

Supporting Online Material for

Oxidative Coupling of Enolates by Memory of Chirality: an Original Enantioselective Synthesis of Quaternary α -Amino Acid Derivatives.

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I- General information

Unless otherwise stated, all reactions were conducted in dried (under vacuum) flask and under an atmosphere of dry argon gas. DMPU and TMEDA were distilled over CaH_2 under argon. THF was distilled over sodium/benzophenone under argon. Acetone was purchased with water < 50 ppm. All other reagents were used as received. Potassium bis(trimethylsilyl)amide was used as commercial THF solution (1.0 M). Flash chromatography was performed on 60M silica (0,040-0,063 mm).

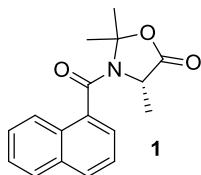
Infrared spectra were recorded on ATER-FT-IR spectrophotometer. ^1H NMR spectra were measured at 250, 300, or 360 MHz using CDCl_3 , D_2O or DMSO-d_6 as solvent. Chemical shifts are reported in δ units to 0.01 ppm precision with coupling constants reported to 0.1 Hz precision using residual solvent as an internal reference. Multiplicities are reported as follows: s = singlet, d = doublet, t = triplet, m = multiplet, q = quadruplet, bs = broad singlet. ^{13}C NMR spectra were measured at 62.5, 75 or 90 MHz using CDCl_3 as solvent. Chemical shifts are reported in δ units to 0.1 ppm precision using residual solvent as an internal reference. Mass spectra were measured on a MAT95S Finnigan-Thermo spectrometer at the Institut de Chimie Moléculaire et des Matériaux (ICMMO) Mass Spectrometry Laboratory. HPLC analyses were conducted on Dionex instrument (Ultimate 3000) in our laboratory. This instrument is principally composed of gradient pump, Peltier effect column oven and Diodes array detector. HPLC conditions were determined by injection of racemic. All enantiomeric excesses were determined by normal phase HPLC analyses with four different chiral stationary phase columns:

- Column Chiralpack AD-H (250 mm x 4.6 mm id); particules size : 5 μm
- Column Régis Type 'Pirkle', (S,S) Whelk-O 1 (250 mm x 4.6 mm id); particules size : 5 μm
- Column Chiralpack IC (250 mm x 4.6 mm id); particules size : 5 μm
- Column Chiralpack IF-3 (250 mm x 4.6 mm id); particules size : 5 μm

Notes: all the experimental procedures listed below are applied to both racemic and enantiomerically pure material. Only the preparations of chiral compounds are reported. For all the compounds, there exist at least two conformers and these conformers are reported for the ^1H NMR as major (M) and the minor (m). For simplicity, this notation is excluded for the carbon interpretation. To prove that there are really conformers and not atropoisomers, we performed Variable-Temperature NMR (VT-NMR), see part VI (page S44).

II- Procedures and characterisation

Preparation of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (**1**) :



To a suspension of sodium (*S*)-2-aminopropanoate (1 equiv., 54.02 mmol, 6.000 g) and molecular sieves 3 Å (activated in oven and then under high vacuum) in dry acetone (150 mL) at 0 °C under argon was slowly added trimethylaluminum (1 equiv., 54.02 mmol, 27 mL of a 2.0 M solution in pentane). The reaction mixture was stirred for 10 minutes at 0 °C and 15 h at room temperature. 1-naphthoyl chloride (1.1 equiv., 59.59 mmol, 9 mL) was added. The reaction mixture was stirred for 2 h at room temperature. The final mixture was filtered on silica and washed with 500 mL of a Petroleum ether/AcOEt mixture (20/80). After solvent evaporation, the crude product was obtained (10.120 g) and purified by flash chromatography (cyclohexane/AcOEt : 80/20 + 1% NEt₃) and (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (6.000 g, Yield= 51 %, ee >99 %) was obtained as a white powder.

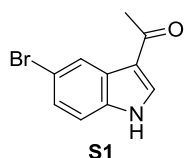
¹H-NMR (300 MHz, 298 K, CDCl₃) (δ, ppm) : 0.96 (s, 3H), 2.00 (bs, 3H), 2.05 (bs, 3H), 4.19 (bs, 1H), 7.46-7.59 (m, 4H), 7.80-7.94 (m, 3H).

HPLC analysis : Column Chiralpack AD-H, hexane/ethanol : 95/05, 1 mL/min, T=25 °C, λ=281 nm; retention time of racemic (min.) : 28.4 (*S*), 31.3 (*R*).

These data were similar to those reported in the literature^[1].

General method for synthesis of indole derivative for the oxidative coupling reaction :

Preparation of 1-(5-bromo-1*H*-indol-3-yl)ethanone (**S1**) :

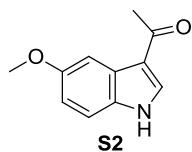


To a solution of 5-bromo-1*H*-indole (1 equiv., 5.13 mmol 1.000 g) dissolved in anhydrous DCM (40 mL) was slowly added SnCl₄ (1.2 equiv., 6.16 mmol, 6.2 mL of a 1.0 M solution in DCM) at 0 °C. The reaction mixture was stirred at 0 °C for 30 minutes. Then acetic anhydride (1 equiv., 5.13 mmol, 0.5 mL) and MeNO₂ (10 mL) were added successively. The reaction mixture was stirred for 15 h at room temperature. The reaction was quenched with cold water (20 mL) and filtered. The filtrate was extracted with AcOEt (2x100 mL). Organic layers were combined, dried with MgSO₄ and filtered. After evaporation of the solvents, the crude product was obtained (4.321 g). After flash chromatography (Cyclohexane/AcOEt : 50/50) 1-(5-bromo-1*H*-indol-3-yl)ethanone (1.147 g, Yield=95 %) was obtained as a white powder.

¹H-NMR (300 MHz, 298 K, CDCl₃) (δ, ppm) : 2.03 (s, 3H), 6.83-5.92 (m, 2H), 7.70 (s, 1H), 7.89 (bs, 1H).

These data were similar to those reported in the literature^[2].

Preparation of 1-(5-methoxy-1*H*-indol-3-yl)ethanone (S2) :

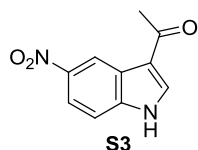


To a solution of 5-methoxy-1*H*-indole (1 equiv., 6.79 mmol, 1.000 g) dissolved in anhydrous DCM (30 mL) was slowly added Me₂AlCl (2.0 equiv., 13.58 mmol, 13.6 mL of a 1.0 M solution in pentane) at 0 °C. The reaction mixture was stirred at 0 °C for 30 minutes. Acetyl chloride (2.0 equiv., 13.6 mmol, 1.36 mL of a 1.0 M solution in DCM) was added dropwise. The reaction mixture was stirred for 15 h at room temperature. The reaction was quenched with NaHCO₃ until pH 7. The aqueous layer was extracted with AcOEt (2x100 mL). Organic layers were combined, dried with MgSO₄ and filtered. After evaporation of the solvents, the crude product was obtained (4.321 g). After flash chromatography (Cyclohexane/AcOEt : 50/50) 1-(5-methoxy-1*H*-indol-3-yl)ethanone (913 mg, Yield=71 %) was obtained as a white powder.

¹H-NMR (250 MHz, 298 K, CDCl₃) (δ, ppm) : 2.52 (s, 3H), 3.87 (s, 3H), 6.91 (dd, *J*= 8.7Hz, 2.5Hz, 1H), 7.27 (d, *J* = 8.7Hz, 1H), 7.80 (d, *J* = 3.1Hz, 1H), 7.88 (d, *J* = 3.1Hz, 1H), 8.54 (bs, 1H).

These data were similar to those reported in the literature ^[3].

Preparation of 1-(5-nitro-1*H*-indol-3-yl)ethanone (S3) :

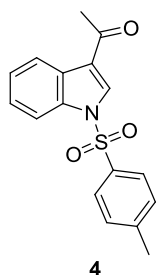


To a solution of 5-nitro-1*H*-indole (1 equiv., 6.17 mmol, 1.000 g) dissolved in anhydrous DCM (30 mL) was added acetyl chloride (1.2 equiv., 7.40 mmol, 7.4 mL of a 1.0 M solution in DCM) at 0 °C. The reaction mixture was stirred at 0 °C for 30 minutes. SnCl₄ (1.1 equiv., 6.79 mmol, 6.8 mL of a 1.0 M solution in DCM) was added dropwise. The reaction mixture was stirred for 15 h at room temperature. The reaction was quenched with NaHCO₃ until pH 9. The aqueous layer was extracted with AcOEt (2x100 mL). Organic layers were combined, dried with MgSO₄ and filtered. After evaporation of the solvents, the crude product was obtained (1.736 g). After flash chromatography (Cyclohexane/AcOEt : 50/50) 1-(5-nitro-1*H*-indol-3-yl)ethanone (937 mg, Yield=74 %) was obtained as a yellow powder.

¹H-NMR (250 MHz, 298 K, DMSO-d₆) : 2.48 (s, 3H), 7.63 (d, *J* = 8.9Hz, 1H), 8.07-8.11 (m, 1H), 8.55 (s, 1H), 8.99 (s, 1H) 12.4 (bs, 1H).

These data were similar to those reported in the literature ^[4].

Preparation of 1-(1-(phenylsulfonyl)-1*H*-indol-3-yl)ethanone (4) :



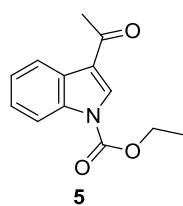
To a solution of 1-(1*H*-indol-3-yl)ethanone (1 equiv., 9.42 mmol, 1.500 g) and 4-dimethylaminopyridine (0.05 equiv., 0.45 mmol, 58 mg) dissolved in anhydrous DCM was added tosyl chloride (1.1 equiv., 10.36 mmol, 1.975 g) followed by triethylamine (1.5 equiv., 14.13 mmol, 2.0 mL). The reaction was stirred for 20 h at room temperature. After evaporation of the solvent, the condensed product was dissolved in AcOEt and washed with distilled water

and brine. The organic layers were combined, dried with MgSO_4 and filtered. After evaporation and flash chromatography (Petroleum ether/AcOEt : 90/10) the pure product 1-(1-(phenylsulfonyl)-1*H*-indol-3-yl)ethanone (2.637 g, Yield = 89%) was obtained as a white powder.

$^1\text{H-NMR}$ (360 MHz, 298 K, CDCl_3) (δ , ppm) : 2.35 (s, 3H), 2.58 (s, 3H) 7.27 (d, $J = 8.3\text{Hz}$, 2H), 7.31-7.39 (m, 2H), 7.84 (d, $J = 8.3\text{Hz}$, 2H), 7.93 (d, $J = 7.5\text{Hz}$, 1H) 8.24 (s, 1H), 8.34 (d, $J = 7.5\text{Hz}$, 1H).

These data were similar to those reported in the literature^[6].

Preparation of ethyl 3-acetyl-1*H*-indole-1-carboxylate (5) :



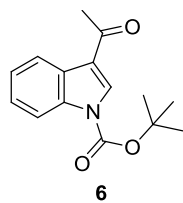
To 1-(1*H*-indol-3-yl)ethanone (1 equiv., 9.42 mmol, 1.500 g) was slowly added a solution of ethyl 1*H*-pyrazole-1-carboxylate (1.1 equiv., 10.37 mmol, 1.453 g) in anhydrous acetonitrile (25 mL). This was followed by addition of 1,8-diazabicyclo[5.4.0]undec-7-ene (0.2 equiv., 1.88 mmol, 287 mg). The reaction mixture was stirred for 15 h at room temperature. The reaction was quenched with an aqueous solution of HCl 1.0M (10 mL). The aqueous layer was extracted three times with AcOEt (50 mL). The organic layers were combined, dried with MgSO_4 and filtered. After evaporation, the crude product (3.211 g) was purified by flash chromatography (Cyclohexane/AcOEt : 90/10). Ethyl 3-acetyl-1*H*-indole-1-carboxylate (1.312 g, Yield=60 %) was obtained as a white powder.

$^1\text{H-NMR}$ (360 MHz, 298 K, CDCl_3) (δ , ppm) : 1.50 (t, $J = 7.2\text{Hz}$, 3H), 2.55 (s, 3H) 4.54 (q, $J = 7.2\text{Hz}$, 2H), 7.34-7.38 (m, 2H), 8.13 (d, $J = 8.2\text{Hz}$, 1H), 8.20 (s, 1H), 8.35 (d, $J = 8.2\text{Hz}$, 1H).

These data were similar to those reported in the literature^[7].

Method PG : To a solution of substituted 3-acetylindole (1 equiv.) and 4-dimethylaminopyridine (0.1 equiv.) in anhydrous acetonitrile ($c = 70 \text{ g/L}$) was added di-*tert*-butyl dicarbonate (2 equiv.). The reaction mixture was stirred for 15 h at room temperature. After evaporation of the solvent, the condensed mixture was dissolved in DCM, and washed with distilled water then brine. The organic layer was dried with MgSO_4 , filtered, and evaporated under vacuum to give the crude product.

Preparation of *tert*-butyl 3-acetyl-1*H*-indole-1-carboxylate (6) :

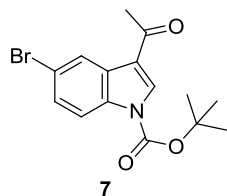


Compound 6 was prepared according to procedure PG : 1-(1*H*-indol-3-yl)ethanone (1 equiv., 4.40 mmol, 700mg) in presence of 4-dimethylaminopyridine (0.1 equiv., 0.44 mmol, 54mg) and di-*tert*-butyl dicarbonate (2 equiv., 8.8 mmol, 1919mg) gave the crude product (2.034 g). After flash chromatography (Petroleum ether/AcOEt : 90/10) *tert*-butyl 3-acetyl-5-nitro-1*H*-indole-1-carboxylate (935mg, Yield=82 %) was obtained as a white powder.

¹H-NMR (250 MHz, 298 K, CDCl₃) (δ, ppm) : 1.69 (s, 9H), 2.54 (s, 3H), 7.30-7.39 (m, 2H), 8.07-8.11 (m, 1H), 8.20 (s, 1H), 8.33-8.37 (m, 1H).

These results were similar to those reported in the literature^[5].

Preparation of *tert*-butyl 3-acetyl-5-bromo-1*H*-indole-1-carboxylate (**7**) :

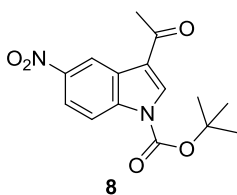


Compound 7 was prepared according to procedure PG : 1-(5-bromo-1*H*-indol-3-yl)ethanone (1 equiv., 9.17 mmol, 2.165 g) in presence of 4-dimethylaminopyridine (0.3 equiv., 2.75 mmol, 825 mg) and di-*tert*-butyl dicarbonate (2 equiv., 18.34 mmol, 4.003 g) gave the crude product (3.107 g). After flash chromatography (Petroleum ether/AcOEt : 90/10) *tert*-butyl 3-acetyl-5-bromo-1*H*-indole-1-carboxylate (2.972 g, Yield=96 %) was obtained as a white powder.

¹H-NMR (300 MHz, 298 K, CDCl₃) (δ, ppm) : 1.68 (s, 9H), 2.53 (s, 3H), 7.44 (dd, *J* = 8.7Hz, 2.1Hz, 1H), 7.96 (d, *J* = 8.7Hz, 1H), 8.16 (s, 1H), 8.51 (d, *J* = 2.1Hz, 1H).

These data were similar to those reported in the literature^[5].

Preparation of *tert*-butyl 3-acetyl-5-nitro-1*H*-indole-1-carboxylate (**8**) :



Compound 8 was prepared according to procedure PG: 1-(5-bromo-1*H*-indol-3-yl)ethanone (1 equiv., 3.77 mmol, 770 mg) in presence of 4-dimethylaminopyridine (0.1 equiv., 0.38 mmol, 46 mg) and di-*tert*-butyl dicarbonate (2 equiv., 7.54 mmol, 1.646 g) gave the crude product (832 mg). After flash chromatography (Cyclohexane/AcOEt : 90/10) *tert*-butyl 3-acetyl-5-nitro-1*H*-indole-1-carboxylate (721 mg, Yield=63 %) was obtained as a white powder.

¹H-NMR (250 MHz, 298 K, CDCl₃) (δ, ppm) : 1.73 (s, 9H), 2.57 (s, 3H), 8.22 (s, 2H), 8.29 (s, 1H), 9.22 (s, 1H).

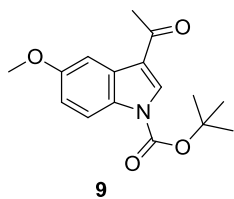
¹³C-NMR (62.5 MHz, 298 K, CDCl₃) (δ, ppm) : 27.8, 28.2, 87.1, 115.4, 119.1, 120.8, 127.4, 134.5, 138.6, 145.0, 148.4, 193.1.

IR (cm⁻¹) : 1667, 1764, 2868, 2932, 2986, 3091, 3110, 3131.

HRMS (electrospray, Na⁺) : Calculated for C₁₅H₁₆N₂NaO₅ : 327.0951; found : 327.0989.

Melting point : 284-286 °C.

Preparation of *tert*-butyl 3-acetyl-5-methoxy-1*H*-indole-1-carboxylate (**9**) :



Compound **9** was prepared according to procedure PG : 1-(5-methoxy-1*H*-indol-3-yl)ethanone (1 equiv., 4.23 mmol, 800mg) in presence of 4-dimethylaminopyridine (0.1 equiv., 0.42 mmol, 52mg) and di-*tert*-butyl dicarbonate (2 equiv., 8.46 mmol, 1.845 g) gave the crude product (1.117 g). After flash chromatography (Petroleum ether/AcOEt : 90/10) *tert*-butyl 3-acetyl-5-methoxy-1*H*-indole-1-carboxylate (916 mg, Yield=66 %) was obtained as a white powder.

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ, ppm) : 1.66 (s, 9H), 2.48 (s, 3H), 3.83 (s, 3H), 6.91 (dd, *J* = 9.1Hz, 2.7Hz, 1H), 7.80 (d, *J* = 2.7Hz, 1H), 7.95 (d, *J* = 9.1Hz, 1H), 8.11 (s, 1H).

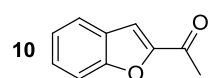
¹³C-NMR (90 MHz, 298 K, CDCl₃) (δ, ppm) : 27.6, 28.1, 55.7, 85.3, 104.4, 114.9, 115.7, 120.3, 128.4, 130.1, 132.7, 149.1, 157.2, 194.0.

IR (cm⁻¹) : 1642, 1662, 1744, 1790, 2852, 2932, 2983.

HRMS (electrospray, Na⁺) : Calculated for C₁₆H₁₉NNaO₄ : 312.1206; found : 312.1196.

Melting point : 124-126 °C.

Preparation 1-(benzofuran-2-yl)ethanone (**10**) :

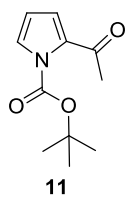


To a solution of 2-hydroxybenzaldehyde (1 equiv., 10.0 mmol, 1.05 mL) in dry DCM (40 mL) and activated molecular sieves (4 Å) was added DBU (1 equiv., 10.0 mmol, 1.50 mL) and 1-chloropropan-2-one (1 equiv., 10.0 mmol, 0.82 mL). The reaction mixture was stirred for 4 h at room temperature. The reaction was quenched with an aqueous solution of HCl 1.0 M (10 mL). The aqueous layer was extracted three times with AcOEt (50 mL). The organic layers were combined, dried with MgSO₄ and filtered. After evaporation, the crude product was purified by flash chromatography (Cyclohexane/AcOEt : 90/10). 1-(benzofuran-2-yl)ethanone (1.531 g, Yield=96 %) was obtained as white crystals.

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ, ppm) : 2.56 (s, 3H), 7.27 (t, *J* = 7.5 Hz, 1H), 7.42 (t, *J* = 7.5 Hz, 1H), 7.46 (s, 1H), 7.54 (d, *J* = 8.3 Hz, 1H), 7.66 (d, *J* = 8.3 Hz, 1H).

These data were similar to those reported in the literature^[8].

Preparation of *tert*-butyl 2-acetyl-1*H*-pyrrole-1-carboxylate (**11**) :

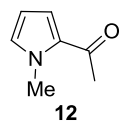


Compound **11** was prepared according to procedure PG: 1-(1*H*-pyrrol-2-yl)ethanone (1 equiv., 9.16 mmol, 1.000 g) in presence of 4-dimethylaminopyridine (0.1 equiv., 0.916 mmol, 112 mg) and di-*tert*-butyl dicarbonate (3 equiv., 27.48 mmol, 5.997 g) gave the crude product (2.203 g). *tert*-butyl 2-acetyl-1*H*-pyrrole-1-carboxylate (1.825 g, Yield=95 %) was obtained as white crystals after flash chromatography (Cyclohexane/AcOEt : 90/10).

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ, ppm) : 3.52 (s, 9H), 4.39 (s, 3H), 8.11 (t, *J* = 3.2 Hz, 1H), 8.80 (dd, *J* = 3.2 Hz, 1.3 Hz, 1H), 9.26 (m, 1H).

These data were similar to those reported in the literature^[9].

Preparation of 1-(1-methyl-1H-pyrrol-2-yl)ethanone (12) :



To a solution of 1-(1H-pyrrol-2-yl)ethanone (1 equiv., 18.3 mmol, 2.0 g) in dimethyl carbonate (20 mL) was added 1,4-diazabicyclo[2.2.2]octane (0.1 equiv., 1.8 mmol, 202 mg) and DMF (1.0 mL). The reaction mixture was stirred for 24 h at 92 °C. The reaction was quenched with an aqueous solution of HCl 1.0 M (10 mL). The aqueous layer was extracted three times with AcOEt (50 mL). The organic layers were combined, dried with MgSO₄ and filtered. After evaporation, the crude product was purified by flash chromatography (Cyclohexane/AcOEt : 90/10). 1-(1-methyl-1H-pyrrol-2-yl)ethanone (2.02 g, Yield=90 %) was obtained as pale yellow liquid.

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ, ppm) : 2.40 (s, 3H), 3.91 (s, 3H), 6.09 (dd, *J* = 3.9 Hz, 2.8 Hz, 1H), 6.77 (t, *J* = 1.6 Hz, 1H), 6.91 (dd, *J* = 3.9 Hz, 1.6 Hz, 1H).

These data were similar to those reported in the literature^[10].

General method for the oxidative coupling of enolate :

Method A (Preparation of the two enolates in the same flask) :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv.) and the acetylated coupling partner in anhydrous THF (1.1 mL) was added a pre-cooled solution of potassium bis(trimethylsilyl)amide at low temperature (-78 °C or -85 °C). The reaction mixture was stirred for 3 minutes and an addition of a pre-cooled solution of copper (II) 2-ethylhexanoate in anhydrous THF (2.0 mL) was performed. The reaction mixture was stirred for *t* min. at low temperature. Then the reaction was quenched with NH₄Cl (0.5 mL) and NH₄OH (0.5 mL). After extraction with DCM (3x20 mL), the combined organic layers were dried with MgSO₄, filtered, evaporated and the crude product was obtained.

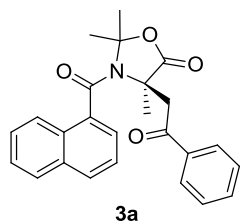
Method B (Preparation of the two enolates in different flasks) :

To a solution of the acetylated coupling partner in anhydrous THF (1.0 mL) was added potassium bis(trimethylsilyl)amide at -78 °C. The reaction mixture was stirred for 10 min at -78 °C. To solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv.) in anhydrous THF (0.6 mL) at -78 °C was added a pre-cooled solution of potassium bis(trimethylsilyl)amide (3 equiv.) and, just after, was added the solution containing the acetylated coupling partner for 3 minutes at -78 °C. After addition of a pre-cooled solution of copper (II) 2-ethylhexanoate (4 equiv.) in anhydrous THF (2.0 mL), the reaction mixture was stirred for *t* min. at -78 °C. The reaction was quenched with NH₄Cl (0.5 mL) and NH₄OH (0.5

mL). After extraction with DCM (3x20 mL), the combined organic layers were dried with MgSO₄, filtered, evaporated and the crude product was obtained.

Oxidative coupling with acetophenone derivative:

Preparation of 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-phenylethyl)oxazolidin-5-one (3a) :



Compound 3a was prepared according to method A :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and distilled acetophenone (4 equiv., 0.72 mmol, 0.08 mL) was added potassium bis(trimethylsilyl)amide (10 equiv., 1.08 mmol, 1.1 mL of a 1.0 M solution in THF) at -78°C. After the addition of a solution of copper (II) 2-ethylhexanoate (6 equiv., 1.08 mmol, 373 mg), the reaction mixture was stirred for 30 minutes at -78 °C. The crude product (162 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 80/20). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-phenylethyl)oxazolidin-5-one (38 mg, Yield=53 %, ee=64 %) was obtained as a white powder.

Compound 3a was prepared according to method B :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and distilled acetophenone (3 equiv., 0.53 mmol, 0.06 mL) in presence of potassium bis(trimethylsilyl)amide (7 equiv., 1.76 mmol, 1.8 mL of a 1.0 M solution in THF) was added copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg). The reaction mixture was stirred for 30 minutes. The crude product (132 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 80/20). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-phenylethyl)oxazolidin-5-one (34 mg, Yield=37 %, ee=64 %) was obtained as a white powder.

Alkylation test :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) in distilled THF was slowly introduced KHMDS solution (1.1 equiv., 0.2 mmol, 0.2 mL of 1.0 M solution in THF) at -78 °C. After 3 minutes, a precooled solution of bromoacetophenone (5 equiv., 0.88 mmol, 176 mg) in THF was added. The reaction mixture was stirred at -78 °C for 10 minutes. Then the reaction was quenched with NH₄Cl (0.5 mL). After extraction with DCM (3x20 mL), the combined organic layers were dried with MgSO₄, filtered, evaporated and the crude product (132 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 80/20). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-phenylethyl)oxazolidin-5-one (7 mg, Yield=10 %, ee=60 %) was obtained as a white powder.

HPLC analysis : Column Chiralpack AD-H, hexane/ethanol : 90/10, 1 mL/min, T=25 °C, λ= 223 nm, retention time of racemic (min.) : 18.17 (Major), 20.91.

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ, ppm) : (conformer ratio : 65/35) : 1.14 (s, 3H, m), 1.65 (s, 3H, M), 1.76 (s, 3H, m), 1.97 (s, 3H, m), 2.10 (s, 3H, M), 2.36 (s, 3H, M), 2.43 (d, *J* = 19.2Hz, 1H, M), 2.81 (d, *J* = 19.2Hz, 1H, M), 3.46 (d, *J* = 18.2Hz, 1H, m), 5.21 (d, *J* = 18.2Hz, 1H, m), 6.71-7.13 (m, 6H, M and m), 7.30-8.13 (m, 18H, M and m).

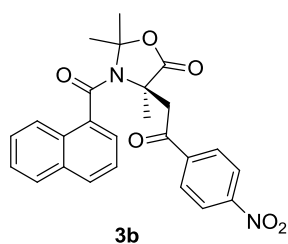
¹³C-NMR (90 MHz, 298 K, CDCl₃) (δ, ppm) : 23.9, 25.2, 27.2, 27.5, 28.5, 30.8, 45.1, 45.3, 59.6, 62.6, 97.2, 99.2, 123.5, 124.3, 124.5, 125.4, 126.7, 126.9, 127.1, 127.5, 127.6, 128.1, 128.3, 128.4, 128.6, 128.8, 129.0, 129.1, 129.8, 129.9, 130.1, 133.1, 133.2, 134.1, 134.4, 135.1, 167.6, 168.6, 174.0, 174.4, 194.6, 197.6.

IR (cm⁻¹) : 1596, 1621, 1684, 1787 2942, 2987, 3053.

HRMS (electrospray, Na⁺) : Calculated for C₂₅H₂₃NNaO₄ : 424.1523; found : 424.1542.

Melting point : 74-76 °C.

Preparation of 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-(4-nitrophenyl)-2-oxoethyl)oxazolidin-5-one (**3b**) :



Compound 3b was prepared according to method A :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and 1-(4-nitrophenyl)ethanone (4 equiv., 0.72 mmol, 117 mg) was added potassium bis(trimethylsilyl)amide (6 equiv., 1.08 mmol, 1.1 mL of a 1.0 M solution in THF) at -85 °C. After the addition of a solution of copper (II) 2-ethylhexanoate (6 equiv., 1.08 mmol, 373 mg), the reaction mixture was stirred for 30 minutes at -85 °C. The crude product (172 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 80/20). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-(4-nitrophenyl)-2-oxoethyl)oxazolidin-5-one (57 mg, Yield=77 %, ee=32 %) was obtained as a pale yellow powder.

Compound 3b was prepared according to method B :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and 1-(4-nitrophenyl)ethanone (4 equiv., 0.72 mmol, 117 mg) in presence of potassium bis(trimethylsilyl)amide (8 equiv., 1.40 mmol, 1.40 mL of a 1.0 M solution in THF) was added copper (II) 2-ethylhexanoate (5 equiv., 0.88 mmol, 320 mg). The reaction mixture was stirred for 10 minutes. The crude product (168 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 80/20). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-(4-nitrophenyl)-2-oxoethyl)oxazolidin-5-one (43 mg, Yield=58 %, ee=45 %) was obtained as a pale yellow powder.

HPLC analysis : Column Chiralpack AD-H, hexane/ethanol : 85/15, 1 mL/min, T=35 °C, λ=240 nm, retention time of racemic (min.) : 39.11, 43.52 (Major).

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ, ppm) : (conformer ratio : 74/26) : 1.16 (s, 3H, m), 1.66 (s, 3H, M), 1.76 (s, 3H, m), 1.95 (s, 3H, m), 2.09 (s, 3H, M), 2.32 (d, *J* = 19.2 Hz, 1H, M), 2.34 (s,

3H, M), 2.83 (d, $J = 19.2$ Hz, 1H, M), 3.53 (d, $J = 18.2$ Hz, 1H, m), 5.17 (d, $J = 18.2$ Hz, 1H, m), 6.74-7.15 (m, 5H, M and m), 7.26-8.35 (m, 17H, M and m).

