ISSN 1070-4280, Russian Journal of Organic Chemistry, 2006, Vol. 42, No. 4, pp. 496–500. © Pleiades Publishing, Inc., 2006.
Published in Zhurnal Organicheskoi Khimii, 2006, Vol. 42, No. 4, pp. 514–518.

Efficient Synthesis of Chiral β- and γ-*N*-Tosylaminoalcohols from 1-Aryl-2-aminopropane-1,3-diols*

Feng Xichun, Qiu Guofu, Liang Shucai, Su Jiangtao, Teng Hanbing, Wu Lamei, and Hu Xianming

College of Pharmacy, Wuhan University, Wuhan, 430072 China
tel.: +862768752339; fax: +862768754629; e-mail: xmhu@whu.edu.cn

Abstract—(1*S*,2*S*)-1-Aryl-2-tozylaminopropan-1-ols were synthesized by cyclization of 1-aryl-2-aminopropane-1,3-diol to aryl(1-tosylaziridin-2-yl)methanols, followed by hydride reduction of the latter. Reduction of the aza-Payne rearrangement products of intermediate aryl(1-tosylaziridin-2-yl)methanols gave (1*S*)-1-aryl-3-tosylaminopropan-1-ols.

DOI: 10.1134/S107042800604004X

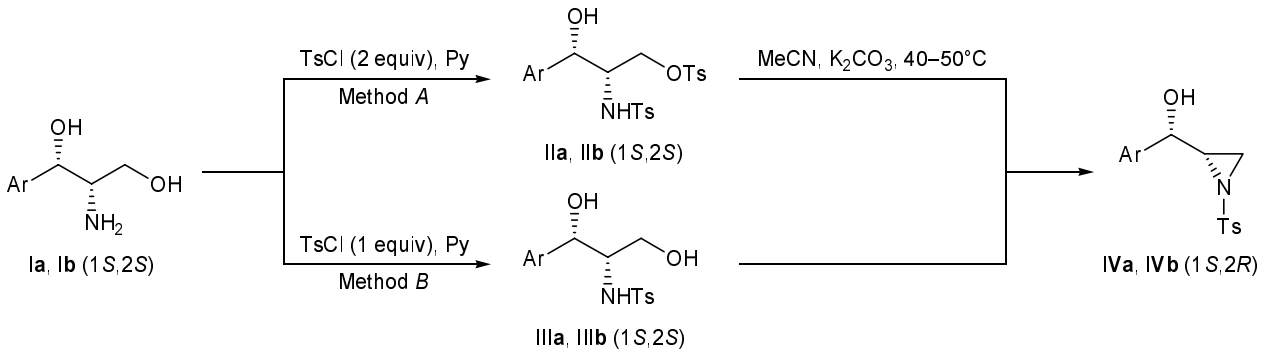
Chiral amino alcohols are usually obtained by isolation from natural sources [1, 2] or asymmetric synthesis [2]. Their importance as ligands in asymmetric catalysis [4–6] and building blocks for pharmaceuticals [7, 8] is well recognized. At present, the main procedure for the synthesis of β-amino alcohols is based on nucleophilic opening of aziridines in the presence of mineral acids [9] or Lewis acids [10].

1-Aryl-2-aminopropane-1,3-diols are key intermediate products in the synthesis of chloramphenicol-type antibiotics. Only their (1*R*,2*R*)-isomers are biologically active, while enantiomeric (1*S*,2*S*)-1-aryl-2-aminopropane-1,3-diols lack such an activity. Nevertheless, the discarded bases as compounds with high enantiomeric purity have found numerous applications in organic synthesis as homochiral starting materials and building blocks, as well as chiral auxiliaries [11–17] and ligands [18, 19] in asymmetric transformations.

