMR (100 MHz, $CDCl_3$): δ 8.02-7.25 (m, 8H, arom.), δ 5.02-4.84 (q, 1H, $CH_3$-C<u>H</u>, J = 5.8 Hz), δ 3.60 (s, 1H, tert. O<u>H</u>), δ 2.29 (br, 1H, sec. O<u>H</u>), δ 1.04-0.97 (d, 3H, -C<u>H</u>$_3$, J = 6.3 Hz); IR (film) $\nu$ 3361 (br, OH), 2975-2866 (v, C-H, arom.), 1307 (s, C-F) $cm^{-1}$; MS (CI) m/z calcd for $C_{17}H_{14}F_6O_2$ ($M^+$+H) 365, found 365 (M+1H),

*Synthesis of S-(-)-1,1-bis-m-trifluoromethylbenzene-1,2-propanediol* ***2j*** *(by general procedure BuLi reaction).*
In the butyllithium-halogen-exchange reaction *m*-trifluoromethylbromobenzene was used. Yield 39% (oil); $[\alpha]_D^{20}$ = -47 (c 1.1, $CHCl_3$); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.9-7.26 (m, 8H, arom.), δ 4.95-4.78 (q, 1H, $CH_3$-C<u>H</u>, J = 6 Hz), δ 3.20 (s, 1H, tert. O<u>H</u>), δ 1.8 (s, 1H, sec. O<u>H</u>), δ 1.12-1.06 (d, 3H, -C<u>H</u>$_3$, J = 6.2 Hz); IR (film) $\nu$ 3372 (br, OH), 2963-2891 (v, C-H, arom.), 1326 (s, C-F) $cm^{-1}$; MS (CI) m/z calcd for $C_{17}H_{14}F_6O_2$ ($M^+$) 364, $CI^+$ found 345, 320 (M-$CH_3C(OH)H$),

*Synthesis of S-(-)-1,1-bis-p-trifluoromethylbenzene-1,2-propanediol* ***2k*** *(by general procedure BuLi reaction).*
In butyllithium-halogen-exchange reaction *p*-trifluoromethylbromobenzene was used. Yield 46% (oil). $[\alpha]_D^{20}$ = -50 (c 1.6, $CHCl_3$); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.79-7.08 (m, 8H, arom.), δ 4.89-4.60 (q, 1H, $CH_3$-C<u>H</u>, J = 6.1 Hz), δ 3.2 (s, 1H, tert. O<u>H</u>); δ 1.83-1.80 (d, 1H, sec. O<u>H</u>, J = 3.0 Hz), δ 1.13-1.07 (d, 3H, -C<u>H</u>$_3$, J = 6.0 Hz); IR (film) $\nu$ 3382 (br, OH), 2931-2870 (v, C-H, arom.), 1323 (s, C-F) $cm^{-1}$; MS (CI) m/z calcd for $C_{17}H_{14}F_6O_2$ ($M^+$) 364, found 347 (M-1OH), 319, 301

*Synthesis of S-(-)-1,1-bis-p-chlorobenzene-1,2-propanediol* ***2h*** *(by general procedure BuLi reaction).*
In the butyllithium-halogen-exchange reaction *p*-bromochlorobenzene was used. Yield 41%. Mp: 80.8°C; ΔH=75.52 J/g; (Lit[4] M.p. 95°C); $[\alpha]_D^{20}$ = -95 (c 1, $CHCl_3$); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.54-7.18 (m, 8H, arom.), δ 4.80-4.61 (q, 1H, $CH_3$-C<u>H</u>, J = 6.3 Hz), δ 3.09 (br, 1H, tert. O<u>H</u>), δ 1.89 (br, 1H, sec. O<u>H</u>), δ 1.09-1.03 (d, 3H, -C<u>H</u>$_3$, J = 6.2 Hz); IR (film) $\nu$ 3623 (m, free OH), 3468 (broad, s, OH), 3083-2920 (v, C-H, arom.), 1089 (s, arom. C-Cl) $cm^{-1}$; MS (CI) m/z calcd for $C_{15}H_{14}O_2Cl_2$ ($M^+$) 296, found 297 (M+1H), 279 (M-OH), 251

*Synthesis of S-(-)-2-phenoxy-1,1-diphenyl-1-propanol* ***2l*** *(by general procedure Mitsunobu reaction).*
The *O*-phenylether of *R*-lactic acid ester was obtained according to the general *Mitsunobu reaction* procedure. The obtained oil was purified by vacuum distillation (90°C, 3 mm Hg). Yield 78%.

$[\alpha]_D^{20}$= +47 (c 2.2, MeOH); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.34-6.82 (m, 5H, arom.), δ 4.84-4.63 (q, 1H, phenoxy-C<u>H</u>, J = 6.7 Hz), δ 4.31-4.09 (q, 2H, OC<u>H</u>$_2$, J = 7.1Hz), δ 1.64-1.57 (d, 3H, C<u>H</u>$_3$-CH(O), J = 6.7Hz), δ 1.3-1.16 (t, 3H, $CH_2$-C<u>H</u>$_3$, J = 6.2 Hz). In the following phenyllithium-reaction a yield of 65% was obtained. Mp: 136.4°C; ΔH=85.29 J/g; $[\alpha]_D^{20}$= +144 (c 1, MeOH); $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.17-6.88 (m, 15H, arom.), δ 5.34-5.28 (q, 1H, $CH_3$-C<u>H</u>, J = 6.0 Hz), δ 3.15 (s, 1H, tert. O<u>H</u>), δ 1.18-1.16 (d, 3H, -C<u>H</u>$_3$, J = 6.1 Hz); IR ($CH_2Cl_2$) $\nu$ 3840 (m, free OH), 3530 (broad, s, OH), 3080-2900 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{21}H_{20}O_2$ ($M^+$) 304, found 304 ($M^+$), 287 ($M^+$-OH).

*Synthesis of S-(-)-2-(2-methoxyphenoxy)-1,1-diphenyl-1-propanol* ***2m*** *(by general procedure Mitsunobu reaction)*.

The *O*-anisylether of *R*-lactic acid ester was obtained according to the general *Mitsunobu reaction* procedure. The obtained oil was purified by vacuum distillation (115°C, 1.5 mm Hg). Yield 83%. $[\alpha]_D^{20}$= +46 (c 2.3, MeOH); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.27-6.81 (m, 4H, arom.), δ 4.85-4.64 (q, 1H, anisyl-O-C<u>H</u>, J = 6.7 Hz), δ 4.31-4.09 (q, 2H, OC<u>H</u>$_2$, J = 7.1Hz), δ 3.85 (s, 3H, OC<u>H</u>$_3$), δ 1.67-1.61 (d, 3H, C<u>H</u>$_3$-CH(O), J = 6.8Hz), δ 1.31-1.17 (t, 3H, $CH_2$-C<u>H</u>$_3$, J = 7.1 Hz). In the following phenyllithium-reaction a yield of 35% was obtained. Mp: 111.3°C; ΔH=90.80 J/g; $[\alpha]_D^{20}$= +151 (c 1.1, MeOH), $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.6-6.85 (m, 14H, arom.), δ 5.46-5.27 (q, 1H, $CH_3$-C<u>H</u>, J = 6.2Hz), δ 3.77 (s, 1H, tert. O<u>H</u>), δ 3.70 (s, 3H, OC<u>H</u>$_3$); δ 1.15-1.08 (d, 3H, J = 6.1Hz), IR (film) $\nu$ 3491 (broad, OH), 3063-3006 (v, C-H, arom.) cm$^{-1}$; EA Found: C, 79.53; H, 6.01; $C_{17}H_{20}O_4$ requires C, 79.02; H, 6.63%; MS (CI) m/z calcd for $C_{22}H_{22}O_3$ ($M^+$) 334, found 334 ($M^+$), 352 ($M^+$+$NH_4$).

*Synthesis of S-(-)-2-methoxy-1,1-diphenyl-1-propanol* ***2n***

In three-necked flask (250 ml) NaH (4g dispersion 60%, 0.1 mol) was placed and washed with hexane (2 x 50 ml) and dry THF (50 ml) was added. The mixture was cooled to –78°C. Then a solution of *S*-ethylactate (11.8 g, 0.1 mol) in THF (20 ml) was added dropwise over 2 hrs while vigorous stirring and let warm the reaction to 0°C. Then methyl iodide (15.5 g, 0.1 mol) in THF (20 ml) was added dropwise (Lit.[5]). After two hours without working-up and isolation of the ether the phenyllithium-reaction followed and yielded after purification with column chromatography (Heptane/EtOAc 9:2) and crystallization in ether 35% of the desired compound. Mp: 75°C; $[\alpha]_D^{20}$= -56 (c 2.4, MeOH); $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.25-7.16 (m, 10H, arom.), δ 4.32-4.26 (q, 1H, $CH_3$-C<u>H</u>, J = 6.15 Hz), δ 3.37 (s, 3H, OC<u>H</u>$_3$), δ 3.04 (s, 1H,tert. O<u>H</u>), δ 1.04-1.02 (d, 3H, -C<u>H</u>$_3$, J = 6.0 Hz); IR (film) $\nu$ 3548 (m, free OH), 3435 (broad, s, OH), 3027-3096 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{16}H_{18}O_2$ ($M^+$) 242, found 211 ($M^+$-$OCH_3$), 225 ($M^+$-1OH).

