Pergamon

Tetrahedron: *Asymmetry* 12 (2001) 1947–1951

TETRAHEDRON: *ASYMMETRY*

Stereoselective transformation of amines via chiral 2,4,6-triphenylpyridinium intermediates

Sadri A. Said and Anne Fiksdahl*

Organic Chemistry Laboratories, Norwegian University of Science and Technology, N-7491 Trondheim, Norway

Received 18 July 2001; accepted 30 July 2001

Abstract—We herein report the preparation and the nucleophilic substitution of the chiral 2,4,6-triphenylpyridinium tetrafluoroborates **2a** and **2b**. The triphenylpyridinium intermediates were generated from homochiral amines (**1a**, **1b**) and 2,4,6-triphenylpyrylium tetrafluoroborate and used as substrates for stereoselective nucleophilic substitution. The degree of inversion in the substitution reactions has been studied. The alcohol (**3a**, **3b**) and azide (**4a**, **4b**) products were obtained with >99 and 96–98% inversion of configuration, respectively.

1. Introduction

When homochiral amino compounds are more easily accessible (e.g. from natural sources) than other alternative substrates like halides or alcohols there is a need for suitable methods for the stereoselective transformation of amines into other functionalities. In our ongoing effort to develop stereoselective transformation reactions for chiral amines we have previously shown that *N*,*N*-disulfonyl derivatives of chiral primary amines may be transformed by nucleophilic substitution reactions into the corresponding amines, alcohols and aryl ethers with inversion of stereochemistry.[1–9]

An alternative means of converting a primary amine into a suitable leaving group is to transform the amine RNH_2 into the 2,4,6-triphenylpyridinium compound **III** by treatment with 2,4,6-triphenylpyrylium salt **I** (see Fig. 1). It has been demonstrated that the bulky 2,4,6-triphenylpyridine ring acts as a good leaving group in synthetic transformations.[10–18] The conversion of the pyrylium salt **I** involves a fast base-catalyzed ring opening reaction with primary amine RNH_2 and an acid-catalyzed rate-determining ring-closure step of the open-chain intermediate **II** to give the pyridinium salt **III**. When the counterion is non-nucleophilic, such as BF_4^-, a nucleophile can add to afford the displacement product R-Nu **IV** and triphenylpyridine **V**. The driving force for this reaction is the good leaving group ability and high stability of 2,4,6-triphenylpyridine **V**.

To our knowledge this method has not been used for chiral substrates and the stereoselectivity of the displacement reaction has therefore never been studied. Our present work demonstrates the preparation and nucleophilic substitution of the chiral 2,4,6-triphenylpyridinium salts **2** formed from homochiral amines **1** and 2,4,6-triphenylpyrylium salt (see Scheme 1 and Table 1). The degree of inversion in the substitution reactions has been studied for the formation of the alcohol **3** and azide **4** products.

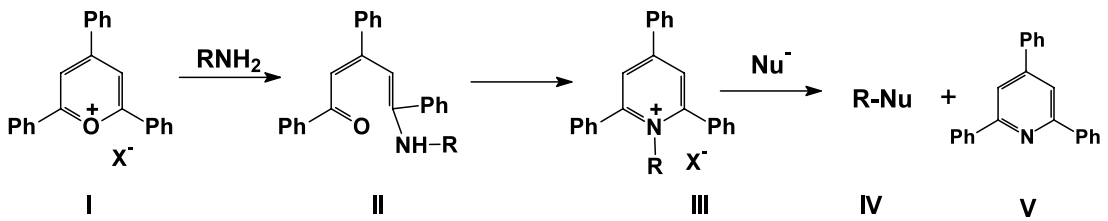
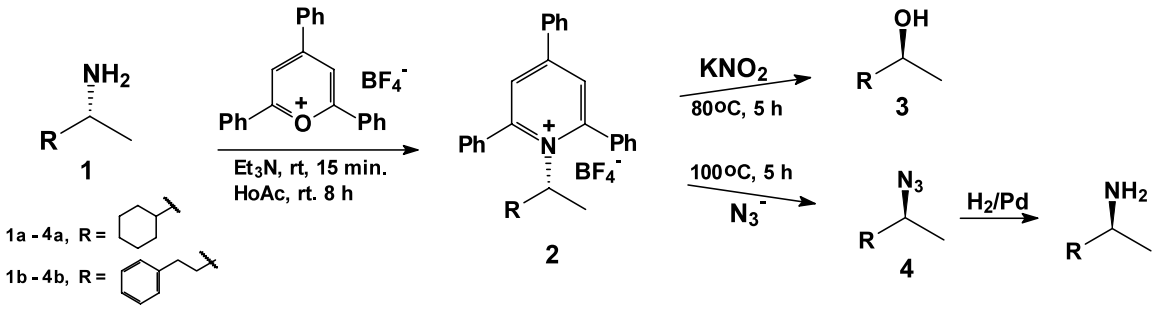


Figure 1.

