Asymmetric Synthesis of α-Alkyl-ω-amino Acids and α-Alkyllactams

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Dedicated to Prof. Günter Szeimies on the occasion of his 60th birthday

A versatile access to optically active α-branched ω-amino acids **8** and **9** and corresponding lactams **11** was developed allowing the synthesis of either enantiomer of these products. This asymmetric synthesis is based on amino alcohols **3** as chiral auxiliaries, which were converted to 2-(ω-benzenesulfonylaminoalkyl)oxazolines **4** by reaction with ω-amino-imido esters **2** or derivatives of lactams **1**. The 2-(ω-benzenesulfonylaminoalkyl)oxazolines **4** were deprotonated by LDA and α-alkylated by alkyl halides **5**. Final hydrolytic cleavage of the oxazoline ring afforded the amino acids **8** and **9** or lactams **11**. The method does not follow Meyers model of α-alkylation of 2-alkyloxazolines and requires BEt_3 as additive in order to achieve complete stereoselectivity.

Chiral α-alkyl-ω-amino acids and corresponding α-alkyllactams have found interest as pharmaceutically active compounds[1,2] and as building blocks for receptor inhibitors,[3] alkaloids[4] and enzymes.[5] In this series optically active compounds could be obtained by resolution of racemates[6–12] or diastereomeric derivatives[13] or synthetically starting from optically active materials[7,14,15] or by asymmetric synthesis. Thus, optically active α-alkyl-ω-amino acids were synthesized starting from ω-functionalized *N*-acyloxazolidinones (Evans-systems) by α-alkylation[16,17] or Michael addition[18,19] and final generation of the ω-amino group. Lactams with a chiral auxiliary at the ring N-atom can stereoselectively be α-alkylated.[4] Finally, racemic α-aryllactams can be α-deprotonated and reprotonated or alkenylated with homochiral reagents to afford optically active lactams.[20,21]

In a preliminary publication[22] we reported an efficient synthesis of ω-amino acids **8** or **9**, such as (*R*)-4-amino-2-methylbutyric acid occurring in calyculine A,[18] and of corresponding lactams **11** based on the asymmetric α-alkylation of 2-(ω-benzenesulfonylaminoalkyl)oxazolines **4** (PG = $PhSO_2$) via intermediate azaenolates. Either of the diastereomers or enantiomers of the oxazolines **6**, the amino acids **8** or **9** and the lactams **11**, respectively, could be obtained in enantiomerically pure form just by choosing the appropriate configuration at position 4 of the oxazoline ring in the reactant **4** [(*R*)-configuration at position 4 affords (*S*)-configuration at α-position and vice versa], i.e. by starting with the corresponding amino alcohol **3** as chiral auxiliary. The stereochemical course of the α-alkylation of the 2-(ω-aminoalkyl)oxazolines **4** did not follow the well-known model of Meyers[23] because a complexation of the intermediate azaenolate with the terminal amino group was indicated. For a high stereoselectivity it was essential to use triethylborane as additive.

The present paper comprises full experimental details of the synthesis of a variety of oxazolines **6**, amino acids **8** or **9** and of lactams **11** as well as systematic investigations of the effect of substituents, of protective groups (PG), of shortening the side chain (n = 0, 1) and of reaction parameters on the yield and stereoselectivity. Further proof of the absolute configuration of the products are reported as well as additional mechanistic considerations.

The starting 2-(ω-aminoalkyl)oxazolines **4** were synthesized either by ring transformation of lactam acetals or lactim ethers derived from lactams **1** with amino alcohols **3** as chiral auxiliaries[24] or by adapting known ring-closure syntheses of oxazolines using imido esters **2** as reactants (see Experimental Part). Table 1 demonstrates the scope of the α-alkylation of 2-(ω-benzenesulfonylaminoalkyl)oxazolines **4** (PG = $PhSO_2$) under conditions optimized for product **6f**,[25] i.e. using 3 equivalents of LDA and 3 equivalents of BEt_3 at −78 °C in THF (Method A). BuLi or KHMDS was not useful as base, because only unchanged starting material **4** was recovered. The application of TMEDA (Method C, see Table 1, entry 6, footnote h) or BH_3 (Method D, see Table 1, entry 8, footnote j) in place of BEt_3 was not advantageous since the stereoselectivity was in the range of the nonassisted alkylation (Method B, see Table 1, entry 6, footnote h and entry 8, footnote j). Varying the temperature between −100 and 0 °C gave a maximum stereoselectivity at −80 °C. The optimal time interval between the addition of BEt_3 and the addition of the alkylating reagent **5** was found at 15 minutes. Since attempts to use dimethyl sulfate as alkylating reagent in the synthesis of product **6f** failed, alkyl iodides or bromides **5** (X = I, Br) were used in all alkylations.

The results in Table 1 reveal that for n > 0 **(6c–ak)** in almost all cases only one stereoisomer could be obtained stereoselectively under the aforementioned conditions, regardless of the nature of substituents (R^3–R^6) in the oxazoline ring of the starting material **4**. It has to be mentioned that the 4-MOM-group exhibits the same stereodirecting effect as an alkyl group in the 4-position (compare **6e** with **6f**; **6l** and **6n** with **6m**; **6y** with **6ab**). The configuration at the α-position of the products **6** is controlled by the configuration at position 4 of the oxazoline ring of **4**, i.e. by the substituents R^3 and R^4. In contrast to this successful α-alkylation, the lower homologs, i.e. 2-(benzenesulfonylamino)methyloxazolines **4** (n = 0, R^2 = H) were not stereoselectively α-alkylated (see Table 1, formation of products **6a** and **6b**) or did not undergo α-alkylation at all but formed *N*,*N*-dimethylbenzenesulfonamide if $R^1 = R^2$ = Me. The latter rather than expected products **6** (n = 1) were also found in unsuccessful attempts at the α-methylation of 2-(2-benzenesulfonylaminoethyl)oxazolines **4** (n = 1, R^2 = Me). With longer alkyl chains (n = 10) stereoselectivity was even high if no BEt_3 was used (Method B, see Table 1, entries 14, 36). The chemical yields range from high to low. In a number of cases part of the starting

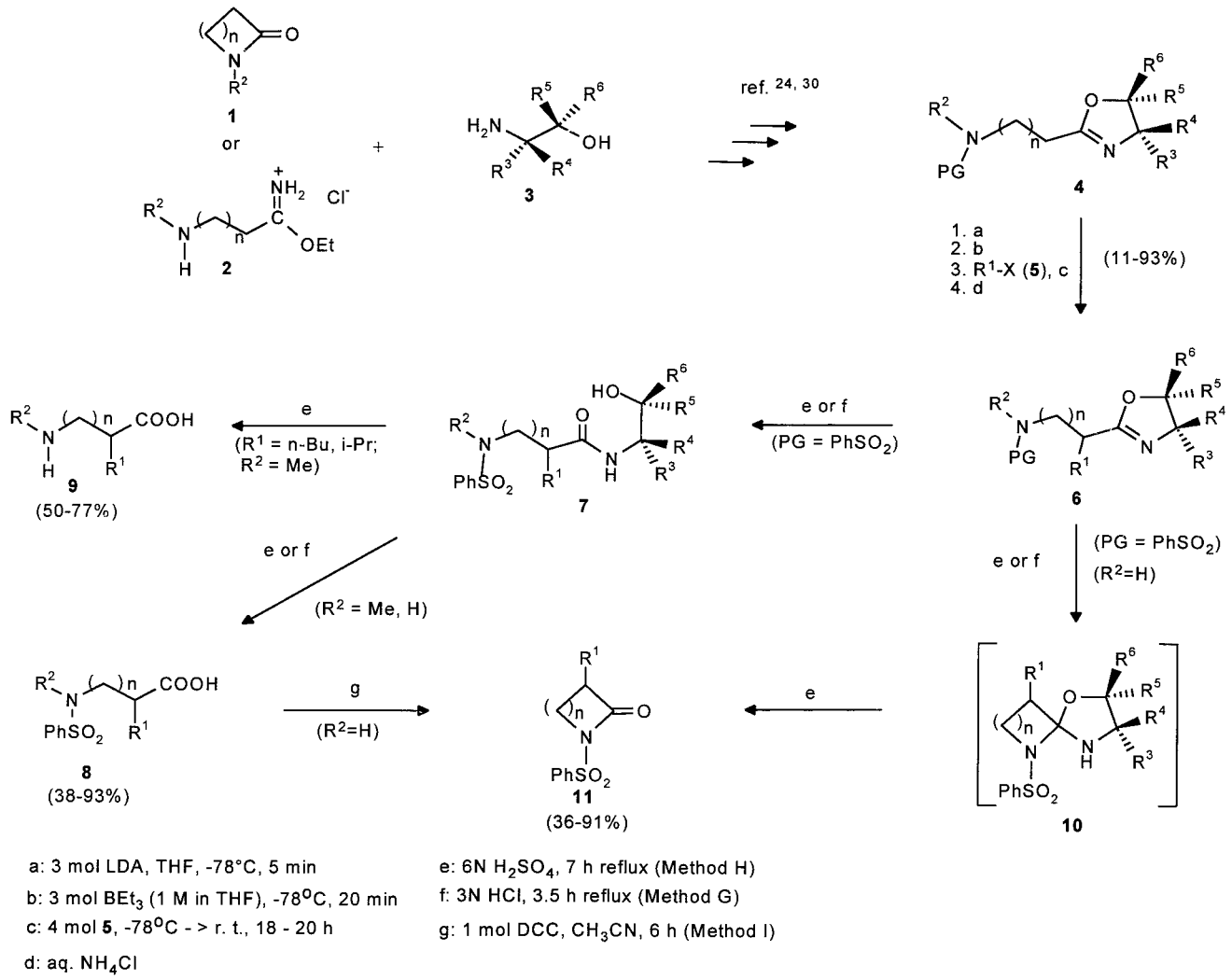
Table 1. α-Alkylated 2-(ω-Aminoalkyl)oxazolines **6** (PG = $PhSO_2$) from **4** with BEt_3 as Additive (Method A)

Entry	Nr.	R^1	R^2	n	R^3	R^4	R^5	R^6	Configuration[a]	Yield (%)[b]	Diastereomeric ratio
1	**6a**	Me	H	0	H	Et	H	H	*R*	67[c]	50 : 50
2	**6b**	Me	H	0	MOM	H	H	Ph	*S*	63[d]	73 : 27
3	**6c**	Me	H	1	MOM	H	H	Ph	*R*	40[e]	90 : 10
4	**6d**	Me	Me	2	H	Et	H	H	*S*	58	> 95 : 5
5									*S*	71[f,g]	> 95 : 5
6	**6e**	Me	Me	2	Me	H	Ph	H	*R*	58[h]	> 95 : 5
7	**6f**	Me	Me	2	MOM	H	H	Ph	*R*	65[i]	86 : 14
8										39[f,j]	> 95 : 5
9	**6g**	Me	Bn	2	H	Et	H	H	*S*	35[k]	75 : 25
10	**6h**	Me	Me	4	H	Et	H	H	*S*	54[i]	> 95 : 5
11	**6i**	Me	Me	4	MOM	H	H	Ph	*R*	64	> 95 : 5
12										35[f,k]	72 : 28
13	**6j**	Me	Me	10	H	Et	H	H	*S*	84	> 95 : 5
14	**6k**	Me	Me	10	Me	H	Ph	H	*R*	46[k]	> 95 : 5
15	**6l**	Et	H	2	MOM	H	H	Ph	*R*	32[i]	> 95 : 5
16	**6m**	Et	H	2	Me	H	Ph	H	*R*	43	> 95 : 5
17	**6n**	Et	Me	2	MOM	H	H	Ph	*R*	32[i]	> 95 : 5
18	**6o**	Et	Et	2	H	Et	H	H	*S*	59[f]	> 95 : 5
19	**6p**	Et	Me	4	Me	H	Ph	H	*R*	65[i]	> 95 : 5
20	**6q**	Et	Me	4	H	Et	H	H	*S*	93	> 95 : 5
21	**6r**	Et	H	10	Me	H	Ph	H	*R*	54	> 95 : 5
22	**6s**	Et	H	10	H	Et	H	H	*S*	64	> 95 : 5
23	**6t**	Bu	H	2	MOM	H	H	Ph	*R*	50	> 95 : 5
24	**6u**	Bu	H	2	H	Et	H	H	*S*	67	> 95 : 5
25	**6v**	Bu	Me	2	MOM	H	H	Ph	*R*	39[i]	> 95 : 5
26										78[k]	85 : 15
27	**6w**	Bu	Me	4	H	Et	H	H	*S*	59	> 95 : 5
28	**6x**	Bu	H	10	H	Et	H	H	*S*	69	> 95 : 5
29	**6y**	*i*-Pr	H	2	Me	H	Ph	H	*R*	62[k,l]	79 : 21
30	**6z**	*i*-Pr	H	2	H	Et	H	H	*S*	10[i,k,l]	90 : 10
31	**6aa**	*i*-Pr	Me	2	H	Et	H	H	*S*	48[i]	> 95 : 5
32	**6ab**	*i*-Pr	Me	2	MOM	H	H	Ph	*R*	52	> 95 : 5
33										58[k]	> 95 : 5
34	**6ac**	*i*-Pr	Me	4	H	Et	H	H	*S*	11[i]	> 95 : 5
35	**6ad**	*i*-Pr	Me	4	MOM	H	H	Ph	*R*	11[i]	> 95 : 5
36	**6ae**	*i*-Pr	H	10	H	Et	H	H	*S*	27[k,l]	> 95 : 5
37	**6af**	allyl	Me	2	MOM	H	H	Ph	*R*	86	> 95 : 5
38	**6ag**	allyl	allyl	2	MOM	H	H	Ph	*R*	50[f,i]	> 95 : 5
39	**6ah**	allyl	allyl	2	H	Et	H	H	*S*	59[f]	> 95 : 5
40	**6ai**	allyl	Me	4	H	Et	H	H	*S*	51[i]	> 95 : 5
41	**6aj**	allyl	H	4	MOM	H	H	Ph	*R*	58	> 95 : 5
42	**6ak**	allyl	H	10	H	Et	H	H	*S*	63	> 95 : 5

[a] In α-position.
[b] Yields of isolated products based on **4**.
[c] Yield 42%, diastereomeric ratio 53 : 47 without BEt_3 (Method B).
[d] Yield 61%, diastereomeric ratio 47 : 53 without BEt_3 (Method B).
[e] Yield 20%, diastereomeric ratio 64 : 36 without BEt_3 (Method B).
[f] R^2 = H in the starting material **4**, i.e. additional *N*-alkylation.
[g] Yield 55%, diastereomeric ratio 41 : 59 without BEt_3 (Method B).
[h] Yield 52%, diastereomeric ratio 68 : 32 if TMEDA (Method C) was used instead of BEt_3.
Yield 52%, diastereomeric ratio 62:38 without additive (Method B).
[i] Part of starting material was recovered unchanged.
[j] Yield 43%, diastereomeric ratio 56 : 44 if $BH_3 \cdot THF$ was used instead of BEt_3 (Method D).
Yield 45%, diastereomeric ratio 62 : 38 without additive (Method B).
[k] Without BEt_3 (Method B).
[l] No reaction if BEt_3 was used.

material was recovered (see Table 1, entries 7, 10, 15, 17, 19, 25, 30, 31, 34, 35, 38, 40, footnote i) although excess of reagents was used. This situation did not change if longer reaction times or elevated temperatures were chosen.

