DIASTEREOSELECTIVE SYNTHESIS OF 2-ALKYL-1,2,3,4-TETRAHYDROQUINOLIN-3-OLS — AN EXAMPLE OF DIASTEREOCONVERSION OF α-ALKYL EPOXIDES

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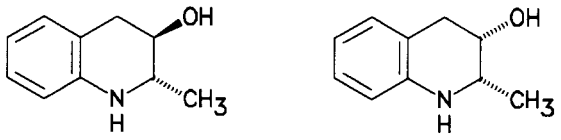
Abstract - The efficient synthesis of both diastereomers of 2-alkyl-1,2,3,4-tetrahydroquinolin-3-ols, representing rigid 1,2-amino alcohols, is outlined applying a diastereoconversion approach. The relative configuration of the title compounds is supported by the unequivocal stereochemical course of the reactions used.

Oxygenated 1,2,3,4-tetrahydroquinolines and 1,2,3,4-tetrahydroisoquinolines are known as bioactive molecules. 2-Alkyl-1,2,3,4-tetrahydroquinolin-4-ols have been described in the literature repeatedly.[1-2] *Grabb et al.* reported on the microbiological oxidation of 2-alkyl-1,2,3,4-tetrahydroquinolines to 4-hydroxy products.[2] Their stereochemical assignment as *cis*-products was performed by comparison with compounds obtained by conventional synthesis and separation of the resultant diastereomers.

However, the synthesis of the 3-hydroxy isomers has not been previously published. These compounds can be seen as rigid 1,2-amino alcohols serving as pharmacologically interesting partial structures. We want to use them as key compounds for the synthesis of enzyme inhibitors as also of drugs, active on the central nervous system. Herein we discuss the specific access to both diastereomers (*trans*-**1** and *cis*-**1**), which is also applicable to related structures (Scheme 1).