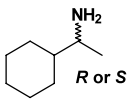
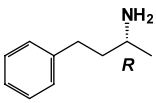
* Corresponding author.

0957-4166/01/$ - see front matter
PII: S0957-4166(01)00343-3



Scheme 1.

Table 1. Results for the reactions shown in Scheme 1

Starting material	Triphenyl-pyridinium intermediate 2 (yield %)	Stereoselectivity (yield %)	
		Alcohol 3[b] 	Azide 4[c] 
(*R*)-**1a** 	(*R*)-**2a** (84)	(*S*)-**3a**; >99% inv. (21)	(*S*)-**4a**; 97% inv. (37)
(*S*)-**1a**	(*S*)-**2a** (90)	(*R*)-**3a**; >99% inv. (17)	(*R*)-**4a**; 96% inv. (43)
(*R*)-**1b**[a] 	(*R*)-**2b**[a] (89)	(*S*)-**3b**[a]; >99% inv. (41)	(*S*)-**4b**[a]; 98% inv. (71)

[a] Substrate **1b** had e.e. of 96%. The % degree of inversion for product **3b** and **4b** has been corrected for this.

[b] Enantiomeric purity of the alcohol products **3a** and **3b** is based on chiral GLC.

[c] Enantiomeric purity of the azide products **4a** and **4b** is based on GLC analysis of the diastereomeric amides after reduction and derivatization with (*S*)-α-methoxyphenylacetyl chloride.

2. Results and discussion

The primary amines **1a** and **1b** reacted with 2,4,6-triphenylpyrylium tetrafluoroborate by fast ring opening to the vinylogous amide (**II**), which underwent slow ring closure to the 1-substituted 2,4,6-triphenylpyridinium cations **2a** and **2b** in 84–90% yield (see Scheme 1 and Table 1). Both steps of the reactions could be followed by TLC and by characteristic changes of colour from yellow to dark red/black and back to yellow. The ring opening reaction was more rapid in the presence of Et_3N or using an excess of the amine (2 equivalents). It is believed that the base is needed to remove the proton in one of the sub-steps in the formation of the vinylogous amide.[12,15,17] The cyclization step is strongly catalyzed by acetic acid. The rate-enhancing effect was demonstrated by a manifold increase in reaction rate, reducing the reaction time from 7 days to 5 h by the addition of one equivalent of acetic acid.

The mass spectra of the 2,4,6-triphenylpyridinium intermediates demonstrate the energetically highly favoured fragmentation of triphenylpyridine from the molecular ions of **2a**, **2b**. Due to the weak C–N-pyridinium bond, the molecular ions were always absent in the mass spectrum and the base peak was the neutral triphenylpyridine fragment. ^{1}H NMR of the cyclohexyl intermediate **2a** showed a characteristic phenyl ring current effect[19] causing a high field resonance of two of the cyclohexyl protons as can be observed for (*S*)-**2a**; δ 0.37 and 0.63. This specific shielding effect can be explained by the cyclohexyl ring conformation.

As can be seen from Table 1 the configuration of the aliphatic amine substrates **1a** and **1b** were nearly completely inverted by the nucleophilic substitution of the pyridinium intermediates **2a**, **2b** to give the alcohol **3a**, **3b** and azide **4a**, **4b** products. The azides **4a**, **4b** can be further reduced to the primary amines and thus afford the inverted amines. No attempts to optimize the yields of the substitution products **3a**, **3b** and **4a**, **4b** (17–71%) were made.