Several alkylating reagents **5** (R^1 = alkyl, allyl) could successfully be used to synthesize the corresponding compounds **6**. However, the α-alkylation of the 2-(ω-benzenesulfonylaminoalkyl)oxazolines **4** seems to be sensitive to steric hindrance since isopropyl iodide (**5**, R^1 = *i*-Pr) sometimes gave comparatively moderate yields of products **6** (R^1 = *i*-Pr, see Table 1, entries 29–36) while *tert*-butyl iodide (**5**, R^1 = *t*-Bu) did not react at all. The introduction of the isopropyl group into **4** sometimes failed in the presence of BEt_3 (Method A, see Table 1, footnote l) while the reaction was possible without this additive (Method B) (see Table 1, entries 29, 30, 36, footnote k). Benzyl bromide failed to react with oxazolines **4** but reacted with itself affording 1-bromo-1,2-diphenylethane

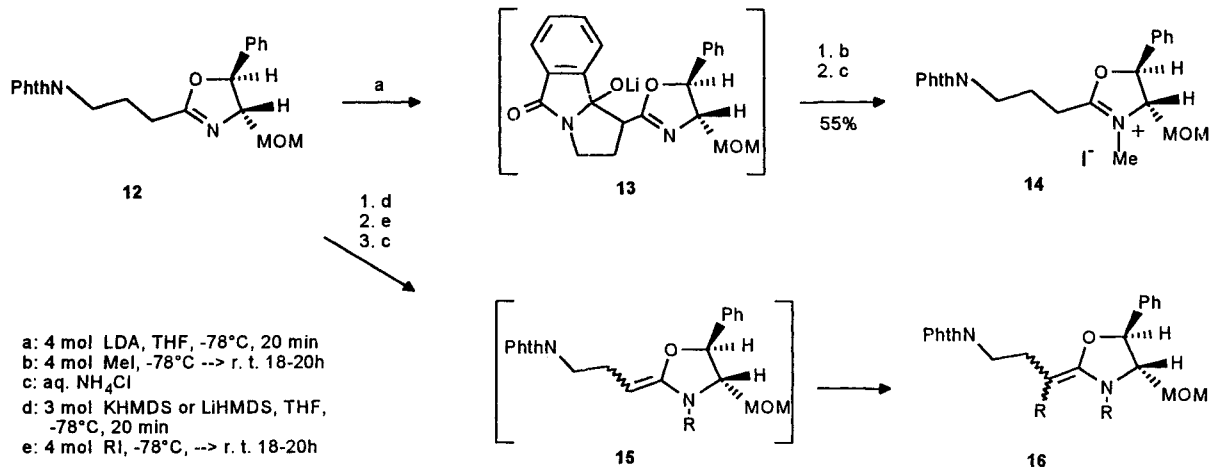


Scheme 1

while ethyl bromoacetate (**5**; $R^1 = CH_2COOEt$, X = Br) alkylated the ring N-atom of **4** rather than the α-position under standard conditions. If *N*-unsubstituted 2-(ω-benzenesulfonylaminoalkyl)oxazolines **4** (R^2 = H) were submitted to the standard procedure (Method A) methylation (R^1 = Me in **5**) and in part ethylation (R^1 = Et in **5**) occurred at both the α-position and the amino group giving products **6** ($R^1 = R^2$ = Me or Et, see Table 1, entries 5, 8, 12, 18, 38, 39, footnote f). In these cases (R^2 = H in **4**) it was essential to use BEt_3 since a poor stereoselectivity was achieved without an additive (see Table 1, entry 5, footnote g and entry 8, footnote j).

We further investigated the effect of the protective group PG in 2-(ω-aminoalkyl)oxazolines **4**. Unprotected **4** (PG = H) were not methylated at the α-position but at the ring N-atom and eventually at the ω-amino group when BuLi or LDA, respectively, was used as base. The application of protective groups PG different from benzenesulfonyl (for the non-assisted methylation of **4** with PG = Tos, Cbz see Table 2) was either not advantageous (see Table 2, compare compound **6e** with **6an**) or gave different reactions. Tosyl groups in **4** (PG = Tos) were additionally deprotonated and methylated at the methyl position thus giving α-methyl-ω-(4-ethylbenzenesulfonylaminoalkyl)oxazolines **6** (PG = 4-$CH_3CH_2C_6H_4SO_2$) (see Table 2 products **6al** and **6am**). Depending on the base used for the α-deprotonation 2-(ω-phthalimidoalkyl)oxazolines **12** (Scheme 2) (correspond to **4** with $PGNR^2$ = phthalimido) gave either methylation of the ring N-atom (formation of **14** in the presence of LDA) (Method E) or additional alkylation of the α-position (formation of **16** with KHMDS or LiHMDS as base) (Method F, Table 3). This unexpected behaviour could presumably be explained by an intramolecular electrophilic attack of the phthalimido group at the α-position of the azaenolate formed by primary deprotonation of **12**. The resulting *N,O*-acetal intermediates **13** would not be nucleophilic at the α-position and therefore the alkylation occurred at the ring N-atom giving **14**. The dialkylated products **16** could possibly be formed from the corresponding *N*-alkyloxazolium salts such as **14** by subsequent deprotonation of the α-position giving a neutral ketene-*O,N*-acetal **15** which is further α-alkylated and lastly deprotonated again.

Finally we investigated the effect of BEt_3 on the α-methylation (Method A) of 4-methyl-5-phenyl-2-propyloxa-

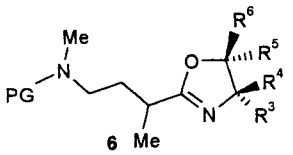


Scheme 2

zoline, lacking a terminal amino group, but just unreacted starting material was recovered in this case. This experiment demonstrates that (benzenesulfonylamino)alkyloxazolines **4** react in a different manner to non-functionalized 2-alkyloxazolines reported by Meyers[23] and that BEt_3 addition is not useful in the classical Meyers method.

As shown above, alkylations of 4-MOM-substituted 2-(ω-aminoalkyl)oxazolines **4** (R^3 = MOM) give the same major stereoisomers **6** as compounds with the 4-methyl substituent (R^3 = Me) (e.g. see Table 1, compare **6e** and **6f**, **6l**, **6m** and **6n**, **6y** and **6ab**, footnotes h and j), regardless of whether BEt_3 was used (Method A) or not (Method B). This fact is in contrast to the well-known α-alkylation of 2-alkyl-4-methoxymethyloxazolines developed by Meyers where opposite facial attack occurs at 4-alkoxyzolines.[23] The facial selectivity in the ω-benzenesulfonylaminoalkyl series **4** could be explained (see Scheme 3) by additional chelation of the lithium in the azaenolates by the ω-benzenesulfonylamino group resulting in azaenolates **17** (R^3 = Me, i.e. passive) or **20** (R^3 = MOM, i.e. chelating), both adopting (*E*)-configuration rather than (*Z*) as observed in Meyers examples lacking ω-sulfonylamino groups. The attack of alkyl halides R^1-Hal at azaenolates **17** is directed by Li-Hal-interaction, i.e. it occurs from the β-face (*re,re*-face) as shown in Scheme 3 for the (4*S*)-isomer **17**. With 4-MOM-azaenolates **20**, however, the chelation of the lithium is much stronger thus preventing an Li-Hal interaction with R^1-Hal. Consequently the attack of R^1-Hal preferably (but not completely) occurs from the same face (i.e. β-face, see Scheme 3) as in azaenolates **17** giving the major isomers **19** (corresponding to **6**).

Table 2. Effect of Protective Groups in α-Methylation of **4**



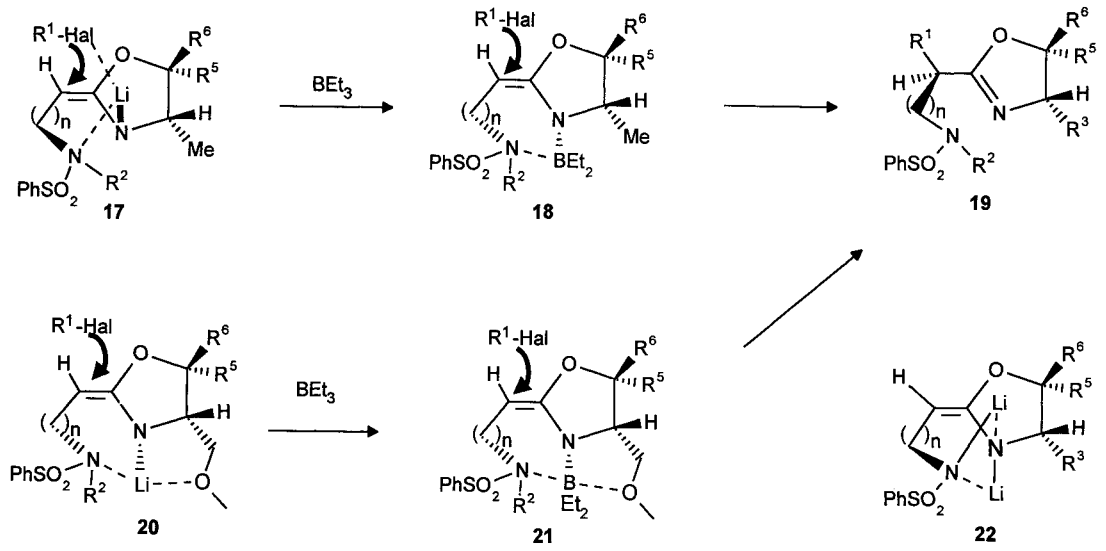
	PG	R^3	R^4	R^5	R^6	Yield (%)[a]	Diastereomeric ratio
6e	$PhSO_2$	Me	H	Ph	H	52[b]	62:38[b]
6al[c]	$4\text{-}CH_3CH_2C_6H_4SO_2$	Me	H	Ph	H	40[b]	76:24[b]
6am[c]	$4\text{-}CH_3CH_2C_6H_4SO_2$	MOM	H	H	Ph	46[b]	86:14[b]
						56[d]	57:43[d]
6an	Cbz	Me	H	Ph	H	38[b]	67:33[b]

[a] Yields of isolated product.
[b] Without BEt_3 (Method B).
[c] PG = Tos in the starting material **4**, i.e. additional methylation of the 4-methyl group.
[d] With BEt_3 (Method A).

Table 3. Phthalimidoalkylideneoxazolines **16**

16	R	base	Yield (%)	*E*/*Z*
a	Me	KHMDS	38	70:30
		LiHMDS	32	>95:5
b	Et	LiHMDS	37	>95:5

The particularly low stereoselectivity observed in alkylation of ω-(benzenesulfonylaminoalkyl)-1,3-oxazolines **4** (without BEt_3, Method B) lacking an additional substituent at the sulfonylamino group (R^2 = H) (see Table 1, entry 5, footnote g and entry 8, footnote j) could possibly be explained by a double deprotonation not giving intermediate species like **17** or **20** but affording dilithiated products **22** with one lithium atom placed above and the other below the oxazoline ring. An attacking alkyl halide **5** could now be directed via Hal-Li interaction from either diastereotopic face thus giving almost no stereoselectivity. The decisive effect of BEt_3 on the stereoselectivity of the alkylation of 2-(ω-benzenesulfonylaminoalkyl)oxazolines **4** (see also Table 1, footnotes c, d, e) could be explained by a transmetallation reaction of the lithium azaenolates **17** and **20** (Scheme 3). The lithium cation is replaced with boron by primary backside attack followed by extrusion of one ethyl substituent as ethene.[22] In the 4-alkyl-substituted series **17**,



Scheme 3

this transmetallation gives rise to the formation of a borate-like species **18**, with the borate functional group found on the opposite face as shown in Scheme 3. In the MOM-series **20**, the boron moiety is later transferred to the same side as MOM affording **21**, in order to allow optimal chelation. In any case the borate moiety shields the corresponding α-face very effectively thus directing the attacking alkyl halide **5** almost entirely to the opposite side, i.e. again to the *re,re*-face in the case of the stereoisomer **18**. Therefore the same major stereoisomer **19** is formed as in the nonassisted case without using BEt_3 but with almost complete stereoselectivity.

^{11}BNMR spectroscopic investigations of the reaction mixture obtained from **4** (PG = $PhSO_2$, R^2 = Me, n = 2, R^3 = Me, R^5 = Ph, R^4 = R^6 = H) and **4** (PG = $PhSO_2$, R^2 = Me, n = 2, R^3 = MOM, R^6 = Ph, R^4 = R^5 = H) gave evidence for borate-like species such as **18** or **21** in the reaction mixture obtained after deprotonation with LDA and addition of BEt_3 (δ = −6.1 and −4.9 ppm, respectively). A similar signal is missing in the case of a corresponding non-functionalized 4-methyl-5-phenyl-2-propyloxazoline while the doubly deprotonated species (such as **22**) obtained from 2-(benzenesulfonylamino)-propyloxazoline **4** (PG = $PhSO_2$, R^2 = H, n = 2, R^3 = MOM, R^6 = Ph, R^4 = R^5 = H) shows a borate-like signal at δ = +6.1 ppm.[25]

As further support for the boron azaenolate intermediates, earlier results of Negishi can be taken into consideration demonstrating boron enolates as useful precursors in the α-alkylation of carbonyl compounds.[26] A stereoselectivity-enhancing effect of BEt_3 was also found in α-alkylations of prolinolylmethyloxazoles and oxadiazoles via intermediate azaenolates.[27]

The outcome of the acid hydrolysis of 2-(ω-benzenesulfonylaminoalkyl)oxazolines **6** depends on the reaction conditions (Method G,H or I), the kind of *N*-substituent R^2 and the chain length (n) (Scheme 1). *N*-Substituted benzenesulfonylaminoalkyl derivatives **6** ($R^2 \neq$ H) either give ω-benzenesulfonylamino acids **8** by hydrolytic cleavage of the oxazoline ring or ω-amino acids **9** by additional hydrolysis of the benzenesulfonamide moiety (Table 5). The former were obtained when 3N aqueous HCl (Method G) was applied to **6** with n = 2 and R^1 = Me or allyl (see products **8d**, **8m**) or to *N*-unsubstituted compounds **6** (R^2 = H) with n = 0, 1 and R^1 = Me (see products **8a–c**). Application of the same hydrolytic conditions (3N HCl, Method G) to oxazolines **6** with higher chain lengths (n > 2) or other alkyl groups R^1 (Et, *i*-Pr, Bu) gives incomplete hydrolytic cleavage of the oxazoline heterocycle to ring-opened *N*-(β-hydroxyethyl)amides **7** (e.g. **7a,b**). On the other hand, complete hydrolysis of the oxazoline ring of such compounds **6** can be achieved with 6N H_2SO_4 (Method H) affording either ω-benzenesulfonylamino acids **8** (R^1 = Me, Et, Bu; see Table 5, **8e–j**) or ω-amino acids **9** (R^1 = *i*-Pr, Bu; see Table 5, **9a** and **b**).

It has to be mentioned that under acidic hydrolytic conditions (Method G, H) a regiospecific but nonstereoselective addition of water to the allyl substituent was observed in the allyl-substituted 2-(ω-benzenesulfonylaminoalkyl)oxazoline series **6** (R^1 = allyl) giving rise to 2-hydroxypropyl-subsituted amino acids **8** (R^1 = $CH_3CHOHCH_2$, see Table 5, **8k–m**).