*Synthesis of (2R)-3,3-dimethyl-1,1-diphenylbutane-1,2,4-triol* ***3a*** *(by general procedure BuLi reaction)*.

In the phenyllithium-reaction with D-pantolactone a yield of 45% was obtained. Mp: 151°C; *ΔH*=99.90 J/g; (Lit.[6] Mp: 154°C); $[\alpha]_D^{20}$= +123 (c 1, MeOH); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.7-7.13 (m, 10H, arom.), δ 4.81 (s, 1H, O<u>H</u>), δ 4.61 (s, 1H, $C_2$<u>H</u>), δ 3.71-3.59 and 3.32-3.21 (dd, 2H, diasterotopic C<u>H</u>$_2$, 11Hz), δ 0.75-0.61 (d, 6H, diastereotopic C<u>H</u>$_3$, J = 13.5Hz); IR (film) $\nu$ 3501 (broad, OH), 3084-3000 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{18}H_{22}O_3$ ($M^+$) 286, found 301 (M+$CH_3^+$)

*Synthesis of 2-(2,2-dimethyl-5,5-diphenyl-1,3-dioxolan-4-yl)-2-methyl-1-propanol* ***3b***

Compound **15** (0.5g, 1.74 mmol) was dissolved in DMP and a catalytic amount of TosOH*$H_2O$ was added. After stirring the reaction for 12 hr at RT 0.3 g $K_2CO_3$ were added and stirred for further 2 hr. After filtration the solvent was evaporated and a white solid was obtained in a yield of almost

100%. Mp: 150°C; $\Delta H$=111.45 J/g; $[\alpha]_D^{20}$= +148 (c 1.1, MeOH); $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.51-7.1 (m, 10H, arom.), δ 4.66 (s, 1H, $C_3\underline{H}$), δ 3.67-3.63 and 3.05-3.01 (dd, 2H, diastereotopic $C\underline{H}_2$, 4 Hz), δ 3.32 (s, 1H, OH); δ 1.57 (s, 3H, $C\underline{H}_3$), δ 1.35 (s, 3H, $C\underline{H}_3$), δ 1.24 (s, 3H, $C\underline{H}_3$), δ -0.06 (s, 3H, $C\underline{H}_3$), $^{13}$C-NMR (300 MHz, $CDCl_3$): δ 143-126 (m, 12C, arom.), δ 99.1 (1C, $(CH_3)_2$-$\underline{C}$-O(O)-), δ 79.6 (1C, $(Ph)_2$-$\underline{C}$), δ 78.63 (1C, $(Ph)_2$-C-$\underline{C}$); δ 73.9 (1C, $\underline{C}$-OH), δ 34.30 (1C, $\underline{C}$-$(CH_3)_2$), δ 29.53 and 23.18 (2C, $(\underline{C}H_3)_2$-C-O(O)), δ 23.18 and 20.04 (2C, $(\underline{C}H_3)_2$-C), IR (film) $\nu$ 3563 (m, free OH), 3060-2870 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{21}H_{26}O_3$ ($M^+$) 326, found 327 ($M^+$+H)

*Synthesis of S-(-)-1,1,4,4-tetraphenyl-1,2,4-butanetriol* ***4***[7] *(by general procedure BuLi reaction).*
In the phenyllithium-reaction with dimethyl malate a yield of 55% was obtained. Mp: 156.1°C; $\Delta H$=78.47 J/g; $[\alpha]_D^{20}$= -60 (c 1, MeOH); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.38-7.13 (m, 20H, arom.), δ 4.86-4.63 (q, 1H, CH-OH, J = 6.0 Hz), δ 3.96 (s, 1H, O$\underline{H}$), δ 3.33 (s, 1H, O$\underline{H}$), δ 3.17 (s, 1H, O$\underline{H}$), δ 2.35 (s, 2H, $C\underline{H}_2$); IR (film) $\nu$ 3545 (m, free OH), 3391 (broad, s, OH), 3086-2907 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{28}H_{26}O_3$ ($M^+$) 410, found 393 ($MH^+$-$H_2O$); 375 ($MH^+$-2$H_2O$).

### *Ic: Synthesis of host compounds derived from amino acids*

*General procedure for esterification*
A suspension of the amino acid (0.07mol) in methanol (150ml) was cooled to 0°C. While vigorously stirring, thionylchloride (39.4 g, 0.33 mol) was added dropwise over 30 minutes. The temperature was allowed to rise to room temperature. After stirring overnight, the excess of thionylchloride and methanol was evaporated. The resultant white solid was washed 3 x 200 ml of dry $Et_2O$ and dried under reduced pressure

*Synthesis of S-(-)-2-amino-1,1-diphenyl-1-propanol* ***5a*** *(by general procedure Grignard reaction).*
In the Grignard reaction bromobenzene and *L*-alanine methyl ester were used. Yield 58%. Mp: 101°C; $\Delta H$=105.50 J/g; (Lit.[8] M.p. 100-102°C); $[\alpha]_D^{20}$= -83 (c 1, $CHCl_3$) [Lit.[9] $[\alpha]_D^{20}$= -82 (c 0,8 $CHCl_3$)]; $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.66-7.13 (m, 10H, arom.), δ 4.23-4.04 (q, 1H, $NH_2$-C$\underline{H}$, J = 6.2 Hz), δ 0.97-0.91 (d, 3H, -$C\underline{H}_3$, J = 6.3 Hz); IR (film) $\nu$ 3433 (broad, s, OH or NH), 3083-2985 (v, C-H, arom.) cm$^{-1}$, MS (CI) m/z calcd for $C_{15}H_{17}NO$ ($M^+$) 227, found 228 ($M^+$+H)

*Synthesis of S-(-)-2-amino-1,1-di(4-methylphenyl)-1-propanol* ***5b*** *(by general procedure Grignard reaction).*
In the Grignard reaction *p*-bromotoluene and *L*-alanine methyl ester were used. Yield 45%. Mp (HCl-salt): 235-238°C (Lit.[8] Mp (HCl-salt): 243°C dec.); $[\alpha]_D^{20}$= +49 ((HCl- salt) c 4.3, MeOH) [Lit.[8] $[\alpha]_D^{20}$= +48 (c 4.28, MeOH)]; $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.57-7.08 (m, 8H, arom.), δ 4.45-4.37 (q, 1H, $CH_3$-C$\underline{H}$, J = 6.6 Hz), δ 2.25 (s, 6H, phenyl-$C\underline{H}_3$), δ 1.28-1.21 (d, 3H, -$C\underline{H}_3$, J = 6.6 Hz); IR (film) $\nu$ 3403 (broad, s, OH or NH), 3022-2872 (v, C-H, arom.) cm$^{-1}$, MS (CI) m/z calcd for $C_{17}H_{21}NO$ ($M^+$) 255, found 256 ($M^+$+H)

*Synthesis of S-(-)-2-amino-1,1-di(4-methoxyphenyl)-1-propanol* ***5c*** *(by general procedure Grignard reaction).*
In the Grignard reaction *p*-bromoanisol and *L*-alanine methyl ester were used. Yield 21%. Mp: 89°C; $[\alpha]_D^{20}$= 61 (c 1, $CHCl_3$); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.54-6.76 (m, 8H, arom.), δ 4.12-3.94 (q, 1H, $CH_3$-C$\underline{H}$, J = 6.3 Hz), δ 3.75 (s, 6H, O$C\underline{H}_3$), δ 0.96-0.90 (d, 3H, -$C\underline{H}_3$, J = 6.3 Hz); IR (film) $\nu$ 3403 (broad, s, OH or NH), 2934 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{17}H_{21}NO_2$ ($M^+$) 287, found 288 ($M^+$+H)

*Synthesis of S-(-)-2-amino-1,1-di(4-chlorophenyl)-1-propanol* ***5d*** *(by general procedure Grignard reaction).*

