Supporting Information

Efficient and stereoselective one-pot synthesis of benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-ones

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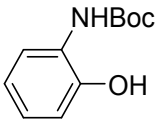
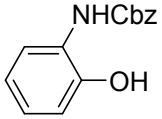
Preparation of 8a-8r

***tert*-Butyl (2-hydroxyphenyl)carbamate (8a)**



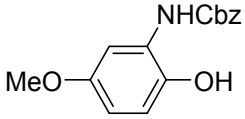
To a suspension of 2-aminophenol (7.63 g, 70 mmol) in DCM (80 mL) was added a solution of Boc_2O (15.3 g, 70 mmol) in DCM (20 mL). The mixture was stirred at room temperature overnight. After concentration, the residue was purified by column chromatography (10-20% EtOAc in PE) to give **8a** (13.8 g, 95%) as an off-white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.12 (brs, 1 H), 7.10-7.01 (m, 2 H), 6.97 (dd, J = 1.2, 8.4 Hz, 1 H), 6.89-6.81 (m, 1 H), 6.63 (brs, 1 H), 1.53 (s, 9 H). Its analytical data are identical to those previously reported.[1]

Benzyl (2-hydroxyphenyl)carbamate (8b)



A mixture of 2-aminophenol (578 mg, 5.3 mmol), sodium bicarbonate (580 mg, 6.9 mmol), tetrahydrofuran (9 mL) and water (3 mL) was cooled in an ice bath under the protection of argon. A solution of benzyl chloroformate (0.73 mL, 5.3 mmol) in tetrahydrofuran (3 mL) was added dropwise to the above reaction mixture. After completion monitored by TLC, dichloromethane was added, and the organic phase was washed with water and brine, dried over anhydrous Na_2SO_4, filtered and concentrated. The crude product was purified by column chromatography (10-20% EtOAc in PE) to give **8b** (1.26 g, 98% yield). Off-white solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.67 (s, 1 H), 8.40 (s, 1 H), 7.55 (d, J = 7.6 Hz, 1 H), 7.45-7.28 (m, 5 H), 6.96-6.72 (m, 3 H), 5.13 (s, 2 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{14}H_{14}NO_3$: 244.0968; found: 244.0968.

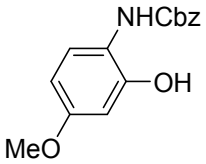
Benzyl (2-hydroxy-5-methoxyphenyl)carbamate (8c)



Compound **8c** was prepared from 2-amino-4-methoxyphenol following the preparation

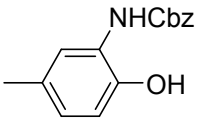
method of **8b**. Pink solid (78% yield). Flash column chromatography was performed eluting with DCM. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.21 (s, 1 H), 8.36 (s, 1 H), 7.48-7.21 (m, 6 H), 6.74 (d, J = 8.8 Hz, 1 H), 6.49 (dd, J = 2.8, 8.8 Hz, 1 H), 5.14 (s, 2 H), 3.65 (s, 3 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{15}H_{16}NO_4$: 274.1074; found: 274.1070.

Benzyl (2-hydroxy-4-methoxyphenyl)carbamate (8d)



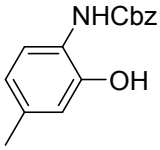
A mixture of 5-methoxy-2-nitrophenol (1 g, 5.9 mmol), Raney nickel (0.3 g) and tetrahydrofuran (10 mL) was hydrogenated at 20-50 psi for 3 hours. The reaction mixture was filtered into a flask containing sodium bicarbonate (0.64 g, 7.67 mmol) and water (8 mL) under ice bath, protected by argon. Benzyl chloroformate (0.83 mL, 5.9 mmol) was added dropwise, and the mixture was stirred for 30 minutes. Ethyl acetate was added, and then the organic phase was washed with water and brine, dried over anhydrous sodium sulfate, filtered and concentrated to give a yellow solid. The crude product was stirred with hexane and filtered to give **8d** (1.2 g, 75%) as a yellow solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.60 (s, 1 H), 8.33 (s, 1 H), 7.46-7.18 (m, 6 H), 6.41 (d, J = 2.4 Hz, 1 H), 6.35 (dd, J = 2.8, 8.8 Hz, 1 H), 5.09 (s, 2 H), 3.67 (s, 3 H). MS (ESI) m/z: 272 ($[M-H]^-$).

Benzyl (2-hydroxy-5-methylphenyl)carbamate (8e)



Compound **8e** was prepared from 2-amino-4-methylphenol following the preparation method of **8b**. Yellow solid (87% yield). Flash column chromatography was performed eluting with 15% EtOAc in PE. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.40 (s, 1 H), 8.33 (s, 1 H), 7.49-7.27 (m, 6 H), 6.71 (d, J = 0.8 Hz, 2 H), 5.13 (s, 2 H), 2.18 (s, 3 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{15}H_{16}NO_3$: 258.1125; found: 258.1123.

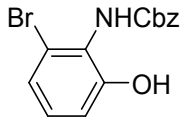
Benzyl (2-hydroxy-4-methylphenyl)carbamate (8f)



Compound **8f** was prepared from 2-amino-5-methylphenol following the preparation method

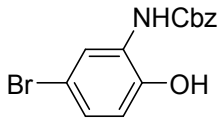
of **8b**. Pink solid (94% yield). Flash column chromatography was performed eluting with 15% EtOAc in PE. ^{1}H NMR (500 MHz, DMSO-d_6) δ: 9.51 (s, 1 H), 8.33 (s, 1 H), 7.51-7.25 (m, 6 H), 6.65 (s, 1 H), 6.57 (d, J = 8.0 Hz, 1 H), 5.11 (s, 2 H), 2.18 (s, 3 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{15}H_{16}NO_3$: 258.1125; found: 258.1124.

Benzyl (2-bromo-6-hydroxyphenyl)carbamate (8g)



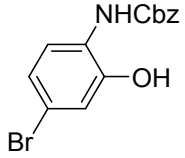
To a mixture of 2-amino-3-bromophenol (1 g, 5.3 mmol) and calcium carbonate powder (330 mg, 3.3 mmol) in 1,4-dioxane (6 mL) was added benzyl chloroformate (0.73 mL, 5.3 mmol). The reaction mixture was heated at 70^{o}C. After completion monitored by TLC, water was added. The resulting mixture was extracted with ethyl acetate, and then the organic phase was washed with brine, dried over anhydrous Na_2SO_4, filtered and concentrated. The crude product was purified by column chromatography (10% EtOAc in PE) to give **8g** (1.45 g, 85%). Brown solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.91 (s, 1 H), 8.74 (s, 1 H), 7.49-7.22 (m, 5 H), 7.10-6.97 (m, 2 H), 6.87 (dd, J = 1.6, 7.6 Hz, 1 H), 5.09 (s, 2 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{14}H_{13}BrNO_3$: 322.0073; found: 322.0072.

Benzyl (5-bromo-2-hydroxyphenyl)carbamate (8h)



Compound **8h** was prepared from 2-amino-4-bromophenol following the preparation method of **8b**. Red solid (84% yield). Flash column chromatography was performed eluting with 15% EtOAc in PE. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 10.10 (s, 1 H), 8.61 (s, 1 H), 7.80 (s, 1 H), 7.53-7.25 (m, 5 H), 7.07 (dd, J = 2.4, 8.4 Hz, 1 H), 6.79 (d, J = 8.4 Hz, 1 H), 5.15 (s, 2 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{14}H_{13}BrNO_3$: 322.0073; found: 322.0072.

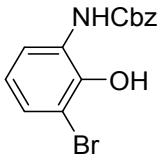
Benzyl (4-bromo-2-hydroxyphenyl)carbamate (8i)



Compound **8i** was prepared from 2-amino-5-bromophenol following the preparation method

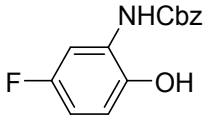
of **8b**. Yellow solid (98% yield). Flash column chromatography was performed eluting with 10-20% EtOAc in PE. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 10.24 (s, 1 H), 8.53 (s, 1 H), 7.52 (d, J = 8.4 Hz, 1 H), 7.46-7.27 (m, 5 H), 7.00-6.91 (m, 2 H), 5.13 (s, 2 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{14}H_{13}BrNO_3$: 322.0073; found: 322.0072.

Benzyl (3-bromo-2-hydroxyphenyl)carbamate (8j)



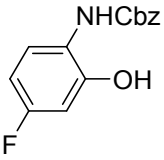
Compound **8j** was prepared from 2-amino-6-bromophenol following the preparation method of **8b**. Pink solid (96% yield). Flash column chromatography was performed eluting with 10-20% EtOAc in PE. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.49 (s, 1 H), 8.89 (s, 1 H), 7.59-7.18 (m, 7 H), 6.77 (t, J = 8.0 Hz, 1 H), 5.15 (s, 2 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{14}H_{13}BrNO_3$: 322.0073; found: 322.0071.

Benzyl (5-fluoro-2-hydroxyphenyl)carbamate (8k)



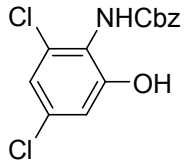
Compound **8k** was prepared from 2-amino-4-fluorophenol following the preparation method of **8b**. Off-white solid (87% yield). Flash column chromatography was performed eluting with 15% EtOAc in PE. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.75 (s, 1 H), 8.55 (s, 1 H), 7.51 (dd, J = 2.8, 10.8 Hz, 1 H), 7.47-7.28 (m, 5 H), 6.80 (dd, J = 5.6, 9.2 Hz, 1 H), 6.76-6.67 (m, 1 H), 5.16 (s, 2 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{14}H_{13}FNO_3$: 262.0874; found: 262.0875.

Benzyl (4-fluoro-2-hydroxyphenyl)carbamate (8l)



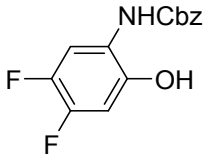
Compound **8l** was prepared from 2-amino-5-fluorophenol following the preparation method of **8b**. Off-white solid (88% yield). Flash column chromatography was performed eluting with 15% EtOAc in PE. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 10.15 (s, 1 H), 8.48 (s, 1 H), 7.53-7.28 (m, 6 H), 6.68-6.54 (m, 2 H), 5.12 (s, 2 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{14}H_{13}FNO_3$: 262.0874; found: 262.0874.

Benzyl (2,4-dichloro-6-hydroxyphenyl)carbamate (8m)



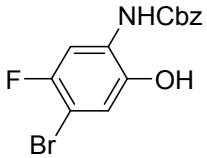
Compound **8m** was prepared from 2-amino-3,5-dichlorophenol following the preparation method of **8b**. Red solid (59% yield). Flash column chromatography was performed eluting with 10% EtOAc in PE. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.91 (s, 1 H), 8.99 (s, 1 H), 7.62 (d, J = 2.8 Hz, 1 H), 7.47-7.29 (m, 5 H), 7.23 (d, J = 2.8 Hz, 1 H), 5.15 (s, 2 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{14}H_{12}Cl_2NO_3$: 312.0189; found: 312.0189.

Benzyl (4,5-difluoro-2-hydroxyphenyl)carbamate (8n)



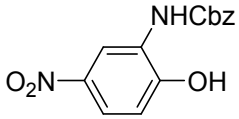
Compound **8n** was prepared from 4,5-difluoro-2-nitrophenol following the preparation method of **8d**. Pale-yellow solid (54% yield). Flash column chromatography was performed eluting with 15% EtOAc in PE. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 10.16 (s, 1 H), 8.66 (s, 1 H), 7.61 (dd, J = 9.6, 12.0 Hz, 1 H), 7.46-7.29 (m, 5 H), 6.81 (dd, J = 8.0, 12.0 Hz, 1 H), 5.14 (s, 2 H). MS (ESI) m/z: 278 ($[M-H]^-$).

Benzyl (4-bromo-5-fluoro-2-hydroxyphenyl)carbamate (8o)



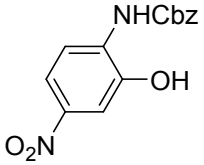
To a mixture of 5-bromo-4-fluoro-2-nitrophenol (1 g, 4.24 mmol) and Zn dust (1.1 g, 17 mmol) in DCM (20 mL) was added AcOH (1.2 mL, 34 mmol). The reaction mixture was stirred at room temperature for 4 h. After filtration and concentration in vacuo, the brown residue was used directly without further purification. Then compound **8o** (138 mg, 10% yield) was prepared according to the preparation method of **8g**. Pale-yellow solid. Flash column chromatography was performed eluting with 15% EtOAc in PE. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 10.22 (s, 1 H), 8.74 (s, 1 H), 7.69 (d, J = 10.4 Hz, 1 H), 7.47-7.29 (m, 5 H), 7.02 (d, J = 6.8 Hz, 1 H), 5.16 (s, 2 H). MS (ESI) m/z: 338 ($[M-H]^-$).

Benzyl (2-hydroxy-5-nitrophenyl)carbamate (8p)



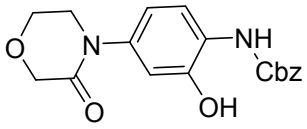
Compound **8p** was prepared from 2-amino-4-nitrophenol following the preparation method of **8g**. Yellow solid (94% yield). The desired product was obtained by triturating with hexane. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 11.53 (s, 1 H), 8.92 (s, 1 H), 8.64 (d, J = 2.8 Hz, 1 H), 7.89 (dd, J = 2.8, 8.8 Hz, 1 H), 7.48-7.30 (m, 5 H), 7.00 (d, J = 8.8 Hz, 1 H), 5.19 (s, 2 H). MS (ESI) m/z: 287 ([M-H]$^-$).

Benzyl (2-hydroxy-4-nitrophenyl)carbamate (8q)



Compound **8q** was prepared from 2-amino-5-nitrophenol following the preparation method of **8g**. Yellow solid (93% yield). The desired product was obtained by triturating with hexane. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 10.96 (s, 1 H), 8.97 (s, 1 H), 8.03 (d, J = 9.2 Hz, 1 H), 7.75 (dd, J = 2.8, 9.2 Hz, 1 H), 7.64 (d, J = 2.4 Hz, 1 H), 7.48-7.30 (m, 5 H), 5.20 (s, 2 H). HR-MS (ESI): m/z [M+Na]$^+$ calcd for $C_{14}H_{13}N_2O_5$: 289.0819; found: 289.0828.

Benzyl (2-hydroxy-4-(3-oxomorpholino)phenyl)carbamate (8r)



To a cooled solution of 2,4-difluoro-1-nitrobenzene (6.4 g, 40 mmol) in anhydrous toluene (60 mL) was added *t*-BuOK (4.5 g, 22 mmol) in portions. The reaction mixture was stirred for 2 h. Water was added, and the organic layer was washed with water and brine, dried over anhydrous Na_2SO_4 and concentrated in vacuum. The brown residue was purified by column chromatography (1-2% EtOAc in PE) to give 2-(*tert*-butoxy)-4-fluoro-1-nitrobenzene (7 g, 82%) as a yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.80 (dd, J = 6.0, 8.8 Hz, 1 H), 6.92 (dd, J = 2.4, 10.0 Hz, 1 H), 6.87-6.78 (m, 1 H), 1.46 (s, 9 H). Its analytical data are identical with those previously reported.[2,3]

To a cooled mixture of morpholin-3-one (1.7 g, 16.9 mmol) and anhydrous THF (30 mL) was added NaH (60%, 676 mg, 16.9 mmol) in portions. After stirring for 30 min, a solution

of 2-(*tert*-butoxy)-4-fluoro-1-nitrobenzene (3 g, 14.1 mmol) in THF (3 mL) was added and followed by DMSO (15 mL). The mixture was heated at 60°C for 4.5 h and cooled to room temperature. Water (15 mL) and EtOAc (30 mL) were added. The organic layer was separated and washed with brine, dried over anhydrous Na_2SO_4 and concentrated. The residue was purified by column chromatography (20-50% EtOAc in PE) to give 4-(3-(*tert*-butoxy)-4-nitrophenyl)morpholin-3-one (1.9 g, 46%) as a yellow solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.80 (d, *J* = 8.8 Hz, 1 H), 7.43 (d, *J* = 2.4 Hz, 1 H), 7.10 (dd, *J* = 2.0, 8.8 Hz, 1 H), 4.36 (s, 2 H), 4.06 (dd, *J* = 4.8, 6.4 Hz, 2 H), 3.82 (dd, *J* = 3.6, 5.2 Hz, 2 H), 1.45 (s, 9 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{14}H_{19}N_2O_5$: 295.1288; found: 295.1299. Its analytical data are identical with those previously reported.[2]

To a solution of 4-(3-(*tert*-butoxy)-4-nitrophenyl)morpholin-3-one (1.6 g, 5.4mmol) in THF (10 mL) was added concentrated hydrochloric acid (5 mL). The mixture was stirred at room temperature for 1 h. EtOH was added to the reaction mixture. The resulting solid was filtered, the filter cake was washed with EtOH, and dried to give 4-(3-hydroxy-4-nitrophenyl)morpholin-3-one (1.05 g, 82%) as a yellow solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 11.06 (s, 1 H), 7.96 (d, *J* = 9.2 Hz, 1 H), 7.31 (d, *J* = 2.4 Hz, 1 H), 7.11 (dd, *J* = 2.4, 9.2 Hz, 1 H), 4.25 (s, 2 H), 3.98 (dd, *J* = 4.8, 6.8 Hz, 2 H), 3.79 (dd, *J* = 3.6, 5.2 Hz, 2 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{10}H_{11}N_2O_5$: 239.0662; found: 239.0674. Its analytical data are identical with those previously reported.[2]

A mixture of 4-(3-hydroxy-4-nitrophenyl)morpholin-3-one (1.25 g, 5.25 mmol), Raney nickel (0.5 g) and tetrahydrofuran (30 mL) was hydrogenated at 20-50 psi for 3.5 h. The reaction mixture was filtered into a flask containing sodium bicarbonate (0.82 g, 10.5 mmol) and water (20 mL) under ice bath, protected by argon. Benzyl chloroformate (0.75 mL, 5.25 mmol) was added dropwise. The mixture was stirred for 2 h and filtered. The filtrate was concentrated to give a solid. EtOH (70%, 30 mL) was added to give a slurry which was filtered, and dried to afford **8r** (1.38 g, 77%) as a pink solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.89 (s, 1 H), 8.50 (s, 1 H), 7.54 (d, *J* = 8.4 Hz, 1 H), 7.48-7.29 (m, 5 H), 6.89 (d, *J* = 2.4 Hz, 1 H), 6.77 (dd, *J* = 2.4, 8.4 Hz, 1 H), 5.14 (s, 2 H), 4.17 (s, 2 H), 3.94 (dd, *J* = 4.8, 6.4 Hz, 2 H), 3.66 (t, *J* = 5.2 Hz, 2 H). HR-MS (ESI): m/z $[M+H]^+$ calcd for $C_{18}H_{19}N_2O_5$: 343.1288; found: 343.1301. Its analytical data are identical with those previously reported.[2]

Preparation of 9a-9d

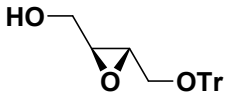
(2*R*,3*S*)-(3-((Trityloxy)methyl)oxiran-2-yl)methanol (9a)

To a four-necked flask were added 4Å molecular sieve (12 g) and anhydrous dichloromethane (330 mL). The mixture was cooled to -40°C under the protection of argon. *D*-(-)-diethyl tartrate (13.6 mL, 79.2 mmol) was added, followed by titanium tetraisopropanolate (18.8 mL, 63.4 mmol), and the reaction mixture turned to yellow. After stirring for 0.5 h, a solution of (*Z*)-4-(trityloxy)but-2-en-1-ol (26.1 g, 79.2 mmol) in dichloromethane (120 mL) was added to the mixture and stirred for 0.5 h. A solution of *tert*-butyl hydroperoxide in toluene (3.8 M, 50 mL, 190 mmol) was added and stirred overnight at -20°C. After the reaction completed monitored by TLC, tartaric acid solution (10%, 200 mL) containing $FeSO_4 \cdot 7H_2O$ (30 g) was added and stirred at 0°C for 1 h before layering. The organic layer was separated, and the water layer was extracted with dichloromethane for twice. The organic phase was combined and washed with saturated brine for twice, filtered, and evaporated to give a solid, which was stirred in *n*-hexane and filtered, followed by recrystallizing with petroleum ether/ethyl acetate to obtain **9a** (15 g, 58%) as an off-white solid. The corresponding alcohol was analyzed by Chiral HPLC (OD-H, 5 μm, 4.6 mm×250mmL; eluent: hexane/ethanol, 90/10; flow rate: 1 mL/min; λ = 215 nm; T = 20°C): t_R = 6.46 min (96.49%), 12.58 min (3.51%). The enantiomeric purity of **9a** was determined to be 93.0% *ee*. $[\alpha]_D^{24}$ = +31.9 (c = 1, $CHCl_3$). ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.47-7.19 (m, 15 H), 3.63-3.50 (m, 3 H), 3.29-3.17 (m, 2 H), 3.07 (dd, *J* = 5.6, 10.4 Hz, 1 H), 1.90 (brs, 1 H). HR-MS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{23}H_{22}NaO_3$: 369.1461, found: 369.1427.

(2*S*,3*R*)-(3-((Trityloxy)methyl)oxiran-2-yl)methanol (9b)

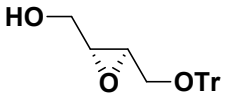
Compound **9b** (6 g, 51%) was prepared from (*Z*)-4-(trityloxy)but-2-en-1-ol in the same manner as described for **9a** by replacing *D*-(-)-diethyl tartrate with *L*-(+)-diethyl tartrate. The enantiomeric purity of **9b** was determined to be 96.8% *ee*. $[\alpha]_D^{24}$ = -31.1 (c = 1, $CHCl_3$). ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.49-7.20 (m, 15 H) 3.65-3.50 (m, 3 H), 3.28-3.17 (m, 2 H), 3.06 (dd, *J* = 5.6, 10.8 Hz, 1 H), 1.85 (t, *J* = 6.4 Hz, 1 H). HR-MS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{23}H_{22}NaO_3$: 369.1461, found: 369.1448.

((2*S*,3*S*)-3-((Trityloxy)methyl)oxiran-2-yl)methanol (9c)



Compound **9c** (3.1 g, 98%) was prepared from (*E*)-4-(trityloxy)but-2-en-1-ol in the same manner as described for **9a** by replacing *D*-(-)-diethyl tartrate with *L*-(+)-diethyl tartrate. The corresponding alcohol was analyzed by Chiral HPLC (OZ-H, 5 μm, 4.6 mm×250mmL; eluent: hexane/ isopropanol, 97/3; flow rate: 1 mL/min; λ = 220 nm; T = 20°C): t_R = 18.62 min (1.72%), 21.26 min (98.28%).The enantiomeric purity of **9c** was determined to be 96.6% *ee*. $[\alpha]_D^{25}$ = -10.5 (c = 1, EtOAc). ^{1}H NMR (400 MHz, DMSO-d_6) δ: 7.45-7.22 (m, 15 H), 4.83 (t, *J* = 6.0 Hz, 1 H), 3.64-3.54 (m, 1 H), 3.42-3.33 (m, 1 H), 3.27 (dd, *J* = 2.0, 10.8 Hz, 1 H), 3.13-3.04 (m, 1 H), 3.00-2.94 (m, 1 H), 2.94-2.87 (m, 1 H). HR-MS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{23}H_{22}NaO_3$: 369.1461, found: 369.1476.

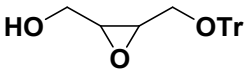
((2*R*,3*R*)-3-((Trityloxy)methyl)oxiran-2-yl)methanol (9d)



Compound **9d** (2.7 g, 87%) was prepared from (*E*)-4-(trityloxy)but-2-en-1-ol (3 g, 9.1 mmol) in the same manner as described for **9a**. The enantiomeric purity of **9d** was determined to be 98.1% *ee*. $[\alpha]_D^{25}$ = +9.4 (c = 1, EtOAc). ^{1}H NMR (400 MHz, DMSO-d_6) δ: 7.43-7.21 (m, 15 H), 4.82 (t, *J* = 6.0 Hz, 1 H), 3.64-3.53 (m, 1 H), 3.41-3.33 (m, 1 H), 3.27 (dd, *J* = 2.0, 11.2 Hz, 1 H), 3.12-3.05 (m, 1 H), 3.00–2.94 (m, 1 H), 2.94-2.86 (m, 1 H). HR-MS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{23}H_{22}NaO_3$: 369.1461, found: 369.1460.

Preparation of (±)-9a and (±)-9c

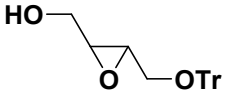
***cis*-(3-((Trityloxy)methyl)oxiran-2-yl)methanol ((±)-9a)**



To a solution of (*Z*)-4-(trityloxy)but-2-en-1-ol (10 g, 30.4 mmol) in dichloromethane (80 mL) was added *m*-CPBA (6.4 g, 31.8 mmol). The reaction mixture was stirred overnight. After filtration, the filtrate is washed with saturated sodium bicarbonate solution and brine, dried over anhydrous sodium sulfate, filtered and concentrated. To the residue was added diethyl ether and stirred for 3 h. After filtration, the filter cake was washed with diethyl ether and dried to afford **(±)-9a** (7.3 g, 69%) as a white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.48-7.42 (m, 6 H), 7.35-7.28 (m, 6 H), 7.28-7.20 (m, 3 H), 3.64-3.49 (m, 3 H), 3.29-3.17 (m, 2 H),

3.07 (dd, *J* = 5.6 Hz, 10.4 Hz, 1 H), 1.87 (brs, 1 H). HR-MS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{23}H_{22}NaO_3$: 369.1461, found: 369.1445.

***trans*-(3-((Trityloxy)methyl)oxiran-2-yl)methanol ((±)-9c)**

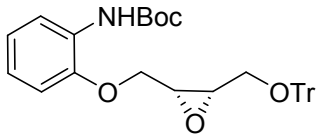


To a solution of (*E*)-4-(trityloxy)but-2-en-1-ol (2.2 g, 6.7 mmol) in dichloromethane (25 mL) was added *m*-CPBA (75%, 1.7 g, 7 mmol) in batches. The reaction mixture was stirred overnight. After filtration, the filtrate is washed with saturated sodium bicarbonate solution and brine, dried over anhydrous sodium sulfate, filtered and concentrated. To the residue was added EtOAc/PE (1/5, 10 mL) and stirred for 2.5 h. After filtration, the filter cake was washed with the mixture solution of EtOAc/PE and dried to afford **(±)-9c** (1.1 g, 47%) as a white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.48-7.42 (m, 6 H), 7.34-7.28 (m, 6 H), 7.26-7.21 (m, 3 H), 3.94 (dd, *J* = 2.4, 12.8 Hz, 1 H), 3.64 (dd, *J* = 4.0, 12.4 Hz, 1 H), 3.38 (dd, *J* = 2.4, 10.4 Hz, 1 H), 3.25-3.15 (m, 2 H), 3.12-3.11 (m, 1 H). HR-MS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{23}H_{22}NaO_3$: 369.1461, found: 369.1455.

Preparation of 10a and 10b

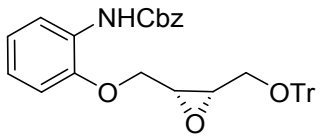
To a solution of phenol (1 mmol), alcohol (1.35 mmol), PPh_3 (1.5 mmol) in anhydrous THF (8 mL) at 0°C was added dropwise a solution of coupling agent (1.5 mmol) in anhydrous THF (0.3 mL). The reaction mixture was stirred at 0°C for 30 min. Then, the reaction was allowed to warm to room temperature and monitored by TLC. After the reaction was completed, the reaction mixture was purified by column chromatography to give the desired products **10a** or **10b**.

***tert*-Butyl(2-(((2*R*,3*S*)-3-((trityloxy)methyl)oxiran-2-yl)methoxy)phenyl)carbamate (10a)**



Off-white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.08 (d, *J* = 7.6 Hz, 1 H), 7.50-7.17 (m, 15 H), 7.04 (brs, 1 H), 6.99-6.85 (m, 2 H), 6.71 (dd, *J* = 1.2, 7.6 Hz, 1 H), 4.15 (dd, *J* = 3.2, 11.2 Hz, 1 H), 3.83 (dd, *J* = 6.8, 11.2 Hz, 1 H), 3.48 (dd, *J* = 5.6, 10.8 Hz, 1 H), 3.45-3.38 (m, 1 H), 3.38-3.29 (m, 1 H), 3.17 (dd, *J* = 5.2, 10.8 Hz, 1 H), 1.52 (s, 9 H). HR-MS (ESI): m/z $[M+Na]^+$ calcd for $C_{34}H_{35}NNaO_5$: 560.2407; found: 560.2425.

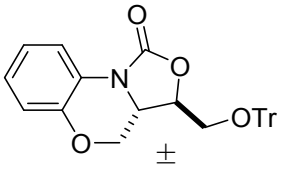
Benzyl(2-(((2*R*,3*S*)-3-((trityloxy)methyl)oxiran-2-yl)methoxy)phenyl)carbamate (10b)



Off-white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.12 (d, *J* = 6.4 Hz, 1 H), 7.51-7.17 (m, 21 H), 7.03-6.88 (m, 2 H), 6.72 (dd, *J* = 1.6, 7.6 Hz, 1 H), 5.20 (s, 2 H), 4.12 (dd, *J* = 3.2, 11.2 Hz, 1 H), 3.84 (dd, *J* = 6.4, 11.2 Hz, 1 H), 3.47 (dd, *J* = 5.6, 10.4 Hz, 1 H), 3.41-3.28 (m, 2 H), 3.15 (dd, *J* = 5.2, 10.8 Hz, 1 H). HR-MS (ESI): m/z $[M+Na]^+$ calcd for $C_{37}H_{33}NNaO_5$: 594.2251; found: 594.2264.

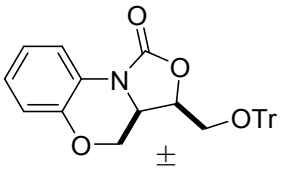
Preparation of (±)-11aa and (±)-11c

***trans*-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one ((±)-11aa).**



Compound **(±)-11aa** (342 mg, 74%) was prepared from **(±)-9a** and **8b** using the standard one-pot procedure. White solid. mp: 138-140°C. ^{1}H NMR (400 MHz, acetone-d_6) δ: 7.96 (dd, *J* = 2.0, 7.6 Hz, 1 H), 7.54-7.46 (m, 6 H), 7.39-7.32 (m, 6 H), 7.32-7.24 (m, 3 H), 7.08-6.97 (m, 2 H), 6.95-6.91 (m, 1 H), 4.65-4.59 (m, 1 H), 4.53 (dd, *J* = 2.8, 10.0 Hz, 1 H), 4.15-4.07 (m, 1 H), 4.02 (t, *J* = 10.4 Hz, 1 H), 3.61 (dd, *J* = 4.0, 10.8 Hz, 1 H), 3.46 (dd, *J* = 4.4, 10.4 Hz, 1 H); ^{13}C NMR (100 MHz, acetone-d_6) δ: 154.8, 145.8, 144.7, 129.5, 128.9, 128.2, 125.2, 124.9, 122.1, 120.4, 117.7, 87.8, 75.5, 67.2, 64.9, 53.8; HR-MS (ESI): m/z $[M+Na]^+$ calcd for $C_{30}H_{25}NNaO_4$: 486.1676; found: 486.1663.

***cis*-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one ((±)-11c)**

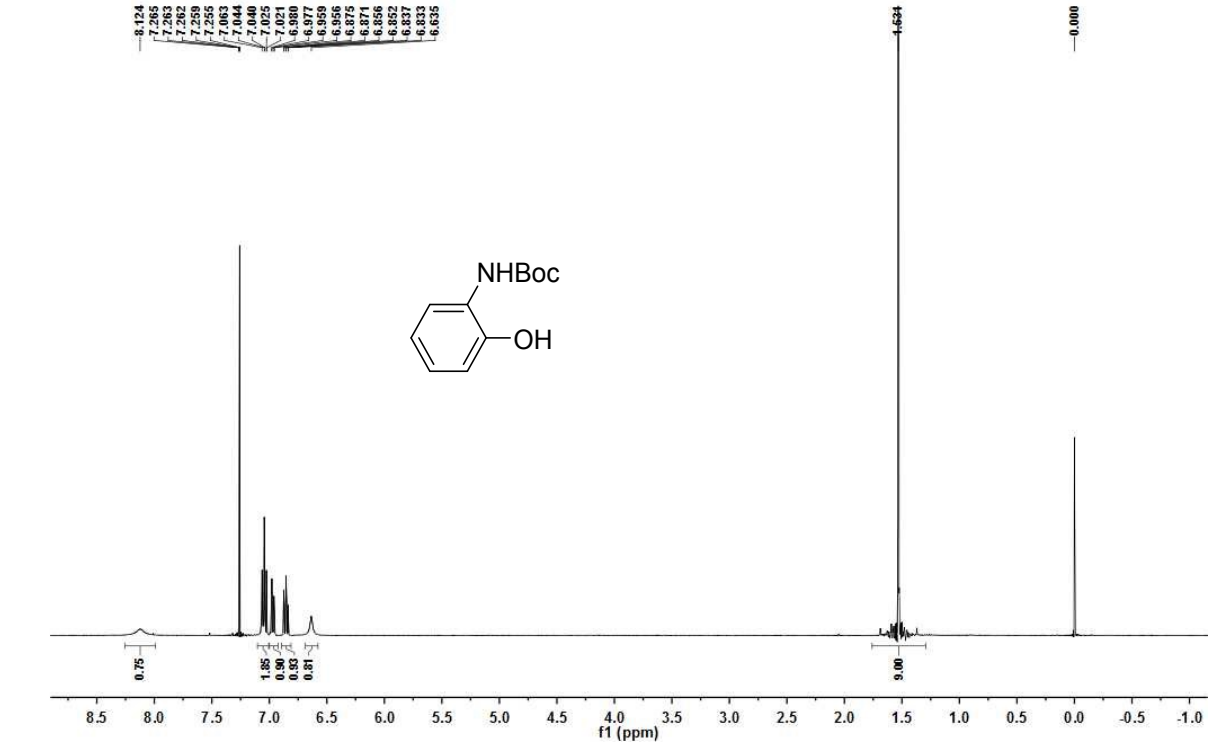


Compound **(±)-11c** (357 mg, 77%) was prepared from **(±)-9c** and **8b** using the standard one-pot procedure. White solid. mp: 228-230°C. ^{1}H NMR (400 MHz, acetone-d_6) δ: 8.26 (dd, *J* =

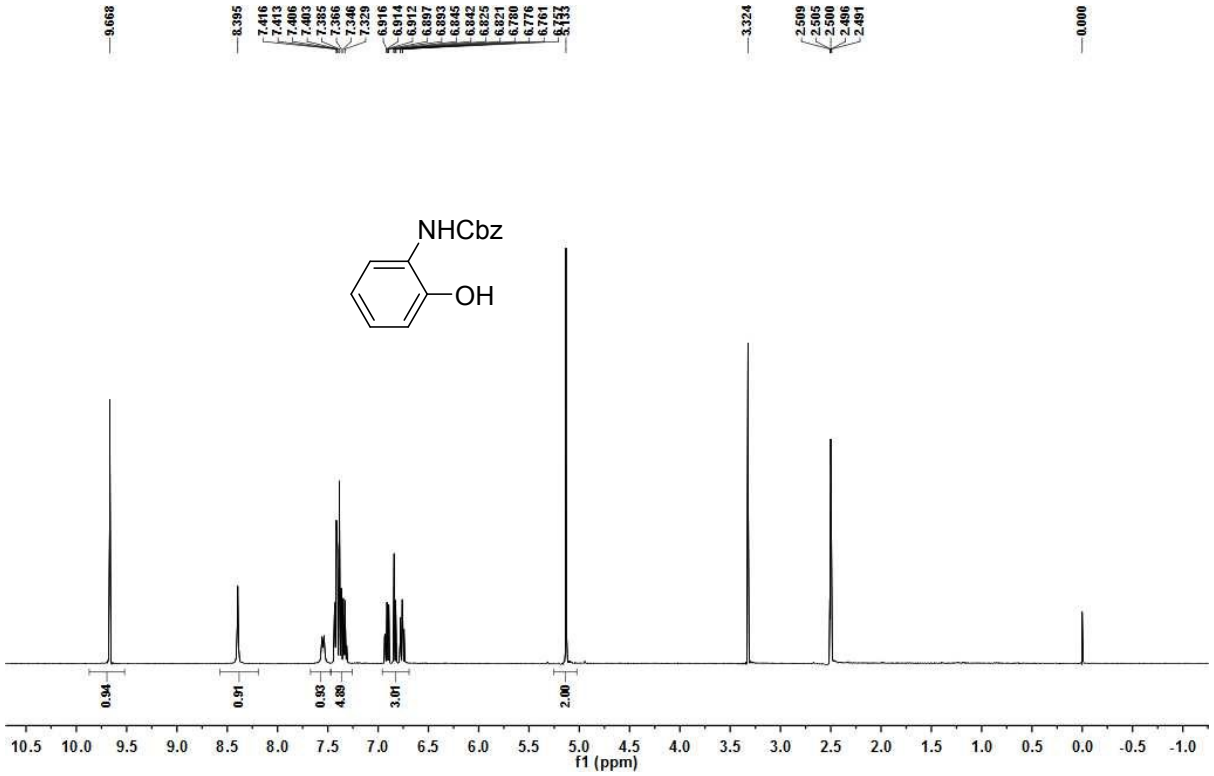
2.0, 7.6 Hz, 1 H), 7.51-7.39 (m, 6 H), 7.36-7.21 (m, 9 H), 7.09-6.96 (m, 2 H), 6.92 (dd, *J* = 2.0, 7.6 Hz, 1 H), 5.08-4.97 (m, 1 H), 4.59-4.47 (m, 1 H), 4.40 (dd, *J* = 3.2, 10.4 Hz, 1 H), 4.11 (t, *J* = 10.0 Hz, 1 H), 3.60 (dd, *J* = 4.0, 10.8 Hz, 1 H), 3.09 (dd, *J* = 2.8, 10.8 Hz, 1 H). ^{13}C NMR (100 MHz, acetone-d_6) δ: 154.3, 145.2, 144.4, 129.4, 128.9, 128.2, 125.6, 124.5, 122.5, 118.5, 117.9, 88.1, 74.6, 64.3, 63.0, 54.2. HR-MS (ESI): m/z $[M+Na]^+$ calcd for $C_{30}H_{25}NNaO_4$: 486.1676; found: 486.1664.