In the present communication we report an efficient transformation of (1*S*,2*S*)-1-aryl-2-aminopropane-1,3-diols **Ia** and **Ib**, which are waste intermediate products in the manufacture of chloramphenicol, into (1*S*,2*S*)-1-aryl-2-tosylaminopropan-1-ols **Va** and **Vb** and (1*S*)-1-aryl-3-tosylaminopropan-1-ols **VIIa** and **VIIb** through intermediate aziridinomethanols **IVa** and **IVb** and their aza-Payne rearrangement products **VIa** and **VIb**, respectively (Schemes 1, 2). Compounds **VII** attract interest as possible intermediate products in the synthesis of Fluoxetine [*N*-methyl-3-phenyl-3-(4-trifluoromethylphenoxy)propan-1-amine hydrochloride], a drug for the treatment of depressions and other disorders.

Aryl(aziridin-2-yl)methanol derivatives **IV** were synthesized by us previously from 1-aryl-2-amino-

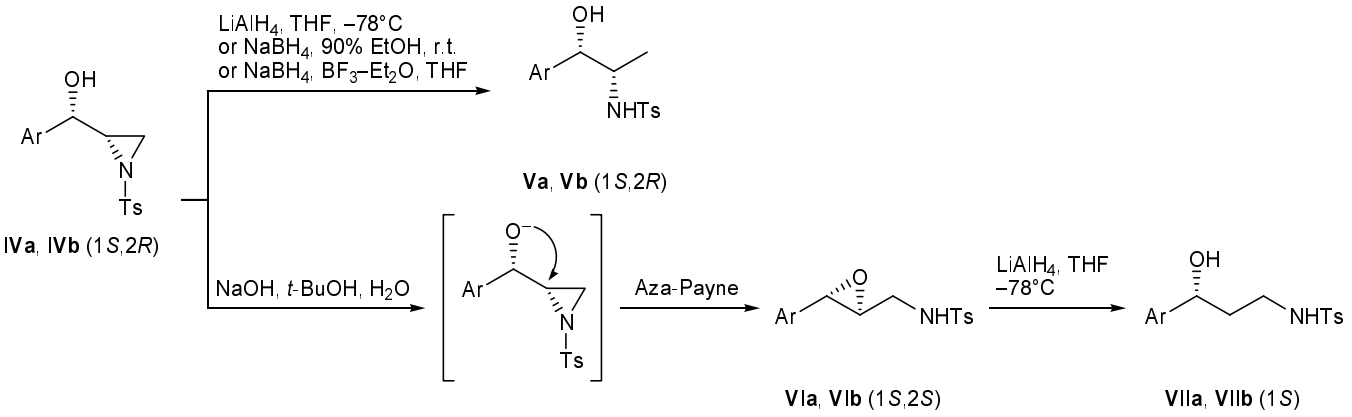
Scheme 1.



Ar = *p*-$O_2NC_6H_4$ (**a**), Ph (**b**).

* The text was submitted by the authors in English.

Scheme 2.



Ar = *p*-$O_2NC_6H_4$ (**a**), Ph (**b**).

propane-1,3-diol [20]. In the present work we obtained compounds **IV** in two ways (Scheme 1). The first of these (method *A*) includes *N*,*O*-ditosylation of (1*S*,2*S*)-1-aryl-2-aminopropane-1,3-diols **I**, followed by cyclization of (1*S*,2*S*)-1-aryl-2-tosylamino-3-tosyloxypropan-1-ols **II** in the presence of potassium carbonate. According to method *B*, the corresponding mono-*N*-tosyl derivative, (1*S*,2*S*)-1-aryl-2-tosylaminopropane-1,3-diol **III**, was subjected to cyclization by the action of triphenylphosphine and diethyl azodicarboxylate (DEAD).

Reductive cleavage of the aziridine ring in **IV** was effected with the aid of $LiAlH_4$, B_2H_6, and $NaBH_4$. As a result, we isolated (1*S*,2*S*)-β-aminoalcohols **Va** and **Vb**. The reaction was highly selecive: no compounds **VII** were detected in the reaction mixtures. Aza-Payne rearrangement requires more sever conditions (BuOK, NaH or KH at 0 or –78°C) [21]; therefore, its application in organic synthesis is limited. We have found that amino alcohols **IV** undergo aza-Payne rearrangement under mild conditions (in a solution of NaOH in aqueous *tert*-butyl alcohol) to give 2,3-epoxy amines **VIa** and **VIb** in high yields (98 and 99%, respectively). Reductive cleavage of the oxirane ring in **VI** by the action of $LiAlH_4$ afforded (1*S*)-γ-aminoalcohols **VII** (Scheme 2).