The following comments can be made on the advantages of the 2,4,6-triphenylpyridinium intermediates as

substrates for stereoselective conversion of chiral aliphatic primary amino groups into other functionalities by means of nucleophilic displacement. The formation of the intermediates **2a**, **2b** was carried out at room temperature. Less vigorous reaction conditions were needed and higher yields of intermediates **2a**, **2b** (84–90%) were obtained compared with previous *N*,*N*-disulfonylderivative intermediates.[1–9] Triphenylpyridinium derivatives **2a**, **2b** showed comparable reactivity (80–100°C, 5 h) towards nucleophiles to ditosyl-, dimesyl- and dinosyl-imides[1–5] (120°C, 72 h). They needed more vigorous reaction conditions than previous 1,2-benzene- and naphthalene-disulfonylimides (0°C, 24 h).[6–9] The stereoselectivity and degree of inversion (96–99%) for the substitution of intermediates **2a**, **2b** is comparable to that of ditosylimides[1–4] and is generally better than other previously reported disulfonylimides.[5–9]

The benzylic racemic 1-phenylethylamine has previously been reported readily to form the triphenylpyridinium salt.[16] However, the intermediate pyridinium salt could not be isolated and the corresponding alcohol product was formed directly. Presumably the benzylic pyridinium intermediate rapidly dissociates by an S_N1 process to give the resonance stabilized secondary carbocation which is trapped by water to give the alcohol. Other products could not be prepared. Racemic products were therefore expected for the homochiral (*R*)-1-phenylethylamine **1c** (see Scheme 2). In addition to the alcohol **3c** we were able to prepare the azide **4c** and the aryl ether products **5c** and **5d** by one-pot procedures. However, all products had lost their optical activity being almost racemic. Water is generated in the ring-closure reaction for the formation of the intermediate **2c** and the alcohol **3c** was always present as a by-product for all reactions even in predried solvents. The yields were in general higher for the nucleophilic substitution of **2c** compared with **2a**, **2b** and the benzylic products **3c**, **4c**, **5c** and **5d** were obtained in 70–85% overall yields from the amine (*R*)-**1c**.

3. Conclusion

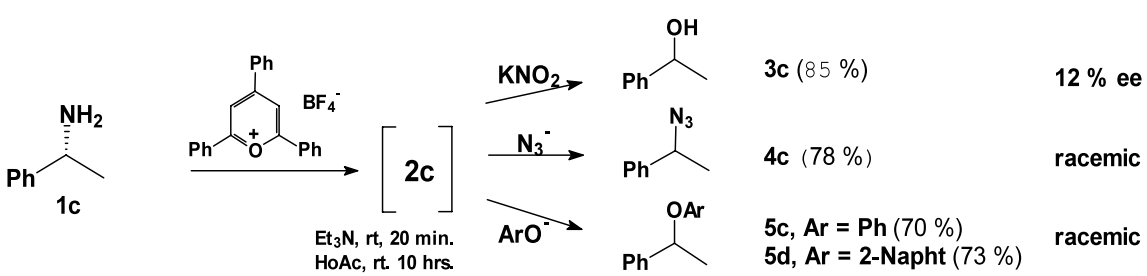
The stereoselective conversion of chiral primary amines into alcohols and azides with inversion of configuration has been demonstrated. The 2,4,6-triphenylpyridinium derivatives **2a**, **2b** of the chiral primary aliphatic amines **1a** and **1b** were formed from 2,4,6-triphenylpyrylium tetrafluoroborate in 84–90% yield. The alcohol **3a**, **3b** and azide **4a**, **4b** products were prepared by nucleophilic substitution of the pyridium intermediates with >99 and 96–98% inversion of stereochemistry, respectively. A benzylic substrate afforded racemic alcohol, azide and aryl ether products in one-pot reactions in 70–85% yield. This process provides a method of achieving the stereoselective conversion of chiral aliphatic primary amino groups into alcohols and azides by means of nucleophilic displacement on the activated derivative.