^{1}H NMR and ^{13}C NMR Spectra

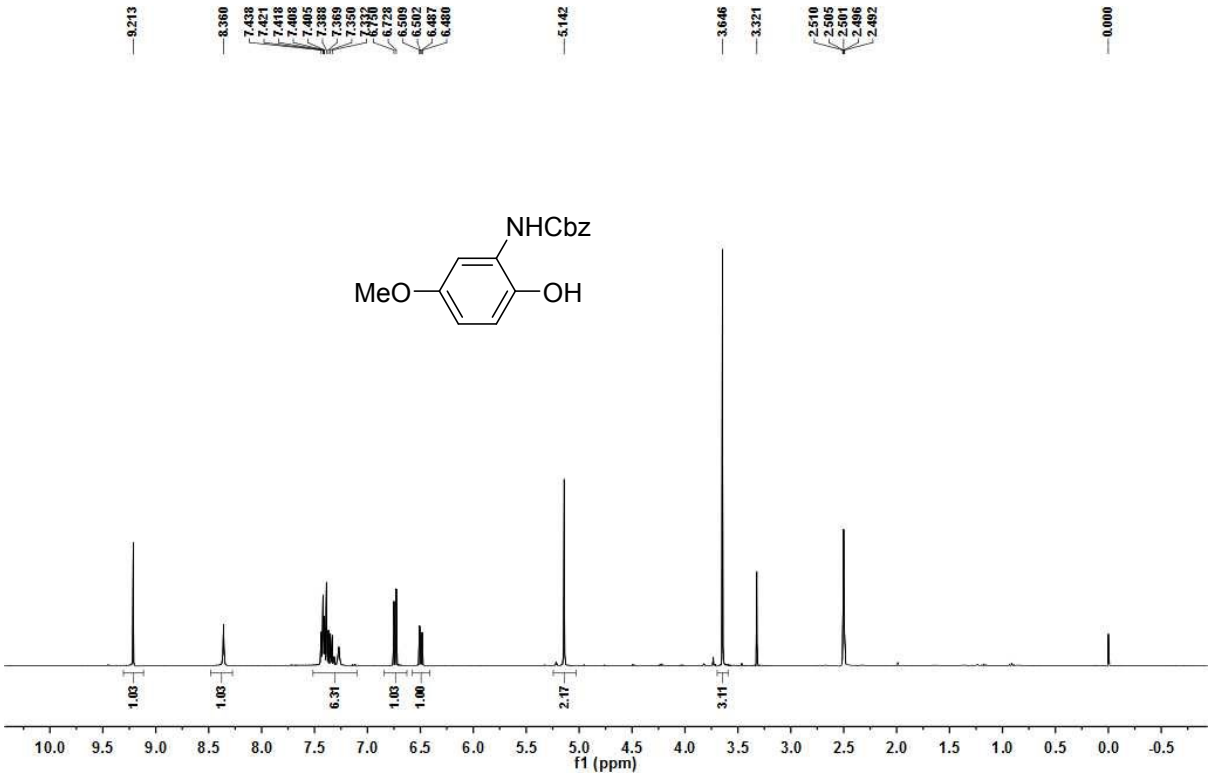
tert-Butyl (2-hydroxyphenyl)carbamate (8a)



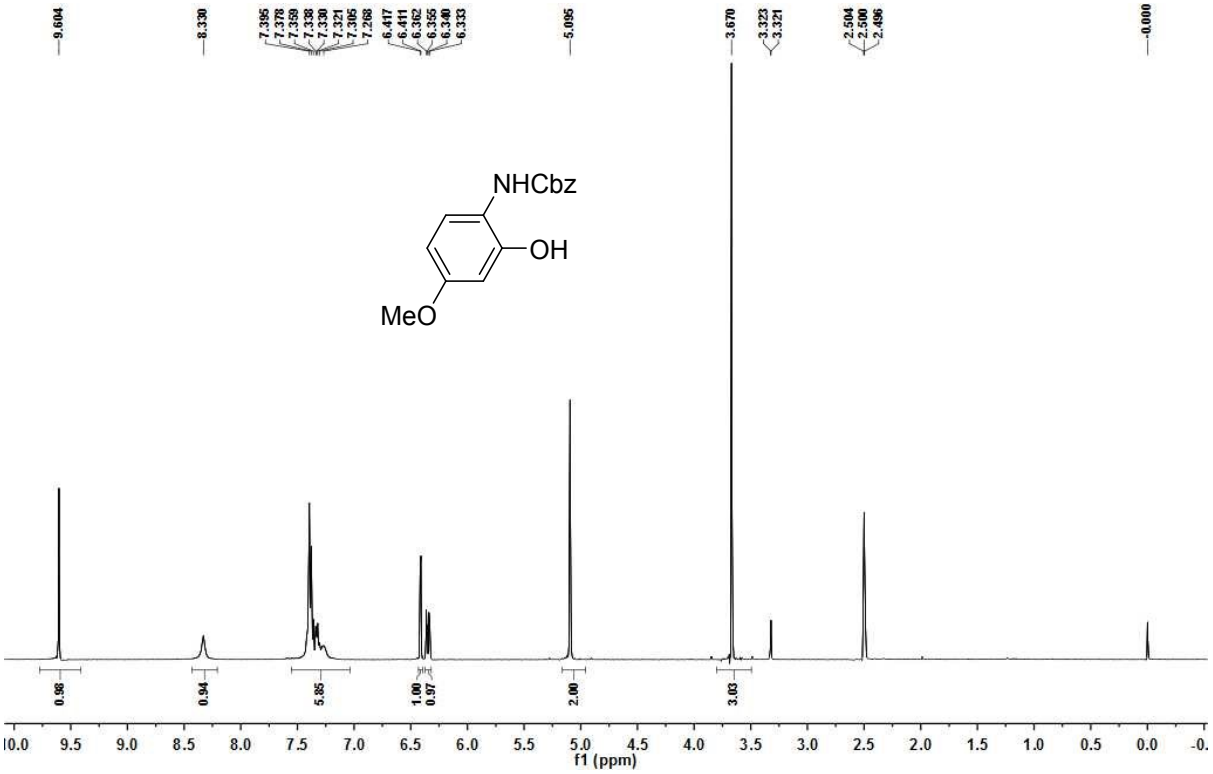
Benzyl (2-hydroxyphenyl)carbamate (8b)



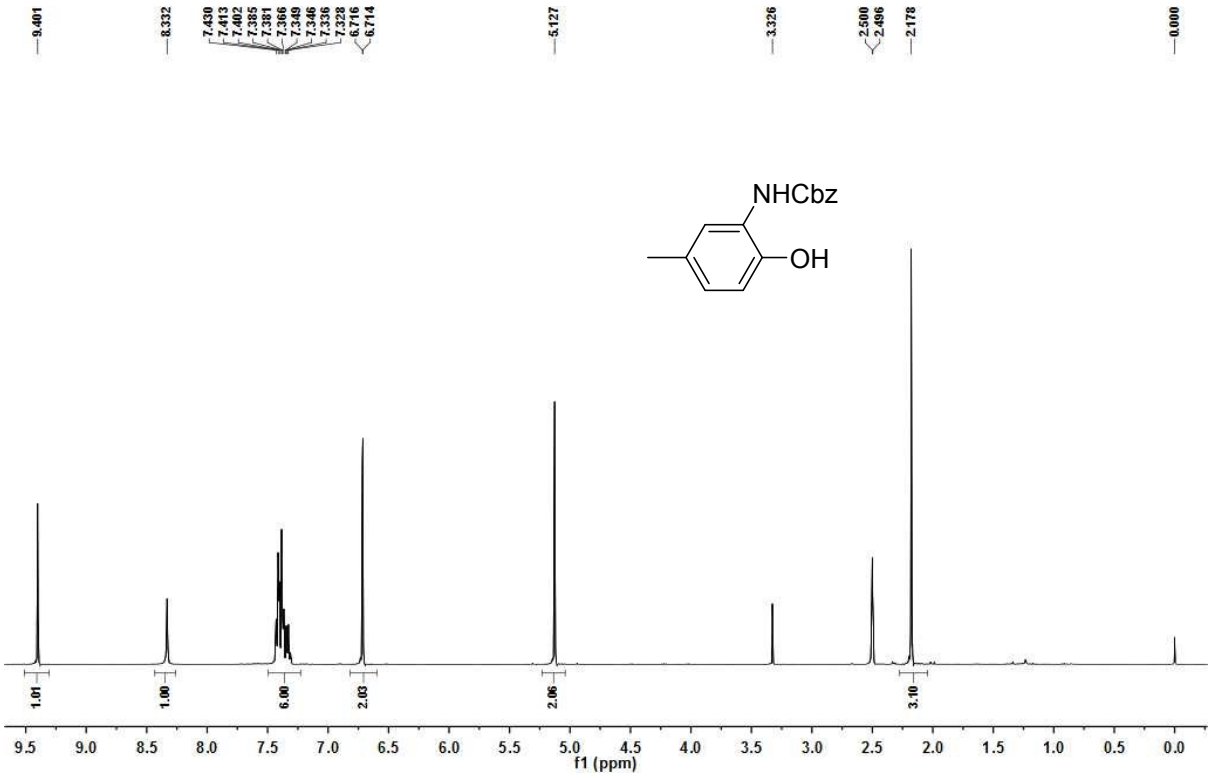
Benzyl (2-hydroxy-5-methoxyphenyl)carbamate (8c)



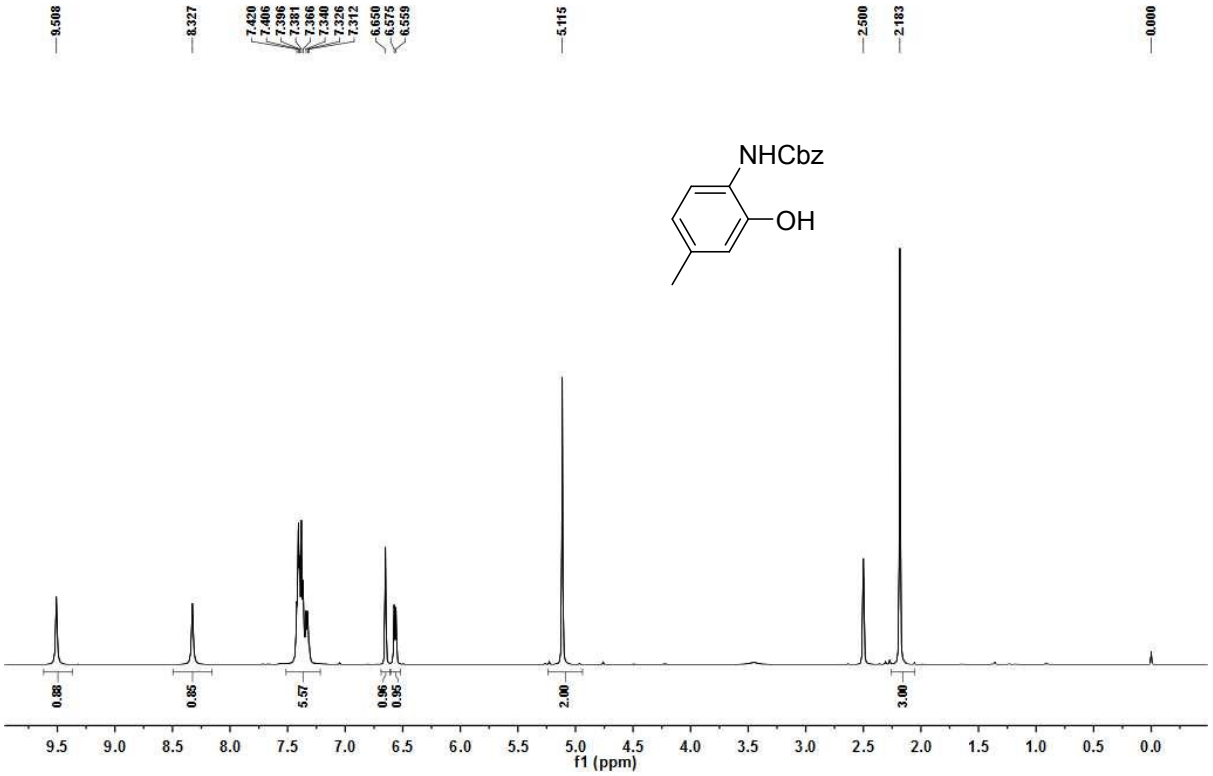
Benzyl (2-hydroxy-4-methoxyphenyl)carbamate (8d)



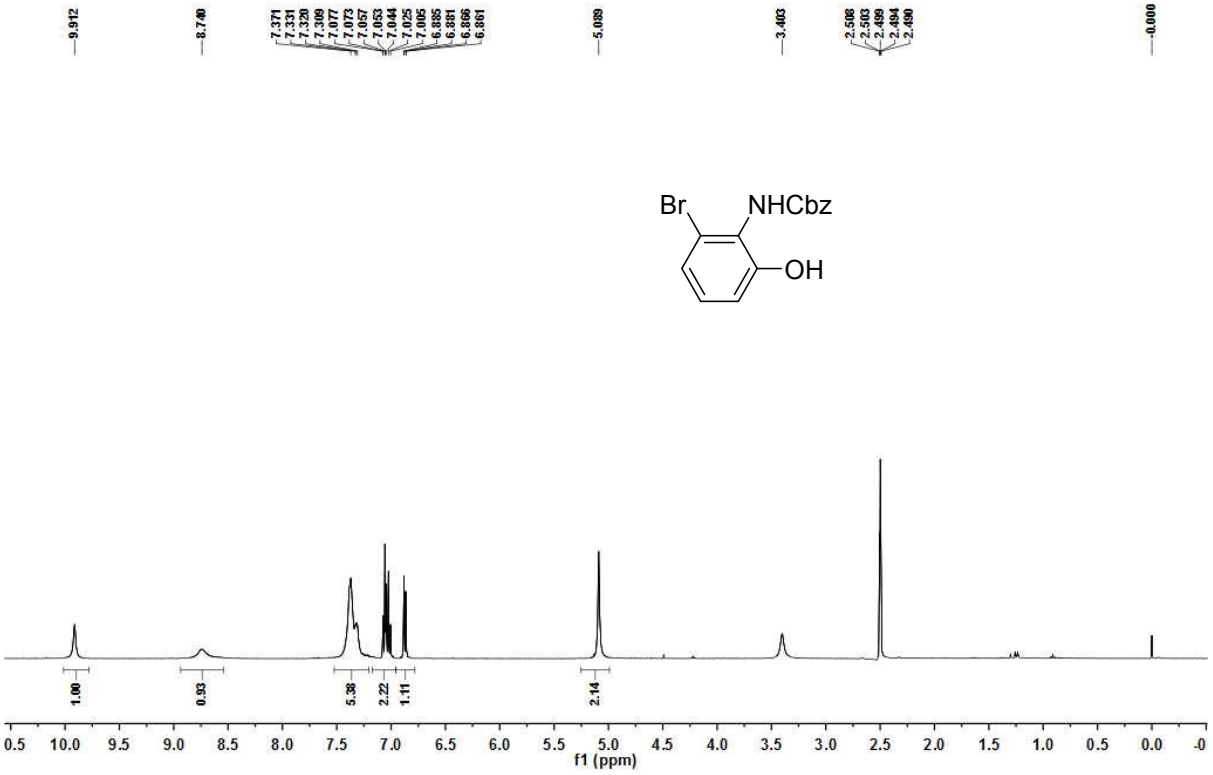
Benzyl (2-hydroxy-5-methylphenyl)carbamate (8e)



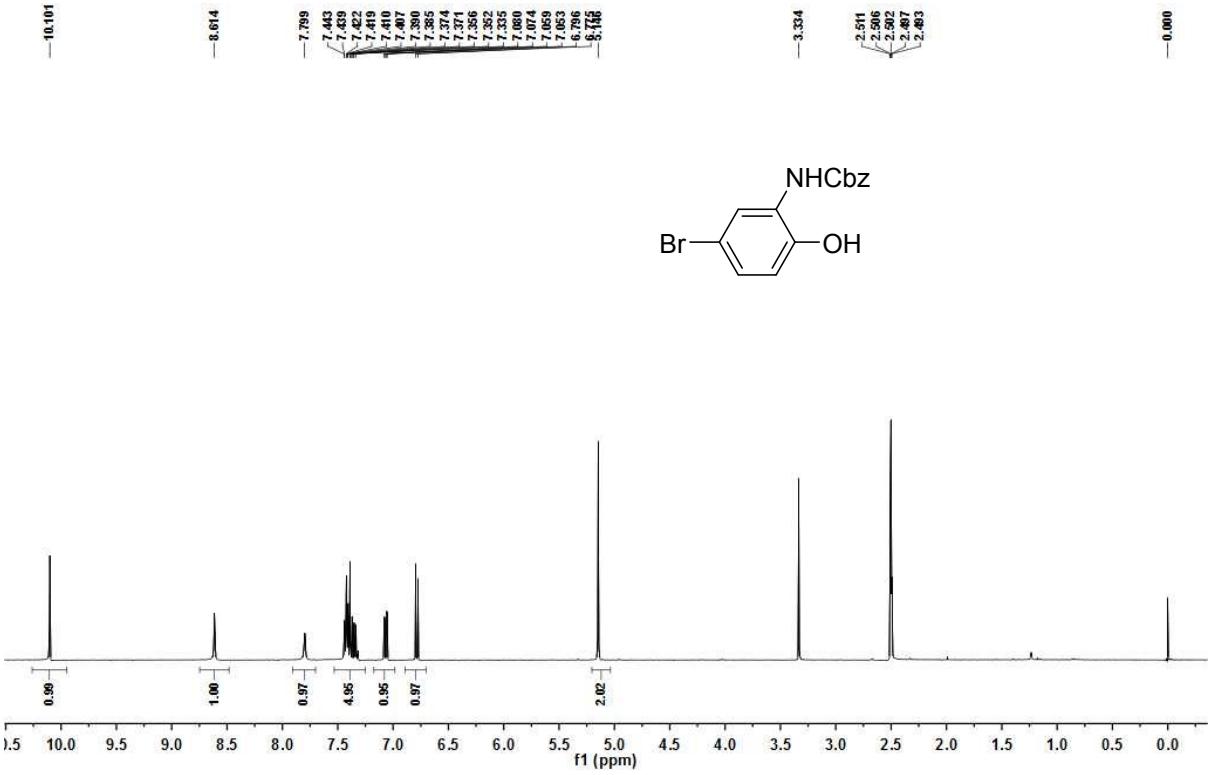
Benzyl (2-hydroxy-4-methylphenyl)carbamate (8f)



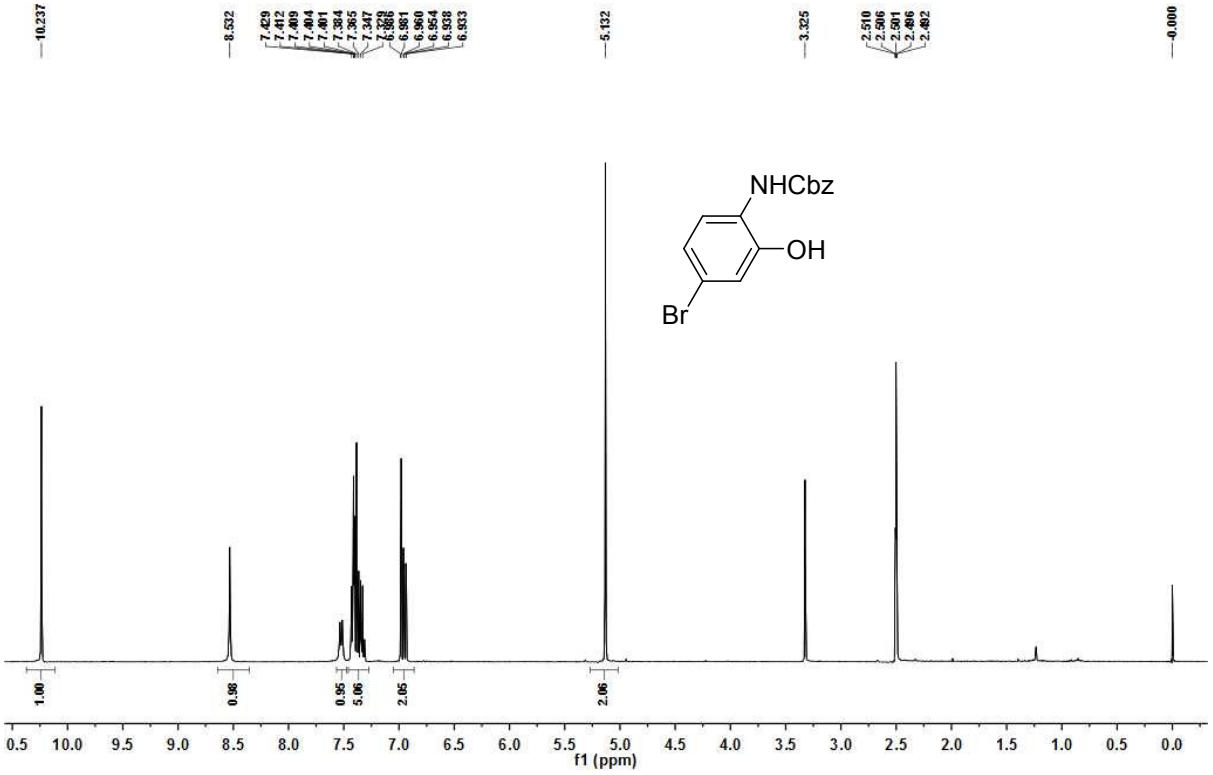
Benzyl (2-bromo-6-hydroxyphenyl)carbamate (8g)



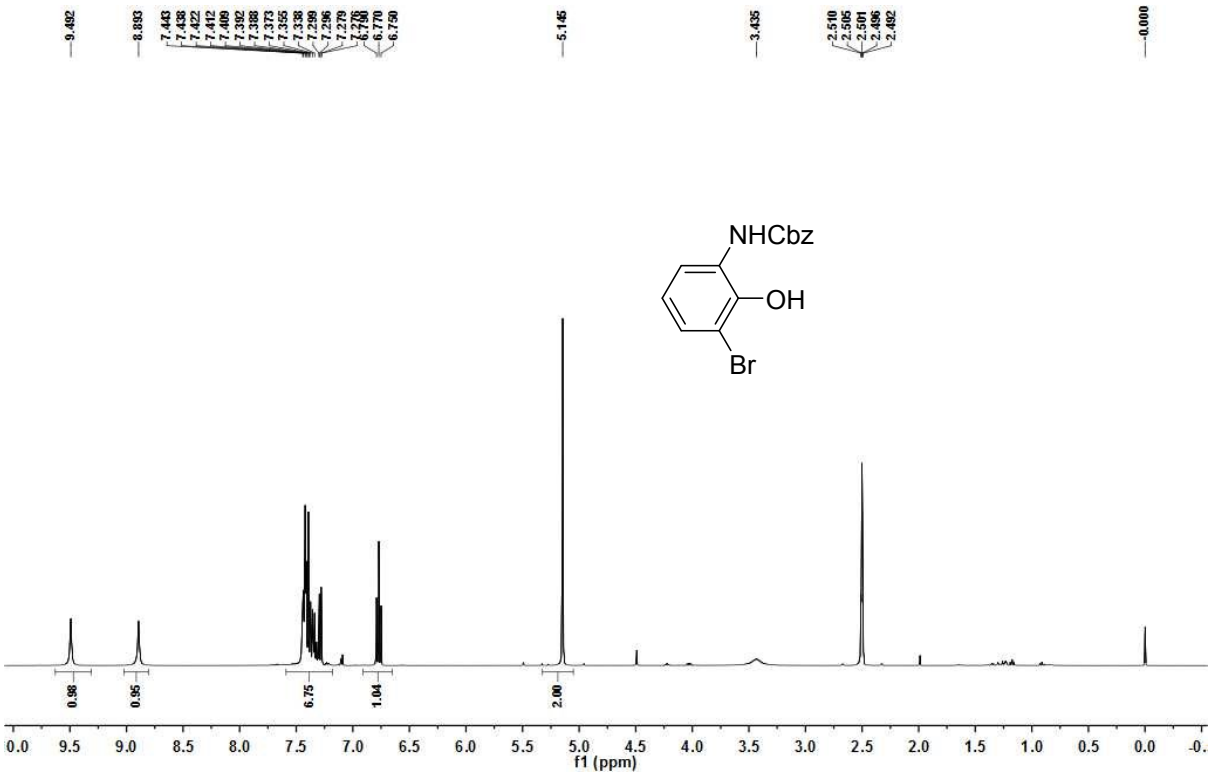
Benzyl (5-bromo-2-hydroxyphenyl)carbamate (8h)



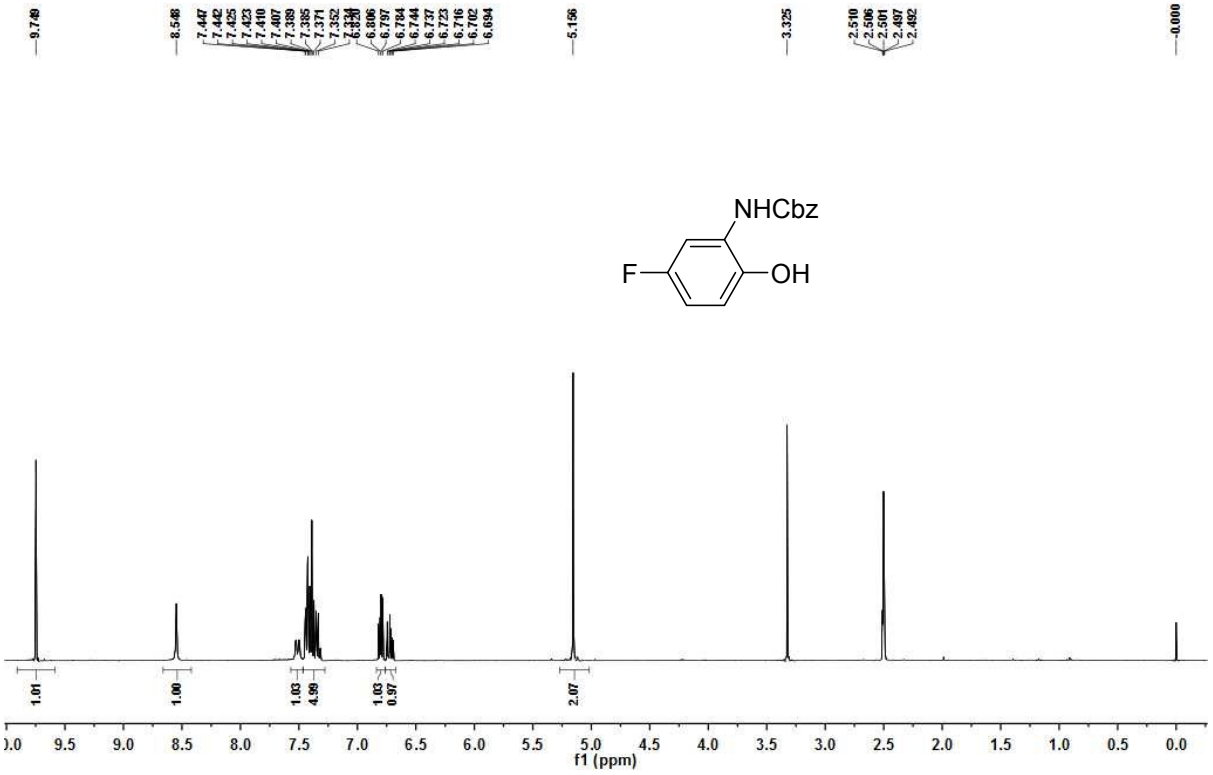
Benzyl (4-bromo-2-hydroxyphenyl)carbamate (8i)



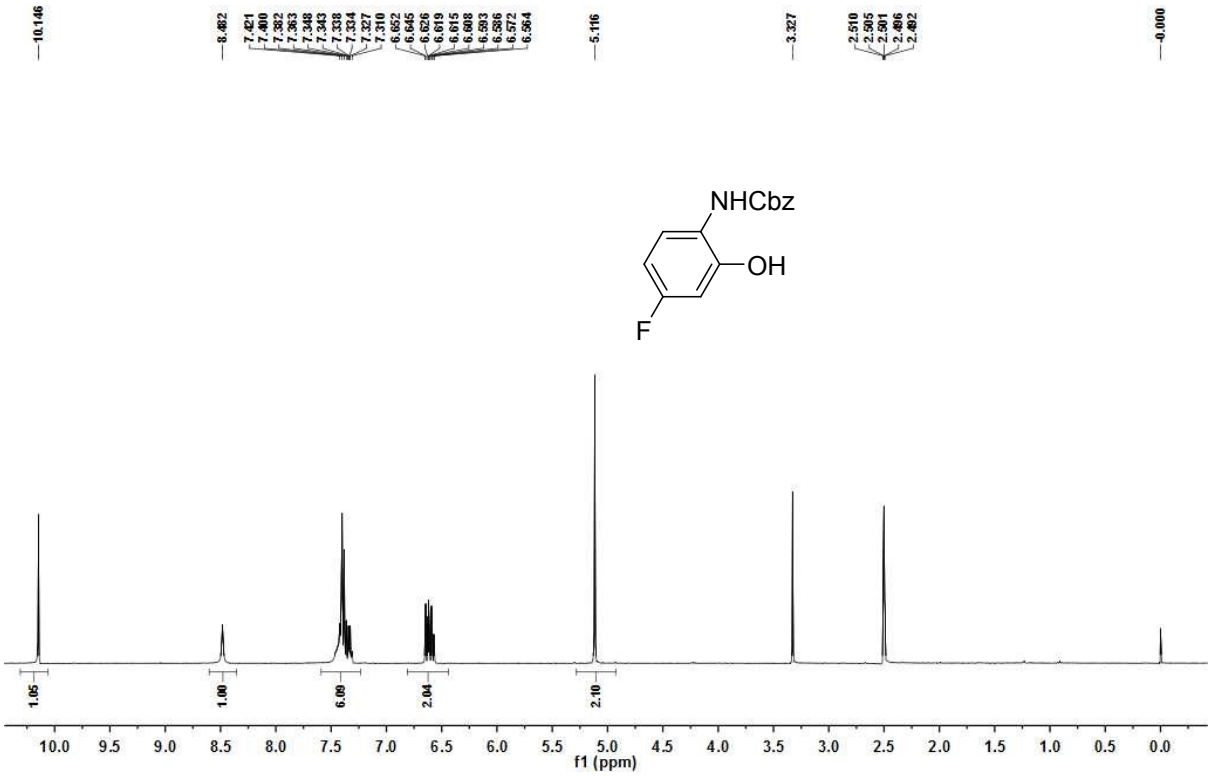
Benzyl (3-bromo-2-hydroxyphenyl)carbamate (8j)



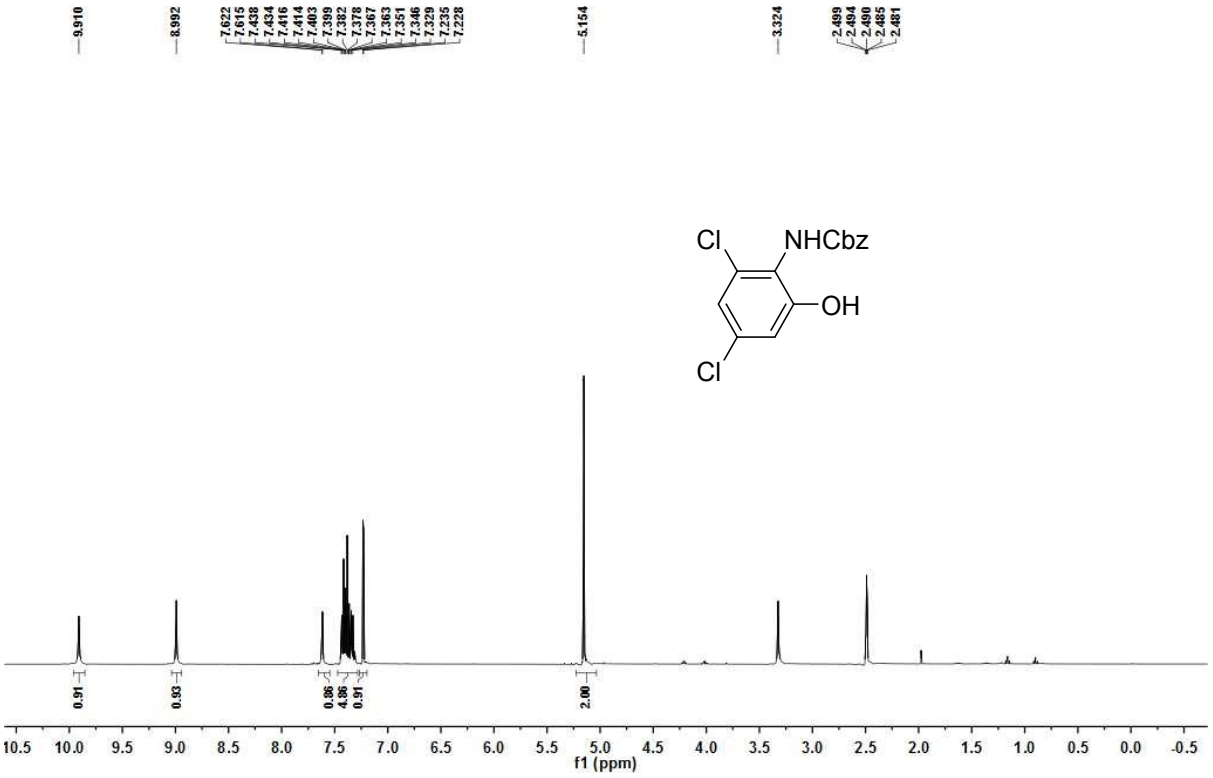
Benzyl (5-fluoro-2-hydroxyphenyl)carbamate (8k)



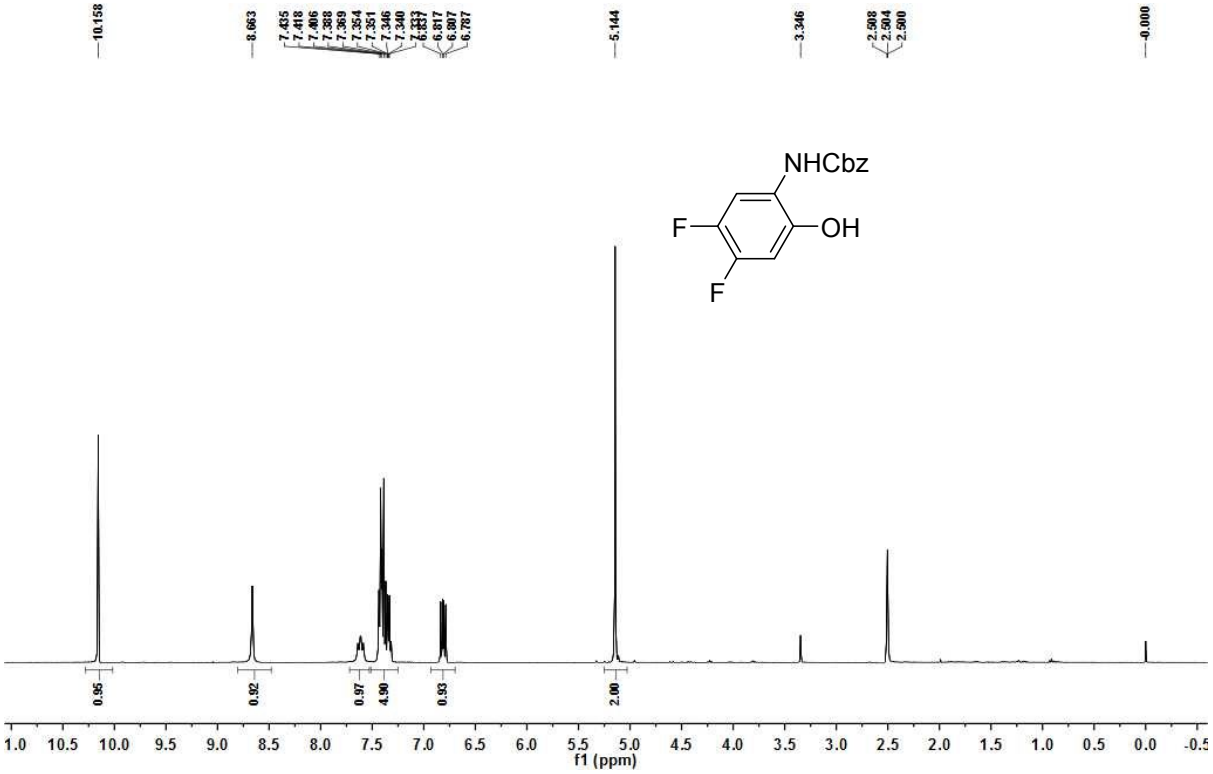
Benzyl (4-fluoro-2-hydroxyphenyl)carbamate (8l)



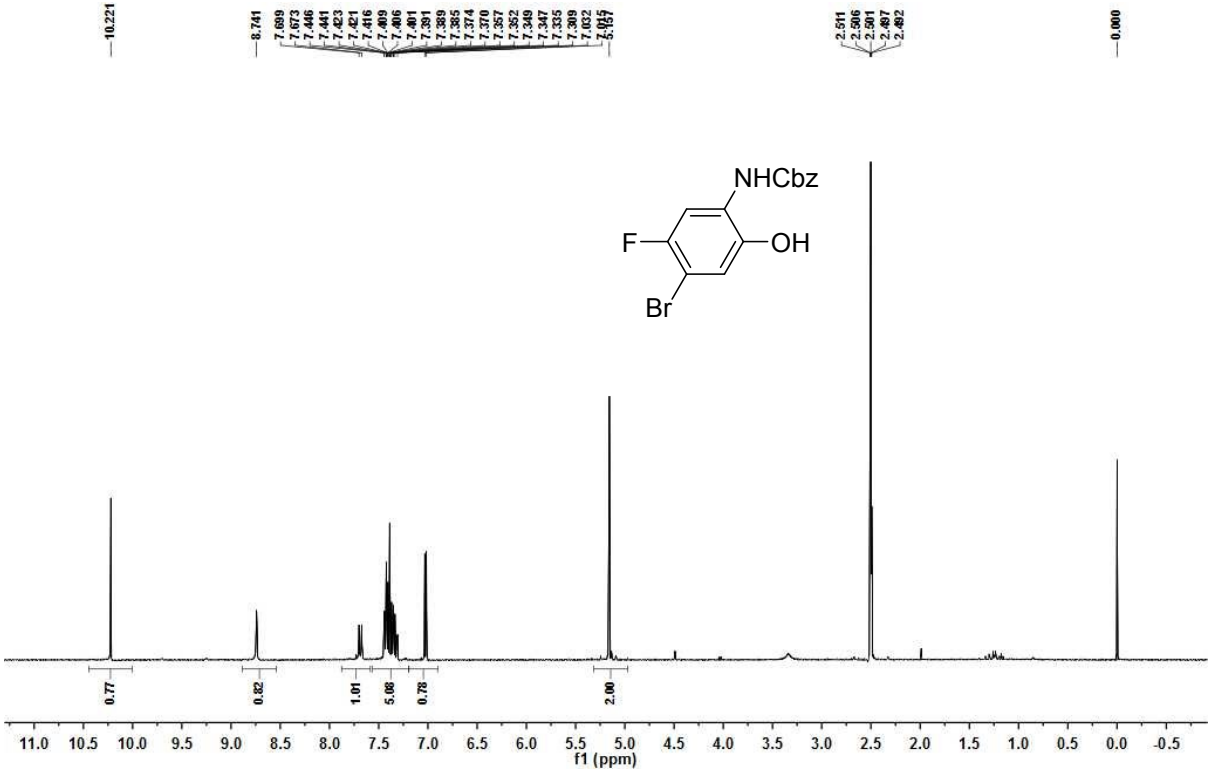
Benzyl (2,4-dichloro-6-hydroxyphenyl)carbamate (8m)



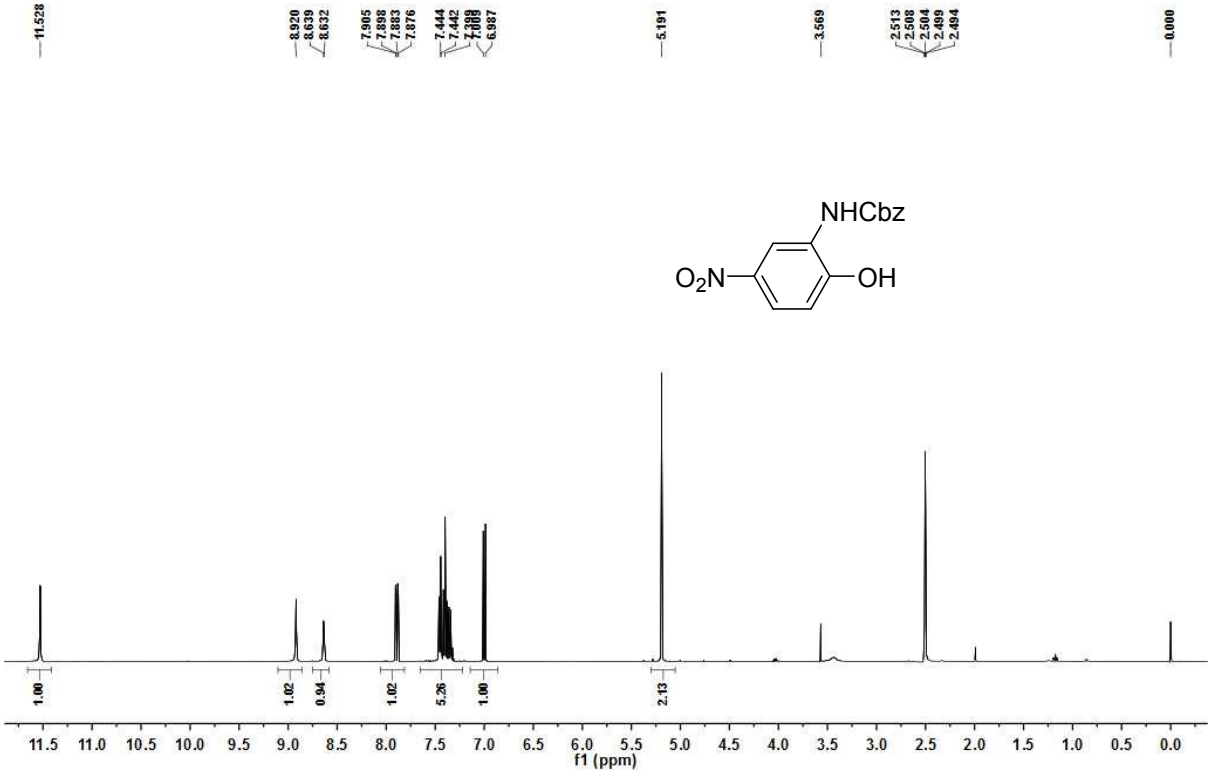
Benzyl (4,5-difluoro-2-hydroxyphenyl)carbamate (8n)