The hydrolysis of *N*-unsubstituted 2-(ω-benzenesulfonylaminoalkyl)oxazolines **6** (R^2 = H) in 6N H_2SO_4 (Method H) gives either α-alkyl-*N*-benzenesulfonyllactams **11** for n = 10 (see Table 5, **11b**, **d**, **f**, **g**) or, in all other cases, mixtures of ω-benzenesulfonylamino acids **8** (R^2 = H) and the corresponding lactams **11**. These products **8** and **11** can easily be separated by column chromatography (see Table 5, **11a**, footnote m). In order to get improved yields of lactams **11** it is advantageous to treat the crude mixture of amino acids **8** (R^2 = H) and lactams **11** with dicyclohexylcarbodiimide (Method I, see Table 5, **11a**, **c**, **e**). Attempts to change the mixtures of ω-benzenesulfonylamino acids **8** (R^2 = H) and lactams **11** formed by acid hydrolysis of oxazolines **6** exclusively to lactams **11** by extending the reaction time were unsuccessful. This gives a strong indication that during hydrolysis of 2-(ω-benzenesulfonylaminoalkyl)-oxazolines **6** the lactams **11** were not formed via ω-benzenesulfonylamino acids **8** but in an independent way. We suppose a ring transformation

Table 4. Spectroscopic Data of α-Alkylated ω-Aminoalkyloxazolines **6** and Phthalimidoalkyloxazolines **14** and **16**

	$[\alpha]_D^{20}$ (*c* in g/100 mL $CHCl_3$)	^1H NMR ($CDCl_3$) δ (ppm), *J* (Hz)	^{13}C NMR ($CDCl_3$) δ (ppm)[a]
6a	+25.2 (0.61)	0.71–0.78 (t, *J* = 7.1, 3H, CH_3); 1.16–1.22 (m, 2H, CH_2); 1.30–1.33 (d, *J* = 7.1, 3H, CH_3); 2.72–2.79 (m, 1H, CHN); 3.59–3.63 (m, 1H, CH_2O); 3.75–3.82 (m, 1H, CHN); 3.92–4.02 (m, 1H, OCH_2); 5.74 (br s, 1H, NH); 7.38–7.58 (m, 3H, Ph); 7.72–7.82 (m, 2H, Ph)	9.7 CH_3; 20.6 CH_3; 28.2 CH_2; 47.3 CH; 66.8 NCH; 73.0 OCH_2; 127.2 2 × CH_{Ph}; 128.9 2 × CH_{Ph}; 132.6 CH_{Ph}; 140.1 C_{Ph}; 166.3 C=N
6b	−16.3 (1.0)	1.39–1.42 (d, *J* = 7.2, 3H, CH_3); 2.75–2.78 (m, 1H, CH); 3.27 (s, 3H, OCH_3); 3.29–3.32 (m, 1H, OCH_2); 3.38–3.42 (m, 1H, OCH_2); 4.09–4.13 (m, 1H, NCH); 5.06–5.09 (d, *J* = 6.9, 1H, OCH); 5.69 (s, 1H, NH); 7.20–7.50 (m, 8H, Ph); 7.69–7.88 (m, 2H, Ph)	19.8 CH_3; 47.4 CH; 59.3 OCH_3; 73.7 OCH_2; 73.9 NCH; 84.9 OCH; 125.3 2 × CH_{Ph}; 127.2 2 × CH_{Ph}; 128.4 CH_{Ph}; 128.8 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.6 CH_{Ph}; 139.8 C_{Ph}; 140.1 C_{Ph}; 167.3 C=N
6c[b]	−41.6 (1.0)	0.68–0.85 (d, *J* = 7.2, 3H, CH_3); 2.58–2.65 (m, 1H, CH); 2.89–3.19 (m, 2H, CH_2N); 3.37 (s, 3H, OCH_3); 3.28–3.35 (m, 1H, OCH_2); 3.45–3.49 (m, 1H, OCH_2); 3.99–4.06 (m, 1H, NCH); 5.17–5.19 (d, *J* = 6.3, 1H, OCH); 5.88 (s, 1H, NH); 7.21–7.50 (m, 8H, Ph); 7.73–7.81 (m, 2H, Ph)	14.2 CH_3; 33.5 CH; 46.2 CH_2N; 59.3 OCH_3; 73.9 OCH_2; 74.1 NCH; 83.0 OCH; 125.3 2 × CH_{Ph}; 126.9 2 × CH_{Ph}; 128.4 CH_{Ph}; 128.8 2 × CH_{Ph}; 129.1 2 × CH_{Ph}; 132.5 CH_{Ph}; 140.3 C_{Ph}; 140.4 C_{Ph}; 169.8 C=N
6d[c]	+19.3 (0.82)	0.84–0.88 (t, *J* = 7.4, 3H, CH_3); 1.14–1.16 (d, *J* = 7.0, 3H, CH_3); 1.17–1.22 (m, 2H, CH_2); 1.44–1.53 (m, 1H, CH_2); 1.58–1.63 (m, 1H, CH_2); 2.47–2.53 (m, 1H, CH); 2.67 (s, 3H, NCH_3); 2.98–3.04 (t, *J* = 7.2, 2H, NCH_2); 3.77–3.79 (dd, *J* = 2.9, 7.6, 1H, OCH_2); 3.88–3.97 (m, 1H, NCH); 4.17–4.23 (dd, *J* = 2.5, 8.3, 1H, OCH_2); 7.44–7.53 (m, 3H, Ph); 7.70–7.73 (m, 2H, Ph)	9.8 CH_3; 17.8 CH_3; 28.4 CH_2; 30.6 $\underline{CH}CH_2$; 31.9 CH_2; 34.8 NCH_3; 48.1 NCH_2; 67.1 $\overline{NCH}$; 71.7 $\overline{OCH_2}$; 127.3 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 137.4 C_{Ph}; 170.0 C=N
6e	−81.9 (1.8)	0.65–0.68 (d, *J* = 7.0, 3H, CH_3); 1.22–1.25 (d, *J* = 7.1, 3H, CH_3); 1.61–1.70 (m, 1H, CH_2); 1.89–2.00 (m, 1H, CH_2); 2.24–2.28 (m, 1H, CH); 2.67 (s, 3H, NCH_3); 3.03–3.07 (t, *J* = 7.2, 2H, NCH_2); 3.99–4.07 (m, 1H, NCH); 5.46–5.50 (d, *J* = 9.8, 1H, OCH); 7.24–7.33 (m, 5H, Ph); 7.41–7.52 (m, 3H, Ph); 7.62–7.72 (m, 2H, Ph)	17.8 CH_3; 17.9 CH_3; 30.8 $\underline{CH}CH_2$; 31.7 CH_2; 34.8 NCH_3; 48.1 NCH_2; 64.7 $\overline{NCH}$; 83.8 OCH; 126.1 2 × CH_{Ph}; 127.4 2 × CH_{Ph}; 127.8 CH_{Ph}; 128.3 2 × CH_{Ph}; 129.1 2 × CH_{Ph}; 132.6 CH_{Ph}; 136.9 C_{Ph}; 137.3 C_{Ph}; 169.5 C=N
6f[d]	−41.7 (0.6)	1.25–1.28 (d, *J* = 7.0, 3H, CH_3); 1.66–1.75 (m, 1H, CH_2); 1.93–2.02 (m, 1H, CH_2); 2.60–2.69 (m, 1H, CH); 2.68 (s, 3H, NCH_3); 3.05–3.12 (t, *J* = 7.3, 2H, NCH_2); 3.34 (s, 3H, OCH_3); 3.45–3.55 (m, 1H, OCH_2), 3.55–3.59 (m, 1H, OCH_2); 4.04–4.10 (m, 1H, NCH); 5.21–5.24 (d, *J* = 6.8, 1H, OCH); 7.22–7.34 (m, 5H, Ph); 7.44–7.54 (m, 3H, Ph); 7.72–7.75 (m, 2H, Ph)	17.8 CH_3; 30.8 CH_2; 31.9 $\underline{CH}CH_2$; 34.9 NCH_3; 48.0 NCH_2; 59.2 OCH_3; 74.1 OCH_2; 74.4 NCH; 83.2 OCH; 125.5 2 × CH_{Ph}; 127.3 2 × CH_{Ph}; 128.1 CH_{Ph}; 128.8 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 137.4 C_{Ph}; 140.9 C_{Ph}; 170.8 C=N
6g		0.74–0.79 (t, *J* = 7.4, 3H, CH_3); 1.03–1.06 (d, *J* = 7.0, 3H, CH_3); 1.30–1.47 (m, 2H, CH_2); 2.17–2.24 (m, 2H, CH_2); 2.36–2.41 (m, 1H, CH); 3.01–3.10 (m, 2H, NCH_2); 3.59–3.63 (m, 1H, OCH_2); 3.65–3.72 (m, 1H, NCH); 3.80–3.87 (t, *J* = 8.5, 1H, OCH_2); 4.22 (s, 2H, NCH_2); 7.14–7.23 (m, 3H, Ph); 7.40–7.58 (m, 5H, Ph); 7.74–7.78 (m, 2H, Ph)	9.7 CH_3; 17.7 CH_3; 28.4 CH_2; 32.2 CH_2; 43.7 $\underline{CH}CH_2$; 45.8 NCH_2; 51.9 NCH_2; 66.9 NCH; 71.6 $\overline{OCH_2}$; 127.1 2 × CH_{Ph}; 127.3 CH_{Ph}; 128.3 2 × CH_{Ph}; 128.6 2 × CH_{Ph}; 129.1 2 × CH_{Ph}; 129.3 CH_{Ph}; 133.9 C_{Ph}; 140.5 C_{Ph}; 169.7 C=N
6h[e]	+61.7 (1.1)	0.83–0.86 (t, *J* = 7.5, 3H, CH_3); 1.09–1.12 (d, *J* = 6.9, 3H, CH_3); 1.28–1.33 (m, 2H, CH_2); 1.39–1.62 (m, 6H, 3 × CH_2); 2.36–2.43 (m, 1H, CH); 2.65 (s, 3H, NCH_3); 2.90–2.95 (t, *J* = 7.3, 2H, NCH_2); 3.76–3.82 (t, *J* = 7.9, 1H, OCH_2); 3.90–4.00 (m, 1H, NCH); 4.17–4.23 (dd, *J* = 7.8, 9.2, 1H, OCH_2); 7.44–7.51 (m, 3H, Ph); 7.70–7.73 (m, 2H, Ph)	9.6 CH_3; 17.8 CH_3; 24.1 CH_2; 27.4 CH_2; 28.4 CH_2; 33.4 $\underline{CH}CH_2$; 33.7 CH_2; 34.5 NCH_3; 49.9 NCH_2; 66.9 NCH; 71.6 OCH_2; 127.3 2 × CH_{Ph}; 128.9 2 × CH_{Ph}; 132.5 CH_{Ph}; 137.4 C_{Ph}; 170.6 C=N
6i	−34.4 (0.52)	1.22–1.24 (d, *J* = 6.9, 3H, CH_3); 1.38–1.43 (m, 2H, CH_2); 1.49–1.52 (m, 2H, CH_2); 1.56–1.69 (m, 2H, CH_2); 2.51–2.61 (m, 1H, CH); 2.69 (s, 3H, NCH_3); 2.95–3.08 (t, *J* = 7.1, 2H, NCH_2); 3.38 (s, 3H, OCH_3); 3.40–3.48 (dd, *J* = 6.5, 9.7, 1H, OCH_2); 3.58–3.63 (dd, *J* = 4.4, 9.6, 1H, OCH_2); 4.05–4.12 (m, 1H, NCH); 5.23–5.26 (d, *J* = 6.9, 1H, OCH); 7.24–7.37 (m, 5H, Ph); 7.46–7.58 (m, 3H, Ph); 7.74–7.77 (m, 2H, Ph)	17.8 CH_3; 24.11 CH_2; 27.5 CH_2; 33.5 CH_2; 33.6 $\underline{CH}CH_2$; 34.6 NCH_3; 49.9 NCH_2; 59.3 OCH_3; 73.9 NCH; 74.4 OCH_2; 83.1 OCH; 125.5 2 × CH_{Ph}; 127.3 2 × CH_{Ph}; 128.2 CH_{Ph}; 128.8 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 137.4 C_{Ph}; 140.9 C_{Ph}; 171.8 C=N
6j[f]	+27.5 (1.12)	0.85–0.90 (t, *J* = 7.4, 3H, CH_3); 1.11–1.13 (d, *J* = 6.9, 3H, CH_3); 1.21–1.36 (m, 12H, 6 × CH_2); 1.38–1.58 (m, 8H, 4 × CH_2); 2.38–2.45 (m, 1H, CH); 2.68 (s, 3H, NCH_3); 2.88–3.00 (m, 2H, NCH_2); 3.78–3.83 (t, *J* = 7.8, 1H, OCH_2); 3.96–4.04 (m, 1H, NCH); 4.17–4.23 (t, *J* = 8.3, 1H, OCH_2); 7.48–7.57 (m, 3H, Ph); 7.72–7.76 (m, 2H, Ph)	9.6 CH_3; 17.8 CH_3; 26.5 CH_2; 27.2 CH_2; 27.6 CH_2; 28.4 CH_2; 29.2 CH_2; 29.4 4 × CH_2; 33.5 $\underline{CH}CH_2$; 34.2 CH_2; 34.5 NCH_3; 50.0 NCH_2; 66.9 $\overline{NCH}$; 71.5 OCH_2; 127.3 2 × CH_{Ph}; 128.9 2 × CH_{Ph}; 132.4 CH_{Ph}; 137.6 C_{Ph}; 170.9 C=N
6k	−14.7 (0.15)	0.77–1.55 (m, 24H, 2 × CH_3, 9 × CH_2); 2.38–2.46 (m, 1H, CH); 2.64 (s, 3H, NCH_3); 2.89–2.94 (t, *J* = 7.2, 2H, NCH_2); 4.37–4.41 (m, 1H, NCH); 5.60–5.63 (d, *J* = 6.4, 1H, OCH); 7.23–7.35 (m, 5H, Ph); 7.42–7.53 (m, 3H, Ph); 7.69–7.77 (m, 2H, Ph)	14.8 CH_3; 17.9 CH_3; 26.5 2 × CH_2; 27.6 CH_2; 29.2 2 × CH_2; 29.4 4 × CH_2; 32.6 $\underline{CH}CH_2$; 34.5 NCH_3; 50.1 NCH_2; 66.3 NCH; 82.9 OCH; 127.1 2 × CH_{Ph}; 127.3 2 × CH_{Ph}; 128.4 CH_{Ph}; 128.9 2 × CH_{Ph}; 129.5 2 × CH_{Ph}; 132.4 CH_{Ph}; 138.0 C_{Ph}; 141.0 C_{Ph}; 167.0 C=N

Table 4. (continued)

