DOI: 10.1002/ejoc.200900864

Diastereo- and Enantioselective Synthesis of Functionalized β-Lactams from Oxiranecarbaldimines and Lithium Ester Enolates

Kristin Michel,[a] Roland Fröhlich,[a] and Ernst-Ulrich Würthwein*[a]

Dedicated to Professor Günter Haufe on the occasion of his 60th birthday

Keywords: Lactams / Lithium enolates / Imines / Diastereoselectivity / Quantum chemistry

The addition of nucleophiles like lithium ester enolates **6** to oxiranecarbaldimines **1** leads to new oxiranyl-functionalised β-lactams **7** in excellent enantio- and diastereoselectivity. A simple one-pot procedure affords β-lactams (azetidin-2-ones) with three or four neighbouring stereogenic centres and *unlike* preference. Products resulting from oxirane ring-opening reactions were not observed. An enantiomerically enriched example (2*S*,3*S*)-**1g** gave the corresponding β-lactam (*S*,*S*,*R*)-**7f** in excellent enantiomeric excess. According to quantum chemical calculations the observed diastereoselectivity is the result of a diastereofacial differentiation of the two faces of the iminic double bond in the transition state.

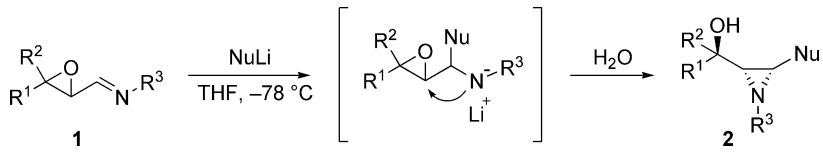
Introduction

Due to their many functional groups in close proximity oxiranecarbaldimines **1** are interesting starting compounds for stereoselective synthesis. As we recently reported they offer straightforward access to *cis*-aziridinyl alcohols **2**, which are formed with excellent diastereoselectivity after addition of alkyl- and aryl organolithium compounds to the imine functionality and subsequent aza-Payne rearrangement.[1] (Scheme 1). As a result of distinct diastereofacial differentiation caused by lithium cation coordination the nucleophilic attack of the organolithium reagent on oxiranecarbaldimines takes place from the sterically less hindered face of the iminic double bond, thus creating the third stereogenic centre of **2** with high selectivity.

We now reasoned that the treatment of oxiranecarbaldimines **1** with functionalized nucleophiles like enolates instead of simple lithium compounds would also give rise to interesting products, possibly with stereoinduction on additional newly created stereocenters. Thus, the main question of this project was whether enolates react with oxiranecarbaldimines similarly to the aza-Payne rearrangement as in Scheme 1 or give preferentially functionalised β-lactams (azetidin-2-ones) by a imine-enolate condensation without involving the oxiranyl moiety.

Results and Discussion

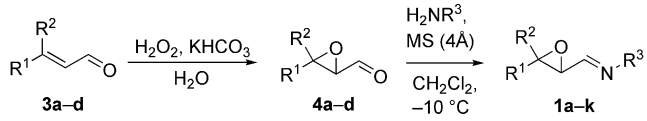
As reported by us in 2005[1] the synthesis of the oxiranecarbaldimines **1** is simple and straightforward. Starting from α,β-unsaturated aldehydes **3** epoxidation in aqueous media with hydrogen peroxide[2] and subsequent condensation of the resulting *cis*/*trans* mixtures of aldehydes **4** with primary amines[3] yields the respective (racemic) imines **1a**–**e**[1] showing the corresponding *cis*/*trans* ratios (Scheme 2).



Scheme 1.

Since our last report[1] some new oxiranecarbaldimines **1f**–**k** derived from aromatic amines have also been synthesised (Table 1).

[a] Westfälische Wilhelms-Universität Münster, Organisch-Chemisches Institut,
Corrensstraße 40, 48149 Münster, Germany
Fax: +49-251-83-39772
E-mail: wurthwe@uni-muenster.de



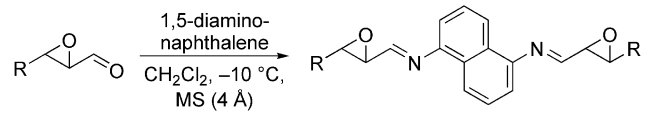
3a, **4a**: R^1 = Me, R^2 = H (**4a**: *cis*:*trans* = 1:12)
3b, **4b**: R^1 = *i*Pr, R^2 = H (**4b**: *cis*:*trans* = 0:1)
3c, 4c: R^1 = *n*Pr, R^2 =H (**4c**: *cis*:*trans* = 1:7)
3d, **4d**: R^1 = R^2 = Me

Scheme 2.

Table 1. Synthesis of oxiranecarbaldimines **1a**–**k**.

	R^1	R^2	R^3	Yield [%]
1a	Me	H	*t*Bu	73
1b	*i*Pr	H	*c*Pr	56
1c	*n*Pr	H	*c*Pr	57
1d	Me	H	4-CH_3O-Ph	40
1e	Me	H	4-Br-Ph	71
1f	Me	H	2-(Ph-CH_2)-Ph	76
1g	*n*-Pr	H	2-(Ph-CH_2)-Ph	77
1h	*n*Pr	H	4-CH_3O-Ph-	59
1i	Me	Me	2-(Ph-CH_2)-Ph	90
1j	Me	Me	4-CH_3O-Ph-	80
1k	*n*Pr	H	2,6-di-*i*Pr-Ph	73

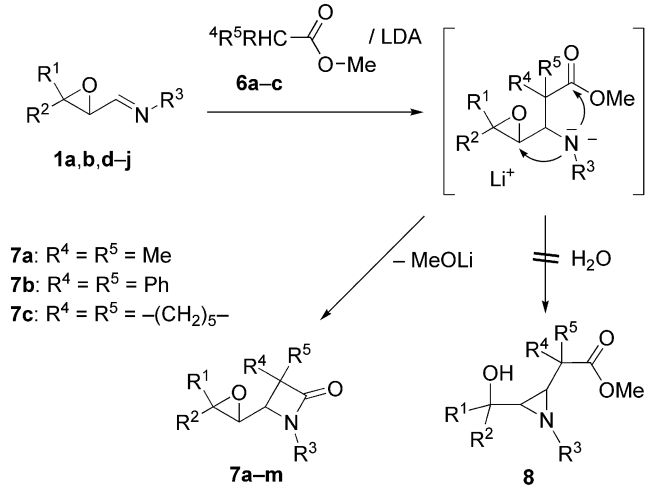
Furthermore, using 1,5-diaminonaphthalene as amine component and two equivalents of the aldehydes **4a**,**b** the synthesis of new aromatic bridged diimines **5a**,**b** is also possible (Scheme 3).



4a, **5a**: R = Me
4b, **5b**: R = *n*Pr

Scheme 3.

These oxiranecarbaldimines were then reacted with lithium ester enolates as functionalized nucleophiles. Dropwise addition of a THF solution of oxiranecarbaldimines **1a**,**b**,**d**–**j** to a solution of the in situ prepared lithium ester enolates of the symmetrically α-disubstituted esters **6a**–**c** in dry THF at –78 °C, warming to room temperature and subsequent aqueous workup led to the formation of racemic β-lactams (azetidin-2-ones) **7a**–**m** as mixtures of diastereomers (Scheme 4, Table 2). The diastereomeric ratios (*dr*) of **7** reflect the *dr* of compounds **1** (see Exp. Sect.).



Scheme 4.

Assuming a first nucleophilic attack of the enolate at the imine carbon atom, most likely supported the coordination to the lithium cation, it is clear from these findings that the formation of the four-membered ring of the β-lactams is significantly favoured over the formation of the three-membered aziridine ring system by the previously observed variant of an aza-Payne rearrangement (Scheme 4).[1] Hence, it is important to note that the oxirane-moiety is not affected by the nucleophilic enolate, thus allowing the synthesis of

Table 2. Synthesis and substitution pattern of β-lactams **7a**–**m** with two or three stereogenic centres from the oxiranecarbaldimines **1** and the lithium enolates of the esters **6**.

7	**1**	**6**	R^1	R^2	R^3	R^4, R^5	*ds* [%][a]	Yield [%]
a	**a**	**a**	Me	H	*tert*-butyl	Me	97	34
b	**b**	**a**	*i*Pr	H	cyclopropyl	Me	99	49
c	**d**	**a**	Me	H	*p*-methoxyphenyl	Me	99	85
d	**e**	**a**	Me	H	*p*-bromophenyl	Me	> 95	57
e	**f**	**a**	Me	H	2-benzylphenyl	Me	> 95	92
f	**g**	**a**	*n*Pr	H	2-benzylphenyl	Me	> 98	81
g	**h**	**a**	*n*Pr	H	*p*-methoxyphenyl	Me	99	88
h	**i**	**a**	Me	Me	2-benzylphenyl	Me	97	89
i	**j**	**a**	Me	Me	*p*-methoxyphenyl	Me	98	85
j	**d**	**b**	Me	H	*p*-methoxyphenyl	Ph	> 95	12
k	**a**	**c**	Me	H	*tert*-butyl	–$(CH_2)_5$–	n.d.[b]	42
l	**d**	**c**	Me	H	*p*-methoxyphenyl	–$(CH_2)_5$–	99	51
m	**h**	**c**	*n*Pr	H	*p*-methoxyphenyl	–$(CH_2)_5$–	98	62

[a] The diastereoselectivity (ds) given here refers to the diastereomers formed from the major *trans*-diastereomer of **1**. [b] It was impossible to determine the *ds* values by GC or NMR analysis because of signal overlap.

both strained small rings in immediate neighbourhood.[4] Aziridine alcohols like **8** with a fourth stereogenic centre were never observed.

From a mechanistic point of view this reaction follows the pathway of the β-lactam formation first reported by Bergbreiter, Newcomb et al.[5] from lithium ester enolates and imines from 1980, or, even earlier, from Zinc ester enolates as reported by Gilman and Speeter in 1943.[6]

To the best of our knowledge the synthesis of 4-oxiranyl-substituted β-lactams was only reported once in the literature. Evans and Williams used the ketene-imine cycloaddition pathway for aryl-substituted derivatives.[7]

Since the first synthesis of a β-lactam (azetidin-2-one) by Staudinger in 1907 from ketenes and imines[8] and the discovery of the activity against bacteria of green mold containing what was later called penicillins in 1928 by Fleming, followed by the structure elucidation of the β-lactam moiety in penicillins in 1945[9] this class of compounds continues to be a field of high interest.[10,11] Besides their application as antibiotics Kirkup et. al described the synthesis and pharmacological properties of (–)-SCH 57939, a potent cholesterol absorption inhibitor, which incorporates also a β-lactam moiety.[12] Additional impetus for research efforts on β-lactam synthesis has been provided by their application as versatile building blocks in stereoselective organic chemistry.[13] Along with various other synthetic routes to β-lactams,[14,15] the ester enolate–imine condensation has been further developed over the past decades.[16]

The formation of the β-lactams **7** with two (**7h**,**i**, R^1 = R^2) and three (**7a**–**g**, **j**–**m**) stereogenic centres proceeds with excellent diastereoselectivity in favour of the *unlike* isomer (Table 2). The stereochemistry of the newly formed centre could be assigned on the basis of an X-ray diffraction study of compound **7b** (Figure 1). With this information in hand, the relative configurations at the corresponding centres of the other compounds **7** could determined by 1D- and 2D-NMR spectroscopy.

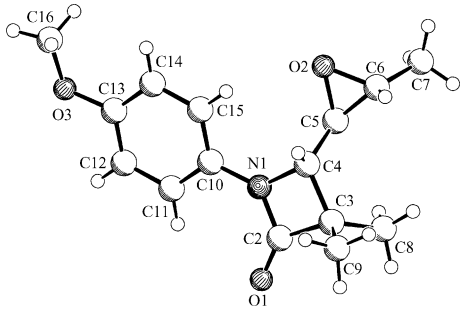
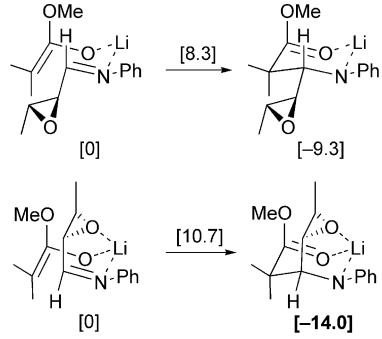


Figure 1. Molecular structure of **7b** in the solid state as determined by X-ray crystallography. The centre C4 (*S*-configuration in this enantiomer of the racemic mixture) shows unlike configuration with respect to C5,C6 (*R*,*R* configuration) of the oxiranyl ring.

When methyl cyclohexanecarboxylate was used for the formation of the ester enolate the corresponding spiro compounds **7k**–**m** were easily accessible.

We also investigated the enantioselective synthesis of a β-lactam starting from allylic alcohol (*E*)-2-hexen-1-ol. Sharpless epoxidation[17] followed by Swern[18] oxidation afforded the corresponding *trans*-oxiranecarbaldehyde which was converted into the corresponding imine (2*S*,3*S*)-**1g** by condensation using 2-benzylaniline. We determined the enantiomeric excess after reduction of this imine to the corresponding amine to 89%. The addition of the lithium ester enolate of **6a** gave (*S*,*S*,*R*)-**7f** in 75% yield and 93% *ee* (Scheme 4). As indicated, in this case the major *unlike*-isomer showed *R*-configuration at C4 of the azetidin-2-one. We conclude from these data that the addition of the enolate and the subsequent lactam formation proceed within the limits of error with essentially complete stereocontrol. Thus, a general enantioselective way to such β-lactams has been opened.

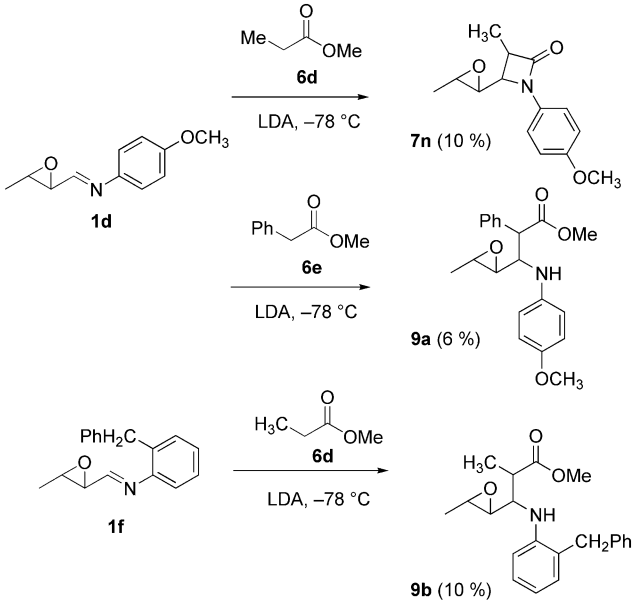
The preference for the *unlike* configuration may be explained by an equatorial arrangement of the oxiranyl group in a Zimmerman–Traxler type transition state formed by the enolate and the imine. Quantum chemical calculations[19] [SCS-MP2/6-31G(d)//B3LYP/6-31G(d)][20] gave an activation barrier of 8.3 kcal/mol for the transition state with an equatorial arrangement of the oxirane ring (Scheme 5, upper line), which is 2.4 kcal/mol lower than the transition state with axial orientation (Scheme 5, lower line).



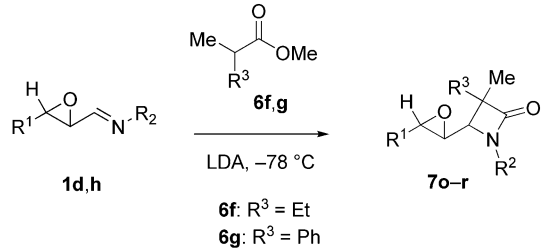
Scheme 5.

Additional calculations of lithium-bridged precomplexes with a saturated coordination sphere (water was used as additional ligand in the calculations to complete the lithium coordination number to four in order to allow comparison) show that the equatorial precomplex is by 4.9 kcal/mol favoured in comparison to the one with axial orientation. Thus, both kinetic as well as thermodynamic data are in agreement with the observed high diastereoselectivity.

Unexpected side reactions and loss of diastereoselectivity were observed when mono-α-substituted esters like methyl propionate and methyl phenylacetate **6d**,**e** were used as enolate precursors. In these cases the β-lactam **7n** and the β-amino esters **9a**,**b** were isolated in low yield as mixtures of diastereomers (Scheme 6).



Scheme 6.



Scheme 8.

Table 3. Synthesis of β-lactams **7o**–**r** with four stereogenic centres.