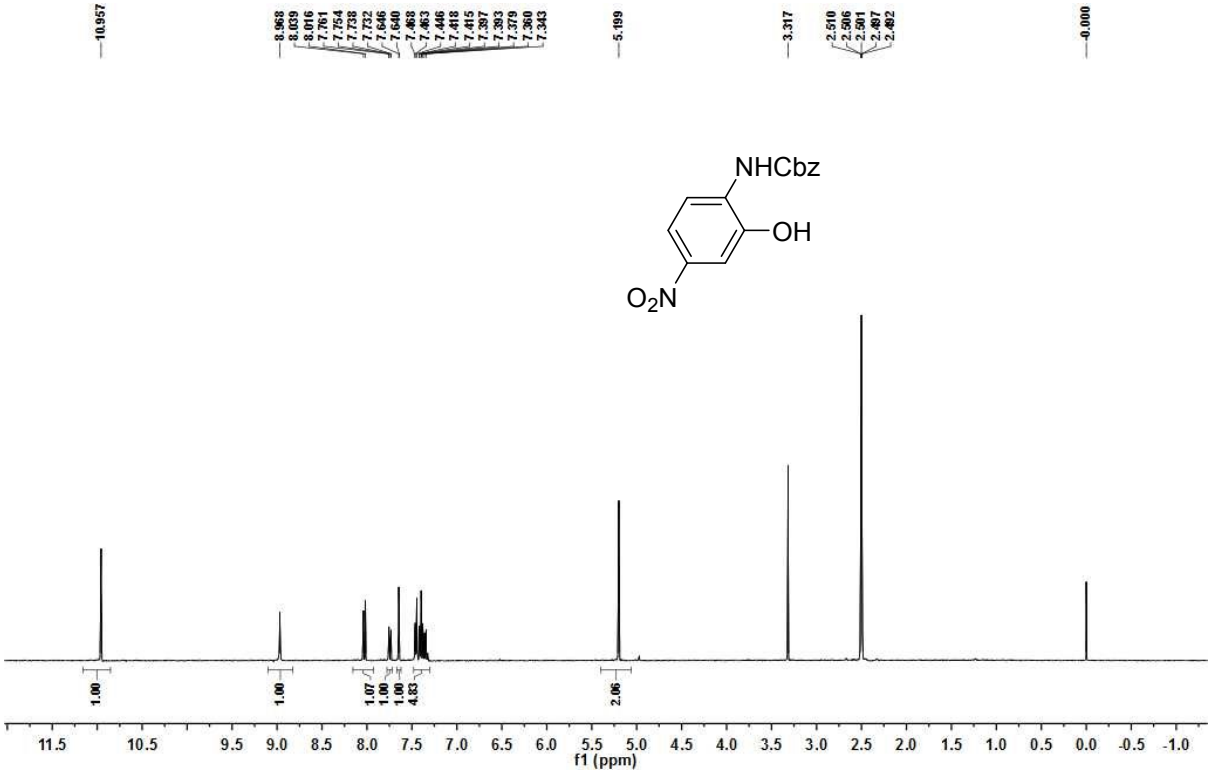
Benzyl (4-bromo-5-fluoro-2-hydroxyphenyl)carbamate (8o)



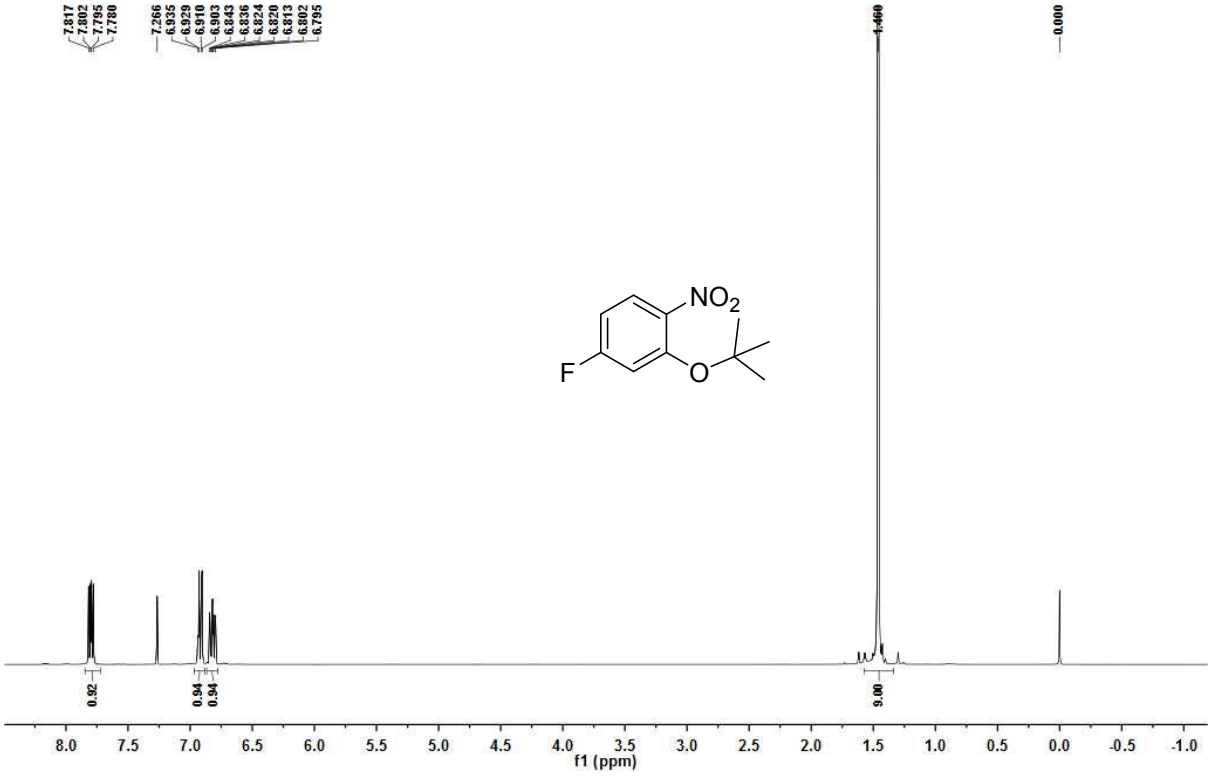
Benzyl (2-hydroxy-5-nitrophenyl)carbamate (8p)



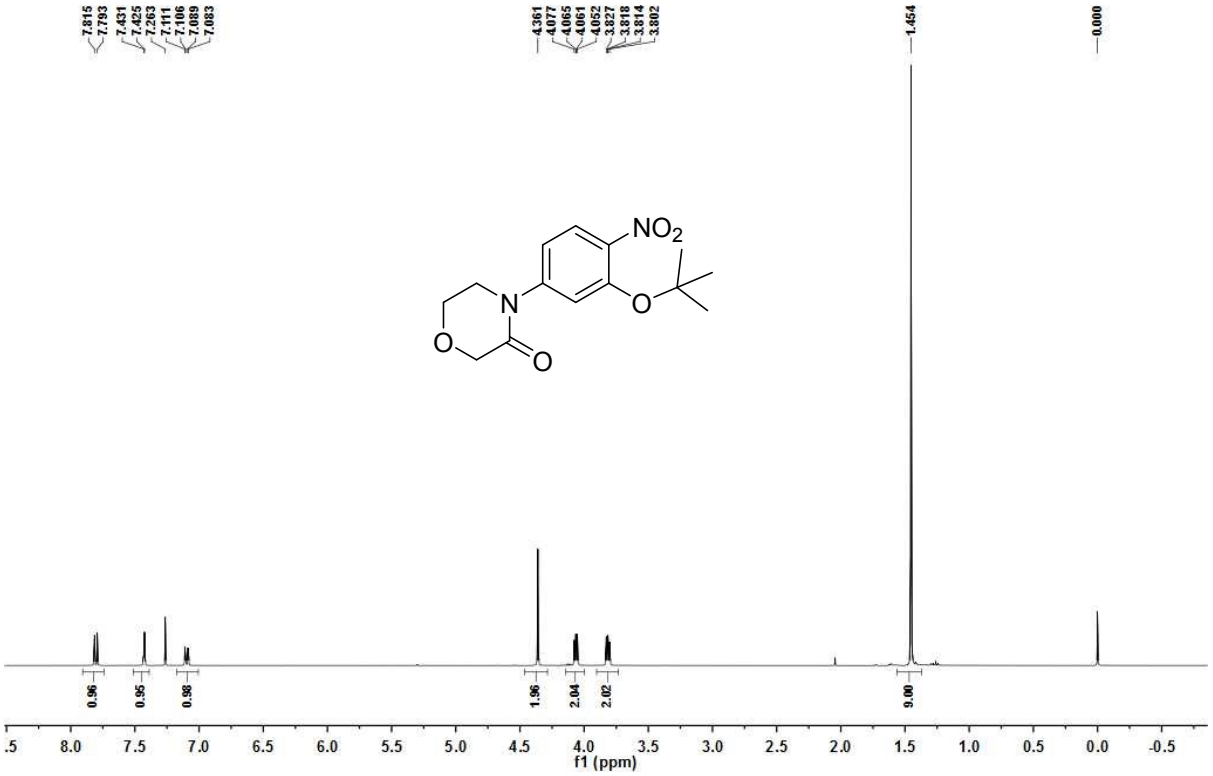
Benzyl (2-hydroxy-4-nitrophenyl)carbamate (8q)



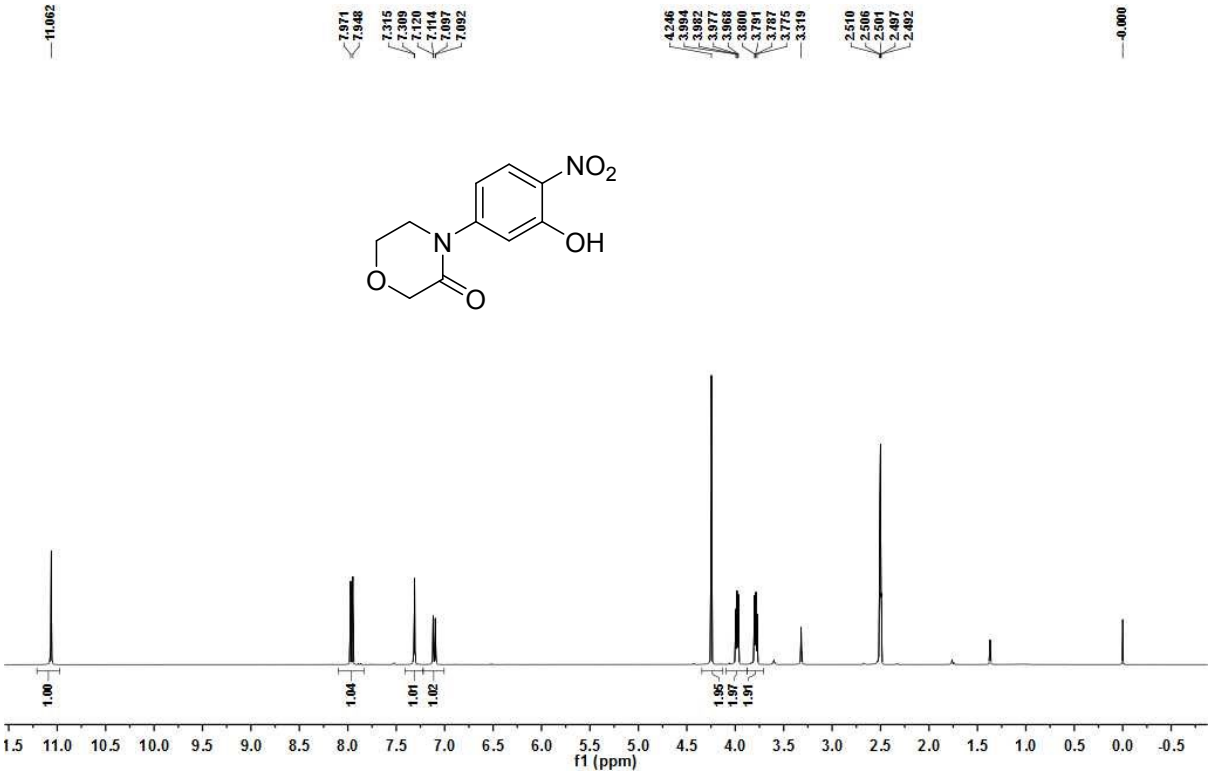
2-(*tert*-Butoxy)-4-fluoro-1-nitrobenzene



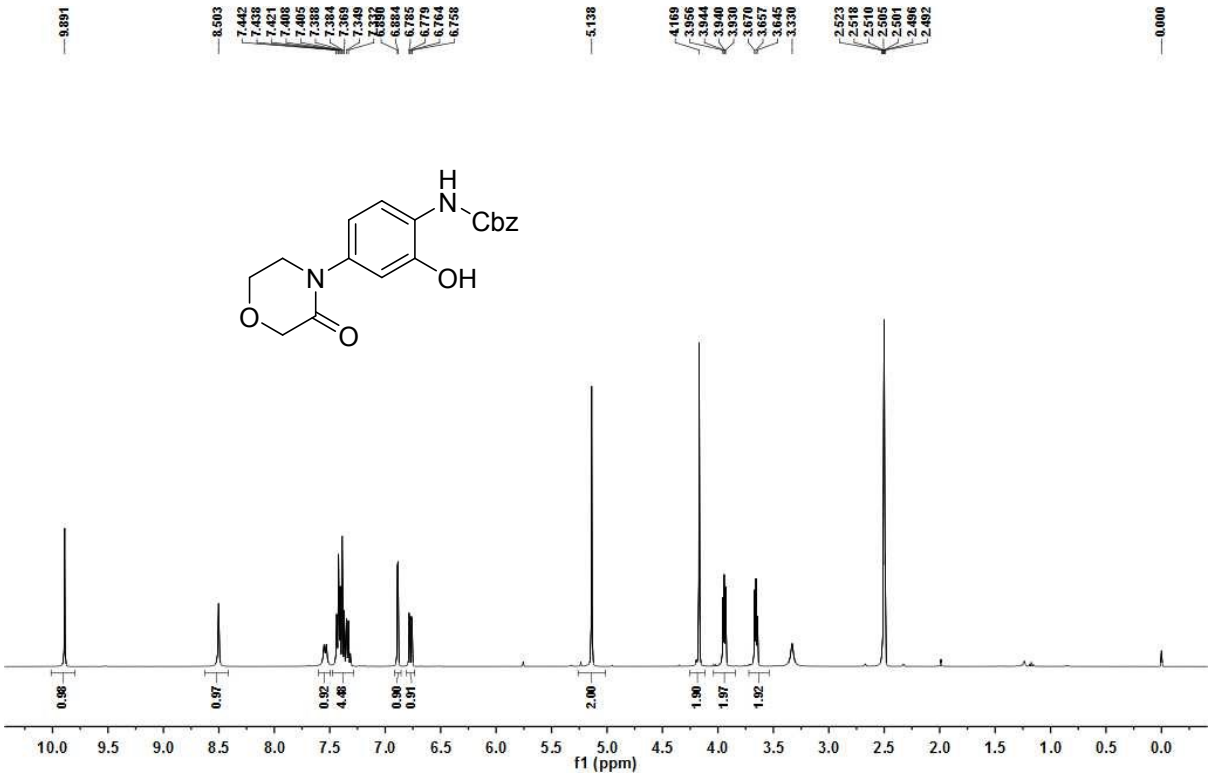
4-(3-(*tert*-Butoxy)-4-nitrophenyl)morpholin-3-one



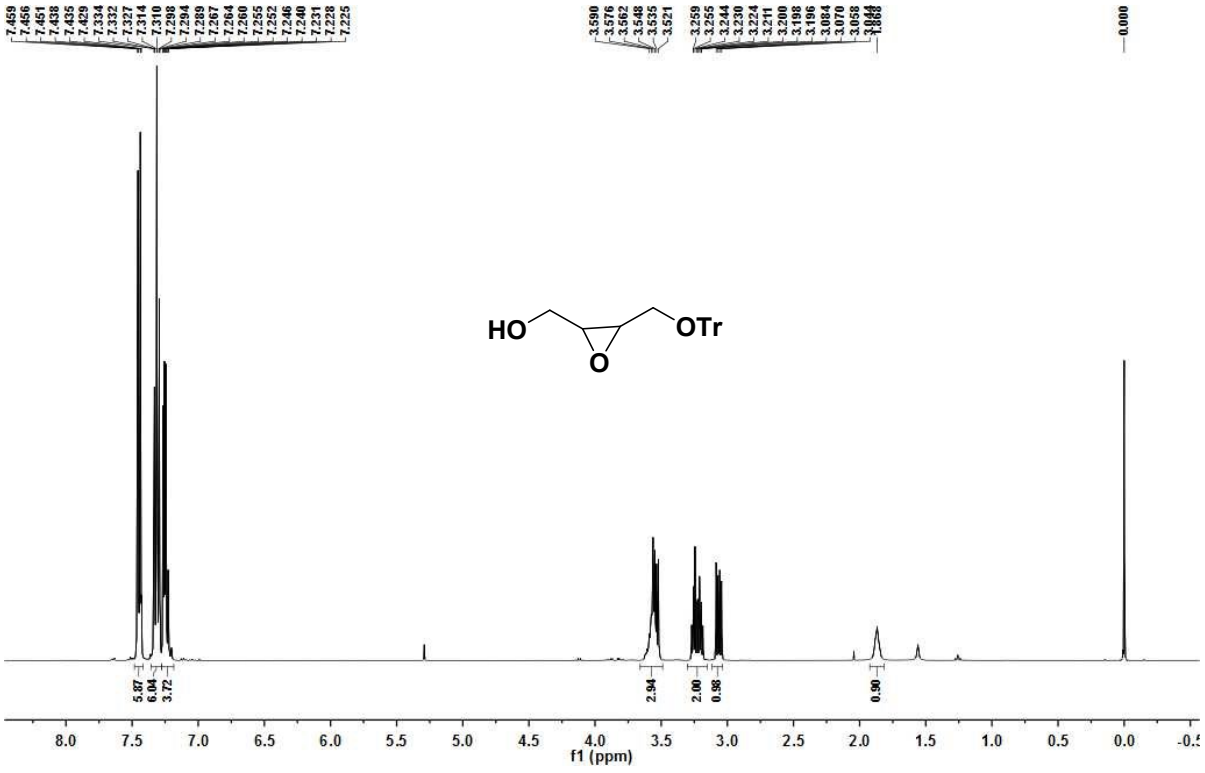
4-(3-Hydroxy-4-nitrophenyl)morpholin-3-one



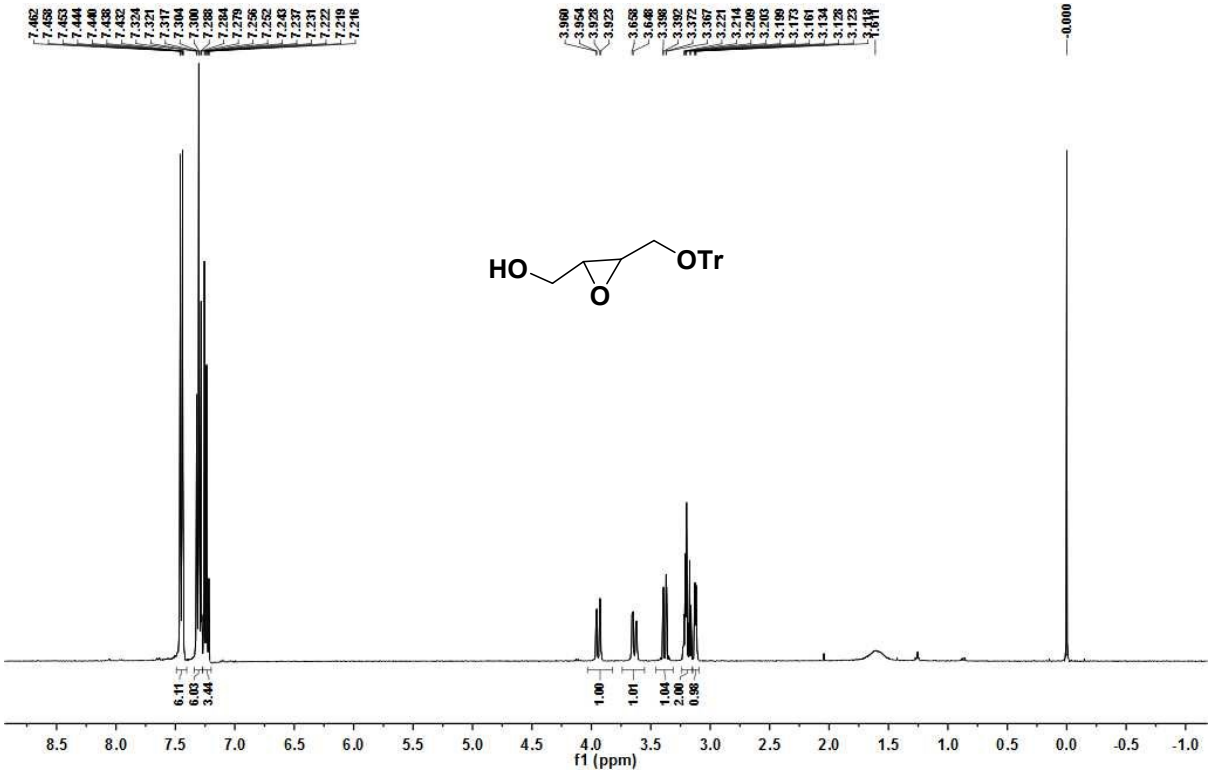
Benzyl (2-hydroxy-4-(3-oxomorpholino)phenyl)carbamate (8r)



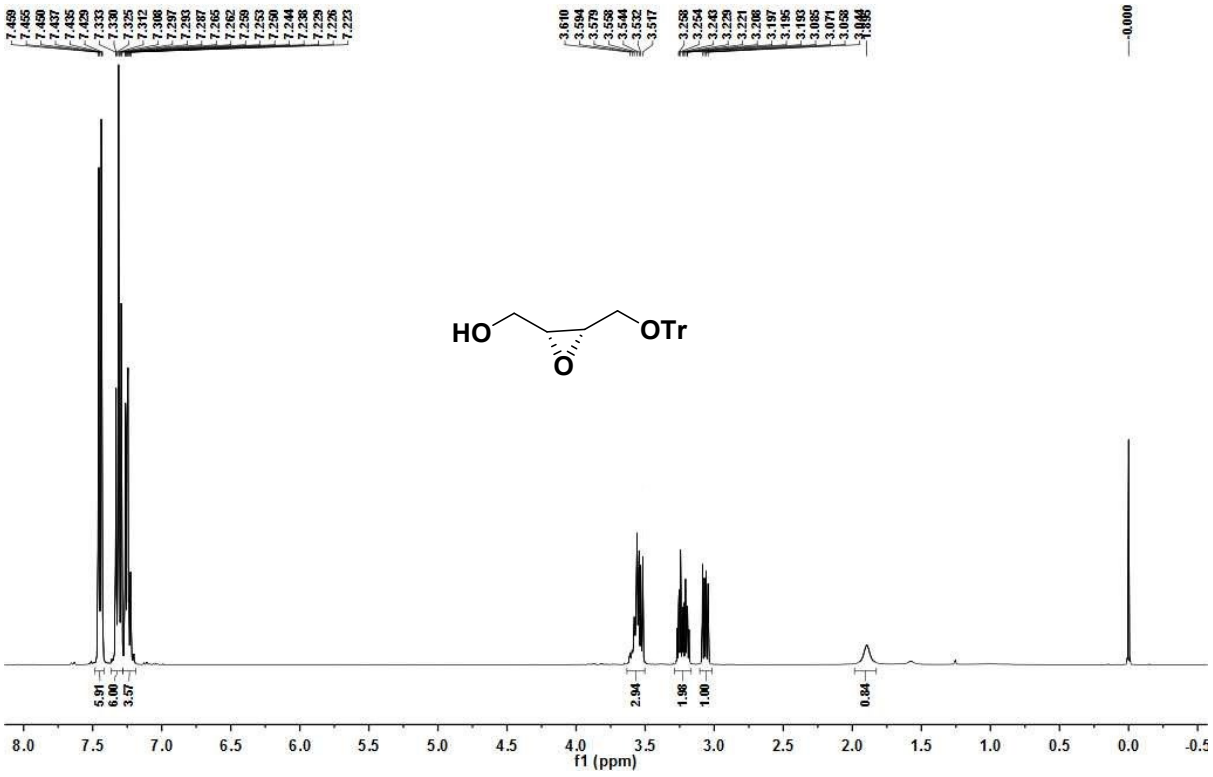
***cis*-(3-((Trityloxy)methyl)oxiran-2-yl)methanol ((±)-9a)**



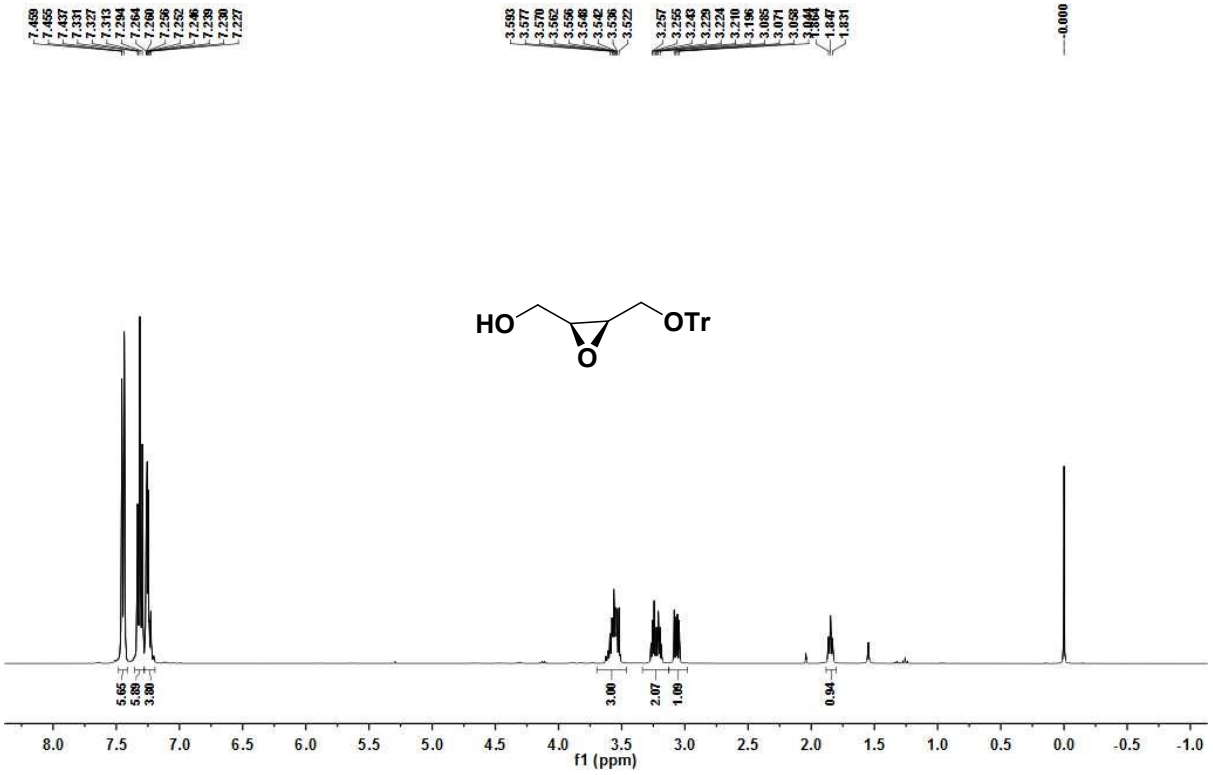
***trans*-(3-((Trityloxy)methyl)oxiran-2-yl)methanol ((±)-9c)**



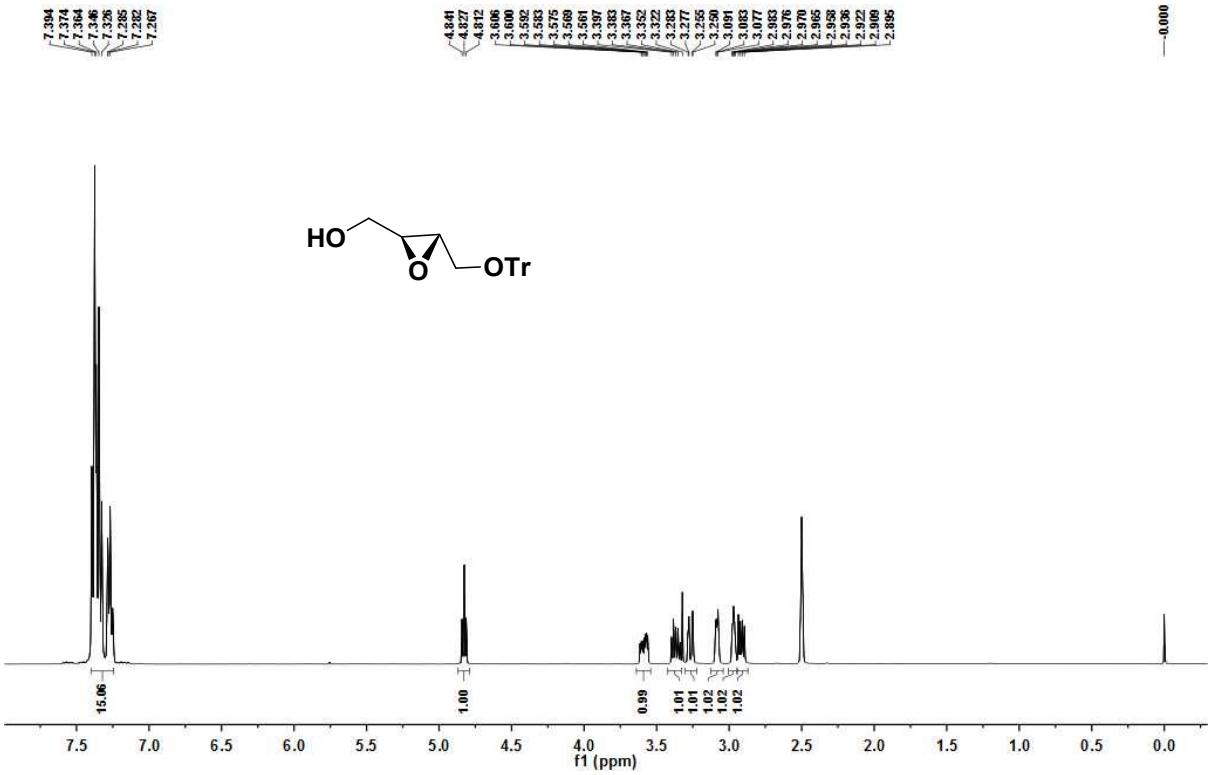
(2*R*,3*S*)-(3-((Trityloxy)methyl)oxiran-2-yl)methanol (9a)



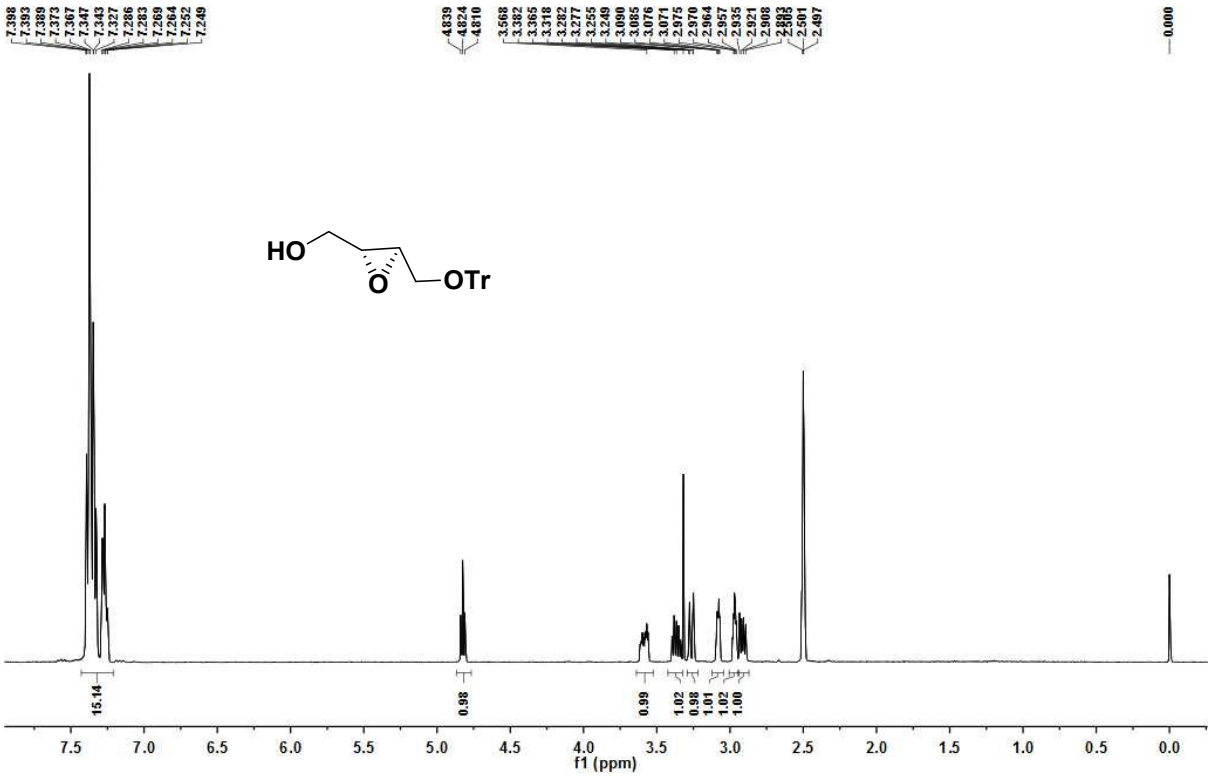
(2*S*,3*R*)-(3-((Trityloxy)methyl)oxiran-2-yl)methanol (9b)



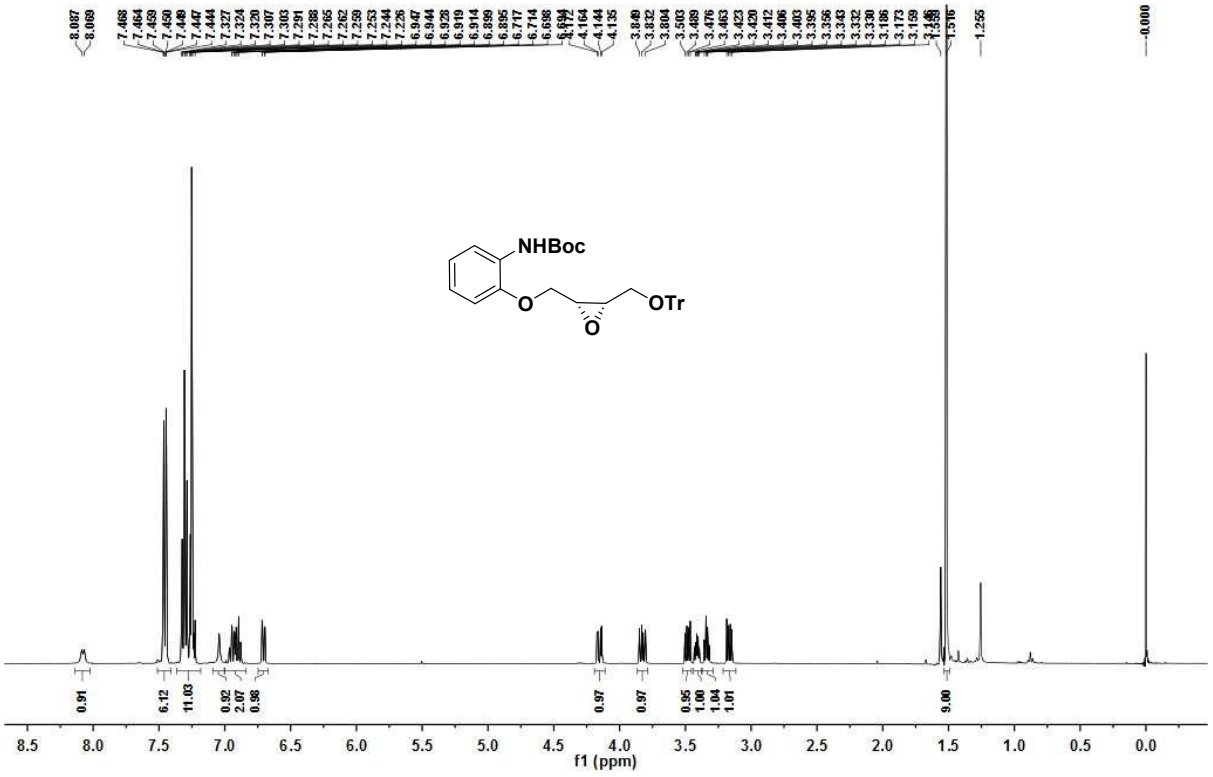
((2*S*,3*S*)-3-((trityloxy)methyl)oxiran-2-yl)methanol (9c)



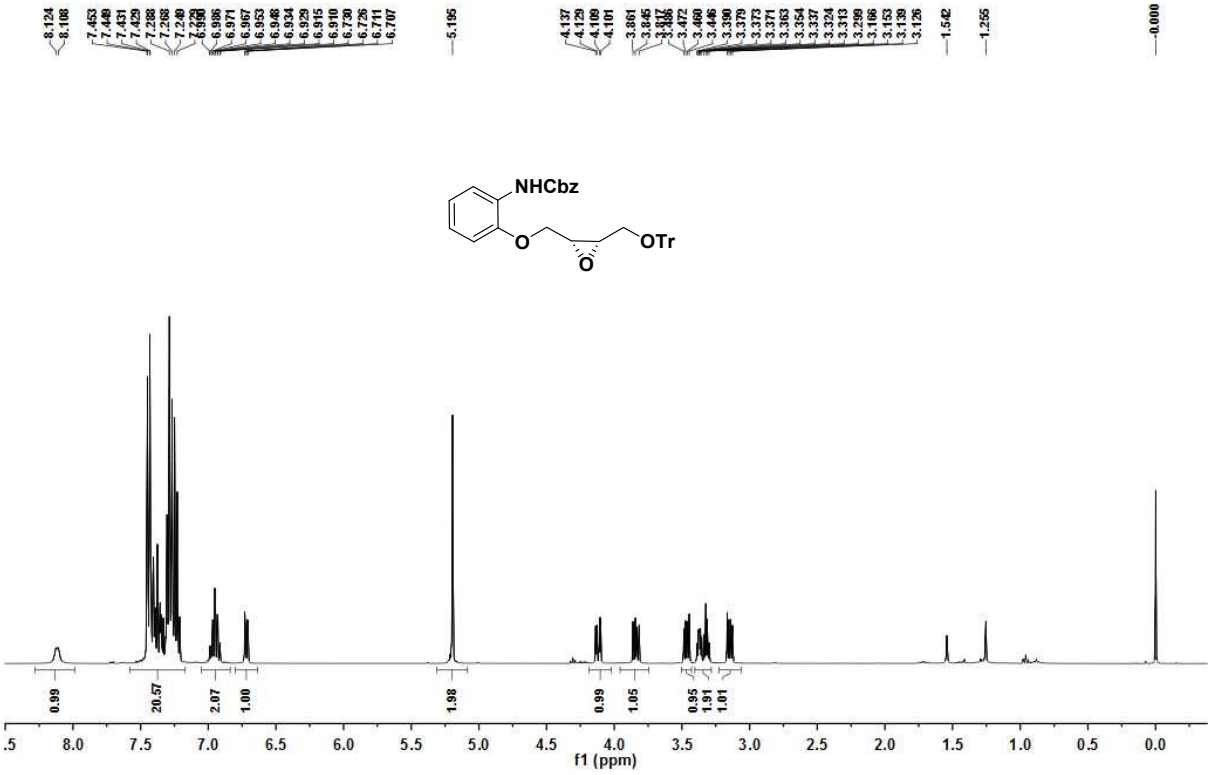
((2*R*,3*R*)-3-((trityloxy)methyl)oxiran-2-yl)methanol (9d)