	$[\alpha]_D^{20}$ (c in g/100 mL $CHCl_3$)	^1H NMR ($CDCl_3$) δ (ppm), J (Hz)	^{13}C NMR ($CDCl_3$) δ (ppm)[a]
6l[g]	−64.5 (0.9)	0.84–0.89 (t, J = 7.6, 3H, CH_3); 1.44–1.79 (m, 4H, 2 × CH_2); 2.35–2.42 (m, 1H, CH); 2.99–3.09 (m, 2H, NCH_2); 3.35 (s, 3H, OCH_3); 3.48–3.54 (m, 2H, OCH_2); 4.02–4.09 (m, 1H, NCH); 5.19–5.23 (d, J = 7.1, 1H, OCH); 5.82–5.86 (t, J = 5.8, 1H, NH); 7.17–7.35 (m, 5H, Ph); 7.40–7.50 (m, 3H, Ph); 7.76–7.84 (m, 2H, Ph)	11.6 CH_3; 25.5 CH_2; 31.6 CH_2; 38.6 $\underline{CH}CH_2$; 41.2 NCH_2; 59.2 OCH_3; 73.9 OCH_2; 74.0 NCH; 83.1 OCH; 125.5 2 × CH_{Ph}; 126.9 2 × CH_{Ph}; 128.3 CH_{Ph}; 128.8 2 × CH_{Ph}; 128.9 2 × CH_{Ph}; 132.4 CH_{Ph}; 140.3 C_{Ph}; 140.6 C_{Ph}; 170.2 C=N
6m	−31.7 (0.24)	0.90–0.95 (t, J = 7.3, 3H, CH_3); 1.00–1.27 (m, 5H, CH_2, CH_3); 1.83–1.89 (m, 2H, CH_2); 2.28–2.34 (m, 1H, CH); 3.14–3.24 (m, 2H, NCH_2); 4.01–4.10 (m, 1H, NCH); 5.36–5.39 (d, J = 9.5, 1H, OCH); 6.12 (br s, 1H, NH); 7.25–7.38 (m, 5H, Ph); 7.44–7.59 (m, 3H, Ph); 7.70–7.77 (m, 2H, Ph)	9.6 CH_3; 17.7 CH_3; 20.6 CH_2; 25.2 CH_2; 42.5 $\underline{CH}CH_2$; 47.7 NCH_2; 64.4 NCH; 84.0 OCH; 126.8 2 × CH_{Ph}; 126.9 2 × CH_{Ph}; 128.1 CH_{Ph}; 128.3 2 × CH_{Ph}; 129.1 2 × CH_{Ph}; 132.5 CH_{Ph}; 136.4 C_{Ph}; 139.7 C_{Ph}; 166.9 C=N
6n	−22.9 (0.66)	0.87–0.92 (t, J = 7.4, 3H, CH_3); 1.53–1.65 (m, 2H, CH_2); 1.67–1.77 (m, 1H, CH_2); 1.80–1.93 (m, 1H, CH_2); 2.38–2.44 (m, 1H, CH); 2.64 (s, 3H, NCH_3); 2.94–3.05 (m, 2H, NCH_2); 3.28 (s, 3H, OCH_3); 3.39–3.44 (m, 1H, OCH_2); 3.49–3.55 (m, 1H, OCH_2); 4.02–4.08 (m, 1H, NCH); 5.17–5.20 (d, J = 6.7, 1H, OCH); 7.18–7.27 (m, 5H, Ph); 7.39–7.45 (m, 3H, Ph); 7.67–7.70 (m, 2H, Ph)	11.5 CH_3; 25.5 CH_2; 30.2 CH_2; 34.9 NCH_3; 38.1 $\underline{CH}CH_2$; 48.3 NCH_2; 59.2 OCH_3; 74.1 OCH_2; 74.4 NCH; 83.1 OCH; 125.5 2 × CH_{Ph}; 127.3 2 × CH_{Ph}; 128.1 CH_{Ph}; 128.8 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 137.5 C_{Ph}; 140.8 C_{Ph}; 169.6 C=N
6o	+6.0 (0.15)	0.73–0.87 (m, 6H, 2 × CH_3); 0.99–1.04 (t, J = 6.1, 3H, CH_3); 1.31–1.82 (m, 6H, 3 × CH_2); 2.21–2.31 (m, 1H, CH); 3.01–3.20 (m, 4H, 2 × NCH_2); 3.74–3.81 (dd, J = 1.2, 7.9, 1H, OCH_2); 3.91–3.97 (m, 1H, NCH); 4.15–4.19 (dd, J = 1.2, 7.9, 1H, OCH_2); 7.20–7.48 (m, 3H, Ph); 7.71–7.80 (m, 2H, Ph)	9.8 CH_3; 11.6 CH_3; 13.8 CH_3; 25.6 CH_2; 28.6 CH_2; 31.2 CH_2; 38.3 $\underline{CH}CH_2$; 42.8 NCH_2; 45.5 NCH_2; 67.1 NCH; 71.6 OCH_2; 127.0 2 × CH_{Ph}; 128.9 2 × CH_{Ph}; 132.3 CH_{Ph}; 140.0 C_{Ph}; 168.7 C=N
6p[h]	−70.2 (0.25)	0.65–0.76 (m, 2H, CH_2); 0.84–0.93 (m, 6H, 2 × CH_3); 1.14–1.19 (m, 2H, CH_2); 1.28–1.59 (m, 4H, 2 × CH_2); 2.08–2.19 (m, 1H, CH); 2.61 (s, 3H, NCH_3); 2.87–2.92 (t, J = 6.6, 2H, NCH_2); 4.15–4.21 (m, 1H, NCH); 5.48–5.51 (d, J = 9.8, 1H, OCH); 7.18–7.26 (m, 5H, Ph); 7.41–7.52 (m, 3H, Ph); 7.61–7.68 (m, 2H, Ph)	8.1 CH_3; 14.1 CH_3; 25.1 CH_2; 25.9 CH_2; 27.2 CH_2; 34.6 NCH_3; 36.5 CH_2; 36.7 $\underline{CH}CH_2$; 49.9 NCH_2; 64.9 NCH; 83.9 OCH; 126.2 2 × CH_{Ph}; 127.2 2 × CH_{Ph}; 127.3 2 × CH_{Ph}; 128.1 CH_{Ph}; 129.1 2 × CH_{Ph}; 132.6 CH_{Ph}; 137.2 C_{Ph}; 141.9 C_{Ph}; 170.9 C=N
6q	+21.7 (0.26)	0.70–0.83 (t, J = 7.2, 3H, CH_3); 0.83–0.95 (m, 7H, 2 × CH_2; CH_3); 1.14–1.24 (m, 2H, CH_2); 1.28–1.69 (m, 4H, 2 × CH_2); 2.32–2.46 (m, 1H, CH); 2.66 (s, 3H, NCH_3); 2.93–2.97 (t, J = 6.5, 2H, NCH_2); 3.90–3.95 (t, J = 7.9, 1H, OCH_2); 4.00–4.08 (m, 1H, NCH); 4.25–4.31 (t, J = 8.3, 1H, OCH_2); 7.46–7.54 (m, 3H, Ph); 7.71–7.74 (m, 2H, Ph)	9.5 CH_3; 11.7 CH_3; 24.1 CH_2; 25.5 CH_2; 27.4 CH_2; 28.1 CH_2; 31.7 CH_2; 34.5 NCH_3; 40.5 $\underline{CH}CH_2$; 49.8 NCH_2; 65.8 NCH; 71.8 OCH_2; 127.3 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 137.3 C_{Ph}; 171.5 C=N
6r[i]	−21.2 (0.1)	0.81–0.83 (d, J = 6.9, 3H, CH_3); 1.04–1.09 (t, J = 6.5, 3H, CH_3); 1.22–1.59 (m, 20H, 10 × CH_2); 2.12–2.17 (m, 1H, CH); 3.15–3.24 (m, 2H, NCH_2); 4.04–4.12 (m, 1H, NCH); 5.56–5.63 (d, J = 9.5, 1H, OCH); 7.19–7.30 (m, 5H, Ph); 7.45–7.56 (m, 3H, Ph); 7.76–7.87 (m, 2H, Ph)	11.0 CH_3; 14.0 CH_3; 25.7 CH_2; 26.7 CH_2; 28.6 CH_2; 29.2 (2 × CH_2); 29.4 (4 × CH_2); 31.2 CH_2; 41.9 $\underline{CH}CH_2$; 42.5 NCH_2; 67.0 NCH; 82.1 OCH; 126.0 2 × CH_{Ph}; 126.9 2 × CH_{Ph}; 128.2 CH_{Ph}; 129.1 2 × CH_{Ph}; 132.2 CH_{Ph}; 140.9 C_{Ph}; 141.2 C_{Ph}; 167.7 C=N
6s	+16.5 (0.31)	0.78–0.96 (m, 6H, 2 × CH_3); 1.11–1.18 (m, 16H, 8 × CH_2; CH_3); 1.32–1.61 (m, 6H, 3 × CH_2); 2.23–2.27 (m, 1H, CH); 2.82–2.89 (q, J = 7.1, 2H, NCH_2); 3.74–3.79 (t, J = 7.7, 1H, OCH_2); 3.92–3.97 (m, 1H, NCH); 4.13–4.19 (dd, J = 8.1, 9.7, 1H, OCH_2); 4.89 (s, 1H, NH); 7.40–7.52 (m, 3H, Ph); 7.78–7.82 (m, 2H, Ph)	9.8 CH_3; 11.8 CH_3; 25.7 CH_2; 26.5 CH_2; 27.3 CH_2; 28.5 CH_2; 28.6 CH_2; 28.9 CH_2; 29.3 (2 × CH_2); 29.5 (2 × CH_2); 32.3 CH_2; 41.1 $\underline{CH}CH_2$; 43.2 NCH_2; 66.9 NCH; 71.4 OCH_2; 126.9 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.4 CH_{Ph}; 140.0 C_{Ph}; 169.8 C=N
6t	−20.5 (0.40)	0.84–0.89 (t, J = 7.5, 3H, CH_3); 1.18–1.26 (m, 4H, 2 × CH_2); 1.32–1.52 (m, 2H, CH_2); 1.59–1.77 (m, 2H, CH_2); 2.46–2.56 (m, 1H, CH); 2.96–3.25 (2 × m, 2H, NCH_2); 3.37 (s, 3H, OCH_3); 3.48–3.58 (m, 2H, OCH_2); 4.01–4.13 (m, 1H, NCH); 5.21–5.24 (d, J = 7.0, 1H, OCH); 5.85–5.90 (t, J = 5.7, 1H, NH); 7.24–7.33 (m, 5H, Ph); 7.45–7.50 (m, 3H, Ph); 7.82–7.84 (m, 2H, Ph)	13.9 CH_3; 22.4 CH_2; 29.2 CH_2; 31.9 CH_2; 32.0 CH_2; 36.8 $\underline{CH}CH_2$; 40.9 NCH_2; 59.2 OCH_3; 73.5 NCH; 73.9 OCH_2; 83.2 OCH; 125.4 2 × CH_{Ph}; 126.9 2 × CH_{Ph}; 128.4 CH_{Ph}; 128.8 2 × CH_{Ph}; 128.9 2 × CH_{Ph}; 132.4 CH_{Ph}; 140.2 C_{Ph}; 140.9 C_{Ph}; 170.7 C=N
6u[j]	+35.0 (0.46)	0.81–0.90 (m, 6H, 2 × CH_3); 1.18–1.22 (m, 6H, 3 × CH_2); 1.31–1.59 (2 × m, 2H, CH_2); 1.63–1.69 (m, 2H, CH_2); 2.37–2.43 (m, 1H, CH); 2.87–2.99 (2 × m, 2H, NCH_2); 3.77–3.82 (m, 1H, OCH_2); 3.86–3.93 (m, 1H, NCH); 4.16–4.22 (t, J = 8.7, 1H, OCH_2);, 5.97 (s, 1H, NH); 7.46–7.53 (m, 3H, Ph); 7.81–7.84 (m, 2H, Ph)	9.9 CH_3; 13.9 CH_3; 22.4 C_2; 28.5 CH_2; 29.1 CH_2; 31.8 CH_2; 32.0 CH_2; 36.8 $\underline{CH}CH_2$; 41.1 NCH_2; 67.9 NCH; 71.8 OCH_2; 126.9 2 × CH_{Ph}; 128.9 2 × CH_{Ph}; 132.4 CH_{Ph}; 140.3 C_{Ph}; 169.8 C=N
6v	−20.7 (0.54)	0.87–0.92 (t, J = 7.6, 3H, CH_3); 1.20–1.31 (m, 4H, 2 × CH_2); 1.52–2.00 (m, 4H, 2 × CH_2); 2.49–2.57 (m, 1H, CH); 2.68 (s, 3H, NCH_3); 2.98–3.14 (m, 2H, NCH_2); 3.34 (s, 3H, OCH_3); 3.45–3.51 (m, 1H, OCH_2); 3.55–3.58 (m, 1H, OCH_2); 4.08–4.12 (m, 1H, NCH); 5.23–5.25 (d, J = 6.9, 1H, OCH); 7.23–7.33 (m, 5H, Ph); 7.45–7.53 (m, 3H, Ph); 7.72–7.76 (m, 2H, Ph)	14.1 (13.9) CH_3; 25.7 (25.9) CH_2; 23.1 CH_2; 32.6 CH_2; 33.7 CH_2; 34.7 NCH_3; 36.7 (36.9) $\underline{CH}CH_2$; 46.0 NCH_2; 59.1 (59.0) OCH_3; 74.1 NCH; 74.2 OCH_2; 82.8 OCH; 125.7 2 × CH_{Ph}; 127.3 2 × CH_{Ph}; 128.1 CH_{Ph}; 128.7 2 × CH_{Ph}; 128.9 2 × CH_{Ph}; 132.4 CH_{Ph}; 137.9 C_{Ph}; 140.9 C_{Ph}; 171.5 C=N

Table 4. (continued)