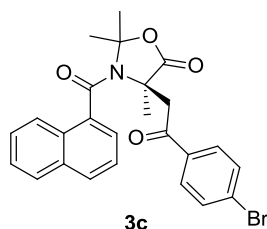
$^{13}\text{C-NMR}$ (90 MHz, 298 K, CDCl_3) (δ , ppm) : 24.0, 25.2, 27.3, 27.4, 28.4, 30.7, 45.4, 45.7, 59.4, 62.3, 97.4, 99.3, 123.4, 123.6, 123.8, 124.1, 124.2, 124.5, 124.9, 125.6, 126.7, 127.1, 127.6, 128.4, 128.4, 128.6, 128.9, 129.3, 129.5, 129.6, 129.7, 129.9, 130.1, 130.3, 133.0, 134.1, 139.1, 140.6, 150.2, 150.9, 167.4, 168.8, 173.5, 173.9, 193.0, 196.2.

$\text{IR (cm}^{-1}\text{)}$: 1603, 1631, 1689, 1788, 2860, 2876, 2930, 3054, 3078, 3109.

$\text{HRMS (electrospray, Na}^+\text{)}$: Calculated for $\text{C}_{25}\text{H}_{22}\text{N}_2\text{NaO}_6$: 469.1370; found : 469.1363.

Melting point : 89-91 °C.

Preparation of 3-(1-naphthoyl)-4-(2-(4-bromophenyl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (3c):



Compound 3c was prepared according to method A :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and 1-(4-bromo)ethanone (4 equiv., 0.72 mmol, 141 mg) was added potassium bis(trimethylsilyl)amide (6 equiv., 1.08 mmol, 1.1 mL of a 1.0 M solution in THF) at -85°C. After the addition of a solution of copper (II) 2-ethylhexanoate (6 equiv., 1.08 mmol, 373 mg), the reaction mixture was stirred for 10 minutes at -85°C. The crude product (166 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 80/20). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-(4-bromophenyl)-2-oxoethyl)oxazolidin-5-one (51 mg, Yield=60 %, ee=41 %) was obtained as a white powder.

HPLC analysis : Column Whelk, hexane/ethanol : 85/15, 1 mL/min, $T=30$ °C, $\lambda=222$ nm, retention time of racemic (min.) : 32.34, 48.73 (Major).

$^1\text{H-NMR}$ (360 MHz, 298 K, CDCl_3) (δ , ppm) : (conformer ratio : 66/44) : 1.17 (s, 3H, m), 1.67 (s, 3H, M), 1.77 (s, 3H, m), 1.97 (s, 3H, m), 2.08 (s, 3H, H_1 , M), 2.35 (d, $J = 18.8$ Hz, 1H, M), 2.35 (s, 3H, H_1 , M), 2.82 (d, $J = 18.8$ Hz, 1H, m), 3.51 (d, $J = 17.9$ Hz, 1H, m), 5.19 (d, $J = 17.9$ Hz, 1H, m), 6.82-7.15 (m, 6H, M and m), 7.31-8.03 (m, 16H, M and m).

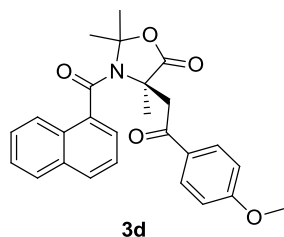
$^{13}\text{C-NMR}$ (250MHz, 330K, CDCl_3) (δ , ppm) : 23.8, 25.0, 27.3, 28.2, 29.7, 30.6, 45.0, 59.4, 62.3, 97.3, 99.2, 123.5, 124.3, 124.6, 125.2, 126.8, 127.1, 127.6, 128.0, 128.2, 128.5, 128.9, 129.5, 130.0, 130.1, 130.2, 131.1, 131.6, 132.3, 132.4, 133.0, 133.8, 134.3, 135.0, 167.5, 168.0, 173.8, 174.2, 193.6, 196.5.

$\text{IR (cm}^{-1}\text{)}$: 1585, 1639, 1685, 1723, 1788, 2852, 2870 2923.

$\text{HRMS (electrospray, Na}^+\text{)}$: Calculated for $\text{C}_{25}\text{H}_{22}\text{BrNNaO}_4$: 502.0624; found : 502.0624

Melting point : 52-54 °C.

Preparation of 3-(1-naphthoyl)-4-(2-(4-methoxyphenyl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (3d) :



Compound 3d was prepared according to method A :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and 1-(4-(methoxy)phenyl)ethanone (4 equiv., 0.72 mmol, 106 mg) was added potassium bis(trimethylsilyl)amide (6 equiv., 1.08 mmol, 1.1 mL of a 1.0 M solution in THF) at -78 °C. After the addition of a solution of copper (II) 2-ethylhexanoate (6 equiv., 1.08 mmol, 373 mg), the reaction mixture was stirred for 10 minutes at -78°C. The crude product (183 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 80/20). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-(4-methoxyphenyl)-2-oxoethyl)oxazolidin-5-one (44 mg, Yield=56 %, ee=68 %) was obtained as a white powder.

Compound 3d was prepared according to method B :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and 1-(4-(methoxy)phenyl)ethanone (3 equiv., 0.53 mmol, 138 mg) in presence of potassium bis(trimethylsilyl)amide (7 equiv., 1.25 mmol, 1.25 mL of a 1.0 M solution in THF) was added copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg). The reaction mixture was stirred for 10 minutes. The crude product (136 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 80/20). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-(4-methoxyphenyl)-2-oxoethyl)oxazolidin-5-one (29 mg, Yield=38 %, ee=74 %) was obtained as a white powder.

HPLC analysis : Column Chiralpack AD-H, hexane/ethanol : 90/10, 1 mL/min, T=25 °C, λ =222 nm, retention time of racemic (min.) : 31.28 (Major), 38.39.

¹H-NMR (300 MHz, 298 K, CDCl₃) (δ , ppm) : (conformer ratio : 66/34) : 1.11 (s, 3H, m), 1.63 (s, 3H, M), 1.74 (s, 3H, m), 1.96 (s, 3H, m), 2.09 (s, 3H, M), 2.34 (d, J = 19.0Hz, 1H, M), 2.35 (s, 3H, M), 2.77 (d, J = 19.0Hz, 1H, M), 3.40 (d, J = 17.6Hz, 1H, m), 3.79 (s, 3H, m), 3.87 (s, 3H, M), 5.19 (d, J = 17.6Hz, 1H, m), 6.57 (d, J = 8.7Hz, 1H, M), 6.76-7.13 (m, 8H, M and m), 7.31-7.63 (m, 6H, M and m), 7.76-7.99 (m, 6H, M and m), 8.10 (d, J = 8.7Hz, 1H, m).

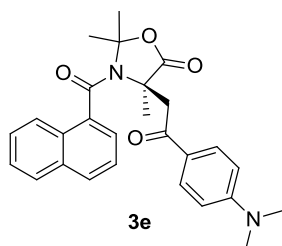
¹³C-NMR (75 MHz, 298 K, CDCl₃) (δ , ppm) : 23.9, 25.2, 27.2, 27.5, 28.4, 30.8, 44.7, 45.1, 55.6, 55.7, 59.7, 62.7, 97.1, 99.1, 113.4, 114.1, 114.2, 123.5, 124.2, 124.3, 124.5, 124.6, 125.4, 126.7, 126.8, 127.1, 127.5, 127.9, 128.0, 128.4, 128.8, 129.4, 129.7, 129.8, 129.9, 130.0, 130.2, 130.6, 131.0, 133.0, 134.4, 163.5, 164.2, 167.6, 168.5, 174.1, 174.5, 193.1, 195.8.

IR (cm⁻¹) : 1600, 1637, 1674, 1788, 2851, 2937, 2983, 3052.

HRMS (electrospray, Na⁺) : Calculated for C₂₆H₂₅NNaO₅ : 454.1625; found : 454.1607.

Melting point : 62-64 °C.

Preparation of 3-(1-naphthoyl)-4-(2-(4-(dimethylamino)phenyl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (3e) :



Compound 3e was prepared according to method A :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and 1-(4-(dimethylamino)phenyl)ethanone (4 equiv., 0.72 mmol, 115 mg) was added potassium bis(trimethylsilyl)amide (6 equiv., 1.08 mmol, 1.1 mL of a solution 1.0 M in THF) at -78°C. After the addition of a solution of copper (II) 2-ethylhexanoate (6 equiv., 1.08 mmol, 373 mg), the reaction mixture was stirred for 30 minutes at -78°C. The crude product (183 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 80/20). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-(4-dimethylaminophenyl)-2-oxoethyl)oxazolidin-5-one (44 mg, Yield=56 %, ee=71 %) was obtained as a pale green powder.

Compound 3e was prepared according to method B :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and 1-(4-(dimethylamino)phenyl)ethanone (3 equiv., 0.53 mmol, 86 mg), in presence of potassium bis(trimethylsilyl)amide (7 equiv., 1.25 mmol, 1.25 mL of a 1.0 M solution in THF) was added copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg). The reaction mixture was stirred for 10 minutes. The crude product (113 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 80/20). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-(4-dimethylaminophenyl)-2-oxoethyl)oxazolidin-5-one (18 mg, Yield = 23%, ee = 44%) was obtained as a pale green powder.

HPLC analysis : Column Chiralpack AD-H, hexane/ethanol : 90/10, 1 mL/min, T=25 °C, λ =222 nm, retention time of racemic (min.) : 40.39 (Major), 48.57.

¹H-NMR (300MHz, 330K, CDCl₃) (δ , ppm) : (conformer ratio : 58/42) : 1.09 (s, 3H, m), 1.61 (s, 3H, M), 1.72 (s, 3H, m), 1.97 (s, 3H, m), 2.08 (s, 3H, M), 2.35 (d, *J* = 18.6Hz, 1H, M), 2.35 (s, 3H, M), 2.75 (d, *J* = 18.6Hz, 1H, M), 2.96-3.04 (m, 12H, M and m), 3.34 (d, *J* = 17.2 Hz, 1H, m), 5.11 (d, *J* = 17.2 Hz, 1H, m), 6.20-7.20 (m, 8H, M and m), 7.31-8.04 (m, 14H, M and m).

¹³C-NMR (62.5 MHz, 298 K, CDCl₃) (δ , ppm) : 23.7, 23.8, 25.0, 27.0, 27.3, 28.3, 30.7, 32.3, 40.0, 44.0, 44.7, 59.6, 62.7, 96.7, 98.7, 110.2, 110.7, 110.8, 111.1, 123.3, 124.7, 125.2, 125.4, 125.6, 126.6, 126.9, 127.2, 127.6, 127.7, 128.0, 128.3, 128.5, 129.0, 129.4, 129.7, 129.8, 130.2, 130.3, 130.5, 130.7, 132.8, 133.0, 133.4, 134.4, 134.8, 153.2, 153.8, 167.6, 168.2, 174.2, 174.5, 192.2, 194.7.

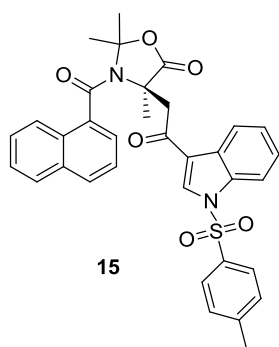
IR (cm⁻¹) : 1596, 1636, 1663, 1789, 2336, 2362, 2852, 2920, 2985.

HRMS (electrospray, Na⁺) : Calculated for C₂₇H₂₈N₂NaO₄ : 467.1941; found : 467.1925.

Melting point : 111-113 °C.

Oxidative coupling with indole derivatives :

Preparation of 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-(1-tosyl-1*H*-indol-3-yl)ethyl)oxazolidin-5-one (15) :



Compound 15 was prepared according to method B :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and 1-(1-tosyl-1*H*-indol-3-yl)ethanone (4 equiv., 0.72 mmol, 222 mg), in presence of potassium bis(trimethylsilyl)amide (10 equiv., 1.76 mmol, 1.80 mL of a 1.0 M solution in THF) was added copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg). The reaction mixture was stirred for 30 minutes. The crude product (119 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 70/30) and preparative layer chromatography (Petroleum ether/ AcOEt : 70/30). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-(1-tosyl-1*H*-indol-3-yl)ethyl)oxazolidin-5-one (12 mg, Yield = 12%, ee = 60%) was obtained as a white powder.

HPLC analysis : Column Chiralpack AD-H, hexane/ethanol : 90/10, 1 mL/min, T=20 °C, λ =240 nm, retention time of racemic (min.) : 22.13, 34.81 (Major).

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ , ppm) : (conformer ratio : 56/44) : 0.96 (s, 3H, m), 1.67 (s, 6H, M), 1.92 (s, 3H, m), 2.04 (s, 3H, m), 2.06 (d, *J* = 18.4 Hz, M), 2.11 (s, 3H, M), 2.34 (s, 3H, M), 2.35 (s, 3H, m), 2.86 (d, *J* = 18.4 Hz, M), 3.44 (d, *J* = 16.0 Hz, m), 4.94 (d, *J* = 16.0 Hz, m), 6.39-6.91 (m, 6H, M and m), 7.29-8.57 (m, 26H, M and m).

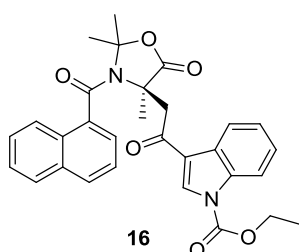
¹³C-NMR (90 MHz, 298 K, CDCl₃) (δ , ppm) : 19.6, 21.6, 21.9, 23.6, 25.2, 27.5, 28.4, 30.6, 46.0, 46.6, 59.6, 63.6, 96.9, 99.2, 112.9, 113.4, 120.7, 123.0, 123.2, 123.6, 124.3, 124.5, 124.9, 125.1, 125.4, 125.8, 126.2, 126.4, 127.3, 127.3, 127.5, 127.6, 127.8, 128.6, 129.6, 129.8, 130.0, 130.4, 130.5, 132.9, 133.0, 134.2, 134.3, 134.6, 135.3, 135.5, 145.4, 146.2, 167.7, 168.6, 173.8, 174.3, 189.8, 193.4.

IR (cm⁻¹) : 1508, 1537, 1595, 1637, 1658, 1790, 2861, 2941, 2986, 3056, 3132.

HRMS (electrospray, Na⁺) : Calculated for C₃₄H₃₀N₂NaO₆S : 617.1717; found : 617.1711.

Melting point : 113-115 °C.

Preparation of ethyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-1*H*-indole-1-carboxylate (16) :



Compound 16 was prepared according to method A :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and ethyl 3-acetyl-1*H*-indole-1-carboxylate (4 equiv., 0.72 mmol, 164 mg) was added potassium bis(trimethylsilyl)amide (10 equiv., 1.76 mmol, 1.80 mL of a 1.0 M

solution in THF) at -78 °C. After the addition of a solution of copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg), the reaction mixture was stirred during 30 minutes at -78 °C. The crude product (203 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 70/30). Ethyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-1H-indole-1-carboxylate (15 mg, Yield = 16%, ee = 91%) was obtained as a white powder.

HPLC analysis : Column Chiralpack IC, hexane/ethanol : 90/10, 1 mL/min, T=25 °C, λ =222 nm, retention time of racemic (min.) : 36.62 (Major), 41.06.

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ , ppm) : (conformer ratio : 57/43) : 1.13 (s, 3H, m), 1.45 (t, J = 6.8Hz, 3H, m), 1.54 (t, J = 6.8Hz, 3H, M), 1.67 (s, 3H, M), 1.74 (s, 3H, m), 1.97 (s, 3H, m), 2.10 (s, 3H, M), 2.15 (d, J = 18.3Hz, 1H, M), 2.35 (s, 3H, M), 2.86 (d, J = 18.3Hz, 1H, M), 3.49 (d, J = 16.5Hz, 1H, m), 4.47-4.61 (m, 3H, M and m), 4.98 (d, J = 16.5Hz, 1H, m), 6.39 (q, J = 6.8Hz, 1H, M or m), 6.65-6.72 (m, 2H, M and m), 6.99-7.27 (m, 2H, M and m), 7.30-8.48 (m, 20H, M and m).

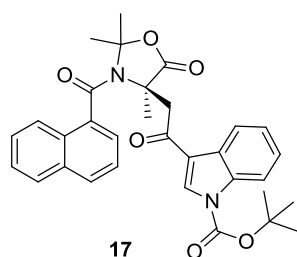
¹³C-NMR (90 MHz, 298 K, CDCl₃) (δ , ppm) : 14.5, 14.7, 23.7, 25.2, 27.3, 27.4, 28.4, 30.7, 30.8, 45.9, 46.3, 59.6, 62.9, 64.2, 64.5, 97.1, 99.0, 114.8, 115.2, 115.3, 119.0, 119.9, 122.8, 123.0, 123.1, 124.2, 124.3, 124.6, 124.8, 124.9, 125.0, 125.2, 125.9, 126.0, 126.4, 126.4, 127.1, 127.3, 127.4, 127.5, 127.6, 128.2, 128.8, 129.6, 130.0, 130.1, 130.5, 133.0, 133.2, 133.5, 134.4, 134.6, 134.9, 136.0, 150.1, 150.4, 167.5, 168.7, 174.0, 174.3, 190.1, 193.2.

IR (cm⁻¹) : 1596, 1640, 1674, 1748, 1789, 2881, 2941, 2982, 3063.

HRMS (electrospray, Na⁺) : Calculated for C₃₀H₂₈N₂NaO₆ : 535.1840; found : 535.1821.

Melting point : 93-95 °C.

Preparation of *tert*-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-1H-indole-1-carboxylate (**17**) :



Compound 17 was prepared according to method A :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and *tert*-butyl 3-acetyl-1H-indole-1-carboxylate (3 equiv., 0.53 mmol, 138 mg) was added potassium bis(trimethylsilyl)amide (10 equiv., 1.76 mmol, 1.8 M of a 1.0 M solution in THF) at -78 °C. After the addition of a solution of copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg), the reaction mixture was stirred during 10 minutes at -78 °C. The crude product (280 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 70/30). *tert*-butyl 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-phenylethyl)oxazolidin-5-one (44 mg, Yield=46 %, ee=87 %) was obtained as a white powder.

Compound 17 was prepared according to method B :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and *tert*-butyl 3-acetyl-1H-indole-1-carboxylate (3 equiv., 0.53 mmol, 138 mg), in presence of potassium bis(trimethylsilyl)amide (7 equiv., 1.25 mmol, 1.25 mL of a 1.0 M

solution in THF) was added copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg). The reaction mixture was stirred for 10 minutes. The crude product (232 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 70/30). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-phenylethyl)oxazolidin-5-one (61 mg, Yield = 64%, ee = 82%) was obtained as a white powder.

HPLC analysis : Column Chiralpack IC, hexane/ethanol : 95/05, 1 mL/min, T=25 °C, λ =220 nm, retention time of racemic (min.) : 47.77, 54.66 (Major).

¹H-NMR (250 MHz, 298 K, CDCl₃) (δ , ppm) : (conformer ratio : 55/45) : 1.20 (s, 3H, m), 1.67 (s, 12H, m and M), 1.72 (s, 9H, M), 1.74 (s, 3H, m), 1.97 (s, 3H, m), 2.10 (s, 3H, M), 2.14 (d, J = 18.2Hz, 1H, M), 2.35 (s, 3H, M), 2.85 (d, J = 18.2Hz, 1H, M), 3.45 (d, J = 16.9Hz, 1H, m), 4.97 (d, J = 16.9Hz, 1H, m), 6.35-6.74 (m, 3H, M and m), 7.09 (d, J = 8.9Hz, 1H, M and m), 7.29-8.21 (m, 18H, M and m), 8.34-8.56 (m, 2H, M and m).

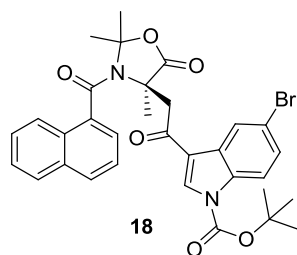
¹³C-NMR (90 MHz, 298 K, CDCl₃) (δ , ppm) : 23.7, 25.2, 27.3, 27.5, 28.3, 28.4, 28.4, 30.7, 45.9, 46.3, 59.6, 62.8, 85.3, 85.8, 97.1, 99.0, 114.8, 115.3, 115.3, 118.5, 119.3, 122.8, 123.0, 123.1, 124.2, 124.5, 124.6, 124.7, 124.8, 125.0, 125.3, 125.7, 126.0, 126.6, 127.1, 127.1, 127.3, 127.5, 127.7, 128.2, 128.8, 129.6, 129.8, 130.0, 130.1, 130.8, 132.9, 133.4, 134.4, 134.7, 134.9, 148.7, 149.0, 167.5, 168.6, 174.0, 174.3, 190.1, 193.3.

IR (cm⁻¹) : 1642, 1665, 1745, 1790, 2878, 2934, 2985, 3061.

HRMS (electrospray, Na⁺) : Calculated for C₃₂H₃₂N₂NaO₆ : 563.2153; found : 563.2136.

Melting point : 128-130 °C.

Preparation of *tert*-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-bromo-1*H*-indole-1-carboxylate (**18**) :



Compound 18 was prepared according to method B :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and *tert*-butyl 3-acetyl-5-bromo-1*H*-indole-1-carboxylate (3 equiv., 0.53 mmol, 178mg), in presence of a solution of potassium bis(trimethylsilyl)amide (7 equiv., 1.25 mmol, 1.25 mL of a solution 1.0 M in THF) was added copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg). The reaction mixture was stirred for 10 minutes. The crude product (295 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 70/30). *tert*-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-bromo-1*H*-indole-1-carboxylate (47 mg, Yield=43 %, ee=80 %) was obtained as a white powder.

HPLC analysis : Column Chiralpack IF-3, hexane/ethanol : 90/10, 1 mL/min, T=25 °C, λ =282 nm, retention time of racemic (min.) : 26.08 (Major), 34.37.

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ , ppm) : (conformer ratio : 59/41) : 1.17 (s, 3H, m), 1.67 (s, 12H, M), 1.72 (s, 9H, m), 1.75 (s, 3H, m), 1.96 (s, 3H, m), 2.10 (d, J = 18.5Hz, 1H, M), 2.10

(s, 3H, M), 2.35 (s, 3H, M), 2.81 (d, $J = 18.5$ Hz, 1H, M), 3.49 (d, $J = 16.5$ Hz, 1H, m), 4.92 (d, $J = 16.5$ Hz, 1H, m), 6.45-6.75 (m, 3H, M and m), 7.06-7.13 (m, 1H, M and m), 7.28-8.08 (m, 16H, M and m), 8.32-8.70 (m, 2H, M and m).

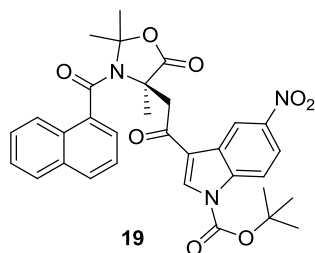
$^{13}\text{C-NMR}$ (90 MHz, 298 K, CDCl_3) (δ , ppm) : 23.8, 25.3, 27.5, 28.3, 28.4, 28.4, 30.7, 45.9, 46.4, 59.6, 62.7, 85.9, 86.4, 97.2, 99.1, 116.3, 116.7, 116.9, 117.7, 118.3, 118.5, 123.2, 124.3, 124.7, 125.1, 125.3, 125.4, 125.5, 126.0, 126.8, 127.3, 127.4, 127.8, 128.3, 128.6, 128.7, 128.8, 129.0, 129.1, 129.6, 129.9, 130.2, 131.4, 133.0, 133.3, 133.6, 133.7, 133.9, 134.5, 134.6, 134.7, 148.3, 148.6, 158.8, 167.5, 168.7, 173.9, 174.2, 189.9, 192.8.

IR (cm^{-1}) : 1544, 1643, 1667, 1749, 1790, 2850, 2862, 2984, 3068, 3139.

HRMS (electrospray, Na^+) : Calculated for $\text{C}_{32}\text{H}_{31}\text{BrN}_2\text{NaO}_6$: 641.1258; found : 641.1244.

Melting point : 124-126 °C.

Preparation of *tert*-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-nitro-1*H*-indole-1-carboxylate (**19**) :



Compound 19 was prepared according to method A :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and *tert*-butyl 3-acetyl-5-nitro-1*H*-indole-1-carboxylate (3 equiv., 0.53 mmol, 178 mg) was added potassium bis(trimethylsilyl)amide (10 equiv., 1.76 mmol, 1.8 M of a 1.0 M solution in THF) at -78 °C. After the addition of a solution of copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg), the reaction mixture was stirred for 10 minutes at -78 °C. The crude product (269 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 70/30) and preparative layer chromatography (Petroleum ether/ AcOEt : 70/30). *tert*-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-nitro-1*H*-indole-1-carboxylate (25 mg, Yield = 24%, ee = 94%) was obtained as a white powder.

HPLC analysis : Column Chiralpack IF-3, hexane/ethanol : 85/15, 1 mL/min, T=25 °C, $\lambda = 267$ nm, retention time of racemic : 34.68 (Major), 53.38.

$^1\text{H-NMR}$ (360 MHz, 298 K, CDCl_3) (δ , ppm) : (conformer ratio : 58/42) : 1.20 (s, 3H, m), 1.73 (m, 24H, M and m), 1.97 (s, 3H, m), 2.11 (d, $J = 17.5$ Hz, 1H, m), 2.11 (s, 3H, M), 2.36 (s, 3H, M), 2.86 (d, $J = 17.5$ Hz, 1H, M), 3.55 (d, $J = 17.5$ Hz, 1H, M), 4.96 (d, $J = 17.5$ Hz, 1H, m), 6.44-6.71 (m, 3H, M and m), 7.09-7.16 (m, 1H, M and m), 7.26-8.51 (m, 16H, M and m), 9.04-9.42 (m, 2H, M and m).

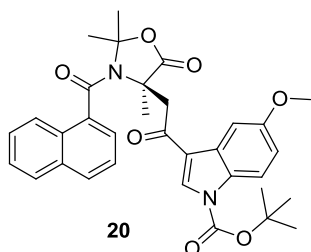
$^{13}\text{C-NMR}$ (90 MHz, 298 K, CDCl_3) (δ , ppm) : 23.9, 25.3, 27.5, 27.6, 28.3, 28.3, 28.5, 30.7, 45.9, 46.5, 59.5, 62.5, 87.0, 87.4, 97.4, 99.3, 115.3, 115.8, 115.9, 116.0, 118.6, 119.1, 119.1, 119.3, 119.3, 119.5, 121.1, 121.4, 123.3, 124.3, 14.9, 125.1, 125.3, 125.9, 126.9, 127.1, 127.3, 127.6, 127.9, 128.5, 128.9, 129.6, 130.1, 130.1, 130.2, 130.2, 132.8, 133.0, 134.6, 135.4, 145.2, 145.4, 148.8, 149.1, 167.6, 168.7, 174.0, 174.3, 190.1, 193.1.

IR (cm⁻¹) : 1545, 1642, 1665, 1745, 1790, 2878, 2934, 2985, 3061.

HRMS (electrospray, Na⁺) : Calculated for C₃₂H₃₁N₃NaO₈ : 608.2003; found : 608.1992.

Melting point : 193-195 °C.

Preparation of *tert*-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-methoxy-1*H*-indole-1-carboxylate (20**) :**



Compound 20 was prepared according to method A :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and *tert*-butyl 3-acetyl-5-methoxy-1*H*-indole-1-carboxylate (3 equiv., 0.53 mmol, 153 mg) was added potassium bis(trimethylsilyl)amide (10 equiv., 1.76 mmol, 1.80 mL of a 1.0 M solution in THF) at -78 °C. After the addition of a solution of copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg), the reaction mixture was stirred for 10 minutes at -78 °C. The crude product (221 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 70/30). *tert*-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-nitro-1*H*-indole-1-carboxylate (57 mg, Yield=57 %, ee=88 %) was obtained as a white powder. This reaction has been performed in 2 mmol scale synthesis (Yield = 57%, ee = 88%, mass of pure product collected : 647 mg).

Compound 20 was prepared according to method B :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and *tert*-butyl 3-acetyl-5-methoxy-1*H*-indole-1-carboxylate (3 equiv., 0.53 mmol, 153 mg), in presence of a solution of potassium bis(trimethylsilyl)amide (7 equiv., 1.25 mmol, 1.25 mL of a solution 1.0 M in THF) was added copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg). The reaction mixture was stirred for 10 minutes. The crude product (223 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 70/30). *tert*-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-methoxy-1*H*-indole-1-carboxylate (82 mg, Yield=82 %, ee=81 %) was obtained as a white powder.

HPLC analysis : Column Chiralpack IF-3, hexane/ethanol : 90/10, 1 mL/min, T=25 °C, λ=283 nm, retention time of racemic (min.) : 29.59 (Major), 33.44.

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ, ppm) : (conformer ratio : 59/41) : 1.14 (s, 3H, m), 1.65 (s, 9H, m), 1.67 (s, 3H, M), 1.72 (s, 9H, m), 1.75 (s, 3H, m), 1.97 (s, 3H, m), 2.10 (s, 3H, M), 2.13 (d, *J* = 18.5 Hz, 1H, M), 2.34 (s, 3H, M), 2.83 (d, *J* = 18.5 Hz, 1H, M), 3.47 (d, *J* = 16.7 Hz, 1H, m), 3.87 (s, 3H, M), 3.89 (s, 3H, m), 4.96 (d, = 16.7 Hz, 1H, m), 6.42-6.51 (m, 3H, M and m), 6.75 (t, = 7.5 Hz, 1H, m), 6.92-7.11 (m, 3H, M and m), 7.24-7.44 (m, 3H, M and m), 7.52 (t, *J* = 7.5 Hz, 1H, m), 7.60-8.39 (m, 11H, M and m).

¹³C-NMR (90 MHz, 298 K, CDCl₃) (δ, ppm) : 23.7, 25.2, 27.3, 27.4, 28.2, 28.3, 28.4, 30.7, 45.8, 46.2, 56.0, 59.6, 62.8, 85.2, 85.7, 97.0, 99.0, 104.6, 104.7, 114.9, 115.3, 116.0, 116.1, 118.2,

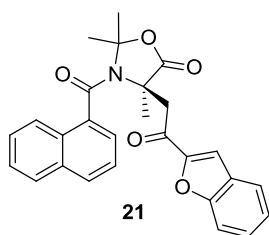
119.0, 123.1, 124.1, 124.2, 124.5, 124.9, 125.3, 126.0, 126.6, 127.0, 127.2, 127.5, 127.7, 128.1, 128.2, 128.4, 128.8, 129.5, 129.6, 129.8, 130.0, 130.1, 130.5, 131.0, 132.9, 133.2, 133.6, 133.7, 134.4, 134.7, 148.6, 148.9, 157.3, 157.5, 167.5, 168.6, 174.0, 174.4, 190.1, 193.2.