EXPERIMENTAL

The ^1H and ^{13}C spectra were recorded on a Varian Mercury spectrometer at 300 MHz. The IR spectra were measured in KBr on a Nicolet-170 SX instrument. The mass spectra (electron impact) were obtained on a ZAB-HF-3F mass spectrometer. The specific optical rotations were measured on a Perkin–Elmer 343 plus polarimeter. The melting points were determined on an XTD4 melting point apparatus (uncorrected values are given). Silica gel was used for analytical and flash chromatography. Organic extracts were dried over $MgSO_4$ and filtered before removal of the solvent. All reactions were carried out in anhydrous solvents under nitrogen. Tetrahydrofuran (THF) was dried over metallic sodium in the presence of benzophenone and was distilled prior to use. Diethyl azodicarboxylate was prepared as described in [22].

General procedure for the tosylation of 1-aryl-2-aminopropane-1,3-diols. A solution of 1 or 2 equiv of *p*-toluenesulfonyl chloride in 10 ml of THF was added to a suspension of 10.0 mmol of propanediol **Ia** or **Ib** in 20 ml of pyridine under stirring at 0°C. The mixture was stirred for 24 h at room temperature, poured into 50 ml of cold 2 M hydrochloric acid, and extracted with diethyl ether (3×50 ml). The extracts were combined, washed in succession with 0.15 M hydrochloric acid (3×50 ml), a saturated solution of $CuSO_4$ (3×50 ml), a saturated solution of $NaHCO_3$ (3×50 ml), and a saturated solution of NaCl (3×50 ml), and dried over $MgSO_4$, and the solvent was removed under reduced pressure.

(1*S*,2*S*)-2-(4-Methylphenylsulfonylamino)-3-(4-methylsulfonyloxy)-1-(4-nitrophenyl)propan-1-ol (IIa). The reaction of 2.12 g (10.0 mmol) of 2-amino-1-(4-nitrophenyl)propane-1,3-diol (**Ia**) with 3.82 g (2 equiv) of *p*-toluenesulfonyl chloride was performed according to the general procedure. Yield of **IIa** 4.58 g (88%), white solid, mp 187–189°C, $[\alpha]_D^{20}$ = +65° (*c* = 1.0, CH_3OH). IR spectrum, ν, cm^{-1}: 3460, 3286, 1598, 1524, 1352, 1175, 813, 770. ^1H NMR spectrum (DMSO-d_6), δ, ppm: 2.33 s (3H, CH_3), 2.42 s (3H, CH_3), 3.50 m (1H, C**H**NH), 3.64 t (1H, C$\mathbf{H}_2$OTs),

3.80 t (1H, C**H**$_2$OTs), 4.12 s (1H), 4.67 s (1H), 5.48 d (1H, CHOH, J = 5.1 Hz) 7.06 d (2H, J = 8.4 Hz), 7.13 d (2H, J = 8.7 Hz), 7.30 d (2H, J = 8.4 Hz), 7.41 d (2H, J = 8.7 Hz), 7.66 d (2H, J = 8.1 Hz), 7.98 d (2H, J = 8.1 Hz).