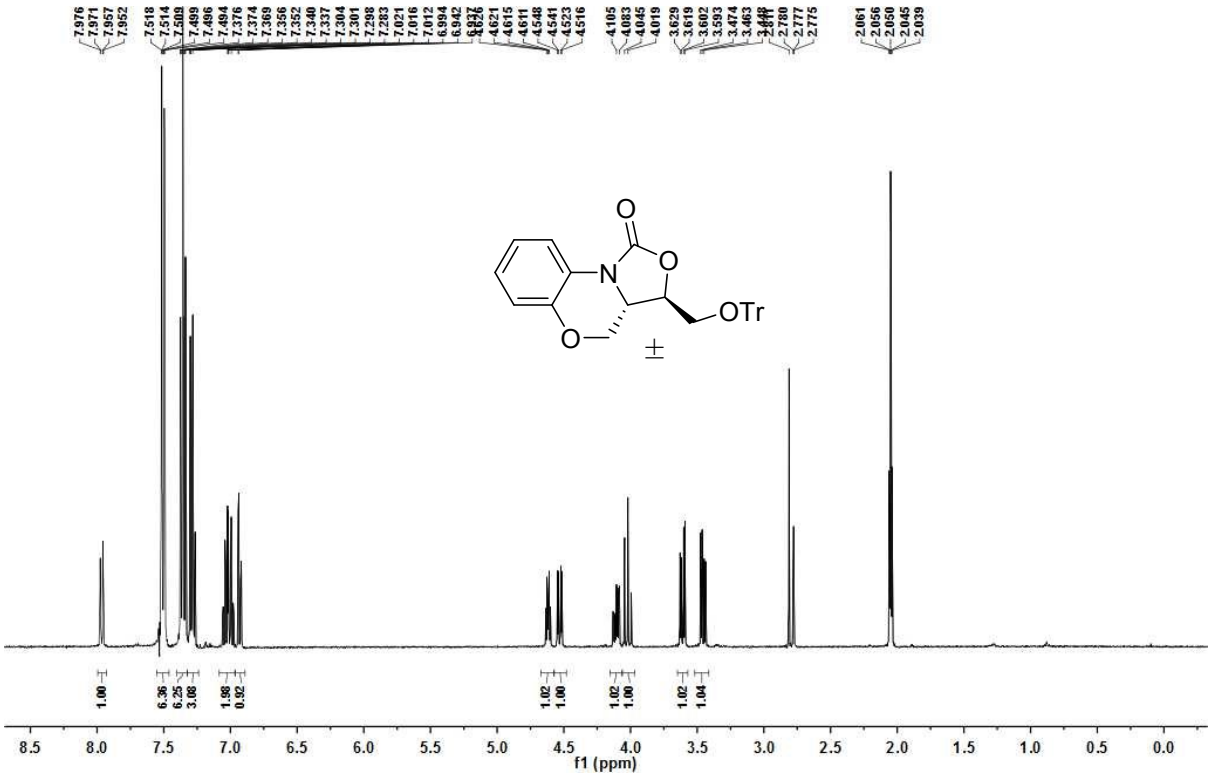
***tert*-Butyl(2-(((2*R*,3*S*)-3-((trityloxy)methyl)oxiran-2-yl)methoxy)phenyl)carbamate (10a)**



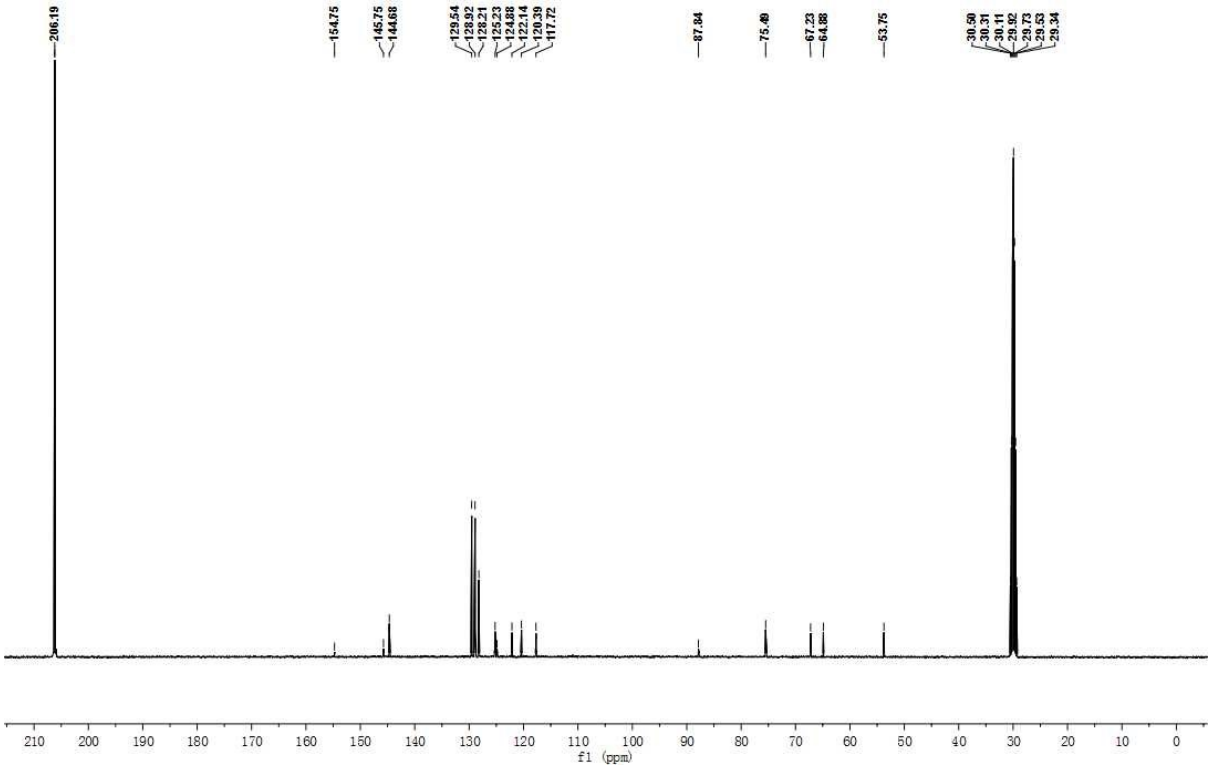
Benzyl(2-(((2*R*,3*S*)-3-((trityloxy)methyl)oxiran-2-yl)methoxy)phenyl)carbamate (10b)



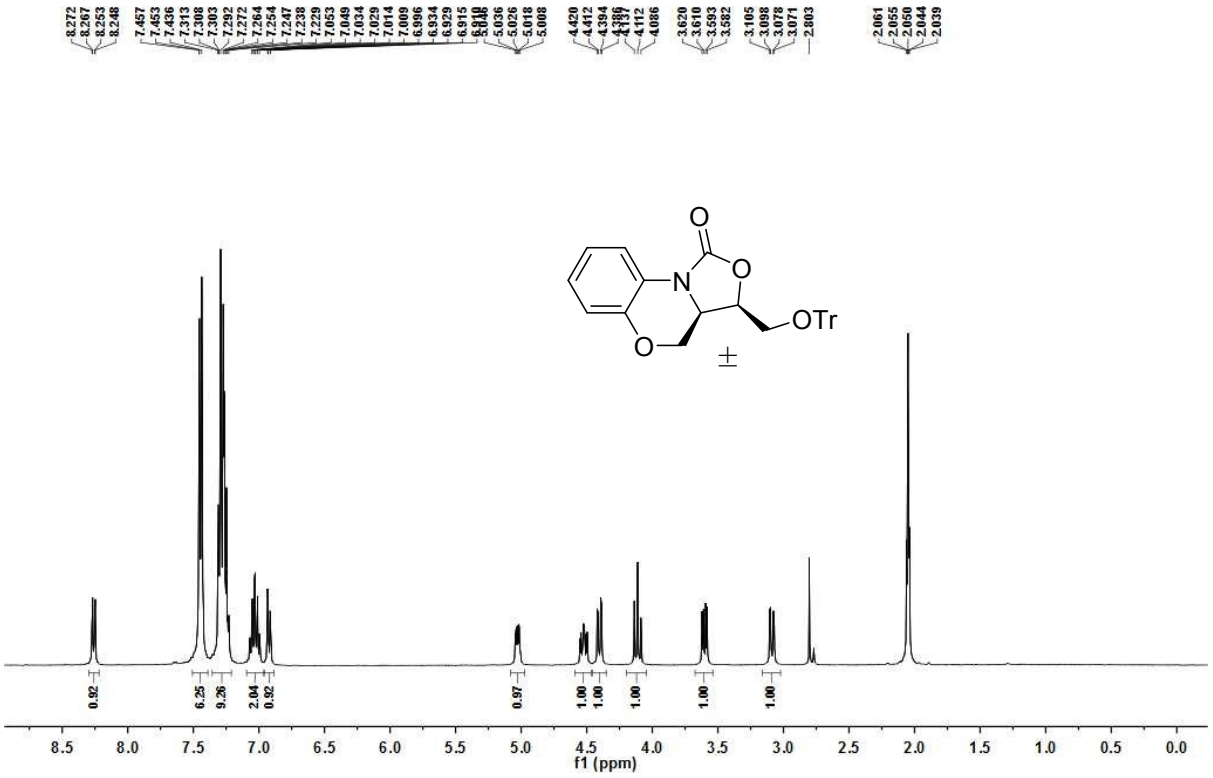
***trans*-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one ((±)-11aa).**



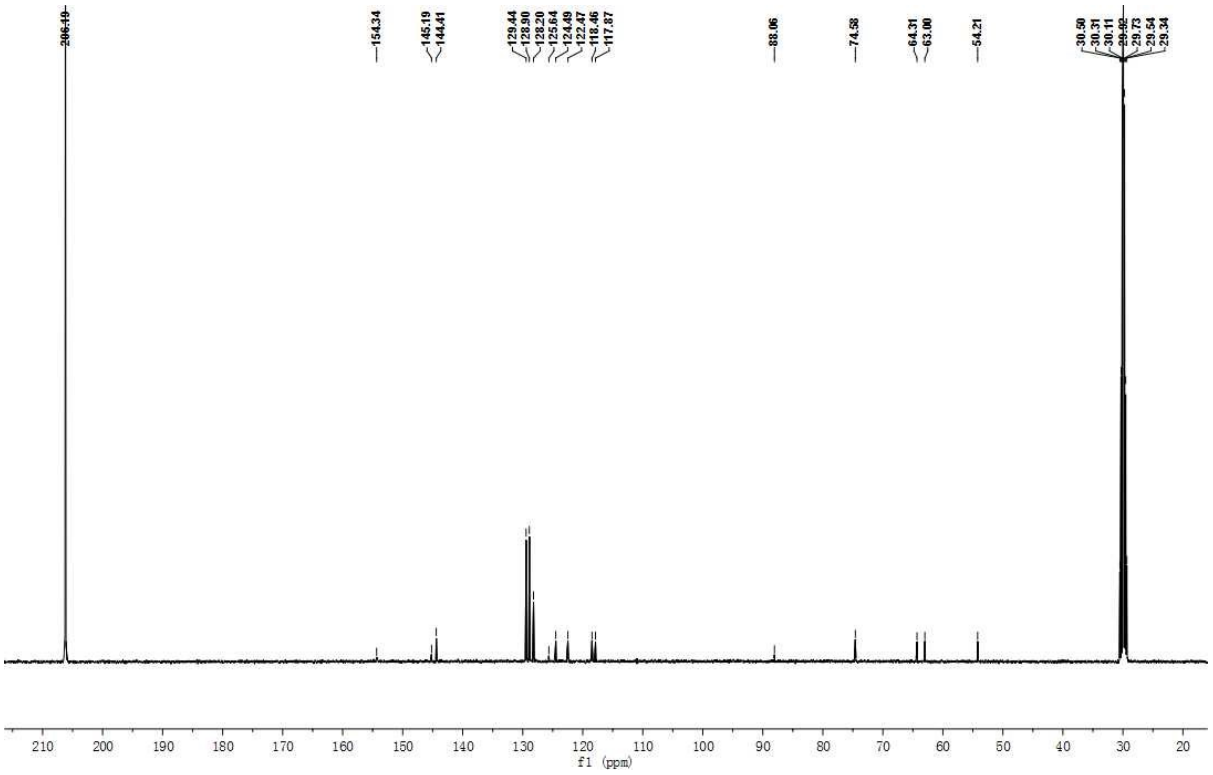
***trans*-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one ((±)-11aa).**



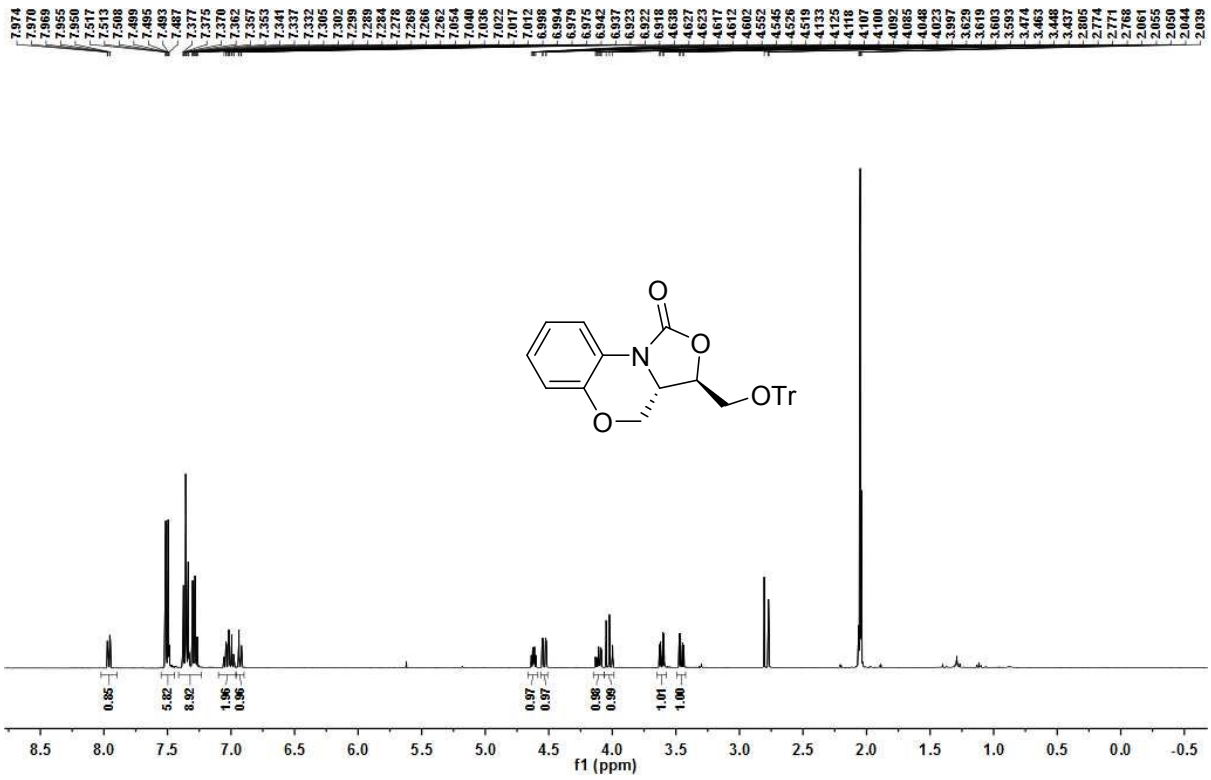
***cis*-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one ((±)-11c)**



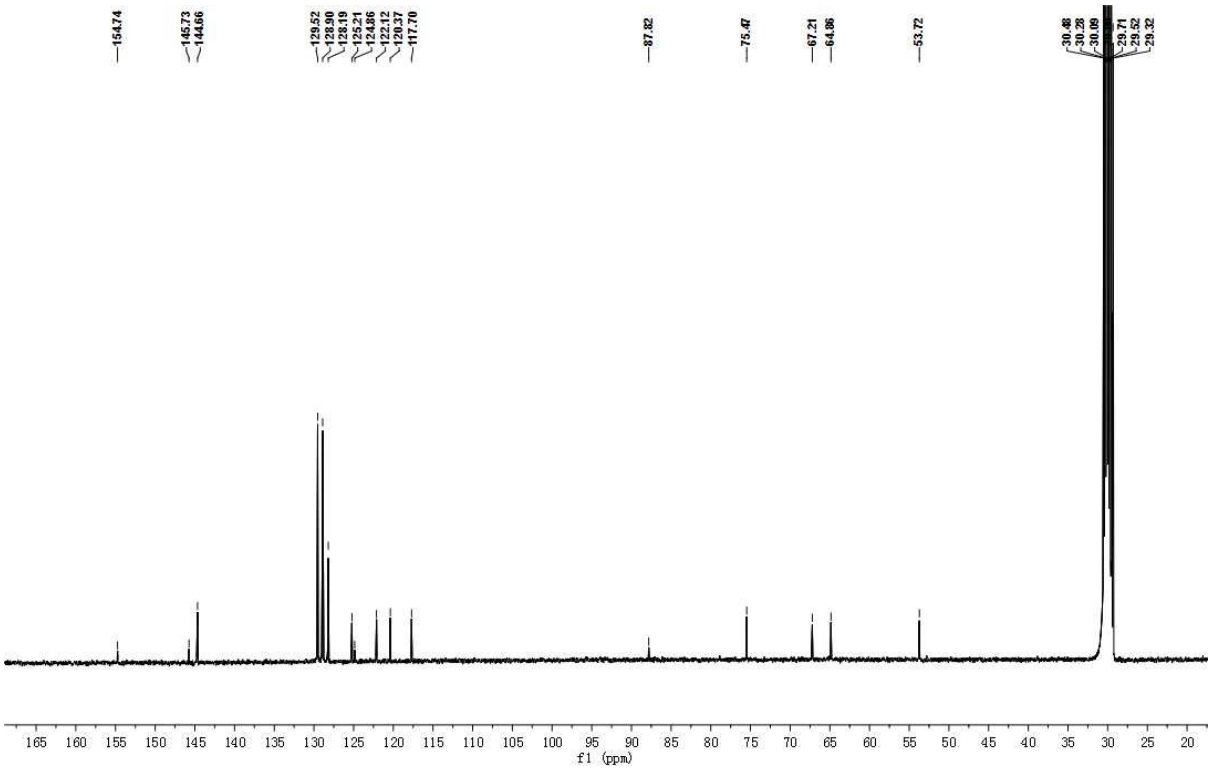
***cis*-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one ((±)-11c)**



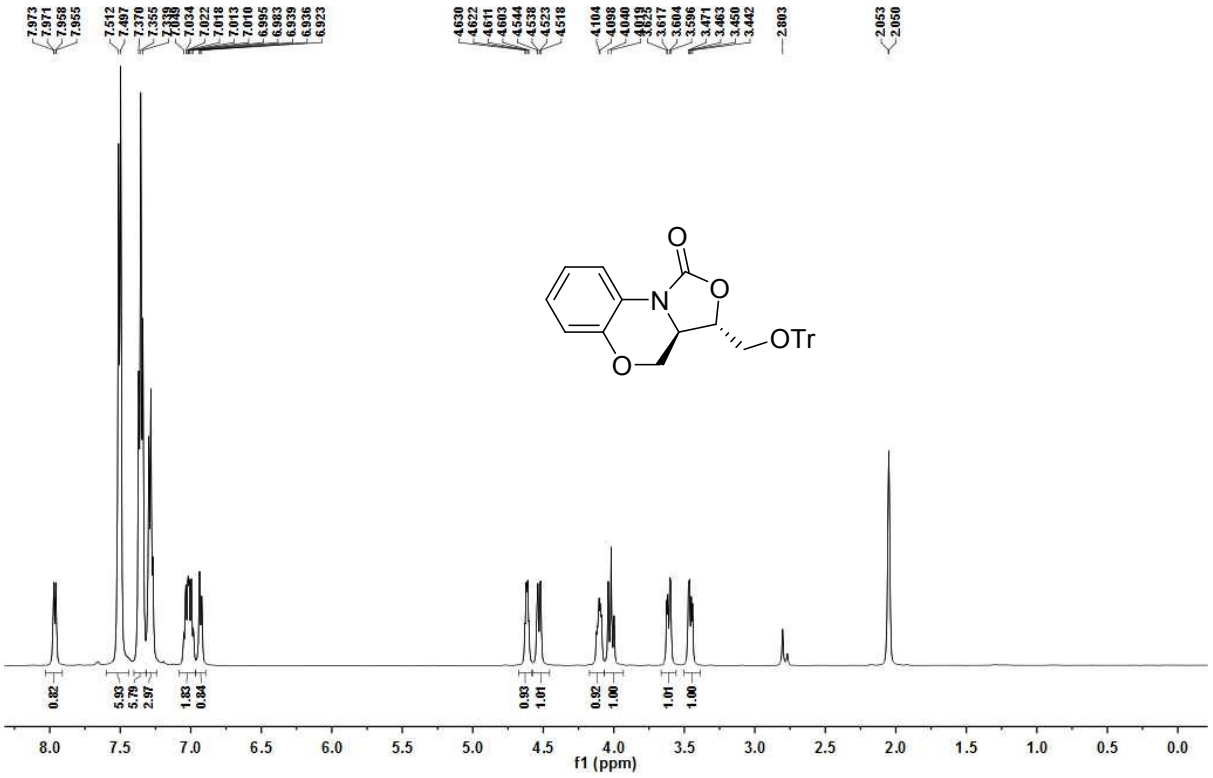
(3*R*,3a*S*)-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11aa)



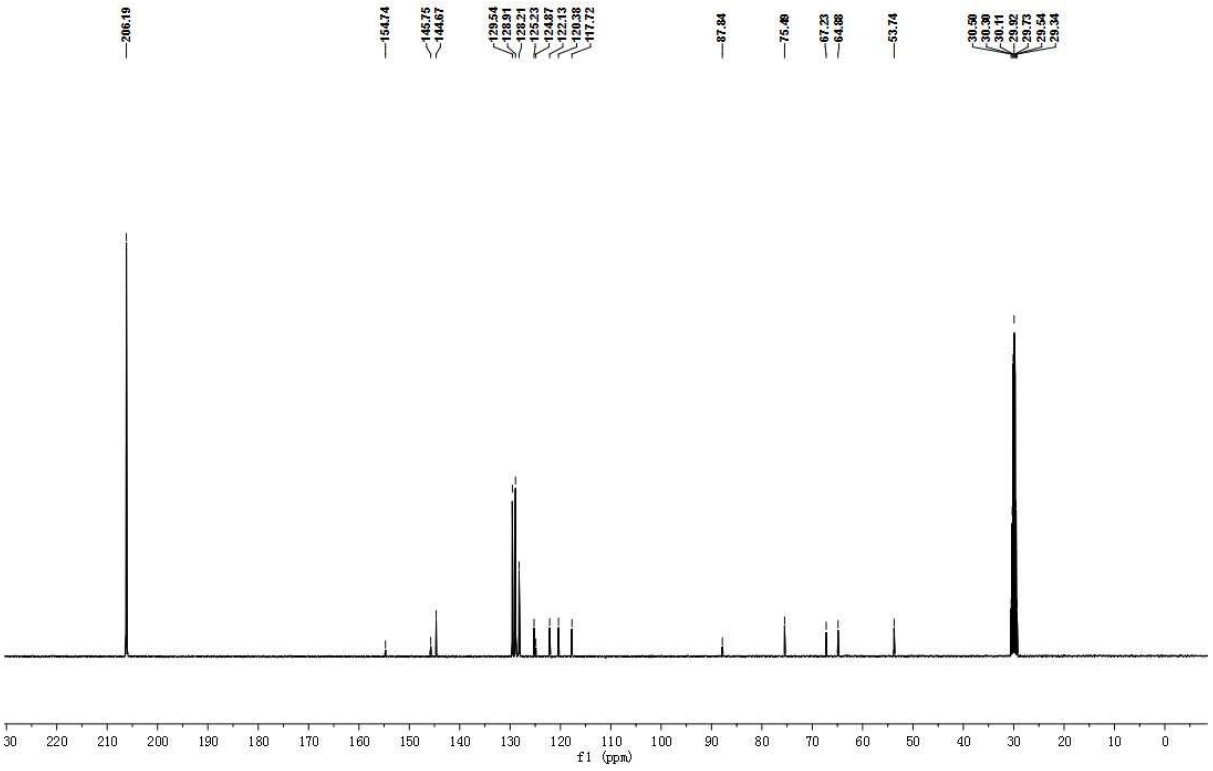
(3*R*,3a*S*)-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11aa)



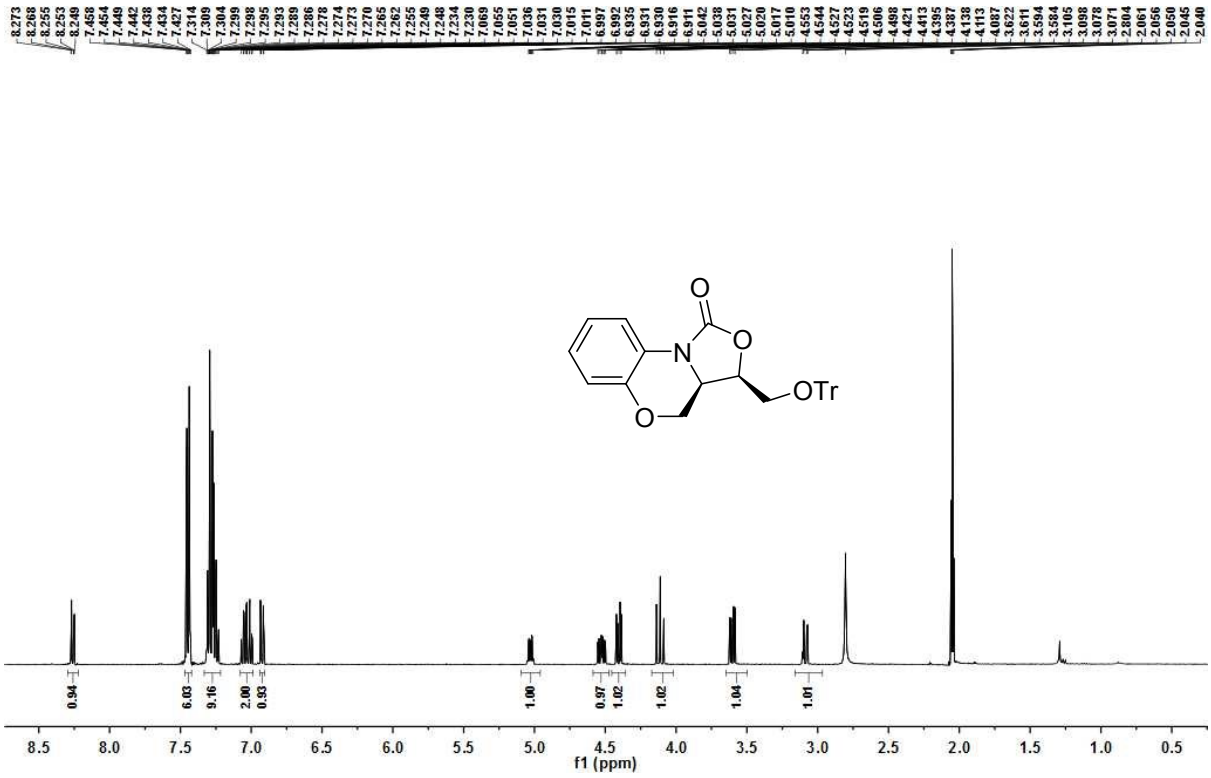
(3*S*,3a*R*)-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11b)



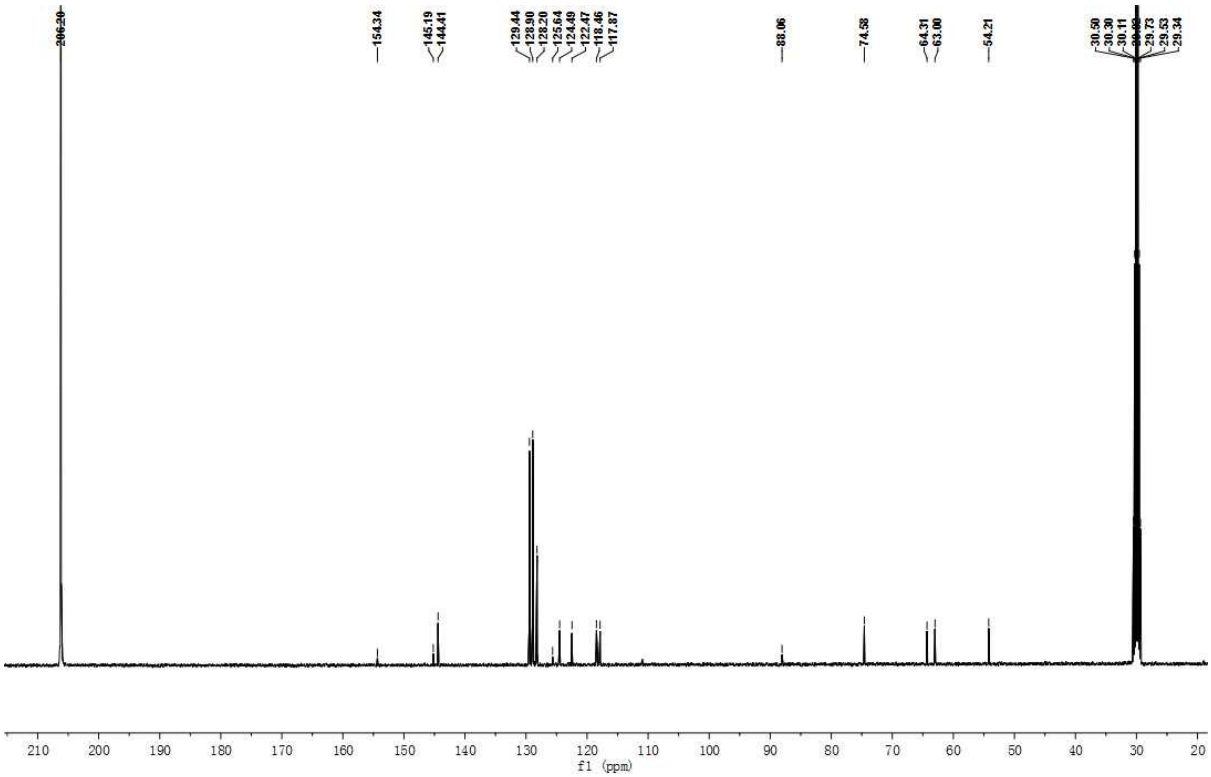
(3*S*,3a*R*)-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11b)



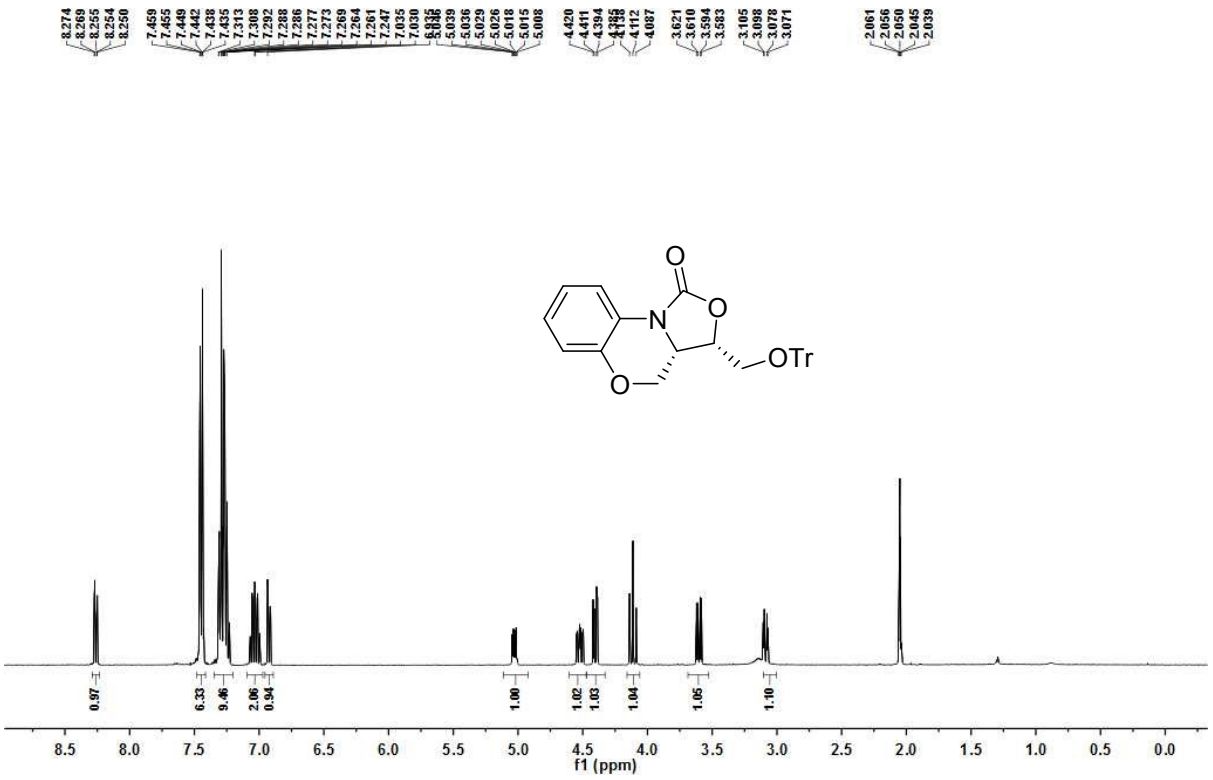
(3*R*,3a*R*)-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11c)



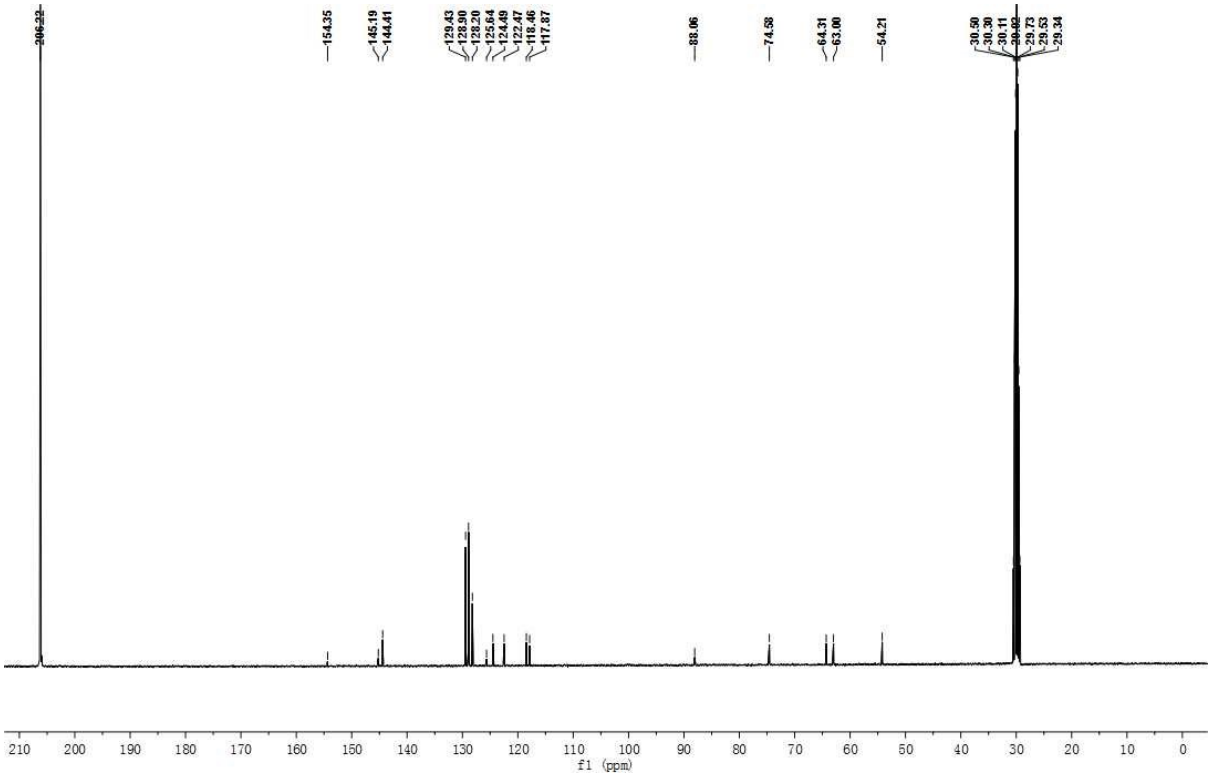
(3*R*,3a*R*)-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11c)



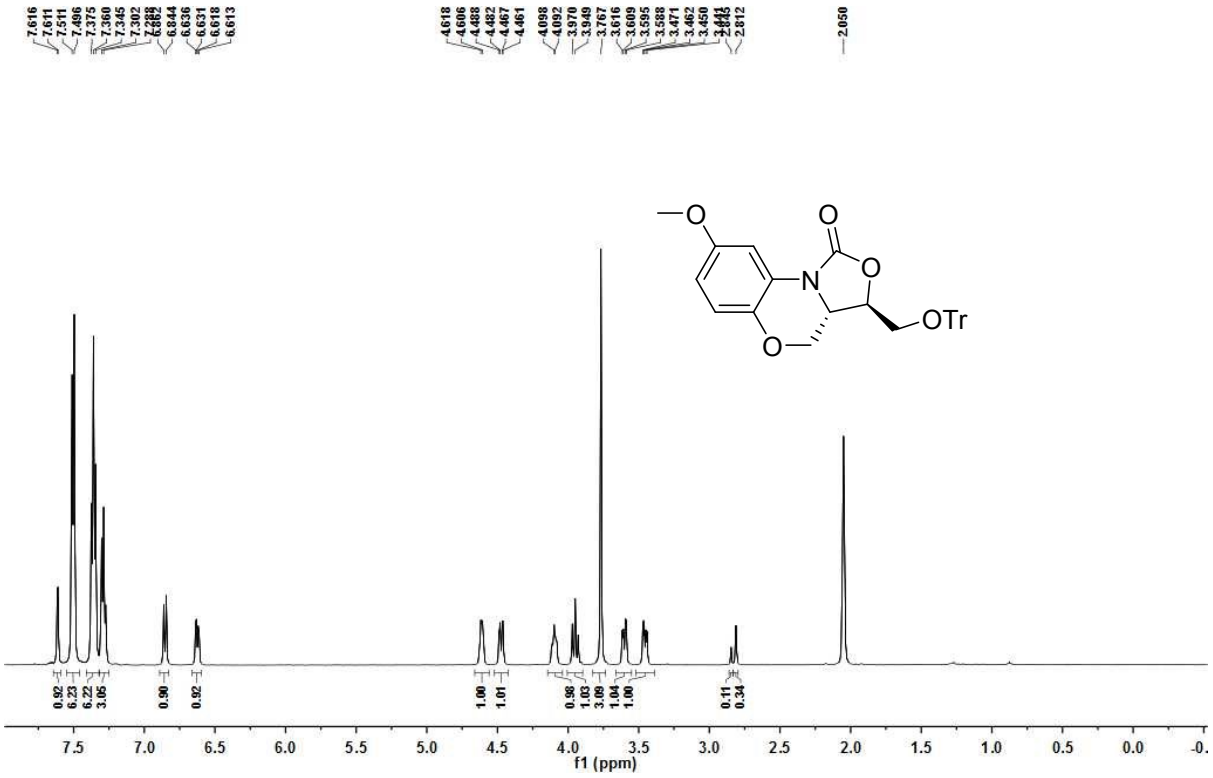
(3*S*,3a*S*)-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11d)



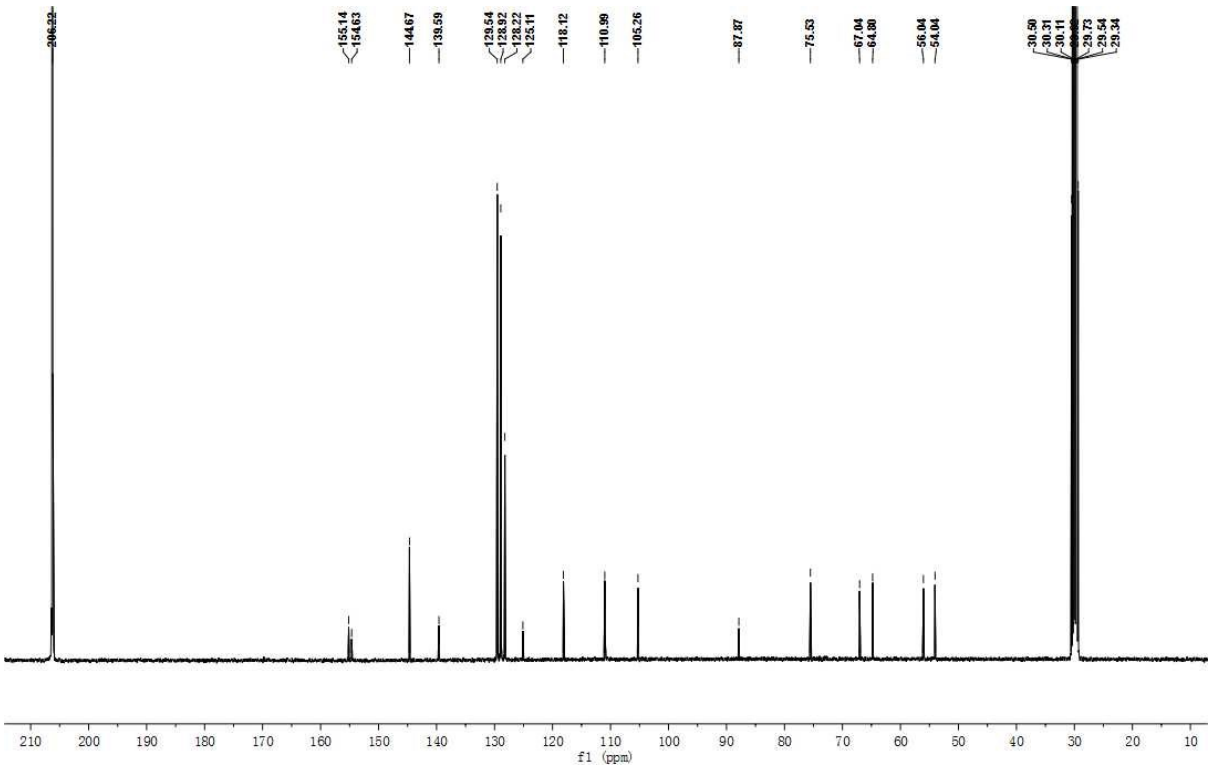
(3*S*,3a*S*)-3-((Trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11d)