In the Grignard reaction *p*-bromochlorobenzene and *L*-alanine methyl ester were used. Yield 30%. Mp: 135°C (Lit. M.p. 106-109°C); $[\alpha]_D^{20}$= -76 (c 3, $CHCl_3$) [Lit.[8] $[\alpha]_D^{20}$= -82 (c 3, $CHCl_3$)]; $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.56-7.18 (m, 8H, arom.), δ 4.16-3.97 (q, 1H, $CH_3$-C<u>H</u>, J = 6.3 Hz), δ 2.4 (br, 3H, tert. O<u>H</u> and N<u>H$_2$</u>), δ 0.95-0.88 (d, 3H, -C<u>H$_3$</u>, J = 6.3 Hz); IR (film) $\nu$ 3380 (broad, s, OH or NH), 2974-2875 (v, C-H, arom.) cm$^{-1}$, MS (CI) m/z calcd for $C_{15}H_{15}Cl_2NO$ ($M^+$) 295, found 296($M^+$+H)

*Synthesis of S-(-)-2-amino-1,1,3-triphenyl-1-propanol* ***5e*** *(by general procedure Grignard reaction).*

In the Grignard reaction bromobenzene and *L*-Ph-alanine methyl ester were used. Yield 25%. Mp: 144-146°C; *ΔH*=114.43 J/g; (Lit.[8] M.p. 140-143°C); $[\alpha]_D^{20}$= -84 (c 3, $CHCl_3$) [Lit.[8] $[\alpha]_D^{20}$= -83 (c 3, $CHCl_3$)]; $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7,55-7,46 (d, J = 8 Hz, 4 H, arom.); δ 7,23-7,00 (m, 11 H, arom.); δ 3,95-3,85 (dd, $J_1$ = 2,6 Hz, $J_2$ = 3,15 Hz, 1 H, $NH_2$C<u>H</u>); δ 2,45-2,02 (m, 2H, diastereotopic phenyl-C<u>H$_2$</u>); δ 1,03-0,97 (b, 3H, O<u>H</u> and N<u>H$_2$</u>); IR ($CHCl_3$) $\nu$ 3460-3400 (broad, s, OH or NH), 3080-3020 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{21}H_{21}N_1O_1$ ($M^+$) 303, found 304($M^+$+H)

*Synthesis of S-(-)-2-amino-1,1-di(4-methylphenyl)-3-phenyl-1-propanol* ***5f*** *(by general procedure Grignard reaction).*

In the Grignard reaction *p*-bromotoluene and *L*-Ph-alanine methyl ester were used. Yield 25%. Mp: 109°C; $[\alpha]_D^{20}$= -60 (c 1, $CHCl_3$); $^1$H-NMR (300 MHz, $CDCl_3$): δ 7.51-7.19 (m, 13H, arom.), δ 4.16-4.05 (dd, 1H, $NH_2$-C<u>H</u>, J = 3 Hz), δ 2.62-2.4 (m, 2H, phenyl-C<u>H$_2$</u>), δ 2.28 (s, 6H, phenyl-C<u>H$_3$</u>); δ 1.3 (br, 3H, O<u>H</u> and N<u>H$_2$</u>), IR (film) $\nu$ 3462 (m, free OH), 3402 (broad, s, OH), 3058-2918 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{23}H_{25}N_1O_1$ ($M^+$) 331, found 332($M^+$+H)

*Synthesis of S-(-)-2-amino-1,1-di(4-methoxyphenyl)-3-phenyl-1-propanol* ***5g*** *(by general procedure Grignard reaction).*

In the Grignard reaction *p*-bromoanisol and *L*-Ph-alanine methyl ester were used. Yield 35%. Mp: 109°C; *ΔH*=36.07 J/g; $[\alpha]_D^{20}$= -57 (c 1, $CHCl_3$); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.35-6.66 (m, 13H, arom.), δ 4.28-4.16 (dd, 1H, $NH_2$-C<u>H</u>, J = 2.6 Hz), δ 3.55 (s, 6H, OC<u>H$_3$</u>), δ 2.60-2.45 (m, 2H, phenyl-C<u>H$_2$</u>), IR (film) $\nu$ 3403 (broad, s, OH), 3024-2950 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{23}H_{25}N_1O_3$ ($M^+$) 363, found 364($M^+$+H)

*Synthesis of S-(-)-2-amino-1,1-di(4-chlorophenyl)-3-phenyl-1-propanol* ***5h*** *(by general procedure Grignard reaction).*

In the Grignard reaction *p*-bromochlorobenzene and *L*-Ph-alanine methyl ester were used. Yield 55%. Mp: 137°C; $[\alpha]_D^{20}$= -66 (c 3, $CHCl_3$); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.59-7.11 (m, 13H, arom.), δ 4.59 (br, 1H, tert. O<u>H</u>), δ 4.14-4.01 (dd, 1H, $NH_2$-C<u>H</u>, J = 3.4 Hz), δ 2.64-2.4 (m, 2H, phenyl-C<u>H$_2$</u>); δ 1.15 (br, 2H, N<u>H$_2$</u>), IR (film) $\nu$ 3240 (broad, s, OH), 3025-2950 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{21}H_{19}Cl_2N_1O_1$ ($M^+$) 372, found 372

*Synthesis of S-(-)-2-amino-1,1,2-triphenyl-1-ethanol* ***5i*** *(by general procedure Grignard reaction).*

In the Grignard reaction bromobenzene and *L*-Ph-Glycine methyl ester were used. Yield 35%. Mp: 126°C; *ΔH*=91.71 J/g; (Lit.[10] M.p. 125°C); $[\alpha]_D^{20}$= +246 (c 1.8, $CHCl_3$) [Lit.[10] $[\alpha]_D^{20}$= -217 (c 1.85, $CHCl_3$)]; $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.78-6.90 (m, 15H, arom.), δ 5.00 (s, 1H, $NH_2$-C<u>H</u>), δ 2.35 (br, 3H, tert. O<u>H</u> and N<u>H$_2$</u>), IR (film) $\nu$ 3432 (m, free OH), 3382 (broad, s, OH and NH), 3082-2964 (v, C-H, arom.) cm$^{-1}$; MS(CI) m/z calcd for $C_{20}H_{19}N_1O_1$ ($M^+$) 290, found 290

*Synthesis of S-(-)-2-amino-1,1-di(4-methylphenyl)-2-phenyl-1-ethanol* ***5j*** *(by general procedure Grignard reaction)*.

In the Grignard reaction *p*-bromotoluene and *L*-Ph-Glycine methyl ester were used. Yield 42 %. Mp: 100°C; $\Delta H$=35.84 J/g; $[\alpha]_D^{20}$= +105 (c 4.3, MeOH); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.65-6.78 (m, 13H, arom.), δ 4.95 (s, 1H, $NH_2$-C<u>H</u>), δ 2.33 (3H, phenyl-$CH_3$), δ 2.16 (3H, phenyl-C<u>H</u>$_3$); δ 1.59 (br, 3H, tert. O<u>H</u> and $NH_2$); IR (film) $\nu$ 3419 (broad, s, OH and NH), 3026-2917 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{22}H_{23}N_1O_1$ ($M^+$) 317, found 318 ($M^+$+H), 300 ($M^+$-OH)

*Synthesis of S-(-)-2-amino-1,1-di(4-methoxyphenyl)-2-phenyl-1-ethanol* ***5k*** *(by general procedure Grignard reaction)*.

In the Grignard reaction *p*-bromoanisol and *L*-Ph-Glycine methyl ester were used. Yield 20%. Mp: 77.6°C; $\Delta H$=31.72 J/g; $[\alpha]_D^{20}$= -156 (c 1, $CHCl_3$); $^1$H-NMR of HCl-salt (100 MHz, MeOH-$d_4$): δ 7.44-6.68 (m, 13H, arom.), δ 4.95 (s, 1H, $NH_2$-C<u>H</u>), δ 3.54 (s, 3H, OC<u>H</u>$_3$), δ 3.38 (s, 3H, OC<u>H</u>$_3$), IR (film) $\nu$ 3383 (broad, s, OH and NH), 3020-2883 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{22}H_{23}N_1O_3$ ($M^+$) 349, found 350 ($M^+$+H), 332 ($M^+$-OH

*Synthesis of S-(-)-2-amino-1,1-di(4-chlorophenyl)-2-phenyl-1-ethanol* ***5l*** *(by general procedure Grignard reaction)*.