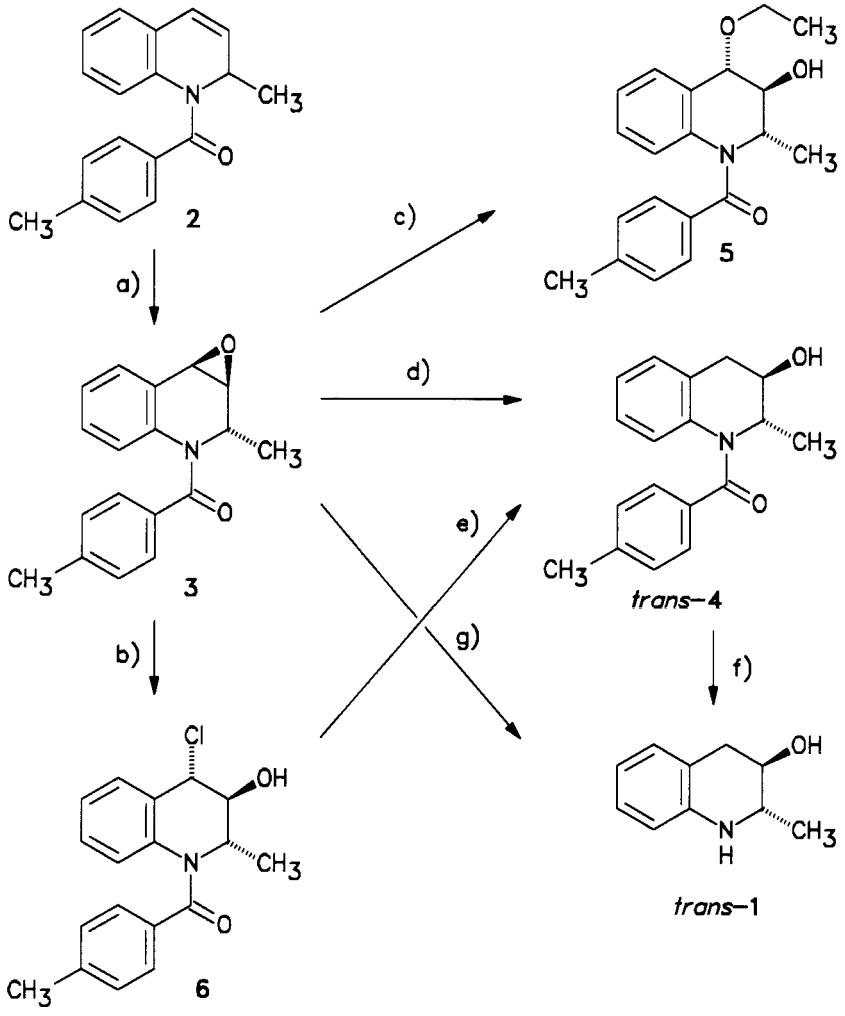


trans–1 *cis*–1

only relative stereochemistry shown throughout

Scheme 1

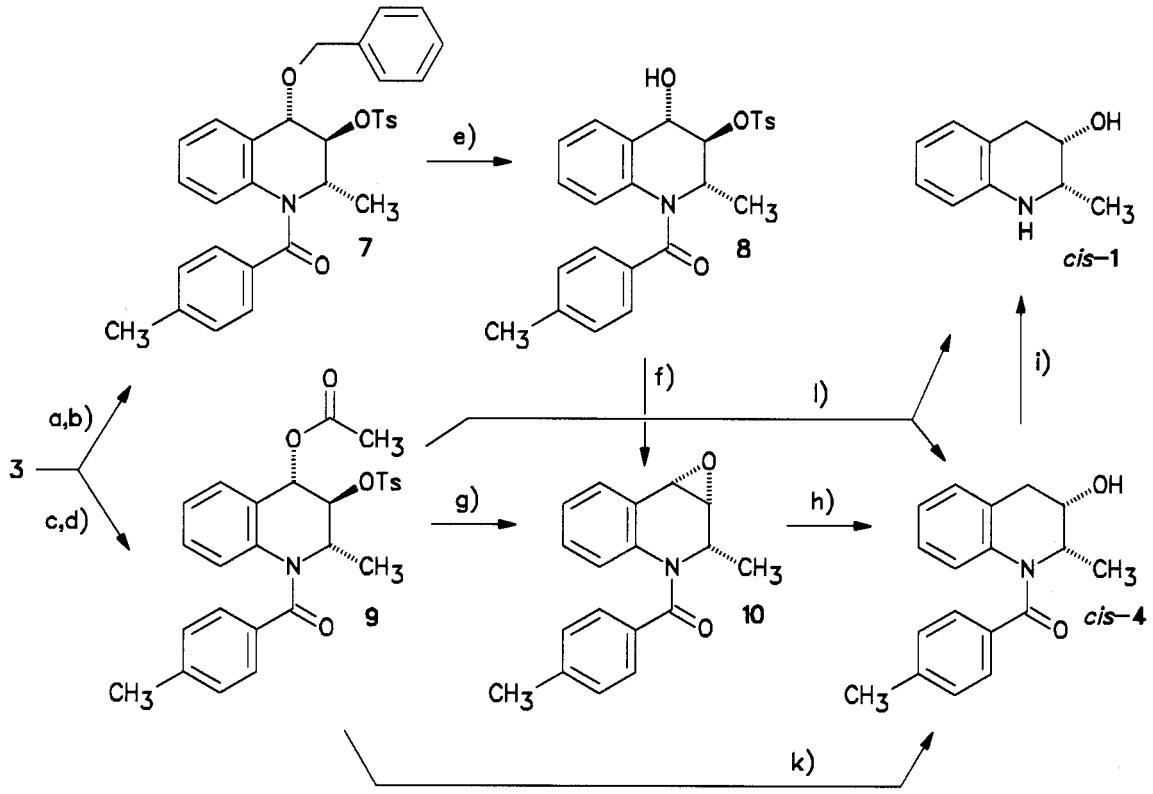
We have already reported that the *trans*-epoxides (**3**) are diastereoselectively formed by reaction of *N*-acylated 2-alkyl-1,2-dihydroquinolines (**2**) with 3-chloroperoxybenzoic acid (*m*-CPBA) (Scheme 2; path a).[3] Using these key epoxides (**3**) the *N*-protected *trans*-alcohols should be directly available by catalytic hydrogenation, considering our experience that the oxirane ring is exclusively attacked by nucleophiles at the benzylic position from the rear.[4,5]