(1*S*,2*S*)-2-(4-Methylphenylsulfonylamino)-3-(4-methylsulfonyloxy)-1-phenylpropan-1-ol (IIb) was synthesized according to the general procedure from 1.67 g (10.0 mmol) of 2-amino-1-phenylpropane-1,3-diol (**Ib**) and 3.82 g (2 equiv) of *p*-toluenesulfonyl chloride. Yield 3.85 g (81%), off-white solid, mp 133–134°C, $[\alpha]_D^{20}$ = +74° (c = 1.0, CH_3OH). IR spectrum, ν, cm^{-1}: 3495, 3453, 3296, 1598, 1366, 1152, 978, 835, 807, 748. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 2.32 s (3H, CH_3), 2.44 s (3H, CH_3), 3.44 m (1H, C**H**NH), 3.63 t (1H, C**H**$_2$OTs), 4.09 t (1H, C**H**$_2$OTs), 4.67 s (1H), 5.64 d (1H, CHOH, J = 5.1 Hz) 7.13 d (6H, J = 8.7 Hz), 7.35 d (2H, J = 6.9 Hz), 7.46 d (2H, J = 8.1 Hz), 7.64 t (3H).

(1*S*,2*S*)-2-(4-Methylphenylsulfonylamino)-1-(4-nitrophenyl)propane-1,3-diol (IIIa) was synthesized according to the general procedure from 2.12 g (10.0 mmol) of 2-amino-1-(4-nitrophenyl)propane-1,3-diol (**Ia**) and 1.91 g (1 equiv) of *p*-toluenesulfonyl chloride. Yield 3.51 g (96%), white solid, mp 244–246°C (decomp.), $[\alpha]_D^{20}$ = +29.5° (c = 1.0, CH_3OH). IR spectrum, ν, cm^{-1}: 3474, 3323, 3268, 1603, 1519, 1345, 1149, 1072, 853, 812. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 2.25 s (3H, CH_3), 3.55 m (1H, C**H**NH), 4.86 m (1H, C**H**$_2$OH), 4.99 m (1H, C**H**$_2$OH), 5.69 d (1H, CHO**H**, J = 5.1 Hz), 7.06 d (2H, J = 7.8 Hz), 7.30 d (2H, J = 8.1 Hz), 7.40 d (2H, J = 8.4 Hz), 7.92 d (2H, J = 8.4 Hz).

(1*S*,2*S*)-2-(4-Methylphenylsulfonylamino)-1-phenylpropane-1,3-diol (IIIb) was synthesized according to the general procedure from 1.67 g (10.0 mmol) of 2-amino-1-phenylpropane-1,3-diol (**Ib**) and 1.91 g (1 equiv) of *p*-toluenesulfonyl chloride. Yield 2.89 g (90%), off-white solid, mp 158–160°C, $[\alpha]_D^{20}$ = +51° (c = 1.0, CH_3OH). IR spectrum, ν, cm^{-1}: 3498, 3443, 3243, 1427, 1308, 1087, 825, 756. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 2.33 s (3H, CH_3), 3.12 t (1H, C**H**$_2$OH), 3.26 m (1H, C**H**NH) 3.43 t (1H, C**H**$_2$OH), 4.84 d (1H, CHO**H**, J = 5.4 Hz), 7.08 d (2H, J = 8.4 Hz), 7.17 t (5H), 7.43 d (2H, J = 8.1 Hz).

General procedure for the synthesis of aryl[1-(4-methylphenylsulfonyl)aziridin-2-yl]methanols IVa and IVb. *Method A*. A mixture of 10.0 mmol of (1*S*,2*S*)-1-aryl-2-tosylamino-3-tosyloxypropan-1-ol **IIa** or **IIb** and 5.53 g (40.0 mmol) of potassium carbonate in 20 ml of acetonitrile was heated for 2 h at 50–55°C on a water bath, and the solvent was removed under reduced pressure.