In the Grignard reaction *p*-bromochlorobenzene and *L*-Ph-Glycine methyl ester were used. Yield 31%. Mp: 133.5°C; $[\alpha]_D^{20}$= -192 (c 3, $CHCl_3$); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.70-7.00 (m, 13H, arom.), δ 4.86 (s, 1H, $NH_2$-C<u>H</u>), δ 1.27 (br, 3H, tert. O<u>H</u> and N<u>H</u>$_2$), IR (film) $\nu$ 3403 (broad, s, OH and NH), 3083-2971 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{20}H_{17}Cl_2N_1O_1$ ($M^+$) 358, found 358 ($M^+$), 340 ($M^+$-$H_2O$)

*Synthesis of S-(-)-diphenyl(tetrahydro-1H-2-pyrrolyl)methanol* ***7a***

The commercially available title compound is synthesized by the following procedure. *S*-Proline (5.75 g, 50 mmol) is suspended in dry MeOH (100 ml). $K_2CO_3$ (6.9 g, 50 mmol) is added and then ethylchloroformate (11.93 g, 110 mmol) is added dropwise within 5 minutes at 25°C. The reaction is cooled down to 0°C and stirred for 12 hrs. The solvent is evaporated under reduced pressure and the residue is dissolved in $CHCl_3$ and water and extracted. The organic layer is dried ($MgSO_4$) and the solvent evaporated. The *N*-protected proline ester is obtained in yield of 98%. $^1$H-NMR (100 MHz, $CDCl_3$): δ 4.32- (d, 2H,C<u>H</u>$_2$-$CH_3$.), δ 3.73 (s, 3H, $OCH_3$), δ 3.53-3.47 (m, 3H,C<u>H</u>$_2$-N-C<u>H</u>), ): δ 2.02-1.95 (m, 4H, $NCH_2$-C<u>H</u>$_2$-C<u>H</u>$_2$.), δ 1.33-1.12 (q, 3H, $CH_2$C<u>H</u>$_3$, J = 7 Hz). In the following Grignard reaction in THF *p*-bromobenzene was used. After the reaction and working-up the *N*-protected diphenyl carbinol derivative of *S*-proline was obtained in yield of 45%. $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.73-6.96 (m, 10H, arom.),δ 4.89 (m, 1H, NC<u>H</u>), δ 3.67-3.59 (d, 2H, $OCH_2$, J = 8Hz), δ 3.46-3.35 (m, 2H, N-C<u>H</u>$_2$), δ 2.09-1.77 (m, 4H, $NCH_2$-C<u>H</u>$_2$-C<u>H</u>$_2$.), δ 1.29-1.10 (d, 3H, $CH_2$C<u>H</u>$_3$, J = 6Hz). Then the compound is dissolved in dry MeOH and KOH (13.44 g, 0.24 mol) are added. The mixture is refluxed for 4 hrs. After removing the solvent the residue is dissolved in $CHCl_3$ and water and extracted. The dried organic layer is evaporated under reduced pressure and an oil is obtained. After crystallization from ether the desired compound is obtained in a yield of 15%. Mp: 75°C; ΔH=61.25 J/g; (Lit.[11] M.p. 74-74.8°C); $[\alpha]_D^{20}$= -56 (c 1.3, MeOH) [Lit. $[\alpha]_D^{20}$= -59,8 (c 1.3, MeOH)]; $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.62-7.03 (m, 10H, arom.),δ 4.32-4.17 (t, 1H, NC<u>H</u>, J = 7.2Hz), δ 2.99-2.94 (m, 2H, $NCH_2$), δ 1.67-1.57 (m, 4H, N-C<u>H</u>$_2$)

*General procedure for Schotten Baumann reaction*

The diaryl amino-alcohol derivative (6.6 mmol) is dissolved in ether (100ml). Then sodium hydroxide solution (0.1g in 10 ml water) is added and the mixture is cooled to 0°C. While vigorous

stirring arylcarbonylchloride (6.6 mmol) and an aqueous sodium hydroxide solution (0.22 g in 30 ml) are added to the reaction. The mixture must always be basic. After 30 min the reaction is allowed to warm up to r.t. The white precipitate is filtered off and washed with water (3 x 25 ml) and with ether (2 x 25ml).

*Synthesis of S-(-)-N1-(2-hydroxy-1-methyl-2,2-diphenylethyl)benzamide* ***6a*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction benzoylchloride and compound **5a** were used. Yield 95%. Mp: 224°C (Lit.[12] M.p. 190.5-191.5°C); $[\alpha]_D^{20}$ = -76 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, MeOH-$d_4$): δδ 7.57-7.13 (m, 15H, arom.), δ 3.31-3.68 (q, 1H, $CH_3$-C<u>H</u>, J = 7.1 Hz), δ 0.93-0.86 (d, 3H, -C<u>H</u>$_3$, J = 6.8 Hz); IR (film) $\nu$ 3450 (broad, s, OH), 3310 (v, s, NH amid), 3016-3081 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{22}H_{21}NO_2$ ($M^+$) 331, found 332 ($M^+$+H], 314 ($M^+$-OH])

*Synthesis of S-(-)-N1-(2-hydroxy-1-methyl-2,2-diphenylethyl)-4-methylbenzamide* ***6c*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction 4-methyl-1-benzenecarbonyl chloride and compound **5a** were used. Yield 95%. Mp: 229.9°C; $[\alpha]_D^{20}$ = -60 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.41-7.14 (m, 14H, arom.), δ 4.13-4.00 (q, 1H, $CH_3$-C<u>H</u>, J = 1.3 Hz), δ 2.4 (s, 3H, Ph-C<u>H</u>$_3$), δ 0.92-0.87 (d, 3H, -C<u>H</u>$_3$, J = 5.0 Hz); IR (film) $\nu$ 3465 (broad, s, OH), 3330 (v, s, NH), 3027-3096 (v, C-H, arom.) cm$^{-1}$; MS (EI) m/z calcd for $C_{23}H_{23}NO_2$ ($M^+$) 345, found 328 ($M^+$-1OH)

*Synthesis of S-(-)-N1-(2-hydroxy-1-methyl-2,2-diphenylethyl)-4-methoxybenzamide* ***6e*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction 4-methoxy-1-benzenecarbonyl chloride and compound **5a** were used. Yield 95%. Mp: 194°C; $[\alpha]_D^{20}$ = -64 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.59-7.19 (m, 14H, arom.), δ 5.1-5.28 (q, 1H, NH-C<u>H</u>, J = 6.0 Hz), δ 3.79 (s, 3H, -OC<u>H</u>$_3$), δ 1.21-1.16 (d, 3H, -C<u>H</u>$_3$, J = 5.1 Hz); IR (film) $\nu$ 3490 (broad, s, OH or NH), 3025-2961 (v, C-H, arom.) cm$^{-1}$; MS (EI) m/z calcd for $C_{23}H_{23}NO_3$ ($M^+$) 361, found 344 ($M^+$-1OH)

*Synthesis of S-(-)-N1-(2-hydroxy-1-methyl-2,2-diphenylethyl)-2,2-diphenylacetamide* ***6g*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction 2,2-diphenylethanoyl chloride[13] and compound **5a** were used. Yield 95%. Mp: 140°C; $[\alpha]_D^{20}$ = -58 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.39-7.21 (m, 20H, arom.), δ 5.12-5.03 (q, 1H, NH-C<u>H</u>, J = 6.0 Hz), δ 1.12-0.98 (d, 3H, -C<u>H</u>$_3$, J = 4.6 Hz); IR (film) $\nu$ 3532 (broad, s, OH or NH), 3010-2939 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{29}H_{27}NO_2$ ($M^+$) 421, found 422 ($M^+$+1H), 404 ($M^+$-1OH)

*Synthesis of S-(-)-N1-[2-hydroxy-1-methyl-2,2-di(4-methylphenyl)ethyl]benzamide* ***6b*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction benzoylchloride and compound **5b** were used. Yield 95%. Mp: 208.6°C; $\Delta H$=121.65 J/g; $[\alpha]_D^{20}$ = -58 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.47-7.00 (m, 13H, arom.), δ 5.34-5.1 (q, 1H, $CH_3$-C<u>H</u>, J = 8.0 Hz), δ 2.29 (s, 6H, phenyl-C<u>H</u>$_3$), δ 1.21-1.14 (d, 3H, -C<u>H</u>$_3$, J = 6.6 Hz); IR (film) $\nu$ 3440 (broad, s, OH or NH), 3085-2970 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{24}H_{25}NO_2$ ($M^+$) 359, found 359 ($M^+$), 342 ($M^+$-1OH)

*Synthesis of S-(-)-N1-[2-hydroxy-1-methyl-2,2-di(4-methylphenyl)ethyl]-4methyl-benzamide* ***6d*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction 4-methyl-1-benzenecarbonyl chloride and compound **5b** were used. Yield 95%. Mp: 190°C; $[\alpha]_D^{20}$ = -52 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.49-7.01 (m, 12H, arom.), δ 4.10-4.05 (q, 1H, $CH_3$-C<u>H</u>, J = 5.0 Hz), δ 2.33 and 2.23 (2 x s, 9H, phenyl-