4. Experimental

2,4,6-Triphenylpyrylium tetrafluoroborate, (*R*/*S*)-1-cyclohexylethylamine and (*S*)-α-methoxyphenylacetic acid were obtained from Fluka, (*R*)-1-phenylethylamine, potassium nitrite, triethylamine and acetic acid from Acros, and (*R*)-1-methyl-3-phenylpropylamine was prepared by optical resolution using diastereomeric crystallization with (*S*)-*N*-acetylcystein as described elsewhere.[1] Phenol, 2-naphthol, sodium azide were obtained from Merck. DMF was dried over activated molecular sieves (4 Å). THF was distilled (N_2) from the sodium ketyl of benzophenone and used immediately. Solvents: pro analysi quality. GLC: Carlo Erba Model 8130, split-injection, hydrogen, FID, column: Chrompack CP-Sil 5 CB (25 m). Chiral GLC analysis: Chrompack CP-CHIRADEX-CB fused silica WCOT (25 m, 0.32 mm; 0.32 μm), carrier gas pressure 5–5.5 p.s.i. $^1H/^{13}C$ NMR: Bruker Avance DPX 300/75.47 MHz and 400/100.5 MHz spectrometers, chemical shifts are reported in ppm downfield from TMS. MS: MAT 95 XL. IR: Nicolet 20SXC FT-IR spectrometer. $[\alpha]_D$: Perkin–Elmer 241 polarimeter (10 cm cell with a total volume of 1 mL).

4.1. Preparation of 1-((*R* or *S*)-1-cyclohexylethyl)-2,4,6-triphenylpyridinium tetrafluoroborate 2a from (*R* or *S*)-1-cyclohexylethylamine 1a

(*S*)-1-Cyclohexylethylamine ((*S*)-**1a**, 1.49 mL, 10.1 mmol) was added to a yellow solution of 2,4,6-triphenylpyrylium tetrafluoroborate (4.0 g, 10.1 mmol) in dichloromethane (20 mL) effecting a colour change of the mixture from yellow to red. Triethylamine (1.4 mL, 10 mmol, 1 equiv.) was added, and the deep red mixture was stirred at rt for 15 min. Acetic acid (0.58 mL, 10.1 mmol, 1 equiv.) was added turning the colour blackish. The reaction was further stirred for 8 h at rt under nitrogen. The reaction was followed by TLC and



Scheme 2.

was complete when the mixture was yellow. The crude product solidified at rt and was purified by flash chromatography (gradient elution: dichloromethane, 5% methanol/dichloromethane) to give the white crystalline pyridinium tetrafluoroborate (*S*)-**2a** (4.6 g, 90%); mp 151–153°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 0.37 (dq, $J=2$ and 12 Hz, 1H), 0.63 (dq, $J=3$ and 12 Hz, 1H), 0.85–1.70 (m, 9H), 1.58 (d, $J=7$ Hz, 3H), 4.60 (dq, $J=7$ and 11 Hz, 1H), 7.46–7.78 (m, 17H); ^{13}C NMR (75.47 MHz, $CDCl_3$): δ 21.1, 25.4, 25.59, 25.62, 30.2, 30.7, 42.4, 72.1, 128.5, 129.3, 129.8, 131.2, 132.3, 133.9, 155.3; MS [*m*/*z* (% rel. int.)]: 307 (Ph_3Pyr, 100%), 278 (1%), 230 (13%), 202 (6%), 110 (2%), 102 (2%), 81 (4%); IR (KBr, cm^{-1}): 3059 (w), 2929 (m), 2851 (m), 1619 (s), 1599 (m), 1562 (s), 1494 (m), 1411 (m), 1353 (s), 1082 (m), 1057 (s), 1034 (m), 892 (m), 763 (s), 702 (s), 532 (w), 521 (w). (*S*)-**2a**: $[\alpha]_D$ +61.7 (*c* 2.0, $CHCl_3$). (*R*)-**2a** was correspondingly prepared in 84% yield from (*R*)-**1a** and characterized; $[\alpha]_D$ −59.1 (*c* 2.0, $CHCl_3$).

4.2. Preparation of 1-((*R*)-1-methyl-3-phenylpropyl)-2,4,6-triphenylpyridinium tetrafluoroborate 2b from (*R*)-1-methyl-3-phenylpropylamine 1b