Scheme 2. a) *m*-CPBA, CH_2Cl_2, 0 °C → rt, 2-3 h, 75%; b) HCl/ether, rt, 3 h, 67%; c) 10% Pd/C, H_2, EtOH, rt, 1 h, 43% (+ 25% *trans*-**4**); d) 10% Pd/C, H_2, 1,4-dioxane, rt, overnight, 78%; e) 10% Pd/C, H_2, Et_3N, EtOH, rt, 20 min, 91%; f) KOH, MeOH, reflux, 2 h, 86%; g) Super-Hydride®, THF, rt, overnight, 95%.

Thus, hydrogenolysis of **3** with palladium on charcoal in ethanol produced *trans*-**4** as single diastereomer, but the solvent acts as concurrent nucleophile giving the 4-*O*-alkylated compound (**5**) as main product (Scheme 2; path c). This implies that **5** was formed by alcoholysis, apparently promoted by the used catalyst.[6] Though, after our experience, the cleavage of epoxide (**3**) by alcohols must be supported by cerium salts as catalysts to get acceptable yields of 4-*O*-alkylated products (**5**).[5] When epoxide (**3**) is stirred in ethanol without catalysts, **5** cannot be detected. As expected, **4** is obtained in non-nucleophilic solvents, *e.g.* 1,4-dioxane, as single product (Scheme 2; path d).

An alternative approach to *trans*-**4** is given by intermediate epoxide cleavage by chloride as nucleophile which leads to the chlorohydrin (**6**) (Scheme 2; path b). The acid-catalyzed reaction rapidly proceeds in ethereal HCl.[7] The catalytic hydrogenation of **6** afforded *trans*-**4** in nearly quantitative yield, even performed in alcoholic solutions (Scheme 2; path e).



Scheme 3: a) $C_6H_5CH_2OH$, CAN, CH_3CN, rt, overnight, 63%; b) TsCl, pyridine, CH_2Cl_2, rt, overnight, 92%; c) CH_3COOH, CAN, CH_3CN, rt, 59%; d) TsCl, pyridine, CH_2Cl_2, rt, 90%; e) 10% Pd/C, H_2, CH_3COOH, 80 °C, overnight, 27%; f) KOH, MeOH, rt, 5 min, 78%; g) KOH, MeOH, rt, 30 min, 92 %; h) 10% Pd/C, H_2, THF, 6 h, 82 %; i) KOH, MeOH, reflux, 2 h, 82%, k) 10% Pd/C, H_2, KOH, THF/MeOH, rt, overnight, 71%; l) Super-Hydride®, THF, rt, overnight, 65-90 % *cis*-**1** (+ 25-0 % *cis*-**4**).

Removal of the benzoyl moiety leading to *trans*-**1** can be achieved by basic treatment (Scheme 2; path f). Furthermore, the synthesis of diastereomerically pure aminol *trans*-**1**, starting from epoxide (**3**), can be shortened to one step by reduction of **3** with lithium triethylborohydride (Super-Hydride®) (Scheme 2; path g).

To get the *cis*-aminol (**1**), the same reactions were carried out using the isomeric starting epoxide (**10**) which has been generated *via* inversion of *C*-4: Epoxide cleavage with benzyl alcohol and subsequent tosylation afforded **7**. Catalytic hydrogenation of this 4-*O*-benzyl-protected *p*-toluenesulphonate led to **8** which was cyclized to the isomeric oxirane (**10**) by treatment with KOH in methanol (Scheme 3; pathes a,b,e,f).[5] The final hydrogenation step furnished diastereomerically pure *cis*-**4** which can be subsequently deprotected by basic hydrolysis to *cis*-**1** (Scheme 3, path i).