IR (cm⁻¹) : 1542, 1642, 1662, 1744, 1790, 2852, 2932, 2983.

HRMS (electrospray, Na⁺) : Calculated for C₃₃H₃₅N₂O₇ : 571.2439; found : 571.2426.

Melting point : 125-127 °C.

Preparation of 3-(1-naphthoyl)-4-(benzofuran-2-carbonyl)-2,2,4-trimethyloxazolidin-5-one (21) :



Compound 21 was prepared according to method B :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.35 mmol, 100 mg) and 1-(benzofuran-2-yl)ethanone (3 equiv., 1.06 mmol, 170 mg), in presence of a solution of potassium bis(trimethylsilyl)amide (7 equiv., 2.47 mmol, 2.47 mL of a solution 1.0 M in THF) was added copper (II) 2-ethylhexanoate (4 equiv., 1.41 mmol, 511 mg). The reaction mixture was stirred for 10 minutes. The crude product (423 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 70/30). 3-(1-naphthoyl)-4-(benzofuran-2-carbonyl)-2,2,4-trimethyloxazolidin-5-one (109 mg, Yield=70 %, ee=50 %) was obtained as a white powder.

HPLC analysis : Column Chiralpack IC, hexane/ethanol : 90/05, 1 mL/min, T=35 °C, λ=291 nm, retention time of racemic (min.) : 54.87 (Major), 59.69.

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ, ppm) : (conformer ratio : 72/28) : 1.11 (s, 3H, m), 1.66 (s, 3H, M), 1.74 (s, 3H, m), 1.98 (s, 3H, m), 2.09 (s, 3H, M), 2.31 (d, *J* =17.7 Hz, 1H, m), 2.33 (s, 3H, M), 2.87 (d, *J* =18.8 Hz, 1H, M), 3.53 (d, *J* =17.7 Hz, 1H, m), 5.09 (d, *J* =18.8 Hz, 1H, M), 6.49-6.78 (m, 2H, M), 6.99-7.20 (m, 2H, m), 7.25-7.89 (m, 20H, M and m).

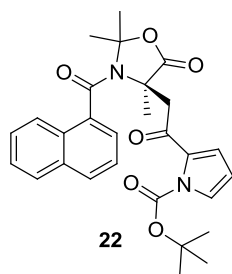
¹³C-NMR (90 MHz, 298 K, CDCl₃) (δ, ppm) : 11.8, 14.0, 22.7, 23.8, 25.1, 25.3, 27.2, 27.3, 28.3, 29.5, 30.6, 31.5, 45.1, 45.2, 59.1, 62.2, 97.3, 99.2, 112.4, 112.5, 112.7, 114.8, 123.3, 123.5, 123.8, 124.0, 124.3, 124.4, 124.5, 125.0, 125.2, 126.6, 126.7, 126.8, 127.0, 127.1, 127.4, 127.5, 127.6, 127.9, 128.4, 128.5, 128.8, 129.0, 129.8, 130.0, 130.1, 133.2, 133.6, 134.2, 134.5, 150.7, 151.7, 155.2, 156.2, 167.7, 168.7, 173.6, 174.0, 185.6, 187.8.

IR (cm⁻¹) : 1507, 1558, 1593, 1641, 1682, 1787, 2358, 2940, 2986, 3060.

HRMS (electrospray, Na⁺) : Calculated for C₂₇H₂₃NNaO₅ : 464.1468; found : 464.1454.

Melting point : 63-65 °C.

Preparation of *tert*-butyl 2-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-1*H*-pyrrole-1-carboxylate (22**) :**



Compound 22 was prepared according to method B :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and *tert*-butyl 2-acetyl-1*H*-pyrrole-1-carboxylate (3 equiv., 0.53 mmol, 111 mg), in presence of a solution of potassium bis(trimethylsilyl)amide (7 equiv., 1.25 mmol, 1.25 mL of a solution 1.0 M in THF) was added copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg). The reaction mixture was stirred for 10 minutes.

The crude product (197 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 70/30). *tert*-butyl 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-(thiophen-3-yl)ethyl)oxazolidin-5-one (18 mg, Yield=21 %, ee=82 %) was obtained as a white powder.

HPLC analysis : Column Chiralpack AD-H, hexane/ethanol : 90/10, 1 mL/min, T=25 °C, λ =222 nm, retention time of racemic (min.) : 21.57, 28.20 (Major).

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ , ppm) : (conformer ratio : 57/43) : 1.07 (s, 3H, m), 1.53-1.58 (m, 18H, M and m), 1.62 (s, 3H, M), 1.71 (s, 3H, M), 1.92 (s, 3H, M), 2.07 (s, 3H, m), 2.23 (d, *J* = 19.0 Hz, 1H, M), 2.35 (s, 3H, m), 2.79 (d, *J* = 19.0 Hz, 1H, m), 3.33 (d, *J* = 17.5 Hz, 1H, M), 4.88 (d, *J* = 17.5 Hz, 1H, m), 5.13-5.15 (m, 1H, m), 5.47 (t, *J* = 3.5 Hz, 1H, m), 6.15-6.18 (m, 1H, M), 6.20 (t, *J* = 3.5 Hz, 1H, M), 6.96-7.19 (m, 3H, M and m), 7.35-7.55 (m, 8H, M and m), 7.73-7.93 (m, 5H, M and m).

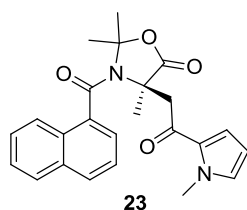
¹³C-NMR (75 MHz, 298 K, CDCl₃) (δ , ppm) : 23.7, 25.1, 27.2, 27.5, 27.7, 27.7, 28.6, 30.8, 45.9, 46.9, 59.5, 62.7, 85.6, 85.6, 97.0, 99.1, 109.9, 110.6, 121.3, 122.8, 123.6, 124.2, 124.4, 125.1, 125.2, 125.6, 126.1, 126.7, 126.8, 127.2, 127.5, 127.6, 128.0, 128.3, 128.8, 129.3, 129.8, 129.9, 130.0, 130.2, 131.0, 133.1, 133.2, 133.3, 134.5, 134.7, 149.3, 167.7, 168.7, 173.8, 174.2, 183.4, 187.3.

IR (cm⁻¹) : 1596, 1640, 1674, 1748, 1789, 2881, 2940, 2982, 3063.

HRMS (electrospray, Na⁺) : Calculated for C₂₈H₃₀N₂NaO₆ : 513.1996; found : 513.1983

Melting point : 51-53 °C.

Preparation of 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-(1-methyl-1*H*-pyrrol-2-yl)-2-oxoethyl)oxazolidin-5-one (23**) :**



Compound 23 was prepared according to method B :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.35 mmol, 100 mg) and *tert*-butyl 2-acetyl-1*H*-pyrrole-1-carboxylate (3 equiv., 1.06 mmol, 130 mg), in presence of a solution of potassium bis(trimethylsilyl)amide (7 equiv., 2.47 mmol, 2.47 mL of a solution 1.0 M in THF) was added copper (II) 2-ethylhexanoate (4 equiv., 1.41 mmol, 511 mg). The reaction mixture was stirred for 10 minutes. The crude product (421 mg) was

purified by flash chromatography (Cyclohexane/AcOEt : 70/30). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-(1-methyl-1*H*-pyrrol-2-yl)-2-oxoethyl)oxazolidin-5-one (107 mg, Yield=75 %, ee=45 %) was obtained as a white powder.

HPLC analysis : Column Chiralpack AD-H, hexane/ethanol : 95/05, 1 mL/min, T=25 °C, λ =288 nm, retention time of racemic (min.) : 35.85, 42.08 (Major).

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ , ppm) : (conformer ratio : 57/43) : 1.04 (s, 3H, m), 1.61 (s, 3H, M), 1.71 (s, 3H, m), 1.94 (s, 3H, m), 2.07 (s, 3H, M), 2.10 (d, *J* =18.7 Hz, 1H, M), 2.33 (s, 3H, M), 2.80 (d, *J* =18.7 Hz, 1H, M), 3.30 (d, *J* =16.9 Hz, 1H, m), 3.99 (s, 3H, M), 4.06 (s, 3H, m), 4.99 (d, *J* =16.5 Hz, 1H, m), 5.48-5.49 (m, 1H, m), 5.64-5.66 (m, 1H, m), 6.58-6.81 (m, 2H, M), 6.89-7.90 (m, 16H, M and m).

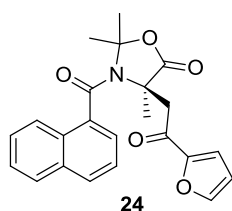
¹³C-NMR (75 MHz, 298 K, CDCl₃) (δ , ppm) : 22.6, 23.5, 23.8, 24.9, 25.2, 27.3, 28.2, 29.5, 30.7; 31.5, 37.4, 37.8, 45.1, 45.1, 59.6, 63.0, 96.7, 98.8, 108.1, 109.0, 118.1, 121.4, 123.0, 124.3, 124.6, 125.3, 126.2, 126.5, 126.7, 126.9, 127.4, 128.1, 128.3, 128.7, 129.6, 129.7, 130.0, 130.4, 132.1, 132.3, 133.2, 133.5, 134.0, 134.3, 134.7, 167.7, 168.3, 174.2, 174.5, 184.5, 187.3.

IR (cm⁻¹) : 1645, 1651, 1790, 2347, 2943, 3049.

HRMS (electrospray, Na⁺) : Calculated for C₂₄H₂₄N₂NaO₄ : 427.1628; found : 427.1610.

Melting point : 46-48 °C.

Preparation of 3-(1-naphthoyl)-4-(2-(furan-2-yl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (**24**) :



Compound 24 was prepared according to method B :

To a solution of (*S*)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and 1-(furan-2-yl)ethanone (3 equiv., 0.53 mmol, 58 mg), in presence of a solution of potassium bis(trimethylsilyl)amide (7 equiv., 1.25 mmol, 1.25 mL of a solution 1.0 M in THF) was added copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg). The reaction mixture was stirred for 10 minutes. The crude product (197 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 70/30). 3-(1-naphthoyl)-4-(2-(furan-2-yl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (27 mg, Yield=43 %, ee=75 %) was obtained as a white powder.

HPLC analysis : Column Chiralpack AD-H, hexane/ethanol : 90/10, 1mL/min, T=25 °C, λ =222 nm, retention time of racemic (min.) : 25.03 (Major), 29.57.

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ , ppm) : (conformer ratio : 69/31) : 1.13 (s, 3H, m), 1.62 (s, 3H, M), 1.73 (s, 3H, m), 1.94 (s, 3H, m), 2.07 (s, 3H, M), 2.18 (d, *J* = 19.6Hz, 1H, M), 2.31 (s, 3H, M), 2.75 (d, *J* = 19.6Hz, 1H, M), 3.40 (d, *J* = 17.7Hz, 1H, m), 4.95 (d, *J* = 17.7Hz, 1H, m), 6.21-6.58 (m, 3H, M and m), 6.91-7.21 (m, 4H, M and m), 7.35-7.90 (m, 13H, M and m).

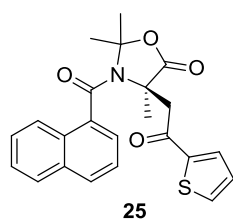
¹³C-NMR (90 MHz, 298 K, CDCl₃) (δ, ppm) : 23.8, 25.0, 27.2, 27.3, 28.2, 30.6, 44.6, 44.9, 59.0, 62.2, 97.0, 99.0, 112.0, 112.6, 116.5, 118.5, 123.4, 124.1, 124.3, 124.4, 124.9, 125.2, 126.5, 126.6, 127.2, 127.3, 127.4, 127.8, 128.2, 128.6, 129.6, 129.8, 129.9, 133.0, 133.1, 134.1, 146.0, 147.3, 150.9, 151.8, 167.5, 168.4, 173.5, 173.9, 183.4, 185.7.

IR (cm⁻¹) : 1467, 1508, 1571, 1640, 1673, 1788, 2939, 2985, 3059, 3134.

HRMS (electrospray, Na⁺) : Calculated for C₂₃H₂₁NNaO₅ : 414.1312; found : 414.1301.

Melting point : 64-66 °C.

Preparation of 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-(thiophen-2-yl)ethyl)oxazolidin-5-one (25) :



Compound **25** was prepared according to method B :

To a solution of (S)-3-(1-naphthoyl)-2,2,4-trimethyloxazolidin-5-one (1 equiv., 0.18 mmol, 50 mg) and 1-(thiophen-3-yl)ethanone (3 equiv., 0.53 mmol, 57 μL), in presence of a solution of potassium bis(trimethylsilyl)amide (7 equiv., 1.25 mmol, 1.25 mL of a solution 1.0 M in THF) was added copper (II) 2-ethylhexanoate (4 equiv., 0.71 mmol, 256 mg). The reaction mixture was stirred for 10 minutes. The crude product (98 mg) was purified by flash chromatography (Cyclohexane/AcOEt : 70/30). 3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-(thiophen-3-yl)ethyl)oxazolidin-5-one (42 mg, Yield=58 %, ee=72 %) was obtained as a white powder.

HPLC analysis : Column Chiralpack AD-H, hexane/ethanol : 90/10, 1 mL/min, T=20 °C, λ= 280 nm, retention time of racemic (min.) : 27.16 (Major), 32.11.

¹H-NMR (360 MHz, 298 K, CDCl₃) (δ, ppm) (conformer ratio : 70/30) : 1.10 (s, 3H, m), 1.64 (s, 3H, M), 1.73 (s, 3H, m), 1.95 (s, 3H, m), 2.08 (s, 3H, M), 2.21 (d, J = 18.7Hz, 1H, M), 2.33 (s, 3H, M), 2.85 (d, J = 18.7Hz, 1H, M), 3.46 (d, J = 17.3Hz, 1H, m), 5.05 (d, J = 17.3Hz, 1H, m), 6.38-6.71 (m, 1H, M and m), 6.87-7.19 (m, 4H, M and m), 7.35-7.97 (m, 15H, M and m).

¹³C-NMR (90 MHz, 298 K, CDCl₃) (δ, ppm) : 23.8, 25.2, 27.3, 27.4, 28.4, 30.7, 45.5, 59.5, 62.6, 97.1, 99.1, 123.4, 123.8, 124.0, 124.3, 124.4, 124.6, 125.0, 125.3, 126.7, 126.9, 127.3, 127.5, 127.7, 127.8, 127.9, 128.4, 128.8, 129.8, 129.9, 130.1, 131.3, 133.0, 133.2, 133.7, 134.3, 134.6, 134.9, 135.1, 142.1, 143.4, 167.6, 168.6, 173.7, 174.0, 187.5, 190.1.

IR (cm⁻¹) : 1508, 1641, 1658, 1787, 2862, 2933, 2992, 3054, 3090, 3118.

HRMS (electrospray, Na⁺) : Calculated for C₂₃H₂₁NNaO₄S : 430.1083; found : 430.1073.

Melting point : 84-86 °C.

III- Crystallographic data

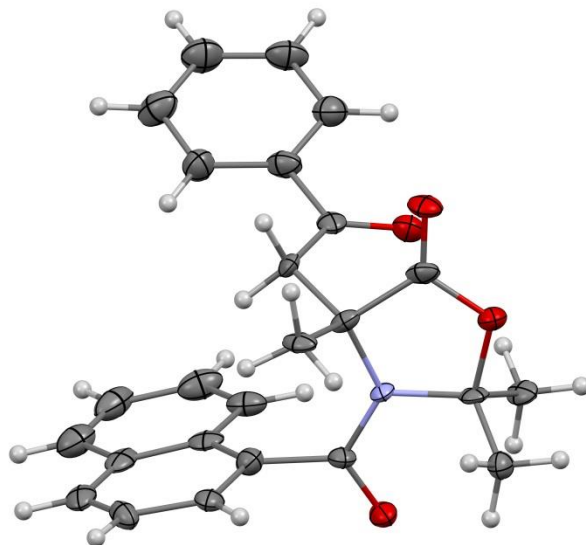


Fig. S1. An ORTEP drawing of compound **3a**. Thermal ellipsoids are shown at the 30% level.

X-ray diffraction data for compound **3a** was collected by using a VENTURE PHOTON100 CMOS Bruker diffractometer with Micro-focus I μ S source CuK α radiation. Crystals were mounted on a CryoLoop (Hampton Research) with Paratone-N (Hampton Research) as cryoprotectant and then flashfrozen in a nitrogen-gas stream at 100 K. For compound, the temperature of the crystal was maintained at the selected value by means of a 700 series Cryostream cooling device to within an accuracy of ± 1 K. The data were corrected for Lorentz polarization, and absorption effects. The structures were solved by direct methods using SHELXS-97^[11] and refined against F^2 by full-matrix least-squares techniques using SHELXL-2013^[12] with anisotropic displacement parameters for all non-hydrogen atoms. Hydrogen atoms were located on a difference Fourier map and introduced into the calculations as a riding model with isotropic thermal parameters. All calculations were performed by using the Crystal Structure crystallographic software package WINGX.^[13]

The crystal data collection and refinement parameters are given in Table X1.

CCDC 1851456 contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from the Cambridge Crystallographic Data Centre via <http://www.ccdc.cam.ac.uk/Community/Requestastructure>.

Table S1. Crystallographic data and structure refinement details.

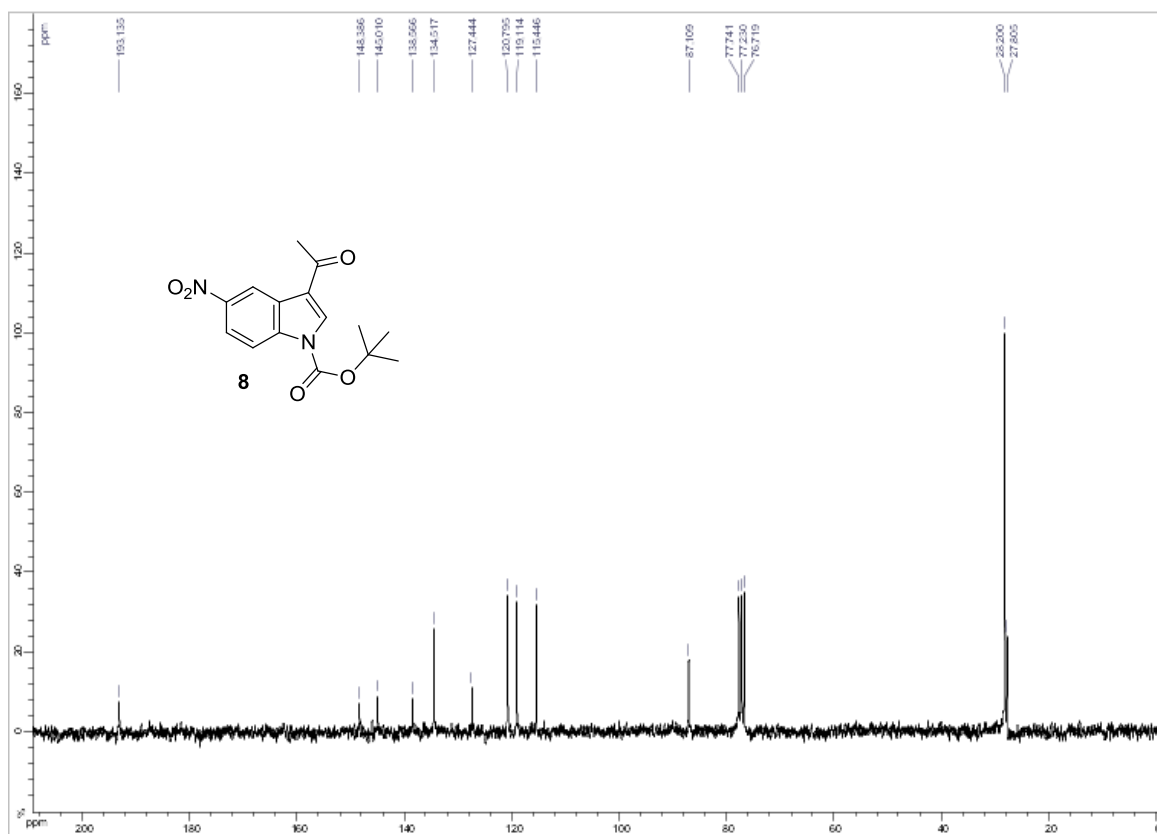
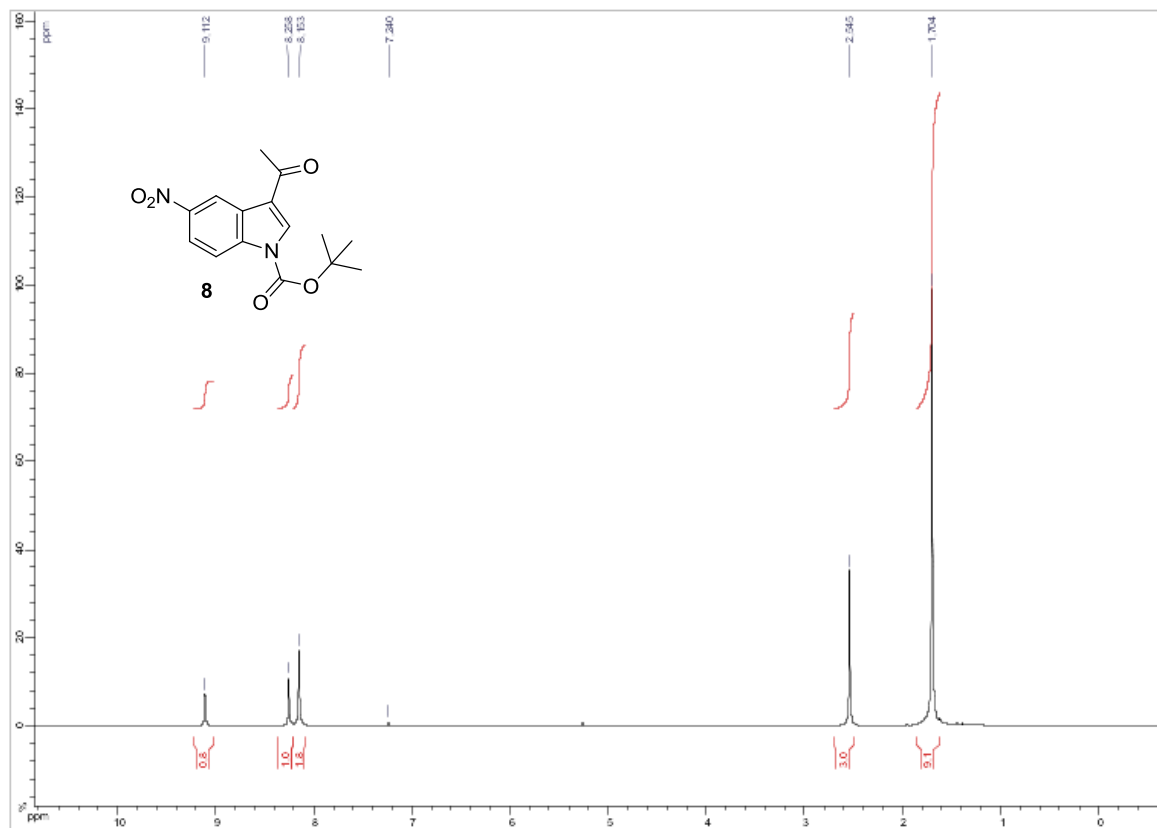
Compound	3a
Empirical Formula	C ₂₅ H ₂₃ N O ₄
<i>M_r</i>	401.44
Crystal size, mm ³	0.18 x 0.03 x 0.02
Crystal system	orthorhombic
Space group	<i>P n a 2</i> ₁
a, Å	52.223(2)
b, Å	15.6152(6)
c, Å	7.4921(2)
α, °	90
β, °	90
γ, °	90
Cell volume, Å ³	6109.6(4)
Z ; Z'	12 ; 3
T, K	100(1)
Radiation type ; wavelength Å	CuKα ; 1.54178
F ₀₀₀	2544
μ, mm ⁻¹	0.717
θ range, °	2.954 - 59.993
Reflection collected	48 375
Reflections unique	8 928
R _{int}	0.0378
GOF	0.981
Refl. obs. (<i>I</i> >2σ(<i>I</i>))	4 691
Parameters	821
wR ₂ (all data)	0.1855
R value (<i>I</i> >2σ(<i>I</i>))	0.0788
Largest diff. peak and hole (e ⁻ ·Å ⁻³)	0.259 ; -0.286

IV- References

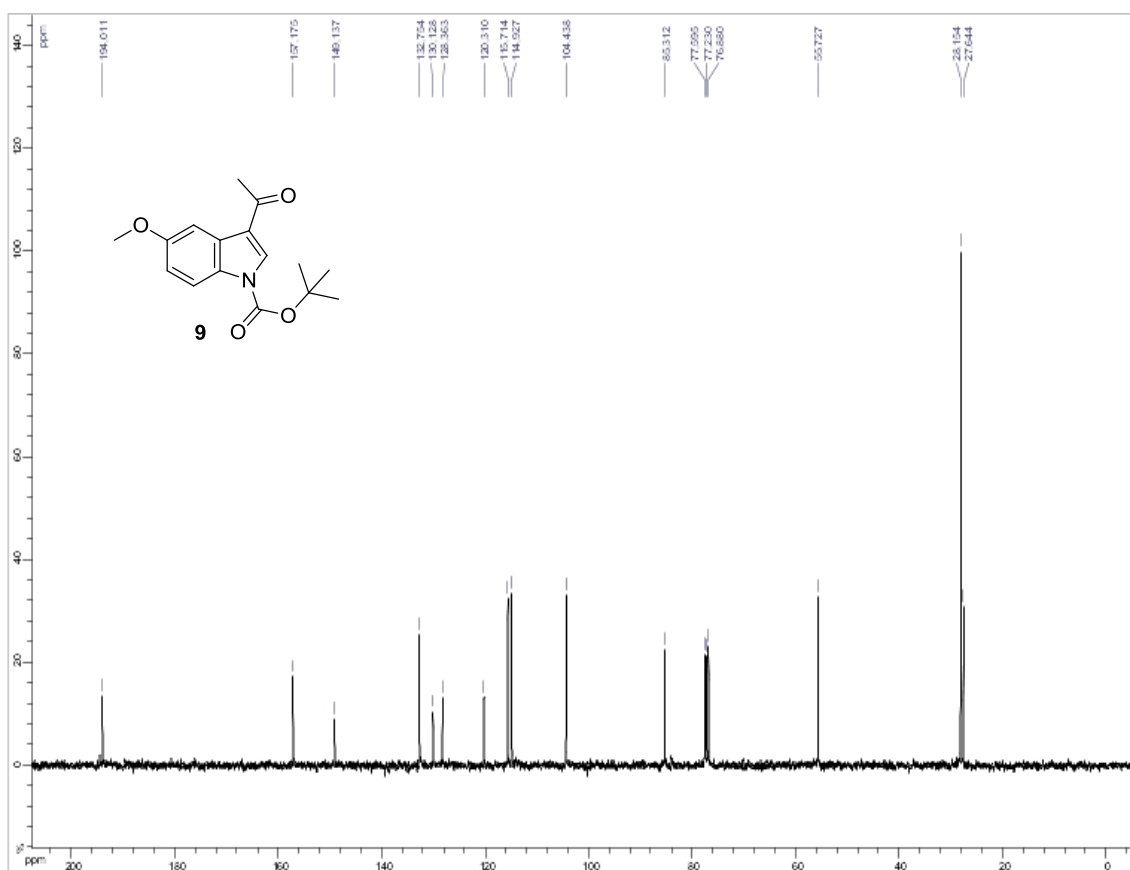
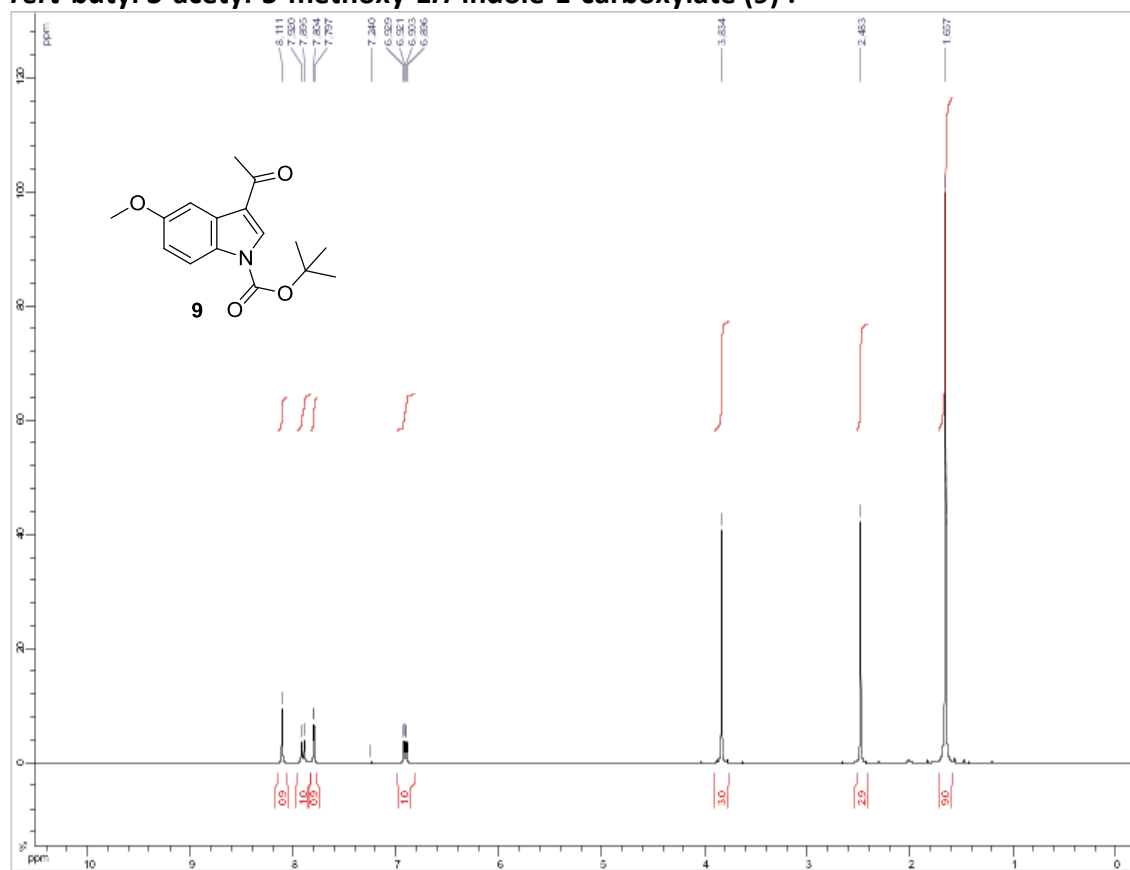
- [1] Branca, M.; Pena, S.; Guillot, R.; Gori, D.; Alezra, V.; Kouklovsky, C. *J. Am. Chem. Soc.* **2009**, *131*, 10711
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V- NMR data