The preparation of (*R*)-**2b** from (*R*)-**1b** (96% e.e.) was carried out as described above for the preparation of (*S*)-**2a**. The crystalline product was obtained after flash chromatography (same solvent gradient as 4.1, 89% yield); mp 195–198°C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.42 (d, $J=7$ Hz, 3H), 1.73 (m, 1H), 2.15 (m, 2H), 2.34 (m, 1H), 4.86 (m, 1H), 6.84 (m, 2H), 7.13 (m, 3H), 7.46 (m, 10H), 7.65 (m, 7H); ^{13}C NMR (75.47 MHz, $CDCl_3$): δ 21.7, 32.5, 37.8, 66.1, 126.5, 128.1, 128.3, 128.8, 129.6, 130.9, 132.0, 133.8, 134.0, 139.0, 155.1, 157.3; MS [*m*/*z* (% rel. int.)]: 307 (Ph_3Pyr, 100%), 278 (3%), 230 (29%), 202 (15%), 152 (11%), 132 (10%), 117 (14%), 102 (8%), 91 (27%); IR (KBr, cm^{-1}): 3058 (w), 3026 (w), 2924 (w), 1617 (s), 1599 (w), 1583 (m), 1495 (m), 1410 (m), 1059 (s), 1036 (m), 890 (m), 764 (s), 701 (s), 520 (w). (*R*)-**2b** (96% e.e.); $[\alpha]_D$ −53.7 (*c* 2.0, $CHCl_3$).

4.3. Preparation of (*S*)- or (*R*)-1-cyclohexylethanol 3a from 1-((*R*)- or (*S*)-1-cyclohexylethyl)-2,4,6-triphenylpyridinium tetrafluoroborate 2a

((*S*)-1-Cyclohexylethyl)-2,4,6-triphenylpyridinium tetrafluoroborate ((*S*)-**2a**, 0.6 g, 1.19 mmol) and KNO_2 (2 g, 23.5 mmol) in DMF (5 mL) was stirred and heated to 80°C for 5 h under a nitrogen atmosphere. The mixture was cooled to rt, diluted with water (40 mL), extracted with diethyl ether (2×25 mL) and washed with 0.5 M NaOH, water and brine. The solution was dried over Na_2SO_4. The yellowish crude oily product which was obtained after evaporation of the solvent, was purified by flash chromatography (5% acetone in heptane) to give (*R*)-**3a** as a colourless oil (26 mg, 17%). (*S*)-**3a** was correspondingly prepared in 21% yield from (*R*)-**2a**. The products were characterized giving data in accordance with (*S*)- and (*R*)-**3a** published elsewhere and coeluted on GLC with the respective compounds prepared previously.[4] Chiral GLC indicated a degree of inversion of >99% for both reactions (*R*:*S* ratio: (*R*)-**3a**; >99:0.01 and (*S*)-**3a**; <0.01:99).

4.4. Preparation of (*S*)- or (*R*)-1-cyclohexylethyl azide 4a from 1-((*R*)- or (*S*)-1-cyclohexylethyl)-2,4,6-triphenylpyridinium tetrafluoroborate 2a

((*S*)-1-Cyclohexylethyl)-2,4,6-triphenylpyridinium tetrafluoroborate ((*S*)-**2a**, 3.7 g, 7.3 mmol) and NaN_3 (1.6 g, 24.6 mmol, 3.3 equiv.) in DMF (10 mL) was stirred and heated to 100°C for 5 h under a nitrogen atmosphere. The mixture was cooled to rt, diluted with water (50 mL), extracted with diethyl ether (2×50 mL) and washed with brine. The solution was dried over Na_2SO_4. The crude oily product which was obtained after evaporation of the solvent, was purified by flash chromatography (heptane) to give (*R*)-**4a** as a colourless oil (433 mg, 39%). $[\alpha]_D$ −35.8 (*c* 2.0, $CHCl_3$). (*S*)-**4a** was correspondingly prepared in 37% yield from (*R*)-**2a**. The products were characterized giving data in accordance with (*S*)- and (*R*)-**4a** prepared previously.[6] ^{1}H NMR (300 MHz, $CDCl_3$): δ 0.90–1.80 (m, 11H), 1.25 (d, $J=6.6$ Hz, 3H), 3.27 (quintet, $J=6.6$ Hz, 1H); ^{13}C NMR (75.47 MHz, $CDCl_3$): δ 16.5, 26.2, 26.3, 26.5, 29.2, 29.4, 43.4, 63.2, 128.5, 129.3, 129.8, 131.2. The (*R*)- and (*S*)-azides **4a** were reduced by catalytic hydrogenation to the corresponding amine and derivatized with (*S*)-α-methoxyphenylacetyl chloride. Enantiomeric purity of the azide products (*R*)- and (*S*)-**4a** were based on GLC analysis of the diastereomeric amide derivatives, indicating a degree of inversion of 96–97% (*R*:*S* ratio: (*R*)-**4a**; 96:4 and (*S*)-**4a**; 3:97). The derivatized products coeluted on GLC with the respective compounds prepared previously.[6]