The outlined sequence is hampered by low yields removing the benzyl moiety to **8** by catalytic hydrogenation. This disadvantage can be avoided by introduction of a base-labile group: Epoxide (**3**) is cleaved by acetic acid (catalyzed by cerium ammonium nitrate,[5] CAN) and then tosylated to **9** (Scheme 3; pathes c,d). Considering the easier cleavage of the acetate the formation of the epimeric oxirane (**10**) can be performed in one step by addition of potassium hydroxide to a methanolic solution of **9** (Scheme 3; path g).

To get *cis*-**1** and *cis*-**4,** it is not necessary to isolate the epoxide (**10**), which could be hydrogenated to *cis*-**4** (Scheme 3; path h) as outlined for the reduction of the isomeric epoxide (**3**) to *trans*-**4.** The formation of *cis*-**4** is summarized to one step by carrying out the following protocol: To a solution of 4-*O*-acyl-protected 3-*O*-tosylate (**9**) in tetrahydrofuran, containing palladium on charcoal as catalyst and submitting to a hydrogen atmosphere, triethylamine (or better: potassium hydroxide) as base is added yielding directly the *N*-protected compound (**4**) (Scheme 3; path k). For the synthesis of *cis*-**1** the reaction sequence can further be economized when hydrolysis and reduction are combined by offering a suitable hydride reagent. Using lithium triethylborohydride the intermediary formed epoxide with inverse configuration is immediately reduced to *cis*-**4**, remarkably slower the amide is cleaved. Depending on the

amounts of added hydride reagent (and reaction time), a mixture of *cis*-**4** and *cis*-**1** or solely *cis*-**1** are formed as products (Scheme 3; path l).

In conclusion, we have demonstrated a short and economical strategy which affords both diastereomers of **1** (or **4**, respectively) in diastereomerically pure form. The unequivocally stereochemical course of the reaction sequence defines the relative configuration of the products. On the other hand, simple separation of the diastereomers, resulting from a nondiastereoselective synthesis, would imply an ambiguous assignment of the diastereomers as depicted for the synthesis of the isomeric 1,2,3,4-tetrahydroquinolin-4-ols by *Crabb et al.*[2] The displayed reaction pathways can also be extended to the synthesis of enantiomerically pure aminols applying asymmetric epoxidation for the preparation of epoxide (**3**).

EXPERIMENTAL

Melting points were taken on a Kofler hot stage apparatus and are uncorrected. Solvents and common reagents were obtained commercially and used as received or purified as follows: dichloromethane was distilled under argon from phosphorus pentoxide, 1,4-dioxane and tetrahydrofuran were refluxed under argon over sodium benzophenone ketyl and distilled, methanol was refluxed over magnesium and distilled. IR spectra were recorded as KBr pellets in the case of solids or as liquid films between KBr salt disks in the case of oils, using a Perkin Elmer 1600 FTIR spectrophotometer. NMR spectra were determined on Bruker AC 80 and Varian Unity-plus 300 instruments. All substances were measured in $CDCl_3$ as solvent. ^1H nmr spectra were recorded with $(CH_3)_4Si$ as the internal reference, the chemical shifts of the ^{13}C spectra were given in ppm related to the resonance of $CDCl_3$ (77.0 ppm). MS spectra were recorded on a Hewlett-Packard GC-MS equipment (HP-5890A, HP-5970C, HP-59970) and a Shimadzu QP 5000 instrument. Column chromatography was conducted on Merck silica gel 60.

