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Synthesis of new chiral *N*-arylsulfonyl-1,3-oxazolidin-2-ones from α-amino acids

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Abstract

A variety of new chiral *N*-arylsulfonyl-1,3-oxazolidin-2-ones were prepared in three steps starting from (D)- and (L)-amino acid. *N*-Arylsulfonyl amino alcohols, derived from amino acids, were carbonylated with the bis-(trichloromethyl) carbonate (BTC), in the presence of triethylamine, to provide optically pure *N*-phenylsulfonyloxazolidin-2-ones **3a–f**, *N*-naphthylsulfonyloxazolidin-2-ones **3g–j** and *N*-tosylsulfonyloxazolidin-2-ones **3k–p** in good yields. ***To cite this article: A. Ould Aliyenne et al., C. R. Chimie 10 (2007).***

Résumé

Une variété de nouvelles *N*-arylsulfonyloxazolidin-2-ones chirales a été préparée en trois étapes à partir d'acides α-aminés (D) et (L). Les *N*-arylsulfonyl aminoalcools, dérivés des acides aminés, ont été carbonylés par l'intermédiaire du carbonate de bis-trichlorométhyle, avec de bons rendements chimiques. ***Pour citer cet article : A. Ould Aliyenne et al., C. R. Chimie 10 (2007).***

Keywords: Amino acids; Bis-(trichloromethyl)carbonate; *N*-Arylsulfonyloxazolidin-2-ones

Mots-clés : Acides aminés ; Carbonate de bis-trichlorométhyle ; *N*-Arylsulfonyloxazolidin-2-ones

1. Introduction

1,3-Oxazolidin-2-ones are structural components of many compounds that display pharmacological properties [1–11]. Furthermore, they have also been used as chiral auxiliaries and intermediates in asymmetric synthesis of numerous pharmaceutical products [12,13].

During the past few years, significant progress has been made in the discovery of new biologically active *N*-aryl and *N*-alkyloxazolidin-2-ones [14–16]. However, the *N*-sulfonylated oxazolidin-2-ones were not sufficiently elaborated, and only few reports have described the synthesis of *N*-tosyloxazolidin-2-ones [17–19].

Several methods have been employed for the synthesis of racemic and optically active oxazolidin-2-ones. One of the most efficient methods to build the heterocyclic carbamate involves the condensation of 1,2-amino

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alcohols with carbonyl derivatives such as phosgene [20], trichloromethyl chloroformate [18], bis-(trichloromethyl) carbonate [21], isocyanates [12], chloroformates [22], ureas [23], or diethylcarbonate [24]. The catalyzed addition of CO_2 to aziridines has also been employed to prepare racemic *N*-tosyloxazolidin-2-ones [17].

Izuhara et al. [18] have described a four-step synthesis of the optically pure 4-benzyl-3-tosyloxazolidin-2-one starting from (L)-phenylalanine. In this sequence, the trichloromethyl chloroformate has been employed as a carbonylating agent. In this work, we describe a three-step synthesis of a variety of new chiral *N*-arylsulfonyloxazolidin-2-ones, using the same strategy cited above. We have employed the bis-(trichloromethyl) carbonate (BTC) instead of the trichloromethyl chloroformate, since it appears to be safer due to its lower vapor pressure and higher stability [25].

2. Results and discussion

Enantiomerically pure *N*-arylsulfonyloxazolidin-2-ones are prepared in three steps from commercially available (D)- and (L)-amino acid. As illustrated in Scheme 1, sulfonylation of α-amino acids with arylsulfonylchlorides, in a two-phase mixture of *i*-PrNEt$_2$ in acetone and aqueous NaOH, leads to the *N*-arylsulfonyl amino acids **1a–p**, which were then reduced to the *N*-arylsulfonyl amino alcohols **2a–p** with good to excellent yields (Table 1) by use of lithium aluminium hydride in THF or (THF:Et$_2$O). The same procedure has been employed by Berry and Craig [26] to prepare *N*-tosyl-α-amino alcohols from α-amino acids. These authors have determined the enantiomeric purity of *N*-tosyl-α-amino alcohols (entry **2m–p**) by the formation of the corresponding MTPA esters [27], the minor diastereoisomers have not been detected in the 500 MHz ^{1}H NMR spectrum of the crude product [26].

As far as we know, compounds **2a–l** were prepared for the first time during this study. Compounds **2m–p** were prepared by Barry and Craig [26].

Subsequent reaction of compounds **2a–p** with BTC, in the presence of Et$_3$N at −78 °C to r.t., provided the corresponding *N*-arylsulfonyloxazolidin-2-ones **3a–p** in excellent yields without racemization, as confirmed by chiral HPLC analysis on tow compounds (entries **3c** and **3h**) (Scheme 2).

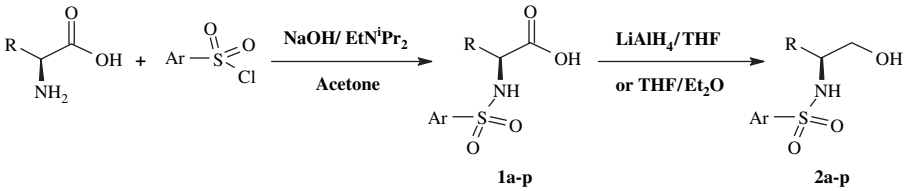
In Table 2, are given the chemical yields and the physical properties of compounds **3a–p**, which have not been reported to date, except compound **3p**.

3. Conclusion

We have synthesized, in good yields, a variety of new chiral *N*-arylsulfonyloxazolidin-2-ones from their corresponding α-amino acids. The test of the biological activity and the study of synthetic properties of these new products are under investigation in our laboratory.