			R^1	R^2	R^3	*dr*[a]	Yield [%]
7o	**1d**	**6f**	Me	*p*-methoxyphenyl	Et	34:9:4:1[b]	74
7p	**1h**	**6f**	*n*Pr	*p*-methoxyphenyl	Et	20:8:1[c]	64
7q	**1g**	**6f**	*n*Pr	2-benzylphenyl	Et	100:9:1[c]	92
7r	**1g**	**6g**	*n*Pr	2-benzylphenyl	Ph	50:3:1[c]	41

[a] The diasteremeric ratio (*dr*) given here refers to all diastereomers obtained. [b] Determined by GC. [c] Determined by NMR.

The reason for these unsatisfactory results can be traced back to the presence of the additional acidic proton next to the carbonyl group. This obviously might be removed under the reaction conditions employed leading to the corresponding ester enolate, which precludes the ring forming reaction to give the β-lactam. This assumption is supported by quantum chemical calculations at the SCS-MP2/6-31G(d)//B3LYP/6-31G(d) level of theory of four isomeric anions derived from the initially formed addition product (E_{rel} = 0.0 kcal/mol) (Scheme 7). Apart from the initial *N*-centered anions for both R = Me and Ph the isomers with the enolate structures are lowest in energy among the three other possibilities.

To circumvent the problem of the second enolization we used in the following the lithium enolates of racemic methyl 2-methylbutyrate (**6f**) and methyl 2-phenylpropionate (**6g**). The use of these esters allowed the synthesis of β-lactams **7o**–**r** with four stereogenic centres in moderate yields and diastereoselectivity (Scheme 8, Table 3) without the formation of side products.

The configuration of the (racemic) main diastereomer was identified by a X-ray analysis of compound **7r** as being (*S*,*S*,*R*,*S*)/(*R*,*R*,*S*,*R*) with respect to C23, C21, C2, C3 (Figure 2). Thus, the stereogenic centre C2 next to the oxirane is *unlike* configured with respect to C21. The substituents at the oxirane ring are *trans*-positioned and the phenyl- and oxiranyl moieties at the β-lactam ring are *trans*-ordered (Figure 2).

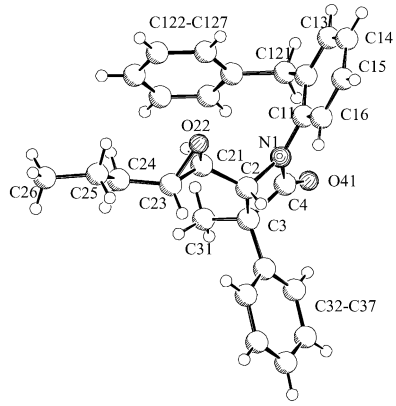
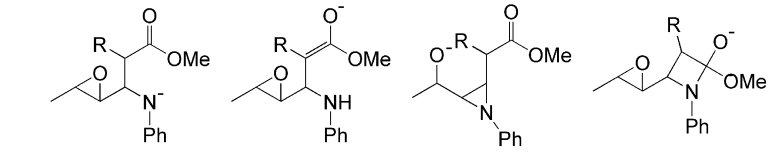


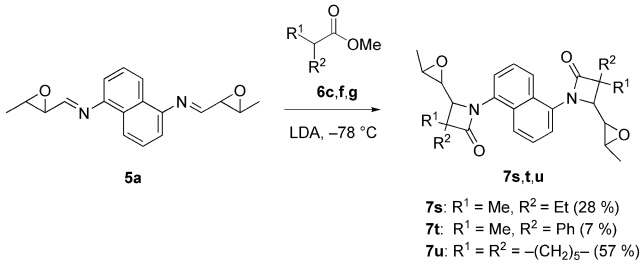
Figure 2. Molecular structure of **7r** in the solid state as determined by X-ray crystallography (see text).

The configuration of the fourth stereogenic centre depends on the geometry of the enolate (*E*/*Z*). The observed configuration indicates that under our conditions mainly the (*Z*)-enolate was formed.



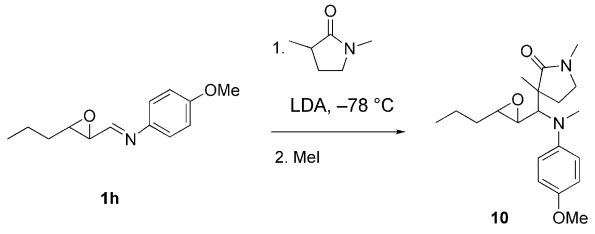
Scheme 7.

Next to simple β-lactams, naphthalene-bridged di-β-lactams **7s**–**u** could be obtained by addition of two equivalents of the enolates of the esters **6c**,**f**,**g** to naphthalene diimine **5a** (Scheme 9). The spiro compound **7u** could be isolated as a single diastereomer. The other two di-β-lactams **7s**,**t** were isolated as mixtures of diastereomers.



Scheme 9.

In an additional experiment the reactivity of oxiranecarbaldimine **1h** towards the lactam enolate derived from 1,3-dimethylpyrrolidin-2-one was examined (Scheme 10). After trapping of the intermediate lithium compound using methyl iodide as electrophile compound **10** was isolated in the low yield of only 10% as single diastereomer. Obviously, in this case just addition to the imine carbon atom of **1h** took place without further ring forming reaction.



Scheme 10.

Conclusions

Oxiranecarbaldimines **1** which combine the reactivity of a strained three-membered heterocyclic with that of an imine function react with lithium enolates derived from aliphatic esters **6** exclusively at the imine function to give novel β-lactams **7**. Other products, derived either from an aza-Payne reaction as observed earlier[1] or products from direct attack of the enolate at the oxirane moiety were not detected. The good to excellent diastereoselectivity observed for enolates derived from symmetrically α-dissubstituted esters is traced back to the selective lithium coordination involved in the Zimmerman–Traxler-like transition state leading to a strong preference for the *unlike* diastereomer as calculated by DFT- and the SCS-MP2 methods. Starting from enantiomerically enriched or pure oxiranecarbaldehydes easy access also to enantiomers of the respective β-lactams is possible. The reaction is quite broad in scope tolerating different types of ester enolates and either simple imines **1** as well as bridged bisimines like compounds **5**. Even the generation of a fourth asymmetry centre is possible if prochiral enolates are employed, although with reduced diastereoselectivity. It is expected that these novel β-lactams with their reactive oxiranyl moieties motivate to further synthetic application.

Experimental Section

General Remarks: Melting points: Büchi melting point apparatus, model B-540; melting points are uncorrected. ^{1}H, ^{13}C, GCOSY,GHSQC, GHMBC and 1D NOE NMR spectroscopy: Bruker Unity plus 600, Varian INOVA 500, AMX 400, Bruker WM 300 spectrometers. TMS (^{1}H) (δ = 0.00 ppm), $CDCl_3$ (^{13}C) (δ = 77.0 ppm) were used as internal references. IR: Nicolet FT-IR 5DXC spectrometer. Electron ionization mass spectra (EI-MS): Finnigan MAT C 312 spectrometer (70 eV). MS: Finnigan MAT 4200S, Bruker Daltonics micrOTOF and Waters-Micromass Quatro LCZ (ESI) spectrometers. Elemental analysis: Vario EL III automatic analyser. GC: Shimadzu GC-2014 with HP-5 quartz capillary (30 m), nitrogen as carrier gas, FID. Optical rotation: Polarimeter 342, Perkin–Elmer, 1 dm cells. All solvents and reagents were rigorously dried and purified by standard methods or were used as received from Aldrich, Acros or Fluka. When necessary, the experiments were carried out with complete exclusion of moisture. Column chromatography: Silica gel Merck 60 (0.040–0.063 mm). TLC: Merck silica gel plates (silica gel 60 F254); detection with UV light or aqueous solution of potassium permanganate. Oxiranecarbaldehydes **4a**–**d** were prepared by epoxidation of the corresponding α,β-unsaturated aldehydes.[1] The oxiranecarbaldimines **1a**–**e** were prepared in analogy to the literature procedure.[1] LDA was freshly prepared under argon from 1.6 M BuLi in hexane and dry diisopropylamine at –78 °C in dry THF (30 mL).

General Procedure for the Preparation of Oxiranecarbaldimines:[1] The solution of an oxiranecarbaldehyde **4** (1.0 equiv.) in dichloromethane (5 mL/10 mmol) was treated with activated molecular sieves (4 Å, 1.0 g/10 mmol) and cooled to –10 °C. Slowly the amine (1.1 equiv.) was added and stirred vigorously overnight. The molecular sieves were filtered off and washed with dichloromethane. After removing of the solvent the crude product was purified by Kugelrohr distillation or recrystallisation.

(2-Benzylphenyl)[1-(3-methyloxiranyl)methylidene]amine (1f): From 3-methyloxirane-2-carbaldehyde (**4a**) (0.86 g, 10.00 mmol) and 2-benzylbenzenamine (2.02 g, 11.00 mmol). Slowly crystallizing yellow oil, 1.90 g (7.56 mmol, 76%). ^{1}H NMR (500 MHz, $CDCl_3$): δ = 1.42 (d, ^3J = 5.2 Hz, 3 H, C*H*$_3$), 3.06 (dq, $^3J_1$ = 5.2, $^3J_2$ = 2.0 Hz, 1 H, CH$_3$C*H*), 3.38 (dd, $^3J_1$ = 7.2, $^3J_2$ = 1.9 Hz, 1 H, CH$_3$CHC*H*), 4.06 (s, 2 H, C*H*$_2$), 6.78–7.25 (m, 10 H, C*H*$_{arom.}$, C*H*N) ppm. ^{13}C NMR (125 MHz, $CDCl_3$): δ = 17.2 (*C*H$_3$), 37.3 (*C*H$_2$), 54.2 (CH$_3$*C*H), 59.2 (CH$_3$CH*C*H), 118.0, 125.8, 126.1, 127.3, 128.2, 128.9, 130.0 (*C*H$_{arom.}$), 134.0, 141.1, 149.9 (*ipso-C*), 162.2 (*C*HN) ppm. IR (film): $\tilde{\nu}$ = 3084 (w), 3060 (m), 3026 (m), 3001 (m), 2970 (m), 2928 (m), 2878 (w), 1950 (vw), 1915 (vw), 1809 (vw), 1657 (s), 1595 (m), 1580 (w), 1495 (s), 1487 (s), 1450 (s), 1427 (m), 1379 (w), 1354 (w), 1288 (w), 1232 (w), 1215 (m), 1099 (w), 1072 (w), 1043 (w), 1030 (w), 1005 (m), 961 (m), 949 (m), 854 (m), 839 (m), 795 (w), 764 (s), 735 (s), 698 (s), 613 (w), 609 (w), 474 (vs), 459 (vs), 449 (vs), 436 (vs), 428 (vs), 413 (vs) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 274.1202; found 274.1193. $C_{17}H_{17}NO$ (251.32 g/mol): calcd. C 81.24, H 6.82, N 5.57; found C 81.22, H 6.72, N 5.47.

(2-Benzylphenyl)[1-(3-propyloxiranyl)methylidene]amine (1g): From 3-propyloxirane-2-carbaldehyde (**4b**) (1.14 g, 10.00 mmol) and 2-benzylbenzenamine (2.02 g, 11.00 mmol). Pale yellow oil, 2.16 g

(7.73 mmol; 77%), b.p. 144 °C (0.019 mbar). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.99 (t, ^3J = 7.3 Hz, 3 H, CH_3), 1.40–1.68 (m, 4 H, $CH_3CH_2CH_2$), 2.95–3.03 (m, 1 H, CH_2CH), 3.41 (m, 1 H, C*H*CHN), 3.38–4.42 (m, 2 H, $PhCH_2Ph$), 6.74–6.83 (m, 1 H, C$H_{arom.}$), 7.11–7.25 (m, 9 H, C$H_{arom.}$, C*H*N) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 13.8 (*C*H$_3$), 19.2 (CH_3CH_2), 33.5 (CH_2CH), 37.3 ($PhCH_2Ph$), 58.1 (CH_2CH), 58.2 (*C*HCHN), 118.0, 125.8, 126.1, 127.3, 128.2, 128.9, 130.0 (*C*H$_{arom.}$), 134.0, 144.1, 149.9 (*ipso-C*), 162.3 (*C*HN) ppm. IR (film): $\tilde{\nu}$ = 3084 (w), 3061 (w), 3026 (m), 3003 (w), 2961 (m), 2934 (m), 2874 (m), 2361 (w), 2341 (w), 1651 (m), 1603 (w), 1595 (w), 1580 (w), 1495 (m), 1487 (m), 1450 (m), 1381 (w), 1292 (w), 1217 (w), 1099 (w), 1072 (w), 1043 (w), 1030 (w), 961 (w), 889 (w), 862 (w), 841 (w), 802 (w), 762 (m), 735 (m), 698 (m), 611 (w), 471 (vs), 451 (vs), 434 (vs), 428 (vs), 417 (vs), 407 (vs) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 302.1515; found 302.1510. $C_{19}H_{21}NO$ (279.38 g/mol): calcd. C 81.68, H 7.58, N 5.01; found C 81.61, H 7.62, N 5.00.

(2-Benzylphenyl){1-[(2*S*,3*S*)-3-propyloxiranyl]methylidene}amine (2*S*,3*S*-1g): From (2*R*,3*S*)-3-propyloxirane-2-carbaldehyde [(2*R*,3*S*)-**4b**, 1.99 g, 17.43 mmol] and 2-benzylbenzenamine (3.17 g, 17.30 mmol). Yellow oil, 2.88 g (10.31 mmol; 59%). The spectroscopic data correspond to the data of the racemic compound. Optical rotation (c = 0.002 mg/µL, CH_2Cl_2): $[\alpha]_D^{20}$ = –41.5, $[\alpha]_{578}^{20}$ = –45.8, $[\alpha]_{546}^{20}$ = –53.0, $[\alpha]_{436}^{20}$ = –96.3, $[\alpha]_{365}^{20}$ = –214.8.

(4-Methoxyphenyl)[1-(3-propyloxiranyl)methylidene]amine (1h): From 3-propyloxirane-2-carbaldehyde (**4b**) (1.14 g, 10.00 mmol) and *p*-anisidine (1.36 g, 11.00 mmol). Yellow oil, 1.30 g (5.93 mmol; 59%), b.p. 135 °C (0.07 mbar). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 1.00 (t, ^3J = 7.2 Hz, 3 H, CH_3), 1.44–1.78 (m, 4 H, $CH_3CH_2CH_2$), 3.12–3.19 (m, 1 H, CH_2CH), 3.44 (dd, $^3J_1$ = 7.2, $^3J_2$ = 2.0 Hz, C*H*CHN), 3.80 (s, 3 H, OCH_3), 6.83–6.93 (m, 2 H, C$H_{arom.}$), 7.05–7.17 (m, 2 H, C$H_{arom.}$), 7.38 (d, ^3J = 7.2 Hz, 1 H, C*H*N) ppm. ^{13}C NMR (75 MHz, $CDCl_3$): δ = 13.8 (*C*H$_3$), 19.1 (CH_3CH_2), 33.5 (CH_2CH), 55.4 (OCH_3), 58.3, 58.4 (*C*H*C*H), 114.3, 122.0 (*C*H$_{arom.}$), 143.4, 158.5 (*ipso-C*), 159.9 (*C*HN) ppm. IR (film): $\tilde{\nu}$ = 3036 (w), 3001 (w), 2961 (m), 2934 (m), 2874 (m), 2837 (m), 1647 (m), 1603 (m), 1580 (m), 1506 (vs), 1464 (m), 1441 (m), 1381 (w), 1325 (w), 1300 (m), 1286 (m), 1246 (vs), 1207 (m), 1180 (w), 1165 (m), 1107 (w), 1034 (m), 959 (w), 889 (w), 862 (m), 835 (m), 802 (w), 781 (w), 748 (w), 471 (vs), 455 (vs), 438 (vs), 413 (vs) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 242.1151; found 242.1148. $C_{13}H_{17}NO_2$ (219.28 g/mol): calcd. C 71.21, H 7.81, N 6.39; found C 71.09, H 7.97, N 6.43.