(2*SR*, 3*SR*, 4*SR*)-4-Ethoxy-3-hydroxy-2-methyl-1-*p*-toluoyl-1,2,3,4-tetrahydroquinoline (5)

A solution of epoxide (**3**; 280 mg, 1 mmol) in 30 mL of ethanol and 50 mg of Pd/C (10 %) was hydrogenated at ambient temperature overnight. The catalyst was filtered off over Celite and the solvent was evaporated. Purification by column chromatography (ether) afforded 70 mg of *trans*-**4** (25 %) and 140 mg of **5** (43 %), colorless crystals from ether, mp 147 °C; ^{1}H-NMR (80 MHz): δ (ppm) = 7.43 (1H, dd, *J* = 8.0 Hz, *J* = 1.5 Hz, arom. H), 7.30 - 6.80 (6H, m, arom. H), 6.54 (1H, dd, *J* = 8.0 Hz, *J* = 1.5 Hz, arom. H), 4.65 (1H, m, 2-H), 4.31 (1H, d, *J* = 8.0 Hz, 4-H), 3.96 (2H, q, *J* = 7.5 Hz, OC*H*$_2$CH$_3$), 3.62 (1H, s, OH), 3.51 (1H, m, 3-H), 2.30 (3H, s, *p*-CH$_3$), 1.45 (3H, d, *J* = 7.0 Hz, 2-CH$_3$), 1.31 (3H, t, *J* = 7.5 Hz, OCH$_2$C*H*$_3$); ^{13}C-NMR (75.4 MHz): δ (ppm) = 169.4 (C=O), 140.4, 136.5, 132.6, 131.2 (arom. C), 128.8, 128.5, 126.9, 126.2, 125.3, 124.8 (arom. CH), 79.1 (3-C, 4-C), 67.4 (O*C*H$_2$CH$_3$), 55.0 (2-C), 21.3 (*p*-CH$_3$), 18.6, 15.5 (OCH$_2$*C*H$_3$, 2-CH$_3$); IR: 1620 cm^{-1} (ν_{amide}); MS (m/z) 325 (M$^+$). Anal. Calcd for C$_{20}$H$_{23}$NO$_3$: C, 73.82; H, 7.12; N, 4.30. Found: C, 73.58; H, 7.03; N, 4.27.

(2*SR*, 3*RS*)-3-Hydroxy-2-methyl-1-*p*-toluoyl-1,2,3,4-tetrahydroquinoline (*trans*-4)

Entry 3: A solution of epoxide (**3**; 280 mg, 1 mmol) in 20 mL of 1,4-dioxane was hydrogenated overnight at rt using a Pd/C catalyst (10 %; 50 mg). The reaction mixture was filtrated over Celite and evaporated *in vacuo*, yield 230 mg of *trans*-**4** (78 %).

Entry 6: A solution of halohydrine (**6**; 160 mg, 0.5 mmol)[7] in 10 mL of ethanol, containing triethylamine (1 mmol, 0.14 mL), was hydrogenated for 20 min at rt using a Pd/C catalyst (10 %; 20 mg). The reaction mixture was filtrated over Celite and evaporated *in vacuo*, yield 130 mg of *trans*-**4** (91 %); colorless crystals from ether, mp 173-175 °C; ^{1}H-NMR (300 MHz): δ (ppm) = 7.27 (2H, m, arom. H), 7.13 (1H, d, *J* = 7.0 Hz, arom. H), 7.04 - 6.96 (3H, m, arom. H), 6.86 (1H, t, *J* = 8.0 Hz, arom. H), 6.67 (1H, d, *J* = 8.0 Hz, arom. H), 4.69 (1H, m, 2-H), 3.93 (1H, m, 3-H), 3.03 (1H, dd, *J* = 5.0 Hz, *J* = 17.5 Hz, 4a-H), 2.96 (1H, br, OH), 2.88 (1H, dd, *J* = 4.0 Hz, *J* = 17.5 Hz, 4b-H), 2.31 (3H, s, *p*-CH$_3$), 1.12 (3H, d, *J* = 7.0 Hz, 2-CH$_3$); ^{13}C-NMR (75.4 MHz): δ (ppm) = 171.5 (C=O), 140.2, 136.7, 133.3, 127.3 (arom. C), 129.0, 128.8, 128.6, 126.2, 125.6, 124.5 (arom. CH), 70.4 (3-C), 55.3 (2-C), 32.6 (4-C), 21.4 (*p*-CH$_3$), 16.0 (2-CH$_3$); IR: 3340 (OH), 1625 cm^{-1} (ν_{amide}); MS (m/z) 281 (M$^+$). Anal. Calcd for C$_{18}$H$_{19}$NO$_2$: C, 76.84; H, 6.81; N, 4.98. Found: C, 76.60; H, 6.91; N, 4.89.