4.5. Preparation of (*S*)-1-methyl-3-phenylpropanol 3b from 1-((*R*)-1-methyl-3-phenylpropyl)-2,4,6-triphenylpyridinium tetrafluoroborate 2b

The nucleophilic substitution for the preparation of (*S*)-**3b** from (*R*)-**2b** (96% e.e.); and potassium nitrite was carried out as described for the preparation of (*R*)-**3a** from (*S*)-**2a** above. The oily product (41% yield) obtained after flash chromatography (5% acetone in heptane) was characterized giving data in accordance with (*S*)-**3b** published elsewhere.[3] ^{13}C NMR (75.47 MHz, $CDCl_3$): δ 23.9, 32.4, 41.1, 67.7, 126.0, 128.6, 142.3. The product coeluted on GLC with the respective compound prepared previously.[3] Chiral GLC indicated an *R*:*S* ratio of 2:98 and a degree of inversion of >99%; (*S*)-**3b** (96% e.e.).

4.6. Preparation of (*S*)-1-methyl-3-phenylpropyl azide 4b from 1-((*R*)-1-methyl-3-phenylpropyl)-2,4,6-triphenylpyridinium tetrafluoroborate 2b

The nucleophilic substitution for the preparation of (*S*)-**4b** from (*R*)-**2b** (96% e.e.) and NaN_3 was carried out as described for the preparation of (*R*)-**4a** from (*S*)-**2a** above. The oily product (71% yield) obtained after flash chromatography (2% acetone in heptane) was characterized giving data in accordance with (*S*)-**4b** published elsewhere.[1] ^{13}C NMR (75.47 MHz, $CDCl_3$): δ 19.7, 32.5, 38.1, 57.4, 126.2, 128.6, 128.7, 141.4. The azide (*S*)-**4b**

was reduced by catalytic hydrogenation to the corresponding amine and derivatized with (*S*)-α-methoxyphenylacetyl chloride. Enantiomeric purity of the azide product (*S*)-**4b** was based on GLC analysis of the diastereomeric amide derivatives, indicating an *R*:*S* ratio of 96:4 and a degree of inversion of 98%; (*S*)-**4b** (92% e.e.). The derivatized product coeluted on GLC with the respective compound prepared previously.[1]

4.7. Preparation of 1-((*R*)-1-phenylethyl)-2,4,6-triphenylpyrylium tetrafluoroborate 2c from (*R*)-1-phenylethylamine 1c

The preparation of (*R*)-**2c** from (*R*)-**1c** and 2,4,6-triphenylpyrylium tetrafluoroborate was carried out as described above for the preparation of (*S*)-**2a** but the nucleophile for the displacement reactions was added from the beginning. The intermediate was thus not isolated and the two-step reactions were carried out in one-pot as described below:

4.8. Preparation of 1-phenylethanol 3c from (*R*)-1-phenylethylamine 1c via 1-((*R*)-1-phenylethyl)-2,4,6-triphenylpyrylium tetrafluoroborate 2c

To a solution of 2,4,6-triphenylpyrylium tetrafluoroborate (1 g, 2.5 mmol) and KNO_2 (4.3 g, 50 mmol) in THF (10 mL) was added (*R*)-1-phenylethylamine ((*R*)-**1c**, 0.32 mL, 2.5 mmol) and triethylamine (0.34 mL, 2.5 mmol) using a syringe. The mixture was stirred for 20 min and acetic acid (0.14 mL, 2.5 mmol) was added. The reaction was completed after stirring for a further 10 h at rt. The product, isolated by standard procedure as a colourless oil (261 mg, 85%), was characterized giving data in accordance with **3c** published elsewhere. The product coeluted on GLC with the respective compound prepared previously.[4] Chiral GLC analysis indicated almost full racemization of the product (*R*:*S* ratio 44:56; 12% e.e. (*S*)-**3c**).

4.9. Preparation of 1-phenylethyl azide 4c from (*R*)-1-phenylethylamine 1c via 1-((*R*)-1-phenylethyl)-2,4,6-triphenylpyrylium tetrafluoroborate 2c

The nucleophilic substitution for the preparation of the azide **4c** from (*R*)-**1c** was carried out as described above for the preparation of **3c** from (*R*)-**1c**, replacing the nucleophile KNO_2 with NaN_3 (5 equiv.). The oily product (78% yield) obtained after flash chromatography was characterized giving data in accordance with **4c** published elsewhere and the derivatized product coeluted on GLC with the respective compound prepared previously.[2] The product showed no optical rotation and GLC of the diastereomeric amide derivatives indicated full racemization of the product (*R*:*S* ratio 1:1).