(2-Benzylphenyl)[1-(3,3-dimethyloxiranyl)methylidene]amine (1i): From 3,3-dimethyloxirane-2-carbaldehyde (**4d**) (1.20 g, 12.00 mmol) and 2-benzylbenzenamine (2.42 g, 13.20 mmol). Yellow oil, 2.87 g (10.80 mmol; 90%), b.p. 140 °C (0.015 mbar). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.31 (s, 3 H, CH_3), 1.42 (s, 3 H, CH_3), 3.47 (d, ^3J = 6.8 Hz, 1 H, C*H*), 4.07 (pseudo-q, ^2J = 15.1 Hz, 2 H, CH_2), 6.78 (d, ^3J = 7.5 Hz, 1 H, C$H_{arom.}$), 7.04–7.29 (m, 8 H, C$H_{arom.}$), 7.42 (d, ^3J = 6.8 Hz, 1 H, C*H*N) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 19.3 (*C*H$_3$), 24.7 (*C*H$_3$), 37.3 (*C*H$_2$), 60.8 (CH_3CH), 63.4 (*C*HCHN), 118.1, 125.8, 126.2, 127.4, 128.2, 128.9, 130.1 (*C*H$_{arom.}$), 134.0, 141.1, 150.2 (*ipso-C*), 161.9 (*C*HN) ppm. IR (film): $\tilde{\nu}$ = 3379 (w), 3084 (w), 3061 (m), 3026 (m), 2993 (m), 2965 (m), 2924 (m), 1948 (vw), 1915 (vw), 1807 (vw), 1651 (m), 1603 (m), 1595 (m), 1585 (m), 1495 (s), 1452 (s), 1410 (m), 1379 (m), 1331 (m), 1310 (w), 1287 (w), 1242 (m), 1215 (w), 1180 (w), 1155 (w), 1113 (m), 1101 (m), 1074 (w), 1040 (w), 1030 (w), 984 (w), 961 (w), 939 (w), 903 (w), 852 (w), 816 (m), 777 (m), 764 (s), 735 (s), 698 (s), 613 (w), 484 (vs), 467 (vs), 457 (vs), 449 (vs), 436 (vs), 426 (vs), 413 (s) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 288.1359; found 288.1356. $C_{18}H_{19}NO$ (265.34 g/mol): calcd. C 81.48, H 7.22, N 5.28; found C 81.74, H 7.43, N 5.56.

(4-Methoxyphenyl)[1-(3,3-dimethyloxiranyl)methylidene]amine (1j): From 3,3-dimethyloxirane-2-carbaldehyde (**4d**) (1.25 g, 12.48 mmol) and *p*-anisidine (1.63 g, 13.35 mmol). Yellow oil, 2.05 g (9.97 mmol; 80%), b.p. 119 °C (0.015 mbar). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 1.46 [s, 6 H, $C(CH_3)_2$], 3.50 (d, ^3J = 6.8 Hz, 1 H, C*H*), 3.81 (s, 3 H, OCH_3), 6.85–6.94 (m, 2 H, C$H_{arom.}$), 7.07–7.16 (m, 2 H, C$H_{arom.}$), 7.64 (d, ^3J = 6.8 Hz, C*H*N) ppm. ^{13}C NMR (75 MHz, $CDCl_3$): δ = 19.5, 24.5 (*C*H$_3$), 55.4 (OCH_3), 60.9 [*C*(CH$_3$)$_2$], 63.6 (*C*H), 114.3, 122.0 (*C*H$_{arom.}$), 143.7, 158.5 (*ipso-C*), 159.5 (*C*HN) ppm. IR (film): $\tilde{\nu}$ = 3589 (w), 3568 (w), 3425 (w), 3047 (w), 3036 (w), 3003 (m), 2990 (m), 2972 (m), 2957 (m), 2934 (w), 2926 (w), 2839 (w), 2743 (vw), 2544 (w), 2054 (w), 1990 (vw), 1911 (w), 1892 (w), 1636 (vs), 1599 (vs), 1560 (w), 1504 (vs), 1468 (m), 1456 (m), 1441 (m), 1421 (m), 1408 (m), 1381 (m), 1335 (m), 1298 (vs), 1286 (vs), 1246 (vs), 1204 (m), 1178 (vs), 1165 (vs), 1105 (vs), 1032 (vs), 982 (m), 970 (m), 935 (w), 895 (w), 841 (vs), 814 (m), 799 (vs), 744 (m), 723 (w), 679 (m), 638 (w), 573 (w), 544 (m), 503 (m), 467 (w), 426 (w) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 228.0995; found 228.0988. $C_{12}H_{15}NO_2$ (205.25 g/mol): calcd. C 70.22, H 7.37, N 6.82; found C 70.18, H 7.30, N 6.76.

(2,6-Diisopropylphenyl)[1-(3-propyloxiranyl)methylidene]amine (1k): From 3-propyloxirane-2-carbaldehyde (**4b**) (2.81 g, 32.62 mmol) and 2,6-diisopropylbenzenamine (6.36 g, 35.88 mmol). Yellow oil, 6.53 g (3.88 mmol; 73%), b.p. 103 °C (0.098 mbar). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 1.02 (t, ^3J = 7.3 Hz, 3 H, CH_3CH_2), 1.12–1.19 [m, 12 H, (CH_3)$_2$CH], 1.49–1.76 (m, 4 H, CH_2CH_2), 2.83–2.99 [m, 2 H, C*H*(CH$_3$)$_2$], 3.11 (dt, $^3J_1$ = 5.6, $^3J_2$ = 2.1 Hz, 1 H, CH_2CH), 3.53 (dd, $^3J_1$ = 7.2, $^3J_2$ = 2.1 Hz, 1 H), 7.00–7.17 (m, 4 H, C$H_{arom.}$, C*H*N) ppm. ^{13}C NMR (75 MHz, $CDCl_3$): δ = 13.8 (CH_3CH_2), 19.2 (CH_3CH_2), 23.3, 23.5 [(CCH_3)$_2$CH], 27.7 [*C*H(CH$_3$)$_2$], 33.4 (*C*H$_2$CH), 57.9, 58.0 (*C*H), 123.0, 124.4 (*C*H$_{arom.}$), 137.3, 147.9 (*ipso-C*), 164.5 (*C*HN) ppm. IR: $\tilde{\nu}$ = 2959 (m), 2930 (m), 868 (m), 1655 (m), 1591 (w), 1464 (m), 1383 (w), 1362 (w), 1350 (w), 1312 (w), 1292 (w), 1275 (w), 1256 (w), 1242 (w), 1217 (w), 1182 (w), 1169 (w), 1107 (w), 1059 (w), 1040 (w), 1036 (w), 993 (w), 935 (m), 885 (m), 860 (m), 818 (m), 800 (m), 787 (m), 760 (s), 739 (w), 683 (w), 606 (w), 596 (w), 573 (w), 559 (w), 548 (w), 530 (w), 515 (m) cm^{-1}. ESI-EM [M + H]$^+$: calcd. 274.2165; found 274.2156. $C_{18}H_{27}NO$ (273.42 g/mol): calcd. C 79.07, H 9.95, N 5.12; found C 79.14, H 10.02, N 5.22.

***N*,*N*′-Bis[1-(3-methyloxiranyl)methylidene]naphthalene-1,5-diamine (5a):** From 3-methyloxirane-2-carbaldehyde (**4a**) (10.95 g, 130.00 mmol) and 1,5-diaminonaphthalene (10.28 g, 65.00 mmol). The crude product was purified by crystallisation from dichloromethane. Colourless solid, 12.82 g (43.55 mmol; 67%), m.p. 163 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ = 1.50 (d, ^3J = 5.2 Hz, 6 H, CH_3), 3.30 (dq, $^3J_1$ = 5.0, $^3J_2$ = 2.0 Hz, 2 H, CH_3CH), 3.57 (dd, $^3J_1$ = 7.3, $^3J_2$ = 2.0 Hz, 2 H, CH_3CHCH), 6.95 (d, ^3J = 7.2 Hz, 2 H, C*H*N), 7.48–7.39 (m, 4 H, C$H_{arom.}$), 8.10 (d, ^3J = 8.5 Hz, 2 H, C$H_{arom.}$) ppm. ^{13}C NMR (75 MHz, $CDCl_3$): δ = 17.2 (*C*H$_3$), 54.6 (CH_3CH), 59.3 (CH_3CHCH), 113.7, 121.9, 125.9 (*C*H$_{arom.}$), 128.4, 147.8 (*ipso-C*), 162.7 (*C*HN) ppm. IR (film): $\tilde{\nu}$ = 3437 (vw), 3076 (vw), 3045 (vw), 3024 (vw), 2991 (m), 2970 (w), 2930 (vw), 1641 (s), 1582 (w), 1506 (vw), 1445 (w), 1431 (w), 1402 (s), 1358 (vw), 1292 (vw), 1258 (w), 1232 (w), 1146 (vw), 1126 (vw), 1069 (vw), 1005 (s), 966 (m), 951 (m), 910 (w), 895 (w), 831 (vs), 779 (vs), 756 (w), 700 (vw), 613 (w), 584 (vw), 565 (vw), 503 (w) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 317.1260; found 317.1263. $C_{18}H_{18}N_2O_2$ (294.35 g/mol): calcd. C 73.45, H 6.16, N 9.52; found C 73.06, H 6.22, N 9.47.

***N*,*N*′-Bis[1-(3-propyloxiranyl)methylidene]naphthalene-1,5-diamine (5b):** From 3-propyloxirane-2-carbaldehyde (**4b**) (5.99 g, 52.50 mmol) and 1,5-diaminonaphthalene (3.96 g, 25.00 mmol). The crude product was purified by crystallisation from dichloromethane. Colourless solid, 2.70 g (7.75 mmol; 15%), m.p. 140 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ = 1.03 (t, ^3J = 7.2 Hz, 6 H, CH_3), 1.36–1.86 (m, 8 H, CH_2), 3.13–3.31 (m, 2 H, CH_2CH), 3.42–3.67 (m, 2 H, CH_2CHCH), 6.95 (d, ^3J = 7.2 Hz, 2 H, CHN), 7.32–7.58 (m, 4 H, C$H_{arom.}$), 8.10 (d, ^3J = 8.5 Hz, 2 H, C$H_{arom.}$) ppm. ^{13}C NMR (75 MHz, $CDCl_3$): δ = 13.8 (CH_3), 19.2 (CH_3CH_2), 33.5 (CH_2CH), 58.3, 58.3 (CH), 113.7, 121.8, 125.8 (C$H_{arom.}$), 128.4, 147.8 (*ipso*-*C*), 162.8 (CHN) ppm. IR: $\tilde{\nu}$ = 3067 (vw), 2961 (m), 2940 (w), 2916 (w), 2876 (w), 2864 (w), 1917 (vw), 1790 (vw), 1641 (m), 1622 (m), 1582 (w), 1506 (w), 1462 (m), 1443 (w), 1427 (vw), 1402 (m), 1385 (vw), 1369 (vw), 1348 (vw), 1329 (vw), 1296 (w), 1258 (w), 1227 (w), 1211 (vw), 1155 (vw), 1126 (vw), 1080 (vw), 1061 (w), 1040 (vw), 1013 (vw), 978 (m), 961 (w), 920 (m), 903 (w), 889 (w), 878 (w), 856 (vs), 775 (vs), 741 (m), 704 (w), 611 (m), 584 (m), 571 (m), 548 (w), 532 (m), 521 (s), 511 (m), 503 (vs) cm^{-1}. ESI-EM [M + H]$^+$ calcd. 351.2067; found 351.2098. $C_{22}H_{26}N_2O_2$ (350.45 g/mol): calcd. C 75.40, H 7.48, N 7.99; found C 75.48, H 7.47, N 8.00.

X-ray Crystal Structure Analysis of 5b:[21,22] Formula $C_{22}H_{26}N_2O_2$, M = 350.45, colorless crystal 0.30 × 0.15 × 0.10 mm, a = 14.4641(3), b = 4.4413(1), c = 15.0247(3) Å, β = 104.778(1)°, V = 933.25(3) Å^3, ρ_{calc} = 1.247 g cm^{-3}, μ = 0.632 mm^{-1}, empirical absorption correction ($0.833 \le T \le 0.940$), Z = 2, monoclinic, space group $P2_1/c$ (No. 14), λ = 1.54178 Å, T = 223(2) K, ω and ϕ scans, 7281 reflections collected ($\pm h$, $\pm k$, $\pm l$), [(sinθ)/λ] = 0.60 Å^{-1}, 1663 independent (R_{int} = 0.040) and 1567 observed reflections [$I \ge 2\sigma(I)$], 119 refined parameters, R = 0.044, wR^2 = 0.123, max. (min.) residual electron density 0.19 (–0.19) e Å^{-3}, hydrogen atoms calculated and refined as riding atoms.

General Procedure for the Addition of Lithium Enolates to the Oxiranecarbaldimines 1: Synthesis of the β-Lactams 7: To a solution of freshly prepared LDA (2.6 equiv.) in THF (10 mL/mmol of imine **1**) the respective methyl ester **6** (2.5 equiv.) was added at –78 °C. After stirring for 30 min without cooling a solution of the imine **1** (1.0 equiv.) in THF (10 mL) was added at –78 °C. The reaction mixture was warmed to room temperature overnight and was then quenched with a saturated aqueous solution of NH_4Cl (30 mL). The layers were separated, the aqueous phase was extracted with Et_2O (3 × 30 mL) and the combined organic phases were dried with K_2CO_3. The salts were filtered off and the solvent was removed in vacuo. The crude product was purified by column chromatography or crystallisation. Most compounds were isolated as a mixture of diastereomers. If no other information is given, the NMR-data refer to the main isomer with *trans*-*ul* configuration. The diastereomeric ratio was determined by gas chromatography (GC) or NMR spectroscopy (^{1}H NMR).

1-*tert*-Butyl-3,3-dimethyl-4-(3-methyloxiranyl)azetidin-2-one (7a): From *tert*-butyl[1-(3-methyloxiranyl)methylidene]amine (**1a**) (0.42 g, 3.00 mmol), LDA (7.80 mmol) and methyl isobutyrate (**6a**) (0.86 mL, 7.50 mmol). The crude product was purified by column chromatography. Pale yellow oil, 0.21 g (1.01 mmol; 34%), R_f (TLC) = 0.10 (pentane/TBME = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.18–1.22, 1.22–1.24 [m, 6 H, (CH_3)$_2$C$_q$], 1.34–1.42 [m, 12 H, (CH_3)$_2$C$_q$, (CH_3)$_3$C$_q$], 2.65–2.69 (m, 1 H, CH_3CHCHCH), 2.74–2.79 (m, 1 H, CHN), 2.81–2.88 (m, 1 H, CH_3CH) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 17.1 [(CH_3)$_2$C$_q$], 17.2 (CH_3CH), 22.4 [(CH_3)$_2$C$_q$], 28.2 [(CH_3)$_3$C$_q$], 50.7 [C$_q$(CH_3)$_2$], 52.2 (CH_3CH), 53.3 [C$_q$ (CH_3)$_3$], 59.3 (CH_3CH CH), 64.5 (CH_3CHCH CH), 172.8 (C=O) ppm. IR (film): $\tilde{\nu}$ = 3476 (w), 2968 (m), 2874 (m), 1744 (m), 1464 (m), 1439 (m), 1391 (m), 1367 (m), 1342 (m), 1281 (m), 1259 (m), 1231 (m), 1159 (m), 1097 (m), 1069 (w), 1026 (m), 991 (m), 947 (m), 910 (w), 868 (m), 843 (w), 764 (w), 750 (w), 478 (vs), 471 (vs), 465 (vs), 455 (vs), 436 (vs), 413 (s), 403 (m) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 234.1465; found 234.1462. $C_{12}H_{21}NO_2$ (211.30 g/mol): calcd. C 68.21, H 10.02, N 6.63; found C 67.90, H 9.89, N 6.25.