(2*SR*, 3*RS*)-3-Hydroxy-2-methyl-1,2,3,4-tetrahydroquinoline (*trans*-1)

Entry 3: A solution of epoxide (**3**; 560 mg, 2 mmol)[3] in 10 mL of dry tetrahydrofuran was treated with lithium triethylborohydride solution (1 M; 2 mL, 2 mmol) by slow addition of the reagent and stirred overnight at ambient temperature. The reaction mixture was carefully quenched with saturated ammonium chloride solution (10 mL) and extracted with ether (3 × 10 mL). Purification by column chromatography (petroleum ether/ether: 1:1), yield 310 mg of *trans*-**1** (95 %).

Entry *trans*-4: Amide (*trans*-**4**; 560 mg, 2 mmol) was hydrolyzed by refluxing for 2 h in basic methanolic solution (100 mg KOH, 10 mL of methanol). The reaction mixture was evaporated *in vacuo*, redissolved in H_2O (50 mL), and extracted with ethyl acetate (3 × 20 mL). The combined organic layers were dried over Na_2SO_4 and brought to dryness *in vacuo*, yield 280 mg of *trans*-**1** (86 %), colorless oil; ^{1}H-NMR (300 MHz): δ (ppm) = 7.01 (2H, m, 7-H, 8-H), 6.67 (1H, t, J = 7.5 Hz, 6-H), 6.52 (1H, d, J = 7.5 Hz, 5-H), 3.78 (1H, q, J = 5.5 Hz, 3-H), 3.31 (1H, quint, J = 6.5 Hz, 2-H), 3.01 (1H, dd, J = 4.5 Hz, J = 16.5 Hz, 4a-H), 2.77 (1H, dd, J = 6.5 Hz, J = 16.5 Hz, 4b-H), 1.21 (3H, d, J = 6.5 Hz, 2-CH_3); ^{13}C-NMR (75.4 MHz): δ (ppm) = 142.9, 118.6 (arom. C), 129.7, 126.8, 117.3, 113.7 (arom. CH), 68.5 (3-C), 52.3 (2-C), 33.8 (4-C), 19.1 (2-CH_3); IR: 3380 (OH, NH), 1610, 1590, 1490 cm^{-1} (δ_{NH}); MS (m/z) 163 (M^+). Anal. Calcd for $C_{10}H_{13}NO$: C, 73.59; H, 8.03; N, 8.58. Found: C, 73.48; H, 8.32; N, 8.37.

(2*SR*, 3*SR*)-3-Hydroxy-2-methyl-1-*p*-toluoyl-1,2,3,4-tetrahydroquinoline (*cis*-4)

Entry 9 - method A: To a suspension of Pd/C catalyst (10 %, 50 mg) in 10 mL of dry tetrahydrofuran was added a solution of **9** (400 mg, 0.81 mmol) in 10 mL of dry tetrahydrofuran and 1 mL of dry methanol. The hydrogenation was started after addition of KOH (50 mg), dissolved in 2 mL of dry methanol, and performed for 10 h at rt. Then, the reaction mixture was filtrated over Celite and evaporated *in vacuo*. The residue was dissolved in a mixture of water (10 mL) and ether (10 mL) and finally extracted with ether (2 × 20 mL). The combined organic layers were dried over Na_2SO_4 and brought to dryness *in vacuo*, yield 165 mg of *cis*-**4** (72 %).

Entry 9 - method B: A solution of **9** (490 mg, 1 mmol) in 20 mL of dry tetrahydrofuran was treated with a lithium triethylborohydride solution (1 M in tetrahydrofuran, 3 mL, 3 mmol) at

0 °C. The solution was stirred at this temperature overnight. After quenching with saturated ammonium chloride solution (10 mL), the reaction mixture was extracted with ether (3 × 10 mL). The combined organic layers were dried over Na_2SO_4 and evaporated *in vacuo*. The residue was separated by column chromatography (petroleum ether/ether: 1:3) giving 70 mg of epoxide **10** (25 %) and 190 mg of *cis*-**4** (62 %).