4.10. Preparation of 1-phenylethyl phenyl ether 5c and 1-phenylethyl 2-naphthyl ether 5d from (*R*)-1-phenylethylamine 1c via 1-((*R*)-1-phenylethyl)-2,4,6-triphenylpyrylium tetrafluoroborate 2c

The nucleophilic substitutions for the preparation of the products **5c** and **5d** from (*R*)-**1c** and phenol and 2-naphthol, respectively, were carried out as described above for the preparation of **3c** from (*R*)-**1c**, replacing the nucleophile KNO_2 with phenol or 2-naphthol (3.3 equiv.). The oily products **5c** (70%) and **5d** (73% yield) obtained after flash chromatography (3% acetone in heptane) were characterized giving data in accordance with **5c** and **5d** reported elsewhere and they coeluted on GLC with the respective compounds prepared previously.[8,9] The products showed no optical rotation and the enantioseparation of the phenyl ether **5c** on chiral GLC indicated a racemic product (*R*:*S* ratio 1:1).

References

1. Seljestokken, B.; Fiksdahl, A. *Acta Chem. Scand.* **1993**, *47*, 1050–1052.
2. Johansen, C.; Fiksdahl, A. *Chirality* **1994**, *6*, 161–164.
3. Oppedal, H.; Tveit, I. C.; Fiksdahl, A. *Tetrahedron: Asymmetry* **1994**, *5*, 895–902.
4. Ileby, N.; Kuzma, M.; Heggvik, L. R.; Sørbye, K.; Fiksdahl, A. *Tetrahedron: Asymmetry* **1997**, *8*, 2193–2198.
5. Heggvik, L. R.; Fiksdahl, A. *Tetrahedron: Asymmetry* **1997**, *8*, 2189–2192.
6. Sørbye, K.; Tautermann, C.; Carlsen, P. H.; Fiksdahl, A. *Tetrahedron: Asymmetry* **1998**, *9*, 681–689.
7. Said, S. A.; Fiksdahl, A. *Tetrahedron: Asymmetry* **1999**, *10*, 2627–2633.
8. Said, S. A.; Fiksdahl, A.; Carlsen, P. H. *Tetrahedron Lett.* **2000**, *41*, 5593–5596.
9. Said, S. A.; Fiksdahl, A. *Tetrahedron: Asymmetry* **2001**, *12*, 893–896.
10. Katritzky, A. R.; Gruntz, U.; Kenny, D. H.; Rezende, M. C.; Sheikh, H. *J. Chem. Soc., Perkin Trans. 1* **1979**, 430–432.
11. Katritzky, A. R.; Bapad, J. B.; Blade, R. J.; Leddy, B. P.; Nie, P.-L.; Ramsden, C. A.; Thind, S. S. *J. Chem. Soc., Perkin Trans. 1* **1979**, 418–425.
12. Katritzky, A. R. *Tetrahedron* **1980**, *36*, 679–699.
13. Katritzky, A. R.; Liso, G.; Lunt, E.; Patel, R. C.; Thind, S. S.; Zia, A. *J. Chem. Soc., Perkin Trans. 1* **1980**, 849–851.
14. Katritzky, A. R.; Brownlee, R. T. C.; Musumarra, G. *Tetrahedron* **1980**, *36*, 1643–1647.
15. Katritzky, A. R.; Manzo, R. H. *J. Chem. Soc., Perkin Trans. 1* **1981**, 571–575.
16. Katritzky, A. R.; Lloyd, J. M.; Patel, R. C. *J. Chem. Soc., Perkin Trans. 1* **1982**, 117–123.
17. Katritzky, A. R.; Marson, C. M. *Angew. Chem., Int. Ed. Engl.* **1984**, *23*, 420–429.
18. Katritzky, A. R.; Brycki, B. *J. Am. Chem. Soc.* **1986**, *108*, 7295–7299.
19. Kolehmainen, E.; Rasala, D.; Bak, T.; Laihia, K.; Gawnecki, R. *Spectrosc. Lett.* **1995**, *28*, 621–639.