1-Cyclopropyl-4-(3-isopropyloxiranyl)-3,3-dimethylazetidin-2-one (7b): From cyclopropyl-[1-(3-isopropyloxiranyl)methylidene]amine (**1b**) (0.46 g, 3.00 mmol), LDA (7.80 mmol) and methyl isobutyrate (**6a**) (0.86 mL, 7.50 mmol). The crude product was purified by column chromatography. Pale yellow oil, 0.33 g (1.46 mmol; 49%), R_f (TLC) = 0.17 (pentane/TBME = 2:1). *dr*(GC) = 1:0.006. ^{1}H NMR (300 MHz, $CDCl_3$): δ = 0.76–0.91 (m, 4 H, CH_2CH_2), 1.00 [d, ^3J = 6.9 Hz, (CH_3)$_2$CH], 1.06 [d, ^3J = 6.7 Hz, (CH_3)$_2$CH], 1.25, 1.26 [s, 3 H, (CH_3)$_2$C$_q$], 1.51–1.65 [m, 1 H, CH(CH$_3$)$_2$], 2.55 [dd, $^3J_1$ = 7.0, $^3J_2$ = 2.1 Hz, 1 H, (CH$_3$)$_2$CHCH], 2.59–2.68 [m, 1 H, CH(CH$_2$)$_2$], 2.74 (d, ^3J = 8.0 Hz, 1 H, CHN), 2.78 (dd, $^3J_1$ = 8.0, $^3J_2$ = 2.1 Hz, 1 H, CHCHN) ppm. ^{13}C NMR (75 MHz, $CDCl_3$): δ = 4.8, 5.2 (CH_2), 17.3 [(CH_3)$_2$C$_q$], 18.1, 19.0 [(CH_3)$_2$CH], 22.2 [(CH_3)$_2$C$_q$], 23.2 [CH(CH$_2$)$_2$], 30.1 [CH(CH$_3$)$_2$], 51.6 (C$_q$), 56.3 (C$_q$CH CH), 60.7 [(CH$_3$)$_2$CH CH], 66.1 (CHN), 173.7 (C=O) ppm. IR (film): $\tilde{\nu}$ = 3582 (w), 3491 (w), 3096 (w), 2964 (vs), 2932 (s), 2874 (s), 1755 (vs), 1747 (vs), 1466 (s), 1406 (vs), 1381 (s), 1369 (s), 1337 (m), 1296 (m), 1277 (m), 1200 (m), 1148 (m), 1109 (m), 1026 (m), 1003 (m), 961 (m), 945 (m), 924 (m), 899 (s), 870 (w), 856 (m), 827 (m), 800 (m), 737 (w), 536 (m), 486 (vs), 474 (vs), 465 (vs), 455 (vs), 446 (vs), 428 (vs), 415 (vs), 405 (m) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 246.1465; found246.1451. $C_{13}H_{21}NO_2$ (223.31 g/mol): calcd. C 69.92, H 9.48, N 6.27; found C 69.71, H 9.30, N 6.17.

1-(4-Methoxyphenyl)-3,3-dimethyl-4-(3-methyloxiranyl)azetidin-2-one (7c): From (4-methoxyphenyl)-*N*-[(3-methyloxiran-2-yl-methylidene]amine (**1d**) (0.58 g, 3.00 mmol), LDA (7.80 mmol) and methyl isobutyrate (**6a**) (0.86 mL, 7.50 mmol). The crude product was purified by column chromatography. Brown solid, 0.67 g (2.56 mmol; 85%), m.p. 80 °C, R_f (TLC) = 0.46 (pentane/TBME = 1:2), *dr*(GC) = 0.3:0.7:8.3:71.5. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 1.35 [s, 3 H, C$_q$(CH_3)$_2$], 1.38 [s, 3 H, C$_q$(CH_3)$_2$], 1.40 (d, ^3J = 5.2 Hz, 3 H, CH_3CH), 2.78–2.84 (m, 1 H, CH_3CHCH), 2.9–2.98 (m, 1 H, CH_3CHCH), 3.23 (d, ^3J = 7.8 Hz, 1 H, CHN), 3.79 (s, 3 H, OCH_3), 6.85–6.92 (m, 2 H, C$H_{arom.}$), 7.48–7.56 (m, 2 H, C$H_{arom.}$) ppm. ^{13}C NMR (125 MHz, $CDCl_3$): δ = 17.3 (CH_3CH), 17.6, 22.6 [C$_q$(CH_3)$_2$], 51.8 (CH_3CH), 52.7 [C$_q$(CH$_3$)$_2$], 55.5 (OCH_3), 57.9 (CH_3CHCH), 65.8 (CHN), 114.4, 118.3 (C$H_{arom.}$), 131.6, 156.1 (*ipso*-*C*), 170.1 (C=O) ppm. IR (KBr): $\tilde{\nu}$ = 3451 (w), 2990 (m), 2964 (m), 2932 (m), 2872 (w), 2835 (w), 1734 (vs), 1693 (w), 1585 (w), 1514 (vs), 1468 (m), 1454 (m), 1443 (m), 1396 (vs), 1379 (m), 1356 (w), 1302 (m), 1290 (m), 1250 (vs), 1184 (m), 1155 (m), 1132 (w), 1111 (m), 1080 (w), 1061 (m), 1030 (vs), 1011 (w), 989 (w), 943 (m), 895 (w), 864 (m), 843 (m), 827 (vs), 799 (m), 766 (w), 729 (w), 598 (m), 527 (w), 498 (w), 474 (w) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 284.1257; found 284.1246. $C_{15}H_{19}NO_3$ (261.32 g/mol): calcd. C 68.94, H 7.33, N 5.36; found C 68.82, H 7.35, N 5.31.

X-ray Crystal Structure Analysis of 7c:[21,22] Formula $C_{15}H_{19}NO_3$, M = 261.31, colorless crystal 0.45 × 0.10 × 0.06 mm, a = 18.2874(9), b = 16.7783(7), c = 9.4673(3) Å, β = 94.249(2)°, V = 2896.9(2) Å^3, ρ_{calc} = 1.198 g cm^{-3}, μ = 0.675 mm^{-1}, empirical absorption correction ($0.751 \le T \le 0.961$), Z = 8, monoclinic, space group $P2_1/c$ (No. 14), λ = 1.54178 Å, T = 223(2) K, ω and ϕ scans, 17301 reflections collected ($\pm h$, $\pm k$, $\pm l$), [(sinθ)/λ] = 0.60 Å^{-1}, 4923 independent (R_{int} = 0.068) and 3216 observed reflections

[$I \geq 2\sigma(I)$], 351 refined parameters, R = 0.058, wR^2 = 0.155, max. (min.) residual electron density 0.16 (–0.16) e Å^{-3}, two almost identical molecules, hydrogen atoms calculated and refined as riding atoms.

1-(4-Bromophenyl)-3,3-dimethyl-4-(3-methyloxiranyl)azetidin-2-one (7d): From (4-bromophenyl)-*N*-[(3-methyloxiran-2-yl)methylidene]-amine (**1e**) (0.76 g, 3.12 mmol), LDA (16.20 mmol) and methyl isobutyrate **6a** (1.83 mL, 16.00 mmol). The crude product was purified by column chromatography. Yellow resin, 0.55 g (1.79 mmol; 57%), R_f (TLC) = 0.29 (pentane/TBME = 2:1), *dr*(GC) = 1.0:4.2:42.8. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 1.36 [s, 3 H, C(CH_3)$_2$], 1.39 [s, 3 H, C(CH_3)$_2$], 1.41 (d, ^3J = 5.2 Hz, 3 H, CH_3CH), 2.80 (dd, $^3J_1$ = 7.9, $^3J_2$ = 2.3 Hz, 1 H, CH$_3$CHC*H*), 2.96 (dq, $^3J_1$ = 5.2, $^3J_2$ = 2.3 Hz, 1 H, CH$_3$C*H*), 3.23 (d, ^3J = 7.8 Hz, 1 H, C*H*N), 7.42–7.51 (m, 4 H, C$H_{arom.}$) ppm. ^{13}C NMR (125 MHz, $CDCl_3$): δ = 17.2 (*C*H$_3$CH), 17.6, 22.6 [C(*C*H$_3$)$_2$], 51.9 (CH$_3$*C*H), 57.7 (CH$_3$CH*C*H), 65.9 (*C*HN), 116.5 (*ipso-C*), 118.7, 132.1 (*C*H$_{arom.}$), 137.0 (*ipso-C*), 170.6 (*C*=O) ppm. IR (film): $\tilde{\nu}$ = 3491 (w, br), 3346 (vw), 3182 (w), 3092 (w), 3072 (w), 3049 (w), 2966 (vs), 2928 (vs), 2870 (s), 2727 (vw), 2594 (vw), 2581 (vw), 2141 (vw), 2039 (vw), 1998 (vw), 1939 (vw), 1892 (w), 1761 (vs), 1634 (w), 1593 (vs), 1493 (vs), 1493 (vs), 1466 (vs), 1447 (vs), 1416 (vs), 1391 (vs), 1371 (vs), 1306 (m), 1286 (m), 1231 (m), 1202 (m), 1178 (s), 1151 (s), 1111 (s), 1072 (vs), 1057 (s), 1009 (s), 988 (s), 947 (s), 891 (s), 864 (s), 826 (vs), 770 (s), 758 (m), 733 (m), 698 (w), 679 (w), 646 (vw), 623 (vw), 588 (vw), 505 (vs), 465 (vs), 451 (vs), 432 (vs), 411 (s) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 332.0262; found 332.0254. $C_{14}H_{16}BrNO_2$ (310.19 g/mol): calcd. C 54.21, H 5.20, N 4.52; found C 54.54, H 5.28, N 4.32.

1-(2-Benzylphenyl)-3,3-dimethyl-4-(3-methyloxiranyl)azetidin-2-one (7e): From (2-benzylphenyl)[1-(3-methyloxiranyl)methylidene]-amine (**1f**) (0.75 g, 3.00 mmol), LDA (7.80 mmol) and methyl isobutyrate (**6a**) (0.86 mL, 7.50 mmol). The crude product was purified by column chromatography. Pale yellow solid, 0.89 g (2.77 mmol; 92%), m.p. 68 °C, R_f (TLC) = 0.32 (pentane/TBME = 3:1), *dr*(GC) = 0.4:6.4:70.0. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.11 [s, 3 H, C$_q$(CH_3)$_2$], 1.18 (d, ^3J = 5.2 Hz, 3 H, CH_3CH), 1.19 [s, 3 H, C$_q$(CH_3)$_2$], 1.99 (dd, $^3J_1$ = 7.3, $^3J_2$ = 2.3 Hz, 1 H, CH$_3$CHC*H*), 2.64 (dq, $^3J_1$ = 5.2, $^3J_2$ = 2.3 Hz, 1 H, CH$_3$C*H*), 3.26 (d, ^3J = 7.3 Hz, 1 H, C*H*N), 4.04 (d, ^2J = 16.2 Hz, 1 H, CH_2), 4.41 (d, ^2J = 16.2 Hz, 1 H, CH_2), 7.07–7.29 (m, 9 H, C$H_{arom.}$) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 17.0 (*C*H$_3$CH), 17.2 [C$_q$(*C*H$_3$)$_2$], 22.2 [C$_q$(*C*H$_3$)$_2$], 39.0 (*C*H$_2$), 50.3 (CH$_3$*C*HCH), 51.6 [C$_q$(CH$_3$)$_2$], 57.3 (CH$_3$CH*C*H), 66.3 (CH*C*HN), 123.4, 126.0, 126.7, 127.2, 128.2, 128.7, 131.9 (*C*H$_{arom.}$), 135.0, 135.4, 140.7 (*ipso-C*), 170.4 (*C*=O) ppm. IR (KBr): $\tilde{\nu}$ = 3568 (w), 3458 (w), 3105 (w), 3082 (w), 3059 (m), 3028 (m), 3005 (m), 2963 (vs), 2922 (m), 2862 (m), 1948 (w), 1838 (w), 1734 (vs), 1655 (w), 1601 (m), 1582 (m), 1495 (vs), 1454 (vs), 1393 (vs), 1369 (vs), 1354 (vs), 1323 (w), 1313 (w), 1285 (m), 1234 (w), 1204 (w), 1178 (w), 1148 (m), 1124 (m), 1109 (m), 1072 (m), 1049 (vs), 1028 (m), 1007 (w), 986 (w), 943 (m), 897 (w), 880 (w), 868 (m), 841 (w), 764 (vs), 723 (vs), 692 (vs), 648 (w), 623 (w), 613 (m), 569 (w), 523 (w), 498 (m), 471 (w), 459 (m), 438 (w) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 344.1621; found 344.1617. $C_{21}H_{23}NO_2$ (321.40 g/mol): calcd. C 78.47, H 7.21, N 4.36; found C 78.26, H 7.17, N 4.21.

X-ray Crystal Structure Analysis of 7e:[21,22] Formula $C_{21}H_{23}NO_2$, M = 321.40, colorless crystal 0.40 × 0.35 × 0.30 mm, a = 9.6840(1), b = 8.8310(1), c = 21.5600(1) Å, β = 95.276(1)°, V = 1835.99(3) Å^3, ρ_{calc} = 1.163 g cm^{-3}, μ = 0.585 mm^{-1}, empirical absorption correction (0.800 ≤ T ≤ 0.844), Z = 4, monoclinic, space group $P2_1/c$ (No. 14), λ = 1.54178 Å, T = 223(2) K, ω and ϕ scans, 13125 reflections collected (±h, ±k, ±l), [(sinθ)/λ] = 0.58 Å^{-1}, 2984 independent (R_{int} = 0.034) and 2901 observed reflections [$I \geq 2\sigma(I)$], 229 refined parameters, R = 0.039, wR^2 = 0.106, max. (min.) residual electron density 0.17 (–0.13) e Å^{-3}, hydrogen atoms calculated and refined as riding atoms.

1-(2-Benzylphenyl)-3,3-dimethyl-4-(3-propyloxiranyl)azetidin-2-one (7f): From (2-benzylphenyl)-*N*-[(3-propyloxiran-2-yl)methylidene]-amine (**1g**) (0.83 g, 3.00 mmol), LDA (7.80 mmol) and methyl isobutyrate (**6a**) (0.86 mL, 7.50 mmol). The crude product was purified by column chromatography. Pale yellow solid, 0.85 g (2.43 mmol; 81%), m.p. 88 °C, R_f (TLC) = 0.27 (pentane/TBME = 2:1), *dr*(^{1}H NMR) = 0.14:1.00. ^{1}H NMR (300 MHz, $CDCl_3$): δ = 0.88–0.95 (m, 3 H, CH_3CH$_2$), 1.10, 1.19 [s, 6 H, (CH_3)$_2$C$_q$], 1.27–1.48 (m, 4 H, CH_2), 2.02 (dd, $^3J_1$ = 7.4, $^3J_2$ = 2.3 Hz, 1 H, CH$_2$CHC*H*), 2.54–2.62 (m, 1 H, CH$_2$C*H*), 3.26 (d, ^3J = 7.4 Hz, 1 H C*H*N), 4.03 (d, ^2J = 16.2 Hz, 1 H, PhCH_2Ph), 4.43 (d, ^2J = 16.2 Hz, 1 H, PhCH_2Ph), 7.06–7.30 (m, 9 H, C$H_{arom.}$) ppm. ^{13}C NMR (75 MHz, $CDCl_3$): δ = 13.9 (*C*H$_3$CH$_2$), 17.3 [(*C*H$_3$)$_2$C$_q$], 19.0 (CH$_3$*C*H$_2$), 22.2 [(*C*H$_3$)$_2$C$_q$], 33.5 (*C*H$_2$CH), 39.1 (Ph*C*H$_2$Ph), 51.5 [(CH$_3$)$_2$*C*$_q$], 54.2, 56.2 (*C*H), 66.4 (*C*HN), 123.3, 125.9, 126.7, 127.2, 128.2, 128.6, 132.0 (*C*H$_{arom.}$), 135.0, 134.4, 140.8 (*ipso-C*), 170.3 (*C*=O) ppm. IR (KBr): $\tilde{\nu}$ = 3587 (vw), 3568 (w), 3547 (w), 3474 (w), 3084 (vw), 3061 (w), 3032 (w), 2995 (w), 2964 (m), 2932 (m), 2870 (m), 1747 (vs), 1703 (w), 1676 (w), 1655 (w), 1647 (w), 1638 (w), 1628 (w), 1618 (w), 1599 (w), 1576 (w), 1560 (w), 1543 (vw), 1493 (m), 1458 (m), 1431 (w), 1393 (m), 1375 (m), 1367 (m), 1344 (m), 1331 (m), 1283 (w), 1244 (w), 1225 (w), 1207 (w), 1190 (w), 1175 (w), 1159 (w), 1138 (m), 1123 (m), 1086 (w), 1065 (w), 1047 (w), 1028 (w), 1022 (w), 991 (w), 972 (vw), 951 (w), 914 (w), 889 (w), 870 (w), 822 (w), 764 (s), 735 (m), 719 (m), 698 (m), 679 (w), 644 (vw), 623 (w), 611 (w), 569 (vw), 521 (vw), 476 (vw), 465 (vw), 446 (w), 436 (w) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 372.1934; found 372.1938. $C_{23}H_{27}NO_2$ (349.47 g/mol): calcd. C 79.05, H 7.79, N 4.01; found C 78.78, H 7.94, N 3.93.