Entry 10. Epoxide (**10**; 280 mg, 1 mmol) was hydrogenated as described for epoxide **3** (preparation of *trans*-**4**, starting from **3**), yield 230 mg of *cis*-**4** (82 %), colorless crystals from ether, mp 149 - 151 °C; ^{1}H-NMR (300 MHz) δ (ppm) = 7.22 (2H, m, J = 7.8 Hz, arom. H), 7.05 (3H, m, arom. H), 6.96 (1H, t, J = 7.0 Hz, arom. H), 6.82 (1H, t, J = 7.0 Hz, arom. H), 6.67 (1H, d, J = 7.0 Hz, arom. H), 4.85 (1H, m, 2-H), 4.74 (1H, br, OH), 4.25 (1H, m, 3-H), 3.12 (1H, dd, J = 6.5 Hz, J = 17.0 Hz, 4a-H), 2.71 (1H, dd, J = 10.0 Hz, J = 17.0 Hz, 4b-H), 2.28 (3H, s, *p*-CH_3), 1.09 (3H, d, J = 6.6 Hz, 2-CH_3); ^{13}C-NMR (75.4 MHz): δ (ppm) = 170.4 (C=O), 140.6, 135.8, 133.0, 127.9 (arom. C), 129.1, 128.7, 128.5, 126.1, 125.7, 124.5 (arom. CH), 67.0 (3-C), 52.9 (2-C), 32.3 (4-C), 21.3 (*p*-CH_3), 10.7 (2-CH_3); IR: 3400 (OH), 1635 cm^{-1} (ν_{amide}); MS (m/z) 281 (M^+). Anal. Calcd for $C_{18}H_{19}NO_2$: C, 76.84; H, 6.81; N, 4.98. Found: C, 76.54; H, 6.63; N, 4.92.

(2*SR*,3*SR*,4*SR*)-4-Acetoxy-2-methyl-1-*p*-toluoyl-3-*p*-tosyloxy-1,2,3,4-tetrahydroquinoline (9)

A solution of *trans*-epoxide (**3**; 420 mg, 1.5 mmol) in 5 mL of glacial acetic acid was stirred overnight at rt after addition of 50 mg of cerium ammonium nitrate. Then, the reaction mixture was diluted with water (100 mL) and extracted with dichloromethane (3 × 20 mL). The combined organic layers were washed with saturated sodium bicarbonate solution (3 × 10 mL) and brine (2 × 10 mL) and dried over Na_2SO_4. After addition of 570 mg (3 mmol) of *p*-toluenesulphonyl chloride the solution was cooled to 0 °C and 0.25 mL (3 mmol) of pyridine, diluted with dichloromethane to 2 mL, were slowly added. The solution was stirred for 24 h. Finally, the reaction mixture was washed with hydrochloric acid (0.5 N, 2 × 10 mL), sodium carbonate solution (2 N; 2 × 10 mL), and brine (2 × 10 mL). The organic layer was separated, dried (Na_2SO_4), and evaporated *in vacuo*. The residue was chromatographed on silica gel (petroleum ether/ether: 1:3), yield 395 mg of **9** (53 %), colorless crystals from petroleum ether/ether, mp 184 °C; ^{1}H-NMR (300 MHz): δ (ppm) = 7.60 (2H, d, J = 8.4 Hz, arom. H), 7.19 (2H, d,