4. Experimental section

TLC was performed on Merck 60F-254 silica gel plates (layer thickness 0.25 mm). Column chromatography was performed on silica gel (70–230 mesh) using ethylacetate and cyclohexane mixture as eluents. Melting points were determined on a Electrothermal 9002 apparatus and are uncorrected. ^{1}H NMR spectra were recorded at 300 MHz. All chemical shifts are reported as δ values (ppm) relative to internal tetramethylsilane. CH_2Cl_2, THF were respectively distilled over CaH_2 and Na/benzophenone. Elemental analyses were carried out by 'Service de microanalyse' of 'Institut national de recherche et d'analyse physico-chimique de Tunis'. HPLC analyses were conducted on a methanol/hexane [70:30] system with a UV detector at 254 nm, using a Chirobiotic V column (250 × 46 mm) and a flow rate of 0.6 mL/min.



1a-f : Ar = C_6H_5- ;**1g-j** : Ar = 2-Naphthyl ; **1k-p** : Ar = *p*-H_3C- C_6H_4-

2a-f : Ar = C_6H_5- ;**2g-j** : Ar = 2-Naphthyl ; **2k-p** : Ar = *p*-H_3C- C_6H_4-

Scheme 1. Synthesis of *N*-arylsulfonyl-α-amino alcohols.

Table 1
Preparation of *N*-arylsulfonyl-α-amino alcohols

Entry	R	Ar	Config.	$[\alpha]_D$	m.p. (°C)	Yields (%)
2a	*i*-Pr	C_6H_5-	*S*	−10	76–78	98
2b	Me	C_6H_5-	*S*	+15	Oil	97
2c	*i*-Bu	C_6H_5-	*S*	+18	102–104	99
2d	Ph	C_6H_5-	*R*	−10	114–116	98
2e	Bn	C_6H_5-	*S*	+18.7	64–66	95
2f	*s*-Bu	C_6H_5-	*S*	+25	58–60	99
2g	Me	2-Naphthyl	*S*	+23	82–84	82
2h	*i*-Pr	2-Naphthyl	*S*	−10	96–98	86
2i	*s*-Bu	2-Naphthyl	*S*	+18	112–114	85
2j	Bn	2-Naphthyl	*S*	+22	106–108	75
2k	*s*-Bu	*p*-$H_3C-C_6H_4-$	*S*	−15	80–81	98
2l	Ph	*p*-$H_3C-C_6H_4-$	*R*	−10	93–94	97
2m	*i*-Pr	*p*-$H_3C-C_6H_4-$	*S*	+16.8	88–89	98 (99)[a]
2n	*i*-Bu	*p*-$H_3C-C_6H_4-$	*S*	+23.7	105–106	96 (98)[a]
2o	Bn	*p*-$H_3C-C_6H_4-$	*S*	−15	74–75	97 (99)[a]
2p	Me	*p*-$H_3C-C_6H_4-$	*S*	+15.8	57–58	98 (100)[a]

[a] Chemical yields **2m–p** reported by Berry and Craig [26].

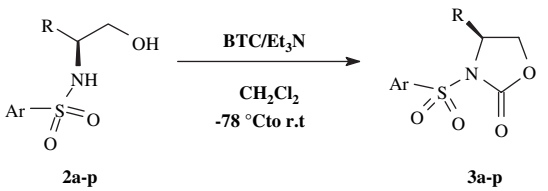
N-Arylsulfonyl amino alcohols **2a–p** were prepared according to literature [26]; compounds **2m–p** were reported by Berry and Craig [26].

4.1. (2S)-N-(Phenylsulfonyl)valinol: *2a*

Yield = 98%; m.p.: 76–78 °C [hexane:ethylacetate (90:10)]. IR (cm^{-1}): $\nu_{NH} = 3215$, $\nu_{OH} = 3493$; $[\alpha]_D = -10$ ($c = 1$, $CHCl_3$). ^1H NMR (300 MHz, $CDCl_3$): 0.70–0.79 (2d, 6H); 1.73–1.82 (m, 1H); 2.22 (s, 1H); 3.03–3.09 (m, 1H); 3.54–361 (m, 2H); 5.24 (d, 1H); 7.28–7.60 (m, 3H); 7.92 (d, 1H). ^{13}C NMR (75 MHz, $CDCl_3$): (18.80, 19.49, $2CH_3-$); (29.83, −CH−); (61.48, CH−NH−); (63.41, $-CH_2-O-$); (127.49–140.93, C_{arom}). Anal. calc. for $C_{11}H_{17}NO_3S$ (243.32): C, 54.30; H, 7.04; N, 5.76. Found: C, 54.20; H, 7.20; N, 5.72.

4.2. (2S)-N-(Phenylsulfonyl)alaninol: *2b*

Yield = 97%; oil; $[\alpha]_D = +15$ ($c = 0.6$, $CHCl_3$). IR (cm^{-1}): $\nu_{NH} = 3217$, $\nu_{OH} = 3477$. ^1H NMR (300 MHz, $CDCl_3$): 0.98 (d, 3H); 3.01–3.06 (m, 1H); 3.08 (s, 1H); 3.37–3.58 (m, 3H); 5.75 (s, 1H); 7.48–7.60 (m, 3H); 7.91 (d, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): (17.28, $1CH_3-$); (51.91, −CH−NH−); (66.47, $-CH_2-O-$); (127.35 and 141.01, C_{arom}). Anal. calc. for $C_9H_{13}NO_3S$ (215.27): C, 50.22; H, 6.09; N, 6.51. Found: C, 50.10; H, 6.10; N, 6.42.



2a-p **3a-p**

3a-f : Ar = C_6H_5- ; **3g-j** : Ar = 2-Naphthyl ; **3k-p** : Ar = *p*-$H_3C-C_6H_4-$

Scheme 2. Synthesis of *N*-arylsulfonyloxazolidin-2-ones.