1-(2-Benzylphenyl)-3,3-dimethyl-(*R*)-4-[(2*S*,3*S*)-3-propyloxiranyl]-azetidin-2-one [(*S*,*S*,*R*)-7f]: From (2-benzylphenyl){1-[(2*S*,3*S*)-3-propyloxiranyl]methylidene}amine [(2*S*,3*S*)-**1g**, 0.56 g, 2.00 mmol], LDA (5.20 mmol) and methyl isobutyrate (**6a**) (0.57 mL, 5.00 mmol). The crude product was purified by column chromatography. Colourless solid, 0.52 g (1.50 mmol; 75%), m.p. 70 °C. The spectroscopic data corresponds to the data of the racemic compound. HPLC (Daicel Chiralpak IA, *n*-heptane:2-propanol 90:10, flow rate 0.8 mL/min, λ = 230 nm, column temperature 20 °C): 9.97 (minor enantiomer), 12.03 (major enantiomer). Optical rotation (c = 0.0095 mg/μL, CH_2Cl_2): $[\alpha]_D^{20}$ = +6.1, $[\alpha]_{578}^{20}$ = +6.7, $[\alpha]_{546}^{20}$ = +7.9, $[\alpha]_{436}^{20}$ = +19.2, $[\alpha]_{365}^{20}$ = +46.7. *ee*: 93%. $C_{23}H_{27}NO_2$ (349.47 g/mol).

1-(4-Methoxyphenyl)-3,3-dimethyl-4-(3-propyloxiranyl)azetidin-2-one (7g): From (4-methoxyphenyl)-*N*-[(3-propyloxiran-2-yl)methylidene]amine (**1h**) (0.66 g, 3.00 mmol), LDA (7.80 mmol) and methyl isobutyrate (**6a**) (0.86 mL, 7.50 mmol). The crude product was purified by column chromatography. Pale yellow solid, 0.77 g (2.66 mmol; 89%), m.p. 83 °C, R_f (TLC) = 0.27 (pentane/TBME = 2:1). *dr*(GC) = 0.3:0.8:11.2:85.7. ^{1}H NMR (300 MHz, $CDCl_3$): δ = 1.00 (t, ^3J = 7.1 Hz, 3 H, CH_3CH$_2$C$_q$), 1.35, 1.38 [s, 6 H, (CH_3)$_2$], 1.50–1.70 (m, 4 H, CH_2), 2.84–2.92 (m, 2 H, CH$_2$C*H*C*H*), 3.23 (d, ^3J = 7.7 Hz, 1 H C*H*N), 3.78 (s, 3 H, OCH_3), 6.84–6.93, 7.50–7.58 (m, 4 H, C$H_{arom.}$) ppm. ^{13}C NMR (75 MHz, $CDCl_3$): δ = 13.9 (*C*H$_3$CH$_2$), 17.7 [(*C*H$_3$)$_2$C$_q$], 19.2 (CH$_3$*C*H$_2$), 22.5 [(*C*H$_3$)$_2$C$_q$], 33.7 (CH$_2$*C*H), 52.6 [(CH$_3$)$_2$*C*$_q$], 55.4 (O*C*H$_3$), 55.8, 56.7 (*C*H), 65.9 (*C*HN), 114.3, 118.3 (*C*H$_{arom.}$), 131.6, 156.0 (*ipso-C*), 170.1 (*C*=O) ppm. IR (KBr): $\tilde{\nu}$ = 3449 (w), 3082 (vw), 3013 (w), 2961 (m), 2932 (m), 2876 (w), 2860 (w), 2833 (w), 1896 (vw), 1859 (vw), 1736 (vs),

1690 (w), 1630 (vw), 1583 (w), 1514 (vs), 1464 (m), 1433 (m), 1398 (m), 1377 (m), 1346 (w), 1325 (w), 1304 (m), 1292 (m), 1252 (s), 1177 (m), 1155 (m), 1119 (w), 1109 (m), 1084 (w), 1032 (m), 1011 (w), 993 (w), 907 (w), 897 (w), 880 (w), 827 (m), 800 (m), 773 (w), 744 (vw), 731 (vw), 598 (w), 540 (vw), 521 (w), 430 (vw) cm^{-1}. ESI-EM $[M + Na]^+$ calcd. 312.1570; found 312.1572. $C_{17}H_{23}NO_3$ (289.37 g/mol): calcd. C 70.56, H 8.01, N 4.84; found C 70.49, H 8.19, N 4.64.

1-(2-Benzylphenyl)-3,3-dimethyl-4-(3,3-dimethyloxiranyl)azetidin-2-one (7h): From (2-benzylphenyl)-*N*-[(3,3-dimethyloxiran-2-yl)methylidene]amine (**1h**) (0.80 g, 3.00 mmol), LDA (7.80 mmol) and methyl isobutyrate (**6a**) (0.86 mL, 7.50 mmol). The crude product was purified by column chromatography. Colourless solid, 0.90 g (2.68 mmol; 89%), m.p. 91 °C, R_f (TLC) = 0.20 (pentane/TBME = 4:1), *dr*(^{1}H NMR) = 0.03:1.00. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.06 (s, 3 H, CH_3), 1.16 (s, 3 H, CH_3), 1.18 (s, 3 H, CH_3), 1.22 (s, 3 H, CH_3), 1.97 (d, ^3J = 7.8 Hz, 1 H, C*H*CHN), 3.46 (d, ^3J = 7.8 Hz, 1 H, C*H*N), 4.03 (d, ^2J = 16.2 Hz, 1 H, CH_2), 4.47 (d, ^2J = 16.2 Hz, 1 H, CH_2), 7.05–7.33 (m, 9 H, C$H_{arom.}$) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 17.2, 19.5, 22.4, 24.4 (*C*H_3), 39.3 (*C*H_2), 51.5 [CHN*C*$_q$(CH$_3$)$_2$], 56.6 [(CH$_3$)$_2$*C*$_q$CH], 62.2, 64.8 (*C*H), 123.2, 126.0, 126.6, 127.3, 128.2, 128.6 (*C*H$_{arom.}$), 132.1, 135.1, 140.8 (*ipso-C*), 170.1 (*C*=O) ppm. IR (KBr): $\tilde{\nu}$ = 3479 (w), 3285 (w), 3080 (w), 3057 (w), 3028 (w), 2999 (w), 2972 (m), 2961 (m), 2924 (m), 2872 (w), 1732 (vs), 1653 (m), 1599 (m), 1583 (w), 1529 (m), 1493 (s), 1454 (s), 1391 (s), 1369 (s), 1340 (m), 1319 (w), 1290 (m), 1265 (w), 1240 (w), 1205 (w), 1186 (w), 1167 (w), 1148 (m), 1128 (m), 1119 (m), 1072 (w), 1051 (m), 1030 (w), 988 (w), 953 (w), 901 (w), 843 (w), 812 (w), 754 (s), 729 (s), 698 (s), 669 (w), 650 (w), 611 (w), 527 (w), 511 (w), 492 (w), 459 (w), 436 (w) cm^{-1}. ESI-EM $[M + Na]^+$ calcd. 358.1778; found 358.1772. $C_{22}H_{25}NO_2$ (335.44 g/mol): calcd. C 78.77, H 7.51, N 4.18; found C 78.57, H 7.38, N 4.12.

1-(4-Methoxy-phenyl)-3,3-dimethyl-4-(3,3-dimethyloxiranyl)azetidin-2-one (7i): From (4-methoxyphenyl)-*N*-[(3,3-dimethyloxiran-2-yl)methylidene]amine (**1j**) (0.62 g, 3.00 mmol), LDA (7.80 mmol) and methyl isobutyrate **6a** (0.86 mL, 7.50 mmol). The crude product was purified by column chromatography. Pale yellow solid, 0.71 g (2.58 mmol; 86%), m.p. 69 °C, R_f (TLC) = 0.27 (pentane/TBME = 2:1), *dr*(^{1}H NMR) = 0.02:1. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.33 (s, 3 H, CH_3C$_q$), 1.40 (m, 9 H, CH_3), 2.85 (d, ^3J = 7.8 Hz, 1 H, C*H*CHN), 3.48 (d, ^3J = 7.8 Hz, 1 H, C*H*N), 3.78 (s, 3 H, OCH_3), 6.85–6.92 (m, 2 H, C$H_{arom.}$), 7.48–7.55 (m, 2 H, C$H_{arom.}$) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 17.6 (*C*H$_3$C$_q$), 19.5, 22.5, 24.7 (*C*H$_3$), 52.6 (*C*$_q$CHN), 55.4 (O*C*H$_3$), 58.4 (*C*$_q$CH), 62.8, 63.9 (*C*H), 114.4, 118.3 (*C*H$_{arom.}$), 131.5, 156.0 (*ipso-C*), 169.8 (*C*=O) ppm. IR (KBr): $\tilde{\nu}$ = 3460 (w), 3003 (w), 2986 (w), 2964 (m), 2939 (m), 2876 (w), 2841 (w), 1879 (vw), 1742 (vs), 1647 (w), 1616 (vw), 1585 (w), 1516 (vs), 1468 (m), 1458 (m), 1448 (m), 1433 (m), 1391 (s), 1377 (s), 1335 (w), 1321 (w), 1302 (m), 1283 (w), 1250 (vs), 1194 (m), 1177 (m), 1148 (w), 1123 (m), 1072 (m), 1038 (m), 1013 (w), 989 (w), 903 (w), 889 (w), 833 (s), 793 (m), 744 (w), 729 (w), 694 (w), 600 (w), 528 (w), 517 (w), 494 (vw), 415 (w) cm^{-1}. ESI-EM $[M + Na]^+$ calcd. 298.1414; found 298.1414. $C_{16}H_{21}NO_3$ (275.34 g/mol): calcd. C 69.79, H 7.69, N 5.09; found C 69.73, H 7.64, N 5.02.

X-ray Crystal Structure Analysis of 7i:[21,22] Formula $C_{16}H_{21}NO_3$, M = 275.34, colorless crystal 0.25 × 0.10 × 0.10 mm, a = 10.5370(1), b = 15.8368(1), c = 9.1387(1) Å, V = 1525.00(2) Å^3, ρ_{calc} = 1.199 g cm^{-3}, μ = 0.665 mm^{-1}, empirical absorption correction ($0.851 \leq T \leq 0.936$), Z = 4, orthorhombic, space group $Pna2_1$ (No. 33), λ = 1.54178 Å, T = 223(2) K, ω and ϕ scans, 14155 reflections collected ($\pm h$, $\pm k$, $\pm l$), [(sinθ)/λ] = 0.60 Å^{-1}, 2601 independent (R_{int} = 0.061) and 2363 observed reflections [$I \geq 2\sigma(I)$], 186 refined parameters, R = 0.043, wR^2 = 0.106, Flack parameter 0.7(3), max. (min.) residual electron density 0.13 (–0.15) e Å^{-3}, hydrogen atoms calculated and refined as riding atoms.

1-(4-Methoxyphenyl)-4-(3-methyloxiranyl)-3,3-diphenylazetidin-2-one (7j): From (4-methoxyphenyl)-*N*-[(3-methyloxiran-2-yl)methylidene]amine (**1d**) (0.57 g, 3.00 mmol), LDA (7.80 mmol) and methyl diphenylacetate (**6b**) (1.70 g, 7.50 mmol). The crude product was purified by column chromatography. Colourless solid, 0.14 g (0.36 mmol; 12%), m.p. 65 °C, R_f (TLC) = 0.35 (pentane/TBME = 4:1), *dr*(GC) = 0.013:1. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.93 (d, ^3J = 5.2 Hz, 3 H, CH_3CH), 2.31 (dd, $^3J_1$ = 8.1, $^3J_2$ = 2.3 Hz, 1 H, CH$_3$CHC*H*), 3.03 (dq, $^3J_1$ = 5.2, $^3J_2$ = 2.3 Hz, 1 H, CH$_3$C*H*), 3.78 (s, 3 H, OCH_3), 4.25 (d, ^3J = 8.1 Hz, 1 H, C*H*C$_q$), 6.87–6.93 (m, 2 H, C$H_{arom.}$), 7.25–7.43 (m, 8 H, C$H_{arom.}$), 7.46–7.51 (m, 2 H, C$H_{arom.}$), 7.64–7.70 (m, 2 H, C$H_{arom.}$) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 16.8 (*C*H$_3$CH), 53.3 (CH$_3$*C*H), 55.4 (O*C*H$_3$), 59.2 (CH$_3$CH*C*H), 65.2 (C$_q$*C*H), 68.9 (*C*$_q$Ph$_2$), 114.4, 118.7, 127.3, 127.4, 127.6, 127.8, 127.9, 128.6, 128.7 (*C*H$_{arom.}$), 131.2, 137.9, 138.9, 156.4 (*ipso-C*), 165.6 (*C*=O) ppm. IR (KBr): $\tilde{\nu}$ = 3449 (vw), 3059 (vw), 2930 (w), 2835 (vw), 1746 (vs), 1713 (m), 1638 (vw), 1601 (vw), 1583 (vw), 1514 (vs), 1497 (m), 1466 (w), 1447 (m), 1391 (m), 1377 (m), 1300 (m), 1248 (vs), 1180 (m), 1163 (w), 1130 (m), 1115 (m), 1088 (w), 1061 (vw), 1032 (m), 1005 (w), 962 (w), 868 (m), 833 (m), 812 (vw), 770 (w), 756 (vw), 739 (w), 700 (s), 644 (vw), 552 (m), 527 (vw), 507 (vw), 473 (vw) cm^{-1}. ESI-EM $[M + Na]^+$ calcd. 408.1570; found 408.1580. $C_{25}H_{23}NO_3$ (385.46 g/mol): calcd. C 77.90, H 6.01, N 3.63; found C 77.55, H 6.29, N 3.41.

2-*tert*-Butyl-3-(3-methyloxiranyl)-2-azaspiro[3.5]nonan-1-one (7k): From *tert*-butyl[1-(3-methyloxiranyl)methylidene]amine (**1a**) (0.42 g, 3.00 mmol), LDA (7.80 mmol) and methyl cyclohexanecarboxylate (**6c**) (1.08 mL, 7.50 mmol). The crude product was purified by column chromatography. Pale yellow oil, 0.32 g (1.26 mmol; 46%), R_f (TLC) = 0.27 (pentane/TBME = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.33–1.43 [m, 12 H, (CH_3)$_3$, CH_3CH], 1.41–1.90 (m, 10 H, CH_2), 2.68–2.72 (m, 2 H, C$_q$C*H*C*H*), 2.85–2.91 (m, 1 H, CH$_3$C*H*) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 17.1 (*C*H$_3$CH), 23.0, 23.3, 25.3, 27.2 (*C*H$_2$), 28.3 [(*C*H$_3$)$_3$], 32.9 (*C*H$_2$), 53.3 [*C*(CH$_3$)$_3$], 53.3 (CH$_3$*C*H), 55.4 [(CH$_2$)$_2$*C*$_q$], 59.1 (CH$_3$CH*C*H), 64.4 (C$_q$*C*H), 172.7 (*C*=O) ppm. IR (film): $\tilde{\nu}$ = 3584 (w), 3470 (w), 2972 (vs), 2930 (vs), 2856 (vs), 1746 (vs), 1740 (vs), 1732 (vs), 1450 (s), 1369 (vs), 1339 (vs), 1306 (m), 1283 (m), 1269 (s), 1259 (s), 1234 (s), 1157 (s), 1140 (m), 1113 (m), 1092 (m), 1065 (m), 1024 (m), 1005 (m), 980 (m), 949 (m), 935 (m), 901 (w), 868 (s), 845 (w), 824 (w), 808 (w), 766 (m), 758 (m), 741 (m), 725 (w), 665 (w), 480 (vs), 465 (vs), 457 (vs), 434 (vs), 411 (s) cm^{-1}. ESI-EM $[M + Na]^+$ calcd. 274.1778; found 274.1751. $C_{15}H_{25}NO_2$ (251.36 g/mol): calcd. C 71.67, H 10.02, N 5.57; found C 71.37, H 10.00, N 5.25.