C$\underline{H}_3$), δ 0.97-0.91 (d, 3H, -C$\underline{H}_3$, J = 6.0 Hz); IR (film) $\nu$ 3443 (broad, s, OH or NH), 3079-2910 (v, C-H, arom.) $cm^{-1}$; MS (CI) m/z calcd for $C_{25}H_{27}NO_2$ ($M^+$) 373, found 372 ($M^+$-1H), 356 ($M^+$-1OH)

*Synthesis of S-(-)-N1-[2-hydroxy-1-methyl-2,2-di(4-methylphenyl)ethyl]-4-methoxy-benzamide* ***6f*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann 4-methoxy-1-benzenecarbonyl chloride and compound **5b** were used. Yield 95%. Mp: 182°C; $[\alpha]_D^{20}$ = -48 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.58-7.10 (m, 12H, arom.), δ 4.35-4.10 (q, 1H, $CH_3$-C$\underline{H}$, J = 6.1 Hz), δ 3.8 (s, OC$\underline{H}_3$), δ 2.3 (s, 6H, phenyl-C$\underline{H}_3$), δδ 1.21-1.13 (d, 3H, -C$\underline{H}_3$, J = 8.0 Hz); IR (film) $\nu$ 3430 (broad, s, OH or NH), 2980-2820 (v, C-H, arom.) $cm^{-1}$; MS (CI) m/z calcd for $C_{25}H_{27}NO_3$ ($M^+$) 389, found 372 ($M^+$-1OH)

*Synthesis of S-(-)N1-[2-hydroxy-1-methyl-2,2-di(4-methylphenyl)ethyl]-2,2-diphenylacet-amide* ***6h*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction 2,2-diphenylethanoyl chloride[13] and compound **5b** were used. Yield 95%. Mp: 137.7°C; $[\alpha]_D^{20}$ = -36 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.52-6.92 (m, 18H, arom.), δ 5.24-5.07 (q, 1H, $CH_3$-C$\underline{H}$, J = 5.6 Hz), δ 4.76 (s, 1H, $Ph_2$-C$\underline{H}$), δ 2.33 (s, 6H, phenyl-C$\underline{H}_3$), δ 1.04-1.11 (d, 3H, -C$\underline{H}_3$, J = 7.0 Hz); IR (film) $\nu$ 3423 (broad, s, OH or NH), 3122-2920 (v, C-H, arom.) $cm^{-1}$; MS (CI) m/z calcd for $C_{31}H_{31}NO_2$ ($M^+$) 449, found 449 ($M^+$), 432 ($M^+$-1OH)

*Synthesis of S-(-)-N1-(1-benzyl-2-hydroxy-2,2-diphenylethyl)benzamide*[14] ***6i*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction benzoylchloride and compound **5e** were used. Yield 95%. Mp: 238°C (Lit[14]. M.p. 219°C); ΔH=127.28 J/g; $[\alpha]_D^{20}$ = -66 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7,62-7,18 (m, 20 H, arom.); δ 5.25-5.05 (dd, $J_1$ = 2,6 Hz, $J_2$ = 3,15 Hz, 1H, NHC$\underline{H}$); δ 3,12-3,04 (m, 2H, diastereotopic phenyl-C$\underline{H}_2$); IR (film) $\nu$ 3450-3350 (broad, s, OH or NH), 2980-3020 (v, C-H, arom.) $cm^{-1}$; MS (EI) m/z calcd for $C_{28}H_{25}NO_2$ ($M^+$) 407, found 390 ($M^+$-1OH)

*Synthesis of S-(-)-N1-(1-benzyl-2-hydroxy-2,2-diphenylethyl)-4-methylbenzamide* ***6k*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction 4-methyl-1-benzenecarbonyl chloride and compound **5e** were used. Yield 95%. Mp: 201°C; *ΔH*=97.01 J/g; $[\alpha]_D^{20}$ = -80 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7,62-7,18 (m, 19 H, arom.); δ 5.25-5.05 (dd, $J_1$ = 2,6 Hz, $J_2$ = 3,15 Hz, 1H, NHC$\underline{H}$); δ 3,12-3,04 (m, 2H, diastereotopic phenyl-C$\underline{H}_2$); δ 2.3 (s, 3H, Ph-$CH_3$), IR (film) $\nu$ 3510-3450 (broad, s, OH or NH), 3040-3020 (v, C-H, arom.) $cm^{-1}$; MS (EI) m/z calcd for $C_{29}H_{27}NO_2$ ($M^+$) 421, found 404 ($M^+$-1OH)

*Synthesis of S-(-)-N1-(1-benzyl-2-hydroxy-2,2-diphenylethyl)-4-methoxybenzamide* ***6m*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction 4-methoxy-1-benzenecarbonyl chloride and compound **5e** were used. Yield 95%. Mp: 205.3°C; $[\alpha]_D^{20}$ = -72 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7,71-7,08 (m, 19H, arom.); δ 4.92-5.10 (q, J= 6 Hz, 1H, NHC$\underline{H}$), δ 3.77 (s, 3H, Ph-C$\underline{H}_3$), δ 3,05-3,01 (d, $J_1$ = 2,6 Hz); IR ($CHCl_3$) $\nu$ 3510-3420 (broad, s, OH or NH), 3010-2980 (v, C-H, arom.) $cm^{-1}$; MS (CI) m/z calcd for $C_{29}H_{27}NO_3$ ($M^+$) 437, found 420 ($M^+$-1OH)

*Synthesis of S-(-)-N1-(1-benzyl-2-hydroxy-2,2-diphenylethyl)-2,2-diphenylacetamide* ***6o*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction 2,2-diphenylethanoyl chloride[13] and compound **5e** were used. Yield 95%. Mp: 207.6°C; $[\alpha]_D^{20}$ = 34 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7,57-6,98

(m, 25 H, arom.); δ 4.60 (s, 1H, $Ph_2$-C<u>H</u>), δ 5.10-4,91 (q, J = 6.3 Hz, 1H, NHC<u>H</u>); δ 2,85-2,68 (m, 2H, diastereotopic phenyl-C<u>$H_2$</u>); δ 1,03-0,97 (b, 3H, O<u>H</u> and N<u>$H_2$</u>); IR ($CHCl_3$) $\nu$ 3430-3390 (broad, s, OH or NH), 3100-3035 (v, C-H, arom.) $cm^{-1}$; MS (CI) m/z calcd for $C_{35}H_{31}NO_2$ ($M^+$) 497, found 498 ($M^+$+1H), 480 ($M^+$-1OH)

*Synthesis of S-(-)-N1-[1-benzyl-2-hydroxy-2,2-di(4-methylphenyl)ethyl]benzamide* ***6j*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction benzoylchloride and compound **5f** were used. Yield 95%. Mp: 206°C; $[\alpha]_D^{20}$ = -48 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.54-7.06 (m, 18H, arom.), δ 5.10-4.85 (q, J = 8Hz, 1H, NH-C<u>H</u>), δ 3.05-2.98 (d, J= 7Hz, 2H, C<u>$H_2$</u>),δ 2.33-2.21 (d, J = 12Hz, 6H, Ph-C<u>$H_3$</u>), IR (film) $\nu$ 3390 (m, free OH), 3350 (broad, s, OH and NH), 3010-2970 (v, C-H, arom.) $cm^{-1}$; MS (CI) m/z calcd for $C_{30}H_{29}NO_2$ ($M^+$) 435, found 434 ($M^+$-1H), 418 ($M^+$-1OH)

*Synthesis of S-(-)-N1-[1-benzyl-2-hydroxy-2,2-di(4-methylphenyl)ethyl]-4-methyl-benzamide* ***6l*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction 4-methyl-1-benzenecarbonyl chloride and compound **5f** were used. Yield 95%. Mp: 206.7°C; $[\alpha]_D^{20}$ = -48 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.55-7.05 (m, 17H, arom.), δ 5.00-4.8 (q, J = 5Hz, 1H, NH-C<u>H</u>), δ 3.05-2.98 (d, J= 7Hz, 2H, C<u>$H_2$</u>), δ 2.32 and 2.20 (2 x s, 3H and 6H, Ph-C<u>$H_3$</u>), IR (film) $\nu$ 3422 (m, free OH), 3368 (broad, s, OH and NH), 3120-2940 (v, C-H, arom.) $cm^{-1}$; MS (CI) m/z calcd for $C_{31}H_{31}NO_2$ ($M^+$) 449, found 448 ($M^+$-1H), 432 ($M^+$-1OH)