4.3. (2S)-N-(Phenylsulfonyl)leucinol: *2c*

Yield = 99%; m.p.: 102–104 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +18$ ($c = 1$, $CHCl_3$). IR (cm^{-1}): $\nu_{NH} = 3201$, $\nu_{OH} = 3397$. ^1H NMR (300 MHz, $CDCl_3$): 0.61–0.68 (2d, 6H); 1.22–1.51 (m, 2H); 3.02 (s, 1H); 3.17–3.56 (m, 3H); 5.25 (d, 1H); 7.30–7.53 (m, 3H); 7.86 (d, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): (20.24, 22.30, $2CH_3-$); (38.75, $-CH_2-$); (51.72, CH−NH−); (64.92, $-CH_2-O-$); (126.48–140.25, C_{arom}). Anal. calc. for $C_{12}H_{19}NO_3S$ (257.35): C, 56.01; H, 7.44; N, 5.44. Found: C, 55.80; H, 7.42; N, 5.39.

4.4. (2S)-N-(Phenylsulfonyl)phenylglycinol: *2d*

Yield = 98%; m.p.: 114–116 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = -10$ ($c = 0.5$, $CHCl_3$). IR (cm^{-1}): $\nu_{NH} = 3302$, $\nu_{OH} = 3477$. ^1H NMR (300 MHz, $CDCl_3$): 3.35 (s, 1H); 3.72–3.75 (m, 2H); 4.07–4.59 (m, 1H); 6.25 (d, 1H); 7.04–7.37 (m, 10H). ^{13}C NMR (75 MHz, $CDCl_3$): (60.23, CH−NH−); (66.49, $-CH_2-O-$); (126.76–140.56, C_{arom}). Anal. calc. for $C_{14}H_{15}NO_3S$ (277.34): C, 60.63; H, 5.45; N, 5.05. Found: C, 60.53; H, 5.42; N, 5.10.

Table 2
Preparation of *N*-arylsulfonyloxazolidin-2-ones

Entry	R	Ar	Config.	m.p. (°C)	$[\alpha]_D$	Yields (%)
3a	Bn	C_6H_5-	*S*	107–109	+38.3	96
3b	*i*-Pr	C_6H_5-	*S*	99–101	+56.8	95
3c	Me	C_6H_5-	*S*	60–62	+45.0	95
3d	*i*-Bu	C_6H_5-	*S*	139–141	+39.6	96
3e	Ph	C_6H_5-	*R*	118–120	−13.6	97
3f	*s*-Bu	C_6H_5-	*S*	144–146	+60.0	95
3g	Me	2-Naphthyl	*S*	129–131	+40.1	88
3h	*i*-Pr	2-Naphthyl	*S*	141–143	+16.7	85
3i	*s*-Bu	2-Naphthyl	*S*	130–132	+60.0	81
3j	Bn	2-Naphthyl	*S*	126–128	+71.4	79
3k	*i*-Pr	p-$H_3C-C_6H_4-$	*S*	115–118	+58.2	95
3l	*i*-Bu	p-$H_3C-C_6H_4-$	*S*	151–153	+38.4	96
3m	*s*-Bu	p-$H_3C-C_6H_4-$	*S*	170–172	+41.0	95
3n	Me	p-$H_3C-C_6H_4-$	*S*	117–119	+52.2	97
3o	Ph	p-$H_3C-C_6H_4-$	*R*	149–151	−39.5	95
3p	Bn	p-$H_3C-C_6H_4-$	*S*	136–138	+36.2	96

4.5. *(2S)-N-(Phenylsulfonyl)phenylalaninol:* ***2e***

Yield = 95%; m.p.: 64–66 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +18.7$ ($c = 0.5$, $CHCl_3$). IR (cm^{-1}): $\nu_{NH} = 3193$, $\nu_{OH} = 3416$. ^1H NMR (300 MHz, $CDCl_3$): 2.64–2.83 (dd, 2H); 3.46–3.70 (m, 3H); 5.40 (d, 1H); 6.90–7.73 (m, 10H). ^{13}C NMR (75 MHz, $CDCl_3$): (38.10, $-CH_2-$); (57.22, $-CH-NH-$); (64.36, $-CH_2-O-$); (126.76–140.30, C_{arom}). Anal. calc. for $C_{15}H_{17}NO_3S$ (291.36): C, 61.83; H, 5.88; N, 4.81. Found: C, 61.72; H, 5.80; N, 5.80.

4.6. *(2S, 3S)-N-(Phenylsulfonyl)isoleucinol:* ***2f***

Yield = 99%; m.p.: 58–60 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +25$ ($c = 0.6$, $CHCl_3$). IR (cm^{-1}): $\nu_{NH} = 3216$, $\nu_{OH} = 3408$. ^1H NMR (300 MHz, $CDCl_3$): 0.69 (d, 3H); 0.86 (t, 3H); 1.02 (m, 2H); 1.41–1.52 (m, 2H); 2.35 (s, 1H); 3.15–3.24 (m, 1H); 3.52–3.54 (m, 2H); 5.09 (d, 1H); 7.23–7.51 (m, 3H); 7.86 (d, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): (13.24, 22.91, $2CH_3-$); (25.39, 35.27, 2-CH–); (60, CH–NH–); (60.65, $-CH_2-O-$); (127.34–142.58, C_{arom}). Anal. calc. for $C_{12}H_{19}NO_3S$ (257.35): C, 56.01; H, 7.44; N, 5.44. Found: C, 56.10; H, 7.52; N, 5.42.