J = 8.4 Hz, arom. H), 7.05 - 6.93 (5H, m, arom. H), 6.86 (2H, d, J = 7.8 Hz, arom. H), 6.42 (1H, d, J = 8.1 Hz, arom.H), 5.88 (1H, d, J = 7.2 Hz, 4-H), 4.71 (1H, m, 2-H), 4.44 (1H, dd, J = 3.3 Hz, J = 6.6 Hz, 3-H), 2.27, 2.13 (each 3H, each s, 2 × p-CH_3), 1.95 (3H, s, CH_3CO), 1.00 (3H, d, J = 6.9 Hz, 2-CH_3); ^{13}C-NMR (75.4 MHz): δ (ppm) = 170.2, 169.8 (C=O), 145.2, 141.2, 136.0, 133.0, 131.3, 125.3 (arom. C), 129.7, 128.5, 128.4, 128.0, 127.4, 125.9, 125.6, 125.5 (arom. CH), 83.7 (3-C), 68.4 (4-C), 52.7 (2-C), 21.0, 20.8, 20.1 (CH_3CO, 2 × p-CH_3), 16.7 (2-CH_3); IR: 1740 (ν_{ester}), 1650 (ν_{amide}), 1370(ν_{as} SO_2-O), 1180 (ν_{sy} SO_2-O); MS (m/z) 493 (M^+). Anal. Calcd for $C_{27}H_{27}NO_6S$: C, 65.70; H, 5.51; N, 2.84; S, 6.50. Found: C, 65.55; H, 5.76; N, 2.86; S, 6.34.

(2SR, 3RS, 4SR-3,4-Epoxy-2-methyl-1-*p*-toluoyl-1,2,3,4-tetrahydroquinoline (10)

A solution of **9** (500 mg, 1 mmol) in 10 mL of methanol, containing 150 mg of KOH, was stirred for 20 min. Then, the solution was diluted with water (200 mL) and extracted with dichloromethane (3 × 20 mL). The combined organic layers were dried over Na_2SO_4 and evaporated. The residue was chromatographed over silica gel (petroleum ether/ether: 1:2), yield 260 mg of **10** (92 %). For substance data see ref. 5.

(2*SR*, 3*SR*)-3-Hydroxy-2-methyl-1,2,3,4-tetrahydroquinoline (*cis*-1)

Entry *cis*-4: Hydrolysis of *cis*-**4** (560 mg, 2 mmol) was performed as described for the synthesis of *trans*-**1** (entry *trans*-**4**) (see above), yield 265 mg of *cis*-**1** (82 %).

Entry 9: To a solution of **9** (490 mg, 1 mmol) in 20 mL of dry tetrahydrofuran lithium triethylborohydride, dissolved in tetrahydrofuran (1 M; 10 mL, 10 mmol), was slowly added at 0 °C *via* a syringe. The cooling bath was removed and the solution was stirred overnight. After quenching with saturated ammonium chloride solution (10 mL), the reaction mixture was extracted with ether (3 × 10 mL). The combined organic layers were washed with brine (2 × 10 mL), dried over Na_2SO_4 and evaporated *in vacuo*, colorless oil, yield 145 mg of *cis*-**1** (89 %), colorless crystals from ether, mp 78 °C; ^{1}H-NMR (300 MHz): δ (ppm) = 6.98 (2H, m, arom. H), 6.69 (1H, t, J = 7.0 Hz, arom. H), 6.52 (1H, d, J = 8.0 Hz, arm. H), 3.91 (1H, br, 3-H), 3.39 (1H, m, 2-H), 3.06 (1H, dd, J = 17.0 Hz, J = 2.0 Hz, 4a-H), 2.83 (1H, d, J = 17.0 Hz, 4b-H), 2.08, 1.62 (each 1H, each br, NH, OH), 1.25 (3H, d, J = 6.6 Hz, 2-CH_3);

^{13}C-NMR (75.4 MHz): δ (ppm) = 143.7, 118.5 (arom. C), 130.6, 126.9, 118.5, 114.1 (arom. CH), 66.6 (3-C), 50.7 (2-C), 36.0 (4-C), 18.0 (2-CH_3); IR: 3420 (OH, NH), 1610, 1490 cm^{-1} (δ_{NH}); MS (m/z) 163 (M^+). Anal. Calcd for $C_{10}H_{13}NO$: C, 73.59; H, 8.03; N, 8.58. Found: C, 73.31; H, 8.21; N, 8.33.

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Received, 10th May, 1999