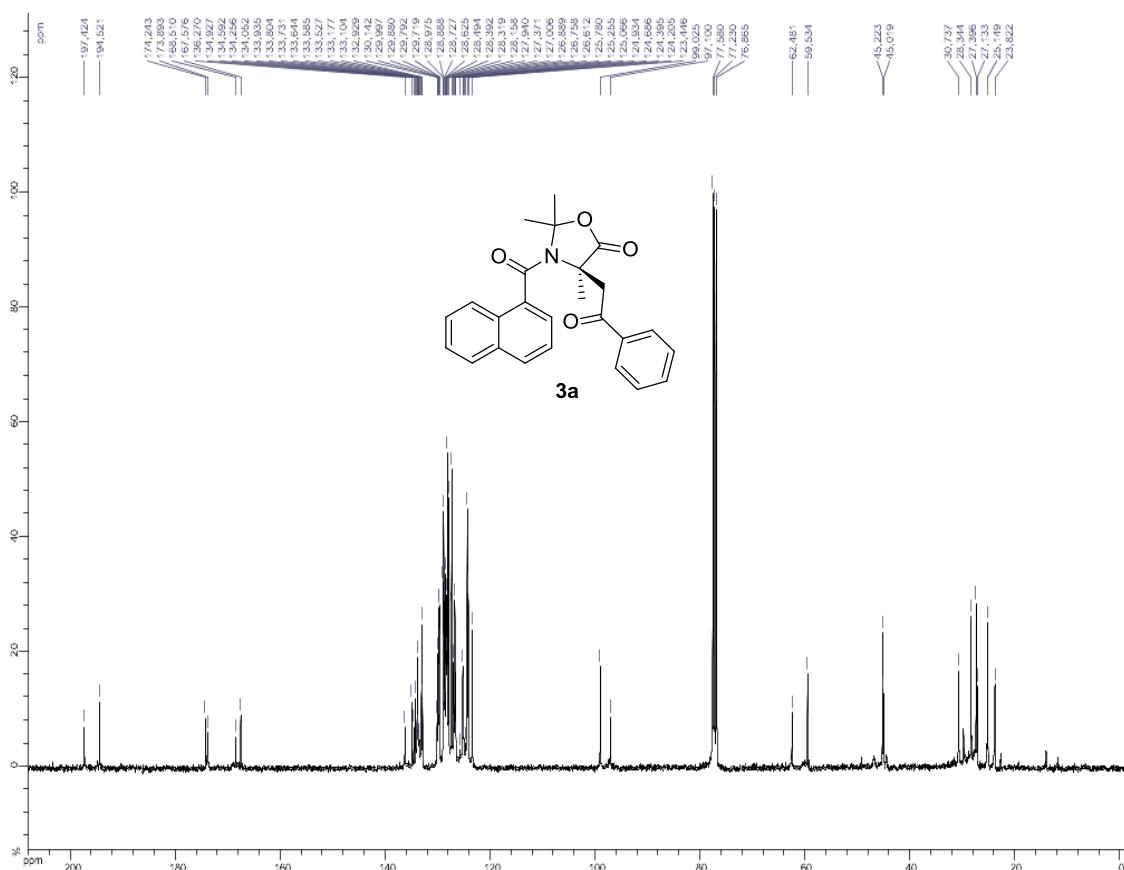
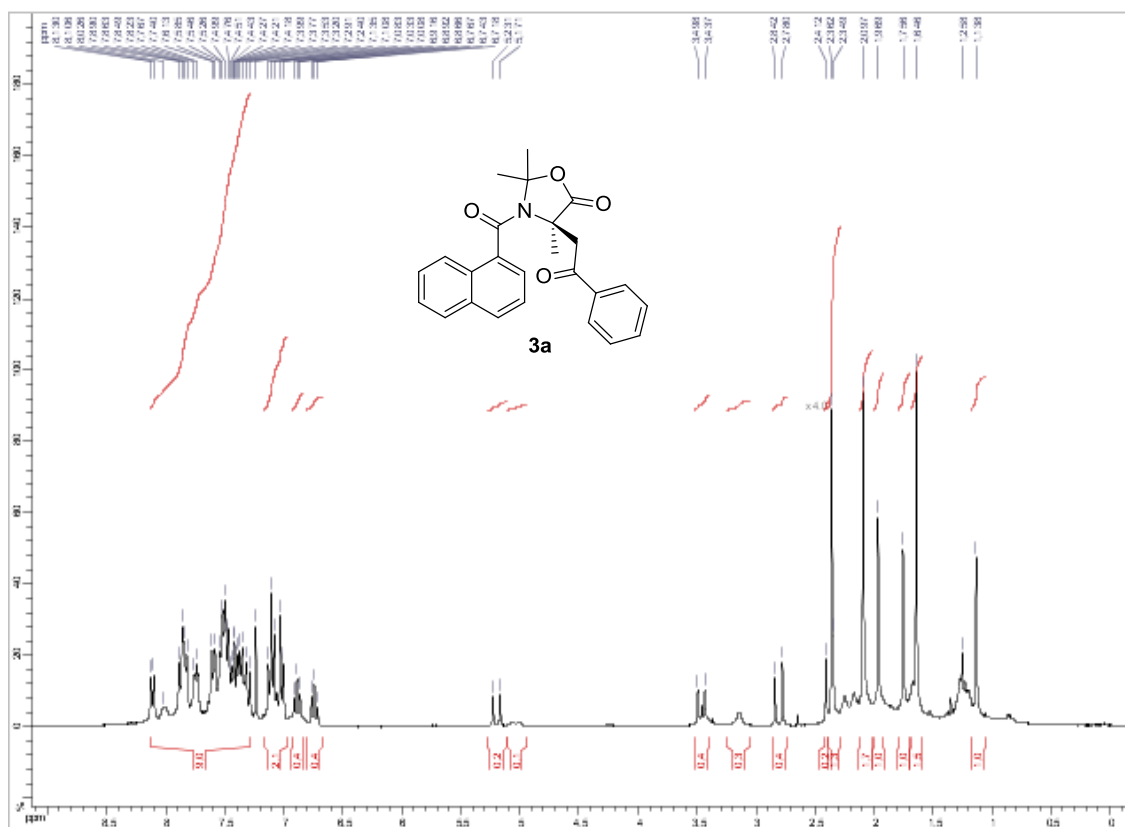
Tert-butyl 3-acetyl-5-nitro-1*H*-indole-1-carboxylate (**8**) :



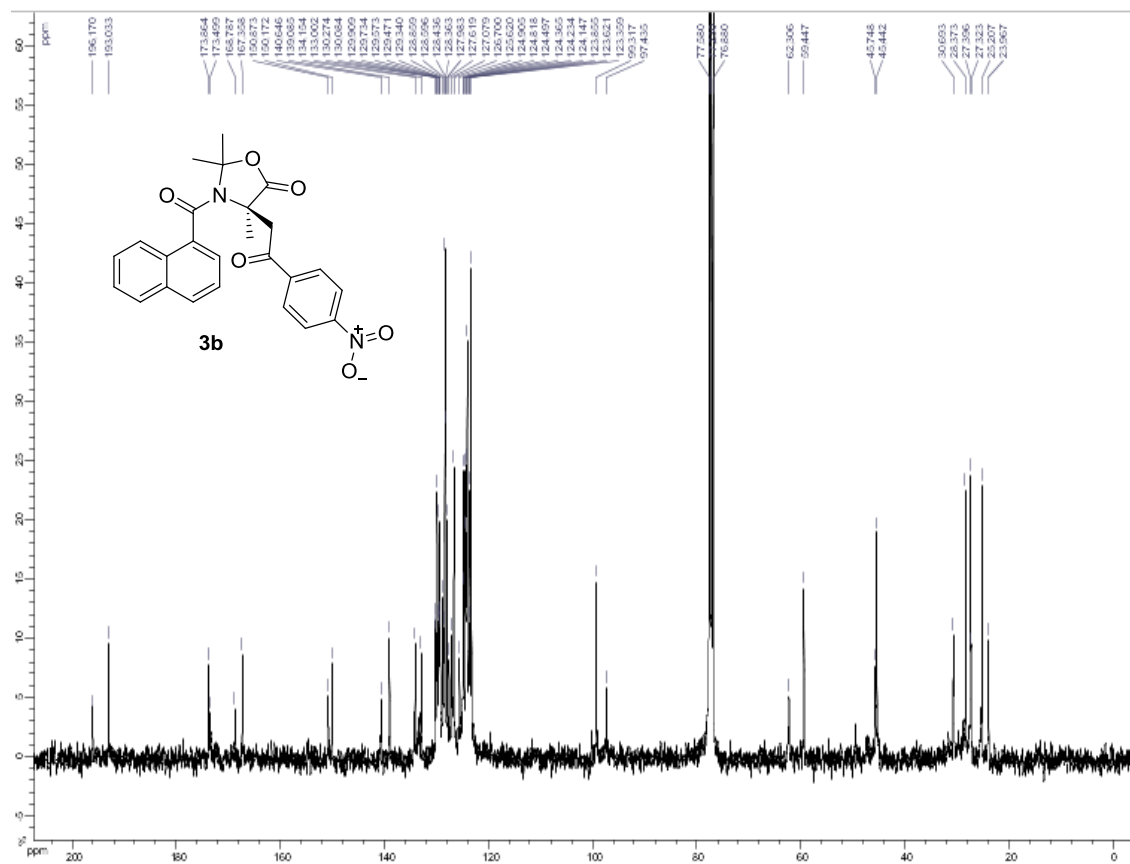
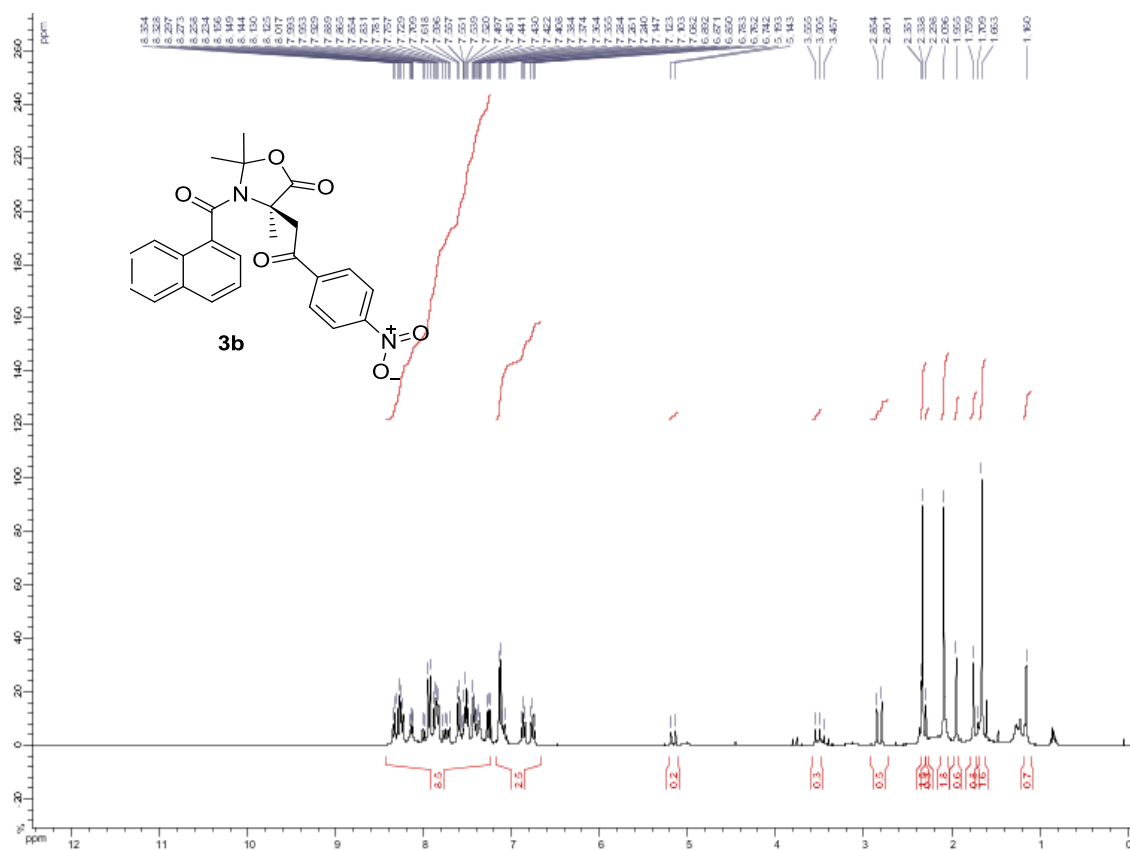
Tert-butyl 3-acetyl-5-methoxy-1H-indole-1-carboxylate (9) :



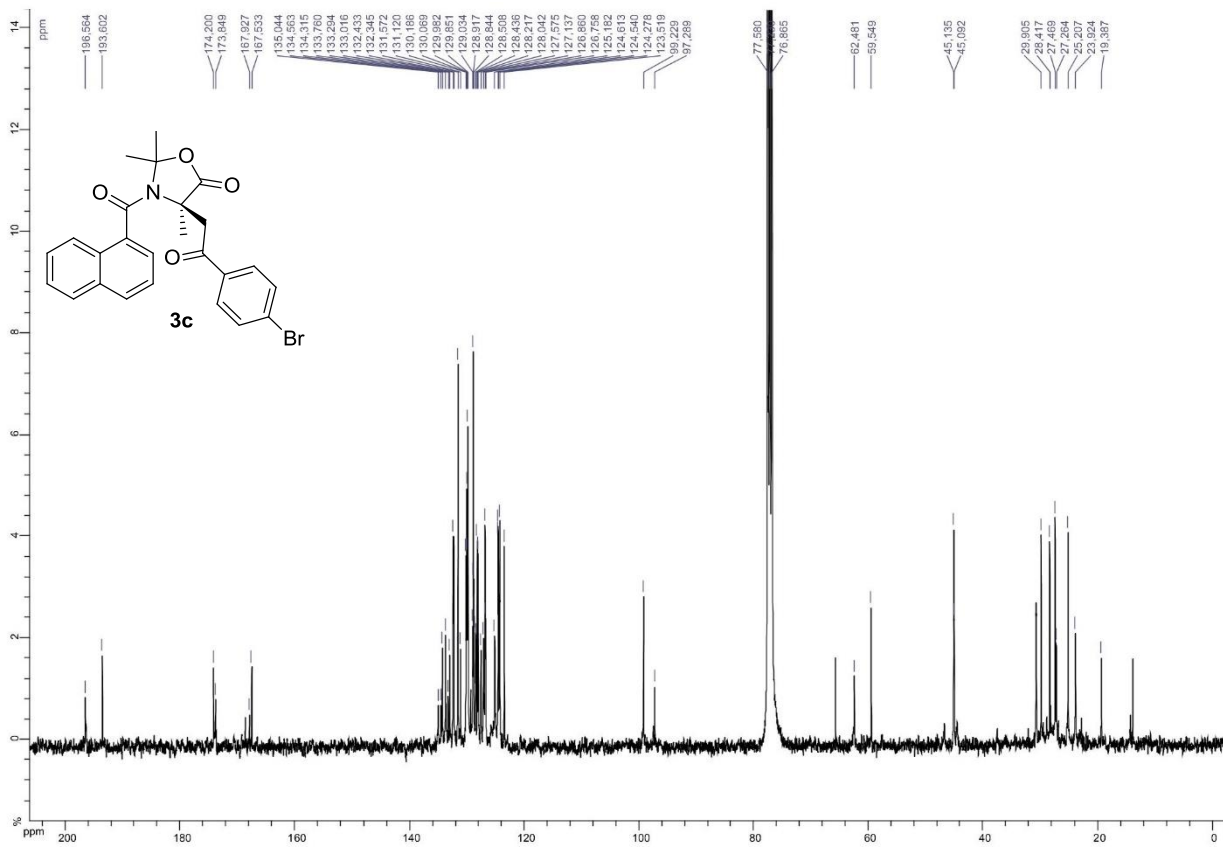
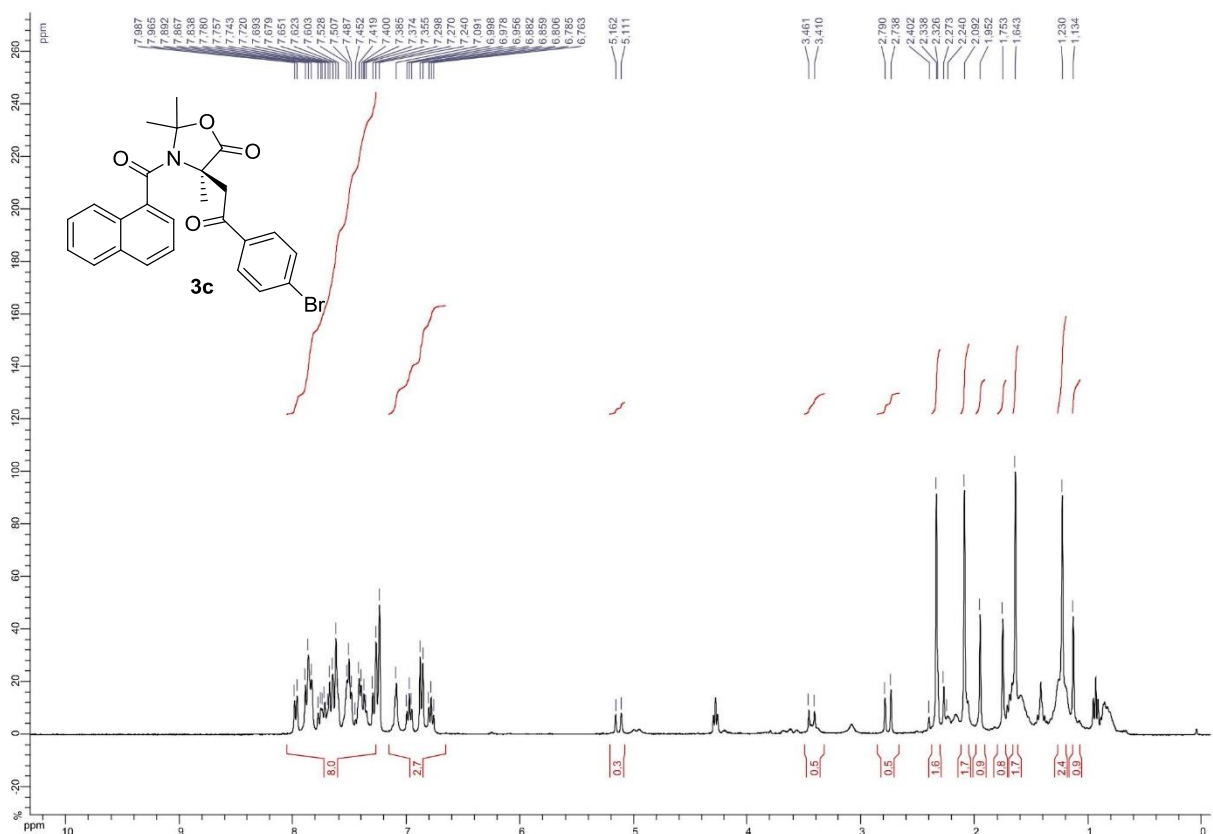
3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-phenylethyl)oxazolidin-5-one (3a) :



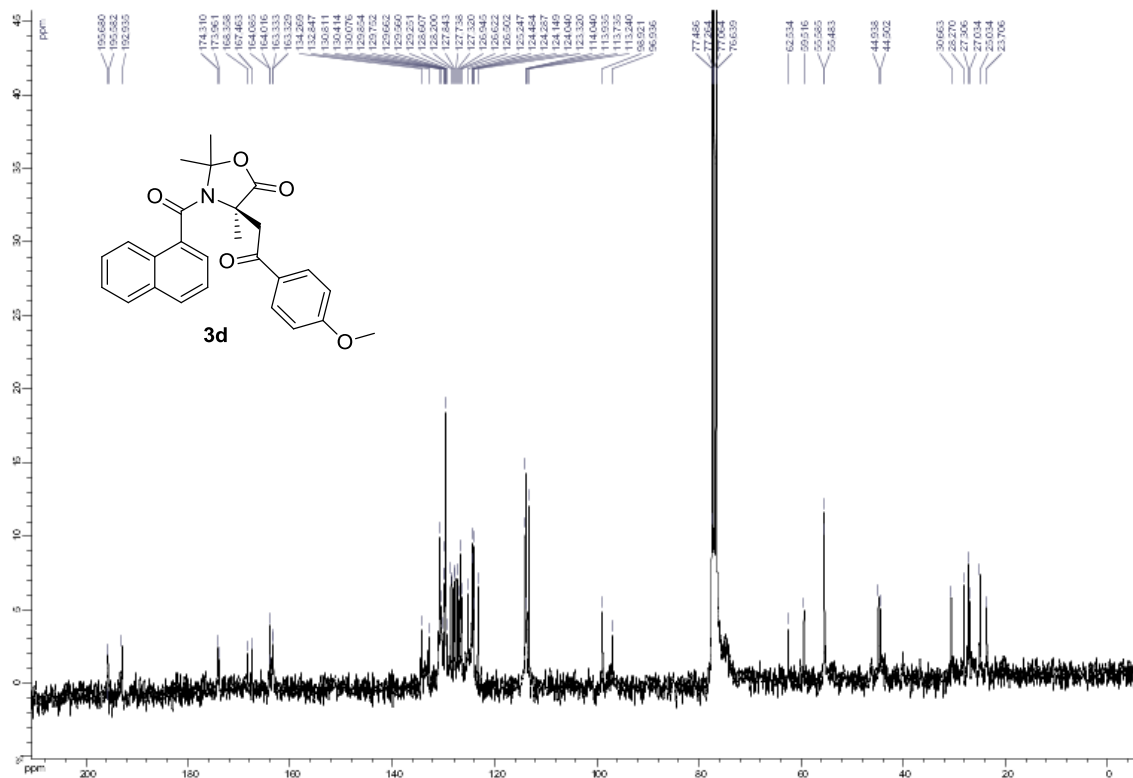
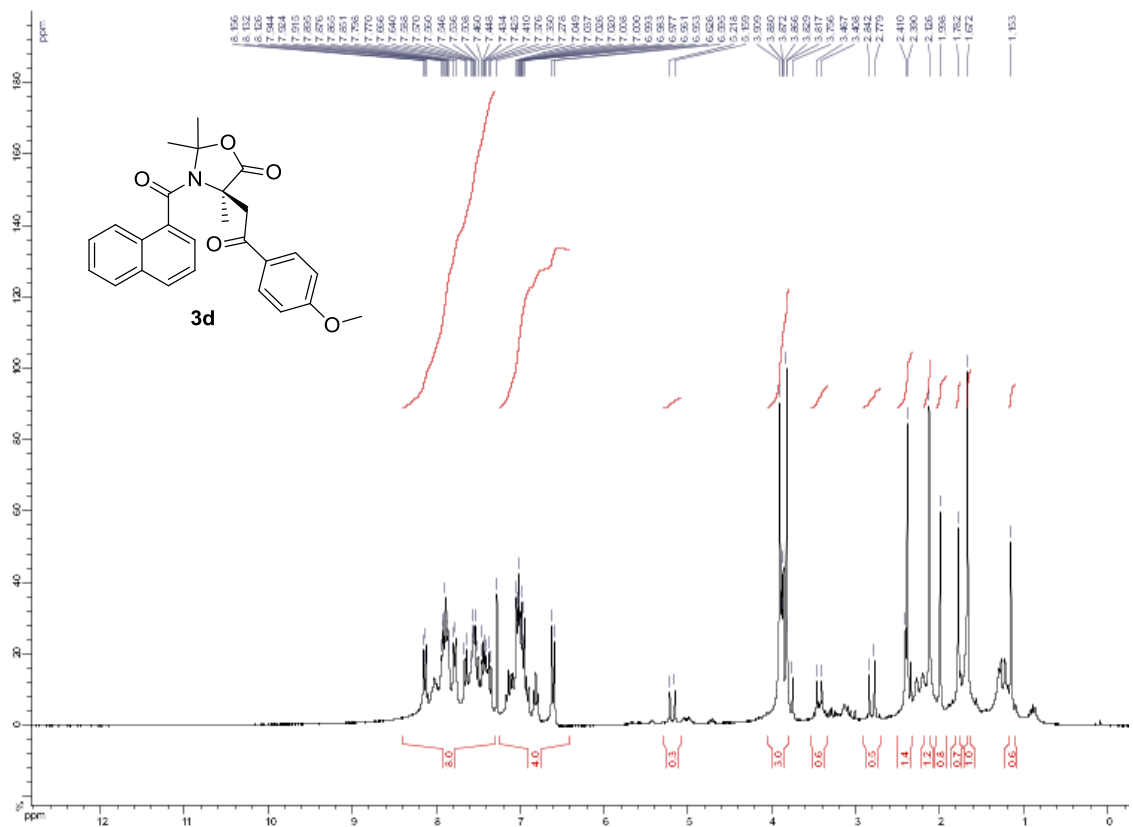
3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-(4-nitrophenyl)-2-oxoethyl)oxazolidin-5-one (3b) :



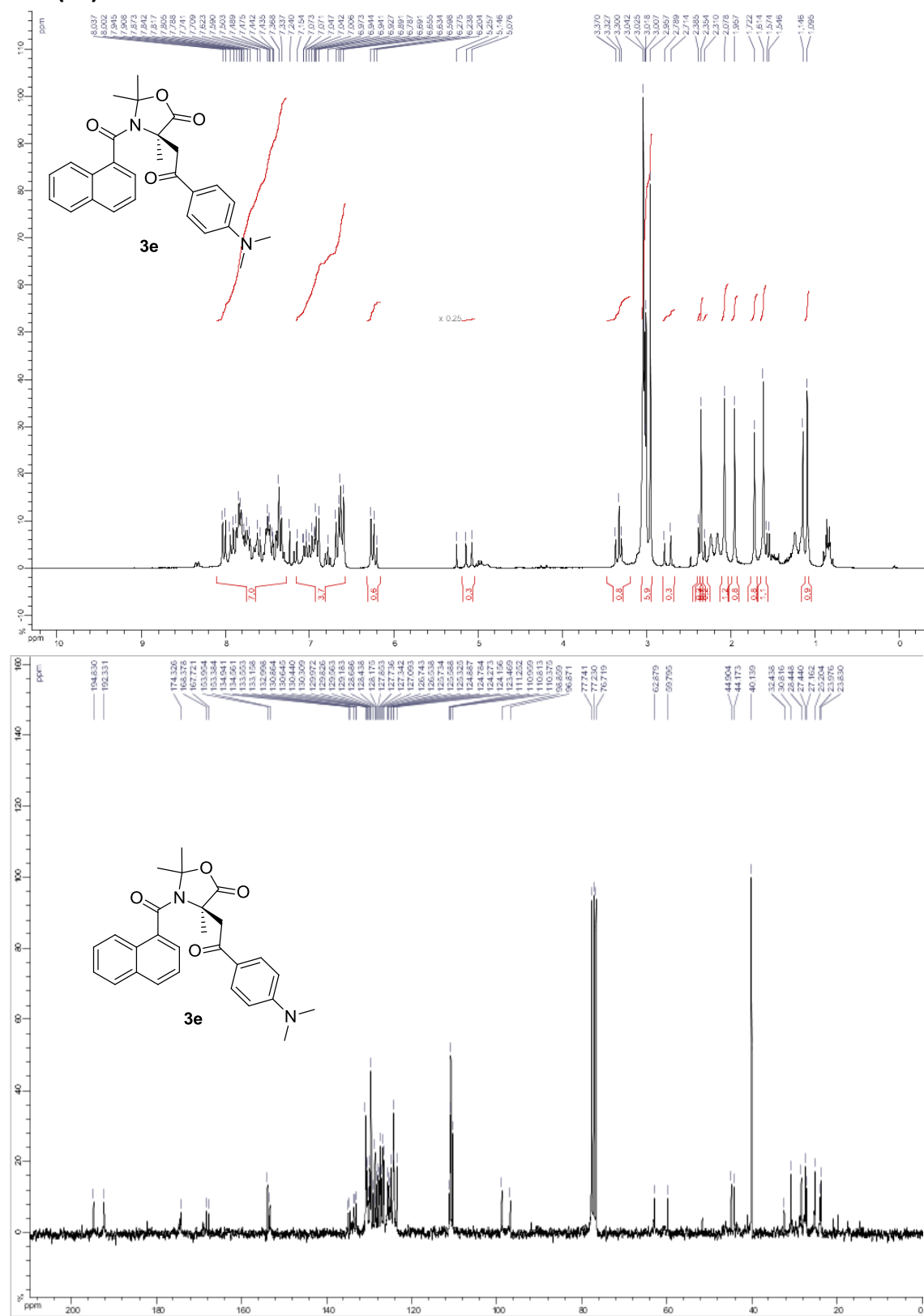
3-(1-naphthoyl)-4-(2-(4-bromophenyl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (3c) :