4.7. *(2S)-N-(2-Naphthylsulfonyl)alaninol:* ***2g***

Yield = 82%; m.p.: 82–84 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +23$ ($c = 1$, $CHCl_3$). IR (cm^{-1}): $\nu_{NH} = 3175$, $\nu_{OH} = 3327$. ^1H NMR (300 MHz, DMSO): 1.81 (d, 3H); 2.21 (s, 1H); 3.72–4.64 (m, 3H); 5.64 (s, 1H); 7.58–7.81 (m, 2H); 7.90–8.18 (m, 4H); 8.69 (s, 1H). ^{13}C NMR (75 MHz, DMSO): (20.11, CH_3-); (56.86, CH–NH–); (70.89, $-CH_2-O-$); (119.73–13251, C_{arom}).

4.8. *(2S)-N-(2-Naphthylsulfonyl)valinol:* ***2h***

Yield = 85%; m.p.: 96–98 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = -10$ ($c = 1$, $CHCl_3$). IR (cm^{-1}): $\nu_{NH} = 3187$, $\nu_{OH} = 3491$. ^1H NMR (300 MHz, DMSO): 0.61–0.89 (2d, 6H); 2.05 (s, 1H); 3.89–4.51 (m, 3H); 5.82 (d, 1H); 7.60–7.73 (m, 2H); 7.89–8.05 (m, 4H); 8.54 (s, 1H). ^{13}C NMR (75 MHz, DMSO): (16.27, 20.07, $2CH_3-$); (30.10, $-CH-$); (61.20, CH–NH–); (66.92, $-CH_2-O-$); (120.12–1139.75, C_{arom}). Anal. calc. for $C_{15}H_{19}NO_3S$ (293.38): C, 61.41; H, 6.53; N, 4.77. Found: C, 60.80; H, 7.10; N, 4.62.

4.9. *(2S, 3S)-N-(2-Naphthylsulfonyl)isoleucinol:* ***2i***

Yield = 86%; m.p.: 112–114 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +18$ ($c = 1$, $CHCl_3$). IR (cm^{-1}): $\nu_{NH} = 3217$, $\nu_{OH} = 3512$. ^1H NMR (300 MHz, DMSO): 0.81 (d, 3H); 0.83–0.99 (t, 3H); 1.24–1.42 (m, 2H); 1.57–2.74 (m, 1H); 2.18 (s, 1H); 3.86–4.29 (m, 3H); 5.71 (d, 1H); 7.18–7.41 (m, 2H); 7.68–8.27 (m, 4H); 8.83 (s, 1H). ^{13}C NMR (75 MHz, DMSO): (1125, 13.08, $2CH_3-$); (26.24, $-CH-$) (36.18, $-CH_2-$); (60.64, CH–NH–); (66.71, $-CH_2-O-$); (122.08–139.16, C_{arom}).

4.10. *(2S)-N-(2-Naphthylsulfonyl)phenylalaninol:* ***2j***

Yield = 75%; m.p.: 106–108 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +22$ ($c = 1$, $CHCl_3$). IR (cm^{-1}):

$\nu_{NH} = 3211$, $\nu_{OH} = 3519$. ^{1}H NMR (300 MHz, DMSO): 2.09 (s, 1H); 2.82–2.89 (m, 1H); 3.41–3.48 (m, 1H); 3.98–4.12 (m, 2H); 4.57–4.62 (m, 1H); 5.75 (d, 1H); 7.21–7.45 (m, 5H); 7.62–7.74 (m, 2H); 8.01–8.16 (m, 4H); 8.74 (s, 1H). ^{13}C NMR (75 MHz, DMSO): (40.21, $-CH_2-$); (57.51, CH–N–); (67.95, $-CH_2-$O–); (121.75–136.93, C_{arom}).

4.11. (2S)-N-(4-Methylbenzenesulfonyl)isoleucinol: **2k**

Yield = 98%; m.p.: 80–81 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = -15$ ($c = 1$, $CHCl_3$). IR (cm^{-1}): $\nu_{NH} = 3300$, $\nu_{OH} = 3481$. ^{1}H NMR (300 MHz, $CDCl_3$): 0.75 (d, 3H); (t, 3H); 0.91 (m, 2H); 1.35–1.49 (m, 2H); 2.2 (s, 1H); 2.42 (s, 3H); 3.09–3.13 (m, 1H); 3.55–3.56 (m, 2H); 5.1 (d, 1H); 7.28–7.79 (AA′BB′, 4H). ^{13}C NMR (75 MHz, $CDCl_3$): (11.72–21.91, $3CH_3-$); (25.60, 36.75, 2-CH–); (60.11 and 60.78, CH–NH–, $-CH_2-$O–); (127.12–143.50, C_{arom}). MS: $C_{13}H_{21}NSO_3$; MW = 271 g/mol; $m/z = 240$ ($C_{11}H_{18}NSO_3^+$, 51%); $m/z = 155$ ($C_7H_7SO_2^+$, 67%); $m/z = 91$ ($C_7H_7^+$, 100%).

4.12. (2S)-N-(4-Methylbenzenesulfonyl) phenylglycinol: **2l**

Yield = 97%; m.p.: 93–94 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = -10$ ($c = 1$, $CHCl_3$). IR (cm^{-1}): $\nu_{NH} = 3319$, $\nu_{OH} = 3396$. ^{1}H NMR (300 MHz, $CDCl_3$): 2.4 (s, 3H); 2.68–2.76 (dd, 2H); 3.49–3.65 (m, 3H); 5.15 (d, 1H); 6.95–7.58 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$): (21.61, CH_3-); (61.24, CH–NH–); (67.08, $-CH_2-$O–); (126.67–141.27, C_{arom}). MS: $C_{13}H_{21}NSO_3$; MW = 305 g/mol; $m/z =$ 274 ($C_{14}H_{16}NSO_3^+$, 8%); $m/z = 214$ ($C_7H_9NSO_3^+$, 38%); $m/z = 155$ ($C_7H_7SO_2^+$, 50%); $m/z = 91$ ($C_7H_7^+$, 100%).