[1-(4-Methylphenylsulfonyl)aziridin-2-yl]-(4-nitrophenyl)methanol (IVa). After treatment of 5.21 g (10.0 mmol) of (1*S*,2*S*)-2-(4-methylphenylsulfonylamino)-3-(4-methylphenylsulfonyloxy)-1-(4-nitrophenyl)propan-1-ol (**IIa**) with potassium carbonate according to the general procedure, the precipitate was filtered off and washed with water. Yield 3.31 g (95 %), white solid, mp 182–184°C, $[\alpha]_D^{20}$ = –5.0 (c = 1.0, CH_3OH). IR spectrum, ν, cm^{-1}: 3477, 1600, 1514, 1345, 1307, 1155, 938, 835, 729. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 2.32 s (OH), 2.42 s (3H, CH_3), 2.52 d (1H, 3-H, J = 4.5 Hz), 2.77 d (1H, 3-H, J = 6.6 Hz), 3.03 t (1H, 2-H, J = 3.3 Hz), 4.71 t (1H, CHOH, J = 5.1 Hz), 7.20 d (2H, J = 8.1 Hz), 7.35 d (2H, J = 8.7 Hz), 7.62 d (2H, J = 8.1 Hz), 8.03 d (2H, J = 8.1 Hz). ^{13}C NMR spectrum (DMSO-d_6), δ_C, ppm: 20.7 (CH_3); 29.2 (C^3); 45.3 (C^2); 69.3 (CHOH); 123.2, 127.2, 128.1, 129.7, 135.2, 144.7, 147.3, 149.7 (C_{arom}). Mass spectrum, m/z: 349 $[M+1]^+$, 184 $[CH_2{=}NHTs]^+$.

[1-(4-Methylphenylsulfonyl)aziridin-2-yl]-phenylmethanol (IVb). Following the general procedure, the reaction of 4.76 g (10.0 mmol) of compound **IIb** with potassium carbonate gave an oily product which was extracted with diethyl ether. The extract was washed with saturated solutions of $NaHCO_3$ and NaCl and dried over $MgSO_4$, and the solvent was removed. The residue was a sticky material which was dried under reduced pressure. Yield 2.72 g (90%), white solid, mp 82–83°C, $[\alpha]_D^{20}$ = –12.5° (c = 1.0, CH_3OH). IR spectrum, ν, cm^{-1}: 3476 1597, 1452, 1316, 1160, 1089, 943, 837. ^{1}H NMR spectrum ($CDCl_3$), δ, ppm: 2.21 s (OH), 2.40 d (1H, 3-H, J = 4.5 Hz), 2.44 s (3H, CH_3), 2.70 d (1H, 3-H, J = 7.2 Hz), 3.07 t (1H, 2-H, J = 5.4 Hz), 4.46 t (1H, CHOH, J = 5.4 Hz), 7.25–7.27 m (7H, H_{arom}), 7.71–7.74 d (2H, H_{arom}). ^{13}C NMR spectrum ($CDCl_3$), δ_C, ppm: 21.9 (CH_3), 31.1 (C^3); 45.4 (C^2); 72.7 (CHOH); 126.2, 128.3, 128.8, 130.0, 134, 140.2, 145.0 (C_{arom}). Mass spectrum, m/z: 304 $[M+1]^+$, 184 $[CH_2{=}NHTs]^+$.

Method B. A solution of 1.92 g (11.0 mmol) of diethyl azodicarboxylate and 2.88 g (11.0 mmol) of triphenylphosphine in 10 ml of anhydrous THF was added over a period of 15 min to a solution of 10.0 mmol of (1*S*,2*S*)-1-aryl-2-tosylaminopropane-1,3-diol **IIIa** or **IIIb** in 20 ml of anhydrous THF under stirring at 0°C. The mixture was then stirred for 24 h at room temperature, the solvent was removed, and the residue (a sticky material) was purified by flash chro-

matography on silica gel using petroleum ether–ethyl acetate (5:4) as eluent. Compound **IVa**: yield 2.78 g, 80%, mp 182–184°C; compound **IVb**: yield 2.18 g (72%), mp 82°C. The spectral parameters of samples of **IVa** and **IVb** prepared according to methods *A* and *B* were identical.