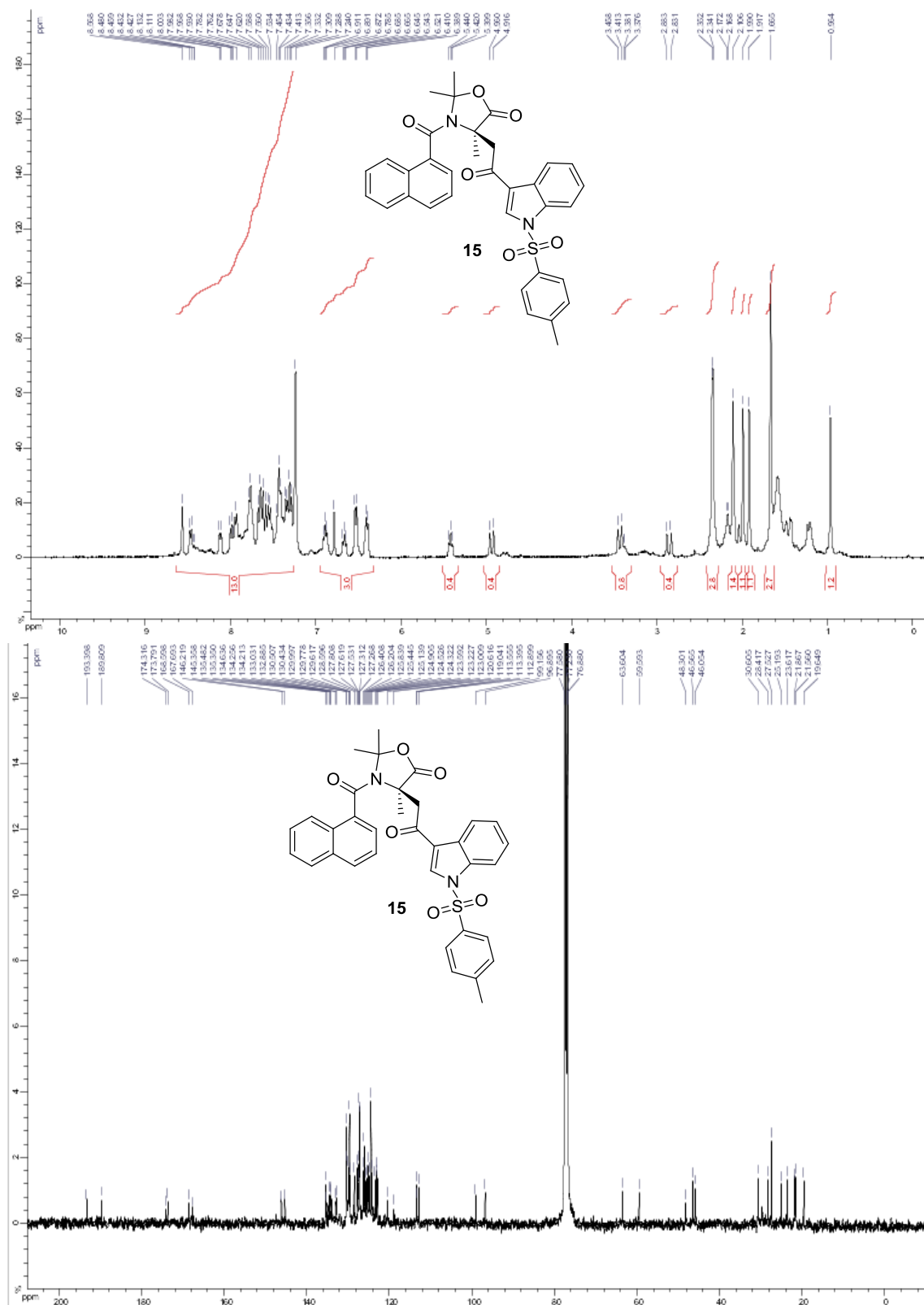
3-(1-naphthoyl)-4-(2-(4-methoxyphenyl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (3d) :



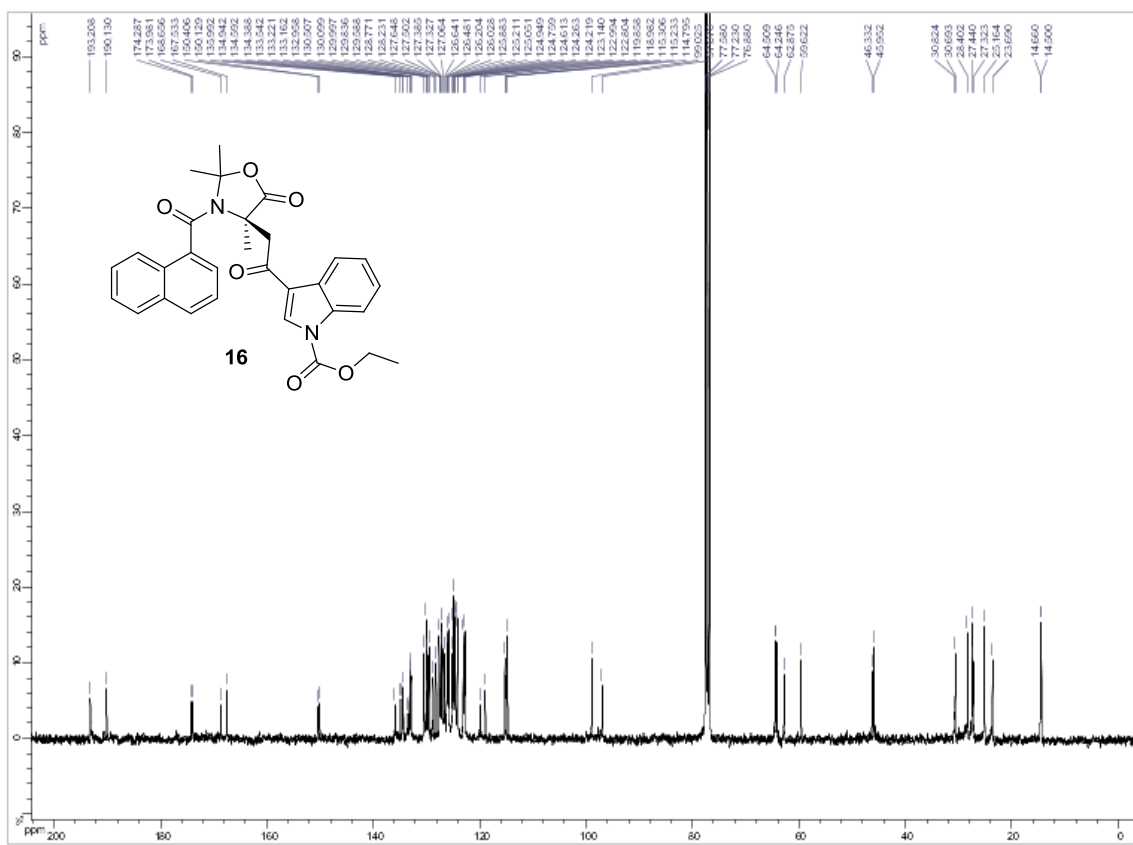
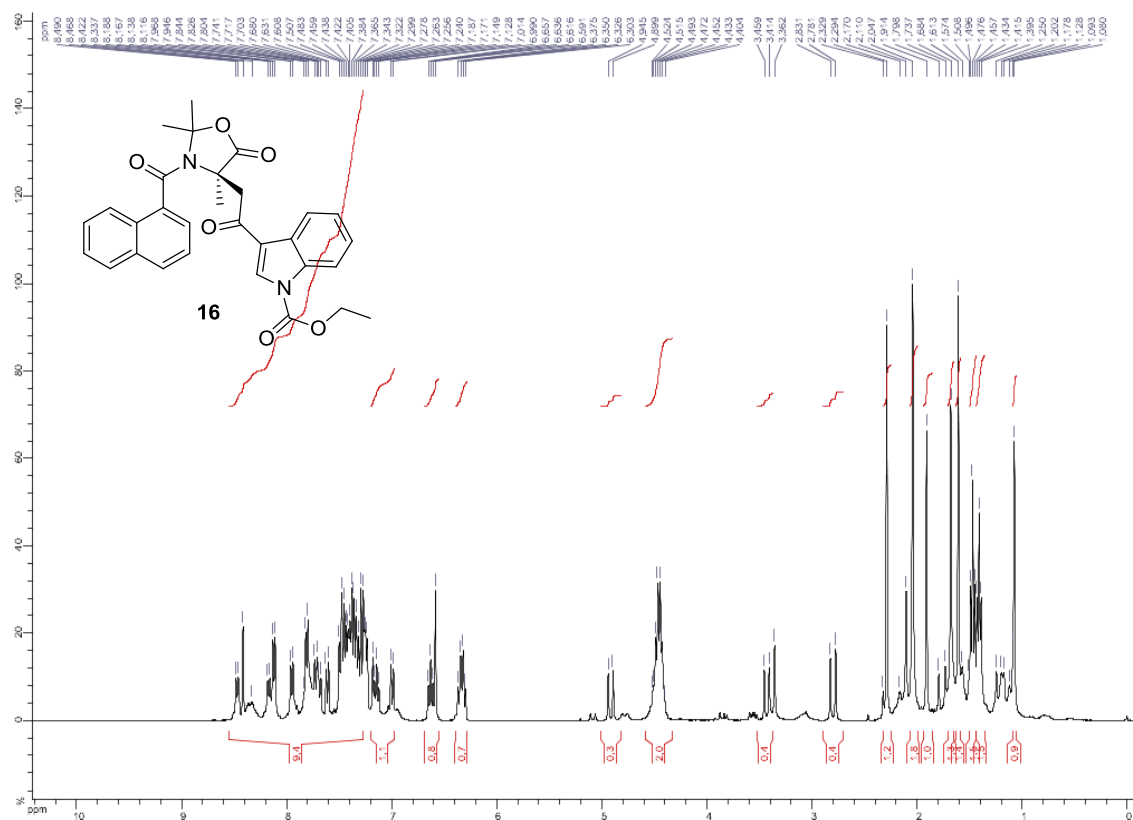
3-(1-naphthoyl)-4-(2-(4-(dimethylamino)phenyl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (3e) :



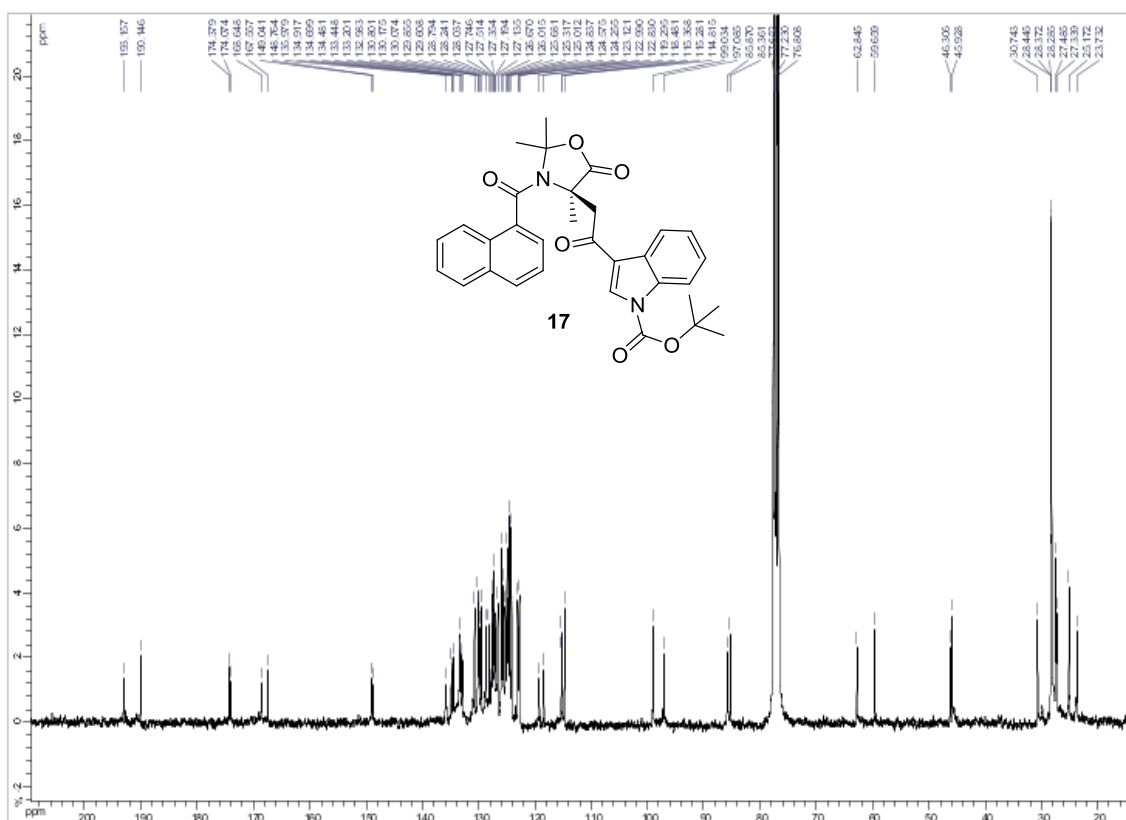
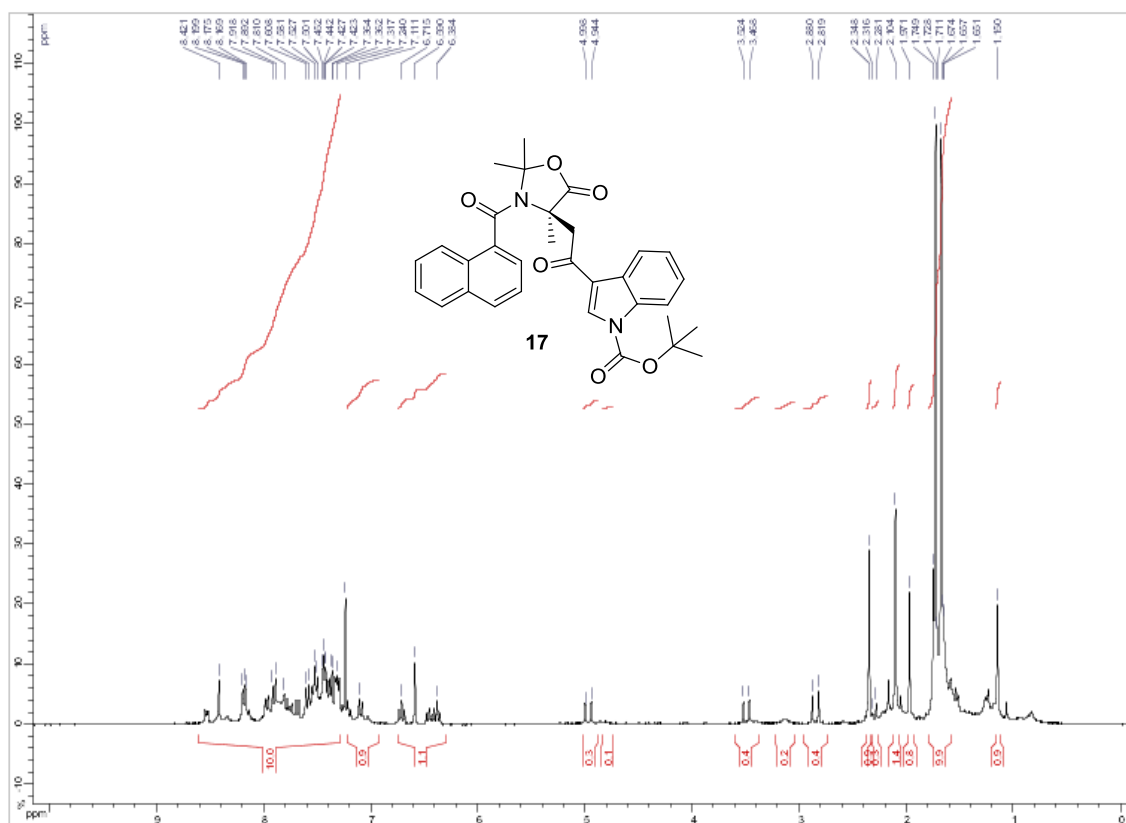
3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-(1-tosyl-1*H*-indol-3-yl)ethyl)oxazolidin-5-one (15) :



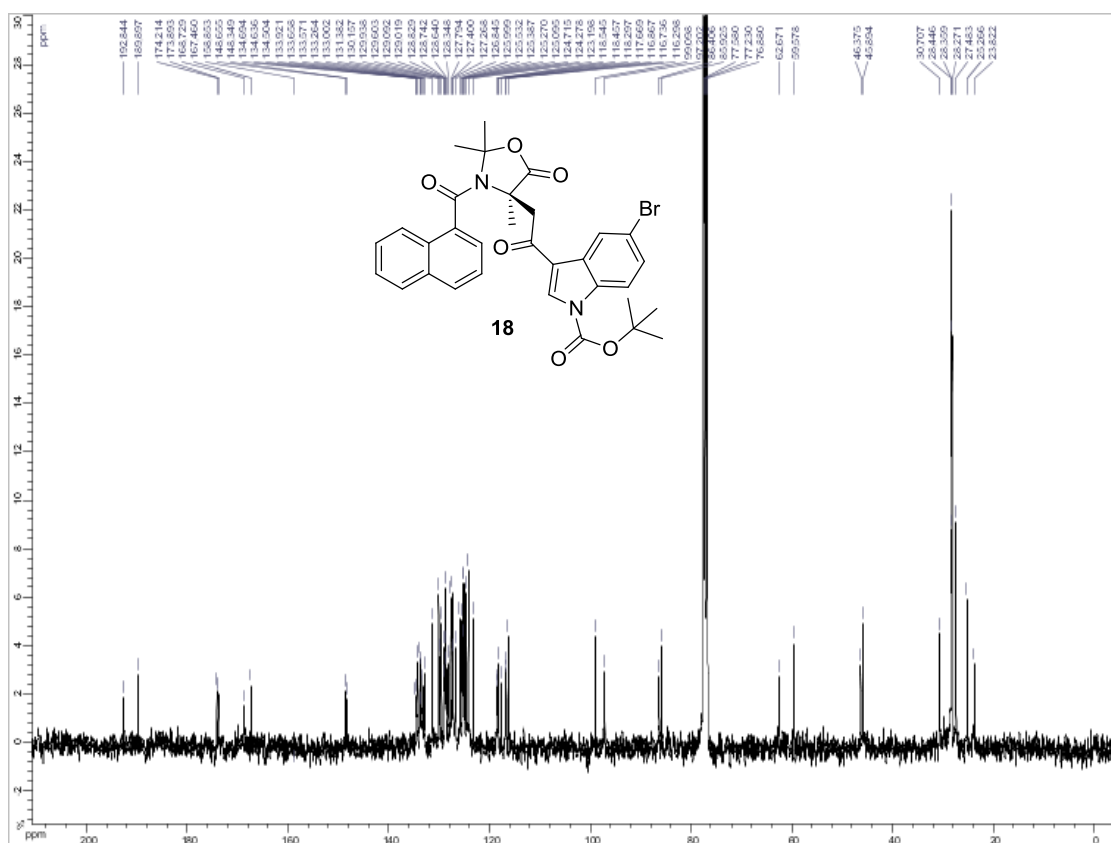
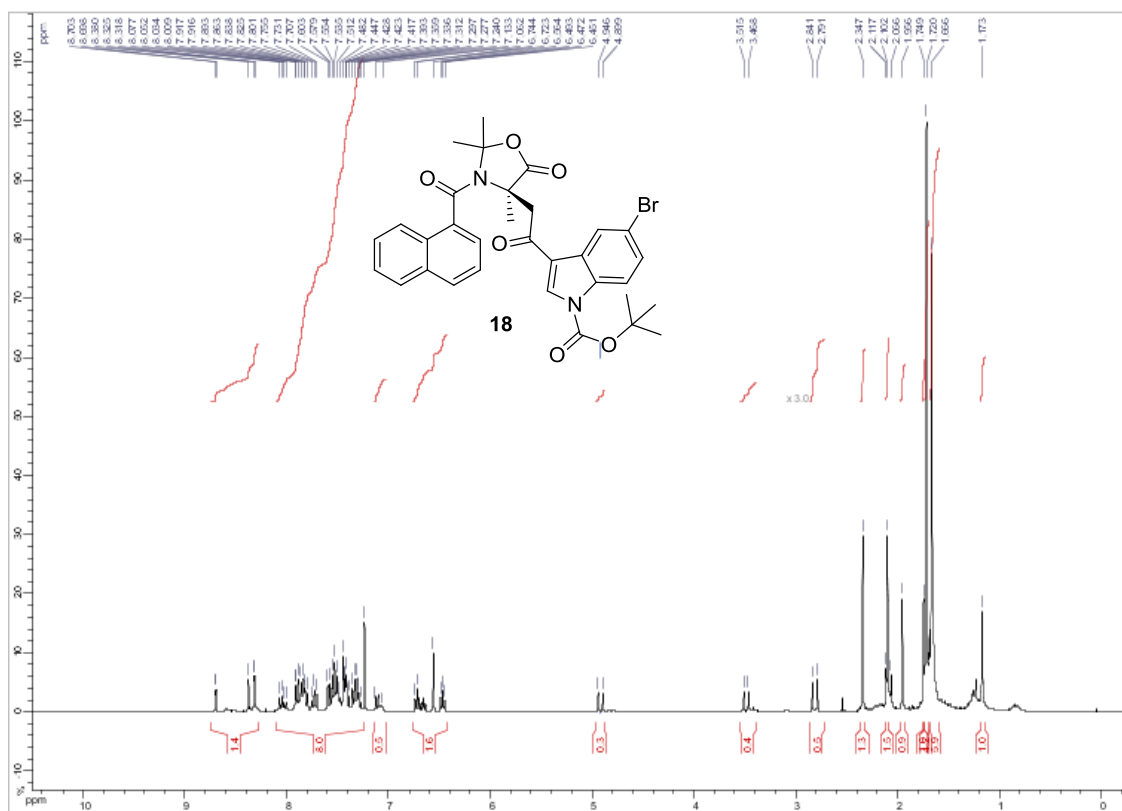
Ethyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-1H-indole-1-carboxylate (16) :



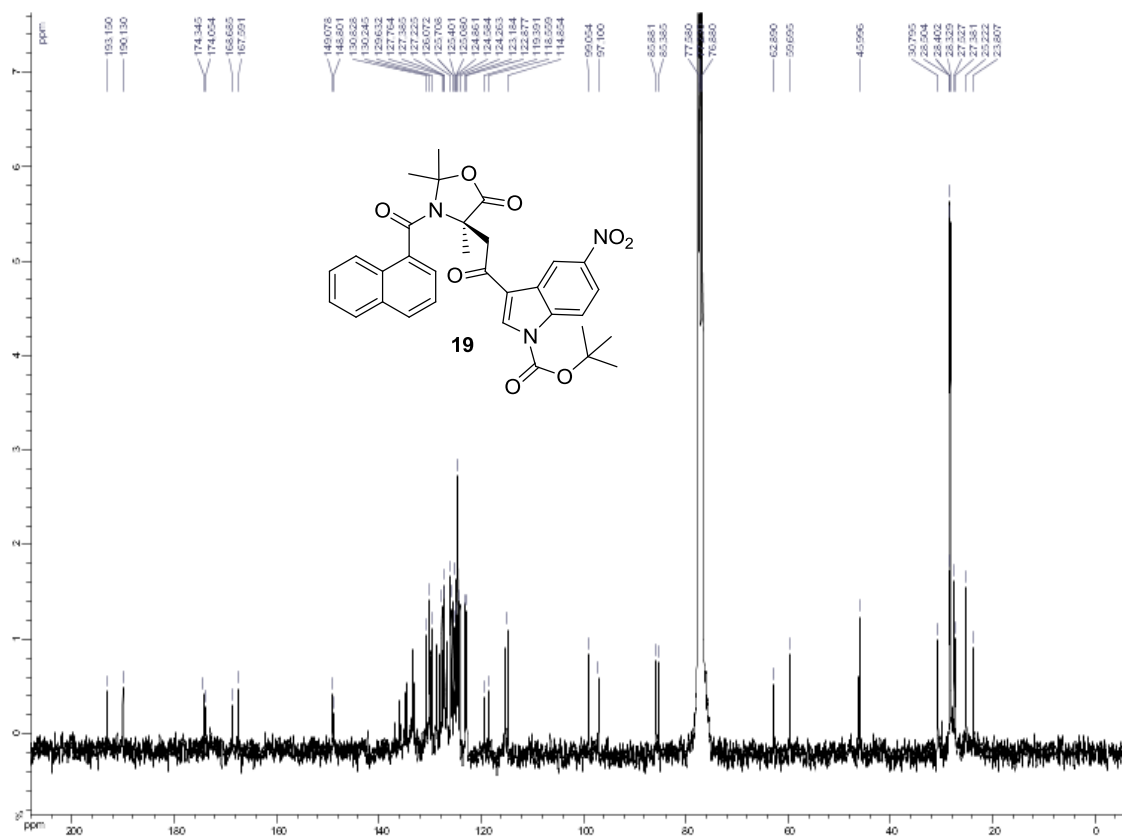
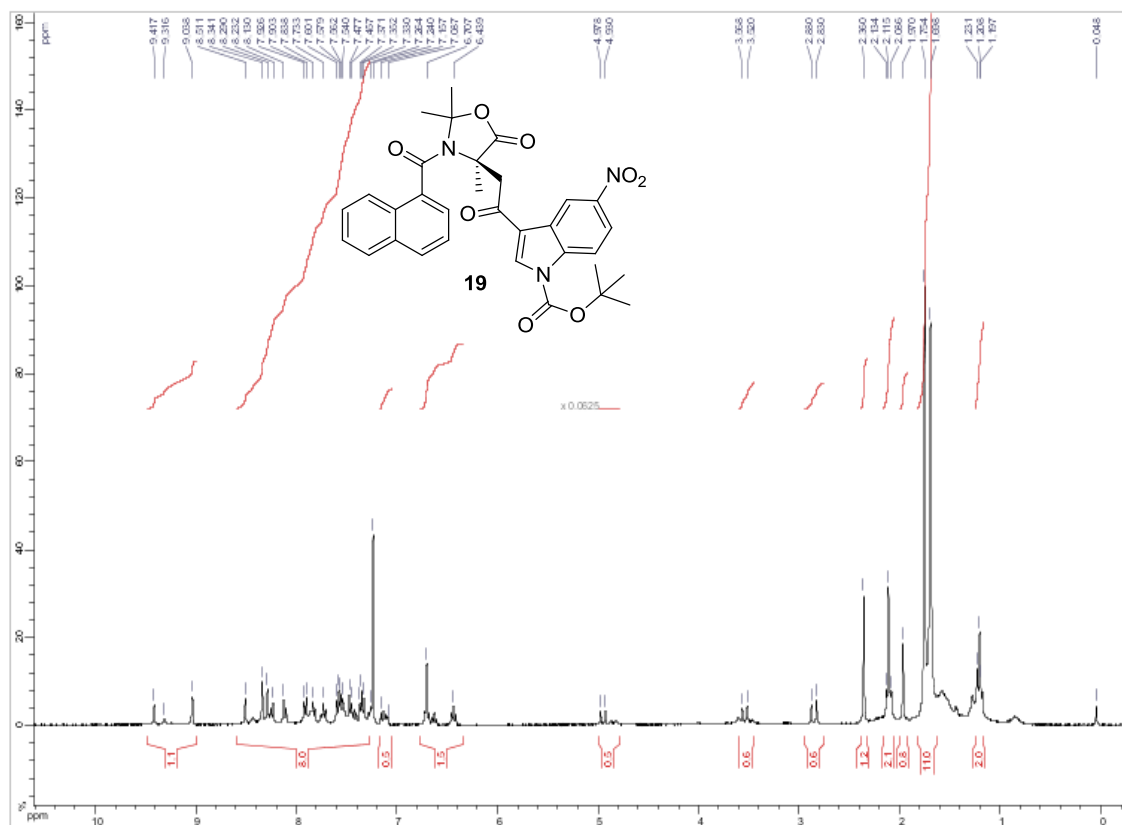
***Tert*-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-1*H*-indole-1-carboxylate (17) :**



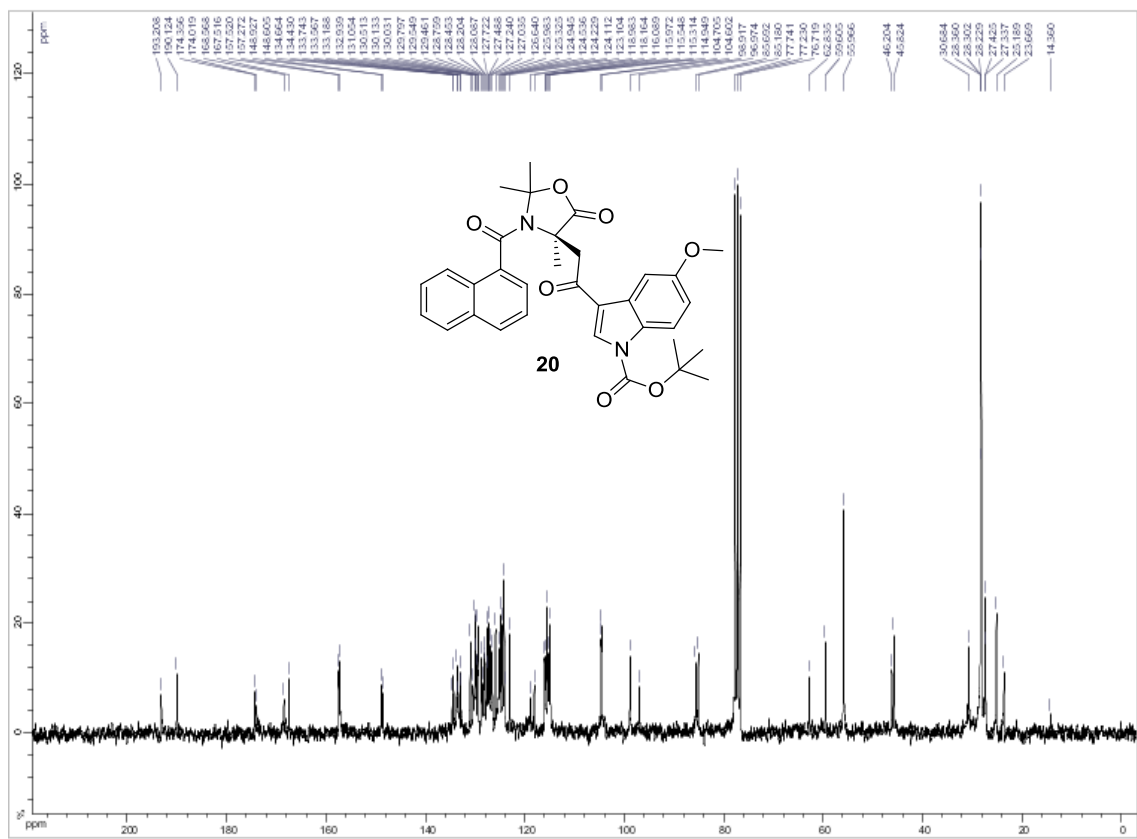
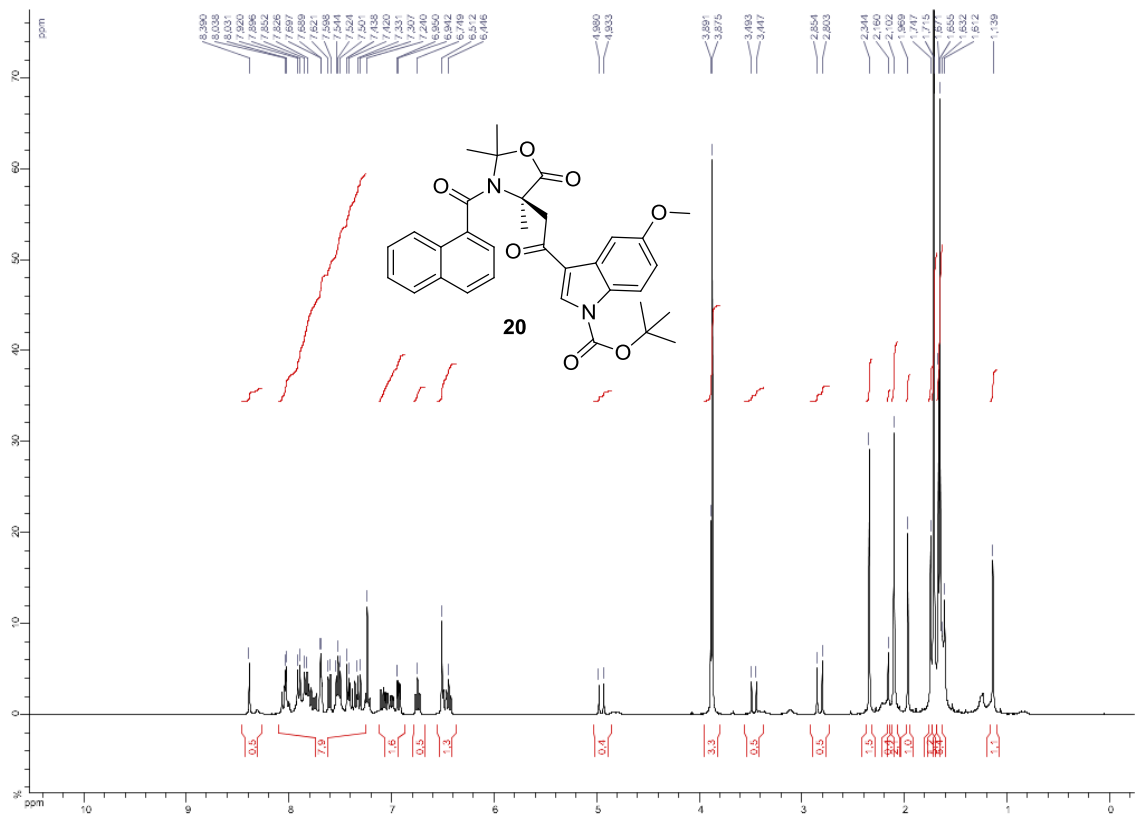
***tert*-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-bromo-1*H*-indole-1-carboxylate (18) :**