2-(4-Methoxyphenyl)-3-(3-methyloxiranyl)-2-azaspiro[3.5]nonan-1-one (7l): From (4-methoxyphenyl)[1-(3-methyloxiranyl)methylidene]amine (**1d**) (0.59 g, 3.07 mmol), LDA (7.80 mmol) and methyl cyclohexanecarboxylate (**6c**) (1.08 mL, 7.50 mmol). The crude product was purified by column chromatography. Colourless oil, 0.47 g (1.55 mmol; 51%), R_f (TLC) = 0.34 (pentane/TBME = 2:1), *dr*(GC) = 0.1:8.8:90.4. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.41 (d, ^3J = 5.2 Hz, 3 H, CH_3CH), 1.47–2.01 (m, 10 H, CH_2), 2.84 (dd, $^3J_1$ = 8.2, $^3J_2$ = 2.3 Hz, 1 H, CH$_3$CHC*H*), 2.98 (dq, $^3J_1$ = 5.2, $^3J_2$ = 2.3 Hz, 1 H, CH$_3$C*H*), 3.17 (d, ^3J = 8.2 Hz, 1 H, C*H*N), 3.78 (s, 3 H, OCH_3), 6.84–6.91 (m, 2 H, C$H_{arom.}$), 7.52–7.59 (m, 2 H, C$H_{arom.}$) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 17.1 (*C*H$_3$CH), 23.0, 23.3, 25.3, 27.6, 32.9 (*C*H$_2$), 52.6 (CH$_3$*C*H), 55.4 (O*C*H$_3$), 57.4

[$(CH_2)_2C_q$], 57.9 (CH_3CHCH), 65.7 (*C*HN), 114.2, 118.4 ($CH_{arom.}$), 131.7, 155.9 (*ipso-C*), 170.1 (*C*=O) ppm. IR (film): $\tilde{\nu}$ = 2928 (vs), 2855 (vs), 1751 (s), 1585 (m), 1514 (vs), 1464 (vs), 1454 (vs), 1379 (vs), 1362 (s), 1296 (s), 1248 (s), 1207 (m), 1180 (s), 1148 (s), 1128 (s), 1113 (m), 1101 (m), 1080 (m), 1055 (m), 1034 (s), 1007 (m), 970 (m), 949 (m), 928 (m), 901 (w), 868 (s), 831 (s), 804 (m), 764 (m), 752 (w), 735 (m), 721 (m), 598 (m), 523 (m), 478 (s), 465 (vs), 451 (vs), 442 (vs), 432 (vs), 415 (m), 407 (m) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 324.1570; found 324.1575. $C_{18}H_{23}NO_3$ (301.38 g/mol): calcd. C 71.73, H 7.69, N 4.65; found C 71.54, H 7.76, N 4.61.

2-(4-Methoxy-phenyl)-3-(3-propyloxiranyl)-2-azaspiro[3.5]nonan-1-one (7m): From (4-methoxyphenyl)[1-(3-propyloxiranyl)methylidene]amine (**1h**) (0.66 g, 3.00 mmol), LDA (7.80 mmol) and methyl cyclohexanecarboxylate (**6c**) (1.08 mL, 7.50 mmol). The crude product was purified by column chromatography. Pale yellow oil, 0.62 g (1.86 mmol; 62%), R_f (TLC) = 0.45 (pentane/TBME = 2:1), *dr*(GC) = 0.5:0.4:9.6:88.5. ^{1}H NMR (600 MHz, $CDCl_3$): δ = 1.00 (t, ^3J = 7.30 Hz, 3 H, CH_3CH), 1.40–1.81 (m, 10 H, CH_2), 1.82–1.95 (m, 3 H, CH_2), 1.96–2.03 (m, 1 H, CH_2), 2.88 (dd, $^3J_1$ = 8.1, $^3J_2$ = 2.0 Hz, 1 H, C_qCHCH), 2.89–2.93 (m, 1 H, CH_2CH), 3.16 (d, ^3J = 8.1 Hz, 1 H, C_qCH), 3.78 (s, 3 H, OCH_3), 6.83–6.88 (m 2 H, $CH_{arom.}$), 7.51–7.56 (m, 2 H, $CH_{arom.}$) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 13.9 (CH_3CH_2), 19.3, 23.1, 23.4, 25.3, 27.9, 33.1, 33.6 (CH_2), 55.4 (OCH_3), 56.4 (CH_2CH), 56.7 (C_qCHCH), 57.4 (C_q), 65.8 (C_qCH), 114.2, 118.4 ($CH_{arom.}$), 131.8, 155.9 (*ipso-C*), 170.2 (*C*=O) ppm. IR (film): $\tilde{\nu}$ = 2957 (vs), 2932 (vs), 2856 (vs), 1751 (s), 1585 (w), 1514 (s), 1462 (vs), 1379 (s), 1362 (s), 1342 (m), 1298 (s), 1248 (s), 1207 (m), 1178 (m), 1148 (m), 1132 (m), 1113 (m), 1082 (m), 1036 (m), 970 (w), 908 (m), 883 (w), 860 (w), 831 (m), 804 (m), 735 (w), 523 (m), 476 (s), 463 (vs), 451 (vs), 442 (vs), 417 (m), 407 (m) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 352.1889; found 352.1878. $C_{20}H_{28}NO_3$ (329.43 g/mol): calcd. C 72.92, H 8.26, N 4.25; found C 72.81, H 8.31, N 4.23.

1-(4-Methoxy-phenyl)-3-methyl-4-(3-methyloxiranyl)azetidin-2-one (7n): From (4-methoxyphenyl)[1-(3-methyloxiranyl)methylidene]-amine (**1d**) (0.46 g, 2.40 mmol), LDA (7.80 mmol) and methyl propionate (**6d**) (0.72 mL, 7.50 mmol). The crude product was purified by column chromatography. Pale yellow oil, 0.06 g (0.24 mmol; 10%), R_f (TLC) = 0.35 (pentane/TBME = 1:4), *dr*(GC) = 28(A):23(B):3:3:1. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.34–1.41 (m, 12 H, $CH_3CHCHCHN$, CH_3CHC=O, A/B), 2.83–2.87 (m, 2 H, $CH_3CHCHCHN$, A/B), 2.93–3.01 (m, 2 H, $CH_3CHCHCHN$, A/B), 3.15–3.21 (m, 1 H, CHC=O, B), 3.28 (dd, $^3J_1$ = 7.5, $^3J_2$ = 2.5 Hz, 1 H, *CH*N, B), 3.45–3.52 (m, 1 H, CHC=O, A), 3.57–3.60 (m, 1 H, *CH*N, A), 3.78 (s, 6 H, OCH_3, A/B), 6.81–6.92 (m, 4 H, $CH_{arom.}$, A/B), 7.46–7.56 (m, 4 H, $CH_{arom.}$, A/B) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 9.6 (CH_3CHC=O, A), 12.8 (CH_3CHC=O, B), 17.1 ($CH_3CHCHCHN$, A/B), 46.3 (*C*HC=O, A), 47.1 (*C*HC=O, B), 52.0, 52.1 ($CH_3CHCHCHN$, A/B), 55.4 (OCH_3, A/B), 57.8 (*C*HN, A), 57.9 ($CH_3CHCHCHN$, A), 59.0 ($CH_3CHCHCHN$, B), 61.8 (*C*HN, B), 114.3, 114.3, 118.2, 118.3 ($CH_{arom.}$, A/B), 131.4, 131.5, 156.0 (*ipso-C*, A/B), 166.8, 167.1 (*C*=O, A/B) ppm. IR (film): $\tilde{\nu}$ = 2961 (vs), 2934 (vs), 2872 (vs), 2853 (vs), 1757 (w), 1585 (vw), 1514 (m), 1462 (vs), 1377 (s), 1298 (m), 1248 (m), 1180 (w), 1151 (w), 1030 (w), 943 (vw), 866 (vw), 831 (w), 800 (vw), 721 (w), 471 (vs), 461 (vs), 451 (vs), 444 (vs), 434 (vs), 413 (s) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 270.1101; found 270.1099. $C_{14}H_{17}NO_3$ (247.29 g/mol): calcd. C 68.00, H 6.93, N 5.66; found C 67.70, H 6.96, N 5.39.

3-Ethyl-1-(4-methoxyphenyl)-3-methyl-4-(3-methyloxiranyl)azetidin-2-one (7o): From (4-methoxyphenyl)-[1-(3-methyloxiranyl)methylidene]amine (**1d**) (0.38 g, 2.00 mmol), LDA (5.20 mmol) and methyl 2-methylbutyrate (**6f**) (0.66 mL, 5.00 mmol). The crude product was purified by column chromatography. Yellow oil, 0.41 g (1.47 mmol; 74%), R_f (TLC) = 0.47 (pentane/TBME = 1:2), *dr*(GC) = 2.0:7.8:17.6:67.6. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.97 (t, ^3J = 7.5 Hz, 3 H, CH_3CH_2), 1.34 (s, 3 H, CH_3C_q), 1.40 (d, ^3J = 5.2 Hz, 3 H, CH_3CH), 1.73 (q, ^3J = 7.4 Hz, 2 H, CH_2), 2.82 (dd, $^3J_1$ = 7.8, $^3J_2$ = 2.3 Hz, 1 H, CH_3CHCH), 2.92 (dq, $^3J_1$ = 5.2, $^3J_2$ = 2.3 Hz, 1 H, CH_3CH), 3.27 (d, ^3J = 7.7 Hz, 1 H, C_qCH), 3.78 (s, 3 H, OCH_3), 6.85–6.92 (m, 2 H, $CH_{arom.}$), 7.49–7.58 (m, 2 H, $CH_{arom.}$) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 8.8 (CH_3CH_2), 15.1 (CH_3C_q), 17.2 (CH_3CH), 28.7 (CH_2), 52.2 (CH_3CH), 55.4 (OCH_3), 56.9 (C_q), 58.1 (CH_3CHCH), 63.2 (C_qCH), 114.3, 118.2 ($CH_{arom.}$), 131.4, 156.0 (*ipso-C*), 169.8 (*C*=O) ppm. IR (film): $\tilde{\nu}$ = 2963 (vs), 2932 (vs), 2874 (vs), 2856 (vs), 1746 (vs), 1585 (w), 1514 (vs), 1462 (vs), 1377 (vs), 1298 (s), 1246 (vs), 1178 (m), 1146 (m), 1109 (m), 1078 (m), 1059 (m), 1032 (m), 1003 (m), 949 (m), 893 (w), 864 (m), 833 (s), 762 (m), 725 (m), 592 (w), 476 (vs), 463 (vs), 455 (vs), 442 (vs), 434 (vs), 415 (m), 405 (m) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 298.1414; found 298.1414. $C_{16}H_{21}NO_3$ (275.34 g/mol): calcd. C 69.79, H 7.69, N 5.09; found C 69.63, H 7.68, N 4.78.

3-Ethyl-1-(4-methoxyphenyl)-3-methyl-4-(3-propyloxiranyl)azetidin-2-one (7p): From (4-methoxyphenyl)-[1-(3-propyloxiranyl)methylidene]amine (**1h**) (0.66 g, 3.00 mmol), LDA (7.80 mmol) and methyl 2-methylbutyrate (**6f**) (0.98 mL, 7.50 mmol). The crude product was purified by column chromatography. Yellow oil, 0.58 g (1.91 mmol; 64%), R_f (TLC) = 0.35 (pentane/TBME = 2:1), *dr*(^{1}H NMR) = 0.05(C):0.42(B):1.00(A). ^{1}H NMR (600 MHz, $CDCl_3$): δ = 0.96–1.01, 1.10–1.12 (m, 6 H, CH_3, A/B/C), 1.34 (s, 3 H, CH_3C_q, A), 1.37 (s, 3 H, CH_3C_q, B), 1.39 (s, 3 H, CH_3C_q, C), 1.42–1.75 (m, 6 H, CH_2, A/B/C), 1.90–1.96 (m, $CH_3CH_2C_q$, C), 2.84–2.87 (m, 2 H, CH_2CHCH, A), 2.89–2.91 (m, 2 H, CH_2CHCH, B), 3.09 (dd, $^3J_1$ = 8.3, $^3J_2$ = 4.4 Hz, 1 H, $CHCHC_q$, C), 3.12–3.16 (m, 1 H, CH_2CH), 3.20 (d, ^3J = 7.8 Hz, 1 H, C_qCH, B), 3.27 (d, ^3J = 7.6 Hz, 1 H, C_qCH, A), 3.47 (d, ^3J = 8.3 Hz, 1 H, C_qCH, C), 3.78 (s, 1 H, OCH_3, A/B/C), 6.87–6.90 (m, 2 H, $CH_{arom.}$, A/B/C), 7.51–7.58 (m, 2 H, $CH_{arom.}$, A/B/C) ppm. ^{13}C NMR (150 MHz, $CDCl_3$): δ = 8.9, 8.9, 9.3 ($CH_3CH_2C_q$, A/B/C), 13.9, 13.9, 13.9 (CH_3CH_2, A/B/C), 15.2 (CH_3C_q, A/B/C), 19.2, 19.2, 19.2, 20.3, 24.8, 25.2, 28.7, 30.6, 33.6 (CH_2, A/B/C), 55.4, 55.5 (OCH_3, A/B/C), 56.0 (CH_2CH, B/C), 56.2 (CH_2CH, A), 56.5, 56.6, 56.8 (*C*H, C_q, B/C), 56.9 (C_q, A), 57.0 (CH_2CHCH, A), 63.4 (C_qCH, A), 63.9 (C_qCH, 1 C), 66.5 (C_qCH, B), 114.3, 114.3, 118.3, 118.4, 118.4 ($CH_{arom.}$, A/B/C), 131.5, 131.7, 156.0, 156.0 (*ipso-C*, A/B/C), 169.9, 170.0 (*C*=O, A/B/C) ppm. IR (film): $\tilde{\nu}$ = 3476 (w), 3080 (w), 2964 (vs), 2934 (vs), 2876 (s), 2837 (m), 1877 (vw), 1746 (vs), 1612 (w), 1585 (w), 1514 (vs), 1462 (vs), 1445 (s), 1393 (vs), 1369 (s), 1339 (m), 1298 (s), 1246 (vs), 1180 (s), 1146 (s), 1109 (s), 1084 (m), 1034 (s), 1005 (w), 934 (w), 907 (m), 833 (vs), 810 (m), 787 (w), 773 (w), 731 (w), 594 (w), 525 (m), 478 (vs), 457 (vs), 440 (vs), 417 (m), 411 (m) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 326.1727; found 326.1736. $C_{18}H_{25}NO_3$ (303.40 g/mol): calcd. C 71.26, H 8.31, N 4.62; found C 71.22, H 8.62, N 4.56.

1-(2-Benzylphenyl)-3-ethyl-3-methyl-4-(3-propyloxiranyl)azetidin-2-one (7q): From (2-benzylphenyl)[1-(3-propyloxiranyl)methylidene]-amine (**1g**) (0.84 g, 3.00 mmol), LDA (7.80 mmol) and methyl 2-methylbutyrate (**6f**) (0.98 mL, 7.50 mmol). The crude product was purified by column chromatography. Yellow solid, 1.00 g (2.75 mmol; 92%), m.p. 63 °C, R_f (TLC) = 0.42 (pentane/TBME = 3:1), *dr*(^{1}H NMR) = 0.01:0.09(C):0.18(B):1.00(A). ^{1}H NMR (600 MHz, $CDCl_3$): δ = 0.88–0.94 (m, 6 H, CH_3, A), 0.97–1.01 (m, 6 H, CH_3, B/C), 1.10–1.11 (m, 3 H, CH_3C_q, A/B/C), 1.24–1.61 (m, 6 H, CH_2, A/B/C), 1.97 (dd, $^3J_1$ = 7.5, $^3J_2$ = 2.3 Hz, 1 H, CH_2CHCH, A), 2.10 (dd, $^3J_1$ = 7.7, $^3J_2$ = 2.3 Hz, 1 H, CH_2CHCH,

B), 2.29 (dd, $^3J_1$ = 8.4, $^3J_2$ = 4.4 Hz, 1 H, CH_2CHCH, C), 2.53–2.56 (m, 1 H, CH_2CH, A), 2.60 (ddd, $^3J_1$ = 6.6, $^3J_2$ = 4.1, $^3J_3$ = 2.3 Hz, 1 H, CH_2CH, B), 2.79–2.83 (m, 1 H, CH_2CH, C), 3.23 (d, ^3J = 7.7 Hz, 1 H, C_qCH, B), 3.34 (d, ^3J = 7.5 Hz, 1 H, C_qCH, A), 3.55 (d, ^3J = 8.4 Hz, 1 H, C_qCH, C), 4.02 (d, ^2J = 16.0 Hz, 1 H, CH_2Ph, A/B/C), 4.45 (d, ^2J = 16.1 Hz, 1 H, CH_2Ph, A/B/C), 7.06–7.10 (m, 2 H, $CH_{arom.}$, A/B/C), 7.13–7.29 (m, 7 H, $CH_{arom.}$, A/B/C) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 8.7, 8.8, 9.1 ($CH_3CH_2C_q$, A/B/C), 13.9, 13.9 (CH_3CH_2, A/B/C), 14.7, 15.3 (CH_3C_q, A/B/C), 18.6, 19.1, 20.2, 24.3, 28.5, 28.8, 30.6, 33.4, 33.6 (CH_2, A/B/C), 39.2, 39.2 ($PhCH_2Ph$, A/B/C), 54.5, 54.6 (CH_2CH, A/B/C), 55.0, 55.5, 55.5, 55.8, 55.8, 56.0 (CH, C_q, A/B/C), 56.5 (CH_2CHCH, A), 61.3, 64.0, 66.8 (C_qCH, A/B/C), 123.3, 123.5, 126.0, 126.6, 126.7, 127.2, 127.2, 128.2, 128.2, 128.7, 128.7, 128.8, 132.0, 132.0 ($CH_{arom.}$, A/B/C), 135.0, 135.1, 135.1, 135.3, 135.5, 135.5, 140.7, 140.8, 140.9 (*ipso*-C, A/B/C), 170.0, 170.1, 170.2 (C=O, A/B/C) ppm. IR (film): $\tilde{\nu}$ = 3061 (w), 3026 (w), 2961 (vs), 2932 (vs), 2874 (vs), 2727 (vw), 1761 (m), 1601 (w), 1580 (vw), 1493 (m), 1458 (vs), 1377 (s), 1144 (w), 1123 (w), 1109 (w), 1074 (w), 1030 (vw), 932 (vw), 908 (w), 756 (w), 725 (m), 698 (w), 471 (vs), 465 (vs), 453 (vs), 434 (vs), 417 (s) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 386.2091; found 386.2089. $C_{24}H_{29}NO_2$ (363.50 g/mol): calcd. C 79.30, H 8.04, N 3.85; found C 79.05, H 7.98, N 3.86.