(1*S*,2*S*)-1-Aryl-2-(4-methylphenylsulfonylamino)propan-1-ols Va and Vb (*general procedure*). *a*. A solution of 10 mmol of compound **IVa** or **IVb** or (1*S*,2*S*)-3-aryl-2,3-epoxypropan-1-amine **VIa** or **VIb** in 20 ml of anhydrous THF was added over a period of 15 min to a suspension of 0.76 g (20.0 mmol) of $LiAlH_4$ in 10 ml of THF on cooling to –78°C. The mixture was stirred for 2 h at –78°C, allowed to warm up to room temperature, and stirred for 24 h. Water was added to decompose excess $LiAlH_4$, the mixture was filtered, and the solvent was removed from the filtrate under reduced pressure.

2-(4-Methylphenylsulfonylamino)-1-(4-nitrophenyl)propan-1-ol (Va). Yield 3.36 g (96%, from **IVa**), white solid, mp 238–240°C, $[\alpha]_D^{20}$ = +6.25° (*c* = 1.0, THF). IR spectrum, ν, cm^{-1}: 3467, 3315, 3247, 1603, 1520, 1427, 1339, 1141, 1071, 974. ^1H NMR spectrum ($CDCl_3$), δ, ppm: 1.12 d (3H, CH_3), 2.35 s (3H, CH_3), 3.60 m (1H, C**H**NH), 4.90 d (1H, CHOH, *J* = 3.3 Hz), 7.19 d (2H), 7.54 m (4H), 8.02 d (2H). Mass spectrum: *m*/*z*: 351 $[M+1]^+$.

2-(4-Methylphenylsulfonylamino)-1-phenylpropan-1-ol (Vb). Yield 2.90 g (95%, from **IVb**), white solid, mp 116–118°C, $[\alpha]_D^{20}$ = +9.30° (*c* = 1.0, CH_3OH). IR spectrum, ν, cm^{-1}: 3496, 3317, 1599, 1454, 1422, 1311, 1154, 1086, 1064, 964. ^1H NMR spectrum ($CDCl_3$), δ, ppm: 0.94 d (3H, CH_3), 2.40 s (3H, CH_3), 3.40 m (1H, C**H**NH), 5.02 d (1H, CHOH, *J* = 6.6 Hz), 7.18–7.26 m (7H), 7.61–7.64 d (2H). Mass spectrum: *m*/*z* 306 $[M+1]^+$.

b. A mixture of 10.0 mmol of compound **IVa** or **IVb** and 0.76 g (20.0 mmol) of $NaBH_4$ in 20 ml of 90% ethanol was stirred for 24 h at room temperature, the solvent was removed, and the solid residue was washed with water.

c. A solution of 13.3 mmol of boron trifluoride–ether complex ($BF_3 \cdot Et_2O$) in 5 ml of THF was added dropwise to a mixture of 10.0 mmol of compound **IVa** or **IVb** and 0.38 g (10.0 mmol) of $NaBH_4$ in 20 ml of THF under stirring at 0°C. The resulting solution was stirred for 30 h at room temperature, the solvent was removed, and the solid residue was washed with water.

General procedure for the preparation of (1*S*,2*S*)-3-aryl-2,3-epoxypropan-1-amines VIa and VIb. A mixture of 10.0 mmol of compound **IVa** or **IVb** and 20 ml of a 0.28 N solution of NaOH in *tert*-butyl alcohol–water (4:5) was stirred for 4 h at room temperature (until the initial compound disappeared according to TLC). The mixture was poured into water and neutralized with dilute hydrochloric acid to pH 7.0, and the precipitate was filtered off.

(1*S*,2*S*)-2,3-Epoxy-*N*-(4-methylphenylsulfonyl)-3-(4-nitrophenyl)propan-1-amine (VIa). Yield 3.41 g (98%), white solid, mp 148–149°C, $[\alpha]_D^{20}$ = +97.5° (*c* = 1.0, THF). IR spectrum, ν, cm^{-1}: 3266, 1602, 1523, 1344, 1300, 1156, 1094, 880. ^1H NMR spectrum ($CDCl_3$), δ, ppm: 2.42 s (3H, CH_3), 2.85 m (2H, CH_2), 3.47 m (1H, CHO), 4.20 d (1H, CHO, *J* = 3.9 Hz), 7.21–7.25 d (2H, H_{arom}), 7.32–7.37 d (2H, H_{arom}), 7.55–7.57 d (2H, H_{arom}), 8.10–8.14 d (2H, H_{arom}). ^{13}C NMR spectrum ($CDCl_3$), δ_C, ppm: 21.7 (CH_3); 41.0 (CH_2); 56.8 (C^2); 57.4 (C^1); 123.7, 127.2, 127.6, 130.0, 136.2, 141.7, 144.2, 147.8 (C_{arom}). Mass spectrum: *m*/*z* 349 $[M+1]^+$.