	$[\alpha]_D^{20}$ (c in g/100 mL $CHCl_3$)	^1H NMR ($CDCl_3$) δ (ppm), J (Hz)	^{13}C NMR ($CDCl_3$) δ (ppm)[a]
6w[k]	+28.3 (0.35)	0.70–0.93 (m, 6H, 2 × CH_3); 1.16–1.33 (m, 6H, 3 × CH_2); 1.35–1.63 (m, 8H, 4 × CH_2); 2.33–2.41 (m, 1H, CH); 2.65 (s, 3H, NCH_3); 2.97–3.00 (t, J = 6.5, 2H, NCH_2); 3.79–3.85 (t, J = 7.7, 1H, OCH_2); 3.97–4.02 (m, 1H, NCH); 4.18–4.24 (dd, J = 7.2, 9.7, 1H, OCH_2); 7.44–7.53 (m, 3H, Ph); 7.70–7.74 (m, 2H, Ph)	9.7 CH_3; 13.9 CH_3; 22.5 CH_2; 24.2 CH_2; 27.4 CH_2; 28.4 CH_2; 29.4 CH_2; 32.2 CH_2; 32.3 CH_2; 34.5 NCH_3; 39.2 $\underline{CH}CH_2$; 49.9 NCH_2; 66.6 NCH; 71.5 OCH_2; 127.3 2 × CH_{Ph}; 128.9 2 × CH_{Ph}; 132.4 CH_{Ph}; 137.4 C_{Ph}; 170.2 C=N
6x[l]	+28.0 (0.46)	0.77–0.95 (m, 6H, 2 × CH_3); 0.99–1.25 (m, 16H, 8 × CH_2); 1.34–1.63 (m, 10H, 5 × CH_2); 2.30–2.39 (m, 1H, CH); 2.85–3.06 (2 × m, 2H, NCH_2); 3.79–3.84 (t, J = 6.4, 1H, OCH_2); 3.96–4.06 (m, 1H, NCH); 4.16–4.23 (t, J = 8.2, 1H, OCH_2); 7.41–7.53 (m, 3H, Ph); 7.72–7.81 (m, 2H, Ph)	9.7 CH_3; 13.9 CH_3; 22.6 CH_2; 26.4 CH_2; 27.3 CH_2; 28.3 CH_2; 28.9 CH_2; 29.3 (3 × CH_2); 29.5 (3 × CH_2); 32.3 CH_2; 32.7 CH_2; 39.3 $\underline{CH}CH_2$; 43.2 NCH_2; 66.1 NCH; 71.6 OCH_2; 126.9 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 139.9 C_{Ph}; 171.0 C=N
6y[m]	−66.8 (1.8)	0.55–0.58 (d, J = 7.0, 3H, CH_3); 0.82–0.88 (2 × d, J = 6.7, 6H, 2 × CH_3); 1.75–1.93 (2 × m, 3H, CH, CH_2); 2.20–2.27 (m, 1H, CH); 2.95–3.14 (m, 2H, NCH_2); 4.29–4.35 (m, 1H, NCH); 5.45–5.50 (d, J = 9.8, 1H, OCH); 5.86 (s, 1H, NH); 7.22–7.51 (m, 8H, Ph); 7.82–7.86 (m, 2H, Ph)	18.0 CH_3; 19.8 CH_3; 20.44 CH_3; 28.9 CH_2; 30.2 $\underline{CH}CH_3$; 41.7 NCH_2; 43.6 $\underline{CH}CH_2$; 64.3 NCH; 83.8 OCH; 125.9 2 × CH_{Ph}; 126.9 2 × CH_{Ph}; 127.8 CH_{Ph}; 128.3 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.4 CH_{Ph}; 136.5 C_{Ph}; 140.3 C_{Ph}; 168.4 C=N
6z	+14.0 (0.3)	0.76–0.91 (m, 9H, 3 × CH_3); 1.18–1.31 (m, 3H, CH, CH_2); 1.69–1.81 (m, 2H, CH_2); 2.18–2.23 (m, 1H, CH); 2.89–3.06 (m, 2H, NCH_2); 3.70–3.79 (dd, J = 3.5, 7.3, 1H, OCH_2); 3.85–3.93 (m, 1H, NCH); 4.10–4.20 (dd, J = 7.3, 9.5, 1H, OCH_2); 7.41–7.50 (m, 3H, Ph); 7.76–7.83 (m, 2H, Ph)	9.8 CH_3; 19.9 (2 × CH_3); 25.5 CH_2; 28.2 CH_2; 30.2 $\underline{CH}CH_3$; 39.9 NCH_2; 41.5 $\underline{CH}CH_2$; 66.6 NCH; 71.7 OCH_2; 126.9 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 139.9 C_{Ph}; 168.9 C=N
6aa	+41.5 (1.1)	0.80–0.99 (m, 9H, 3 × CH_3); 1.38–1.47 (m, 1H, CH); 1.50–1.61 (m, 1H, CH_2); 1.68–1.83 (m, 3H, CH, CH_2); 2.13–2.19 (m, 1H, CH); 2.66 (s, 3H, NCH_3); 3.00–3.11 (m, 2H, NCH_2); 3.76–3.82 (t, J = 7.3, 1H, OCH_2); 3.88–3.98 (m, 1H, NCH); 4.14–4.20 (dd, J = 1.3, 6.8, 1H, OCH_2); 7.24–7.51 (m, 3H, Ph); 7.68–7.77 (m, 2H, Ph)	10.0 CH_3; 20.1 2 × CH_3; 27.5 CH_2; 28.6 CH_2; 30.5 $\underline{CH}CH_3$; 34.9 NCH_3; 43.0 $\underline{CH}CH_2$; 48.8 NCH_2; 67.1 NCH; 71.5 OCH_2; 127.3 2 × CH_{Ph}; 128.9 2 × CH_{Ph}; 132.4 CH_{Ph}; 137.5 C_{Ph}; 168.2 C=N
6ab	−28.2 (1.9)	0.93–0.98 (m, 6H, 2 × CH_3); 1.85–2.00 (m, 3H, CH, CH_2); 2.26–2.34 (m, 1H, CH); 2.68 (s, 3H, NCH_3); 2.86–2.92 (m, 2H, NCH_2); 3.33 (s, 3H, OCH_3); 3.47–3.51 (m, 1H, OCH_2); 3.56–3.61 (dd, J = 4.5, 9.4, 1H, OCH_2); 4.10–4.13 (m, 1H, NCH); 5.22–5.25 (d, J = 7.0, 1H, OCH); 7.23–7.33 (m, 5H, Ph); 7.47–7.53 (m, 3H, Ph); 7.72–7.74 (m, 2H, Ph)	19.9 CH_3; 20.4 CH_3; 27.5 CH_2; 30.4 $\underline{CH}CH_3$; 35.0 NCH_3; 43.2 $\underline{CH}CH_2$; 48.8 NCH_2; 59.1 OCH_3; 73.9 OCH_2; 74.4 NCH; 83.1 OCH; 125.8 2 × CH_{Ph}; 127.4 2 × CH_{Ph}; 128.1 CH_{Ph}; 128.8 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 137.6 C_{Ph}; 140.7 C_{Ph}; 168.9 C=N
6ac	+35.7 (1.0)	0.83–0.97 (m, 9H, 3 × CH_3); 1.26–1.37 (m, 1H, CH); 1.45–1.56 (m, 1H, CH_2); 1.58–1.65 (m, 2H, CH_2); 1.69–1.79 (m, 5H, CH, 2 × CH_2); 2.07–2.15 (m, 1H, CH); 2.69 (s, 3H, NCH_3); 2.98–3.05 (m, 2H, NCH_2); 3.78–3.85 (t, J = 7.3, 1H, OCH_2); 3.90–4.01 (m, 1H, NCH); 4.16–4.21 (dd, J = 1.2, 6.8, 1H, OCH_2); 7.25–7.53 (m, 3H, Ph); 7.68–7.77 (m, 2H, Ph)	9.8 CH_3; 20.5 2 × CH_3; 27.3 CH_2; 28.6 CH_2; 29.3 CH_2; 30.5 $\underline{CH}CH_3$; 32.7 CH_2; 35.2 NCH_3; 43.3 $\underline{CH}CH_2$; 49.5 NCH_2; 66.5 NCH; 71.5 OCH_2; 127.3 2 × CH_{Ph}; 128.9 2 × CH_{Ph}; 133.9 CH_{Ph}; 137.8 C_{Ph}; 169.3 C=N
6ad	−37.6 (0.46)	0.94–0.98 (2 × d, J = 6.7, 6H, 2 × CH_3); 1.22–1.60 (m, 6H, 3 × CH_2); 1.84–1.91 (sext, 1H, CH); 2.16–2.24 (m, 1H, CH); 2.68 (s, 3H, NCH_3); 2.94–2.99 (t, J = 6.1, 2H, NCH_2); 3.38 (s, 3H, OCH_3); 3.44–3.49 (dd, J = 6.4, 9.4, 1H, OCH_2); 3.58–3.63 (dd, J = 4.4, 9.6, 1H, OCH_2); 4.09–4.14 (m, 1H, NCH); 5.23–5.26 (d, J = 7.0, 1H, OCH); 7.24–7.37 (m, 5H, Ph); 7.46–7.57 (m, 3H, Ph); 7.73–7.76 (m, 2H, Ph)	20.4 CH_3; 20.6 CH_3; 24.7 CH_3; 27.5 CH_2; 29.3 CH_2; 30.5 $\underline{CH}CH_3$; 34.6 NCH_3; 46.5 $\underline{CH}CH_2$; 49.9 NCH_2; 59.2 OCH_3; 74.0 NCH; 74.5 OCH_2; 83.0 OCH; 125.7 2 × CH_{Ph}; 127.3 2 × CH_{Ph}; 128.1 CH_{Ph}; 128.7 2 × CH_{Ph}; 129.9 2 × CH_{Ph}; 132.4 CH_{Ph}; 137.5 C_{Ph}; 140.9 C_{Ph}; 169.7 C=N
6ae[n]	−37.9 (0.64)	0.86–0.97 (m, 4H, 2 × CH_2); 1.17–1.22 (m, 13H, 3 × CH_3, 2 × CH_2); 1.39–1.51 (m, 7H, CH, 3 × CH_2); 1.53–1.76 (m, 6H, 3 × CH_2); 2.12–2.29 (m, 1H, CH); 2.85–2.95 (m, 2H, NCH_2); 3.98–4.03 (t, J = 8.2, 1H, OCH_2); 4.18–4.29 (m, 1H, NCH); 4.39–4.45 (dd, J = 8.3, 9.8, 1H, OCH_2); 7.39–7.58 (m, 3H, Ph); 7.82–7.86 (m, 2H, Ph)	9.9 CH_3; 20.1 CH_3; 20.2 CH_3; 23.4 CH_2; 26.4 (2 × CH_2); 28.1 CH_2; 28.9 (2 × CH_2); 29.2 (2 × CH_2); 29.3 (2 × CH_2); 29.5 $\underline{CH}CH_3$; 43.2 NCH_2; 48.5 $\underline{CH}CH_2$; 68.3 NCH; 72.6 OCH_2; 127.0 2 × CH_{Ph}; 129.1 2 × CH_{Ph}; 132.6 CH_{Ph}; 139.6 C_{Ph}; 166.9 C=N
6af	−20.6 (1.5)	1.77–1.99 (2 × m, 2H, CH_2); 2.16–2.51 (m, 3H, CH, CH_2); 2.69 (s, 3H, NCH_3); 2.97–3.15 (2 × m, 2H, NCH_2); 3.34 (s, 3H, OCH_3); 3.43–3.48 (dd, J = 6.1, 9.2, 1H, OCH_2); 3.55–3.60 (dd, J = 4.6, 9.6, 1H, OCH_2); 4.08–4.12 (m, 1H, NCH); 5.03–5.11 (m, 2H, CH_2=); 5.22–5.24 (d, J = 6.7, 1H, OCH); 5.51–5.79 (m, 1H, CH=); 7.24–7.32 (m, 5H, Ph); 7.48–7.54 (m, 3H, Ph); 7.72–7.76 (m, 2H, Ph)	29.8 CH_2; 34.9 NCH_3; 36.1 $\underline{CH}CH_2$; 36.7 CH_2; 48.1 NCH_2; 59.2 OCH_3; 74.1 NCH; 74.5 OCH_2; 83.3 OCH; 117.4 CH_2=; 125.7 2 × CH_{Ph}; 127.4 2 × CH_{Ph}; 128.2 CH_{Ph}; 128.7 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 134.9 CH=; 137.5 C_{Ph}; 140.7 C_{Ph}; 169.2 C=N
6ag[o]	−23.2 (1.2)	1.73–2.06 (m, 2H, CH_2); 2.14–2.47 (2 × m, 2H, CH_2); 2.52–2.68 (m, 1H, CH); 3.19–3.24 (2 × m, 2H, NCH_2); 3.35 (s, 3H, OCH_3); 3.43–3.48 (m, 1H, OCH_2); 3.55–3.60 (dd, J = 4.8, 9.6, 1H, OCH_2); 3.76–3.79 (t, J = 6.1, 2H, NCH_2); 4.06–4.10 (m, 1H, NCH); 5.02–5.13 (m, 4H, 2 × CH_2=); 5.20–5.23 (d, J = 7.1, 1H, OCH); 5.51–5.78 (2 × m, 2H, 2 × CH=); 7.24–7.36 (m, 5H, Ph); 7.45–7.53 (m, 3H, Ph); 7.75–7.78 (m, 2H, Ph)	30.4 CH_2; 36.4 $\underline{CH}CH_2$; 36.7 CH_2; 45.4 NCH_2; 50.8 NCH_2; 59.2 OCH_3; 73.9 NCH; 74.5 OCH_2; 83.4 OCH; 117.4 CH_2=; 118.9 CH_2=; 125.7 2 × CH_{Ph}; 127.1 2 × CH_{Ph}; 128.2 CH_{Ph}; 128.8 2 × CH_{Ph}; 129.1 2 × CH_{Ph}; 132.4 CH_{Ph}; 132.9 CH=; 134.9 CH=; 139.7 C_{Ph}; 140.5 C_{Ph}; 169.4 C=N

Table 4. (continued)

	$[\alpha]_D^{20}$ (c in g/100 mL $CHCl_3$)	^1H NMR ($CDCl_3$) δ (ppm), J (Hz)	^{13}C NMR ($CDCl_3$) δ (ppm)[a]
6ah	+13.3 (0.15)	0.87–0.89 (t, J = 5.9, 3H, CH_3); 1.22–1.56 (2 × m, 2H, CH_2); 1.72–1.80 (m, 2H, CH_2); 2.15–2.27 (2 × m, 2H, CH_2); 2.27–2.29 (m, 1H, CH); 2.95–3.15 (m, 22H, NCH_2); 3.75–3.80 (m, 3H, NCH_2, OCH_2); 3.92–3.98 (m, 1H, NCH); 4.10–4.23 (m, 1H, OCH_2; 4.98–5.13 (m, 4H, 2 × CH_2=); 5.60–5.65 (m, 2H, 2 × CH=); 7.22–7.47 (m, 3H, Ph); 7.55–7.76 (m, 2H, Ph)	9.9 CH_3; 28.6 CH_2; 30.3 CH_2; 36.3 $\underline{CH}CH_2$; 36.8 CH_2; 45.2 NCH_2; 50.7 NCH_2; 64.1 $\overline{N}CH$; 71.7 OCH_2; 117.0 CH_2=; 118.9 CH_2=; 127.1 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.4 CH_{Ph}; 132.9 CH=; 135.1 CH=; 139.9 C_{Ph}; 168.4 C=N
6ai[p]	+15.6 (0.15)	0.73–0.95 (m, 5H, CH_2, CH_3); 1.18–1.32 (m, 2H, CH_2); 1.36–1.52 (m, 4H, 2 × CH_2); 2.14–2.25 (m, 2H, CH_2); 2.39–2.49 (m, 1H, CH); 2.63 (s, 3H, NCH_3); 2.87–2.93 (m, 2H, NCH_2); 3.78–3.84 (t, J = 8.1, 1H, OCH_2); 3.95–4.03 (m, 1H, NCH); 4.17–4.23 (dd, J = 8.1, 9.2, 1H, OCH_2); 4.91–4.96 (m, 2H, CH_2=); 5.62–5.70 (m, 1H, CH=); 7.42–7.53 (m, 3H, Ph); 7.67–7.71 (m, 2H, Ph)	9.3 CH_3; 24.1 CH_2; 27.4 CH_2; 28.4 CH_2; 31.5 CH_2; 34.5 NCH_3; 36.9 CH_2; 38.9 $\underline{CH}CH_2$; 49.9 NCH_2; 66.6 NCH; 71.7 OCH_2; 116.7 $\overline{CH}_2$=; 127.2 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 135.5 CH=; 137.4 C_{Ph}; 169.8 C=N
6aj	−17.5 (0.4)	1.22–1.99 (m, 6H, 3 × CH_2); 2.08–2.23 (m, 2H, CH_2); 2.35–2.43 (m, 1H, CH); 3.05–3.12 (m, 2H, NCH_2); 3.37 (s, 3H, OCH_3); 3.44–3.49 (m, 1H, OCH_2); 3.56–3.61 (m, 1H, OCH_2); 4.08–4.14 (m, 1H, NCH); 4.96–5.16 (m, 2H, CH_2=); 5.22–5.25 (d, J = 7.1, 1H, OCH); 5.72–5.78 (m, 2H, CH=); 7.24–7.36 (m, 5H, Ph); 7.44–7.69 (m, 3H, Ph); 7.74–7.85 (m, 2H, Ph)	24.3 CH_2; 28.0 CH_2; 31.6 CH_2; 36.8 CH_2; 39.1 $\underline{CH}CH_2$; 47.1 NCH_2; 59.3 OCH_3; 74.1 NCH; 74.6 $\overline{OCH}_2$; 83.1 OCH; 118.8 CH_2=; 125.6 2 × CH_{Ph}; 127.0 2 × CH_{Ph}; 128.2 CH_{Ph}; 128.8 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.4 CH_{Ph}; 133.1 CH=; 138.1 C_{Ph}; 140.9 C_{Ph}; 169.5 C=N
6ak[q]	+10.7 (0.3)	0.81–0.86 (t, J = 7.4, 3H, CH_3); 1.11–1.37 (m, 14H, 7 × CH_2); 1.40–1.58 (m, 6H, 3 × CH_2); 2.16–2.25 (m, 2H, CH_2); 2.34–2.41 (m, 1H, CH); 2.80–2.96 (m, 2H, NCH_2); 3.75–3.79 (m, 1H, OCH_2); 3.91–3.98 (m, 1H, NCH); 4.12–4.19 (dd, J = 6.2, 7.5, 1H, OCH_2); 4.85–4.99 (m, 2H, CH_2=); 5.64–5.70 (m, 1H, CH=); 7.40–7.51 (m, 3H, Ph); 7.78–7.81 (m, 2H, Ph)	9.8 CH_3; 26.5 CH_2; 27.2 CH_2; 28.5 CH_2; 28.9 CH_2; 29.3 (3 × CH_2); 29.4 CH_2; 29.5 CH_2; 32.0 CH_2; 36.9 CH_2; 39.1 $\underline{CH}CH_2$; 43.2 NCH_2; 66.9 NCH; 71.4 OCH_2; 116.4 $\overline{CH}_2$=; 126.9 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 135.9 CH=; 140.0 C_{Ph}; 169.3 C=N
6al	−70.6 (1.6)	0.66–0.68 (d, J = 6.9, 3H, CH_3); 1.14–1.26 (m, 6H, 2 × CH_3); 1.61–1.70 (m, 1H, CH_2); 1.92–2.02 (m, 1H, CH_2); 2.23–2.27 (m, 1H, CH); 2.58–2.68 (t, J = 7.2, 2H, CH_2Ph); 2.66 (s, 3H, NCH_3); 3.02–3.07 (t, J = 7.1, 2H, NCH_2); 4.30–4.38 (m, 1H, NCH); 5.47–5.50 (d, J = 9.8, 1H, OCH); 7.10–7.17 (q, 2H, Ph); 7.18–7.34 (m, 5H, Ph); 7.54–7.76 (m, 2H, Ph)	15.1 CH_3; 17.7 CH_3; 17.9 CH_3; 28.7 CH_2; 30.8 $\underline{CH}CH_2$; 31.7 CH_2; 34.9 NCH_3; 48.1 NCH_2; 64.7 $\overline{NCH}$; 83.7 OCH; 126.1 2 × CH_{Ph}; 127.4 CH_{Ph}; 127.5 2 × CH_{Ph}; 128.2 2 × CH_{Ph}; 128.5 2 × CH_{Ph}; 134.4 C_{Ph}; 136.9 C_{Ph}; 149.4 C_{Ph}; 169.4 C=N
6am	−25.4 (1.0)	1.19–1.31 (m, 6H, 2 × CH_3); 1.70–1.78 (m, 1H, CH_2); 1.98–2.06 (m, 1H, CH_2); 2.37–2.40 (m, 1H, CH); 2.64–2.74 (m, 5H, CH_2Ph, NCH_3); 3.07–3.12 (t, J = 6.8, 2H, NCH_2); 3.36 (s, 3H, OCH_3); 3.48–3.51 (dd, J = 2.5, 6.2, 1H, OCH_2); 3.58–3.63 (dd, J = 4.5, 9.4, 1H, OCH_2); 4.05–4.12 (m, 1H, NCH); 5.25–5.27 (d, J = 6.8, 1H, OCH); 7.23–7.34 (m, 8H, Ph); 7.63–7.74 (m, 2H, Ph)	15.1 CH_3; 17.8 CH_3; 28.8 CH_2; 30.8 $\underline{CH}CH_2$; 31.9 CH_2; 34.9 NCH_3; 47.9 NCH_2; 59.2 $\overline{OCH}_3$; 74.1 NCH; 74.4 OCH_2; 83.2 OCH; 125.5 2 × CH_{Ph}; 127.4 CH_{Ph}; 127.5 2 × CH_{Ph}; 128.5 2 × CH_{Ph}; 128.8 2 × CH_{Ph}; 134.5 C_{Ph}; 140.9 C_{Ph}; 149.4 C_{Ph}; 170.9 C=N
6an		0.70–0.72, 1.43–1.45 (d, J = 6.9, 3H, CH_3); 1.27–1.29 (d, J = 7.0, 3H, CH_3); 1.72–1.78 (m, 1H, CH_2); 2.00–2.18 (m, 1H, CH_2); 2.53–2.61 (m, 1H, CH); 2.92 (s, 3H, NCH_3); 3.38–3.40 (t, J = 7.5, 2H, NCH_2); 4.33–4.39 (m, 1H, NCH); 5.10 (s, 2H, OCH_2); 5.49–5.52 (d, J = 8.2, 1H, OCH); 7.13–7.30 (m, 10H, 2 × Ph)	17.8 CH_3; 17.9 CH_3; 31.6 CH_2; 32.1 CH_2; 33.9 $\underline{CH}CH_2$; 35.7 NCH_3; 47.1 NCH_2; 64.8 NCH; 67.1 $\overline{OCH}_2$; 67.1 OCH_2; 83.7 OCH; 126.0 2 × CH_{Ph}; 127.8 2 × CH_{Ph}; 127.9 CH_{Ph}; 128.0 CH_{Ph}; 128.2 2 × CH_{Ph}; 128.4 2 × CH_{Ph}; 126.9 C_{Ph}; 137.1 C_{Ph}; 156.2 C=O; 169.7 C=N
14[r]		1.38–1.58 (m, 2H, CH_2); 2.04–2.11 (m, 2H, CH_2); 3.36 (s, 3H, NCH_3); 3.43 (s, 3H, OCH_3); 3.60–3.64 (t, J = 7.0, 2H, NCH_2); 3.74–3.79 (t, J = 7.0, 2H, OCH_2); 4.00–4.04 (m, 1H, NCH); 5.20–5.25 (d, J = 6.8, 1H, OCH); 7.24–7.49 (m, 5H, Ph); 7.64–7.66 (dd, J = 3.1, 5.4, 2H, Ph); 7.76–7.78 (dd, J = 3.0, 5.4, 2H, Ph)	25.0 CH_2; 25.7 CH_2; 37.3 NCH_2; 51.1 NCH_3; 59.2 OCH_3; 77.2 OCH_2; 77.3 NCH; 83.5 OCH; 123.2 2 × CH_{Ph}; 125.5 2 × CH_{Ph}; 128.1 CH_{Ph}; 128.7 2 × CH_{Ph}; 132.0 2 × C_{Ph}; 133.9 2 × CH_{Ph}; 141.4 C_{Ph}; 168.2 C=N; 168.9 (2 × C=O)
16a[s]	+125.0 (0.2)	0.87 (0.89) (s, 3H, CH_3); 2.64–2.82 (2 × m, 2H, CH_2); 3.02 (s, 3H, NCH_3); 3.07–3.19 (m, 2H, NCH_2); 3.38 (s, 3H, OCH_3); 3.52–3.65 (m, 2H, OCH_2); 4.14–4.18 (m, 1H, NCH); 5.37–5.39 (d, J = 6.5, 1H, OCH); 7.33–7.44 (m, 5H, Ph); 7.49–7.53 (m, 2H, Ph); 7.66–7.73 (m, 2H, Ph)	31.4 CH_2; 41.5 NCH_2; 45.1 CH_3; 50.2 NCH_3; 59.1 OCH_3; 73.4 NCH; 73.9 OCH_2; 83.8 OCH; 102.3 C; 123.5 2 × CH_{Ph}; 125.5 CH_{Ph}; 125.1 CH_{Ph}; 125.9 2 × CH_{Ph}; 128.3 CH_{Ph}; 128.8 2 × CH_{Ph}; 130.1 2 × CH_{Ph}; 132.5 2 × C_{Ph}; 140.5 C_{Ph}; 141.9 C_{Ph}; 166.1 2 × C=O
16b[t]		0.84–0.88 (t, J = 7.4, 3H, CH_3); 0.93–0.98 (t, J = 7.5, 3H, CH_3); 1.68–1.78 (m, 2H, CH_2); 2.03–2.26 (m, 2H, CH_2); 3.37 (s, 3H, OCH_3); 3.40–3.50 (m, 2H, NCH_2); 3.58–3.61 (m, 2H, NCH_2); 3.63–3.65 (m, 2H, OCH_2); 4.05–4.15 (m, 1H, NCH); 5.25–5.29 (d, J = 9.2, 1H, OCH); 7.25–7.50 (m, 5H, Ph); 7.65–7.69 (m, 2H, Ph); 7.72–7.82 (m, 2H, Ph)	8.2 CH_3; 11.5 CH_3; 25.3 CH_2; 26.8 CH_2; 36.1 NCH_2; 38.5 NCH_2; 59.2 OCH_3; 73.2 NCH; 73.3 OCH_2; 83.5 OCH; 91.5 C; 123.2 2 × CH_{Ph}; 125.7 2 × CH_{Ph}; 128.1 CH_{Ph}; 128.8 2 × CH_{Ph}; 132.2 2 × C_{Ph}; 133.9 2 × CH_{Ph}; 141.1 C_{Ph}; 142.4 C_{Ph}; 168.5 2 × C=O