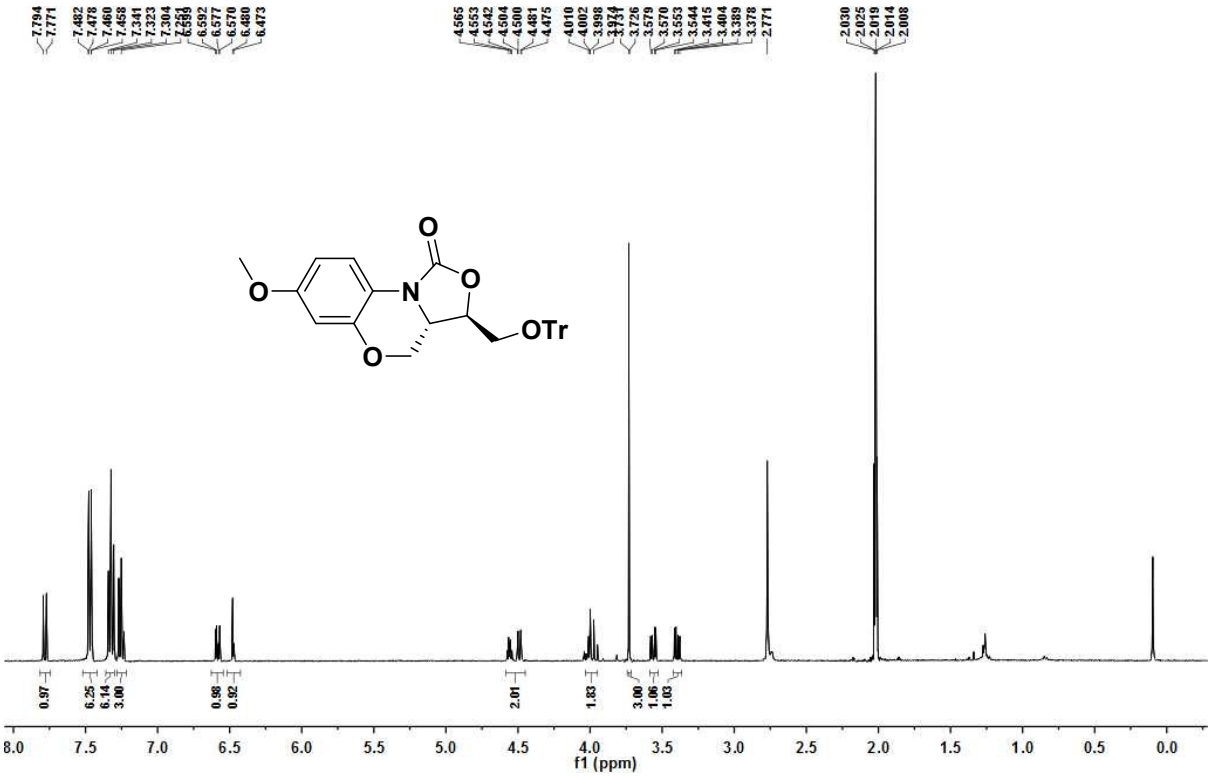
(3*R*,3a*S*)-8-Methoxy-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ab)



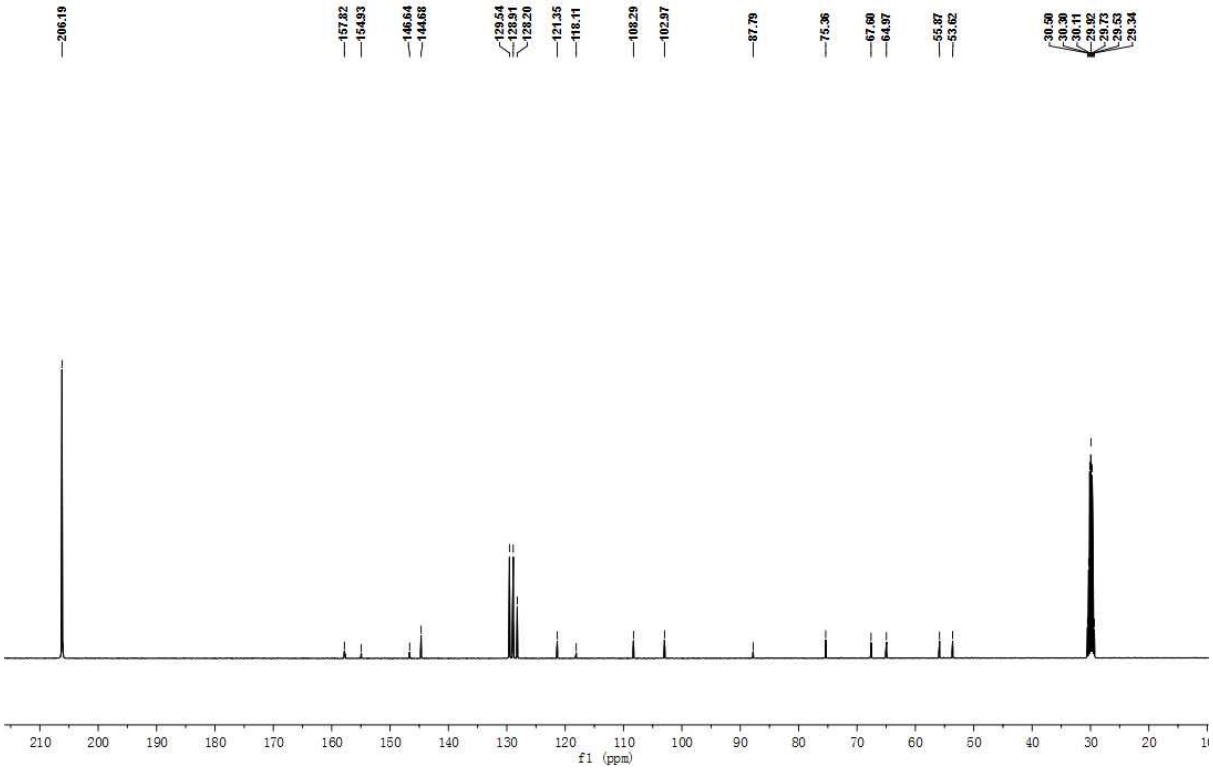
(3*R*,3a*S*)-8-Methoxy-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ab)



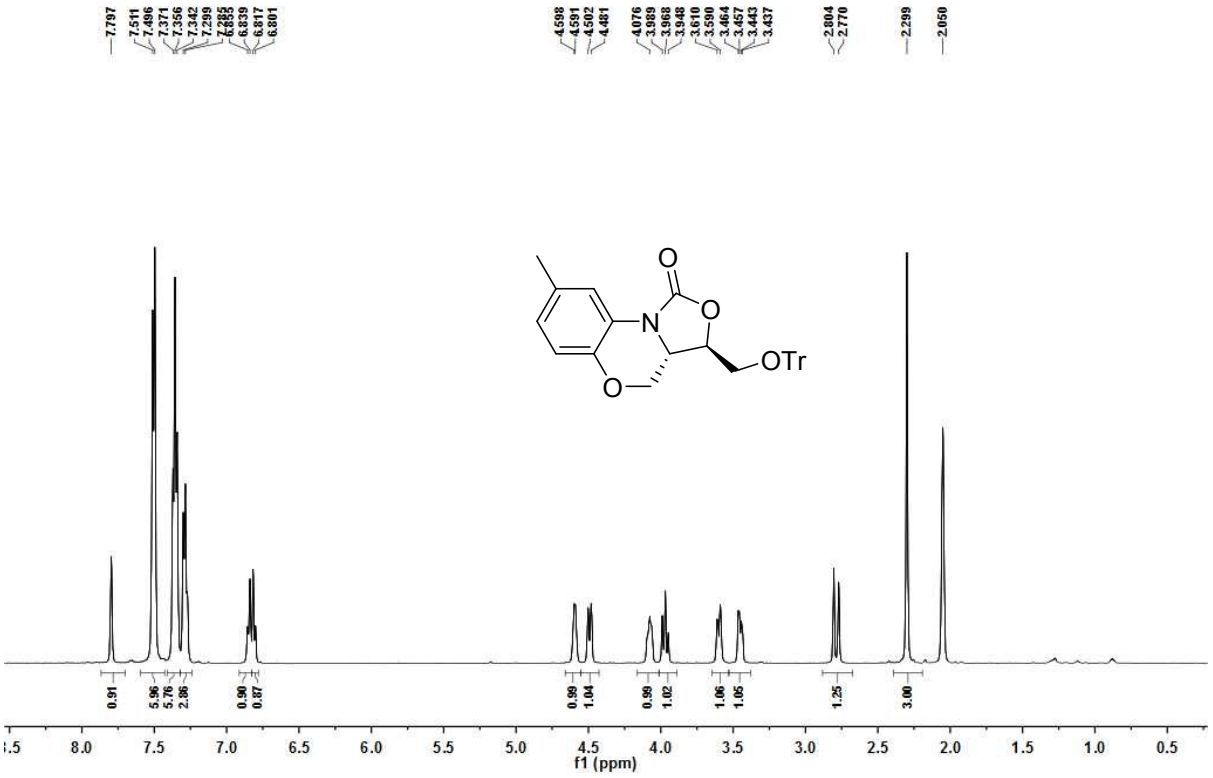
(3*R*,3a*S*)-7-Methoxy-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ac)



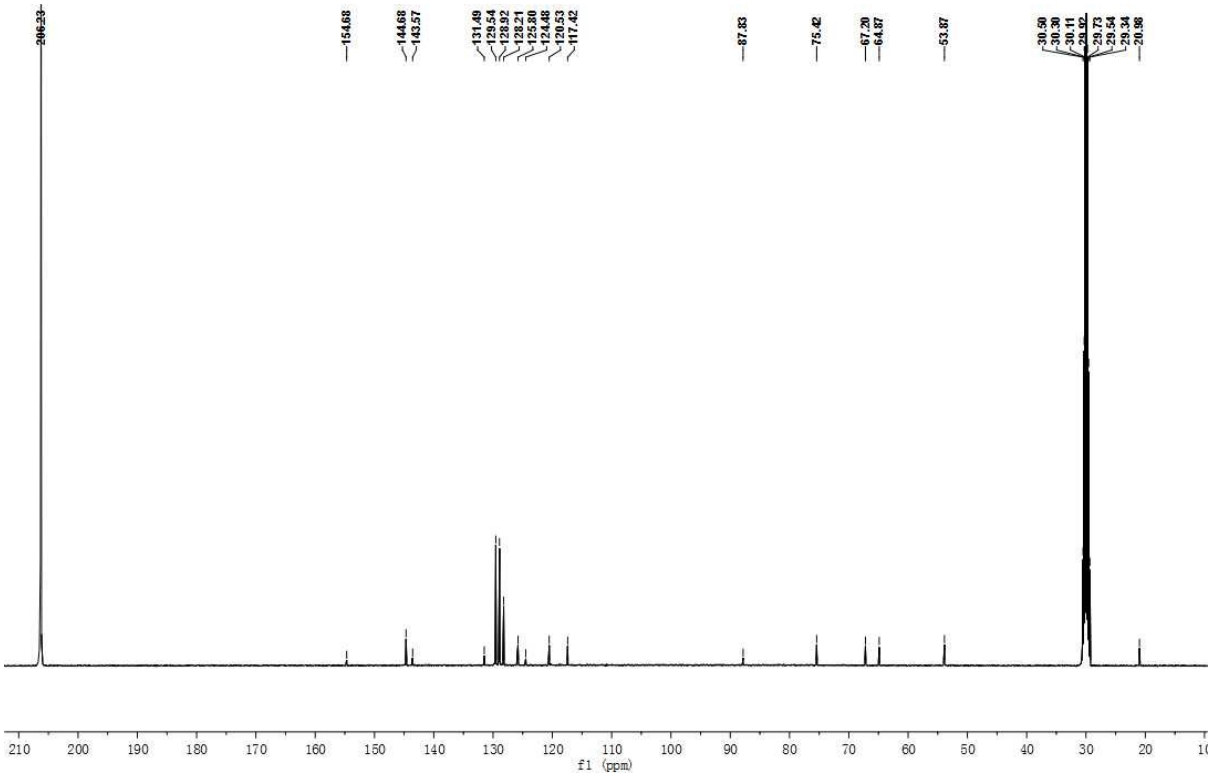
(3*R*,3a*S*)-7-Methoxy-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ac)



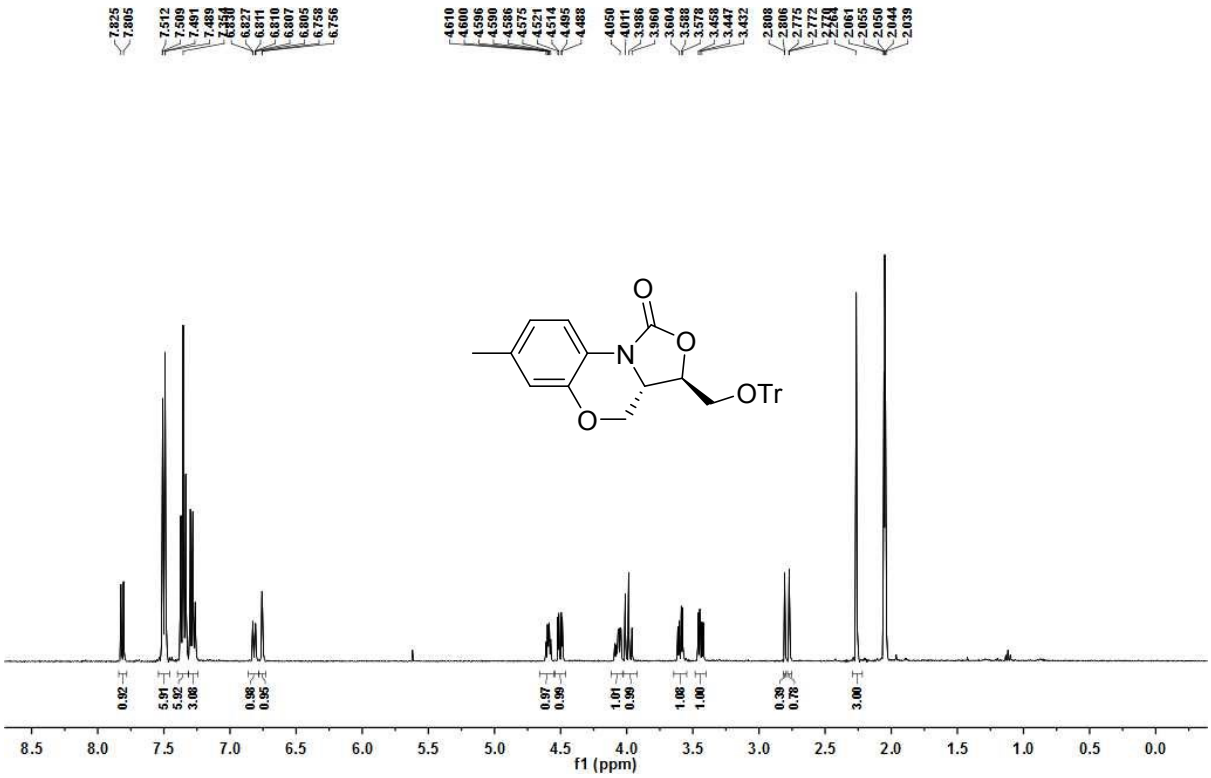
(3*R*,3a*S*)-8-methyl-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ad)



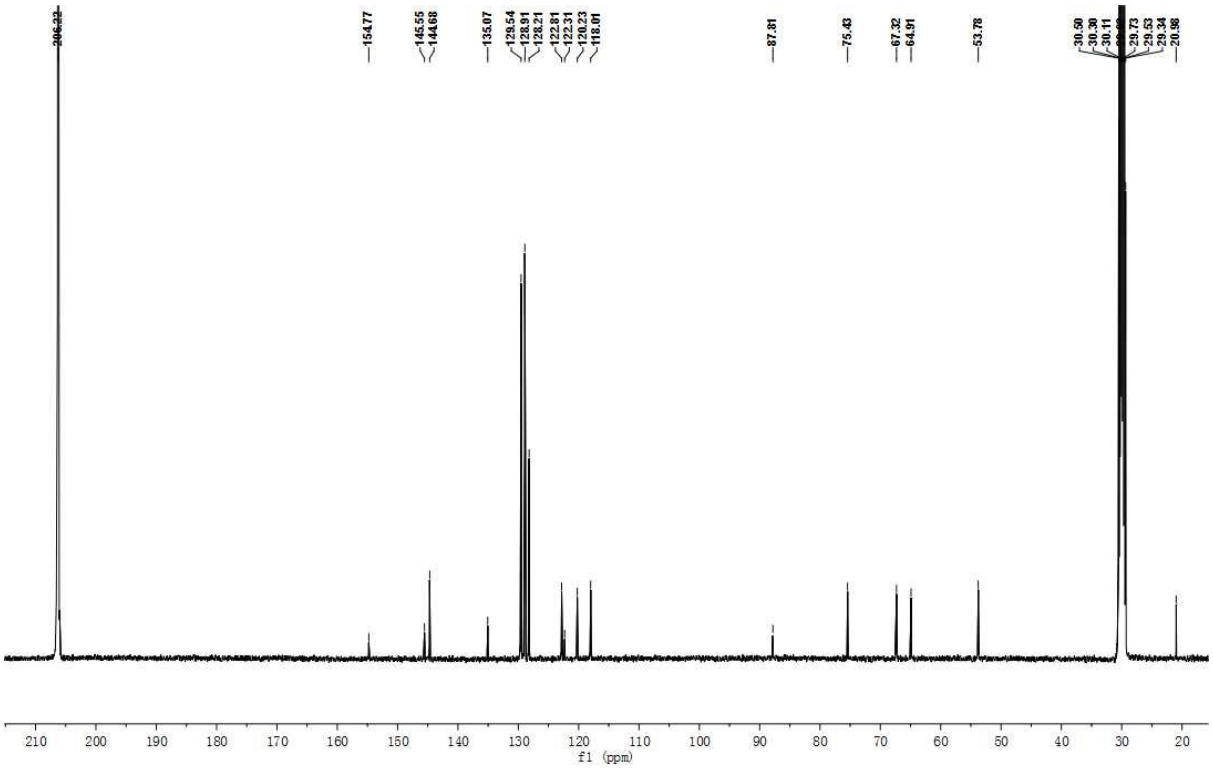
(3*R*,3a*S*)-8-methyl-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ad)



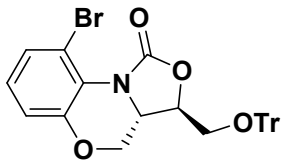
(3*R*,3a*S*)-7-Methyl-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ae)

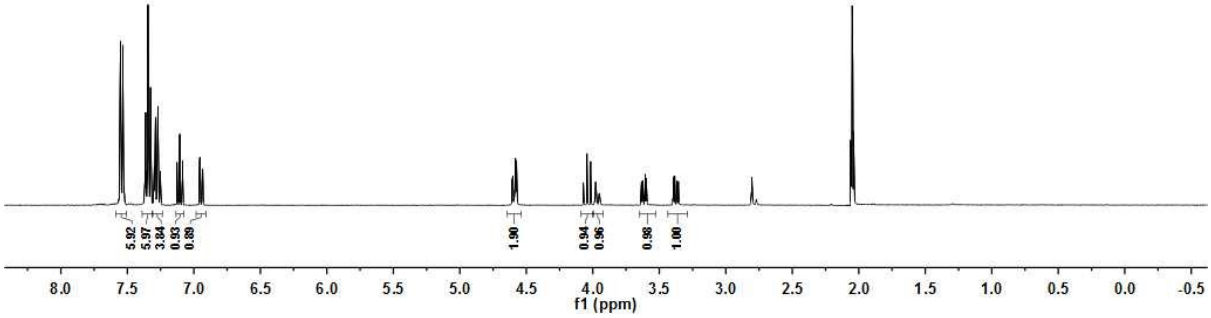


(3*R*,3a*S*)-7-Methyl-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ae)

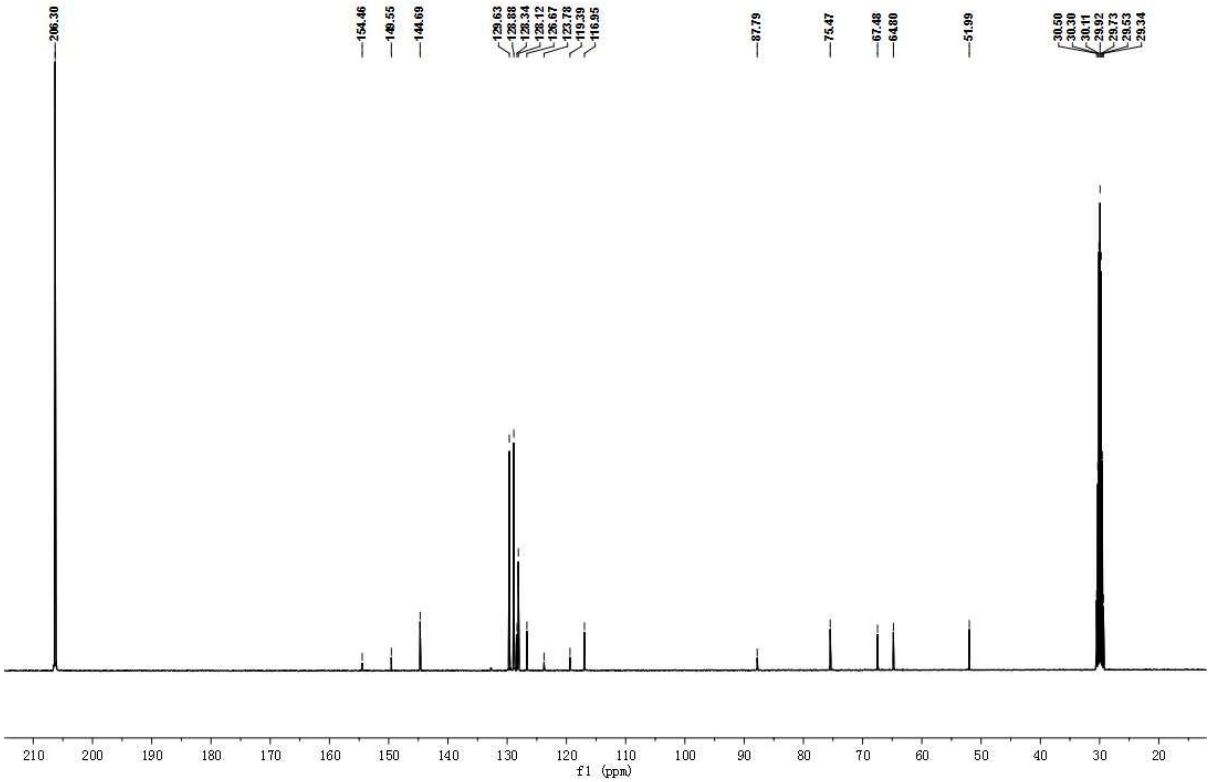


(3*R*,3a*S*)-9-Bromo-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11af)

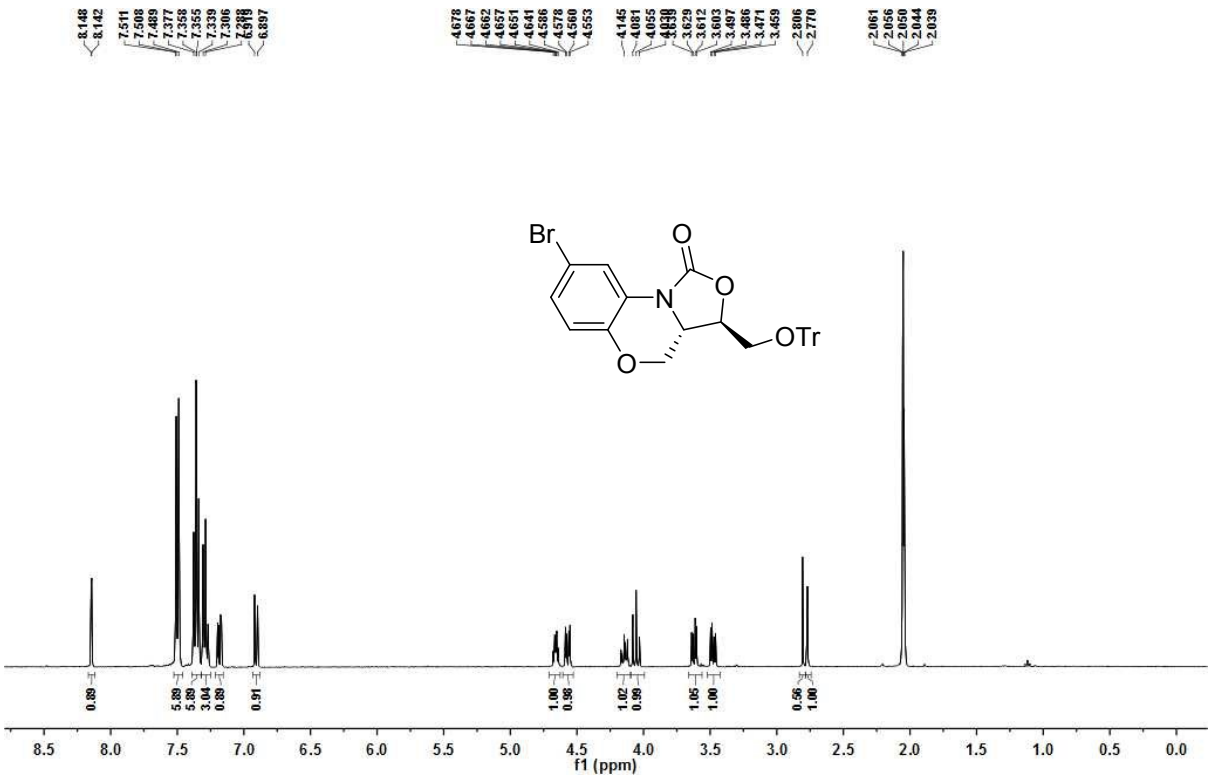




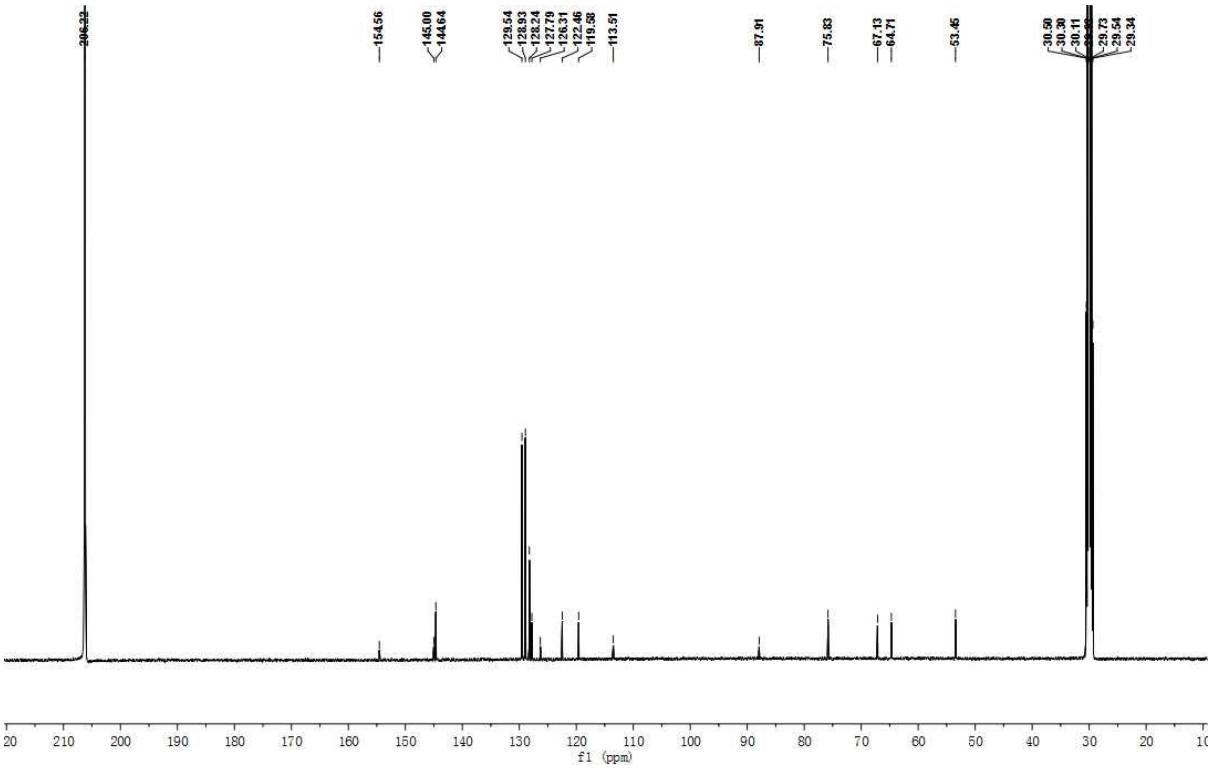
(3*R*,3a*S*)-9-Bromo-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11af)



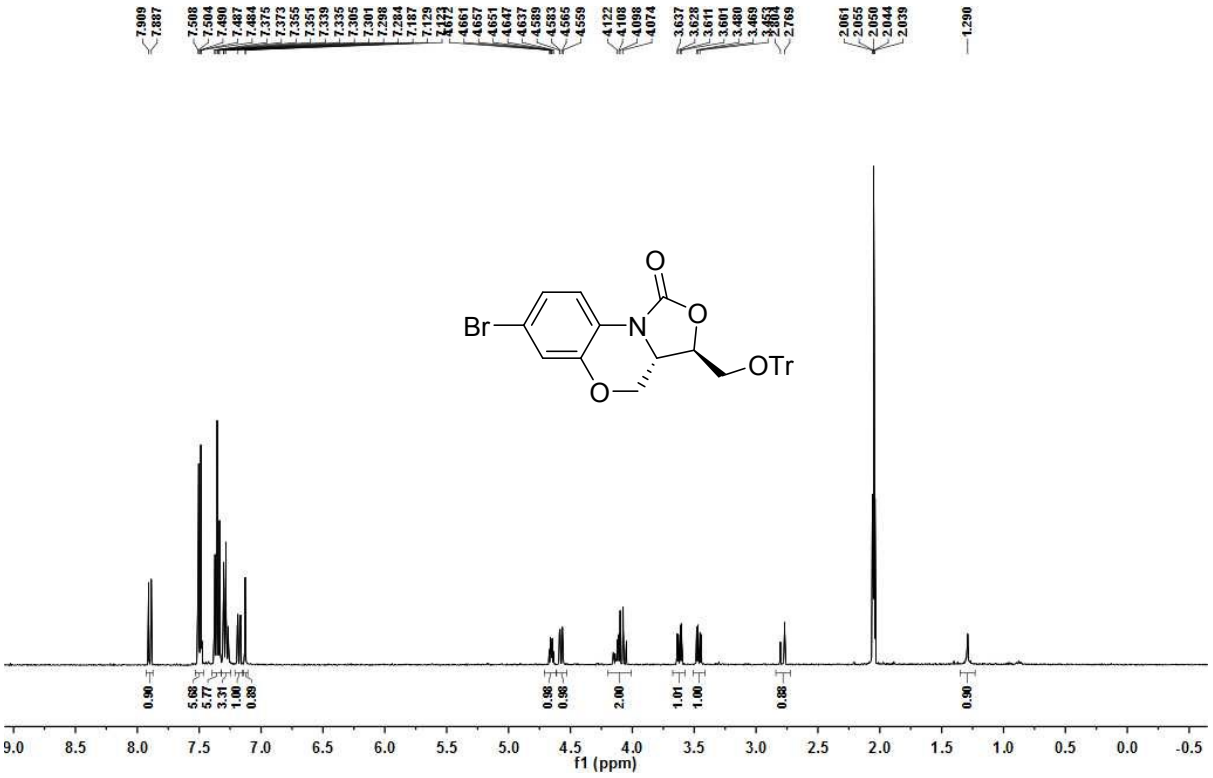
(3*R*,3a*S*)-8-Bromo-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ag)



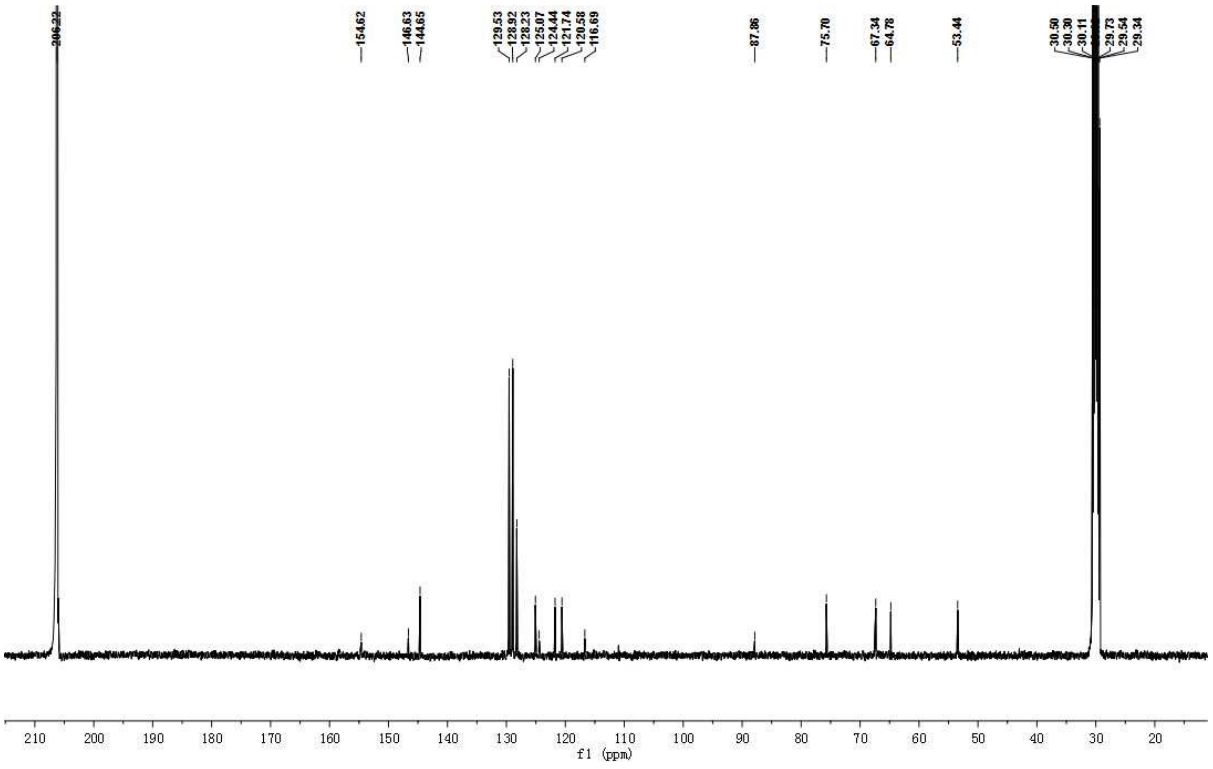
(3*R*,3a*S*)-8-Bromo-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ag)



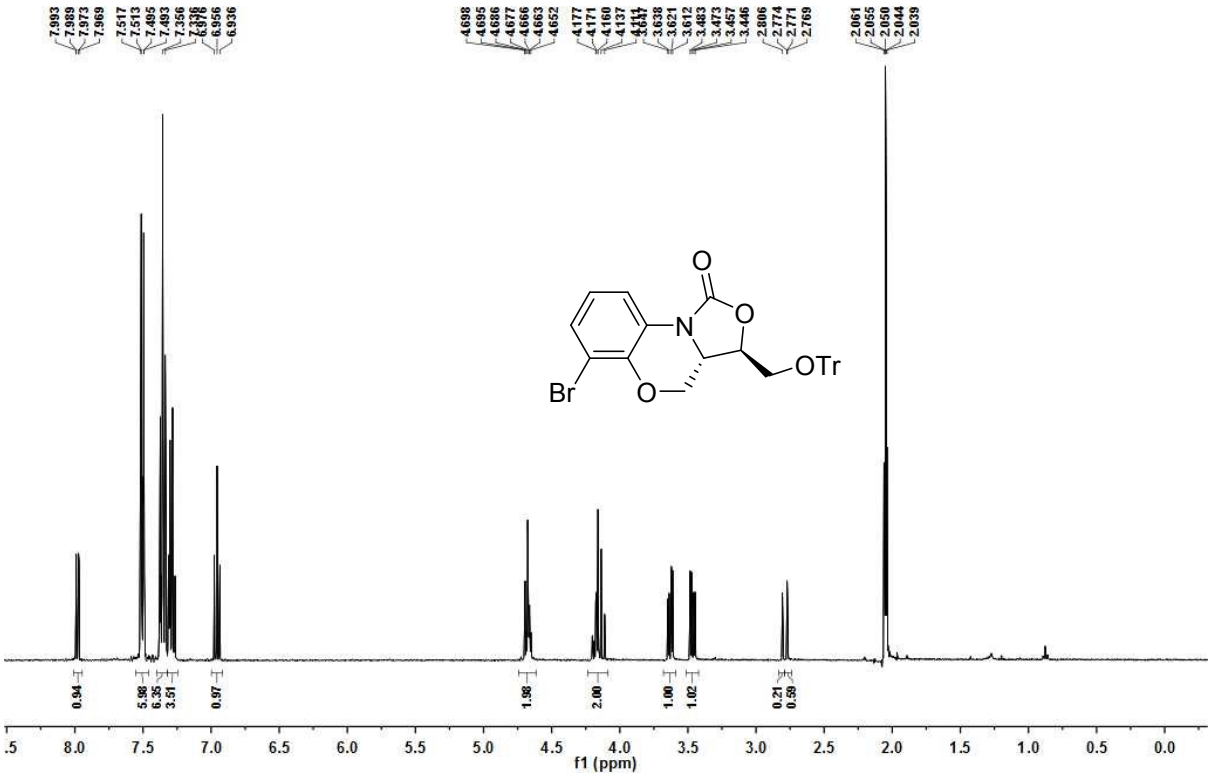
(3*R*,3a*S*)-7-Bromo-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ah)



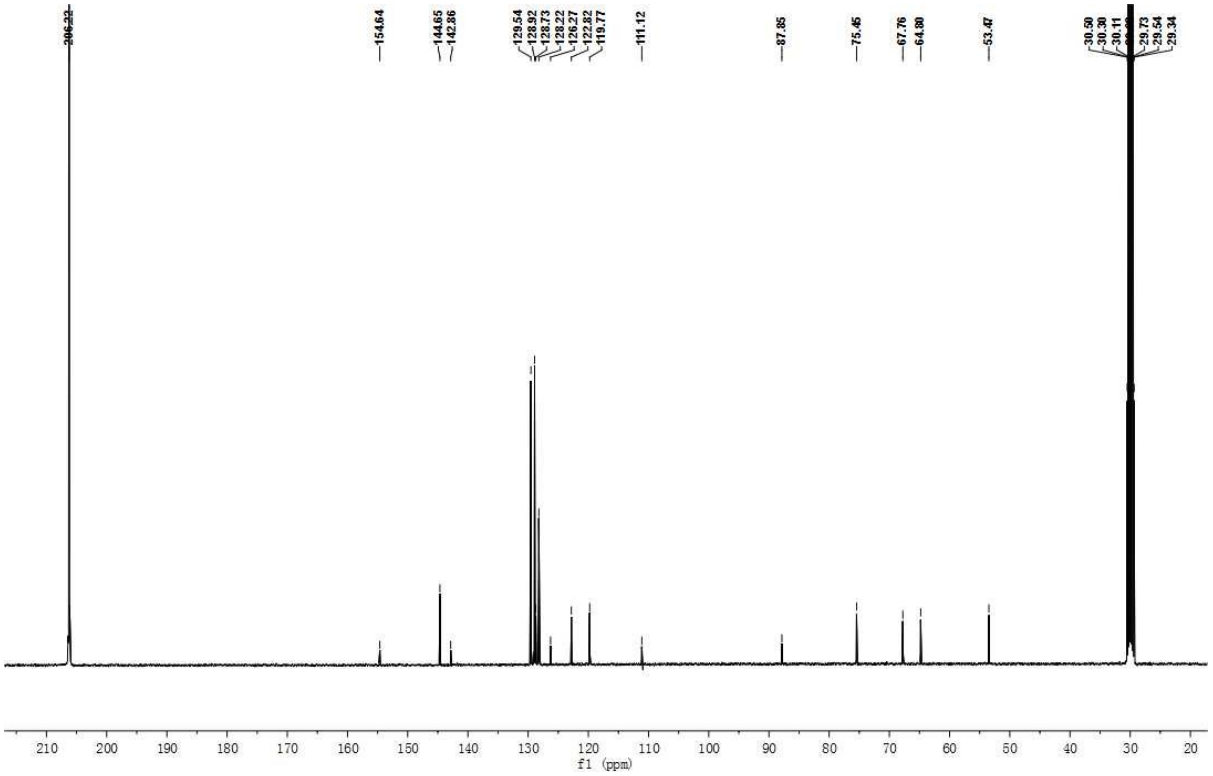
(3*R*,3a*S*)-7-Bromo-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ah)