1-(2-Benzylphenyl)-3-methyl-3-phenyl-4-(3-propyloxiranyl)azetidin-2-one (7r): From (2-benzylphenyl)[1-(3-propyloxiranyl)methylidene]amine (**1g**) (0.84 g, 3.00 mmol), LDA (7.80 mmol) and methyl 2-phenylpropionate (**6g**) (1.23 mL, 7.50 mmol). The crude product was purified by column chromatography. Yellow solid, 0.50 g (1.22 mmol; 41%), m.p. 98 °C, R_f (TLC) = 0.56 (pentane/TBME = 2:1), *dr*(^{1}H NMR) = 00.02:0.06:1.00. ^{1}H NMR (300 MHz, $CDCl_3$): δ = 0.90–0.98 (m, 3 H, CH_3CH_2), 1.33–1.49 (m, 7 H, CH_3C_q, CH_2CH_2), 2.14 (dd, $^3J_1$ = 7.5, $^3J_2$ = 2.3 Hz, 1 H, $C_qCHCHCH$), 2.68–2.74 (m, 1 H, CH_2CHCH), 3.72 (d, ^3J = 7.5 Hz, 1 H, C_qCHCH), 4.02 (d, ^2J = 16.1 Hz, 1 H, CH_2Ph), 4.49 (d, ^2J = 16.1 Hz, 1 H, CH_2Ph), 7.04–7.35 (m, 14 H, $CH_{arom.}$) ppm. ^{13}C NMR (150 MHz, $CDCl_3$): δ = 14.0 (CH_3CH_2), 19.2, 19.5 (CH_3C_q, CH_3CH_2), 33.7 (CH_2CH), 39.2 ($PhCH_2Ph$), 54.8 (CH), 56.1 (CH), 59.0 (C_q), 66.6 (CHC_q), 123.7, 125.7, 126.0, 127.0, 127.3, 127.3, 128.3, 128.8, 128.9, 132.0 ($CH_{arom.}$), 134.8, 135.9, 140.8, 141.1 (*ipso*-C), 168.2 (C=O) ppm. IR (film): $\tilde{\nu}$ = 3059 (vw), 3024 (w), 2959 (m), 2932 (w), 2918 (m), 2901 (w), 2870 (w), 2847 (vw), 1742 (vs), 1655 (vw), 1601 (w), 1580 (w), 1493 (s), 1452 (s), 1435 (m), 1383 (s), 1366 (s), 1352 (m), 1313 (vw), 1286 (w), 1271 (vw), 1209 (vw), 1184 (w), 1163 (w), 1136 (m), 1113 (m), 1072 (w), 1032 (w), 1003 (vw), 928 (w), 912 (m), 895 (vw), 881 (w), 851 (vw), 760 (s), 729 (s), 700 (s), 658 (vw), 611 (vw), 581 (vw), 555 (vw), 542 (w), 525 (w), 455 (vw) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 434.2091; found 434.2098. $C_{28}H_{29}NO_2$ (411.54 g/mol): calcd. C 81.72, H 7.10, N 3.40; found C 81.71, H 7.03, N 3.26.

X-ray Crystal Structure Analysis of 7r:[21,22] Formula $C_{28}H_{29}NO_2$, M = 411.52, colorless crystal 0.40 × 0.30 × 0.25 mm, a = 9.2773(1), b = 11.1030(1), c = 12.4713(1) Å, α = 69.520(1), β = 78.506(1), γ = 71.400(1)°, V = 1134.99(2) Å^3, ρ_{calc} = 1.204 g cm^{-3}, μ = 0.585 mm^{-1}, empirical absorption correction (0.800 ≤ T ≤ 0.868), Z = 2, triclinic, space group $P\bar{1}$ (No. 2), λ = 1.54178 Å, T = 223(2) K, ω and ϕ scans, 12513 reflections collected (±h, ±k, ±l), [(sinθ)/λ] = 0.60 Å^{-1}, 3881 independent (R_{int} = 0.031) and 3694 observed reflections [$I \geq 2\sigma(I)$], 282 refined parameters, R = 0.063, wR^2 = 0.174, max. (min.) residual electron density 0.70 (–0.33) e Å^{-3}, hydrogen atoms calculated and refined as riding atoms.

1,5-Bis[3-ethyl-3-methyl-4-(3-methyloxiranyl)azetidin-2-on-1-yl]-naphthalene (7s): From *N*,*N*′-bis[1-(3-methyloxiranyl)methylidene]-naphthalene-1,5-diamine (**5a**) (0.65 g, 2.20 mmol), LDA (5.20 mmol) and methyl 2-methylbutyrate (**6f**) (0.66 mL, 5.00 mmol). The crude product was purified by recrystallisation from dichloromethane. This leads to a mixture of diastereomers. Amount and ratio of the diastereomers could not be determined. Colourless solid, 0.28 g (0.61 mmol; 28%), m.p. 229–233 °C. ^{1}H NMR (600 MHz, $CDCl_3$): δ = 1.07–1.20 (m, 6 H, CH_3CH_2), 1.22–1.30 (m, 6 H, CH_3CH), 1.46–1.53 (m, 6 H, CH_3C_q), 1.80–2.12 (m, 4 H, CH_2), 2.60–2.76 (m, 2 H, CH_3CH), 2.82–2.96 (m, 2 H, CH_3CHCH), 3.71–3.76 (m, 2 H, C_qCH), 7.35–7.46 (m, 2 H, $CH_{arom.}$), 7.49–7.59 (m, 2 H, $CH_{arom.}$), 7.98–8.10 (m, 2 H, $CH_{arom.}$) ppm. ^{13}C NMR (150 MHz, $CDCl_3$): δ = 9.0, 9.3 ($CH_3CH_2C_q$), 15.4 (CH_3C_q), 17.0, 17.2, (CH_3CH), 19.1 (CH_3C_q), 24.7, 25.5, 28.7 (CH_2), 50.8, 50.9 (CH_3CH), 56.2, 56.5 (C_q), 57.4, 57.4, 57.7, 57.7 (CH_3CHCH), 63.7, 63.8, 66.9, 66.9, 67.9 (C_qCH), 120.8, 120.9, 123.4, 123.4, 125.8, ($CH_{arom.}$), 129.3, 129.4, 133.1 (*ipso*-C), 171.6, 171.7 (C=O) ppm. IR: $\tilde{\nu}$ = 3001 (w), 2976 (w), 2963 (m), 2930 (m), 2876 (w), 1742 (vs), 1595 (m), 1512 (m), 1464 (m), 1420 (vs), 1383 (s), 1364 (s), 1323 (s), 1296 (m), 1252 (w), 1229 (m), 1200 (m), 1173 (w), 1132 (s), 1119 (m), 1069 (s), 1057 (m), 1040 (m), 1030 (m), 1015 (s), 957 (m), 926 (m), 907 (m), 881 (w), 864 (s), 826 (m), 785 (m), 775 (vs), 737 (s), 708 (m), 669 (m), 646 (m), 596 (w), 577 (m) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 485.2411; found 485.2406. $C_{28}H_{34}N_2O_4$ (462.57 g/mol): calcd. C 72.70, H 7.41, N 6.06; found C 72.35, H 7.32, N 6.06.

X-ray Crystal Structure Analysis of 7s:[21,22] Formula $C_{28}H_{34}N_2O_4$, M = 462.57, colorless crystal 0.30 × 0.25 × 0.10 mm, a = 6.3241(4), b = 16.0614(9), c = 12.4799(7) Å, β = 92.424(3)°, V = 1266.50(13) Å^3, ρ_{calc} = 1.213 g cm^{-3}, μ = 0.648 mm^{-1}, empirical absorption correction (0.829 ≤ T ≤ 0.938), Z = 2, monoclinic, space group $P2_1/c$ (No. 14), λ = 1.54178 Å, T = 223(2) K, ω and ϕ scans, 7739 reflections collected (±h, ±k, ±l), [(sinθ)/λ] = 0.60 Å^{-1}, 2079 independent (R_{int} = 0.057) and 1652 observed reflections [$I \geq 2\sigma(I)$], 165 refined parameters, R = 0.076, wR^2 = 0.22, max. (min.) residual electron density 0.22 (–0.13) e Å^{-3}, hydrogen atoms calculated and refined as riding atoms.

1,5-Bis[3-methyl-3-phenyl-4-(3-methyloxiranyl)azetidin-2-on-1-yl]-naphthalene (7t): From *N*,*N*′-bis[1-(3-methyloxiranyl)methylidene]-naphthalene-1,5-diamine (**5a**) (0.88 g, 3.00 mmol), LDA (15.60 mmol) and methyl 2-phenylpropionate (**6g**) (2.46 g, 15.00 mmol). The crude product was purified by recrystallisation from dichloromethane and leads to a mixture of diastereomers. Amount and ratio of the diastereomers could not be determined. Colourless solid, 0.11 g (0.20 mmol; 7%), m.p. 293 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ = 1.32 (d, ^3J = 5.1 Hz, 6 H, CH_3CH), 1.89 (s, 6 H, CH_3C_q), 2.78–2.88 (m, 2 H, CH_3CH), 2.99–3.06 (m, 2 H, CH_3CHCH), 4.27 (d, ^3J = 5.7 Hz, 2 H, $CH\ C_q$), 7.31–7.59 (m, 14 H, $CH_{arom.}$), 8.02–8.08 (m, 2 H, $CH_{arom.}$) ppm. ^{13}C NMR (75 MHz, $CDCl_3$): δ = 17.3 (CH_3CH), 20.0 (CH_3C_q), 51.1 (CH_3CH), 57.5 (CH_3CHCH), 59.9 (C_q), 66.6 (C_qCH), 121.4, 123.7, 125.8, 127.5, 128.9, 129.0, 132.9, 141.1 ($CH_{arom.}$, *ipso*-C), 169.8 (C=O) ppm. IR: $\tilde{\nu}$ = 3061 (w), 3011 (w), 2990 (w), 2970 (w), 2928 (w), 1740 (m), 1719 (w), 1701 (w), 1684 (w), 1653 (w), 1597 (w), 1558 (w), 1541 (w), 1508 (w), 1497 (w), 1447 (w), 1418 (m), 1373 (m), 1325 (w), 1258 (w), 1227 (w), 1192 (w), 1175 (w), 1148 (w), 1101 (w), 1069 (w), 1030 (w), 1018 (w), 959 (w), 928 (w), 908 (w), 870 (w), 824 (w), 781 (m), 775 (m), 768 (m), 731 (m), 712 (w), 696 (m), 677 (w), 658 (m), 625 (w), 573 (w), 540 (m), 521 (w), 498 (m), 486 (s), 476 (vs), 455 (vs), 444 (vs) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 581.2411; found 581.2406. $C_{36}H_{34}N_2O_4$ (558.67 g/mol): calcd. C 77.40, H 6.13, N 5.01; found C 77.13, H 6.07, N 5.20.

1,5-Bis[3-(3-methyloxiranyl)-2-azaspiro(3.5)nonan-1-on-2-yl]naphthalene (7u): From *N*,*N*′-Bis-[1-(3-methyloxiranyl)methylidene]naph-

thalene-1,5-diamine (**5a**) (0.88 g, 3.00 mmol), LDA (15.60 mmol) and methyl cyclohexanecarboxylate (**6c**) (2.16 mL, 15.00 mmol). The crude product was purified by crystallisation. colourless solid, 0.88 g (1.72 mmol; 57%), m.p. 152–154 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.28 (d, ^3J = 5.2 Hz, 6 H, CH_3), 1.43–1.63 (m, 8 H, CH_2), 1.79–2.17 (m, 12 H, CH_2), 2.73 (dq, $^3J_1$ = 5.1, $^3J_2$ = 2.2 Hz, 1 H, CH_3CH), 2.93 (dd, $^3J_1$ = 6.6, $^3J_2$ = 2.2 Hz, 1 H, CH_3CHCH), 3.69 (d, ^3J = 6.6 Hz, 1 H, C_qCH), 7.40 (d, ^3J = 7.10 Hz, 1 H, C$H_{arom.}$), 7.49–7.56 (m, 1 H, C$H_{arom.}$), 8.01 (d, ^3J = 8.6 Hz, 1 H, C$H_{arom.}$) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 17.1 (*C*H$_3$), 23.2, 23.4, 25.3, 27.6, 33.0 (*C*H$_2$), 51.2 (CH_3*C*H), 57.2 (C_q*C*H), 57.7 (*C*$_q$), 66.5 (C_q*C*H), 121.1, 123.4, 125.7 (*C*H$_{arom.}$), 129.5, 133.2 (*ipso-C*), 171.7 (*C*=O) ppm. IR: $\tilde{\nu}$ = 2928 (m), 2855 (w), 1732 (m), 1597 (w), 1512 (w), 1454 (m), 1445 (w), 1422 (m), 1379 (m), 1364 (w), 1356 (w), 1321 (m), 1277 (w), 1213 (w), 1192 (w), 1173 (w), 1150 (m), 1132 (m), 1101 (w), 1082 (w), 1067 (w), 980 (w), 951 (w), 939 (w), 910 (w), 901 (w), 860 (m), 816 (w), 773 (m), 741 (m), 710 (w), 677 (m), 656 (m), 596 (m), 573 (w), 565 (w), 540 (m), 515 (m), 484 (s), 473 (s), 455 (m), 446 (m), 432 (vs), 426 (vs), 403 (vs) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 537.2724; found 537.2720. $C_{32}H_{38}N_2O_4$ (514.64 g/mol): calcd. C 74.68, H 7.44, N 5.44; found C 74.48, H 7.38, N 5.27.

X-ray Crystal Structure Analysis of 7u:[21,22] Formula $C_{32}H_{38}N_2O_4$, M = 514.64, colorless crystal 0.70 × 0.10 × 0.10 mm, a = 5.9998(1), b = 9.5541(1), c = 12.1438(1) Å, α = 86.074(1), β = 76.777(1), γ = 82.600(1)°, V = 671.47(1) Å^3, ρ_{calc} = 1.273 g cm^{-3}, μ = 0.665 mm^{-1}, empirical absorption correction (0.653 ≤ T ≤ 0.937), Z = 1, triclinic, space group $P\bar{1}$ (No. 2), λ = 1.54178 Å, T = 223(2) K, ω and ϕ scans, 6728 reflections collected (±h, ±k, ±l), [(sinθ)/λ] = 0.60 Å^{-1}, 2337 independent (R_{int} = 0.038) and 2206 observed reflections [$I \geq 2\sigma(I)$], 173 refined parameters, R = 0.045, wR^2 = 0.147, max. (min.) residual electron density 0.26 (–0.27) e Å^{-3}, hydrogen atoms calculated and refined as riding atoms.