(1*S*,2*S*)-2,3-Epoxy-*N*-(4-methylphenylsulfonyl)-3-phenylpropan-1-amine (VIb). Yield 3.00 g (99%), white solid, mp 82°C, $[\alpha]_D^{20}$ = +75° (*c* = 1.0, CH_3OH). IR spectrum, ν, cm^{-1}: 3265, 1598, 1331, 1161, 1080, 922, 881. ^1H NMR spectrum ($CDCl_3$), δ, ppm: 2.40 s (3H, CH_3), 2.90 m (2H, CH_2), 3.36 m (1H, CHO), 4.10 d (1H, CHO, *J* = 4.2 Hz), 7.14–7.28 m (7H, H_{arom}), 7.55–7.58 d (2H, H_{arom}). ^{13}C NMR spectrum ($CDCl_3$), δ_C, ppm: 21.7 (CH_3); 41.4 (CH_2); 57.2 (C^2); 57.5 (C^1); 126.5, 127.3, 128.3, 128.5, 129.8, 134.1, 136.6, 143.8 (C_{arom}). Mass spectrum: *m*/*z* 304 $[M+1]^+$.

(1*S*)-3-(4-Methylphenylsulfonylamino)-1-(4-nitrophenyl)propan-1-ol (VIIa) was synthesized as described above for compounds **Va** and **Vb** from 3.48 g (10 mmol) of (1*S*,2*S*)-2,3-epoxy-*N*-(4-methylphenylsulfonyl)-3-(4-nitrophenyl)propan-1-amine (**VIa**). The crude product (a viscous oily substance) was purified by flash chromatography on silica gel using petroleum ether–ethyl acetate (5:4) as eluent. Yield 2.28 g (65%), white solid, mp 85°C, $[\alpha]_D^{20}$ = +29.0 (*c* = 1.0, CH_3OH). IR spectrum, ν, cm^{-1}: 3501, 3285, 2924, 2875, 1600, 1518, 1347, 1156, 1092, 855, 815. ^1H NMR spectrum ($CDCl_3$), δ, ppm: 1.85 m (2H, CH_2), 2.39 s (3H, CH_3), 3.04 m (2H, CH_2), 4.93 t (1H, CHO, *J* = 3.6 Hz), 7.23–7.25 d (2H, H_{arom}), 7.34–7.37 d (2H, H_{arom}), 7.65–7.68 d (2H, H_{arom}), 8.00–8.02 d (2H, H_{arom}). ^{13}C NMR spectrum ($CDCl_3$), δ_C, ppm: 21.7 (CH_3); 38.2 (C^2); 40.4 (C^3); 71.1 (CHOH); 123.8, 126.6, 127.3, 130.1, 136.6, 144.0, 147.3, 151.7 (C_{arom}). Mass spectrum: *m*/*z* 351 $[M+1]^+$.