4.13. Preparation of (4S)-3-(phenylsulfonyl)-4-benzyloxazolidin-2-one: **3a**

To a solution of bis-(trichloromethyl)carbonate (0.43 g, 1.44 mmol, 0.3 eq) in CH_2Cl_2 (20 mL) at −78 °C was slowly added a solution of *N*-(phenylsulfonyl)phenylalaninol **2e** (1.07 g, 3.68 mmol) in CH_2Cl_2 (40 mL). After 15 min of stirring, triethylamine (10 mmol, 1.4 mL, 3 eq) in CH_2Cl_2 (80 mL) was added dropwise maintaining the temperature below −70 °C. The resulting mixture was stirred at −78 °C for 5 min and then the ethylacetate–N_2 (liquid) bath was removed. The reaction mixture was stirred at room temperature for 2 h and washed with 1 N HCl (50 mL) and brine (3 × 25). Evaporation of the solvent under reduced pressure gave a residue, which was purified by chromatography on silica gel using [cyclohexane/ethylacetate (8:2)], as mobile phase.

Yield = 96%; m.p.: 107–109 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +38.3$ ($c = 1$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1772$. ^{1}H NMR (300 MHz, $CDCl_3$): 2.83–2.88 (m, 1H); 3.49–3.55 (m, 1H); 4.11–4.20 (m, 2H); 4.65–4.73 (m, 1H); 7.02–7.70 (m, 10H). ^{13}C NMR (75 MHz, $CDCl_3$): (40.13, $-CH_2-$); (58.41, CH–N–); (67.06, $-CH_2-$O–); (127.99–138.48, C_{arom}); (152.38, CO). MS: $C_{16}H_{15}NO_4S$; MW = 317 g/mol; $m/z = 253$ ($C_{16}H_{15}NO_2^{+\bullet}$, 64%); $m/z = 141$ ($C_6H_5O_2S^+$, 81%); $m/z = 91$ ($C_7H_7^+$, 100%); $m/z = 77$ ($C_6H_5^+$, 43%). Anal. calc. for $C_{16}H_{15}NO_4S$ (317.36): C, 60.55; H, 4.76; N, 4.41. Found: C, 60.10; H, 4.32; N, 4.20.

4.14. (4S)-3-(Phenylsulfonyl)-4-i-propyloxazolidin-2-one: **3b**

Compound **3b** (90:10); $[\alpha]_D = +58.8$ ($c = 0.5$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1761$. ^{1}H NMR (300 MHz, $CDCl_3$): 0.70–0.94 (2d, 6H); 2.41–2.52 (m, 1H); 4.14–4.48 (m, 3H); 7.54–8.11 (m, 5H). ^{13}C NMR (75 MHz, $CDCl_3$): (14.30, 18.16, 30.24, $3CH_3-$); (62.08, CH–NH–); (63.90, $-CH_2-$O–); (128.74–138.46, C_{arom}); (152.79, CO). MS: $C_{12}H_{15}NO_4S$; MW = 269 g/mol; $m/z = 226$ ($C_9H_8NO_4S^+$, 38%); $m/z = 205$ ($C_{12}H_{15}NO_2^{+\bullet}$, 12%); $m/z = 176$ ($C_9H_8NO_2^+$, 31%); $m/z = 141$ ($C_7H_7SO_2^+$, 100%); $m/z = 77$ ($C_6H_5^+$, 67%). Anal. calc. for $C_{12}H_{15}NO_4S$ (269.32): C, 53.52; H, 5.61; N, 5.20. Found: C, 53.40; H, 5.52; N, 5.13.

4.15. (4S)-3-(Phenylsulfonyl)-4-methyloxazolidin-2-one: **3c**

Yield = 95%; m.p.: 60–62 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +45$ ($c = 1$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1761$. ^{1}H NMR (300 MHz, $CDCl_3$): 1.48 (d, 3H); 3.87–3.91 (m, 1H); 4.37–4.56 (m, 2H); 7.50–8.03 (m, 5H). ^{13}C NMR (75 MHz, $CDCl_3$): (20.66, CH_3-); (53.71, CH–NH–); (69.70, $-CH_2-$O–); (128.31–138.05, C_{arom}); (152.17, CO). MS: $C_{10}H_{11}NO_4S$; MW = 241 g/mol; $m/z = 241$ $M^{+\bullet}$, 7%); $m/z = 226$ ($C_9H_8NO_4S^+$, 30%); $m/z = 177$ ($C_{10}H_{11}NO_2^{+\bullet}$, 55%); $m/z = 141$ ($C_6H_5SO_2^+$, 100%); $m/z = 77$ ($C_6H_5^+$, 57%). Anal. calc. for $C_{10}H_{11}NO_4S$ (241.26): C, 49.78; H, 4.60; N, 5.81. Found: C, 49.72; H, 4.53; N, 5.60.

Enantiomeric purity of **3c** was determined by HPLC analyses on Chirobiotic V column (250 × 46 mm) with a flow rate of 0.6 mL/min. Mobile phase methanol/hexane

[70:30]; retention times: (4*S*)-3-(phenylsulfonyl)-4-methyloxazolidin-2-one 10.4 min; (4*R*)-3-(phenylsulfonyl)-4-methyloxazolidin-2-one 15.2 min.