[a] Major diastereomer.
[b] EI-MS (**6c**) *m/z* (%): 389 ($M^+ + 1$, 0.5); 77 (74); 51 (27).
[c] EI-MS (**6d**) *m/z* (%): 325 ($M^+ + 1$, 1.5); 183 (96); 141 (41); 84 (82); 77 (100).
[d] EI-MS (**6f**) *m/z* (%): 417 ($M^+ + 1$, 11); 112 (79); 77 (81); 45 (100).
[e] EI-MS (**6h**) *m/z* (%): 353 ($M^+ + 1$, 2); 155 (66); 141 (49); 77 (100).
[f] EI-MS (**6j**) *m/z* (%): 437 ($M^+ + 1$, 2); 436 (M^+, 0.5); 295 (37); 141 (62); 127 (100); 77 (75).
[g] EI-MS (**6l**) *m/z* (%): 417 ($M^+ + 1$, 4); 77 (100); 45 (66).
[h] EI-MS (**6p**) *m/z* (%): 428 (M^+, –); 141 (52); 77 (100); 57 (47); 44 (87).
[i] EI-MS (**6r**) *m/z* (%): 498 (M^+, 1); 198 (70); 141 (100); 77 (82).
[j] EI-MS (**6u**) *m/z* (%): 353 ($M^+ + 1$, 4); 126 (98); 77 (100); 55 (56).
[k] EI-MS (**6w**) *m/z* (%): 395 ($M^+ + 1$, 1.5); 141 (52); 77 (100).
[l] EI-MS (**6x**) *m/z* (%): 465 ($M^+ + 1$, 0.6); 126 (100); 77 (63).
[m] EI-MS (**6y**) *m/z* (%): 400 (M^+, 1.3); 153 (100); 77 (49).
[n] EI-MS (**6ae**) *m/z* (%): 450 (M^+, 0.1); 141 (100); 77 (90); 55 (44); 41 (61).
[o] EI-MS (**6ag**) *m/z* (%): 469 ($M^+ + 1$, 15); 164 (68); 77 (100); 45 (88).
[p] EI-MS (**6ai**) *m/z* (%): 379 ($M^+ + 1$, 1); 184 (70); 166 (33); 141 (93); 77 (100).
[q] EI-MS (**6ak**) *m/z* (%): 449 ($M^+ + 1$, 2); 153 (100); 141 (47); 77 (74).
[r] EI-MS (**14**) *m/z* (%): 393 (M – I, 2); 160 (97); 45 (100); 41 (89); 15 (45).
[s] EI-MS (**16a**) *m/z* (%): 407 (M^+, 0.3); 176 (45); 160 (73); 45 (100).
[t] EI-MS (**16b**) *m/z* (%): 435 ($M^+ + 1$, 38); 261 (41); 160 (100); 45 (46).

Table 5. *N*-β-Hydroxyethylamides **7**, Optically Active ω-Amino Acids **8** and **9** and Lactams **11** (e.e. > 95%)

	R^1	R^2	n	Yield (%)/ Method	$[\alpha]_D^{20}$ (*c* in g/ 100 mL $CHCl_3$)	Starting material **6**[a]	^1H NMR ($CDCl_3$) δ (ppm), *J* (Hz)	^{13}C NMR ($CDCl_3$) δ (ppm)
7a[b]	*i*-Pr	$PhSO_2$	2	70/G		(*S*)-**6ab**	0.71–0.75 (m, 6H, 2 × CH_3); 1.55–1.57 (m, 2H, CH_2); 1.61–1.69 (m, 1H, CH); 2.22–2.26 (m, 1H, CH); 2.64 (s, 3H, NCH_3); 2.64–2.95 (2 × m, 2H, NCH_2); 3.33 (s, 3H, OCH_3); 3.44–3.48 (dd, *J* = 3.9, 6.9, 1H, OCH_2); 3.59–3.64 (dd, *J* = 4.3, 6.8, 1H, OCH_2); 4.19–4.26 (m, 1H, NCH); 4.98–5.00 (d, *J* = 4.7, 1H, OCH); 6.47–6.49 (d, *J* = 8.0, 1H, NH); 7.21–7.35 (m, 5H, Ph); 7.43–7.58(m, 3H, Ph); 7.68–7.73 (m, 2H, Ph)	19.6 CH_3; 20.4 CH_3; 26.9 CH_2; 30.5 $\underline{CH}CH_3$; 34.5 NCH_3; 48.6 NCH_2; 49.3 $\underline{CH}CH_2$; 51.1 OCH_3; 59.0 $\underline{NCH}$; 72.9 OCH_2; 74.5 OCH; 127.3 2 × CH_{Ph}; 127.4 2 × CH_{Ph}; 128.2 CH_{Ph}; 128.7 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.6 CH_{Ph}; 137.2 C_{Ph}; 140.5 C_{Ph}; 175.5 C=O
7b[b]	Me	$PhSO_2$	4	66/G		(*S*)-**6i**	1.15–1.18 (d, *J* = 6.8, 3H, CH_3); 1.32–1.39 (m, 2H, CH_2); 1.46–1.53 (m, 2H, CH_2); 1.57–1.65 (m, 2H, CH_2); 2.45–2.51 (m, 1H, CH); 2.66 (s, 3H, NCH_3); 2.89–3.03 (m, 2H, NCH_2); 3.37 (s, 3H, OCH_3); 3.43–3.48 (dd, *J* = 4.5, 6.6, 1H, OCH_2); 3.58–3.65 (dd, *J* = 4.4, 7.0, 1H, OCH_2); 4.15–4.23 (m, 1H, NCH); 5.02–5.05 (d, *J* = 4.7, 1H, OCH); 7.23–7.38 (m, 5H, Ph); 7.53–7.65 (m, 3H, Ph); 7.69–7.72 (m, 2H, Ph)	15.9 CH_3; 21.4 CH_2; 24.3 CH_2; 25.8 CH_2; 34.2 $\underline{CH}CH_2$; 34.5 NCH_3; 49.7 $\underline{NCH}_2$; 51.5 OCH_3; 61.6 NCH; 73.4 OCH_2; 74.3 OCH; 126.4 2 × CH_{Ph}; 126.9 2 × CH_{Ph}; 128.0 CH_{Ph}; 128.6 2 × CH_{Ph}; 129.4 2 × CH_{Ph}; 132.5 CH_{Ph}; 136.5 C_{Ph}; 143.2 C_{Ph}; 173.4 C=O
(*R*)-**8a**	Me	H	0	50/G	+5.8 (1.0)[c]	(*R*)-**6a**		
(*S*)-**8b**	Me	H	0	70/G	−10.6 (0.5)[d]	(*S*)-**6b**		
(*R*)-**8c**	Me	H	1	80/G	−8.7 (1.0)[e]	(*S*)-**6c**	1.18–1.20 (d, *J* = 7.1, 3H, CH_3); 2.69 (s, 3H, NCH_3); 2.73–2.78 (q, 1H, CH); 3.09–3.11 (d, *J* = 7.5, 2H, NCH_2); 7.43–7.53 (m, 3H, Ph); 7.70–7.73 (m, 2H, Ph)	13.8 CH_3; 35.0 CH; 37.9 NCH_3; 51.8 NCH_2; 126.4 2 × CH_{Ph}; 128.1 2 × CH_{Ph}; 131.8 CH_{Ph}; 136.2 C_{Ph}; 179.1 C=O
(*S*)-**8d**	Me	Me	2	70/G	+13.3 (0.1)	(*R*)-**6d**	1.20–1.23 (d, *J* = 7.1, 3H, CH_3); 1.54–1.65 (m, 2H, CH_2); 2.55–2.62 (q, *J* = 6.9, 1H, CH); 2.70 (s, 3H, NCH_3); 3.00–3.11 (m, 2H, NCH_2); 7.23–7.56 (m, 3H, Ph); 7.74–7.76 (m, 2H, Ph)	16.9 CH_3; 30.9 CH_2; 34.9 NCH_3; 36.4 CH; 47.9 NCH_2; 127.4 2 × CH_{Ph}; 129.1 2 × CH_{Ph}; 132.6 CH_{Ph}; 137.3 C_{Ph}; 181.8 C=O
(*R*)-**8d**	Me	Me	2	57/G	−13.3 (0.15)[f]	(*S*)-**6e**		

Table 5. (continued)