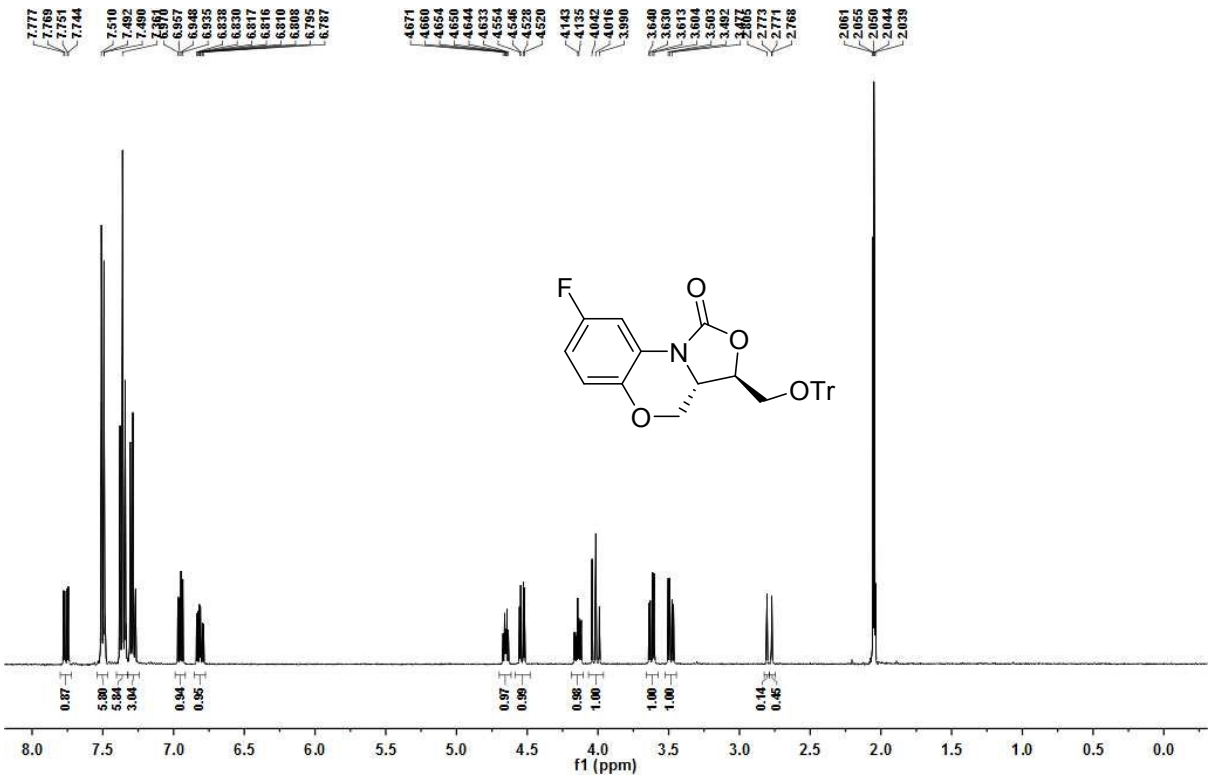
(3*R*,3a*S*)-6-Bromo-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ai)



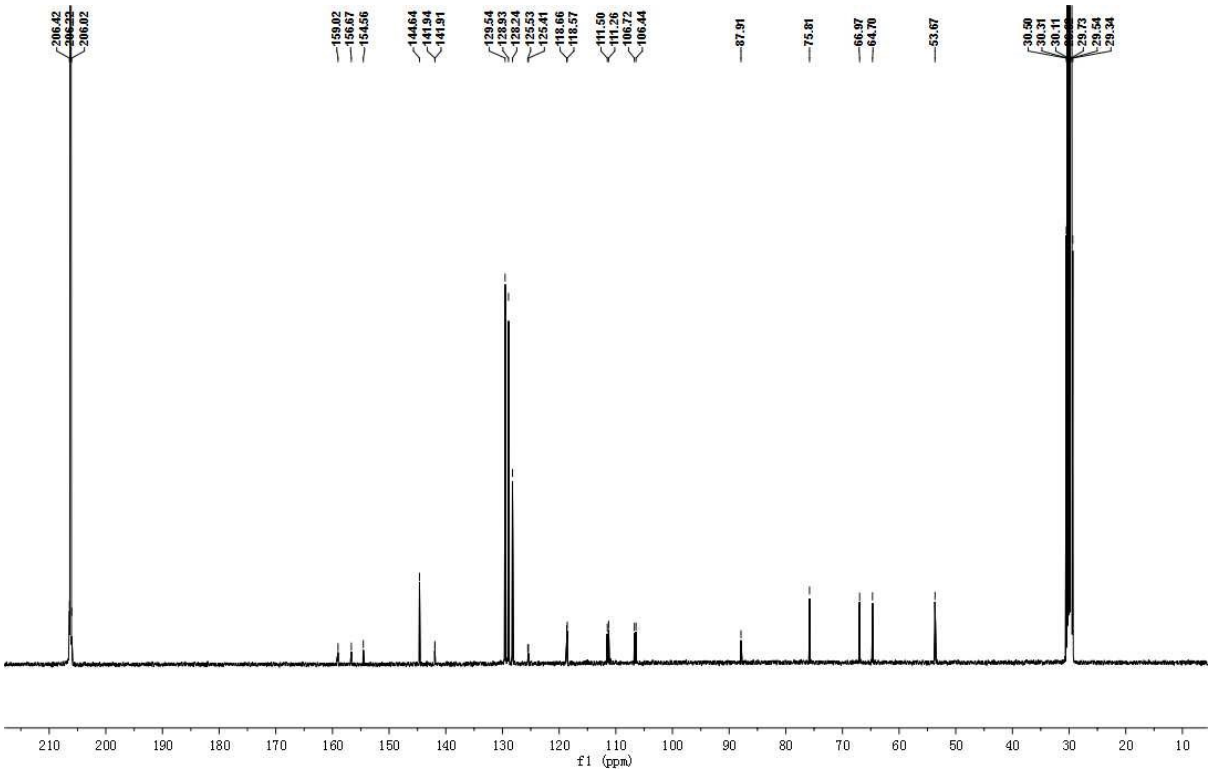
(3*R*,3a*S*)-6-Bromo-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ai)



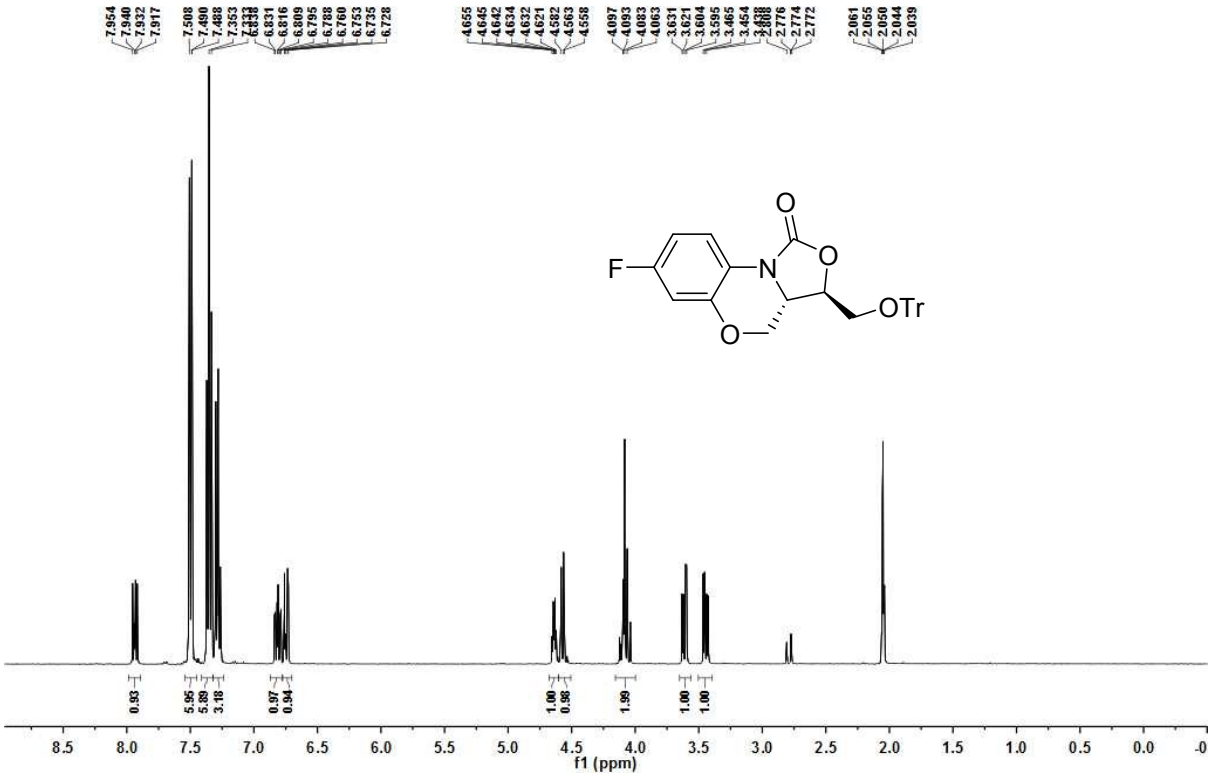
(3*R*,3a*S*)-8-Fluoro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11aj)



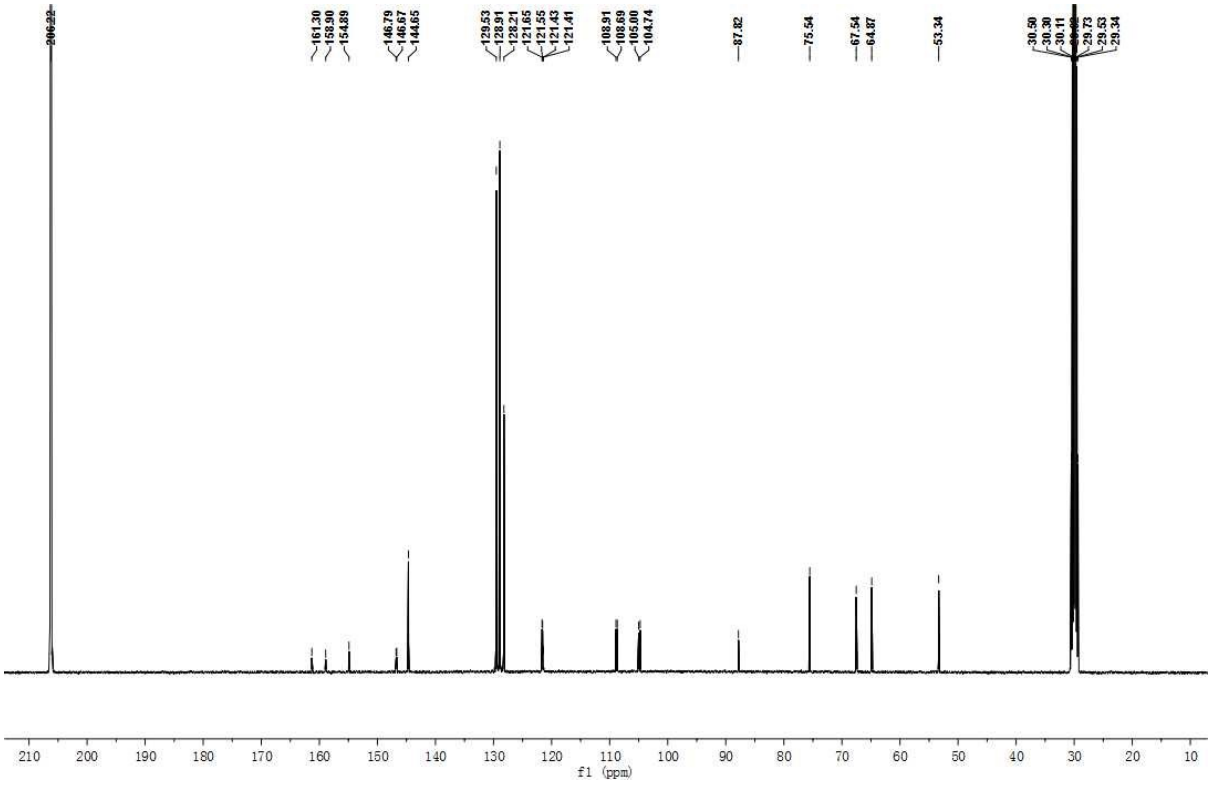
(3*R*,3a*S*)-8-Fluoro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11aj)



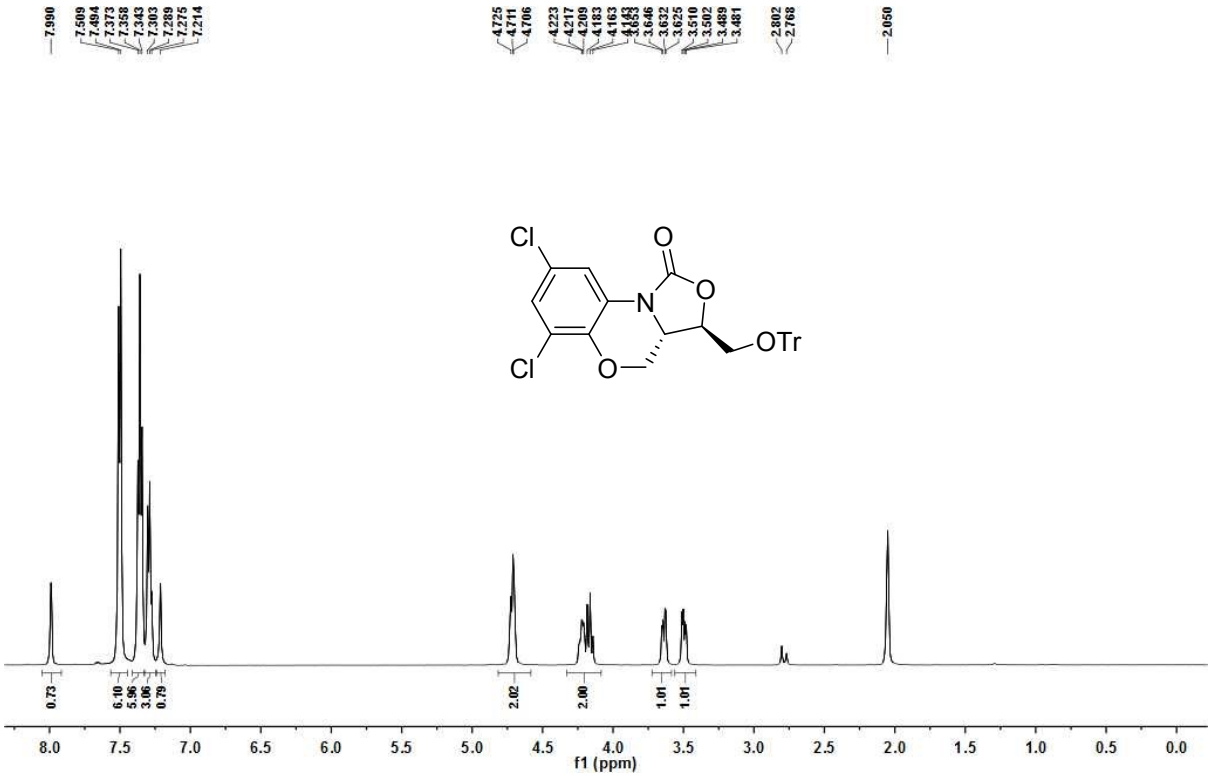
(3*R*,3a*S*)-7-Fluoro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ak)



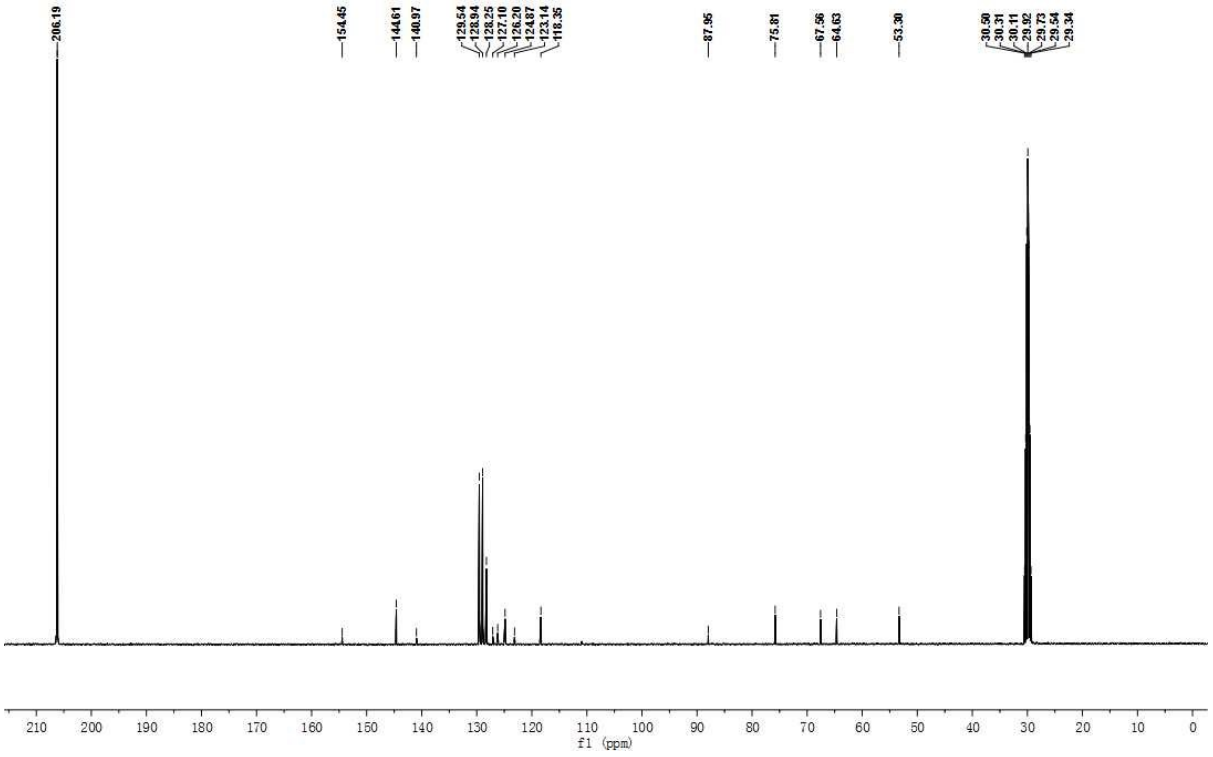
(3*R*,3a*S*)-7-Fluoro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ak)



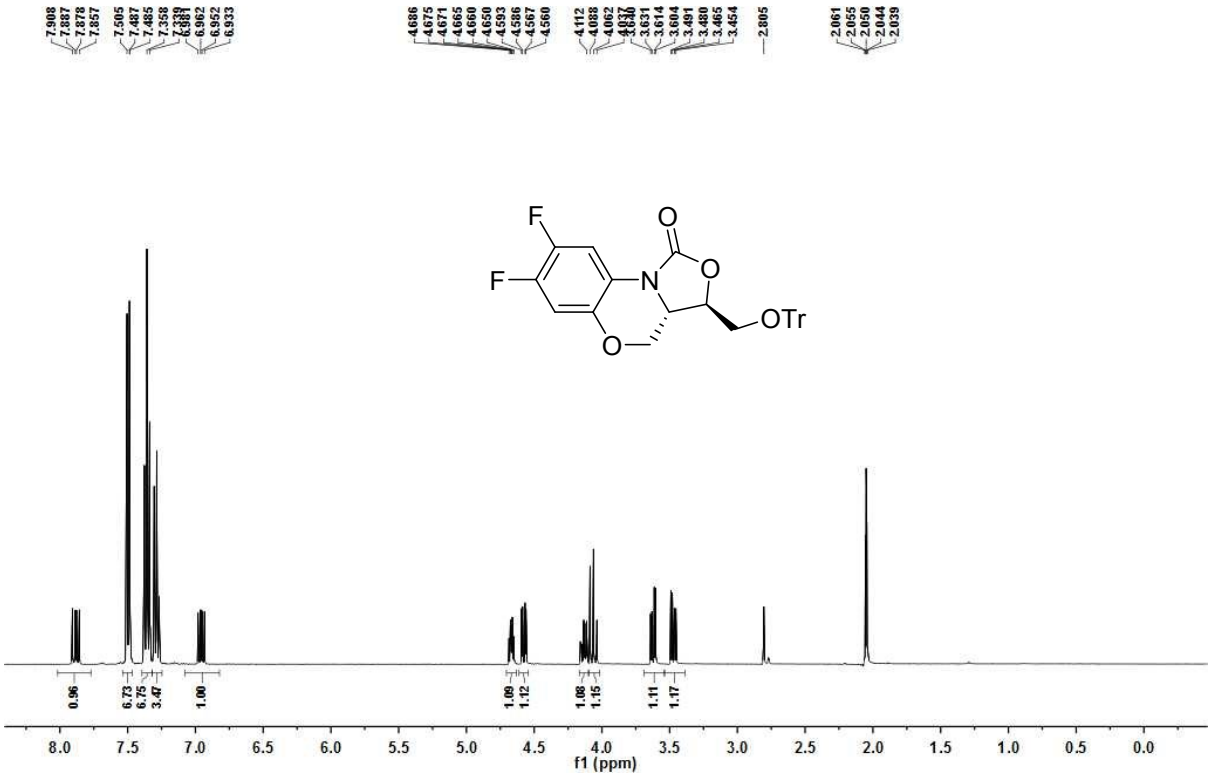
(3*R*,3a*S*)-6,8-Dichloro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11al)



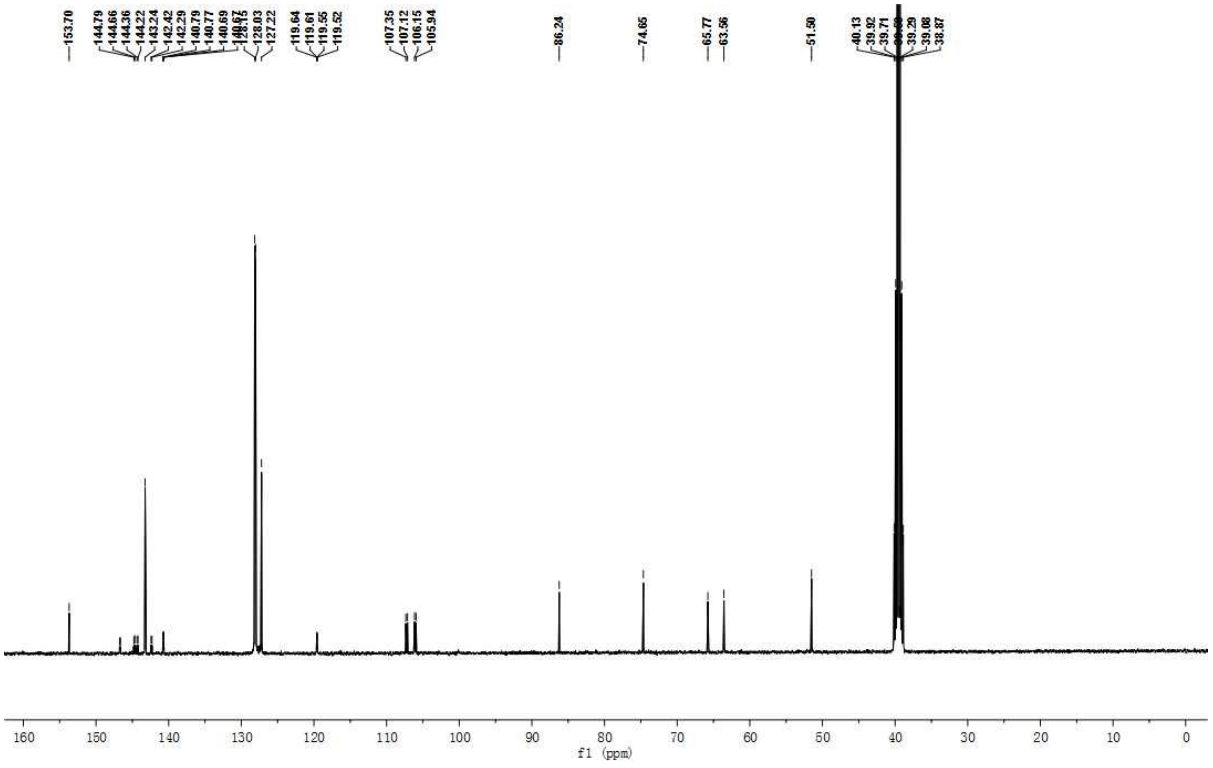
(3*R*,3a*S*)-6,8-Dichloro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11al)



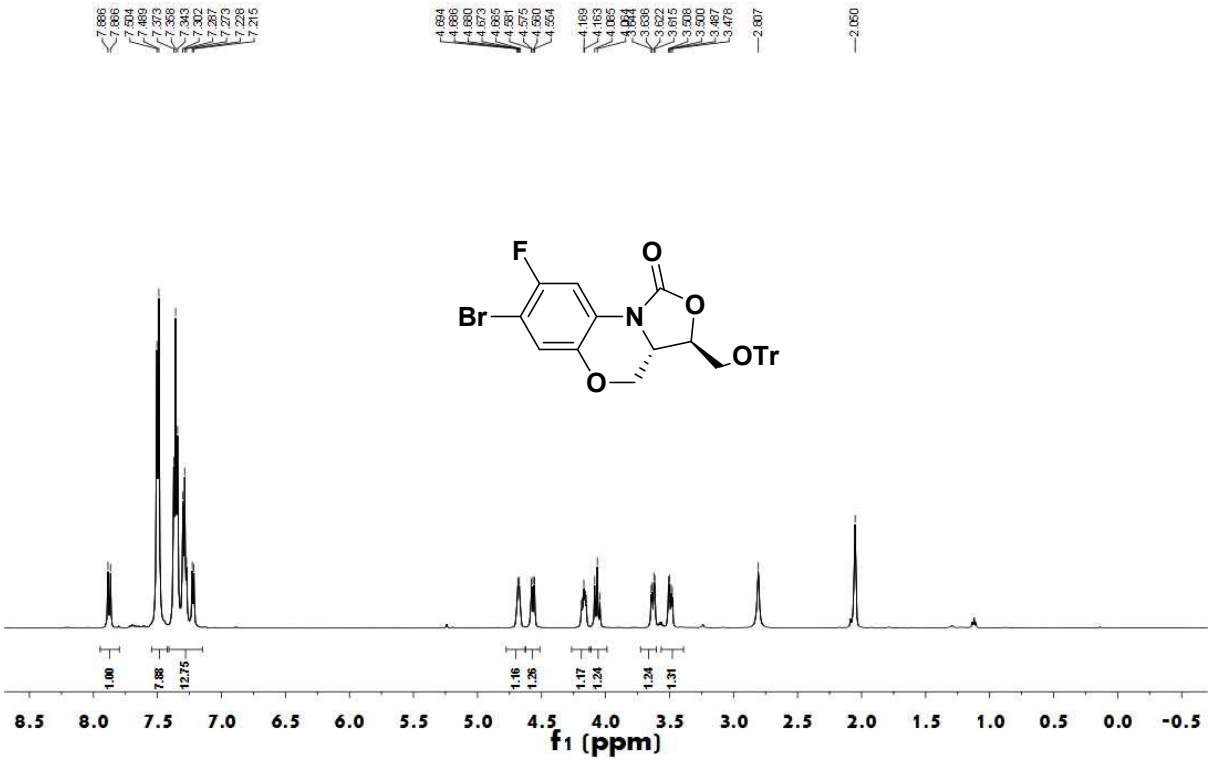
(3*R*,3a*S*)-7,8-Difluoro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11am)



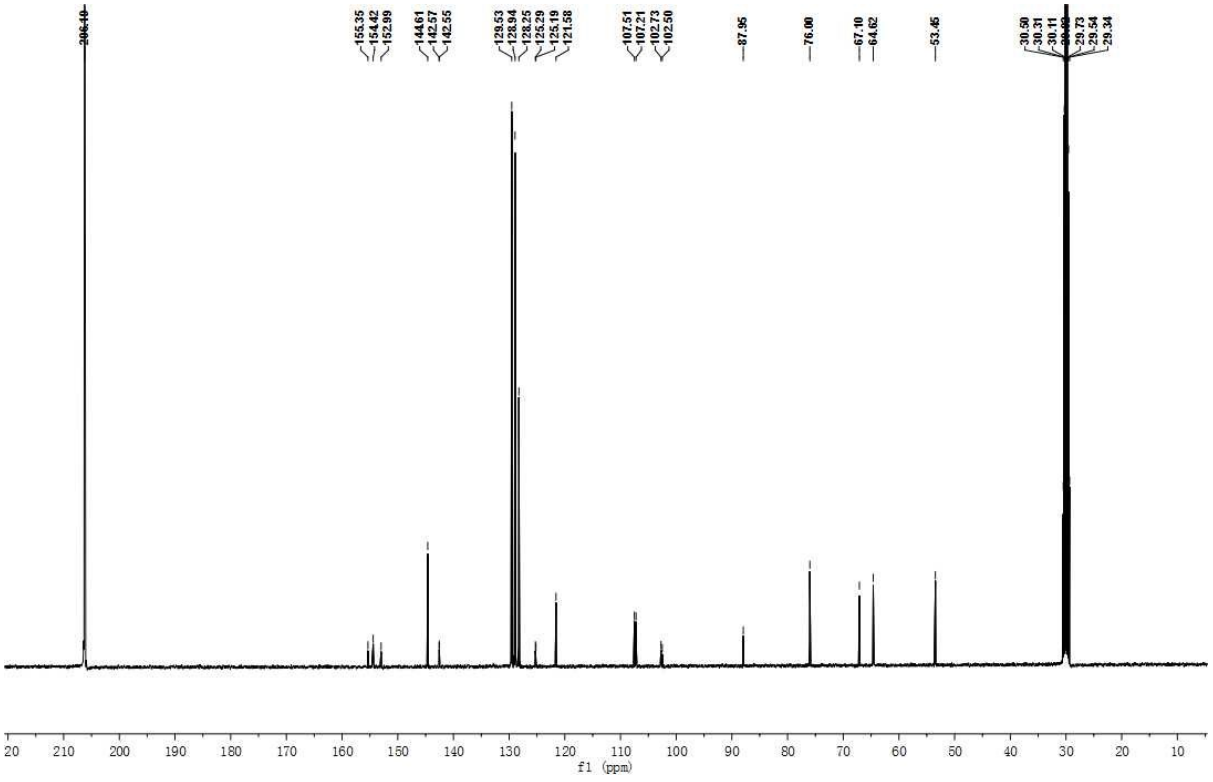
(3*R*,3a*S*)-7,8-Difluoro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11am)



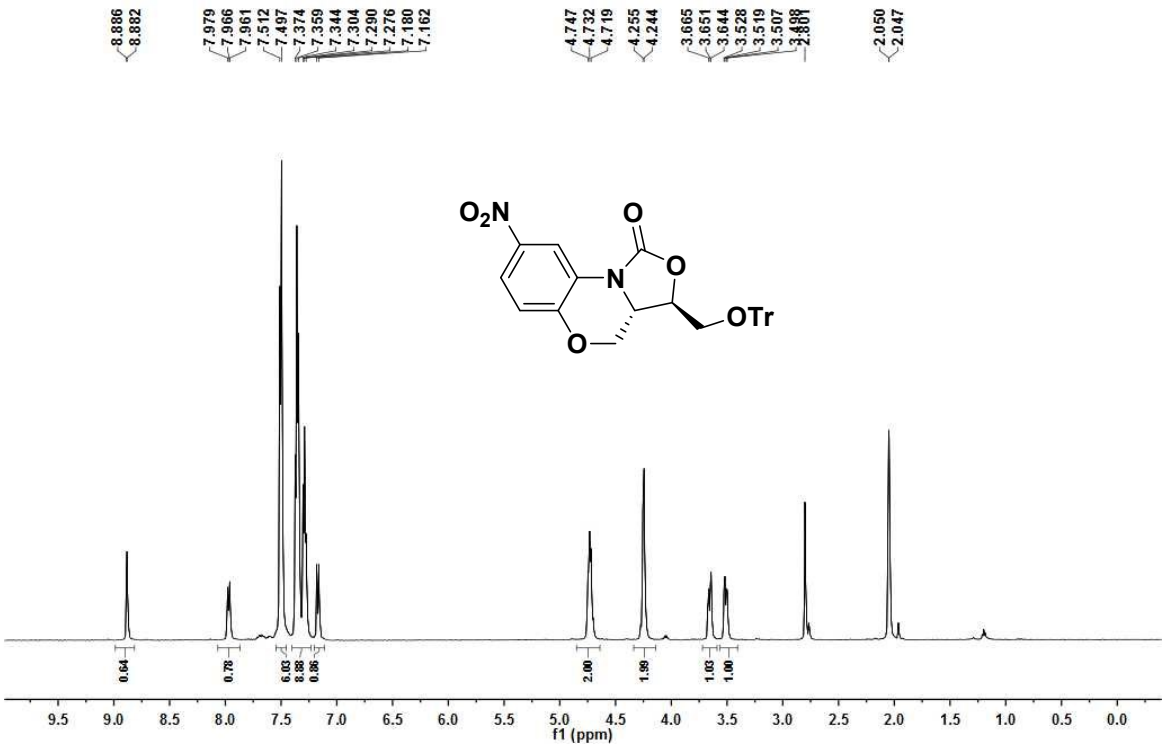
(3*R*,3a*S*)-7-Bromo-8-fluoro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11an)



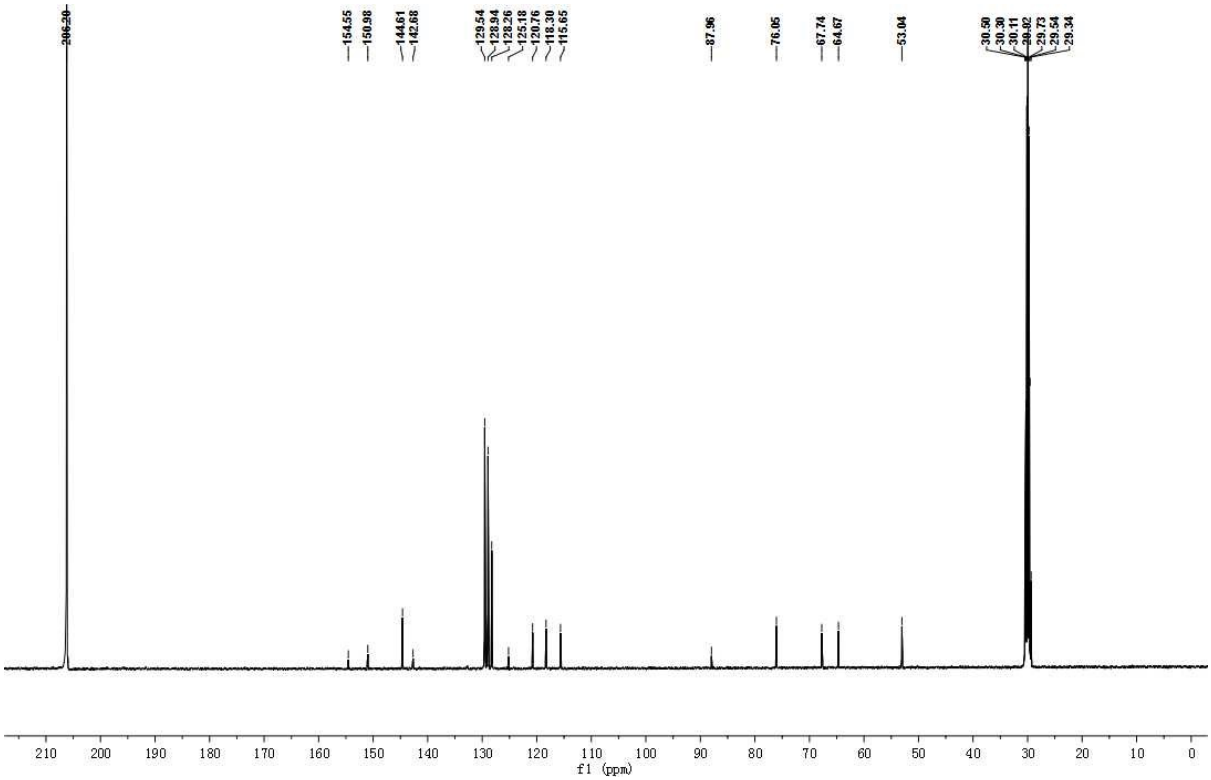
(3*R*,3a*S*)-7-Bromo-8-fluoro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11an)



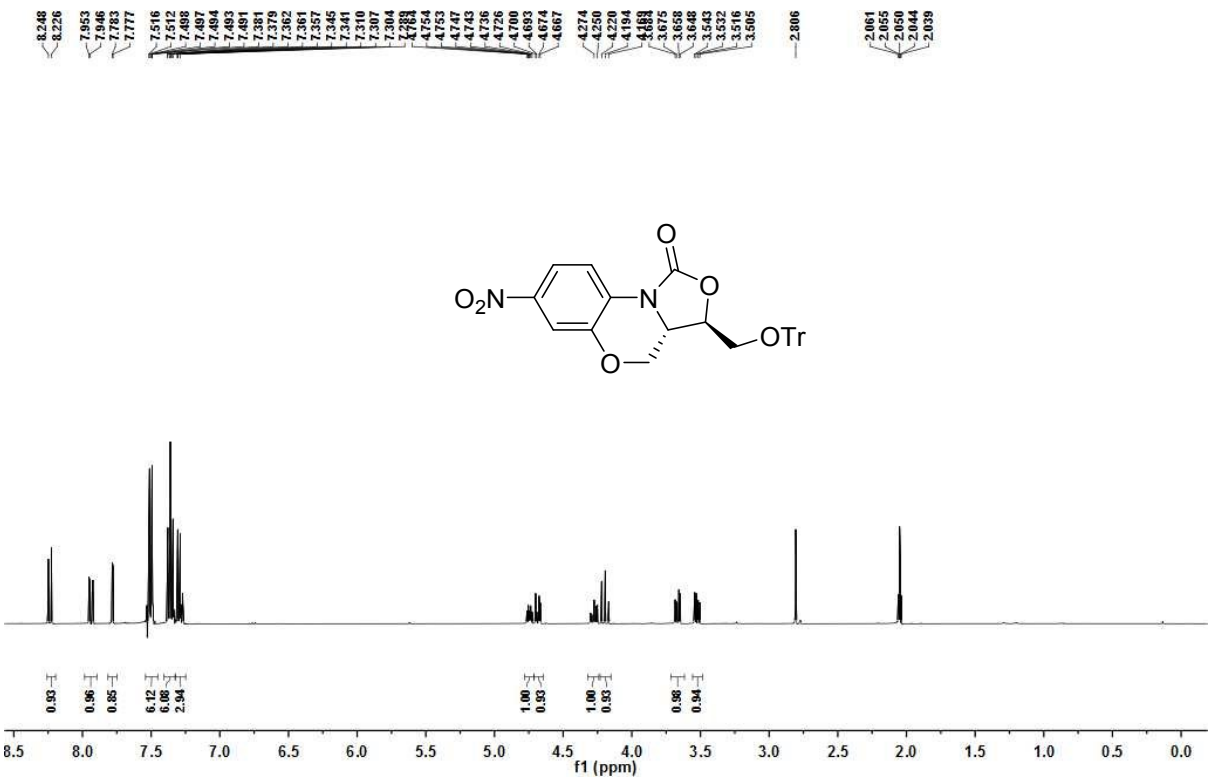
(3*R*,3a*S*)-8-Nitro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ao)