(1*S*)-3-(4-Methylphenylsulfonylamino)-1-phenylpropan-1-ol (VIIb) was synthesized as described above for compounds **Va** and **Vb** from 3.03 g (10 mmol) of (1*S*,2*S*)-2,3-epoxy-*N*-(4-methylphenylsulfonyl)-3-phenylpropan-1-amine (**VIb**). The crude product (a viscous oily substance) was purified by flash chromatography on silica gel using petroleum ether–ethyl acetate (5:4) as eluent. Yield 2.14 g (70%), white solid, mp 82°C, $[\alpha]_D^{20} = +29.5$ ($c = 1.0$, CH_3OH). IR spectrum, ν, cm^{-1}: 3449, 3169, 2923, 1598, 1463, 1411, 1312, 1158, 1033, 901, 814. ^1H NMR spectrum ($CDCl_3$), δ, ppm: 1.85 m (2H, CH_2), 2.43 s (3H, CH_3), 3.08 m (2H, CH_2), 5.19 t (1H, CHO, $J = 5.7$ Hz), 7.20–7.30 m (7H, H_{arom}), 7.71–7.74 d (2H, H_{arom}). ^{13}C NMR spectrum ($CDCl_3$), δ_C, ppm: 21.8 (CH_3); 38.0 (C^2); 40.9 (C^3); 72.7 (CHOH); 125.8, 127.3, 127.8, 128.7, 130.0, 136.9, 143.6, 144.0 (C_{arom}). Mass spectrum: m/z 306 $[M + 1]^+$.

REFERENCES

1. RajanBabu, T., Ayers, T., Halliday, G., You, K., and Calabrese, J., *J. Org. Chem*., 1997, vol. 62, p. 6012.
2. Arantani, T., Yoneyoshi, Y., and Nagase, T., *Tetrahedron Lett*., 1982, p. 685.
3. Tramontini, M., *Synthesis*, 1982, no. 8, p. 605.
4. Noyori, R. and Kitamura, M., *Angew.Chem*., 1991, vol. 103, p. 34.
5. Soao, K. and Niwa, S., *Chem.Rev*., 1992, vol. 92, p. 833.
6. Blaser, H.-U., *Chem. Rev*., 1992, vol. 92, p. 935
7. Evans, D., Lewis, G., Palmer, P., and Weyell, D., *J. Pharm. Pharmacol*., 1964, vol. 76, p. 717.
8. Lednicer, D., Lyster, S., and Duncan, G., *J. Med. Chem*., 1967, vol. 10, p. 78.
9. Stamm, H. and Speth, D., *Arch. Pharm*., 1989, vol. 332, p. 277.
10. Prasad, B., Sekar, G., and Singh, V., *Tetrahedron Lett*., 2000, vol. 41, p. 4677.
11. Weignes, K., Kiotz, K.P., and Droste, H., *Chem. Ber*., 1980, vol. 113, p. 710.
12. Weignes, K., Brune, G., and Droste, H., *Justus Justus Liebigs Ann. Chem., Chem*., 1980, p. 212.
13. Enders, D., Gerdes, P., and Kipphardt, H., *Angew. Chem., Int. Ed. Engl*., 1990, vol. 29, p. 179.
14. Desimoni, G., Quadrelii, P., and Righetti, P., *Tetrahedron*, 1990, vol. 46, p. 2927.
15. Meyers, A.J. and Oppeniaender, T., *J. Chem. Soc., Chem. Commun*., 1986, p. 920.
16. Meyers, A.J. and Fuentes, L.M., *J. Am. Chem. Soc*., 1983, vol. 105, p. 117.
17. Meyers, A.J. and Lefker, B., *Tetrahedron*, 1987, vol. 43, p. 5663.
18. Balavoine, G., Clinet, J., and Lellouche, I., *Tetrahedron Lett*., 1989, p. 5141.
19. Jansen, J. and Undararajan, G., *J. Org. Chem*., 1986, vol. 51, p. 4953.
20. Yang, S.R., Hu, X.M., L, J., Shu, J.Y., Feng, D.J., and Huang, J.X., *Wuhan Univ. J. Nat. Sci*., 2000, vol. 5, no. 3, p. 345.
21. Ibuka, T., Nakai, K., Habashita, H., Hotta, Y., Otaka, A., Tamamura, H., Fujii, N., Mimura, N., Miwa, Y., Taga, T., Chounan, Y., and Yamamoto, Y., *J. Org. Chem*., 1995, vol. 60, p. 2044.
22. Kauer, J.C., *Organic Syntheses*, Noland, W.E., Ed., New York: Wiley, 1963, collect. vol. 4, p. 411.