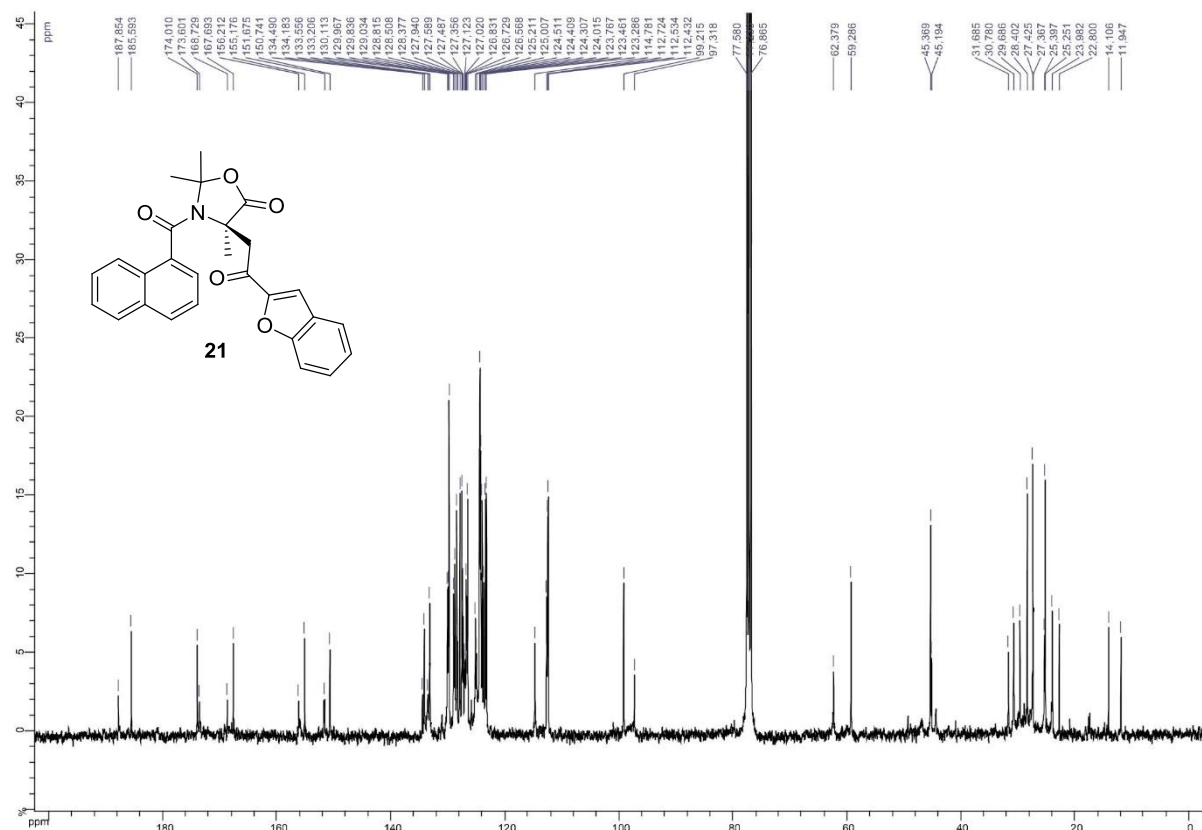
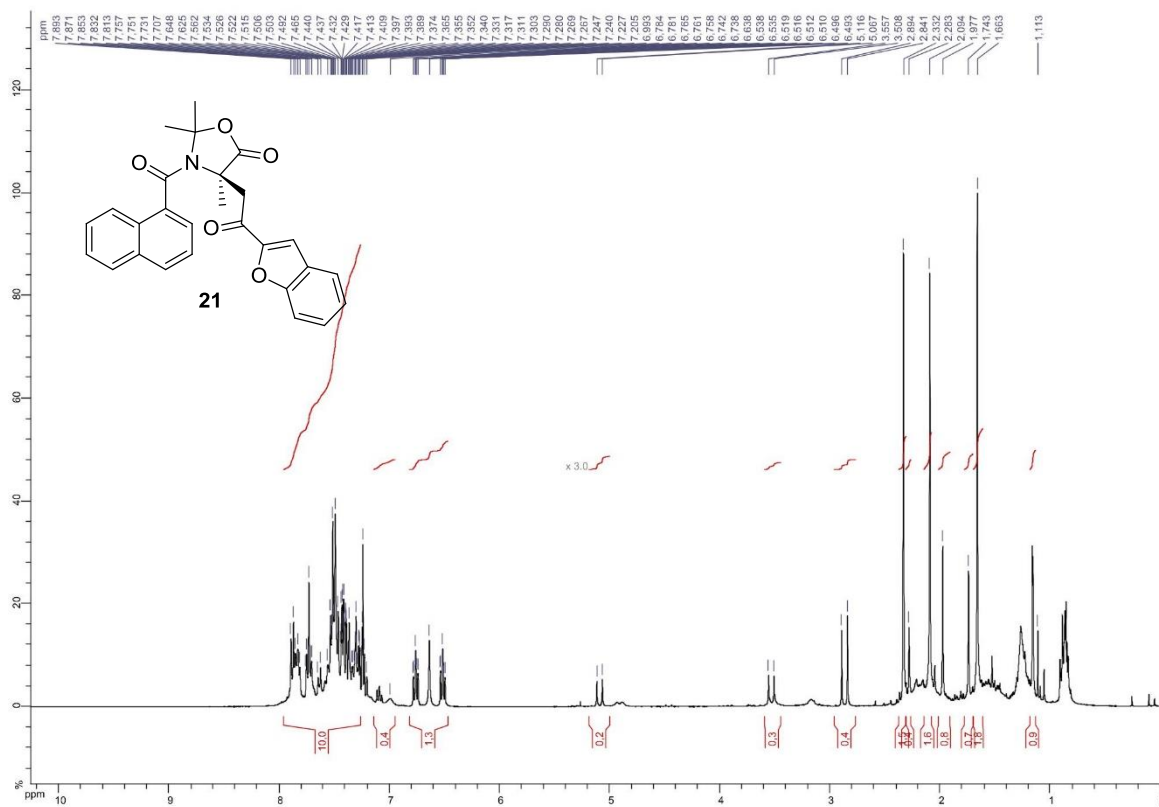
tert-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-nitro-1H-indole-1-carboxylate (19) :



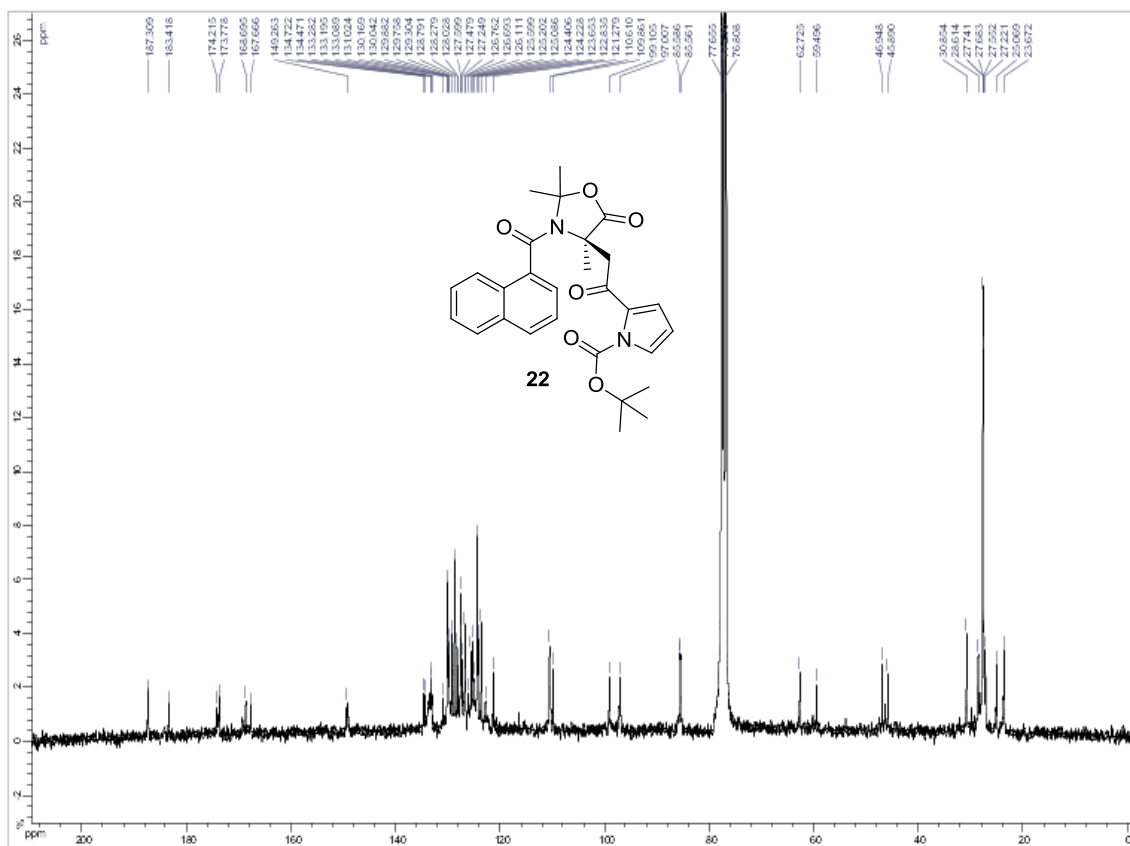
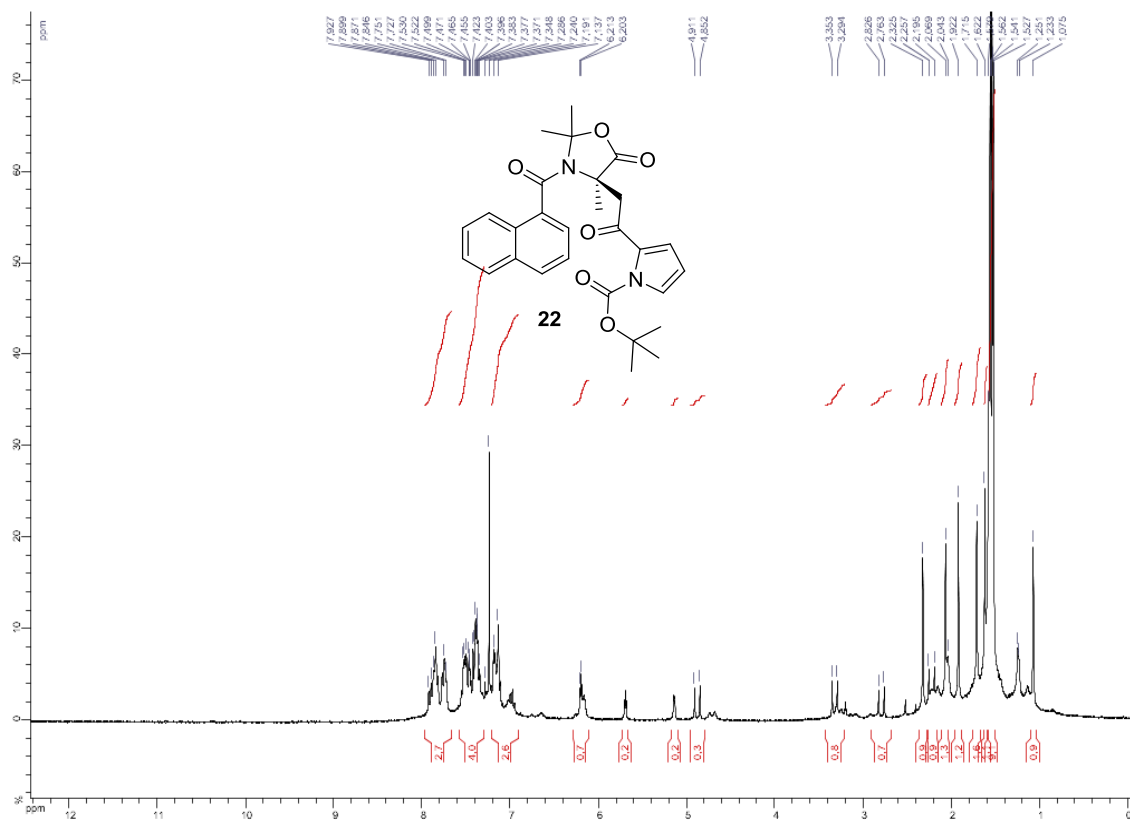
***tert*-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-methoxy-1*H*-indole-1-carboxylate (20) :**



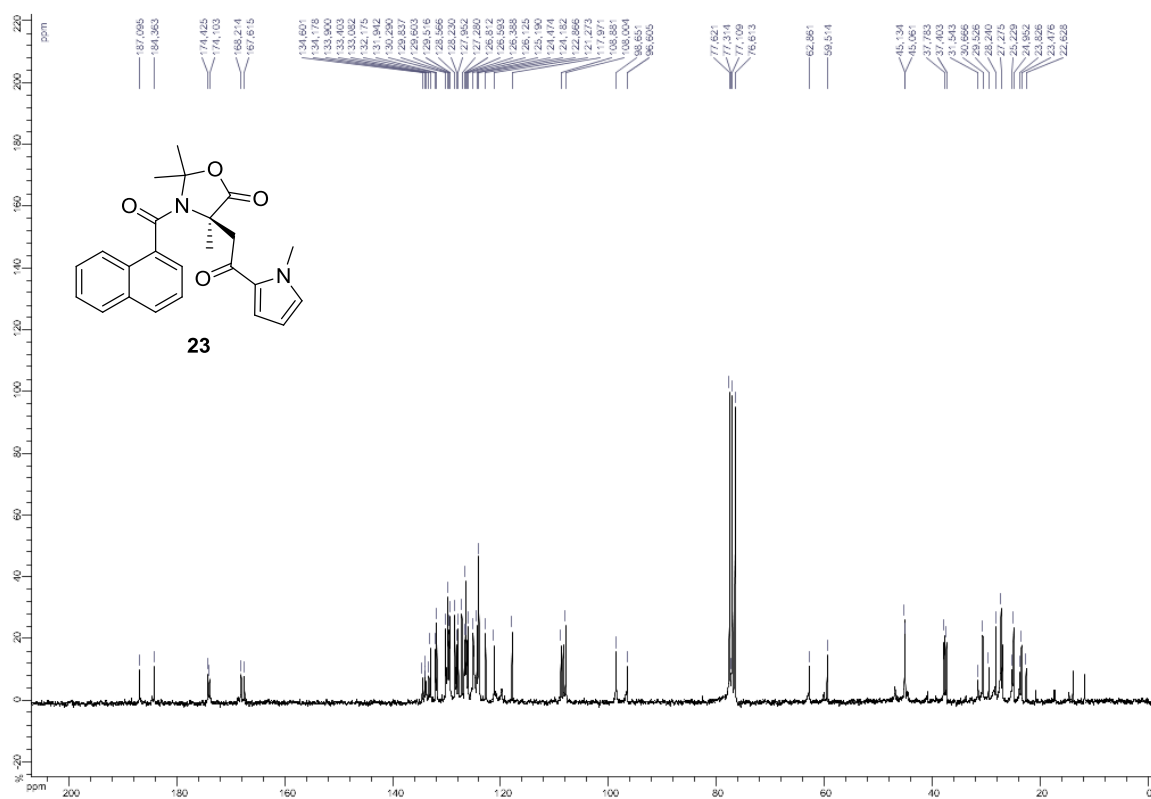
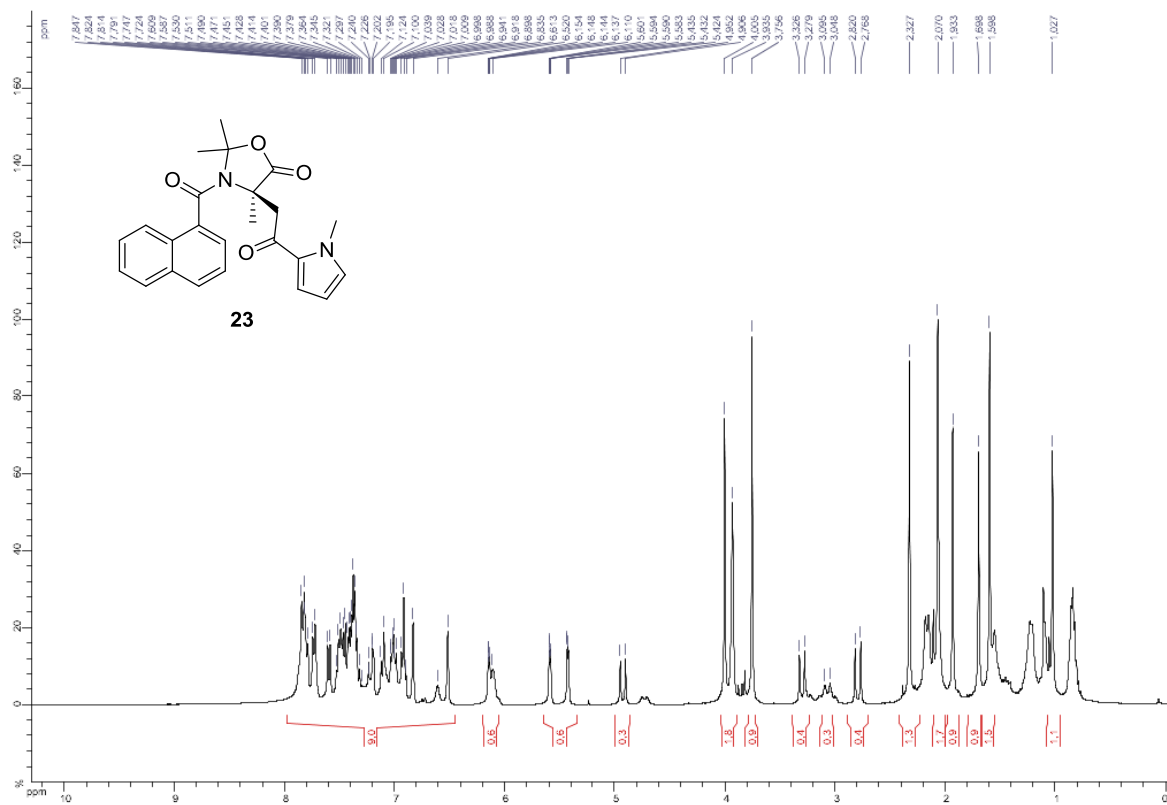
3-(1-naphthoyl)-4-(benzofuran-2-carbonyl)-2,2,4-trimethyloxazolidin-5-one (21) :



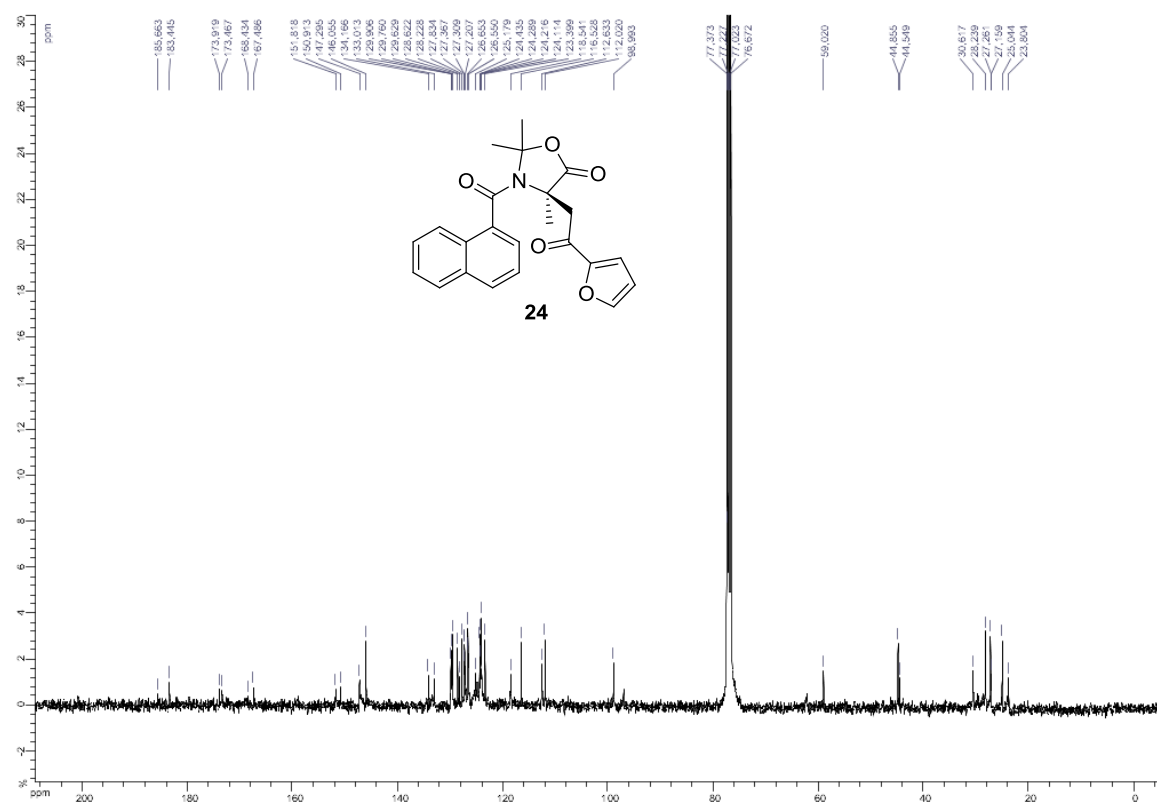
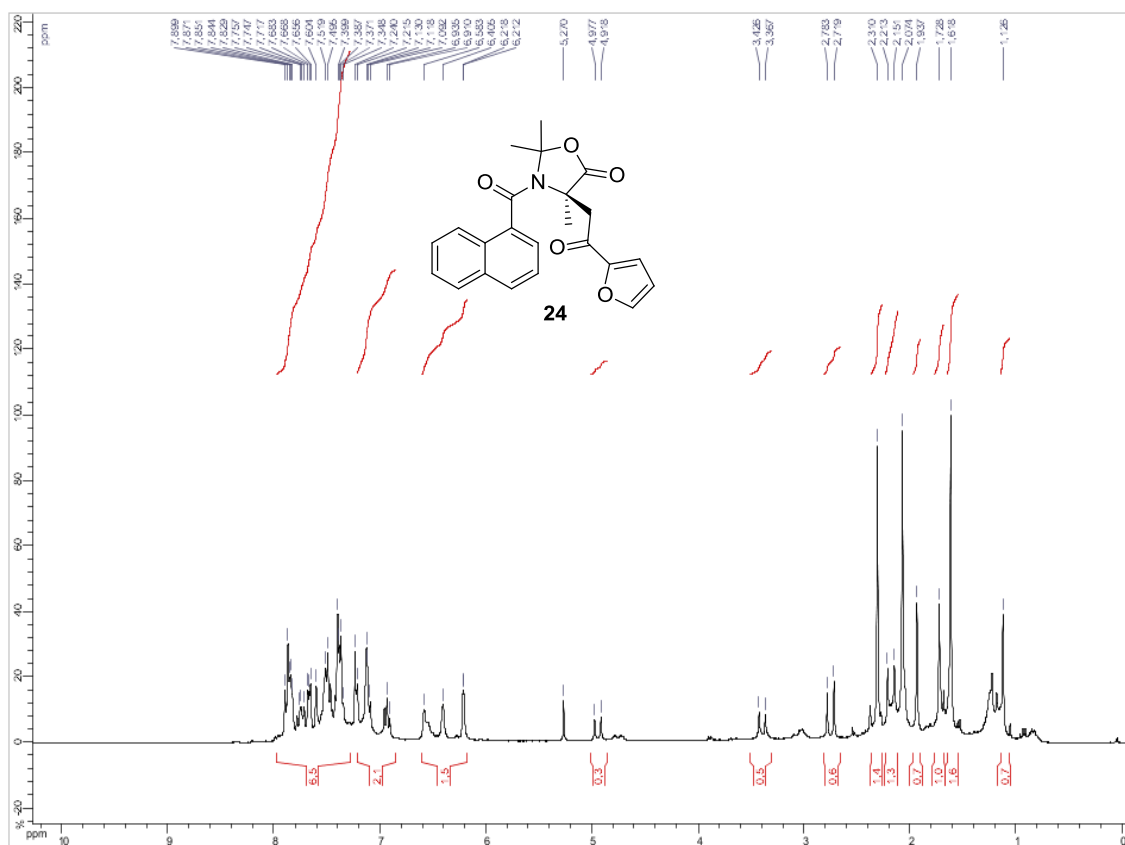
tert-butyl 2-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-1H-pyrrole-1-carboxylate (22) :



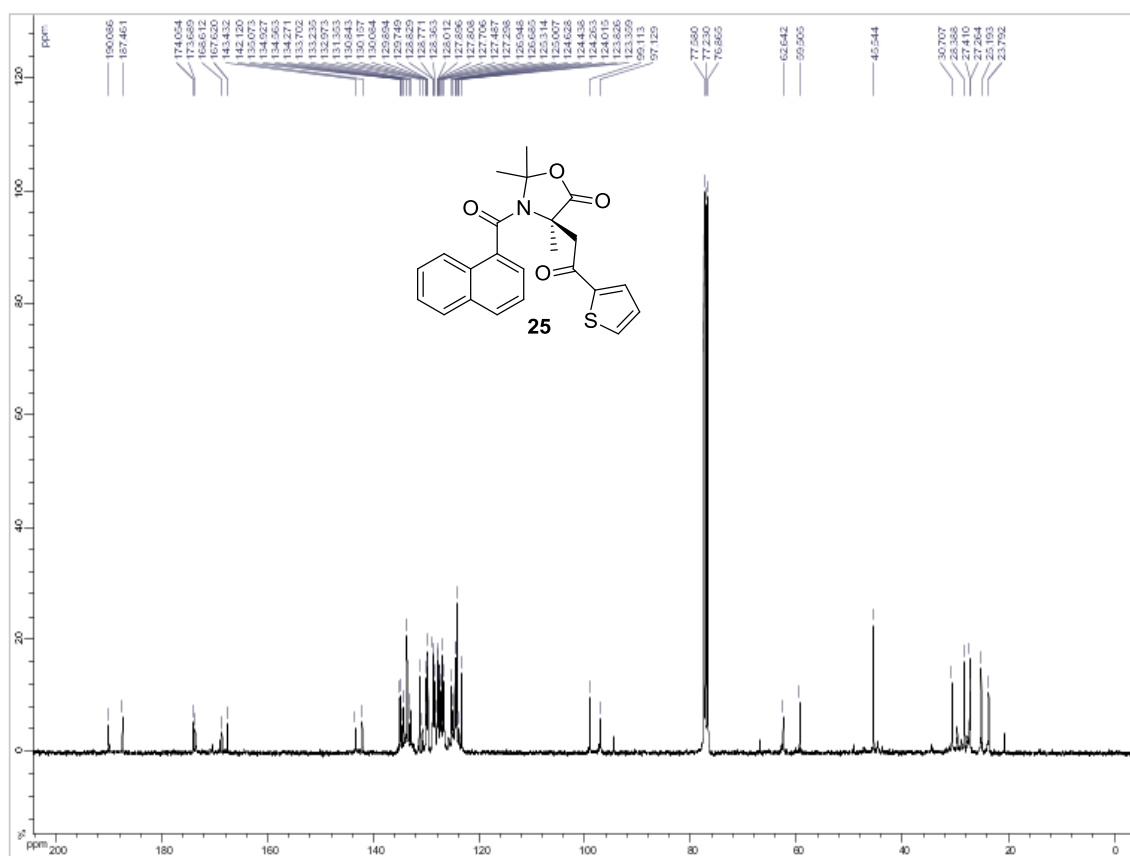
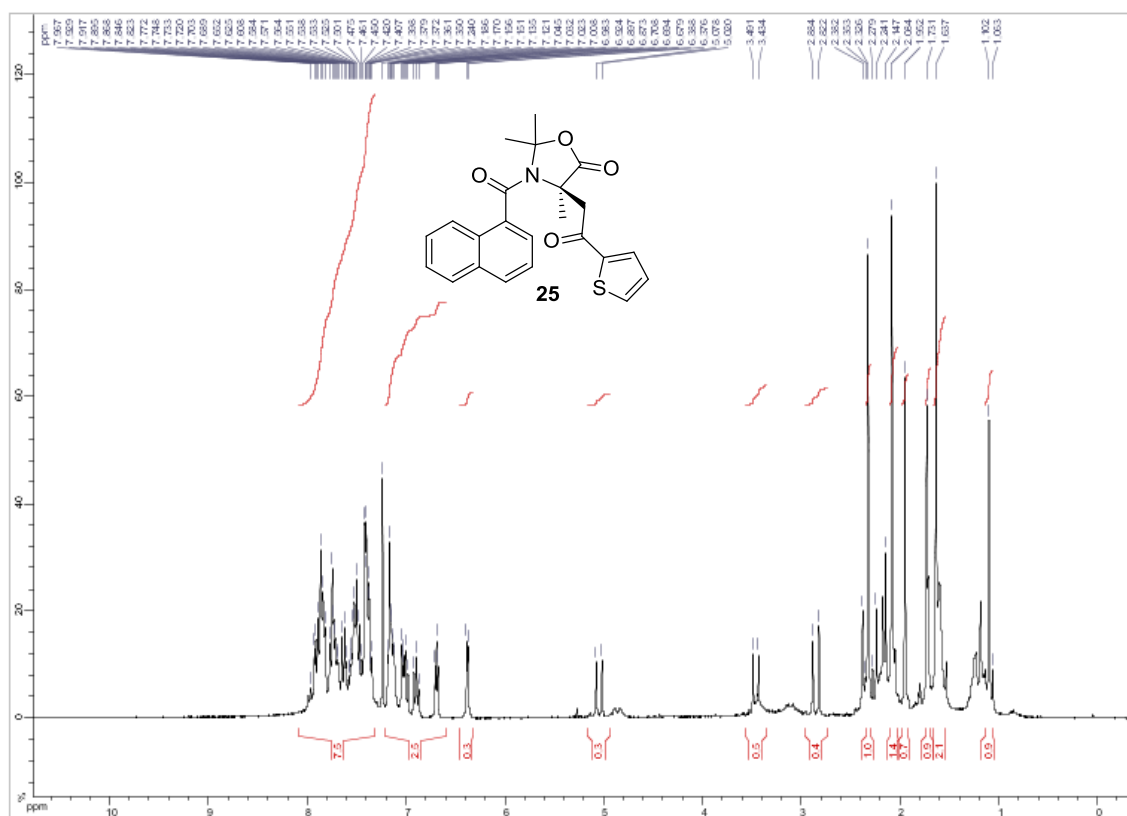
tert-butyl 2-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-1H-pyrrole-1-carboxylate (23) :