	R^1	R^2	n	Yield (%)/ Method	$[\alpha]_D^{20}$ (*c* in g/ 100 mL $CHCl_3$)	Starting material **6**[a]	^1H NMR ($CDCl_3$) δ (ppm), *J* (Hz)	^{13}C NMR ($CDCl_3$) δ (ppm)
(*R*)-**8d**	Me	Me	2	89/G	−7.8 (1.2)[g]	(*S*)-**6f**		
(*S*)-**8e**	Me	Me	4	69/H	+9.0 (0.7)[h]	(*R*)-**6h**	1.10–1.13 (d, *J* = 6.9, 3H, CH_3); 1.29–1.37 (m, 2H, CH_2); 1.39–1.49 (m, 3H, 2 × CH_2); 1.57–1.68 (m, 1H, CH_2); 2.32–2.41 (q, *J* = 6.6, 1H, CH); 2.64 (s, 3H, NCH_3); 2.90–2.95 (t, *J* = 7.5, 2H, NCH_2); 7.43–7.49 (m, 3H, Ph); 7.69–7.72 (m, 2H, Ph)	16.9 CH_3; 24.1 CH_2; 27.5 CH_2; 32.9 CH_2; 34.6 NCH_3; 39.2 CH; 49.8 NCH_2; 127.3 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 137.5 C_{Ph}; 182.7 C=O
(*R*)-**8e**	Me	Me	4	93/H	−8.5 (0.26)[i]	(*S*)-**6i**		
(*S*)-**8f**	Me	Me	10	40/H	+2.9 (0.1)	(*R*)-**6j**	0.83–0.94 (m, 4H, 2 × CH_2); 1.04–1.23 (m, 11H, 4 × CH_2; CH_3); 1.41–1.48 (m, 4H, 2 × CH_2); 1.62–1.67 (m, 2H, CH_2); 2.40–2.47 (q, *J* = 6.8, 1H, CH); 2.69 (s, 3H, NCH_3); 2.94–2.99 (t, *J* = 7.1, 2H, NCH_2); 7.47–7.54 (m, 3H, Ph); 7.74–7.77 (m, 2H, Ph)	16.8 CH_3; 26.5 CH_2; 27.1 CH_2; 27.6 CH_2; 29.2 CH_2; 29.4 (3 × CH_2); 29.7 CH_2; 33.5 CH_2; 34.5 NCH_3; 39.2 CH; 50.1 NCH_2; 127.3 2 × CH_{Ph}; 128.9 2 × CH_{Ph}; 132.4 CH_{Ph}; 137.8 C_{Ph}; 182.2 C=O
(*R*)-**8f**	Me	Me	10	93/H	−2.3 (1.0)	(*S*)-**6k**		
(*R*)-**8g**	Et	Me	2	95/H	−13.3 (0.12)[j]	(*S*)-**6n**	0.84–0.86 (m, 3H, CH_3); 1.11–1.23 (m, 2H, CH_2); 1.55–1.65 (m, 2H, CH_2); 2.45–2.51 (m, 1H, CH); 2.70 (s, 3H, NCH_3); 3.03–3.21 (m, 2H, NCH_2); 7.24–7.49 (m, 3H, Ph); 7.74–7.76 (m, 2H, Ph)	12.1 CH_3; 26.7 CH_2; 30.4 CH_2; 35.1 NCH_3; 37.2 CH; 48.9 NCH_2; 127.4 2 × CH_{Ph}; 129.1 2 × CH_{Ph}; 132.6 CH_{Ph}; 137.1 C_{Ph}; 182.5 C=O
(*S*)-**8h**	Et	Et	2	72/H	+8.4 (0.95)[k]	(*R*)-**6o**	0.89–0.92 (t, *J* = 7.4, 3H, CH_3); 1.04–1.09 (t, *J* = 7.3, 3H, CH_3); 1.10–1.22 (m, 2H, CH_2); 1.30–1.67 (2 × m, 2H, CH_2); 2.31–2.37 (m, 1H, CH); 3.08–3.23 (m, 4H, 2 × NCH_2); 7.46–7.54 (m, 3H, Ph); 7.75–7.78 (m, 2H, Ph)	11.3 CH_3; 12.5 CH_3; 24.2 CH_2; 30.4 CH_2; 37.2 CH; 43.5 NCH_2; 45.6 NCH_2; 127.0 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.4 CH_{Ph}; 137.9 C_{Ph}; 179.6 C=O
(*S*)-**8i**	Et	Me	4	51/H	+7.2 (0.85)	(*R*)-**6q**	1.15–1.28 (m, 5H, CH_2; CH_3); 1.31–1.61 (m, 4H, 2 × CH_2); 2.24–2.29 (t, *J* = 7.3, 2H, CH_2); 2.64 (s, 3H, NCH_3); 2.78–2.81 (m, 1H, CH); 2.90–2.95 (t, *J* = 6.9, 2H, NCH_2); 7.43–7.51 (m, 3H, Ph); 7.68–7.71 (m, 2H, Ph)	11.7 CH_3; 24.3 CH_2; 25.9 CH_2; 27.2 CH_2; 33.9 CH_2; 34.6 NCH_3; 46.7 CH; 49.9 NCH_2; 127.3 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 137.4 C_{Ph}; 178.3 C=O
(*S*)-**8i**	Et	Me	4	62/H	6.1 (1.8)	(*S*)-**6p**		
(*S*)-**8j**	Bu	Me	4	38/H	+7.4 (0.21)	(*R*)-**6w**	0.82–0.89 (m, 5H, CH_2, CH_3); 1.22–1.65 (m, 10H, 5 × CH_2); 2.28–2.34 (quint, 1H, CH); 2.68 (s, 3H, NCH_3); 2.94–2.99 (t, *J* = 7.3, 2H, NCH_2); 7.49–7.56 (m, 3H, Ph); 7.73–7.76 (m, 2H, Ph)	13.9 CH_3; 22.6 CH_2; 24.3 CH_2; 27.5 CH_2; 29.4 CH_2; 29.7 CH_2; 31.8 CH_2; 34.6 NCH_3; 45.2 CH; 49.8 NCH_2; 126.4 2 × CH_{Ph}; 129.0 2 × CH_{Ph}; 132.5 CH_{Ph}; 137.5 C_{Ph}; 181.6 C=O
(*R*)-**8k**	2-HOPr[l]	Me	2	95/H	+1.5 (1.5)	(*S*)-**6af**	1.18–1.33 (m, 5H, CH_2, CH_3); 2.30–2.48 (m, 2H, CH_2); 2.49–2.55 (m, 1H, CH); 2.65 (s, 3H, NCH_3); 2.83–2.89 (m, 2H, NCH_2); 4.39–4.46 (m, 1H, OCH); 7.44–7.52 (m, 3H, Ph); 7.71–7.78 (m, 2H, Ph)	20.9 (21.3) CH_3; 25.9 (25.8) CH_2; 34.6 NCH_3; 35.0 CH_2; 39.8 $\underline{CH}CH_2$; 49.8 (49.5) NCH_2; 75.3 (75.1) OCH; 127.3 2 × CH_{Ph}; 129.3 2 × CH_{Ph}; 132.5 CH_{Ph}; 138.0 C_{Ph}; 179.5 C=O
(*S*)-**8l**	2-HOPr[l]	Me	4	61/H	−1.5 (1.7)	(*R*)-**6ai**	1.18–1.52 (m, 7H, 2 × CH_2, CH_3); 1.81–2.09 (m, 2H, CH_2); 2.30–2.48 (m, 2H, CH_2); 2.51–2.59 (m, 1H, CH); 2.64 (s, 3H, NCH_3); 2.86–2.98 (m, 2H, NCH_2); 4.37–4.45 (m, 1H, OCH); 7.43–7.51 (m, 3H, Ph); 7.69–7.72 (m, 2H, Ph)	20.9 (21.2) CH_3; 24.2 (24.1) CH_2; 27.2 (27.3) CH_2; 29.8 (27.7) CH_2; 34.6 NCH_3; 34.9 CH_2; 39.2 $\underline{CH}CH_2$; 49.7 (49.6) NCH_2; 75.2 (75.1) OCH; 127.3 2 × CH_{Ph}; 129.1 2 × CH_{Ph}; 132.6 CH_{Ph}; 137.4 C_{Ph}; 178.9 (178.3) C=O

Table 5. (continued)

	R^1	R^2	n	Yield (%)/ Method	$[\alpha]_D^{20}$ (*c* in g/ 100 mL $CHCl_3$)	Starting material **6**[a]	^1H NMR ($CDCl_3$) δ (ppm), *J* (Hz)	^{13}C NMR ($CDCl_3$) δ (ppm)
(*S*)-**8m**	2-HOPr[l]	Allyl	2	77/G	+2.9 (1.1)	(*R*)-**6ah**	1.34–1.38 (d, *J* = 6.1, 3H, CH_3); 1.98–2.14 (m, 2H, CH_2); 2.56–2.70 (m, 1H, CH_2N); 3.10–3.18 (m, 1H, CH_2N); 3.22–3.34 (m, 1H, CH); 3.76 (s, 2H, NCH_2); 4.42–4.47 (m, 1H, OCH); 5.1 (s, 2H, CH_2=); 5.44–5.53 (m, 1H, CH=); 7.19–7.55 (m, 3H, Ph); 7.72–7.83 (m, 2H, Ph)	20.8 (21.1) CH_3; 29.1 (29.6) CH_2; 35.9 (37.2) CH_2; 38.9 (36.9) $\underline{CH}CH_2$; 45.3 NCH_2; 50.7 (50.9) NCH_2; 75.5 (75.1) OCH; 119.7 CH_2=; 127.1 2× CH_{Ph}; 129.2 2×CH_{Ph}; 132.4 CH_{Ph}; 132.7 (132.5) C_{Ph}; 139.6 C_{Ph}; 178.6 C=O
(*R*)-**9a**	*i*-Pr	Me	2	50/H	−17.5 (0.4)	(*S*)-**6ab**	0.78–0.80 (d, *J* = 6.8, 3H, CH_3); 0.92–0.95 (d, *J* = 6.9, 3H, CH_3); 1.69–1.81 (m, 1H, CH_2); 1.90–1.99 (m, 1H, CH); 2.15–2.21 (m, 1H, CH_2); 2.33–2.38 (m, 1H, CH); 2.79 (s, 3H, NCH_3); 3.21–3.26 (t, *J* = 6.2, 2H, NCH_2)	17.4 CH_3; 19.3 CH_2; 20.5 CH_3; 28.3 $\underline{CH}CH_3$; 29.3 NCH_3; 47.4 $\underline{CH}CH_2$; 47.9 NCH_2; 176.4 C=O
(*S*)-**9a**	*i*-Pr	Me	2	67/H	+15.7 (0.3)	(*R*)-**6aa**		
(*R*)-**9b**	Bu	Me	2	77/H	−6.0 (0.55)	(*S*)-**6v**	0.80–0.89 (m, 5H, CH_2, CH_3); 1.19–1.40 (m, 6H, 3×CH_2); 2.19–2.37 (m, 1H, CH); 2.82 (s, 3H, NCH_3); 3.25–3.29 (m, 2H, NCH_2)	14.0 CH_3; 22.6 CH_2; 24.7 CH_2; 29.4 CH_2; 29.7 NCH_3; 31.1 CH_2; 47.7 NCH_2; 47.8 CH; 178.0 C=O
(*S*)-**11a**	Et		2	88/I	+18.4 (0.65)	(*R*)-**6o**	0.79–0.84 (t, *J* = 7.5, 3H, CH_3); 1.18–1.36 (m, 1H, CH_2); 1.59–1.74 (m, 2H, CH_2); 2.12–2.17 (m, 1H, CH_2); 2.18–2.32 (m, 1H, CH); 3.59–3.67 (m, 1H, CH_2N); 3.85–3.91 (m, 1H, CH_2N); 7.19–7.60 (m, 3H, Ph); 7.95–7.98 (m, 2H, Ph)	11.1 CH_3; 23.2 CH_2; 24.4 CH_2; 44.5 $\underline{CH}CH_2$; 45.4 NCH_2; 127.9 2×CH_{Ph}; 129.0 2× CH_{Ph}; 133.9 CH_{Ph}; 138.1 C_{Ph}; 175.2 C=O
(*R*)-**11a**	Et		2	90/I[m]	−19.5 (0.32)	(*S*)-**6l**		
(*S*)-**11b**	Et		10	80/H	+1.3 (0.39)	(*R*)-**6s**	0.84–0.89 (t, *J* = 7.4, 3H, CH_3); 1.00–1.58 (m, 20H, 10×CH_2); 2.18–2.23 (m, 1H, CH); 2.82–2.89 (q, *J* = 6.5, 2H, CH_2N); 7.19–7.50 (m, 3H, Ph); 7.75–7.81 (m, 2H, Ph)	11.8 CH_3; 25.3 CH_2; 26.3 CH_2; 27.2 CH_2; 28.9 CH_2; 29.1 CH_2; 29.2 2×CH_2; 29.3 CH_2; 29.4 CH_2; 31.7 CH_2; 43.2 NCH_2; 47.0 $\underline{CH}CH_2$; 127.0 2×CH_{Ph}; 129.1 2×CH_{Ph}; 132.5 CH_{Ph}; 139.9 C_{Ph}; 181.9 C=O
(*R*)-**11b**	Et		10	88/H	−2.1 (0.89)	(*S*)-**6r**		
(*S*)-**11c**	Bu		2	67/I	+6.1 (0.7)	(*R*)-**6u**	0.79–0.84 (d, *J* = 6.9, 3H, CH_3); 1.16–1.55 (m, 8H, 4×CH_2); 2.29–2.35 (m, 1H, CH); 3.11–3.19 (m, 2H, CH_2N); 7.24–7.64 (m, 3H, Ph); 7.99–8.03 (m, 2H, Ph)	13.8 CH_3; 22.4 CH_2; 24.9 CH_2; 28.8 CH_2; 29.8 CH_2; 43.1 $\underline{CH}CH_2$; 45.5 NCH_2; 127.9 2×$\underline{CH}_{Ph}$; 129.0 2×CH_{Ph}; 133.9 CH_{Ph}; 138.1 C_{Ph}; 175.4 C=O
(*R*)-**11c**	Bu		2	59/I	−7.0 (0.5)	(*S*)-**6t**		
(*S*)-**11d**	Bu		10	36/H	+2.2 (0.6)	(*R*)-**6x**	0.84–0.93 (m, 5H, CH_2, CH_3); 1.18–1.65 (m, 22H, 11×CH_2); 2.29–2.33 (m, 1H, CH); 2.88–2.94 (m, 2H, CH_2N); 7.23–7.55 (m, 3H, Ph); 7.82–7.85 (m, 2H, Ph)	13.9 CH_3; 19.9 CH_2; 22.6 CH_2; 26.3 CH_2; 27.2 CH_2; 28.8 CH_2; 28.9 CH_2; 29.0 CH_2; 29.2 CH_2; 29.4 CH_2; 29.5 CH_2; 29.7 CH_2; 32.0 CH_2; 43.2 NCH_2; 45.4 $\underline{CH}CH_2$; 127.0 2×CH_{Ph}; 128.9 2×CH_{Ph}; 132.2 CH_{Ph}; 139.9 C_{Ph}; 181.8 C=O
(*R*)-**11e**	*i*-Pr		2	81/I	−8.2 (1.0)	(*S*)-**6y**[n]	0.68–0.70 (d, *J* = 6.8, 3H, CH_3); 0.84–0.86 (d, *J* = 6.9, 3H, CH_3); 1.13–1.25 (m, 3H, CH, CH_2); 2.27–2.35 (m, 1H, CH); 3.08–3.16 (m, 2H, CH_2N); 7.44–7.60 (m, 3H, Ph); 7.95–7.98 (m, 2H, Ph)	17.8 CH_3; 20.2 CH_3; 20.2 CH_2; 28.2 CH; 45.7 NCH_2; 48.8 $\underline{CH}CH_2$; 127.9 2×CH_{Ph}; 128.9 2×CH_{Ph}; 133.9 CH_{Ph}; 138.9 C_{Ph}; 175.4 C=O

Table 5. (continued)

	R^1	R^2	n	Yield (%)/ Method	$[\alpha]_D^{20}$ (c in g/ 100 mL $CHCl_3$)	Starting material **6**[a]	^1H NMR ($CDCl_3$) δ (ppm), J (Hz)	^{13}C NMR ($CDCl_3$) δ (ppm)
(*S*)-**11f**	*i*-Pr		10	88/H	+2.5 (0.36)	(*R*)-**6ae**	0.63–0.68 (d, J = 6.9, 3H, CH_3); 0.91–0.93 (d, J = 7.0, 3H, CH_3); 1.21–1.42 (m, 19H, 9 × CH_2, CH); 2.30–2.36 (m, 1H, CH); 2.90–2.95 (m, 2H, CH_2N); 7.24–7.53 (m, 3H, Ph); 7.83–7.86 (m, 2H, Ph)	16.9 CH_3; 19.2 CH_3; 22.0–29.7 9 × CH_2; 25.6 CH; 43.8 NCH_2; 46.9 $\underline{CH}CH_2$; 127.0 2 × CH_{Ph}; 129.1 2 × CH_{Ph}; 131.9 CH_{Ph}; 138.6 C_{Ph}; 179.9 C=O
(*S*)-**11g**	2-HOPr		10	91/H	−5.2 (0.46)	(*R*)-**6ak**	1.09–1.51 (m, 21H, 9 × CH_2, CH_3); 1.75–1.90 (m, 2H, CH_2); 2.40–2.56 (m, 1H, CH); 2.85–2.95 (m, 2H, CH_2N); 4.44–4.56 (m, 1H, CHO); 7.22–7.50 (m, 3H, Ph); 7.76–7.84 (m, 2H, Ph)	20.9 (21.3) CH_3; 26.4 CH_2; 27.3 CH_2; 28.9 CH_2; 29.3 4 × CH_2; 29.5 CH_2; 30.2 CH_2; 30.6 CH_2; 41.5 $\underline{CH}CH_2$; 43.2 NCH_2; 75.1 OCH; 127.0 2 × CH_{Ph}; 129.7 2 × CH_{Ph}; 132.5 CH_{Ph}; 140.6 C_{Ph}; 178.2 C=O