*Synthesis of S-(-)-N1-[1-benzyl-2-hydroxy-2,2-di(4-methylphenyl)ethyl]-4-methoxy-benzamide* ***6n*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction 4-methoxy-1-benzenecarbonyl chloride and compound **5f** were used. Yield 95%. Mp: 220.9°C; $\Delta H$=41.03 J/g; $[\alpha]_D^{20}$ = -55 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.56-6.62 (m, 17H, arom.), δδ 5.2-5.0 (q, J = 5Hz, 1H, NH-C<u>H</u>), δ 3.76 (s, 3H, Ph-OC<u>$H_3$</u>), δ 3.06-2.99 (d, J= 7Hz, 2H, C<u>$H_2$</u>), δ 2.33 and 2.19 (2 x s, 6H, Ph-C<u>$H_3$</u>), IR (film) $\nu$ 3480 (m, free OH), 3290 (broad, s, OH and NH), 3110-2950 (v, C-H, arom.) $cm^{-1}$; MS (CI) m/z calcd for $C_{31}H_{31}NO_3$ ($M^+$) 465, found 464 ($M^+$-1H), 448 ($M^+$-1OH)

*Synthesis of S-(-)-N1-[1-benzyl-2-hydroxy-2,2-di(4-methylphenyl)ethyl]-2,2-diphenylacet-amide* ***6p*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction 2,2-diphenylethanoyl chloride[13] and compound **5f** were used. Yield 95%. Mp: 206.4°C; $[\alpha]_D^{20}$ = -24 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.70-6.69 (m, 23H, arom.), δ 5.1-4.8 (q, J = 7Hz, 1H, NH-C<u>H</u>), δ 3.06-2.99 (d, J= 7Hz, 2H, C<u>$H_2$</u>), δ 2.35 and 2.25 (2 x s, 2 x 3H, Ph-C<u>$H_3$</u>), IR (film) $\nu$ 3450 (m, free OH), 3410 (broad, s, OH and NH), 3140-3000 (v, C-H, arom.) $cm^{-1}$; MS (CI) m/z calcd for $C_{37}H_{35}NO_2$ ($M^+$) 525, found 508 ($M^+$-1OH)

*Synthesis of S-(-)-N1-(2-hydroxy-1,2,2-triphenylethyl)benzamide* ***6q*** *(by general procedure Schotten-Baumann reaction).*
In the Schotten-Baumann reaction benzoylchloride and compound **5i** were used. Yield 79%. Mp: 241°C; $[\alpha]_D^{20}$ = -280 (c 0.1, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.69-7.15 (m, 20H, arom.), δ 5.50 (s, 1H, NH-C<u>H</u>), IR (film) $\nu$ 3450 (m, free OH), 3300 (broad, s, OH and NH), 3150-3050 (v, C-H, arom.) $cm^{-1}$; MS (CI) m/z calcd for $C_{27}H_{23}NO_2$ ($M^+$) 393, found 376 ($M^+$-1OH)

*Synthesis of S-(-)-N1-(2-hydroxy-1,2,2-triphenylethyl)-4-methylbenzamide* ***6s*** *(by general procedure Schotten-Baumann reaction).*

In the Schotten-Baumann reaction 4-methyl-1-benzenecarbonyl chloride and compound **5i** were used. Yield 95%. Mp: 257.8°C; $\Delta H$=100.39 J/g; $[\alpha]_D^{20}$= 288 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.78-6.90 (m, 15H, arom.), δ 6.16 (b, 1H, NH-C<u>H</u>), δ 4.15 (s, 3H, C<u>H</u>$_3$), IR (film) $\nu$ 3500 (m, free OH), 3350 (broad, s, OH and NH), 3122-2010 (v, C-H, arom.) cm$^{-1}$; MS (EI) m/z calcd for $C_{28}H_{25}NO_2$ ($M^+$) 407, found 390 (M-1OH)

*Synthesis of S-(-)-N1-(2-hydroxy-1,2,2-triphenylethyl)-4-methoxybenzamide* ***6u*** *(by general procedure Schotten-Baumann reaction).*

In the Schotten-Baumann reaction 4-methoxy-1-benzenecarbonyl chloride and compound **5i** were used. Yield 95%. Mp: 259.3°C; $[\alpha]_D^{20}$= 300 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.52-6.94 (m, 19H, arom.), δ 6.14 (s, 1H, NH-C<u>H</u>), δ 3.67 (s, 3H, OC<u>H</u>$_3$), IR (film) $\nu$ 3390 (m, free OH), 3300 (broad, s, OH and NH), 3075-2990 (v, C-H, arom.) cm$^{-1}$; MS (EI) m/z calcd for $C_{28}H_{25}NO_3$ ($M^+$) 423, found 406 (M-1OH)

*Synthesis of S-(-)-N1-(2-hydroxy-1,2,2-triphenylethyl)-2,2-diphenylacetamide* ***6w*** *(by general procedure Schotten-Baumann reaction).*

In the Schotten-Baumann reaction 2,2-diphenylethanoyl chloride[13] and compound **5i** were used. Yield 95%. Mp: 177.2°C; $[\alpha]_D^{20}$= 168 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.46-6.89 (m, 25H, arom.), δ 6.1 (s, 1H, $Ph_2$-C<u>H</u>), δ 4.81 (s, 1H, $NH_2$-C<u>H</u>), IR (film) $\nu$ 3420 (m, free OH), 3410 (broad, s, OH and NH), 3110-3060 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{34}H_{29}NO_2$ ($M^+$) 483, found 484 ($M^+$+1H), 466 ($M^+$-1OH)

*Synthesis of S-(-)-N1-[2-hydroxy-2,2-di(4-methylphenyl)-1-phenylethyl]benzamide* ***6r*** *(by general procedure Schotten-Baumann reaction).*

In the Schotten-Baumann reaction benzoylchloride and compound **5j** were used. Yield 95%. Mp: 207.9°C; ΔH=87.61 J/g; $[\alpha]_D^{20}$= -228 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.52-6.99 (m, 18H, arom.), δ 4.95 (s, 1H, NH-C<u>H</u>), δ 2.28 and 2.23 (2 x s, 2 x 3H, phenyl-$CH_3$), IR (film) $\nu$ 3390 (broad, s, OH and NH), 3076-3010 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{29}H_{27}NO_2$ ($M^+$) 421, found 404 ($M^+$-1OH)

*Synthesis of S-(-)-N1-[2-hydroxy-2,2-di(4-methylphenyl)-1-phenylethyl]-4-methylbenzamide* ***6t*** *(by general procedure Schotten-Baumann reaction).*

In the Schotten-Baumann reaction 4-methyl-1-benzenecarbonyl chloride and compound **5j** were used. Yield 95%. Mp: 261.4°C; $[\alpha]_D^{20}$= 234 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.67-7.04 (m, 17H, arom.), δ 6.78 (s, 1H, NH-C<u>H</u>), δ 2.42 and 2.32 and 2.26 (3 x s, 3 x 3H, phenyl-C<u>H</u>$_3$); IR (film) $\nu$ 3390 (broad, s, OH and NH), 3010-2880 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{30}H_{29}NO_2$ ($M^+$) 435, found 435 ($M^+$-1OH)

*Synthesis of S-(-)-N1-[2-hydroxy-2,2-di(4-methylphenyl)-1-phenylethyl]-4-methoxybenzamide* ***6v*** *(by general procedure Schotten-Baumann reaction).*

In the Schotten-Baumann reaction 4-methoxy-1-benzenecarbonyl chloride and compound **5j** were used. Yield 95%. Mp: 281.7°C; $[\alpha]_D^{20}$= 264 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.71-7.00 (m, 17H, arom.), δ 6.1 (b, 1H, NH-C<u>H</u>), δ 3.84 (s, 3H, OC<u>H</u>$_3$); δ 2.28 and 2.26 (2 x s, 2 x 3H, phenyl-$CH_3$); IR (film) $\nu$ 3380 (broad, s, OH and NH), 3010-2959 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{30}H_{29}NO_3$ ($M^+$) 451, found 434 ($M^+$-1OH)

*Synthesis of S-(-)-N1-[2-hydroxy-2,2-di(4-methylphenyl)-1-phenylethyl]-2,2-diphenylacetamide* ***6x*** *(by general procedure Schotten-Baumann reaction).*