4.16. (4S)-3-(Phenylsulfonyl)-4-i-butyloxazolidin-2-one: ***3d***

Yield = 96%; m.p.: 139–141 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +39.6$ ($c = 0.5$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1770$. ^1H NMR (300 MHz, $CDCl_3$): 0.90–1.05 (m, 6H); 1.56–1.67 (m, 2H); 1.94–2.04 (m, 1H); 4.05–4.09 (m, 1H); 4.36–452 (m, 2H); 7.54–8.08 (m, 5H). ^{13}C NMR (75 MHz, $CDCl_3$): (21.44, 23.61, 24.67, $3CH_3$–); (42.87, $-CH_2-$); (56.27, CH–NH–); (68.15, $-CH_2-O-$); (128.41–138.21, C_{arom}); (152, CO). MS: $C_{13}H_{17}NO_4S$; MW = 283 g/mol; $m/z = 219$ ($C_{13}H_{17}NO_2^{+\bullet}$, 13%); $m/z = 162$ ($C_9H_8NO_2^+$, 24%); $m/z = 141$ ($C_6H_5SO_2^+$, 100%); $m/z = 77$ ($C_6H_5^+$, 67%). Anal. calc. for $C_{13}H_{17}NO_4S$ (283.34): C, 55.11; H, 6.05; N, 494. Found: C, 55.01; H, 6.17; N, 4.83.

4.17. (4R)-3-(Phenylsulfonyl)-4-phenyloxazolidin-2-one: ***3e***

Yield = 97%; m.p.: 118–120 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = -13.6$ ($c = 1$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1775$. ^1H NMR (300 MHz, $CDCl_3$): 4.27–4.31 (m, 1H); 4.71–4.77 (t, 1H); 5.42–5.46 (m, 1H); 7.19–7.55 (m, 10H). ^{13}C NMR (75 MHz, $CDCl_3$): (60.41, CH–NH–); (70.51, $-CH_2-O-$); (127.12–137.68, C_{arom}); (152.02, CO). MS: $C_{15}H_{13}NO_4S$; MW = 303 g/mol; $m/z = 239$ ($C_{15}H_{13}O_2N^{+\bullet}$, 65%); $m/z = 141$ ($C_6H_5O_2S^+$, 100%); $m/z = 77$ ($C_6H_5^+$, 58%). Anal. calc. for $C_{15}H_{13}NO_4S$ (303.33): C, 59.40; H, 4.32; N, 4.62. Found: C, 59.20; H, 4.21; N, 4.65.

4.18. (4S)-3-(Phenylsulfonyl)-4-[(1′S)-1′-methylpropyl]oxazolidin-2-one: ***3f***

Yield = 95%; m.p.: 144–146 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = 60$ ($c = 1$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1772$. ^1H NMR (300 MHz, $CDCl_3$): 0.72 (d, 3H); 0.96–1.00 (t, 3H); 1.09–1.34 (m, 2H); 2.22 (m, 1H); 4.12–4.16 (m, 1H); 4.25–4.31 (t, 1H); 4.53–4.56 (m, 1H); 7.55–8.11 (m, 5H). ^{13}C NMR (75 MHz, $CDCl_3$): (11.68, 12.19, $2CH_3$–); (25.65, –CH–); (37.05, $-CH_2-$); (61.05, CH–NH–); (63.94, $-CH_2-O-$); (128.76–138.40, C_{arom}); (152.87, CO). MS: $C_{13}H_{17}NO_4S$; MW = 283 g/mol; $m/z = 219$ ($C_{13}H_{17}NO_2^{+\bullet}$, 17%); $m/z = 226$ ($C_9H_8NO_4S^+$, 15%); $m/z = 141$ ($C_6H_5SO_2^+$, 100%); $m/z = 77$ ($C_6H_5^+$, 63%). Anal. calc. for $C_{13}H_{17}NO_4S$ (283.34): C, 55.11; H, 6.05; N, 4.94. Found: C, 55.10; H, 5.76; N, 4.80.

4.19. (4S)-3-(2-Naphthylsulfonyl)-4-methyloxazolidin-2-one: ***3g***

Yield = 88%; m.p.: 129–131 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +40.1$ ($c = 0.5$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1762$. ^1H NMR (300 MHz, $CDCl_3$): 1.59 (d, 3H); 3.93–4.66 (m, 3H); 7.62–7.73 (m, 2H); 7.92–8.05 (m, 4H); 8.68 (s, 1H). ^{13}C NMR (75 MHz, $CDCl_3$): (21.19, CH_3-); (54.04, CH–NH–); (69.96, $-CH_2-O-$); (122.94–135.94, C_{arom}); (152.47, CO). MS: $C_{14}H_{13}NO_4S$; MW = 291 g/mol; $m/z = 291$ ($M^{+\bullet}$, 13%); $m/z = 227$ ($C_{14}H_{13}NO_2^{+\bullet}$, 35%); $m/z = 168$ ($C_{12}H_{10}N^+$, 26%); $m/z = 127$ ($C_{10}H_7^+$, 100%). Anal. calc. for $C_{14}H_{13}NO_4S$ (291.32): C, 57.72; H, 4.50; N, 4.81. Found: C, 57.62; H, 4.41; N, 4.62.

4.20. (4S)-3-(2-Naphthylsulfonyl)-4-i-propyloxazolidin-2-one: ***3h***

Yield = 85%; m.p.: 141–143 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +16.7$ ($c = 0.5$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1766$. ^1H NMR (300 MHz, $CDCl_3$): 0.74–0.97 (2d, 6H); 4.14–4.53 (m, 3H); 7.61–7.72 (m, 2H); 7.91–8.02 (m, 4H); 8.68 (s, 1H). ^{13}C NMR (75 MHz, $CDCl_3$): (14.39, 18.17, $2CH_3$–); (30.13, –CH–); (62.14, CH–NH–); (63.94, $-CH_2-O-$); (122.57–135.91, C_{arom}); (152.87, CO). MS: $C_{17}H_{19}NO_4S$; MW = 333 g/mol; $m/z = 319$ ($M^{+\bullet}$, 11%); $m/z = 212$ ($C_{13}H_{10}NO_2^{+\bullet}$, 31%); $m/z = 191$ ($C_{10}H_7NO_2S^+$, 52%); $m/z = 127$ ($C_{10}H_7^+$, 100%). Anal. calc. for $C_{16}H_{17}NO_4S$ (319.38): C, 60.17; H, 5.37; N, 4.39. Found: C, 59.95; H, 5.11; N, 4.44.