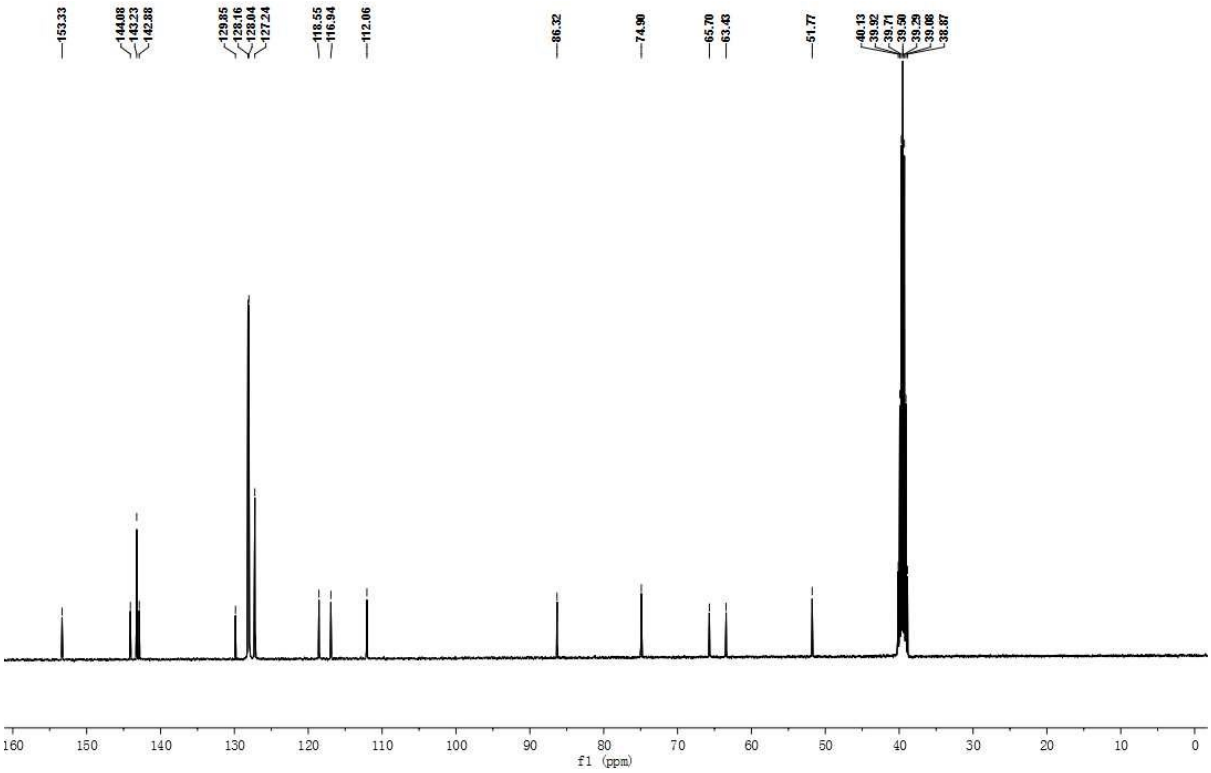
(3*R*,3a*S*)-8-Nitro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ao)



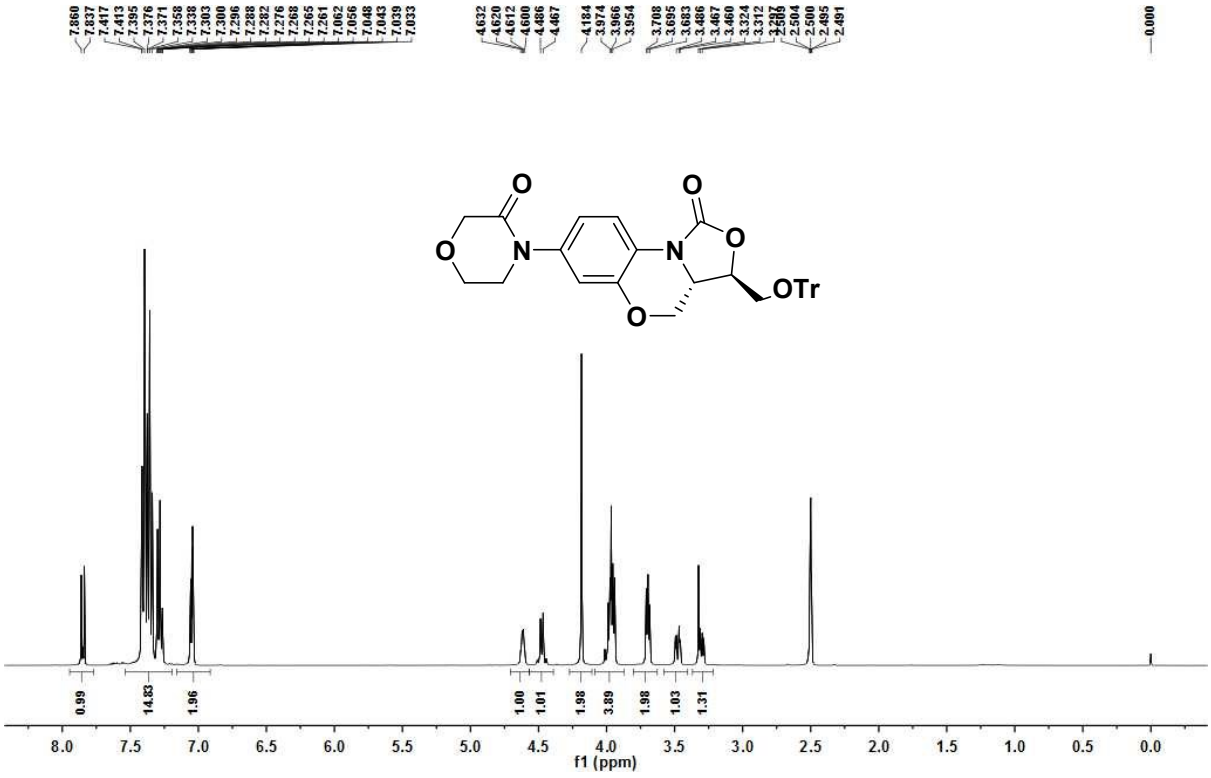
(3*R*,3a*S*)-7-Nitro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ap)



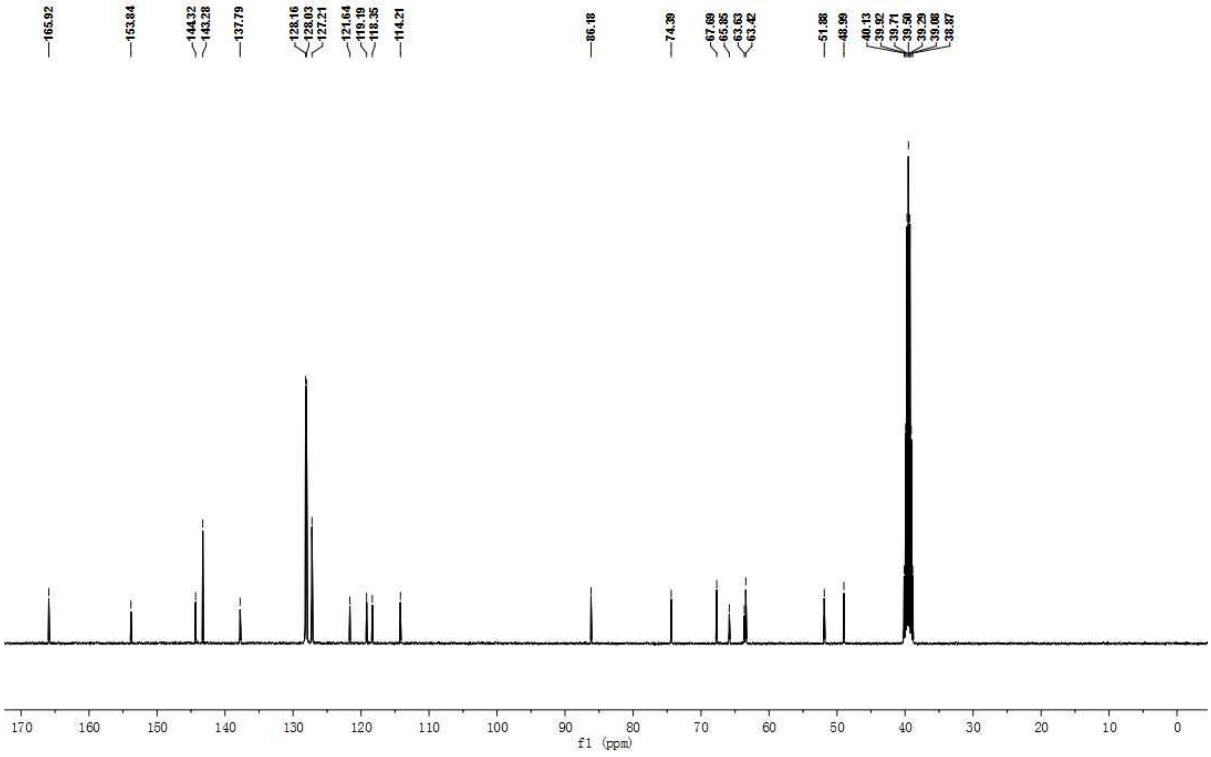
(3*R*,3a*S*)-7-Nitro-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11ap)



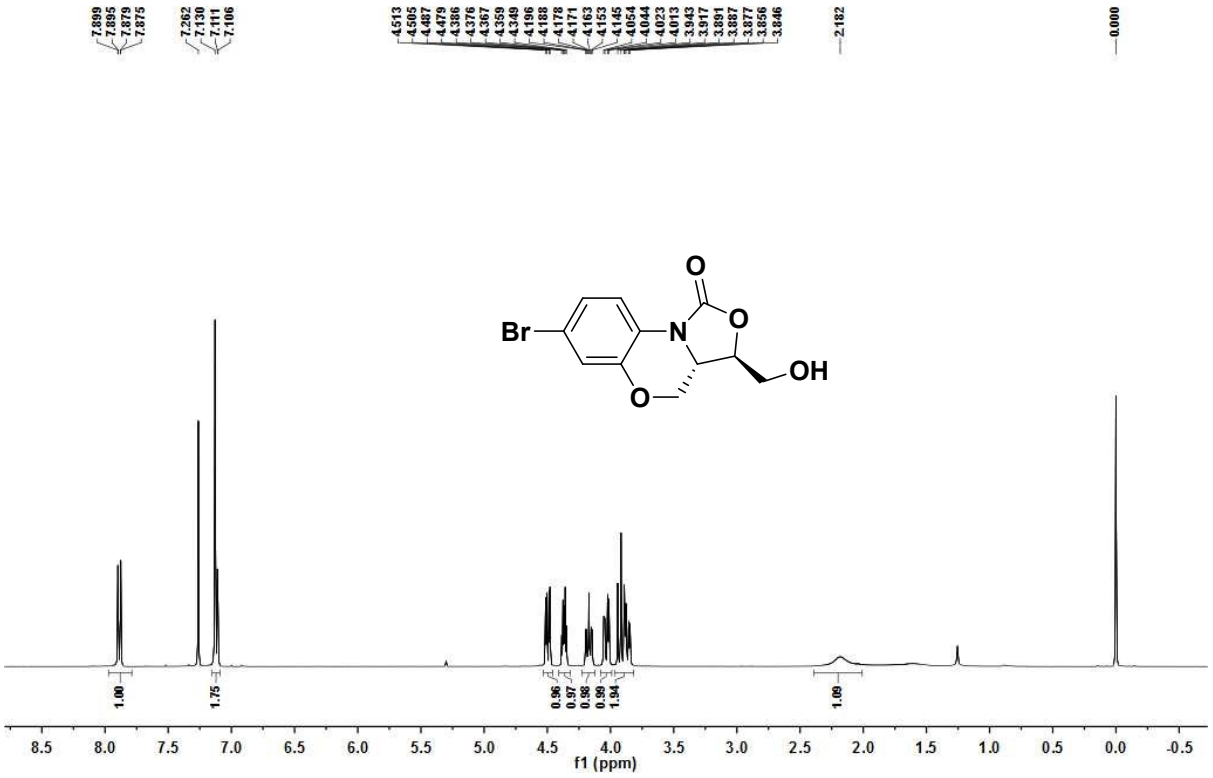
(3*R*,3a*S*)-7-(3-Oxomorpholino)-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11aq)



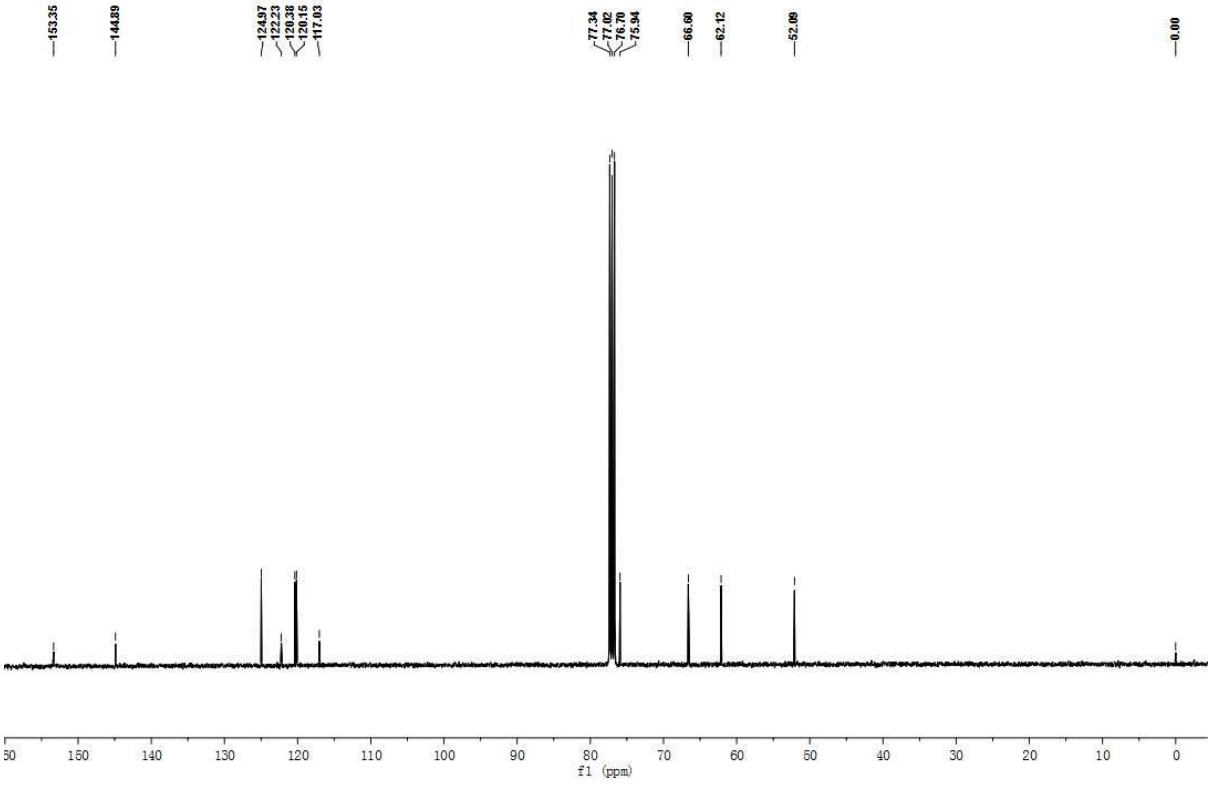
(3*R*,3a*S*)-7-(3-Oxomorpholino)-3-((trityloxy)methyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (11aq)



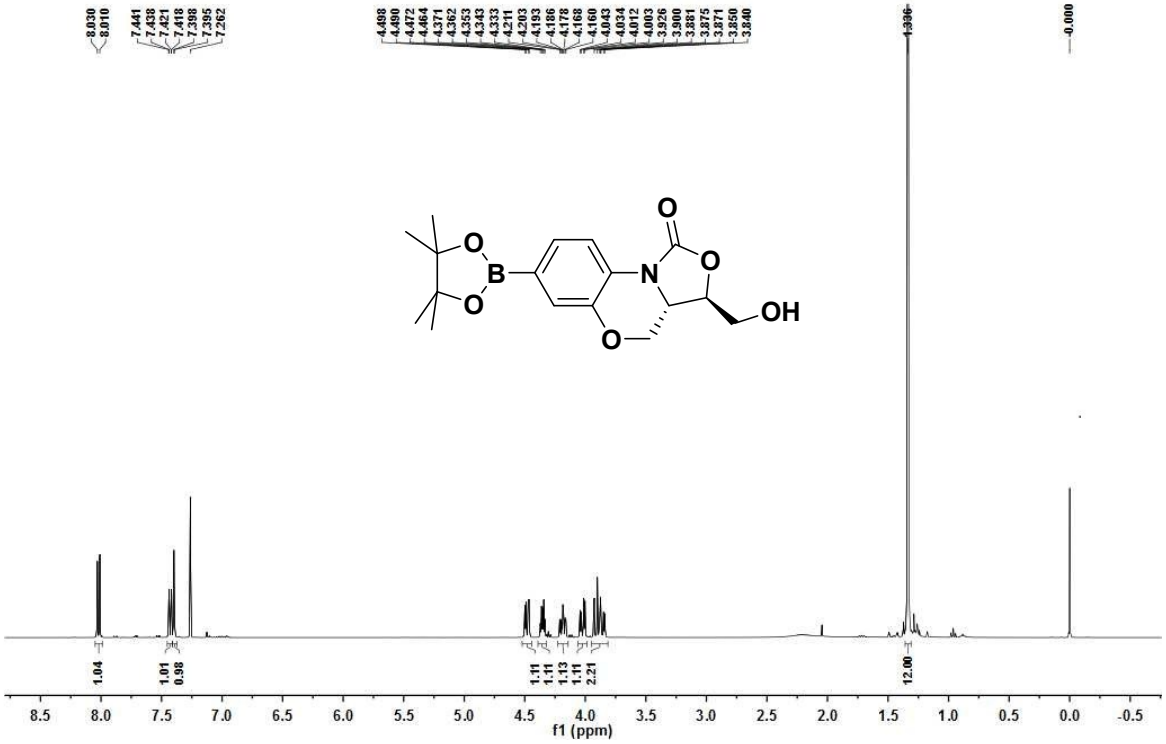
(3*R*,3a*S*)-7-Bromo-3-(hydroxymethyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (12)



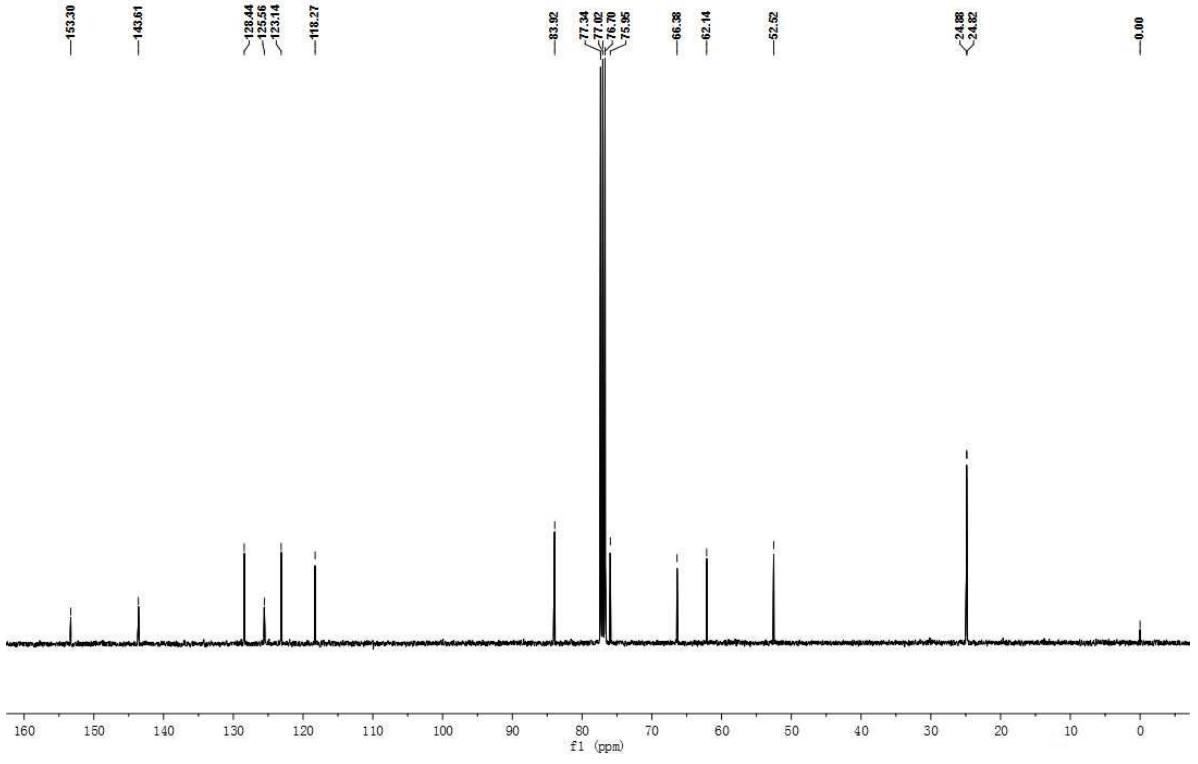
(3*R*,3a*S*)-7-Bromo-3-(hydroxymethyl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (12)



(3*R*,3a*S*)-3-(Hydroxymethyl)-7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (13)



(3*R*,3a*S*)-3-(Hydroxymethyl)-7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3a,4-dihydro-1*H*,3*H*-benzo[*b*]oxazolo[3,4-*d*][1,4]oxazin-1-one (13)



Chiral HPLC chromatograms

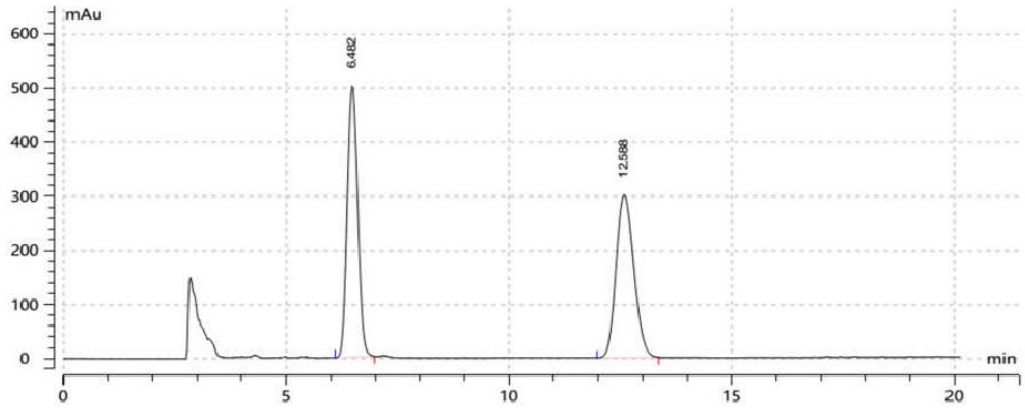
Sample Information

±-9a

OD-H (DAICEL, 5μm, 4.6mm φ×250 mmL)

Hexane-EtOH = 90: 10, 20°C, 215 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	6.482	8225.14119	0.435	0.259	501.638	49.585
2	12.588	8362.78191	0.728	0.436	301.143	50.415

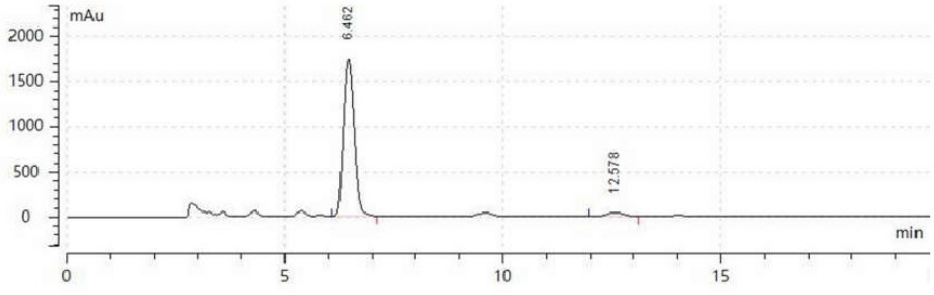
Sample Information

9a

OD-H (DAICEL, 5μm, 4.6mm φ×250 mmL)

Hexane-EtOH = 90: 10, 20°C, 215 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	6.462	30308.45037	0.453	0.274	1749.118	96.486
2	12.578	1103.70493	0.673	0.414	41.753	3.514

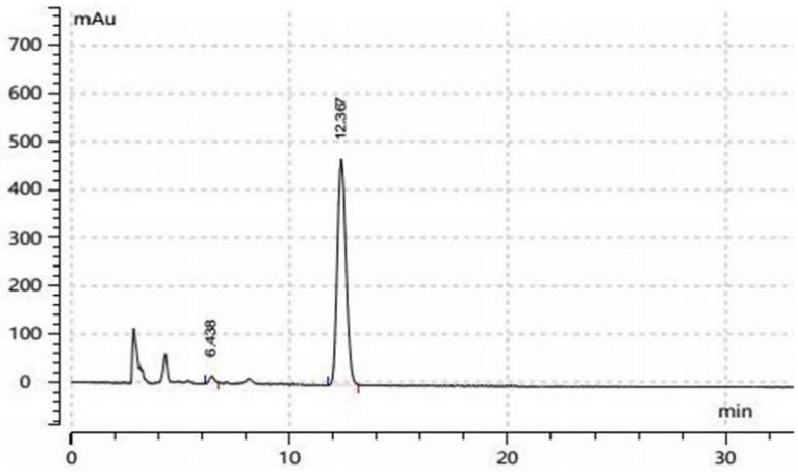
Sample Information

9b

OD-H (DAICEL, 5μm, 4.6mm φ×250 mmL)

Hexane-EtOH = 90: 10, 20°C, 215 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	6.438	207.95435	0.375	0.238	13.960	1.581
2	12.367	12947.79306	0.729	0.434	469.867	98.419

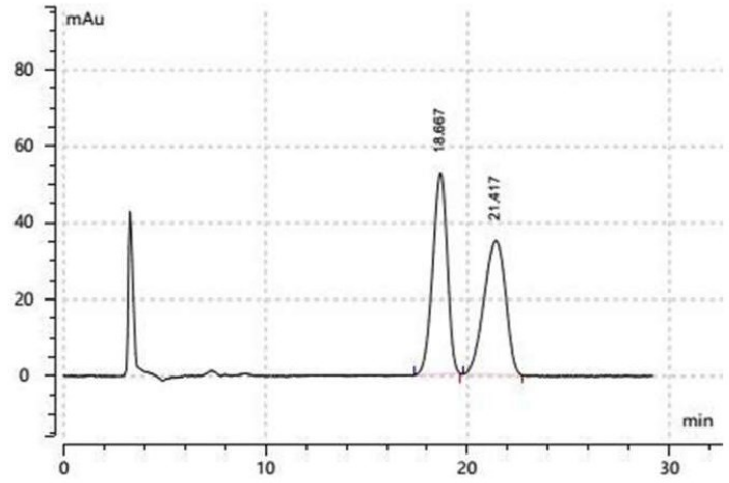
Sample Information

±-9c

OZ-H (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 97: 3, 20°C, 220 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	18.667	2614.44046	1.283	0.778	52.702	50.086
2	21.417	2605.42755	1.900	1.197	35.012	49.914

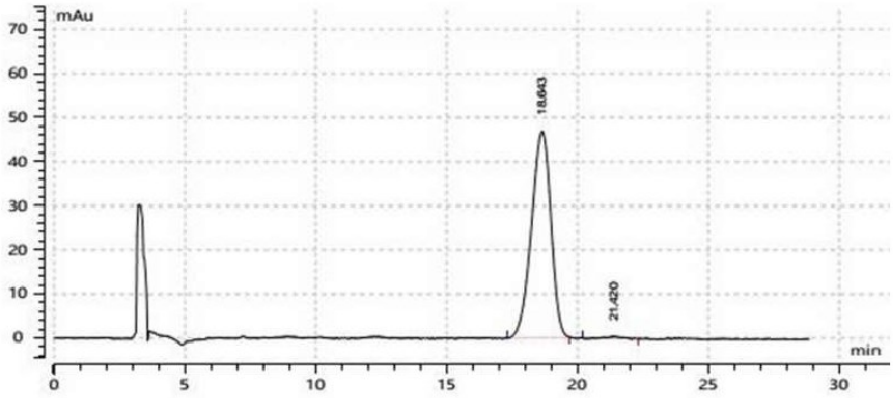
Sample Information

9c

OZ-H (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 97: 3, 20°C, 220 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	18.643	2413.67451	1.335	0.805	46.855	99.055
2	21.420	23.03367	1.221	0.936	0.441	0.945

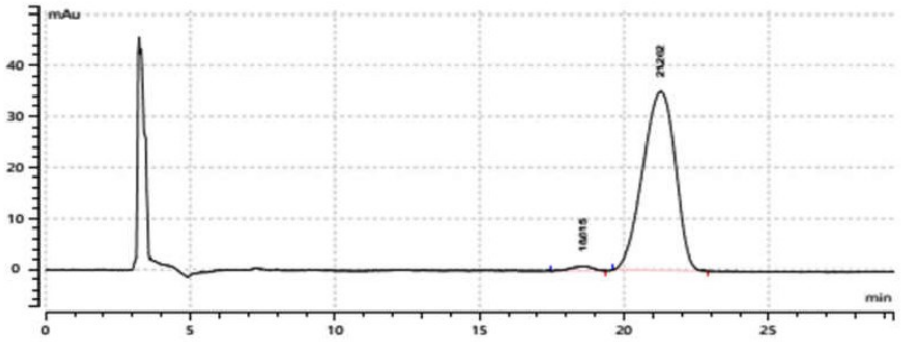
Sample Information

9d

OZ-H (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 97: 3, 20°C, 220 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	18.615	47.97189	0.739	0.839	0.948	1.725
2	21.262	2733.77338	2.006	1.259	35.010	98.275

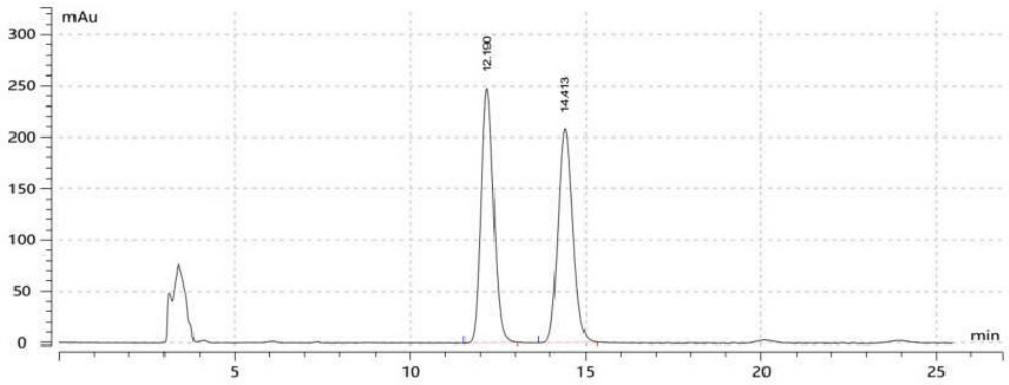
Sample Information

(±)-11aa

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 80: 20, 20°C, 220 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	12.190	6176.85106	0.652	0.385	248.084	50.069
2	14.413	6159.84264	0.775	0.459	208.179	49.931

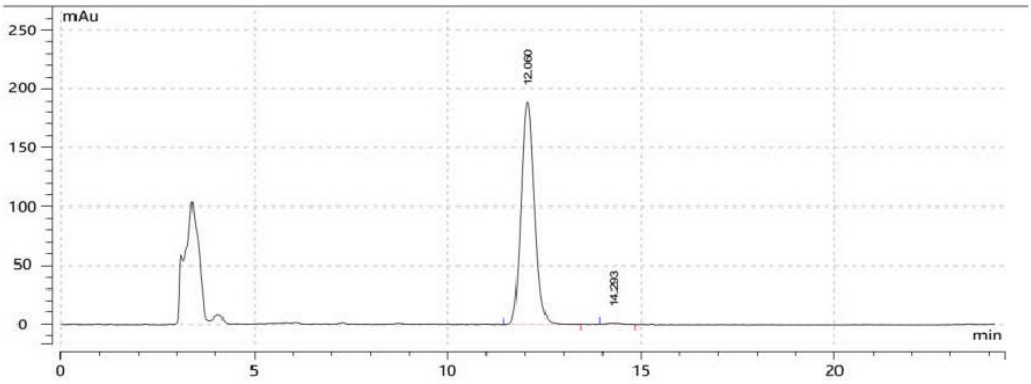
Sample Information

11aa

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 80: 20, 20°C, 220 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	12.060	4591.53863	0.632	0.373	189.539	99.461
2	14.293	24.90353	0.474	0.398	1.001	0.539

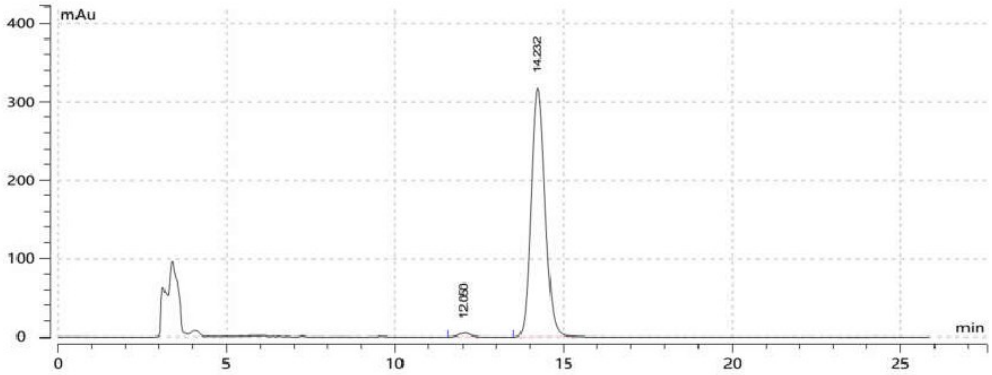
Sample Information

11b

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 80: 20, 20°C, 220 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	12.050	102.29614	0.549	0.357	4.569	1.105
2	14.232	9159.00405	0.759	0.448	316.739	98.895

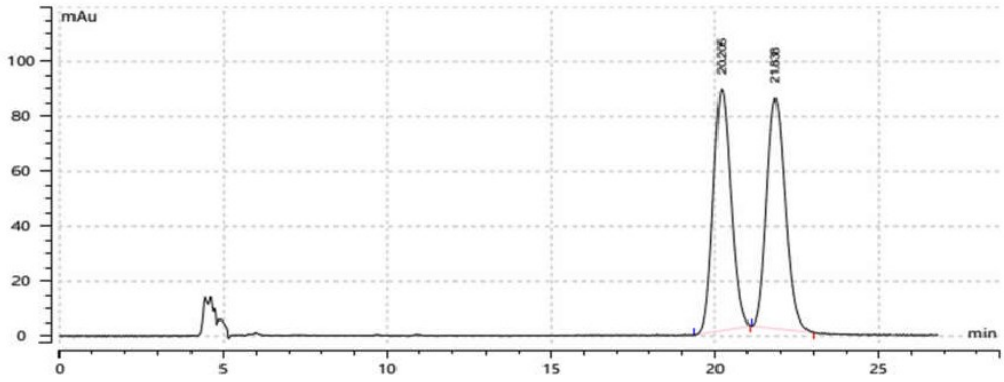
Sample Information

(±)-11c

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 85: 15, 20°C, 220 nm, 0.7 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	20.205	3320.10283	0.991	0.591	87.907	49.977
2	21.838	3323.22374	1.034	0.618	83.767	50.023

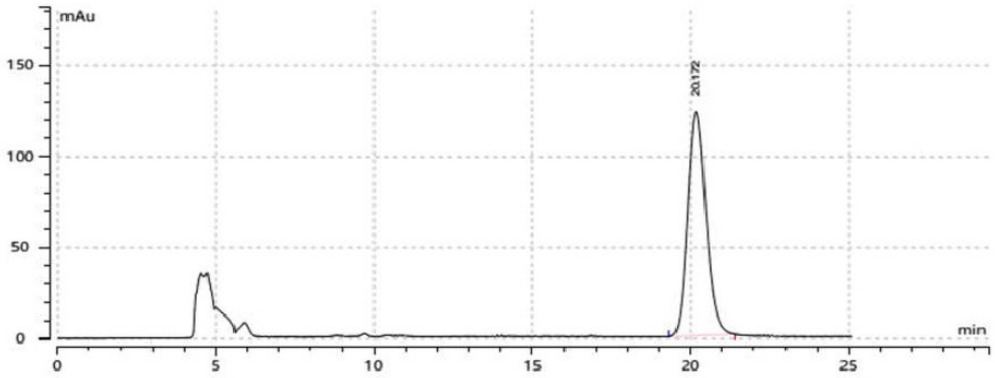
Sample Information

11c

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 85: 15, 20°C, 220 nm, 0.7 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	20.172	4945.22902	1.044	0.620	123.038	100.000
2						

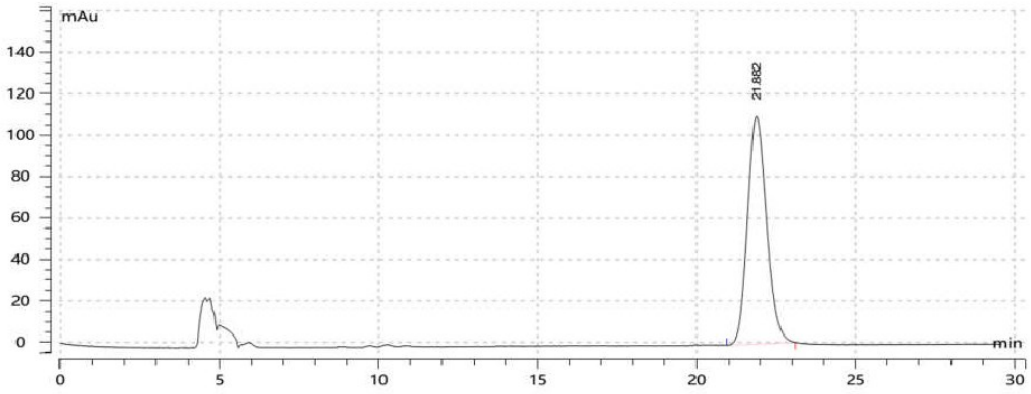
Sample Information

11d

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 85: 15, 20°C, 220 nm, 0.7 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1						
2	21.882	4618.01370	1.087	0.648	110.017	100.000

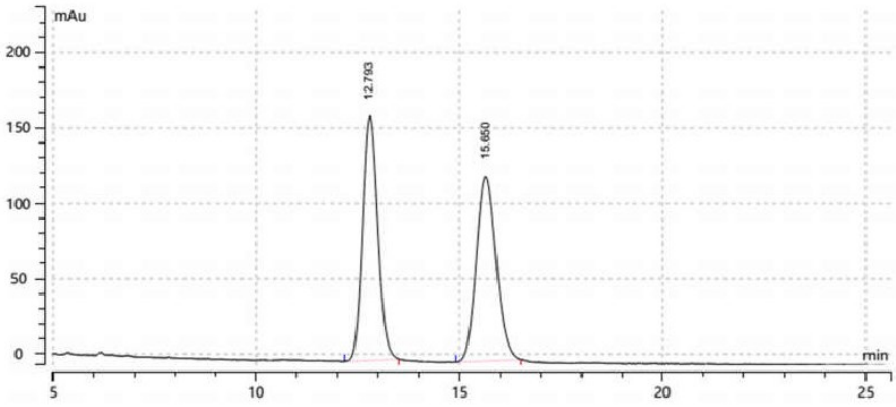
Sample Information

(±)-11ab

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 70: 30, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	12.793	4232.29984	0.685	0.404	162.248	50.253
2	15.650	4189.71569	0.887	0.530	122.476	49.747

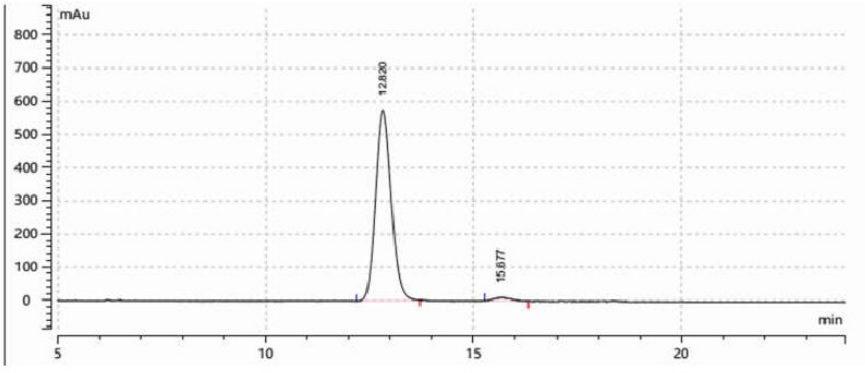
Sample Information

11ab

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 70: 30, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	12.820	15124.41427	0.689	0.407	573.155	98.089
2	15.677	294.71667	0.684	0.479	9.869	1.911