In the Schotten-Baumann reaction 2,2-diphenylethanoyl chloride[13] and compound **5j** were used. Yield 95%. Mp: 211.8°C; $[\alpha]_D^{20}$ = -126 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, DMSO-$d_6$): δ 7.65-6.78 (m, 13H, arom.), δ 4.95 (s, 1H, NH-C<u>H</u>), δ 2.33 (3H, phenyl-$CH_3$), δ 2.16 (3H, phenyl-C<u>H</u>$_3$); δ 1.59 (br, 3H, tert. O<u>H</u> and $NH_2$); IR (film) $\nu$ 3419 (broad, s, OH and NH), 3026-2917 (v, C-H, arom.) cm$^{-1}$; MS m/z calcd for $C_{36}H_{33}NO_2$ ($M^+$) 512, found 255 (M-1H), 239 (M-1OH)

*Synthesis of S-(-)- 2-[hydroxy(diphenyl)methyl]tetrahydro-1H-1-pyrrolyl(phenyl)-methanone* ***7b*** *(by general procedure Schotten-Baumann reaction).*

In the Schotten-Baumann reaction benzoylchloride and compound **7a** were used. Yield 95%. Mp: 180.3°C; $[\alpha]_D^{20}$ = -106 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.67-6.88 (m, 15H, arom.), δ 5.44-5.29 (t, 1H, NC<u>H</u>, J = 8Hz), δ 3.27-3.20 (m, 2H, $NCH_2$), δ 2.16-1.92 (m, 4H, N-C<u>H</u>$_2$); IR (film) $\nu$ 3440 (broad, s, OH), 3290 (v, s, NH), 2980-3070 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{24}H_{23}NO_2$ ($M^+$) 357, found 240 ($M^+$-1OH)

*Synthesis of S-(-)-2-[hydroxy(diphenyl)methyl]tetrahydro-1H-1-pyrrolyl(4-methylphenyl)-methanone* ***7c*** *(by general procedure Schotten-Baumann reaction).*

In the Schotten-Baumann reaction 4-methyl-1-benzenecarbonyl chloride and compound **7a** were used. Yield 95%. Mp: 183°C; $[\alpha]_D^{20}$ = -81 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.83-6.78 (m, 14H, arom.), δ 5.50-5.31 (t, 1H, NC<u>H</u>, J = 8Hz), δ 3.35-3.31 (m, 2H, $NCH_2$), δ 2.35 (s, 3H, Ph-C<u>H</u>$_3$); δ 2.28-1.99 (m, 4H, N-C<u>H</u>$_2$); IR (film) $\nu$ 3410 (broad, s, OH), 2950 (v, s, NH), 3127-3010 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{25}H_{25}NO_2$ ($M^+$) 371, found 354 ($M^+$-1OH)

*Synthesis of S-(-)-2-[hydroxy(diphenyl)methyl]tetrahydro-1H-1-pyrrolyl(4-methoxyphenyl)-methanone* ***7d*** *(by general procedure Schotten-Baumann reaction).*

In the Schotten-Baumann reaction 4-methoxy-1-benzenecarbonyl chloride and compound **7a** were used. Yield 95%. Mp: 190°C; $\Delta H$=111.68 J/g; $[\alpha]_D^{20}$ = -76 (c 0.25, $CHCl_3$); $^1$H-NMR (100 MHz, $CDCl_3$): δ 7.77-6.98 (m, 14H, arom.), δ 5.24-5.09 (t, 1H, NC<u>H</u>, J = 7Hz), δ 3.81 (s, 3h, OC<u>H</u>$_3$); δ 3.19-3.09 (m, 2H, $NCH_2$), δ 2.10-1.90 (m, 4H, N-C<u>H</u>$_2$); IR (film) $\nu$ 3445 (broad, s, OH), 3290 (v, s, NH), 3010-3075 (v, C-H, arom.) cm$^{-1}$; MS (CI) m/z calcd for $C_{25}H_{25}NO_3$ ($M^+$) 387, found 370 ($M^+$-1OH)

## II Details of crystal data and data-collection

| ***Compound*** | **1d + (L)-phenylethylamine (1:1)** | **1d + (D)-phenylethylamine (1:1)** | **1d + (DL)-phenylethylamine (1:1)** |
|---|---|---|---|
| *Identification code* | SMONA5 | SMN10C | SMONA8 |
| *Crystal colour* | transparent colourless | transparent colourless | transparent colourless |
| *Crystal shape* | regular fragment | rough fragment | very rough fragment |
| *Crystal size [mm]* | 0.39 x 0.36 x 0.21 mm | 0.32 x 0.31 x 0.25 mm | 0.29 x 0.20 x 0.19mm |
| *Empirical formula* | $C_{43}$ $H_{49}$ N $O_4$ | $C_{43}$ $H_{49}$ N $O_4$ | $C_{43}$ $H_{49}$ N $O_4$ |
| *Formula weight* | 643.83 g/mol | 643.83 g/mol | 643.83 g/mol |
| *Temperature* | 293(2) K | 293(2) K | 293(2) K |
| *Radiation / Wavelength* | MoK α (graphite mon.) / 0.71073 Å | CuKα (graphite mon.) / 1.54184Å | MoKα (graphite mon.) / 0.71073 Å |
| *Crystal system* | Orthorhombic | Orthorhombic | Orthorhombic |
| *Space group* | $P2_12_12_1$ | $P2_12_12_1$ | $P2_12_12_1$ |
| *Unit cell dimensions* | a=12.749(6) Å, α=90°<br>b=12.9893(14) Å, β=90°<br>c=22.418(5) Å, γ=90° | a= 12.7808(8) Å, α=90°<br>b= 13.0447(6) Å, β=90°<br>c= 22.5947(8) Å, γ=90° | a= 12.766(5) Å, α=90°<br>b= 13.034(3) Å, β=90°<br>c= 22.578(6) Å, γ=90° |
| *Volume* | 3712(2) $Å^3$ | 3767.0(3) $Å^3$ | 3757.0(18) $Å^3$ |
| *Calculated density* | 1.152 $Mg/m^3$ | 1.135$Mg/m^3$ | 1.138 $Mg/m^3$ |
| *Z* | 4 | 4 | 4 |
| *Absorption coefficient* | 0.073 $mm^{-1}$ | 0.561 $mm^{-1}$ | 0.072 $mm^{-1}$ |
| *Diffractometer / scan* | Enraf-Nonius CAD4 / ω-2Θ | Enraf-Nonius CAD4 / ω-2Θ | Enraf-Nonius CAD4 / ω-2Θ |
| *F(000)* | 1384 | 1384 | 1384 |
| *Θ range for data collection* | 2.88 - 27.48° | 3.91- 69.86° | 2.87 - 27.45° |
| *Index ranges* | $0 \le h \le 16$, $-16 \le k \le 0$, $-29 \le l \le 0$ | $0 \le h \le 15$, $0 \le k \le 15$, $0 \le l \le 27$ | $0 \le h \le 16$, $0 \le k \le 16$, $0 \le l \le 29$ |
| *Reflections collected / unique* | 4730 / 4730 | 3997 / 3997 | 4773 / 4773 |
| *Reflections observed* | 1673 ($[I_o>2\sigma(I_o)]$) | 2564 ($[I_o>2\sigma(I_o)]$) | 1147 ($[I_o>2\sigma(I_o)]$) |
| *Absorption correction* | Semi-empirical from ψ-scans | Semi-empirical from ψ-scans | Semi-empirical from ψ-scans |
| *Range of relat. transm. factors* | 1.053 and 0.956 | 1.026 and 0.972 | 1.021 and 0.972 |
| *Refinement method* | Full-matrix least-squares on $F^2$ | Full-matrix least-squares on $F^2$ | Full-matrix least-squares on $F^2$ |
| *Computing* | SHELXL-97 (Sheldrick, 1997) | SHELXL-97 (Sheldrick, 1997) | SHELXL-97 (Sheldrick, 1997) |
| *Data / restraints / parameters* | 4730 / 0 / 450 | 3997 / 2 / 448 | 4730 / 0 / 450 |
| *Goodness-of-fit on $F^2$* | 1.003 | 1.024 | 1.003 |
| *SHELXL-97 weight parameters* | 0.027500 0.221300 | 0.071800 0.717600 | 0.027500 0.221300 |
| *Final R indices [I>2σ(I)]* | $R_1 = 0.0719$, $wR_2 = 0.0878$ | $R_1 = 0.0560$, $wR_2 = 0.1356$ | $R_1 = 0.0719$, $wR_2 = 0.0878$ |
| *R indices (all data)* | $R_1 = 0.2404$, $wR_2 = 0.1225$ | $R_1 = 0.0918$, $wR_2 = 0.1593$ | $R_1 = 0.2404$, $wR_2 = 0.1225$ |
| *Largest diff. peak and hole* | 0.142 and -0.141 e.$Å^{-3}$ | 0.208 and -0.163 e.$Å^{-3}$ | 0.142 and -0.141 e.$Å^{-3}$ |