Enantiomeric purity of **3c** was determined by HPLC analyses on Chirobiotic V column (250 × 46 mm) with a flow rate of 0.6 mL/min. Mobile phase methanol/hexane [70:30]; retention times: (4*S*)-3-(2-naphthylsulfonyl)-4-*i*-propyloxazolidin-2-one 11.2 min; (4*R*)-3-(2-naphthylsulfonyl)-4-*i*-propyloxazolidin-2-one 13.3 min.

4.21. (4S)-3-(2-Naphthylsulfonyl)-4-[(1′S)-1′-methylpropyl]oxazolidin-2-one: ***3i***

Yield = 87%; m.p.: 130–132 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +60$ ($c = 1$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1776$. ^1H NMR (300 MHz, $CDCl_3$): 0.74 (d, 3H); 0.98–1.02 (t, 3H); 1.16–1.37 (m, 2H); 2.04–2.17 (m, 1H); 4.13–4.61 (m, 3H); 7.12–7.15 (m, 2H); 7.62–8.05 (m, 4H); 8.69 (s, 1H). ^{13}C NMR

(75 MHz, $CDCl_3$): (11.75, 12.11, $2CH_3$–); (25.68, –CH–); (37.20, $-CH_2-$); (61.11, CH–NH–); (63.94, $-CH_2-O-$); (122.93–135.91, C_{arom}); (152.93, CO). MS: $C_{17}H_{19}NO_4S$; MW = 333 g/mol; *m/z* = 333 ($M^{+\bullet}$, 7%); *m/z* = 212 ($C_{13}H_{10}NO_2^{+\bullet}$, 23%); *m/z* = 191 ($C_{10}H_7NO_2S^+$, 64%); *m/z* = 127 ($C_{10}H_7^+$, 100%). Anal. calc. for $C_{17}H_{19}NO_4S$ (333.40): C, 61.24; H, 5.74; N, 4.20. Found: C, 61.20; H, 5.72; N, 4.10.

4.22. (4S)-3-(2-Naphthylsulfonyl)-4-benzyloxazolidin-2-one: ***3j***

Yield = 79%; m.p.: 107–109 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +71.4$ ($c = 0.5$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1773$. ^1H NMR (300 MHz, $CDCl_3$): 2.84–2.93 (m, 1H); 3.57–3.63 (m, 1H); 4.10–4.27 (m, 2H); 4.71–4.80 (m, 1H); 7.15–7.39 (m, 5H); 7.64–7.75 (m, 2H); 7.95–8.11 (m, 4H); 8.74 (s, 1H). ^{13}C NMR (75 MHz, $CDCl_3$): (40.26, $-CH_2-$); (58.49, CH–N–); (67.05, $-CH_2-O-$); (122.95–135.99, C_{arom}); (152.42, CO). MS: $C_{17}H_{19}NO_4S$; MW = 367 g/mol; *m/z* = 212 ($C_{13}H_{10}NO_2^{+\bullet}$, 15%); *m/z* = 191 ($C_{10}H_7NO_2S^+$, 72%); *m/z* = 127 ($C_{10}H_7^+$, 100%); *m/z* = 91 ($C_7H_7^+$, 100%). Anal. calc. for $C_{20}H_{17}NO_4S$ (367.42): C, 65.38; H, 4.66; N, 3.81. Found: C, 65.32; H, 4.62; N, 3.82.

4.23. (4S)-3-(4-Methylbenzenesulfonyl)-4-i-propyloxazolidin-2-one: ***3k***

Yield = 95%; m.p.: 115–117 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +58.2$ ($c = 0.5$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1770$. ^1H NMR (300 MHz, $CDCl_3$): 0.72–0.92 (2d, 6H); 2.43 (s, 3H); 4.12–4.45 (m, 3H); 7.32–7.96 (AA′BB′, 4H). ^{13}C NMR (75 MHz, $CDCl_3$): (14.3–22, $3CH_3$–); (30.26, –CH–); (62.05, CH–NH–); (63.91, $-CH_2-O-$); (128.7–147.9, C_{arom}); (152.8, CO). MS: $C_{13}H_{17}NSO_4$; MW = 283 g/mol; *m/z* = 240 ($C_{10}H_{10}NSO_4^+$, 13%); *m/z* = 219 ($C_{13}H_{17}NO_2^{+\bullet}$, 13%); *m/z* = 176 ($C_{10}H_{10}NO_2^+$, 33%); *m/z* = 155 ($C_7H_7SO_2^+$, 100%); *m/z* = 91 ($C_7H_7^+$, 88%). Anal. calc. for $C_{13}H_{17}NO_4S$ (283.34): C, 55.11; H, 6.05; N, 4.94. Found: C, 55.40; H, 5.80; N, 4.70.

4.24. (4S)-3-(4-Methylbenzenesulfonyl)-4-i-butyloxazolidin-2-one: ***3l***

Yield = 96%; m.p.: 151–153 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +38.4$ ($c = 0.5$ $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1768$. ^1H NMR (300 MHz, $CDCl_3$): 0.95–0.98 (m, 6H); 1.58–1.64 (m, 2H); 1.97 (m, 1H); 2.43 (s, 3H); 4.03–4.45 (m, 3H); 7.33–7.95 (AA′BB′, 4H). ^{13}C NMR (75 MHz, $CDCl_3$): (21.7–25.06, $3CH_3$–); (43.19, $-CH_2-$); (56.59, CH–NH–); (68.48, $-CH_2-O-$); (128.81–145.94, C_{arom}); (152.66, CO). MS: $C_{14}H_{19}NSO_4$; MW = 297 g/mol; *m/z* = 233 ($C_{14}H_{19}NO_2^{+\bullet}$, 7%); *m/z* = 176 ($C_{10}H_{10}NO_2^+$, 15%); *m/z* = 155 ($C_7H_7SO_2^+$, 100%); *m/z* = 91 ($C_7H_7^+$, 71%). Anal. calc. for $C_{14}H_{19}NO_4S$ (297.37): C, 56.55; H, 6.44; N, 4.71. Found: C, 55.30; H, 6.32; N, 4.60.