Methyl 3-(4-Methoxyphenylamino)-3-(3-methyloxiranyl)-2-phenylpropionate (9a): From (4-methoxyphenyl)[1-(3-methyloxiranyl)-methylidene]amine (**1d**) (0.75 g, 3.00 mmol), LDA (7.80 mmol) and methyl phenylacetate (**6e**) (1.06 mL, 7.50 mmol). The crude product was purified by recrystallisation from *n*-pentane and dichloromethane. Yellow solid, 0.06 g (0.18 mmol; 6%), m.p. 148 °C, *dr*(^{1}H NMR) = 0.02:0.04:1.00. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.05 (d, ^3J = 5.3 Hz, 3 H, CH_3CH), 2.57–2.59 (m, 1 H, CH_3CHCH), 2.76 (dq, $^3J_1$ = 5.2, $^3J_2$ = 2.2 Hz, 1 H, CH_3CH), 3.65 (s, 3 H, CO_2CH_3), 3.76 (s, 3 H, *ipso*-CO*C*H$_3$), 3.88 (d, ^3J = 9.9 Hz, 1 H, CHCO$_2$CH$_3$), 4.21 (dd, $^3J_1$ = 9.9, $^3J_2$ = 2.6 Hz, 1 H, CHCHCO$_2$CH$_3$), 6.70–6.81 (m, 4 H, C$H_{arom.}$), 7.29–7.42 (m, 3 H, C$H_{arom.}$), 7.45–7.50 (m, 2 H, C$H_{arom.}$) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 16.9 (*C*H$_3$CH), 52.2, 52.5, 55.6, 55.7 (*C*H), 56.9 (CO_2*C*H$_3$), 58.9 (O*C*H$_3$), 114.9, 115.7, 128.0, 128.8, 128.9 (*C*H$_{arom.}$), 135.3, 140.9, 152.9 (*ipso-C*), 172.7 (*C*O$_2$CH$_3$) ppm. IR: $\tilde{\nu}$ = 3358 (m), 3028 (m), 3013 (m), 2978 (m), 2955 (m), 2936 (m), 2914 (w), 2835 (w), 1744 (s), 1614 (m), 1518 (s), 1506 (s), 1456 (m), 1427 (m), 1412 (m), 1379 (m), 1339 (m), 1317 (m), 1271 (s), 1233 (s), 1196 (m), 1153 (s), 1105 (m), 1072 (m), 1061 (m), 1024 (s), 1003 (m), 997 (m), 988 (m), 932 (m), 910 (m), 899 (m), 874 (m), 853 (m), 831 (s), 785 (m), 766 (m), 741 (s), 719 (s), 700 (s), 664 (s), 635 (m), 594 (m), 575 (m), 567 (m), 548 (s), 540 (s), 530 (s), 517 (s), 505 (s), 496 (vs) cm^{-1}. ESI-EM [M + H]$^+$ calcd. 342.1700; found 342.1748. $C_{20}H_{23}NO_4$ (341.39 g/mol): calcd. C 70.36, H 6.79, N 4.10; found C 70.24, H 6.62, N 3.99.

X-ray Crystal Structure Analysis of 9a:[21,22] Formula $C_{20}H_{23}NO_4$, M = 341.39, light yellow crystal 0.35 × 0.30 × 0.10 mm, a = 9.4152(2), b = 21.3888(5), c = 9.0466(2) Å, β = 101.165(1)°, V = 1787.32(7) Å^3, ρ_{calc} = 1.269 g cm^{-3}, μ = 0.088 mm^{-1}, empirical absorption correction (0.970 ≤ T ≤ 0.991), Z = 4, monoclinic, space group $P2_1/c$ (No. 14), λ = 0.71073 Å, T = 223(2) K, ω and ϕ scans, 11830 reflections collected (±h, ±k, ±l), [(sinθ)/λ] = 0.66 Å^{-1}, 4224 independent (R_{int} = 0.067) and 2616 observed reflections [$I \geq 2\sigma(I)$], 232 refined parameters, R = 0.049, wR^2 = 0.140, max. (min.) residual electron density 0.22 (–0.22) e Å^{-3}, hydrogen atom at N11 from difference fourier calculations, others calculated and refined as riding atoms.

Methyl 3-(2-Benzylphenylamino)-2-methyl-3-(3-methyloxiranyl)propionate (9b): From (2-benzylphenyl)[1-(3-methyloxiranyl)methylidene]amine (**1f**) (0.75 g, 3.00 mmol), LDA (7.80 mmol) and methyl propionate (**6d**) (0.72 mL, 7.50 mmol). The crude product was purified by column chromatography. Pale yellow oil, 0.06 g (0.30 mmol; 10%), R_f (TLC) = 0.38 (pentane/TBME = 3:1), *dr*(GC) = 38(A):33(B):3:3:1. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.97 (d, ^3J = 7.1 Hz, 3 H, CH_3CHCO$_2$CH$_3$, B) 1.08 (d, ^3J = 7.2 Hz, 3 H, CH_3CHCO$_2$CH$_3$, A), 1.13 (d, ^3J = 5.2 Hz, 3 H, CH_3CHCHCHN, A), 1.16 (d, ^3J = 5.3 Hz, 3 H, CH_3CHCHCHN, B), 2.39–2.46 (m, 1 H, CH_3CH, A/B), 2.60–2.70 (m, 1 H, CHCO$_2$CH$_3$, A), 2.74–2.86 (m, 3 H, CH_3CHCH, A/B; CHCO$_2$CH$_3$, B), 3.62 (s, 3 H, CO$_2$-CH_3,A), 3.67 (s, 3 H, CO$_2$CH_3, B), 3.80–4.05 (m, 3 H, CH_2, CHNH, A/B), 6.65 (m, 2 H, C$H_{arom.}$, A/B), 7.04–7.29 (m, 7 H, C$H_{arom.}$, A/B) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 11.8 (*C*H$_3$CHCO$_2$CH$_3$, B), 13.6 (*C*H$_3$CHCO$_2$CH$_3$, A), 16.8 (*C*H$_3$CHCHCHN, B), 16.9 (*C*H$_3$CHCHCHN, A), 38.3, 38.3 (*C*H$_2$, A/B), 41.3 (*C*HCO$_2$CH$_3$, B), 43.1 (*C*HCO$_2$CH$_3$, A), 50.5 (CH_3*C*HCHCHN, B), 51.1 (CH_3*C*HCHCHN, A), 51.8, 51.8 (CO_2*C*H$_3$, A/B), 51.9 (*C*HNH, B), 52.9 (*C*NH, A), 58.4 (CH_3CH*C*HCHN, B), 59.3 (CH_3CH*C*HCHN, A), 110.2 (*C*H$_{arom.}$, B), 110.4, 116.9 (*C*H$_{arom.}$, A), 116.9 (*C*H$_{arom.}$, B), 124.5 (*ipso-C*, A), 124.7 (*ipso-C*, B), 126.3, 127.8, 128.5, 131.0, 131.1 (*C*H$_{arom.}$, A/B), 139.1 (*ipso-C*, B), 139.2 (*ipso-C*, A), 144.0 (*ipso-C*, B), 144.9 (*ipso-C*, A), 174.7 (*C*O$_2$CH$_3$, B), 175.0 (*C*O$_2$CH$_3$, A) ppm. IR (film): $\tilde{\nu}$ = 3422 (w), 3063 (w), 3024 (m), 2953 (vs), 2926 (vs), 2853 (vs), 1738 (s), 1605 (m), 1585 (m), 1516 (m), 1495 (m), 1456 (vs), 1377 (s), 1315 (m), 1254 (m), 1200 (m), 1074 (w), 1051 (m), 1030 (w), 914 (vw), 862 (w), 744 (m), 698 (m), 469 (vs), 459 (vs), 449 (vs), 411 (vs) cm^{-1}. ESI-EM [M + Na]$^+$ calcd. 330.1465; found 330.1469. $C_{21}H_{25}NO_3$ (339.43 g/mol): calcd. C 74.31, H 7.42, N 4.13; C 74.52, H 7.49, N 4.06.

3-{[(4-Methoxyphenyl)methylamino](3-propyloxiranyl)methyl}-1,3-dimethylpyrrolidin-2-one (10): From (4-methoxyphenyl)[1-(3-propyloxiranyl)methylidene]amine (**1h**) (0.66 g, 3.00 mmol), LDA (7.80 mmol) and 1,3-dimethylpyrrolidin-2-one (0.85 mL, 7.50 mmol). Two hours after the addition of the imine iodomethane (0.85 mL, 6.00 mmol) was added at –78 °C. The crude product was purified by column chromatography. Brown oil, 0.06 g (0.30 mmol; 12%), R_f (TLC) = 0.42 (cyclohexane/EA = 1:2 plus 5% TEA). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.93 (t, ^3J = 7.1 Hz, 3 H, CH_3CH$_2$), 1.11 (s, 3 H, CH_3C$_q$), 1.40–1.53 (m, 4 H, CH_3CH_2CH_2), 1.83–1.92 (m, 1 H, C_qCH_2), 2.53–2.63 (m, 1 H, C_qCH_2), 2.76–2.80 (m, 1 H, CH_2CH), 2.86 (s, 3 H, CHNCH_3), 2.88 (s, 3 H, CH$_2$NCH_3), 3.19 (dd, $^3J_1$ = 5.2, $^3J_2$ = 2.2 Hz, 1 H, CH_2CHCH), 3.28–3.35 (m, 2 H, CH_2NCH$_3$), 3.74 (s, 3 H, OCH_3), 3.84 (d, ^3J = 5.2 Hz, 1 H, C_qCH), 6.73–6.83 (m, 4 H, C$H_{arom.}$) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 13.9 (*C*H$_3$CH$_2$), 19.2 (CH_3*C*H$_2$), 22.2 (*C*H$_3$ C$_q$), 29.9 (C_q*C*H$_2$), 30.0 (CH_2N*C*H$_3$), 33.8 (CH_3CH_2*C*H$_2$), 34.0 (CHN*C*H$_3$), 46.5 (*C*H$_2$NCH$_3$), 49.2 (*C*$_q$), 55.6 (O*C*H$_3$), 57.2 (CH_2*C*H), 57.5 (CH_2CH*C*H), 64.8 (C_q*C*H), 114.4, 114.5 (*C*H$_{arom.}$), 146.2, 151.5 (*ipso-C*), 177.4 (*C*=O) ppm. IR (film): $\tilde{\nu}$ = 3474 (w), 2957 (vs), 2932 (vs), 2870 (vs), 1690 (s), 1682 (s), 1578 (m), 1512 (s), 1462 (vs), 1402 (s), 1377 (s), 1342 (m), 1300 (s), 1275 (s), 1244 (s), 1182 (m), 1144 (m), 1111 (m), 1072 (m), 1038 (s), 986 (m), 972 (m), 943

(m), 912 (m), 816 (s), 725 (m), 669 (w), 478 (s), 467 (vs), 447 (vs). 442 (vs) cm^{-1}. ESI-EM $[M + Na]^+$ calcd. 369.2154; found 369.2153. $C_{20}H_{30}N_2O_3$ (346.47 g/mol): calcd. C 69.33, H 8.73, N 8.09; found C 69.32, H 8.81, N 7.87.

Acknowledgments

This work was supported by the Deutsche Forschungsgemeinschaft (DFG) (SFB 424) and the Fonds der Chemischen Industrie.

[1] J. L. Bilke, M. Dzuganova, R. Fröhlich, E.-U. Würthwein, *Org. Lett.* **2005**, *7*, 3267–3270.
[2] M. Ceroni, U. Séquin, *Helv. Chim. Acta* **1982**, *65*, 302–316.
[3] K. Taguchi, F. H. Westheimer, *J. Org. Chem.* **1971**, *36*, 1570–1572.
[4] S. K. Taylor, *Tetrahedron* **2000**, *56*, 1149–1163.
[5] C. Gluchowsky, L. Cooper, D. E. Bergbreiter, M. Newcomb, *J. Org. Chem.* **1980**, *45*, 3413–3416.
[6] H. Gilman, M. Speeter, *J. Am. Chem. Soc.* **1943**, *65*, 2255–2256.
[7] D. A. Evans, J. M. Williams, *Tetrahedron Lett.* **1988**, *40*, 5056–5068.
[8] H. Staudinger, *Justus Liebigs Ann. Chem.* **1907**, *356*, 51.
[9] C. Friedrich, *Pharmazie in unserer Zeit*, **2006**, *35*, p. 392–398. (original citation: W. Sneader in *Drug Discovery. A History.* John Wiley & Sons Ltd. Chichester, **2005**).
[10] G. S. Singh, *Mini-Rev. Med. Chem.* **2004**, *4*, 69–92 and pp. 93–109.
[11] D. J. Hart, D.-C. Ha, *Chem. Rev.* **1989**, *89*, 1447–1465.
[12] M. P. Kirkup, *Bioorg. Med. Chem. Lett.* **1996**, *6*, 2069–2072.
[13] A review on non-β-lactam products: B. Alcaide, P. Almendros, C. Aragoncillo, *Chem. Rev.* **2007**, *107*, 4437–4492.
[14] F. H. van der Steen, G. van Koten, *Tetrahedron* **1991**, *47*, 7503–7524.
[15] A. G. M. Barrett, M. A. Sturgess, *Tetrahedron* **1988**, *65*, 5615–5652.
[16] D. A. Evans, J. M. Williams, *Tetrahedron Lett.* **1988**, *29*, 5065–5068.
[17] Y. Gao, R. M. Hanson, J. M. Klunder, S. Y. Ko, H. Masamune, K. B. Sharpless, *J. Am. Chem. Soc.* **1987**, *109*, 5765–5780.
[18] *Organikum*, Wiley-VCH, Weinheim, **2001**, 21st ed., p. 434.
[19] M. J. Frisch, G. W. Trucks, H. B. Schlegel, G. E. Scuseria, M. A. Robb, J. R. Cheeseman, J. A. Montgomery Jr., T. Vreven, K. N. Kudin, J. C. Burant, J. M. Millam, S. S. Iyengar, J. Tomasi, V. Barone, B. Mennucci, M. Cossi, G. Scalmani, N. Rega, G. A. Petersson, H. Nakatsuji, M. Hada, M. Ehara, K. Toyota, R. Fukuda, J. Hasegawa, M. Ishida, T. Nakajima, Y. Honda, O. Kitao, H. Nakai, M. Klene, X. Li, J. E. Knox, H. P. Hratchian, J. B. Cross, C. Adamo, J. Jaramillo, R. Gomperts, R. E. Stratmann, O. Yazyev, A. J. Austin, R. Cammi, C. Pomelli, J. W. Ochterski, P. Y. Ayala, K. Morokuma, G. A. Voth, P. Salvador, J. J. Dannenberg, V. G. Zakrzewski, S. Dapprich, A. D. Daniels, M. C. Strain, O. Farkas, D. K. Malick, A. D. Rabuck, K. Raghavachari, J. B. Foresman, J. V. Ortiz, Q. Cui, A. G. Baboul, S. Clifford, J. Cioslowski, B. B. Stefanov, G. Liu, A. Liashenko, P. Piskorz, I. Komaromi, R. L. Martin, D. J. Fox, T. Keith, M. A. Al-Laham, C. Y. Peng, A. Nanayakkara, M. Challacombe, P. M. W. Gill, B. Johnson, W. Chen, M. W. Wong, C. Gonzalez, and J. A. Pople, *Gaussian 03, Revision C.01*, Gaussian, Inc., Wallingford CT, **2004**. Details of the quantum chemical calculations (Gaussian archive entries) may be obtained from E.-U. W. upon request.
[20] S. Grimme, *J. Chem. Phys.* **2003**, *118*, 9095–9102.
[21] Data sets were collected with Nonius KappaCCD diffractometers, in the case of Mo radiation a rotating anode generator was used. Programs used: COLLECT for data collection (Nonius B. V., 1998), Denzo-SMN for data reduction(Z. Otwinowski, W. Minor, *Methods Enzymol.* **1997**, *276*, 307–326), SORTAV for absorption correction (R. H. Blessing, *Acta Crystallogr., Sect. A* **1995**, *51*, 33–37; R. H. Blessing, *J. Appl. Crystallogr.* **1997**, *30*, 421–426), Denzo (Z. Otwinowski, D. Borek, W. Majewski, W. Minor, *Acta Crystallogr., Sect. A* **2003**, *59*, 228–234) and SHELXS-97 (G. M. Sheldrick, *Acta Crystallogr., Sect. A* **1990**, *46*, 467–473) for structure solution, SHELXL-97 for structure refinement (G. M. Sheldrick, *Acta Crystallogr., Sect. A* **2008**, *64*, 112–122), SCHAKAL for graphics (E. Keller, **1997**).
[22] CCDC-740122 (for **5b**), -740123 (for **7c**), -740124 (for **7e**), -740125 (for **7i**), -740126 (for **9a**), -740127 (for **7r**) -740128 (for **7u**) and -740129 (for **7s**) contain the supplementary crystallographic data for this paper. These data can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

Received: July 30, 2009
Published Online: September 25, 2009