| ***Compound*** | **1d + (*S,S*)-*trans*-2-methoxy cyclohexanol (2:1)** | **1j + (*S*)-lactic acid (2:1)** | **2e** |
|---|---|---|---|
| *Identification code* | SMONA2 | SMONA6 | AFR13E |
| *Crystal colour* | transparent colourless | transparent colourless | transparent colourless |
| *Crystal shape* | soft, rough fragment | rather regular rod | rough fragment |
| *Crystal size [mm]* | 0.38 x 0.36 x 0.26 mm | 0.33 x 0.16 x 0.14 mm | 0.28 x 0.28 x 0.24 mm |
| *Empirical formula* | $C_{77}\ H_{90}\ O_{10}$ | $C_{39.5}\ H_{45}\ O_{5.5}$ | $C_{17}\ H_{20}\ O_4$ |
| *Formula weight* | 1175.49 g/mol | 607.76 g/mol | 288.33 g/mol |
| *Temperature* | 293(2) K | 293(2) K | 293(2) K |
| *Radiation / Wavelength* | MoKα (graphite mon.) / 0.71073 Å | MoKα (graphite mon.) / 0.71073 Å | MoKα (graphite mon.) / 0.71073 Å |
| *Crystal system* | Monoclinic | Monoclinic | Triclinic |
| *Space group* | $P2_1$ | $P2_1$ | P1 |
| *Unit cell dimensions* | a= 11.980(3) Å, α= 90°<br>b= 23.324(4Å, β= 110.849(18)°<br>c= 12.7737(17) Å, γ= 90° | a= 14.7148(11) Å, α =90°<br>b= 12.6932(10) Å, β= 94.487(19)°<br>c= 18.3412(14) Å, γ= 90° | a=8.1033(17) Å, α=96.242(18)°<br>b=8.1607(17) Å, β=102.840(15)°<br>c=11.8424(19) Å, γ=97.430(15)° |
| *Volume* | 3335.6(10) $Å^3$ | 3415.2(5) $Å^3$ | 749.4(3) $Å^3$ |
| *Calculated density* | 1.170 $Mg/m^3$ | 1.182 $Mg/m^3$ | 1.278 $Mg/m^3$ |
| *Z* | 2 | 4 | 2 |
| *Absorption coefficient* | 0.076 $mm^{-1}$ | 0.077 $mm^{-1}$ | 0.090 $mm^{-1}$ |
| *Diffractometer / scan* | Enraf-Nonius CAD4 / ω | Enraf-Nonius CAD4 / ω-2Θ | Enraf-Nonius CAD4 / ω |
| *F(000)* | 1264 | 1304 | 308 |
| *Θ range for data collection* | 2.90 - 25.61 ° | 2.53 - 27.47° | 3.50 - 27.46 ° |
| *Index ranges* | $-13 \le h \le 14, -28 \le k \le 0, -15 \le l \le 0$ | $-19 \le h \le 0, 0 \le k \le 16, -23 \le l \le 23$ | $-10 \le h \le 0, -10 \le k \le 10, -14 \le l \le 15$ |
| *Reflections collected / unique* | 6735 / 6441 [R(int)= 0.0299] | 8482 / 8175 [R(int)= 0.0469] | 3673 / 3673 |
| *Reflections observed* | 3145 ($[I_o>2\sigma(I_o)]$) | 3479 ($[I_o>2\sigma(I_o)]$) | 1131 ($[I_o>2\sigma(I_o)]$) |
| *Absorption correction* | Semi-empirical from ψ-scans | Semi-empirical from ψ-scans | Semi-empirical from ψ-scans |
| *Range of relat. transm. factors* | 1.046 and 0.960 | 1.084 and 0.950 | 1.234 and 0.808 |
| *Refinement method* | Full-matrix least-squares on $F^2$ | Full-matrix least-squares on $F^2$ | Full-matrix least-squares on $F^2$ |
| *Computing* | SHELXL-97 (Sheldrick, 1997) | SHELXL-97 (Sheldrick, 1997) | SHELXL-97 (Sheldrick, 1997) |
| *Data / restraints / parameters* | 6441 / 1 / 814 | 8175 / 1 / 830 | 3673 / 3 / 389 |
| *Goodness-of-fit on $F^2$* | 1.057 | 1.038 | 1.007 |
| *SHELXL-97 weight parameters* | 0.060400 0.288300 | 0.074800 0.000000 | 0.126400 0.000000 |
| *Final R indices [I>2σ(I)]* | $R_1 = 0.0613$, $wR_2 = 0.1184$ | $R_1 = 0.0801$, $wR_2 = 0.1524$ | $R_1 = 0.1016$, $wR_2 = 0.2126$ |
| *R indices (all data)* | $R_1 = 0.1590$, $wR_2 = 0.1515$ | $R_1 = 0.2098$, $wR_2 = 0.1992$ | $R_1 = 0.2954$, $wR_2 = 0.3026$ |
| *Largest diff. peak and hole* | 0.181 and -0.177 $e.Å^{-3}$ | 0.298 and -0.248 $e.Å^{-3}$ | 0.281 and -0.302 $e.Å^{-3}$ |

| ***Compound*** | **2a + (*S*)-methylphenylsulfoxide (1:1)** | **2v** |
|---|---|---|
| *Identification code* | AFRA1S | AFRA14 |
| *Crystal colour* | transparent colourless | transparent colourless |
| *Crystal shape* | rough lump | rough fragment |
| *Crystal size [mm]* | 0.35 x 0.22 x 0.20 mm | 0.24 x 0.23 x 0.14 mm |
| *Empirical formula* | $C_{22}\ H_{24}\ O_3S$ | $C_{17}\ H_{20}\ O_4$ |
| *Formula weight* | 368.47 g/mol | 288.33 g/mol |
| *Temperature* | 293(2) K | 293(2) K |
| *Radiation / Wavelength* | MoKα (graphite mon.) / 0.71073 Å | MoKα (graphite mon.) / 0.71073 Å |
| *Crystal system* | Orthorhombic | Monoclinic |
| *Space group* | $P2_12_12_1$ | $P2_1$ |
| *Unit cell dimensions* | a=5.7450(9) Å, α=90°<br>b=16.085(2) Å, β=90°<br>c=21.310(3) Å, γ=90° | a=6.5323(12) Å, α=90°<br>b=25.591(5) Å, β=92.774(14)°<br>c=9.0817(13) Å, γ=90° |
| *Volume* | 1969.3(5) $Å^3$ | 1516.4(5) $Å^3$ |
| *Calculated density* | 1.243 $Mg/m^3$ | 1.263 $Mg/m^3$ |
| *Z* | 4 | 4 |
| *Absorption coefficient* | 0.182 $mm^{-1}$ | 0.089 $mm^{-1}$ |
| *Diffractometer / scan* | Enraf-Nonius CAD4 / ω | Enraf-Nonius CAD4 / ω |
| *F(000)* | 784 | 616 |
| *Θ range for data collection* | 3.14 - 27.49 ° | 2.75 - 27.46 ° |
| *Index ranges* | $-7 \le h \le 0, -20 \le k \le 0, 0 \le l \le 27$ | $0 \le h \le 8, -33 \le k \le 0, -11 \le l \le 11$ |
| *Reflections collected / unique* | 2617 / 2617 | 3847 / 3553 [R(int)=0.0369] |
| *Reflections observed* | 1093 ($[I_o>2\sigma(I_o)]$) | 1660 ($[I_o>2\sigma(I_o)]$) |
| *Absorption correction* | Semi-empirical from ψ-scans | Semi-empirical from ψ-scans |
| *Range of relat. transm. factors* | 1.306 and 0.763 | 1.014 and 0.988 |
| *Refinement method* | Full-matrix least-squares on $F^2$ | Full-matrix least-squares on $F^2$ |
| *Computing* | SHELXL-97 (Sheldrick, 1997) | SHELXL-97 (Sheldrick, 1997) |
| *Data / restraints / parameters* | 2617 / 0 / 239 | 3553 / 1 / 461 |
| *Goodness-of-fit on $F^2$* | 1.0567 | 1.052 |
| *SHELXL-97 weight parameters* | 0.144200 | 0.034100  0.071400 |
| *Final R indices [$I>2\sigma(I)$]* | $R_1 = 0.1062$, $wR_2 = 0.2316$ | $R_1 = 0.0595$, $wR_2 = 0.0843$ |
| *R indices (all data)* | $R_1 = 0.2383$, $wR_2 = 0.2997$ | $R_1 = 0.1726$, $wR_2 = 0.1092$ |
| *Largest diff. peak and hole* | 0.493 and -0.435 $e.Å^{-3}$ | 0.15481 and -0.184 $e.Å^{-3}$ |

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