4.25. (4S)-3-(4-Methylbenzenesulfonyl)-4-[(1′S)-1′-methylpropyl]oxazolidin-2-one: ***3m***

Yield = 95%; m.p.: 170–172 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +41$ ($c = 1$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1774$. ^1H NMR (300 MHz, $CDCl_3$): 0.72 (d, 3H); 0.96 (t, 2H); 2.43 (s, 3H); 4.09–4.54 (m, 3H); 7.32–7.96 (AA′BB′, 4H). ^{13}C NMR (75 MHz, $CDCl_3$): (11.54, 11.90, $2CH_3$–); (25.51, –CH–) (36.89, $-CH_2-$); (61.31, CH–NH–); (63.82, $-CH_2-O-$); (127.32–138.41, C_{arom}); (152.75, CO). MS: $C_{14}H_{19}NSO_4$; MW = 297 g/mol; *m/z* = 233 ($C_{14}H_{19}NO_2^{+\bullet}$, 10%); *m/z* = 240 ($C_{10}H_{10}NSO_4^+$, 18%); *m/z* = 155 ($C_7H_7SO_2^+$, 100%); *m/z* = 91 ($C_7H_7^+$, 58%). Anal. calc. for $C_{14}H_{19}NO_4S$ (297.37): C, 56.55; H, 6.44; N, 4.71. Found: C, 56.50; H, 6.42; N, 4.62.

4.26. (4S)-3-(4-Methylbenzenesulfonyl)-4-methyloxazolidin-2-one: ***3n***

Yield = 97%; m.p.: 117–119 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +52.2$ ($c = 0.5$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1779$. ^1H NMR (300 MHz, $CDCl_3$): 1.52 (d, 3H); 2.4 (s, 3H); 3.89–4.57 (m, 3H); 5.15 (d, 1H); 7.33–7.95 (AA′BB′, 4H). ^{13}C NMR (75 MHz, $CDCl_3$): (21–22, $2CH_3$–); (53.96, CH–NH–); (69.92, $-CH_2-O-$); (128.71–150.95, C_{arom}); (152.50, CO). MS: $C_{11}H_{13}NSO_4$; MW = 255 g/mol; *m/z* = 255 ($M^{+\bullet}$, 4%); *m/z* = 254 ($C_{11}H_{12}NSO_4^+$, 32%); *m/z* = 191 ($C_{11}H_{13}NO_2^{+\bullet}$, 50%); *m/z* = 91 ($C_7H_7^+$, 73%); *m/z* = 64 ($SO_2^+$, 74%). Anal. calc. for $C_{11}H_{13}NO_4S$ (255.29): C, 51.75; H, 5.13; N, 5.49. Found: C, 51.70; H, 5.20; N, 5.40.

4.27. (4R)-3-(4-Methylbenzenesulfonyl)-4-phenyloxazolidin-2-one: ***3o***

Yield = 95%; m.p.:149–151 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = -39.5$ ($c = 0.5$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1774$. ^1H NMR (300 MHz, $CDCl_3$): 2.37 (d, 3H); 4.25–4.29 (m, 1H); 5.41–5.43 (m, 1H); 7.09–7.31 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$):

(22.01, $1CH_3-$); (60.75, CH−NH−); (70.87, $-CH_2-O-$); (127.41−145.59, C_{arom}); (152.45, CO). MS: $C_{16}H_{15}NSO_4$; MW = 317 g/mol; $m/z = 253$ ($C_{16}H_{15}NO_2^{+\bullet}$, 71%); $m/z = 91$ ($C_7H_7^+$, 100%); $m/z = 77$ ($C_6H_5^+$, 55%). Anal. calc. for $C_{16}H_{15}NO_4S$ (317.36): C, 60.55; H, 4.76; N, 4.41. Found: C, 60.52; H, 4.72; N, 4.25.

4.28. (4S)-3-(4-Methylbenzenesulfonyl)-4-benzyloxazolidin-2-one: ***3p***

Yield = 96%; m.p.: 136−138 °C [hexane:ethylacetate (90:10)]; $[\alpha]_D = +36.2$ ($c = 0.5$, $CHCl_3$). IR (cm^{-1}): $\nu_{CO} = 1774$. ^1H NMR (300 MHz, $CDCl_3$): 2.37 (d, 3H); 4.25−4.29 (m, 1H); 5.41−5.43 (m, 1H); 7.09−7.31 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$): (22, $1CH_3-$); (41.25, $-CH_2-$); (60.7, CH−NH−); (70.8, $-CH_2-O-$); (127.40−145.59, C_{arom}); (152.45, CO). MS: $C_{16}H_{15}NO_4S$; MW = 317 g/mol; $m/z = 253$ ($C_{16}H_{15}NO_2^{+\bullet}$, 71%); $m/z = 155$ ($C_7H_7SO_2^+$, 10%); $m/z = 91$ ($C_7H_7^+$, 100%); $m/z = 77$ ($C_6H_5^+$, 55%). Anal. calc. for $C_{17}H_{17}NO_4S$ (331.39): C, 61.62; H, 5.17; N, 4.23. Found: C, 61.50; H, 5.20; N, 4.20.

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