3-(1-naphthoyl)-4-(2-(furan-2-yl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (24) :

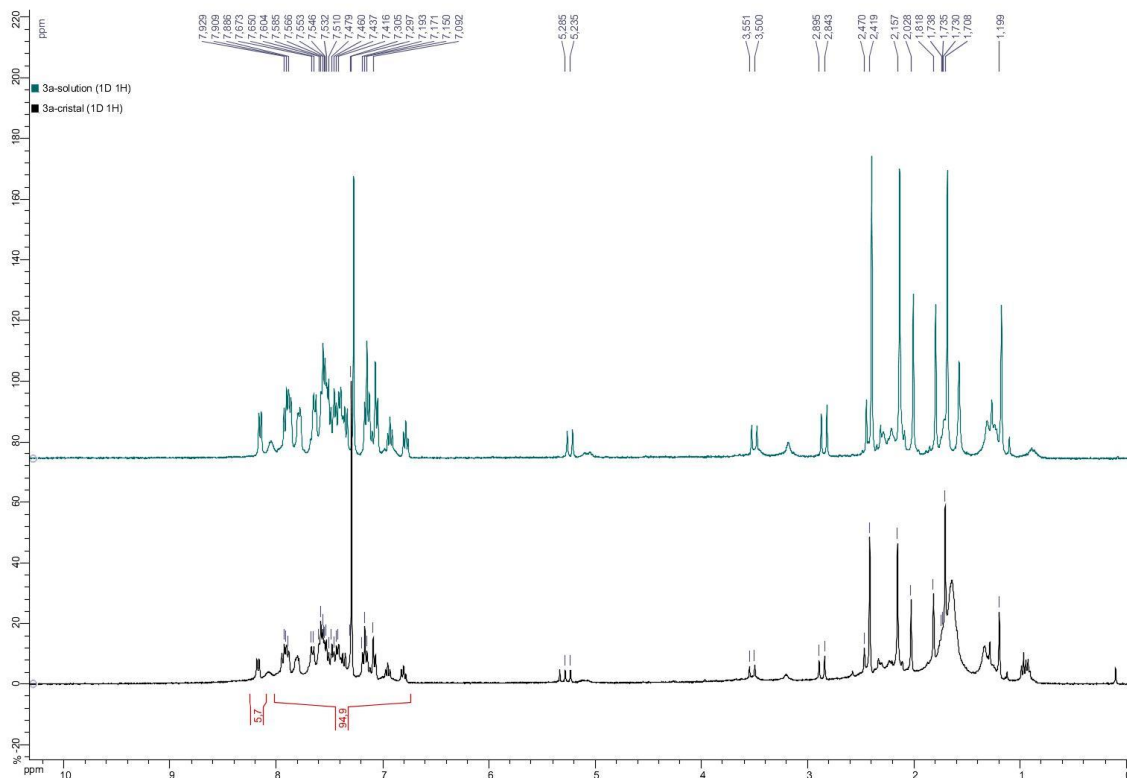


3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-(thiophen-2-yl)ethyl)oxazolidin-5-one (25) :

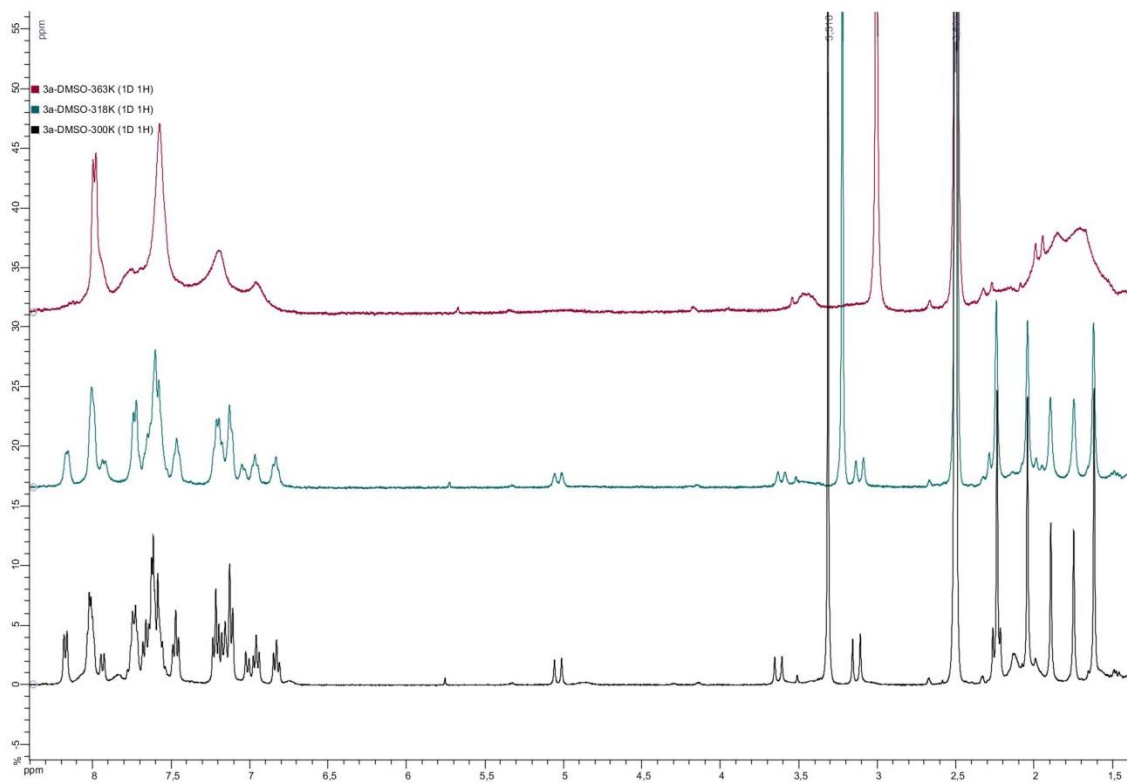


VI- VT-NMR of quaternary compounds and NMR of dissolved crystal of 3a

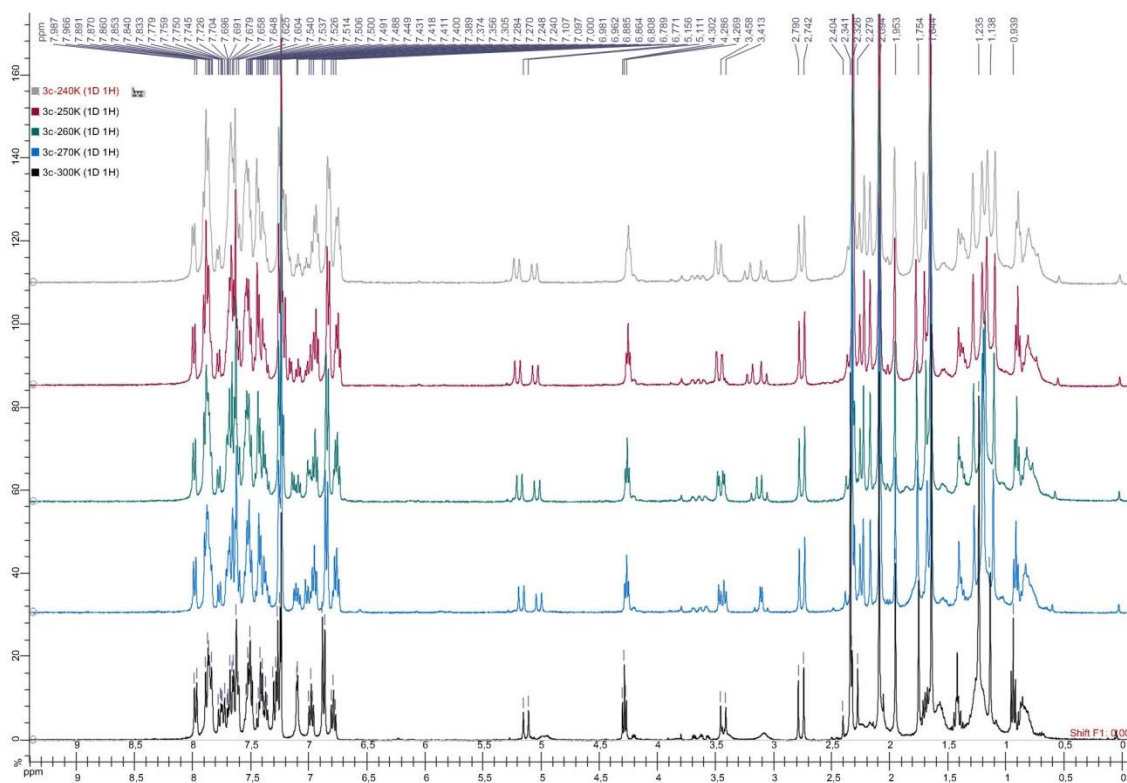
NMR spectra of the crystals dissolved in CDCl_3 (bottom) and the amorphous solid (top) of compound **3a**. These spectra are identical, showing that the signals correspond to conformers exchanging rapidly and not atropoisomers.



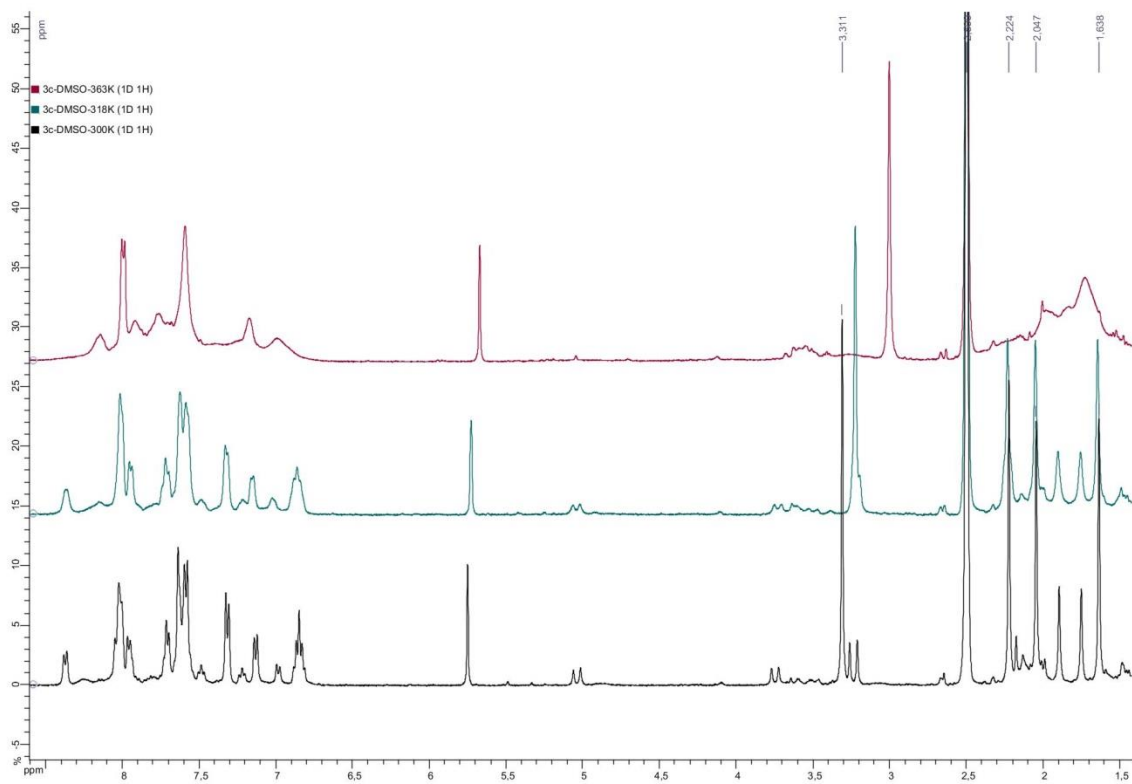
$^1\text{H-NMR}$ at high temperature in DMSO-d_6 of compound **3a**



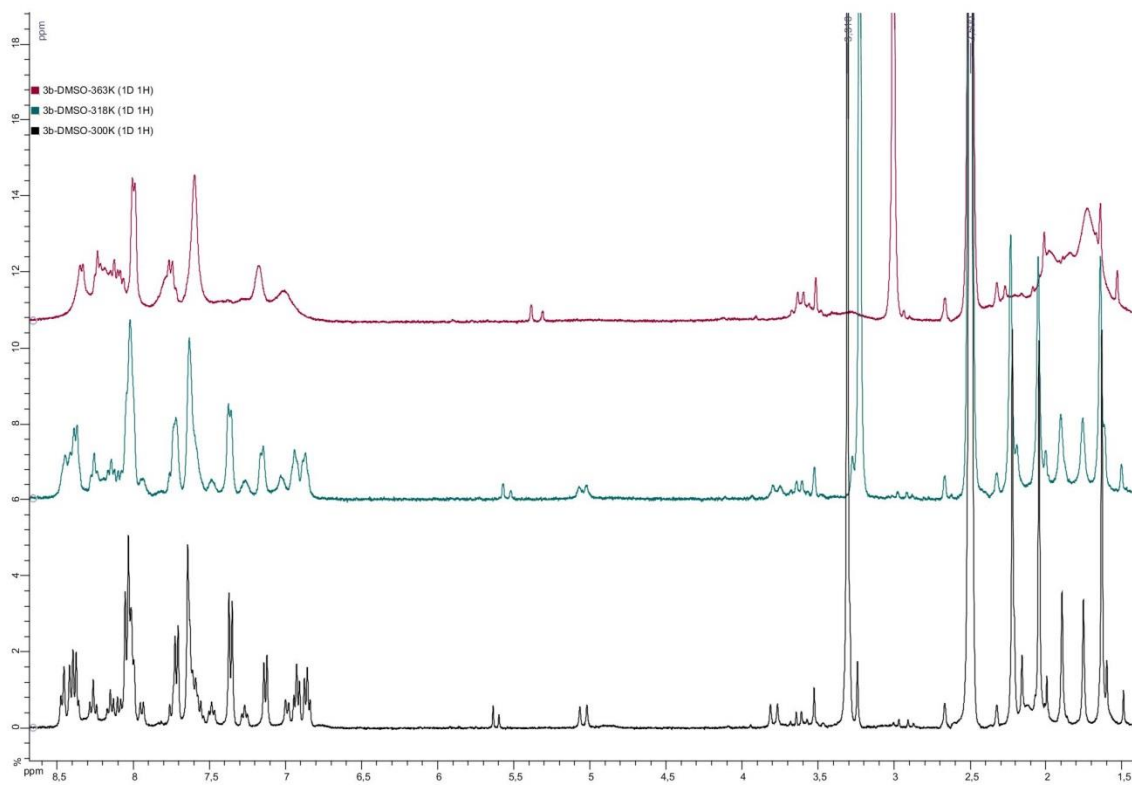
$^1\text{H-NMR}$ at low temperature in CDCl_3 of compound **3a**



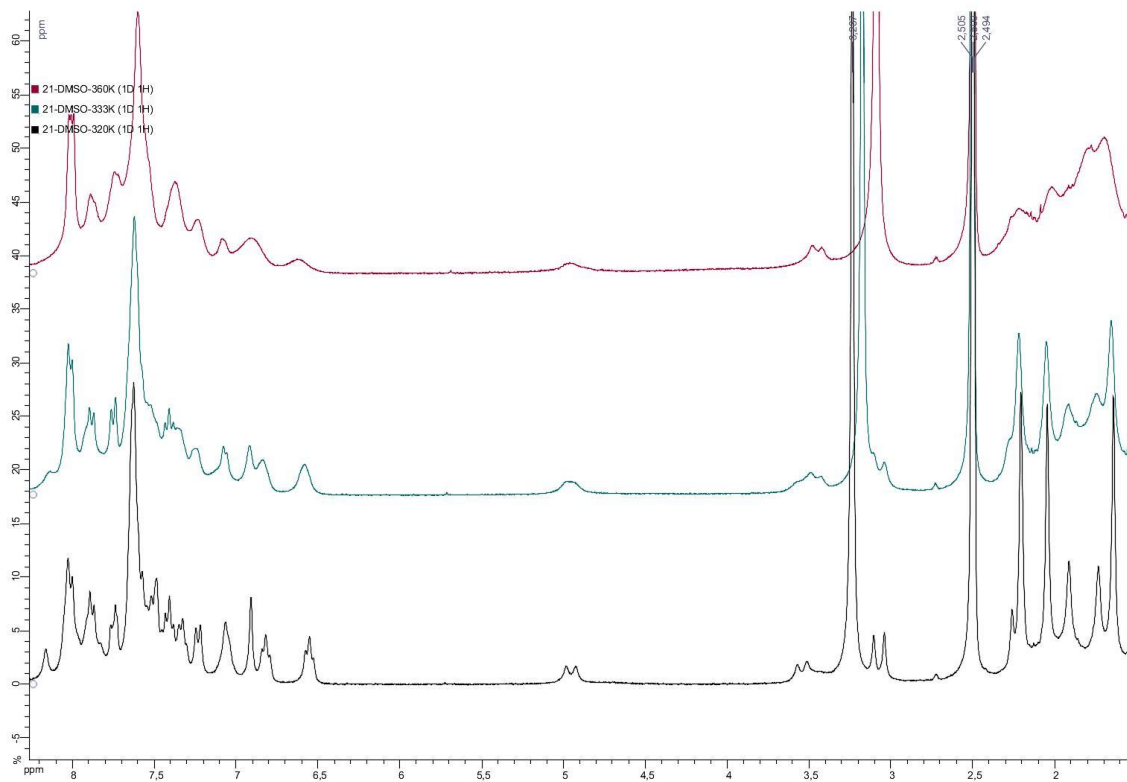
$^1\text{H-NMR}$ at high temperature in DMSO-d_6 of compound **3c**



$^1\text{H-NMR}$ at high temperature in DMSO-d_6 of compound **3b**

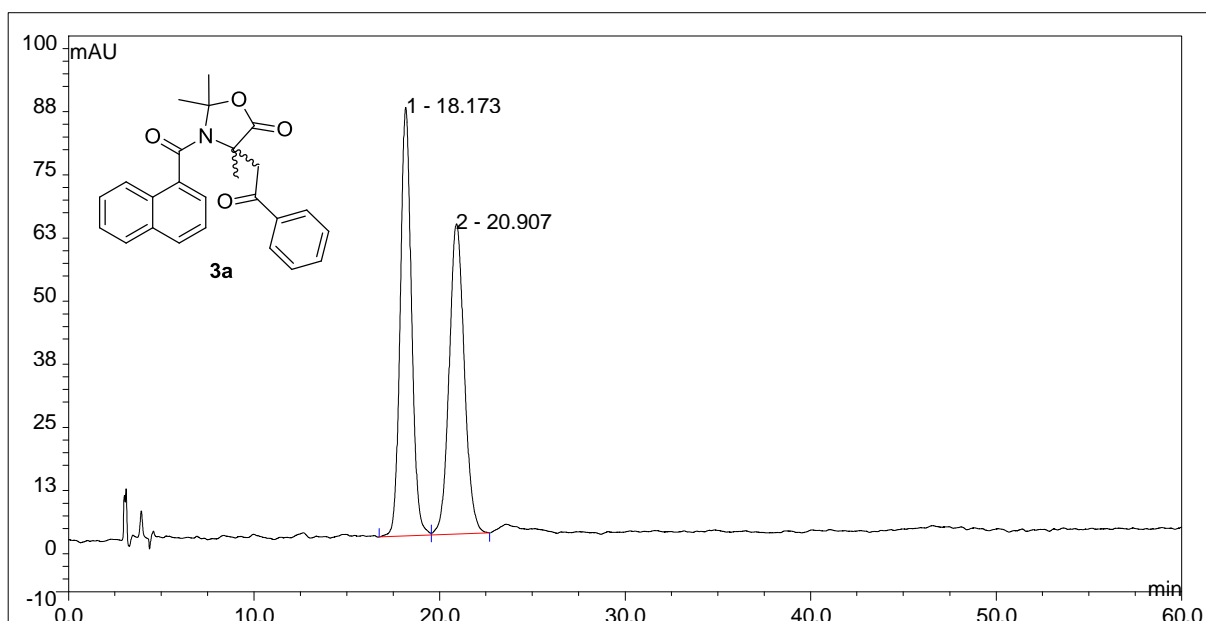


¹H-NMR at high temperature in DMSO-d₆ of compound **21**

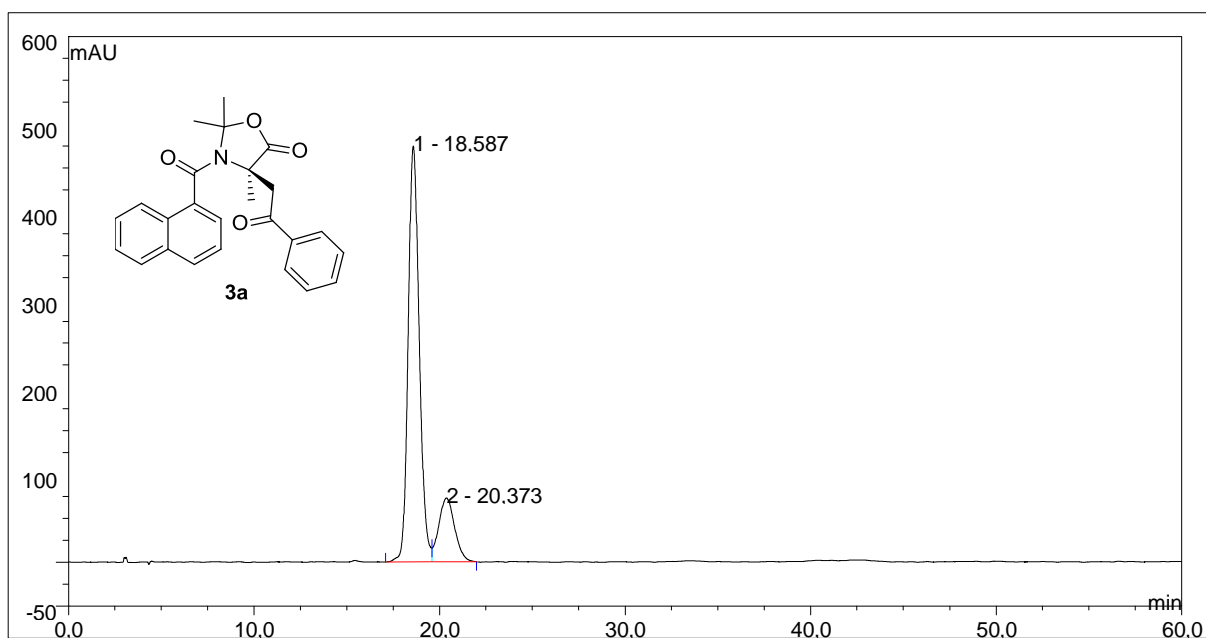


VII- HPLC data

3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-phenylethyl)oxazolidin-5-one (3a) :

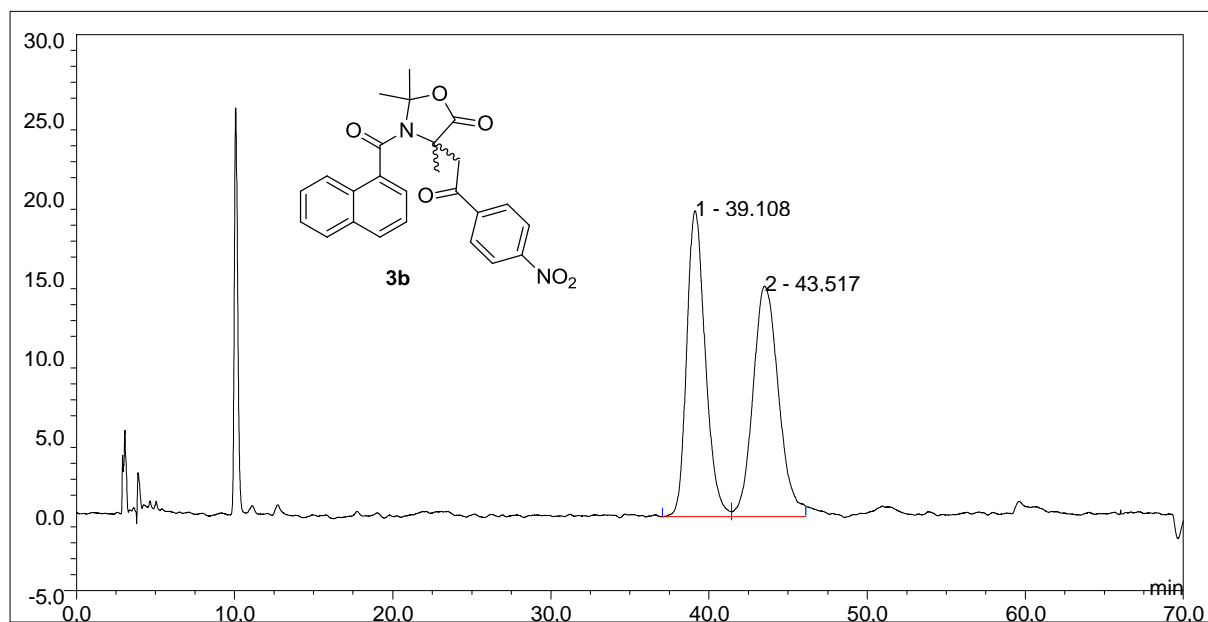


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	18,17	84,832	59,773	50,69
2	20,91	61,437	58,157	49,31

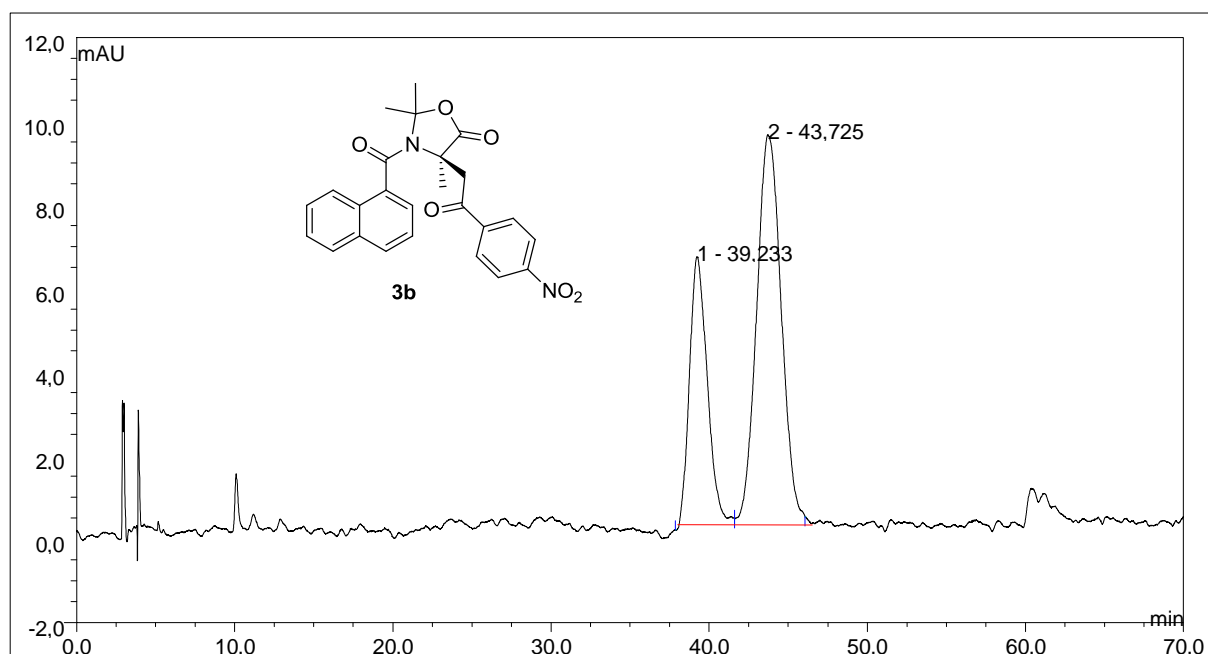


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	18,59	474,700	328,598	81,94
2	20,37	72,679	72,425	18,06

3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-(4-nitrophenyl)-2-oxoethyl)oxazolidin-5-one (3b) :