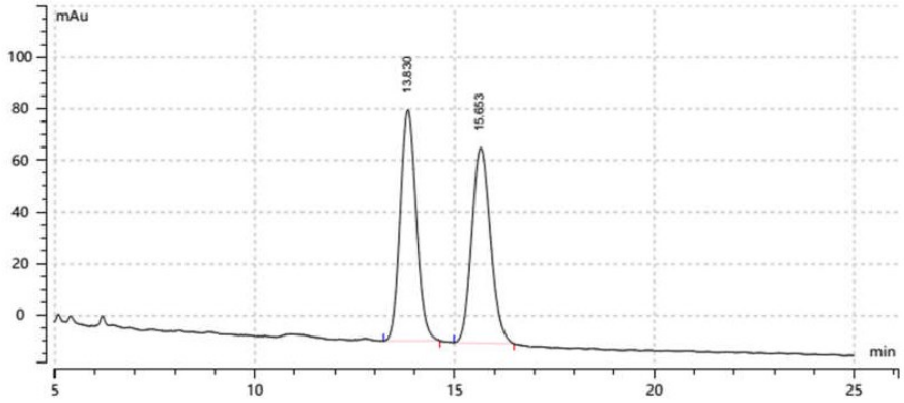
Sample Information

(±)-11ac

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 70: 30, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	13.830	2508.34163	0.728	0.433	89.546	50.411
2	15.653	2467.47632	0.838	0.506	75.728	49.589

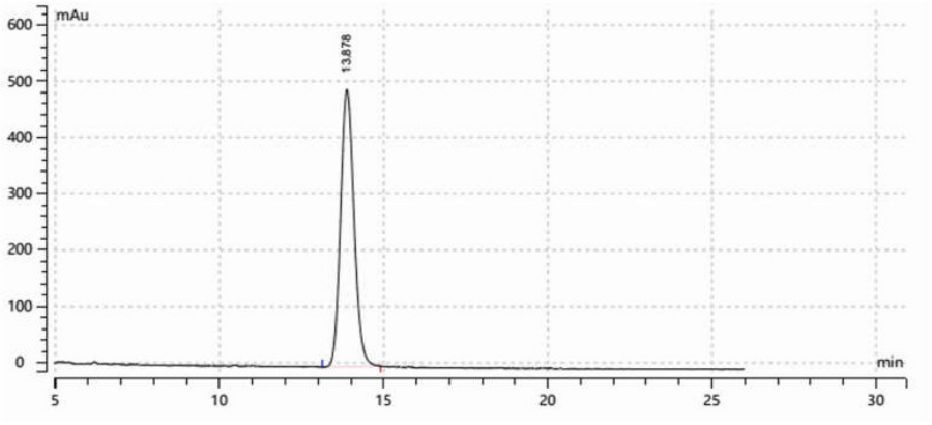
Sample Information

11ac

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 70: 30, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	13.878	14110.66185	0.751	0.442	492.553	100.000
2						

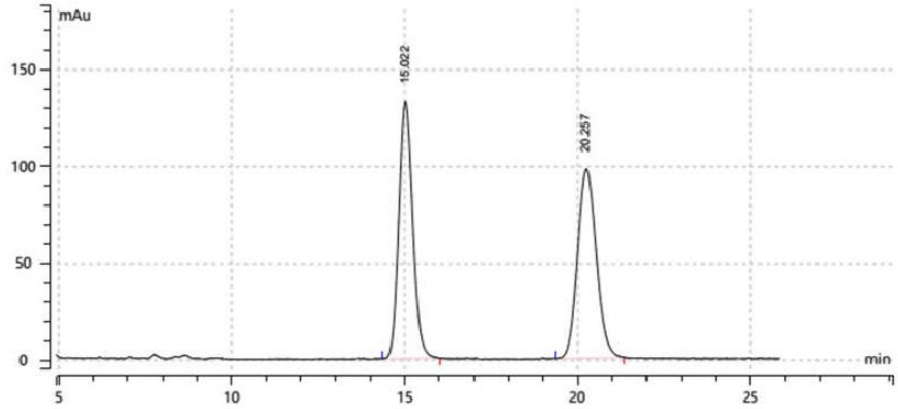
Sample Information

(±)-11ad

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 220 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	15.022	3695.81535	0.723	0.427	132.982	50.045
2	20.257	3689.12194	0.984	0.585	97.668	49.955

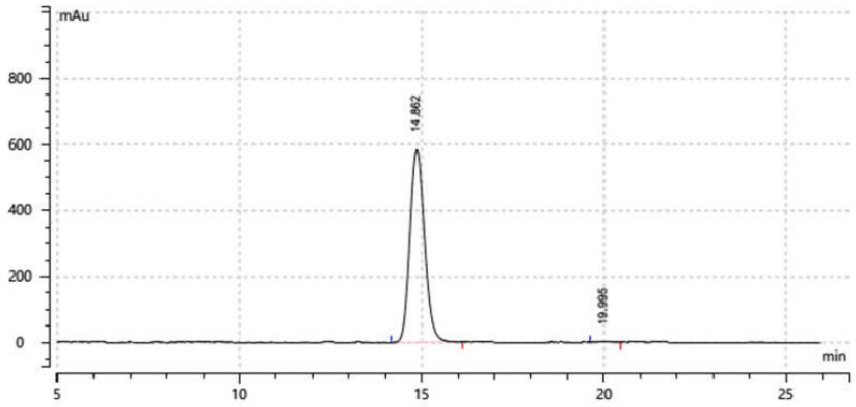
Sample Information

11ad

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 220 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	14.862	16350.38215	0.729	0.431	586.235	99.615
2	19.995	63.18269	0.661	0.474	2.233	0.385

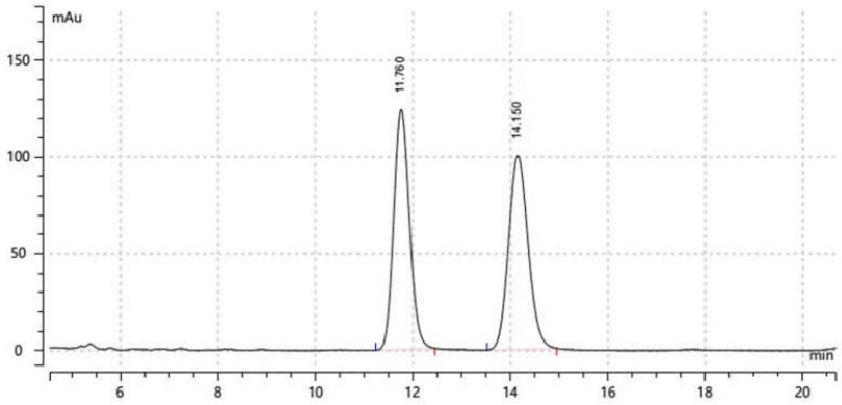
Sample Information

(±)-11ae

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 80: 20, 25°C, 220 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	11.760	2780.84082	0.589	0.347	123.986	49.950
2	14.150	2786.41100	0.729	0.432	99.981	50.050

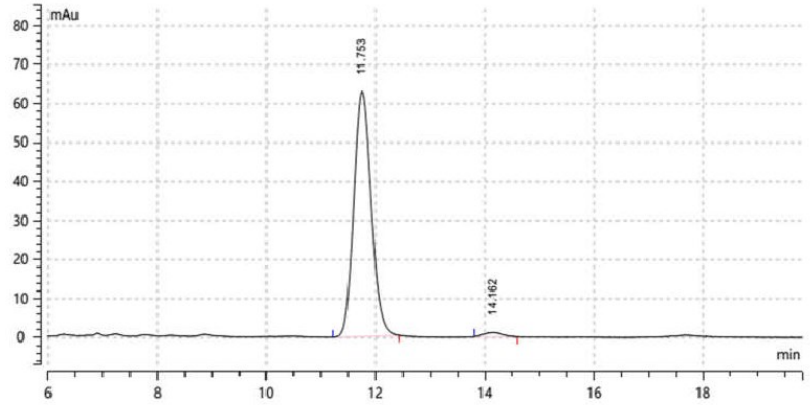
Sample Information

11ae

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 80: 20, 25°C, 220 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	11.753	1405.66545	0.580	0.345	62.873	98.147
2	14.162	26.53258	0.572	0.392	1.124	1.853

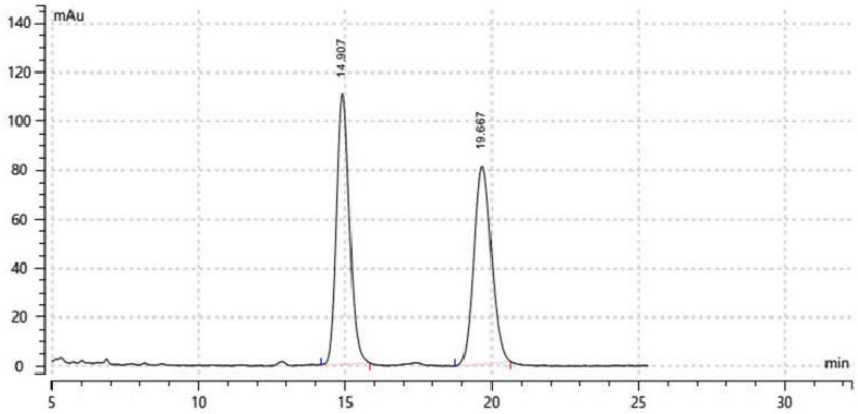
Sample Information

(±)-11af

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 80: 20, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	14.907	3444.62614	0.806	0.479	110.506	50.508
2	19.667	3375.36660	1.079	0.649	80.916	49.492

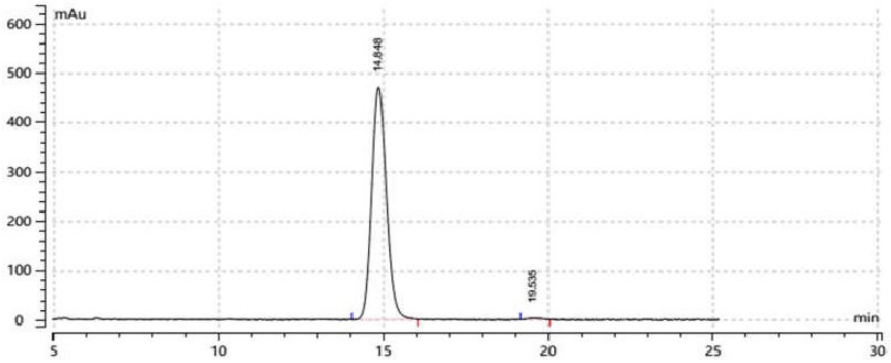
Sample Information

11af

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 80: 20, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	14.848	14512.86705	0.802	0.474	470.493	99.511
2	19.535	71.29664	0.705	0.537	2.332	0.489

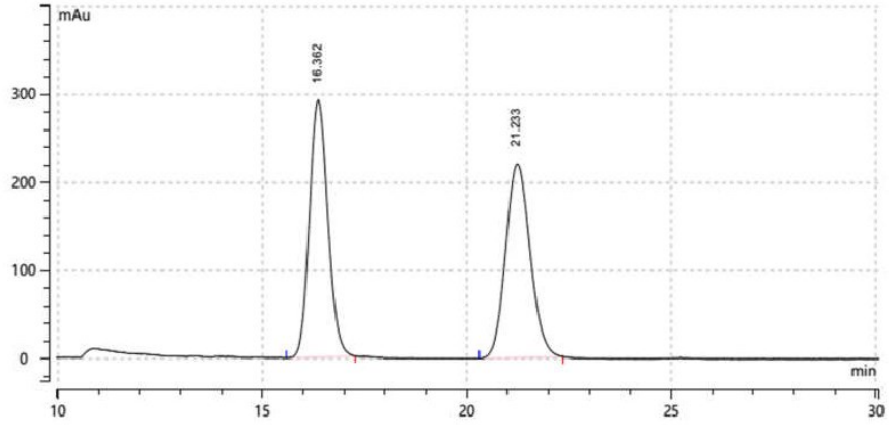
Sample Information

(±)-11ag

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	16.362	9180.35579	0.824	0.487	292.073	50.015
2	21.233	9174.98793	1.085	0.644	220.523	49.985

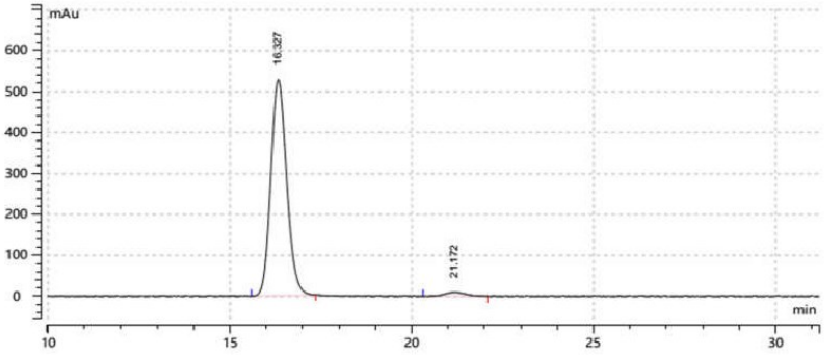
Sample Information

11ag

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	16.327	16012.96102	0.795	0.468	527.761	97.610
2	21.172	392.05509	0.949	0.637	9.462	2.390

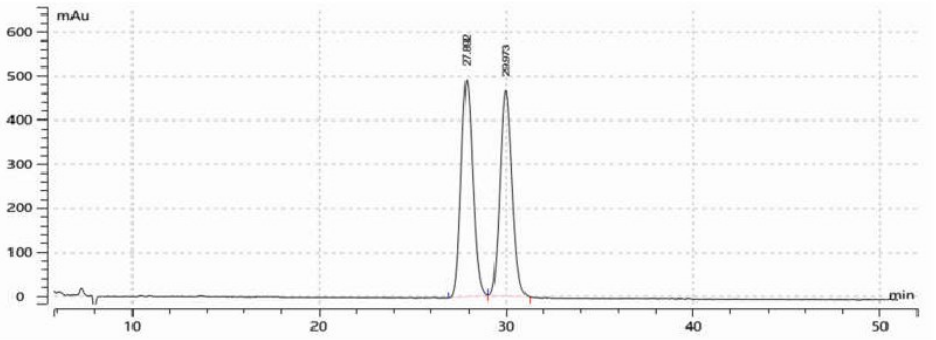
Sample Information

(±)-11ah

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 210 nm, 0.6 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	27.892	21268.83800	1.133	0.670	492.776	50.080
2	29.973	21200.58614	1.184	0.707	466.531	49.920

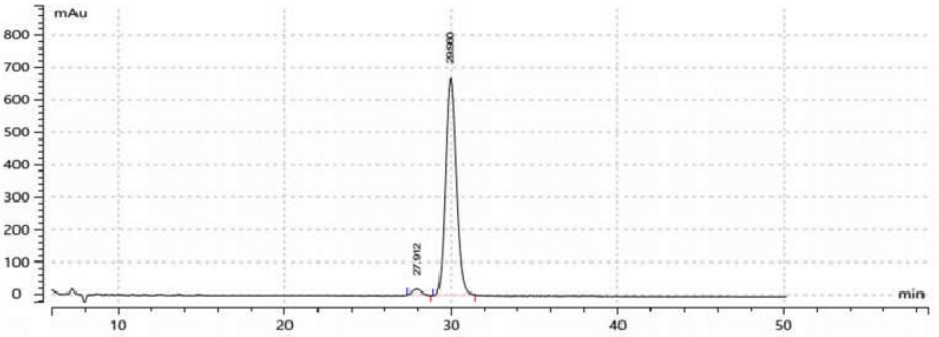
Sample Information

11ah

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 210 nm, 0.6 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	27.912	696.59173	0.921	0.627	17.906	2.212
2	29.960	30791.64559	1.199	0.712	669.894	97.788

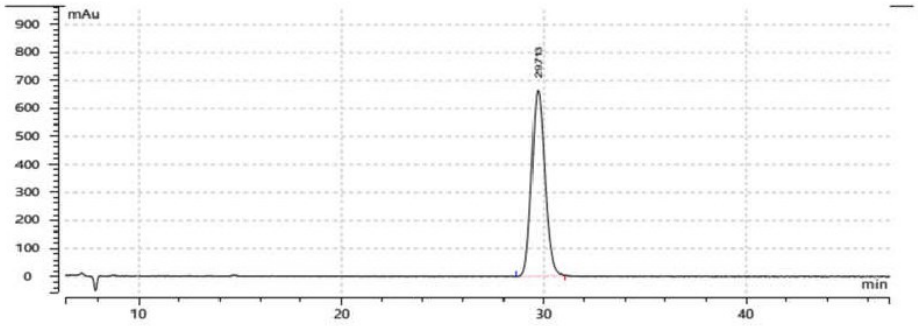
Sample Information

11ah (Gram-scale)

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 210 nm, 0.6 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	29.713	30263.79797	1.190	0.708	663.094	100.000
2						

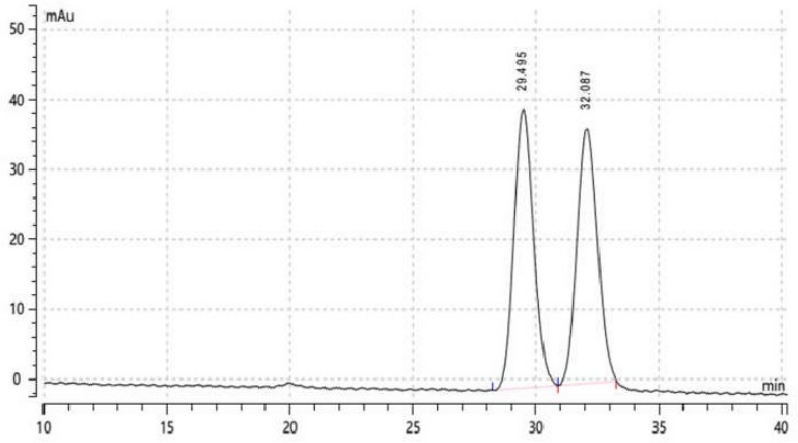
Sample Information

(±)-11ai

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 95: 5, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	29.495	2219.44961	1.338	0.865	39.887	51.061
2	32.087	2127.24955	1.345	0.923	36.550	48.939

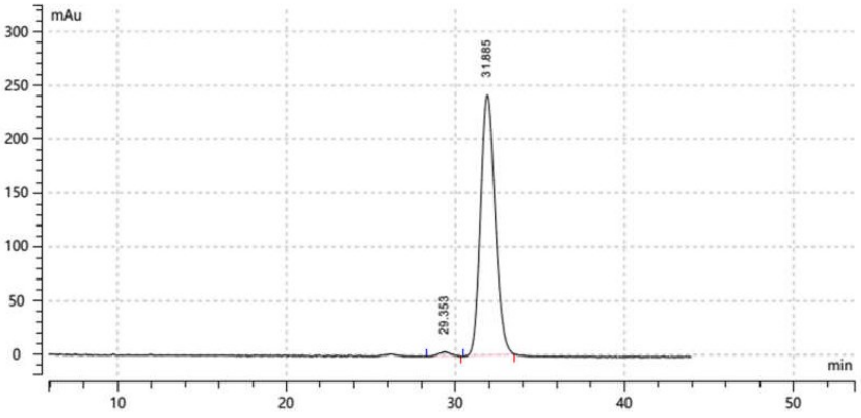
Sample Information

11ai

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 95: 5, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	29.353	201.32995	0.968	0.845	3.804	1.377
2	31.885	14419.47318	1.550	0.927	241.563	98.623

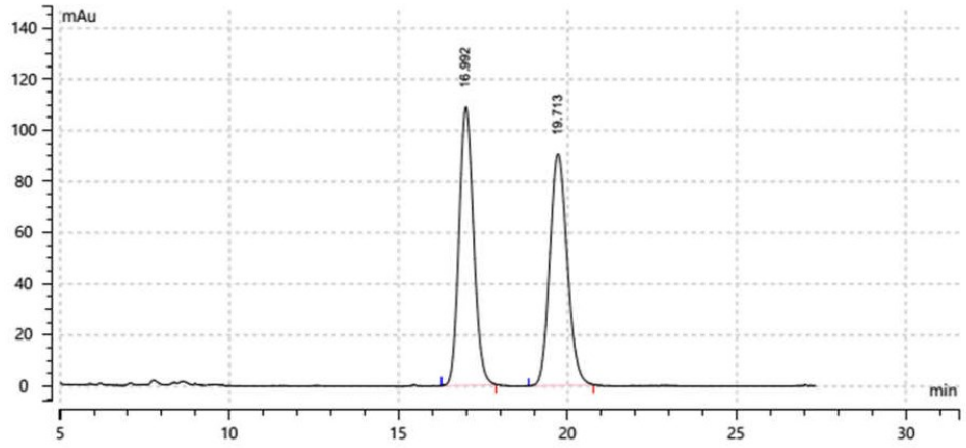
Sample Information

(±)-11aj

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 220 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	16.992	3251.40872	0.778	0.462	108.951	50.029
2	19.713	3247.61003	0.933	0.555	90.526	49.971

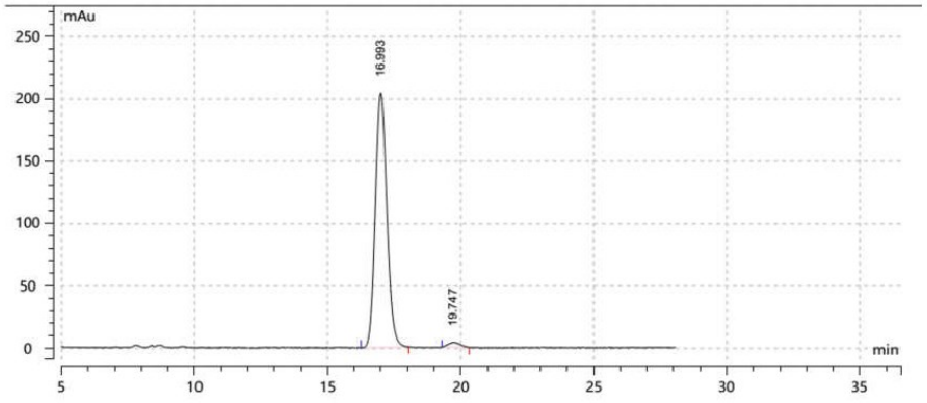
Sample Information

11aj

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 220 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	16.993	6159.06957	0.787	0.465	204.409	98.176
2	19.747	114.40679	0.765	0.509	3.654	1.824

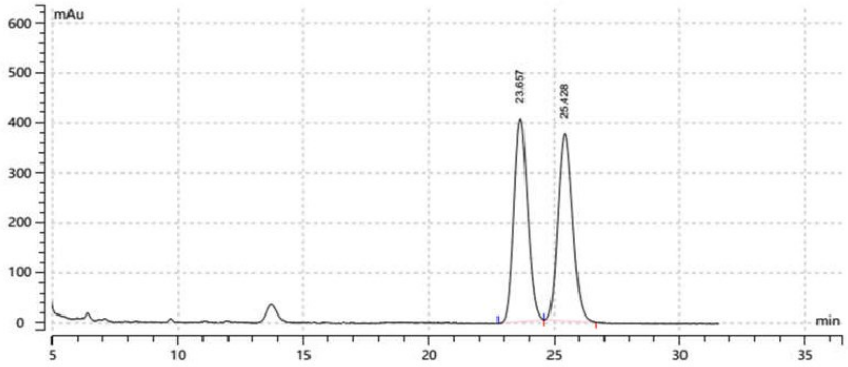
Sample Information

(±)-11ak

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 210 nm, 0.7 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	23.657	15315.99698	0.990	0.589	406.423	49.967
2	25.428	15335.94947	1.079	0.639	374.025	50.033

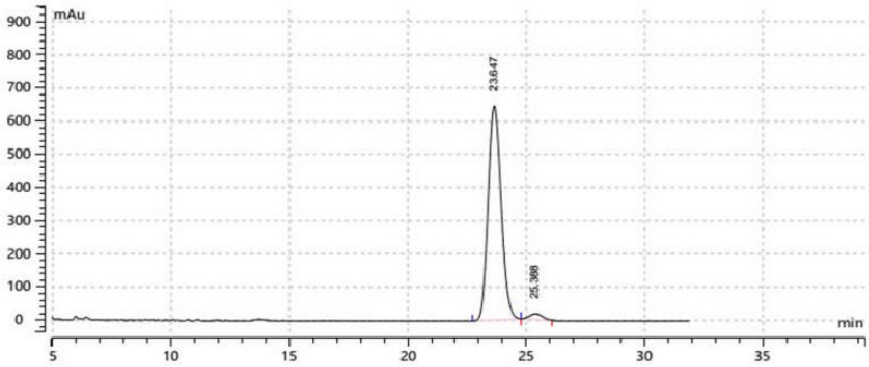
Sample Information

11ak

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 210 nm, 0.7 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	23.647	24658.23035	1.001	0.596	645.734	97.535
2	25.388	623.18974	0.918	0.609	16.739	2.465

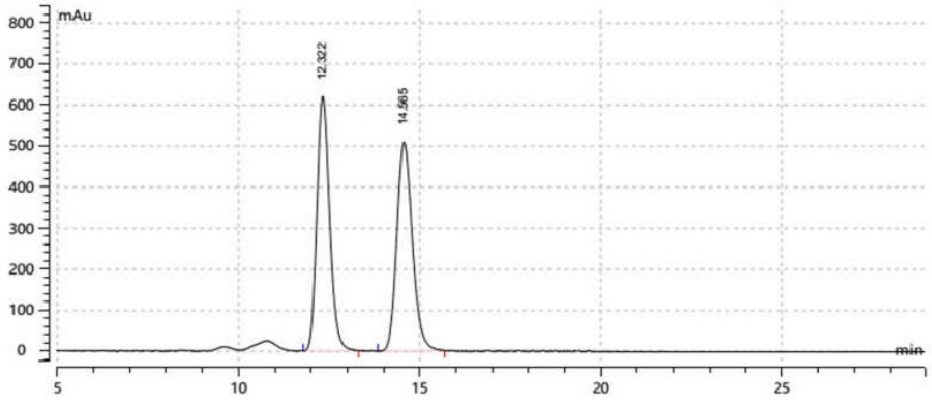
Sample Information

(±)-11al

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	12.322	15140.02076	0.635	0.373	620.220	49.943
2	14.565	15174.63340	0.774	0.455	509.223	50.057

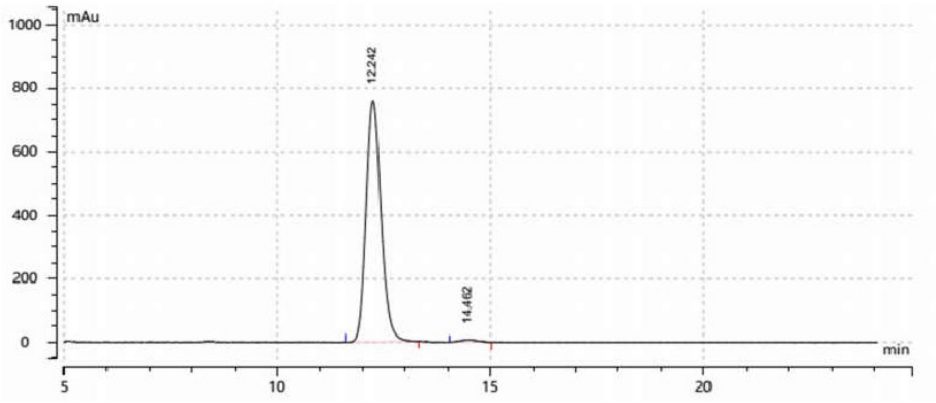
Sample Information

11al

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	12.242	18636.33262	0.635	0.373	761.912	98.946
2	14.462	198.47831	0.587	0.431	7.313	1.054

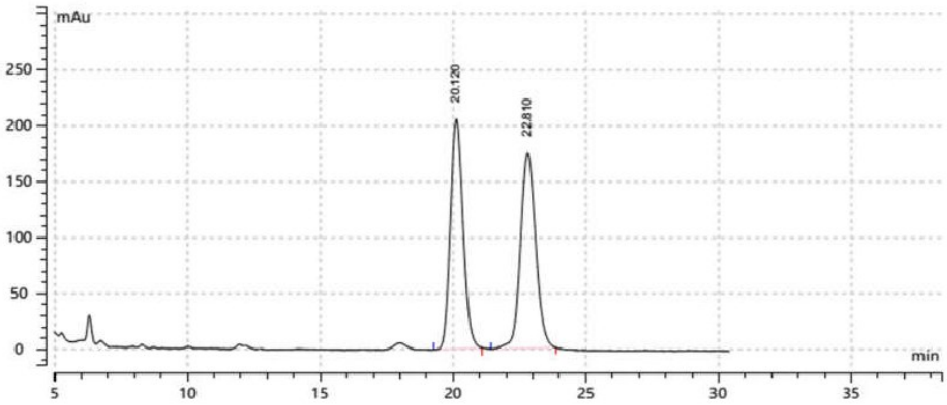
Sample Information

(±)-11am

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 210 nm, 0.7 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	20.120	6849.34025	0.868	0.514	205.766	49.696
2	22.810	6933.24522	1.016	0.603	174.686	50.304

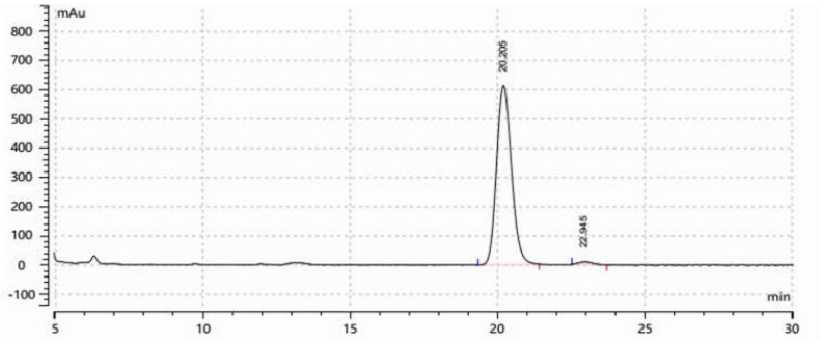
Sample Information

11am

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 90: 10, 25°C, 210 nm, 0.7 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	20.205	21384.02187	0.912	0.541	611.996	98.594
2	22.945	304.96892	0.800 6	0.546	9.023	1.40

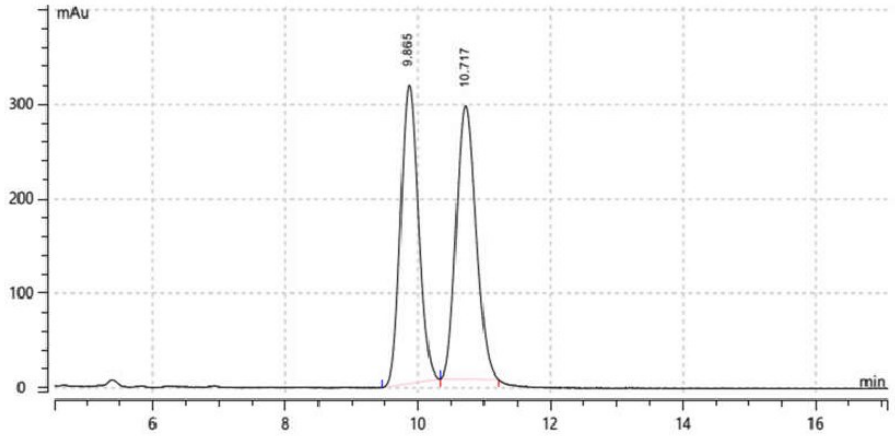
Sample Information

(±)-11an

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 80: 20, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	9.865	5908.62473	0.494	0.293	315.642	49.391
2	10.717	6054.28361	0.556	0.328	289.376	50.609

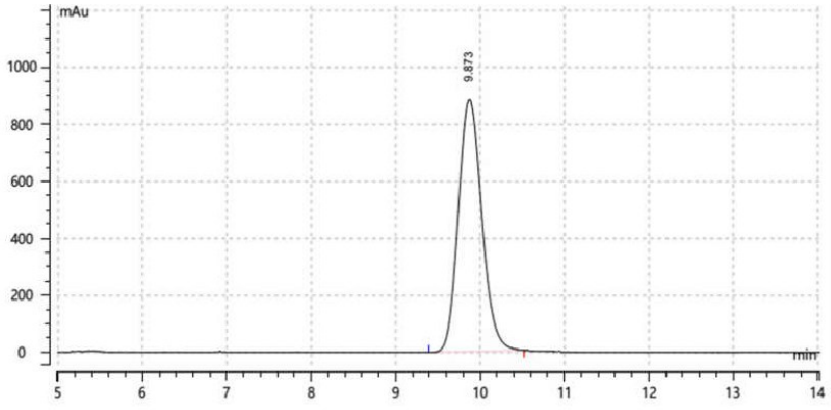
Sample Information

11an

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 80: 20, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	9.873	17093.02622	0.507	0.299	885.321	100.000
2						

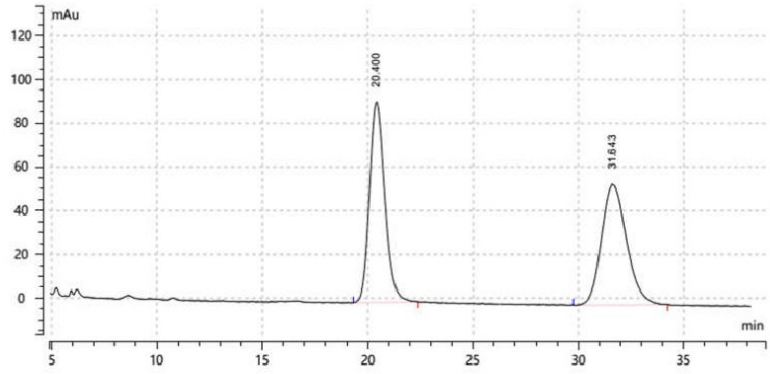
Sample Information

(±)-11ao

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 60: 40, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	20.400	4682.75068	1.278	0.777	91.684	50.524
2	31.643	4585.54952	1.921	1.276	55.271	49.476

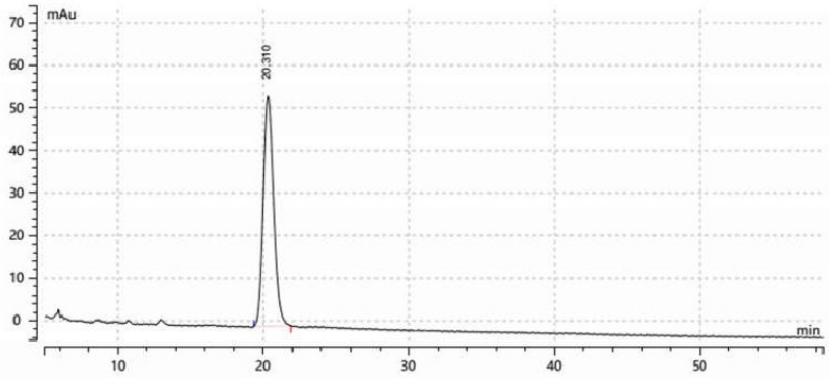
Sample Information

11ao

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 60: 40, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	20.310	2696.52909	1.237	0.765	54.249	100.000
2						

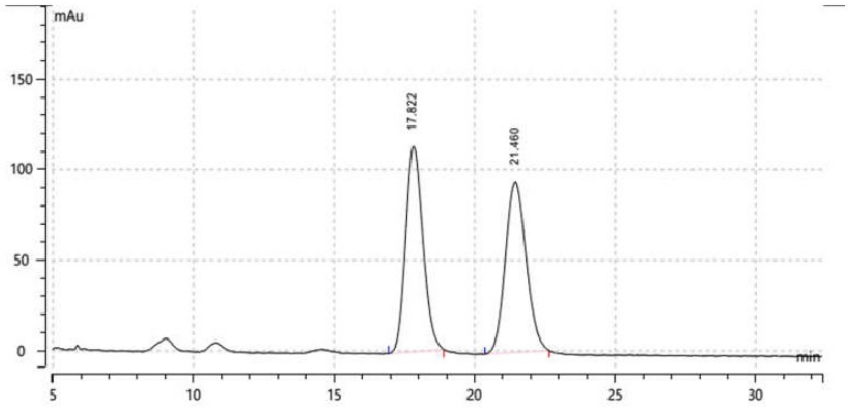
Sample Information

(±)-11ap

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 60: 40, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	17.822	4851.81959	1.109	0.665	113.388	49.994
2	21.460	4853.00787	1.304	0.802	94.245	50.006

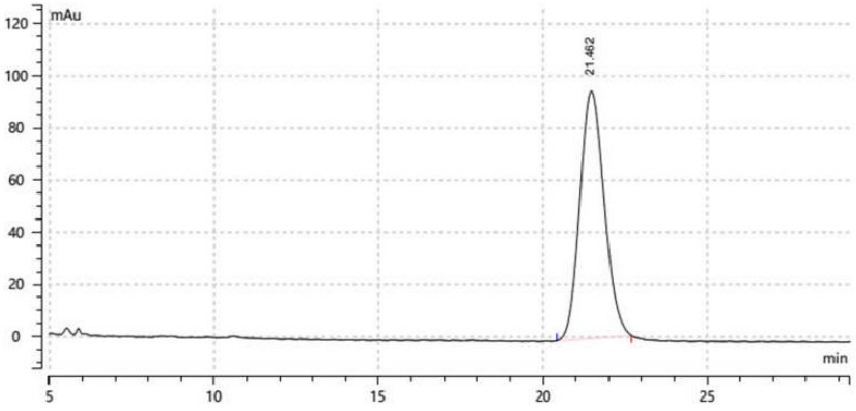
Sample Information

11ap

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ isopropanol = 60: 40, 25°C, 210 nm, 1 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	21.462	4900.56017	1.314	0.805	94.822	100.000
2						

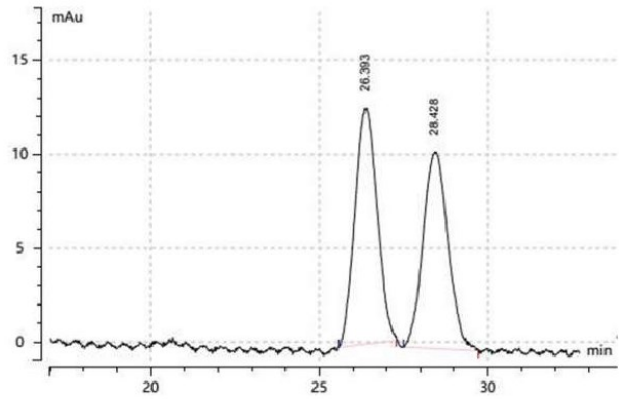
Sample Information

(±)-11aq

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ ethyl acetate = 50: 50, 25°C, 254 nm, 0.5 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	26.393	573.81739	1.136	0.729	12.598	51.546
2	28.428	539.40163	1.115	0.797	10.489	48.454

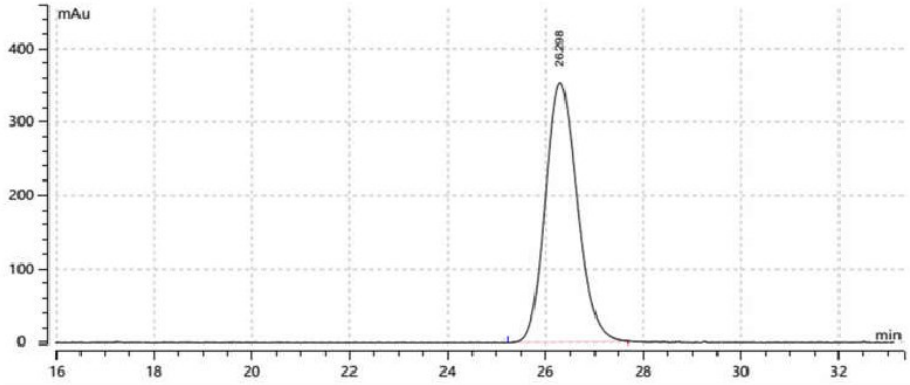
Sample Information

11aq

IC (DAICEL, 5μm, 4.6mm φ×250 mmL)

hexane/ ethyl acetate = 50: 50, 25°C, 254 nm, 0.5 mL/min, 20μL

Chromatogram



Peak Table

Peak#	Rt (min)	A (mAu*s)	W (min)	$W_{1/2}$ (min)	H (mAu)	A%
1	26.298	16059.74770	1.187	0.703	353.209	100.000
2						

References

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2. S.-H. Chen, Z.-Z. Ding, G.-L. Gong, X.-B. Yan, W. Huang, F. Guo, B.-L. Duan, R.-L. Gao, P.-F. Zhou, X.-H. Lu and G.-M. Dong, New Drug Research and Development Co., Ltd. of North China Pharmaceutical Corporation, *CN* Pat., 105503903B, 2019.

3. S. K. Sythana, S. R. Naramreddy, S. Kavitake, C. V. Kumar and P. R. Bhagat, *Org. Process Res. Dev.* 2014, **18**, 912-918.