[a] Configuration in 4-position of **6**.
[b] R^3 = MOM, R^4, R^5 = H, R^6 = Ph.
[c] e.e. 6%, mp 121–123°C (ref.[29] mp 124–126°C).
[d] e.e. 46%, mp 122–124°C (ref.[29] mp 124–126°C).
[e] e.e. 80%.
[f] CD: λ_{max} = 236 nm; $\Delta\varepsilon$ = −0.4 mdeg; c = 0.0019 g/100 mL.
[g] e.e. 72%.
[h] CD: λ_{max} = 228 nm; $\Delta\varepsilon$ = +1.8 mdeg; c = 0.003 g/100 mL.
[i] CD: λ_{max} = 228 nm; $\Delta\varepsilon$ = −0.5 mdeg; c = 0.0019 g/100 mL.
[j] CD: λ_{max} = 209 nm; $\Delta\varepsilon$ = −0.7 mdeg; c = 0.0003 g/100 mL.
[k] CD: λ_{max} = 226 nm; $\Delta\varepsilon$ = +0.8 mdeg; c = 0.0008 g/100 mL.
[l] R^1 = Allyl in starting material **6**, ratio of epimers ≈ 50 : 50.
[m] Following Method H the corresponding amino acid **8n** (R^1 = Et, R^2 = H, n = 2) was formed together with (*R*)-**11a** in a ratio of 64 : 36. **8n**: ^1H NMR ($CDCl_3$) δ (ppm) J (Hz): 0.83–0.86 (t, J = 7.4, 3H, CH_3); 1.06–1.09 (m, 1H, CH_2); 1.22–1.30 (m, 1H, CH_2); 1.43–1.52 (m, 2H, CH_2); 2.29–2.39 (m, 1H, CH); 2.93–3.03 (m, 2H, CH_2N); 5.09–5.13 (t, J = 6.1, 1H, NH); 7.35–7.51 (m, 3H, Ph); 7.73–7.86 (m, 2H, Ph). ^{13}C NMR ($CDCl_3$) δ (ppm): 11.4 CH_3; 24.4 CH_2, 31.3 CH_2; 44.1 CH; 44.5 CH_2N, 126.9 2 × CH_{Ph}, 129.1 2 × CH_{Ph}, 132.6 CH_{Ph}; 139.8 C_{Ph}; 180.9 C=O.
[n] e.e. 58%.

of the (benzenesulfonylamino)alkyloxazolines **6** via intermediate spiro orthoamide structures **10** (attack of the sulfonamide N-atom at the imido ester moiety of **6**, see Scheme 1), which suffer a hydrolytic ring cleavage of the oxazolidine ring affording **11** and the corresponding chiral auxiliary **3**. Similar bicyclic orthoamide structures occur in the known formation of 2-(ω-aminoalkyl)-oxazolines by ring transformation of lactam derivatives with amino alcohols.[24] The synthesis of lactams **11** from **6** somehow resembles the known formation of lactones by hydrolysis of 2-(ω-hydroxyalkyl)oxazolines.[28]

All compounds **6**, **7**, **8**, **9**, **11**, **14** and **16** are new. Their constitutions were confirmed by spectroscopic methods (see Tables 4 and 5). The assignment of the configuration of the new stereogenic centre at the α-position of 2-(ω-benzenesulfonylaminoalkyl)oxazolines **6** and of ω-amino acids **7**, **8**, **9** and lactams **11** was based on the independent synthesis of (*R*)-(−)-4-(*N*-benzenesulfonyl-*N*-methylamino)-2-methylbutanoic acid (**8d**) from the known (*R*)-(−)-2-methyl-4-phthalimidobutanoic acid (obtained by resolution of the racemate)[6] by hydrolysis, sulfonation and methylation (see Experimental) or by comparison of **8b** with the known (*S*)-(−)-*N*-benzenesulfonylalanine.[29] Comparative CD-investigations[25] (see Table 5, footnotes f, h, i, j, k) of selected examples revealed that levorotatory amino acids **8** and **9** (negative α-values) have (*R*)-configuration and vice versa. The configuration of aminoalkyloxazolines **6** was deduced from the configuration of their products of hydrolysis, i.e. the amino acids **8** and **9**.

In conclusion, the aforementioned results represent a versatile enantioselective synthesis of α-alkyl-ω-amino acids and α-alkyllactams, in particular of ω-aminopropanoic acids and higher homologs and corresponding lactams. This route is a considerable extension of the known syntheses of some selected optically active ω-amino acids and lactams.

^1H NMR and ^{13}C NMR spectra were recorded at 300 and 75.5 MHz respectively on a Bruker AC-300 with TMS as internal standard. The diastereomeric ratios were determined from ^{13}C NMR spectra obtained from the product before chromatographic purification and in some cases by HPLC. Enantiomeric purity of products **8**, **9** and **11** was determined by analytical HPLC (Kontron Instruments) on cellulose carbamate (Chiralcel OD-R, Daicel, d = 4.5 mm, l = 20 cm, pH 2 $HClO_4/NaCl_4$ 0.5 M H_2O/MeCN = 45/55, 1 mL/min). Diastereomeric ratios were determined with the same HPLC apparatus on RP 18 (Lichrosphere, Hewlett-Packard; d = 4.5 mm, l = 20 cm, MeCN; 1 mL/min). Optical rotation was determined with a Perkin Elmer polarimeter 241. CD-Spectra were recorded on a spectropolarimeter J-710 (Jasco) (l = 0.5 mm, MeOH). EI-Mass spectra (HP 5995 A) and and EI-high resolution mass spectra (MAT 711, Varian and) were measured at 70 eV. CI high resolution mass spectra were recorded on a VG Autospec, Finnigan (NH_3). A number of the products **6**, **8**, **9** (sticky materials) did not give satisfactory microanalyses but showed clear NMR spectra and satisfactory high resolution mass spectra. For preparative column chromatography, silica gel (0.04–0.063 mm, Merck) was used.

Starting materials **4** and **12** were usually obtained by ring transformation of lactam acetals or lactim ethers with amino alcohols **3**[24] or by adapting the known synthesis[30] of oxazolines from corresponding imido esters **2** and amino alcohols **3** (for new compounds prepared in this way see Table 6, **4a–c**, **12**) and final benzenesulfonylation.[25,30]

Table 6. Starting Materials **4a–c** and **12**

	PG	n	R^2	R^3	R^4	R^5	R^6	Yield (%)	$[\alpha]_D^{20}$ (c in g/100 mL $CHCl_3$)	^1H NMR ($CDCl_3$) δ (ppm), J (Hz)
4a	$PhSO_2$	0	H	H	Et	H	H	30	+32.7 (0.7)	0.74–0.79 (t, J = 7.4, 3H, CH_3); 1.16–1.44 (m, 2H, CH_2); 3.72 (s, 2H, NCH_2); 3.73–3.83 (m, 1H, OCH_2); 4.01–4.08 (m, 1H, CH); 4.10–4.16 (t, J = 7.9, 1H, CH_2O); 5.8 (br s, 1H, NH); 7.41–7.53 (m, 3H, Ph); 7.77–7.87 (m, 2H, Ph)
4b	$PhSO_2$	0	H	MOM	H	H	Ph	72	−21.6 (0.5)	3.17 (s, 3H, OCH_3); 3.41 (s, 2H, NCH_2); 3.56–3.65 (m, 2H, OCH_2); 4.11–4.29 (m, 1H, NCH); 5.19–5.22 (d, J = 8.5, 1H, OCH); 6.12 (br s, 1H, NH); 7.24–7.35 (m, 5H, Ph); 7.49–7.51 (m, 3H, Ph); 7.70–7.76 (m, 2H, Ph)
4c	$PhSO_2$	1	H	MOM	H	H	Ph	92		2.40–2.43 (m, 2H, CH_2); 3.21–3.24 (s, 2H; NCH_2); 3.34 (s, 3H, OCH_3); 3.45–3.47 (t, J = 4.2, 2H, OCH_2); 4.00–4.07 (m, 1H, NCH); 5.17–5.19 (d, J = 6.7, 1H, OCH); 5.90–5.94 (t, J = 5.3, 1H, NH); 7.12–7.40 (m, 5H, Ph); 7.43–7.52 (m, 3H, Ph); 7.73–7.82 (m, 2H, Ph)
12	Phth	2	–	MOM	H	H	Ph	76	−44.0 (0.15)	2.01–2.07 (m, 2H, CH_2); 2.36–2.41 (t, J = 7.6, 2H, CH_2); 3.31 (s, 3H, OCH_3); 3.37–3.42 (q, 1H, OCH_2); 3.48–3.56 (q, 1H, OCH_2); 3.70–3.75 (t, J = 6.9, 2H, NCH_2); 3.96–4.02 (q, 1H, NCH); 5.17–5.19 (d, J = 6.9, 1H, OCH); 7.20–7.31 (m, 5H, Ph); 7.59–7.62 (dd, J = 3.1, 5.4, 2H, Ph); 7.72–7.75 (dd, J = 3.0, 5.4, 2H, Ph)

α-Alkylation of 4 to 2-(ω-Aminoalkyl)oxazolines 6 (Tables 1, 2, 4); General Procedure:

Method A: A solution of oxazoline **4** (0.5 mmol) in anhyd THF (5 mL) was added to a solution of LDA [1.5 mmol, from diisopropylamine (0.26 mL) and BuLi (1.39 mL) of 1.6 M in hexane] at −78 °C under Ar. The resulting dark yellow solution was stirred at −78 °C for 5 min and BEt_3 (1.5 mmol, 1 M solution in THF) was added. After stirring at −78 °C for 20 min alkyl halide **5** (2 mmol) was added dropwise over 10 min. The resulting, almost pale yellow solution was stirred for 2 h and was then allowed to reach r.t. overnight. The mixture was poured into sat. aq NH_4Cl (30 mL) and extracted with CH_2Cl_2 (4 × 10 mL), dried (Na_2SO_4) and concentrated. Final purification by column chromatography on silica gel (R_f = 0.3–0.6; EtOAc/hexane 9:1) gave **6** as an oil.

Method B: Corresponding to Method A but without BEt_3 (see Table 1, footnotes c, d, e, g, h, j, k).

Method C: Corresponding to Method A with TMEDA (1.5 mmol) instead of BEt_3 (see Table 1, footnote h).

Method D: According to Method A with BH_3 · THF (1.5 mmol, 1 M in THF) instead of BEt_3 (see Table 1, footnote j).

α-Alkylation of 12 to 14 and 16:

Method E *(Phthalimidopropyl-N-methyloxazolinium Iodide* **14***) (see Table 4).*

A solution of oxazoline **12** (0.19 g, 0.50 mmol) in anhyd THF (5 mL) was added to a solution of LDA [2.00 mmol, prepared from diisopropylamine (0.35 mL) and BuLi (1.85 mL) of 1.6 M in hexane] at −78 °C under Ar. The resulting dark green solution was stirred at −78 °C for 20 min, then MeI (0.142 g, 2.00 mmol) was added dropwise over 10 min. The resulting, almost brown solution was stirred for 2 h and was then allowed to reach r.t. overnight. The mixture was poured into sat. aq NH_4Cl (30 mL) and extracted with CH_2Cl_2 (4 × 10 mL), dried (Na_2SO_4) and concentrated. Column chromatography on silica gel (R_f = 0.85; $CHCl_3$/MeOH 6:4) gave 55% of **14** as an oil.

Method F *(Phthalimidoalkylideneoxazolines* **16***) (see Tables 3, 4).*

Oxazoline **12** (0.19 g, 0.50 mmol) was treated as described in Method B with KHMDS or LiHMDS (1.5 mmol) instead of LDA.

Hydrolysis of 2-(ω-Aminoalkyl)oxazolines 6 to Amino Acids 8 and 9 and Lactams 11 (Table 5); General Procedures:

Method G: A mixture of oxazoline **6** (0.20 mmol) and aq 3 N HCl (10 mL) was refluxed for 3.5 h. After cooling to r.t., H_2O (10 mL) was added and the mixture was extracted with CH_2Cl_2 (5 × 10 mL), dried (Na_2SO_4) and concentrated to give pure amino acid **8** as an oil (but crystalline **8a, b**) without further purification. Additional chromatography on silica gel (EtOAc/hexane 9:1) was possible but did not improve the purity and considerably lowered the yields.

Method H: A mixture of oxazoline **6** (0.20 mmol) and aq 6 N H_2SO_4 (10 mL) was refluxed for 7 h. After cooling to r.t., H_2O (30 mL) was added and the mixture was extracted with CH_2Cl_2 (5 × 10 mL), dried (Na_2SO_4) and concentrated to give amino acids **8**, **9** or lactam **11** as oils without further purification. Additional chromatography on silica gel (EtOAc/hexane 9:1) was possible, but did not improve the purity and considerably lowered the yields.

Method I: A mixture of oxazoline **6** (R^2 = H) (0.20 mmol) and aq 6 N H_2SO_4 (10 mL) was refluxed for 7 h. After cooling to r.t., H_2O (30 mL) was added and the mixture was extracted with CH_2Cl_2 (5 × 10 mL), dried (Na_2SO_4) and concentrated to give a crude mixture of amino acid **8** and lactam **11**. If wanted, the mixture can be separated by column chromatography on silica gel (EtOAc/hexane 9:1, **8**: R_f ~ 0.6, **11**: R_f ~ 0.8) (see Table 5, (*R*)-**11a**, footnote m). The mixture was dissolved in anhyd MeCN (5 mL). A solution of DCC (0.041 g, 0.20 mmol) in MeCN (5 mL) was added under stirring over a period of 10 min. Stirring was continued at r.t. for 6 h. The dicyclohexylurea was filtered off. Concentration and purification by column chromatography on silica gel (R_f = 0.6–0.8; EtOAc/hexane 9:1) gave pure lactam **11** as an oil.

For recycling of the amino alcohol **3** NaOH was added to the acidic HCl or H_2SO_4 solution until pH 8. After extraction with CH_2Cl_2 (3 × 10 mL), drying of the organic layer ($NaSO_4$) and evaporating the solvent pure amino alcohol **3** was isolated in 60–85% yield.

(*R*)-(−)-4-(*N*-Benzenesulfonyl-*N*-methylamino)-2-methylbutanoic Acid (8d):

(*R*)-(−)-4-Amino-2-methylbutanoic acid was prepared by the procedure of Adams and Flés[6] [resolution of racemate by crystallisation of *rac*-2-methyl-4-phthalimidobutanoic acid with (−)-chinin and hydrazinolysis]. The (−)-enantiomer (1.17 g, 10 mmol) was stirred with $PhSO_2Cl$ (1.76 g, 10 mmol) in the presence of 1 M NaOH (15 mL) for 2 h. The solution was treated with 1 M HCl up to pH

2 and extracted with CH_2Cl_2 (4 × 20 mL). After drying and evaporating the oily residue was diluted with anhyd THF (50 mL). NaH (40 mmol) was added under stirring at 0 °C under Ar. After 15 min, MeI (20 mmol) was added dropwise to the solution at 0 °C over a period of 20 min. The mixture was stirred at r.t. for an additional 12 h followed by treating with sat. aq NH_4Cl and extraction with CH_2Cl_2 (3 × 20 mL). After drying and evaporating in vacuo 0.68 g (25%) of (*R*)-(−)-**8d** was obtained as a sticky oil: $[\alpha]_D^{20} = -10.9$ ($c = 0.64$ g/100 mL $CHCl_3$).

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