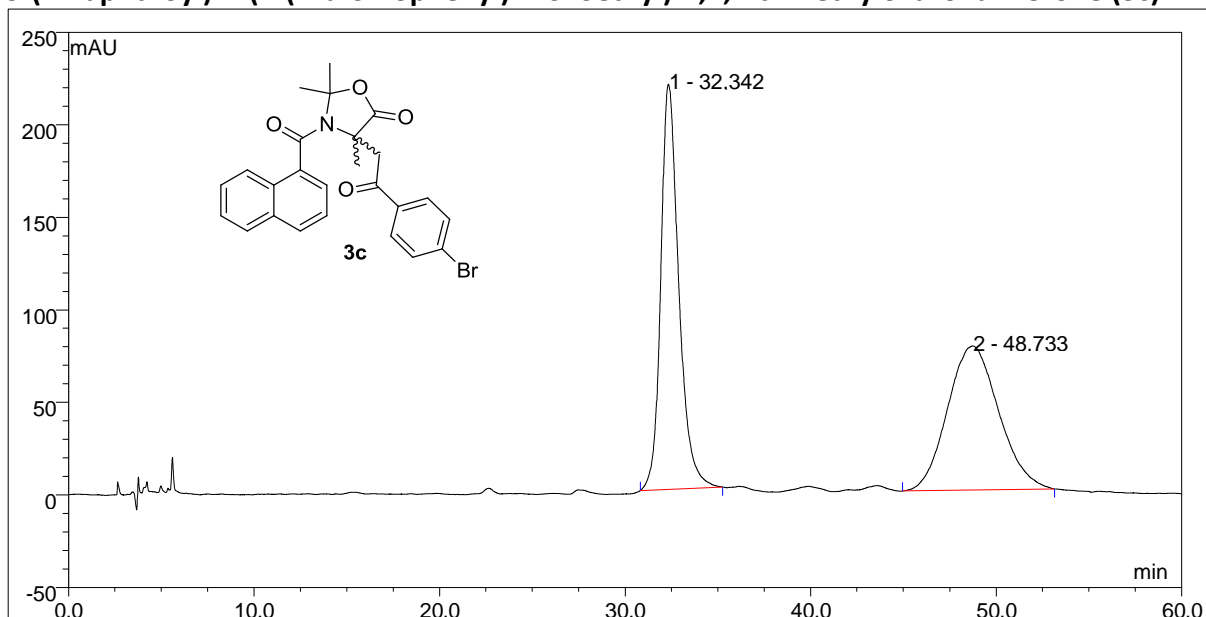


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	39,11	19,260	26,260	49,14
2	43,52	14,517	27,174	50,86

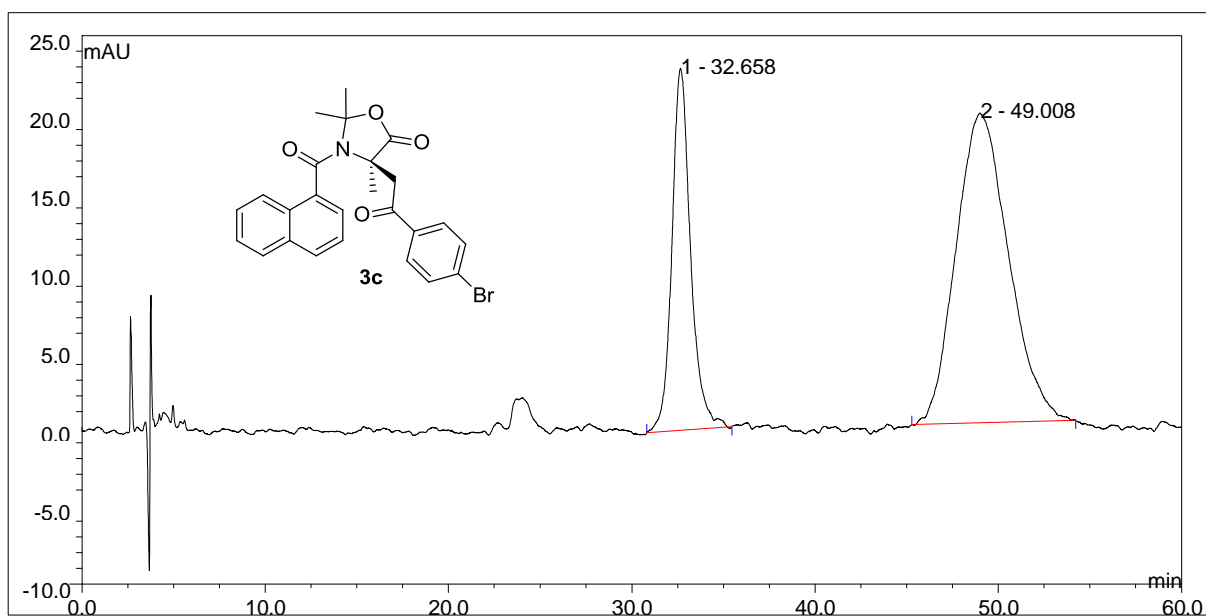


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	39,23	6,489	8,534	34,19
2	43,73	9,237	16,427	65,81

3-(1-naphthoyl)-4-(2-(4-bromophenyl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (3c) :

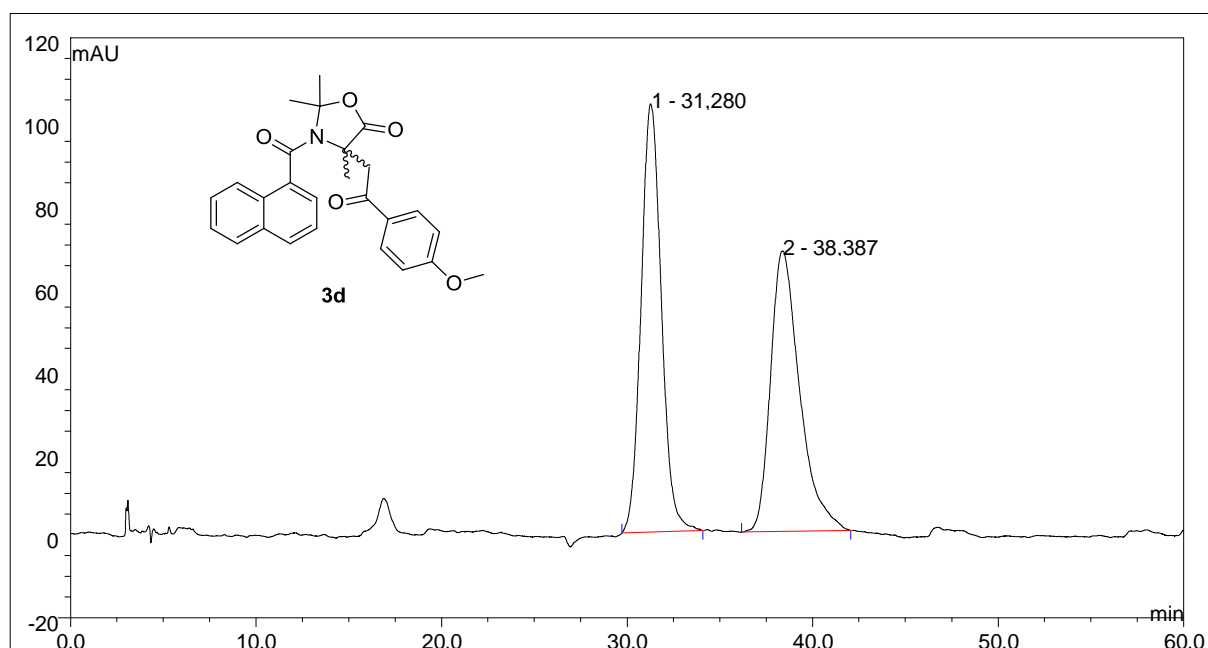


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	32,34	218,990	246,079	49,75
2	48,73	77,820	248,530	50,25

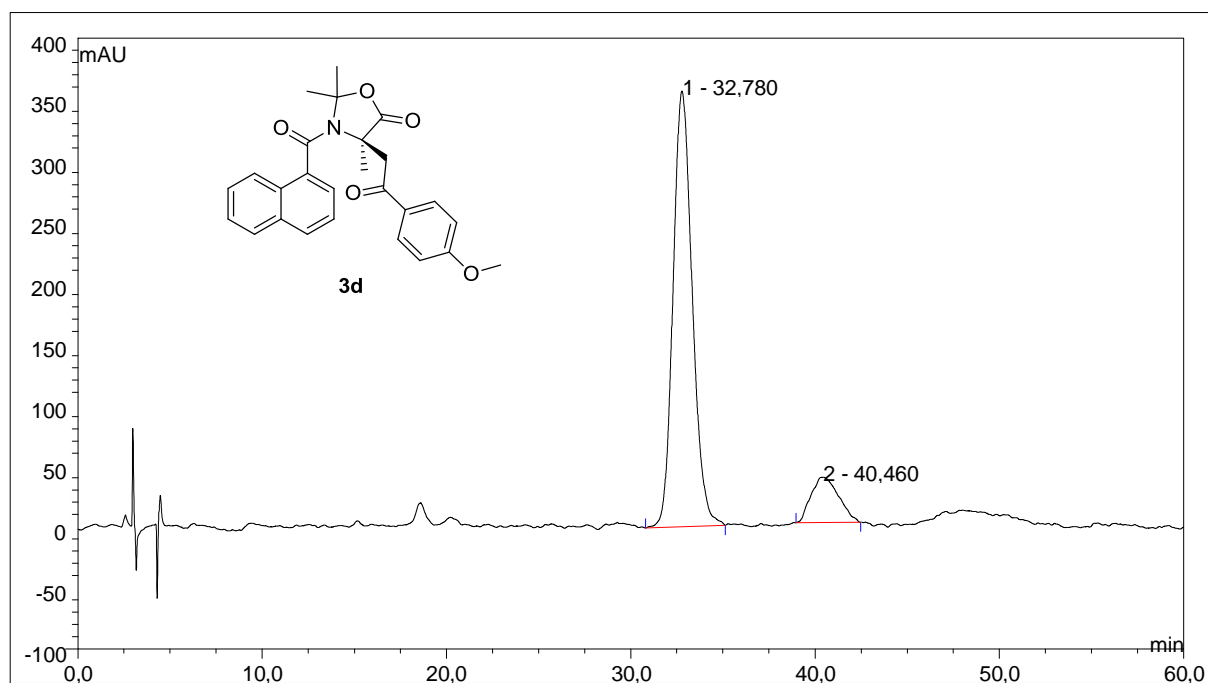


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	32,66	23,103	27,254	29,41
2	49,01	19,784	65,421	70,59

3-(1-naphthoyl)-4-(2-(4-methoxyphenyl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (3d) :

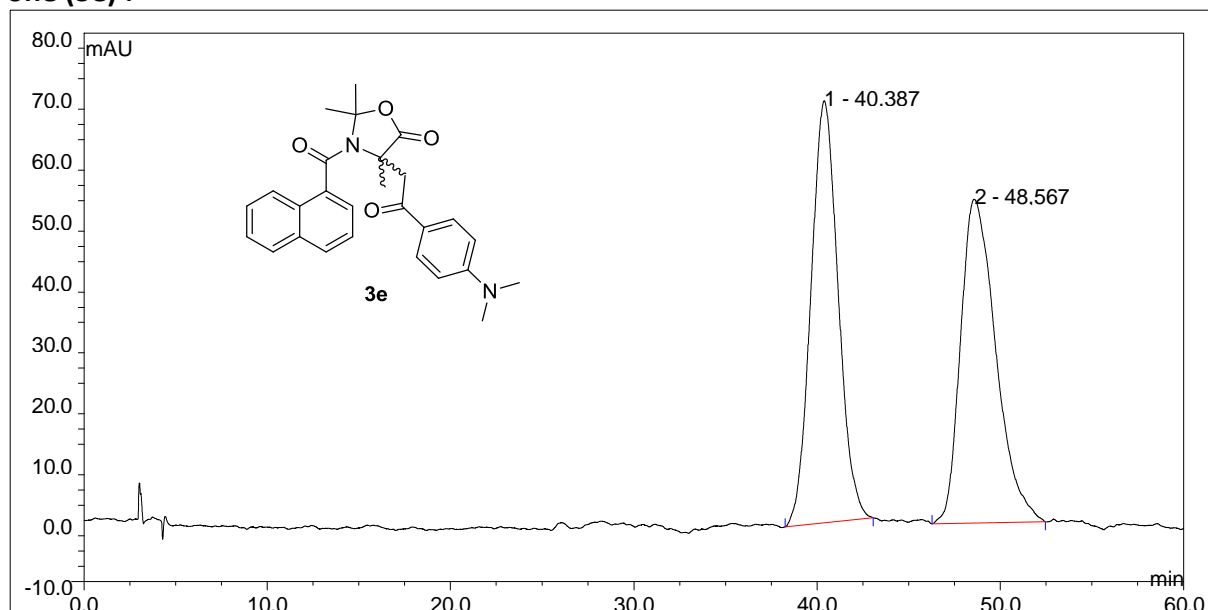


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	31,28	103,400	130,792	51,50
2	38,39	67,745	123,191	48,50

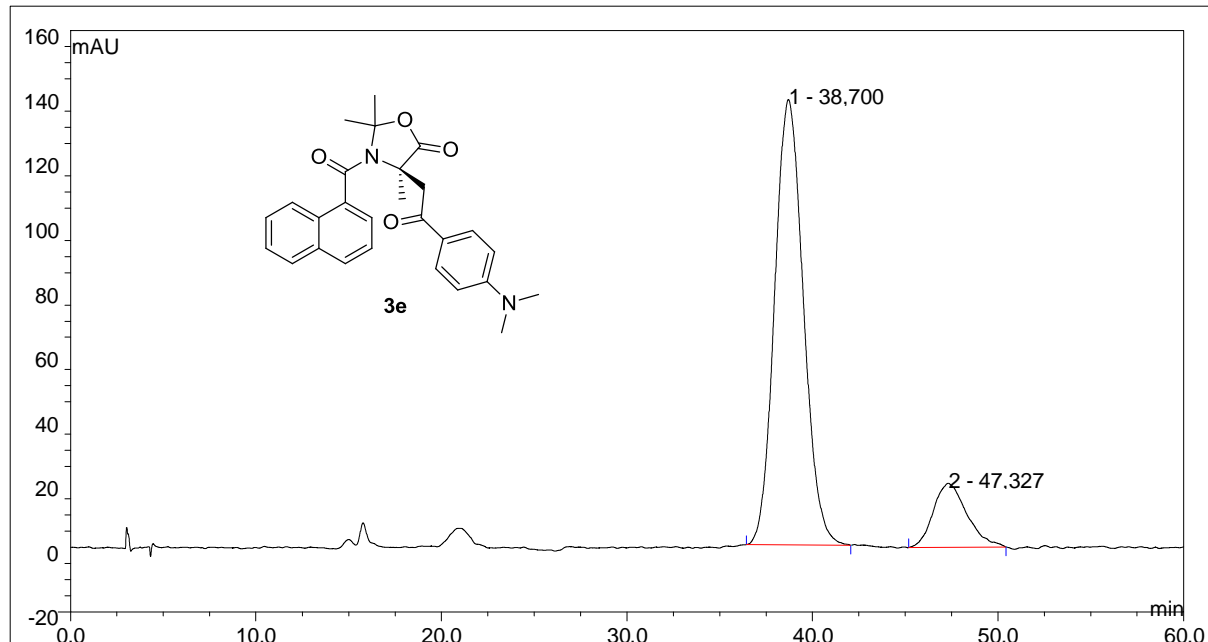


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	32,78	356,761	430,776	87,02
2	40,46	37,084	64,242	12,98

3-(1-naphthoyl)-4-(2-(4-(dimethylamino)phenyl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (3e) :

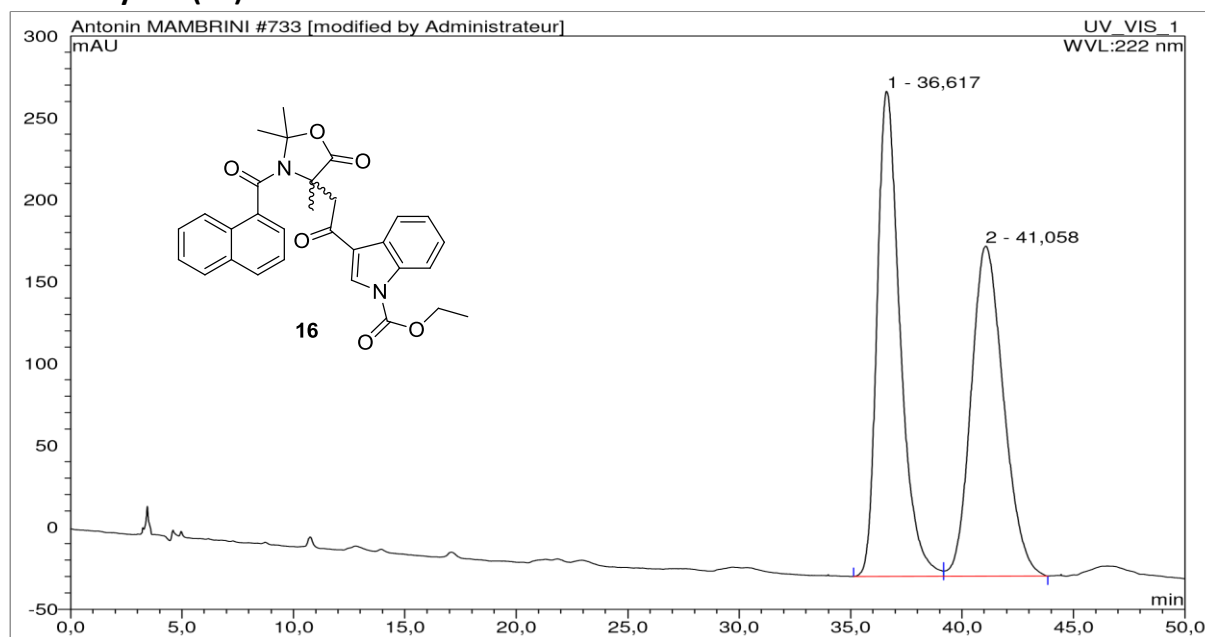


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	40,39	69,286	119,131	50,17
2	48,57	53,127	118,315	49,83

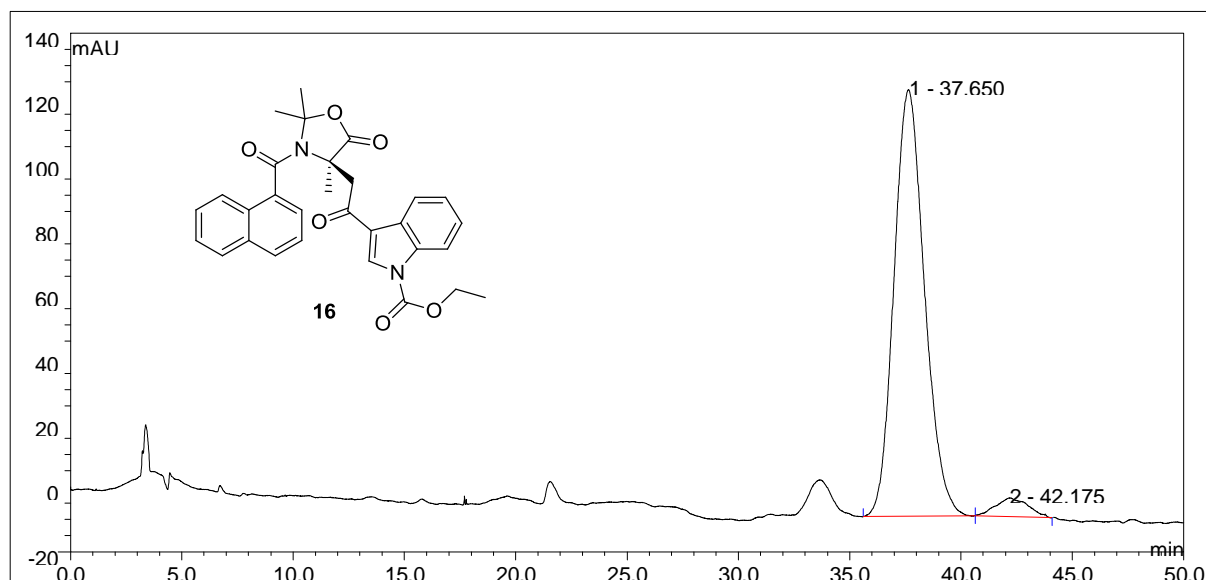


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	38,70	137,894	247,670	85,65
2	47,33	19,819	41,480	14,35

Ethyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-1H-indole-1-carboxylate (16) :

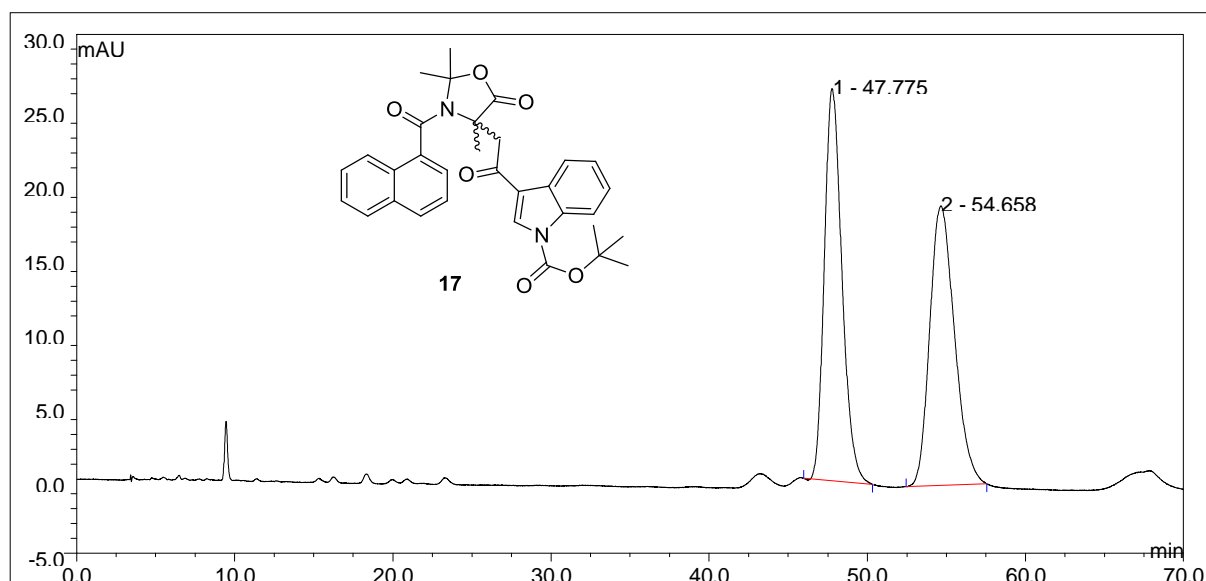


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	36,62	296,244	354,096	51,01
2	41,06	201,534	340,118	48,99

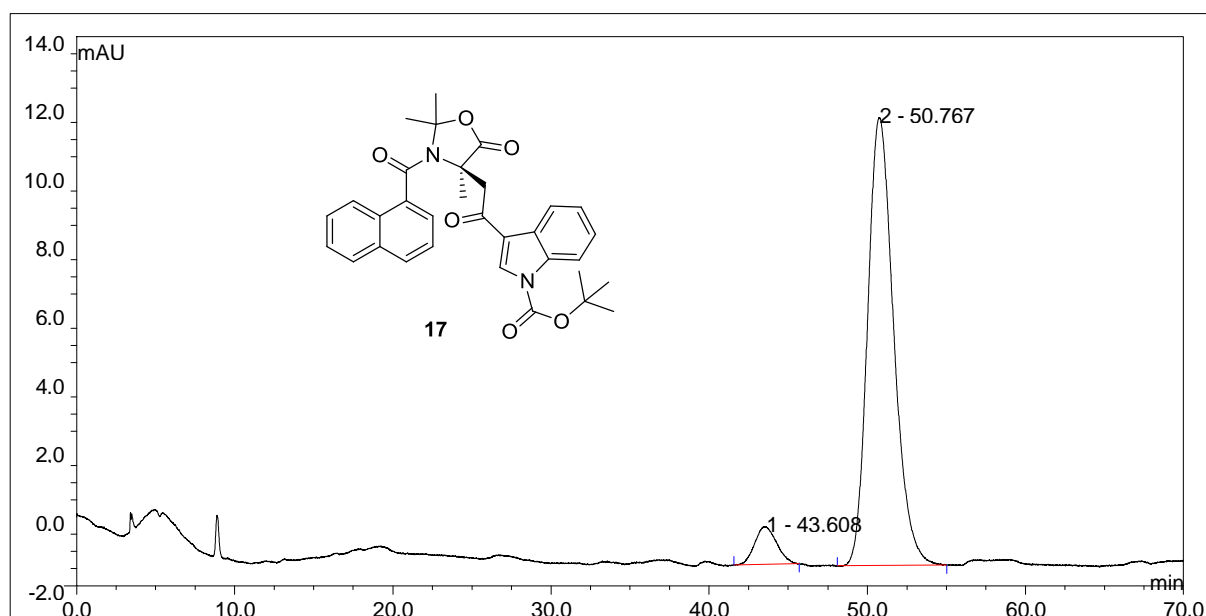


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	37,65	131,557	208,770	95,59
2	42,18	5,741	9,630	4,41

Tert-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-1H-indole-1-carboxylate (17) :

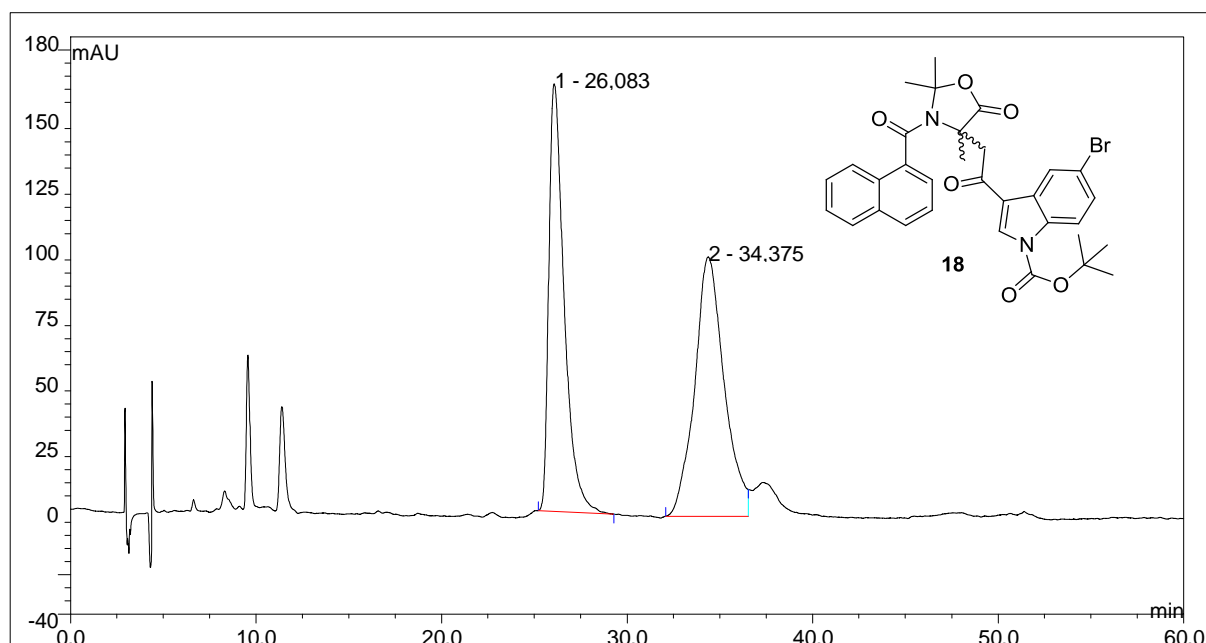


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	47,78	26,467	34,148	49,98
2	54,66	18,870	34,180	50,02

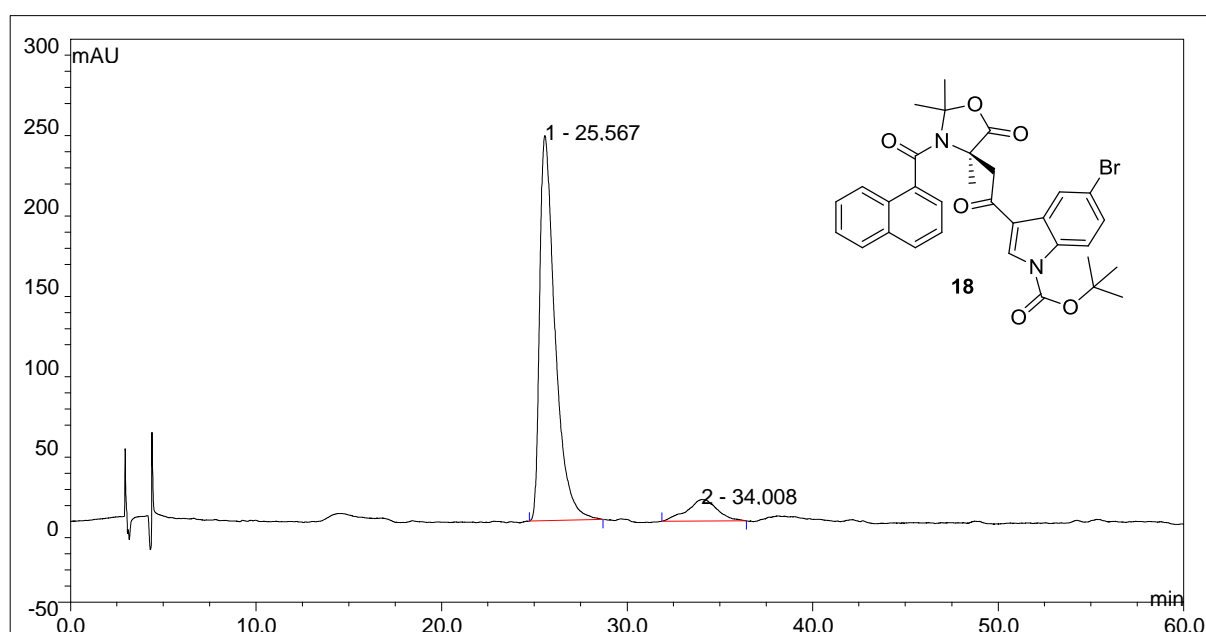


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	43,61	1,099	1,750	6,58
2	50,77	13,047	24,829	93,42

Tert-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-bromo-1H-indole-1-carboxylate (18) :

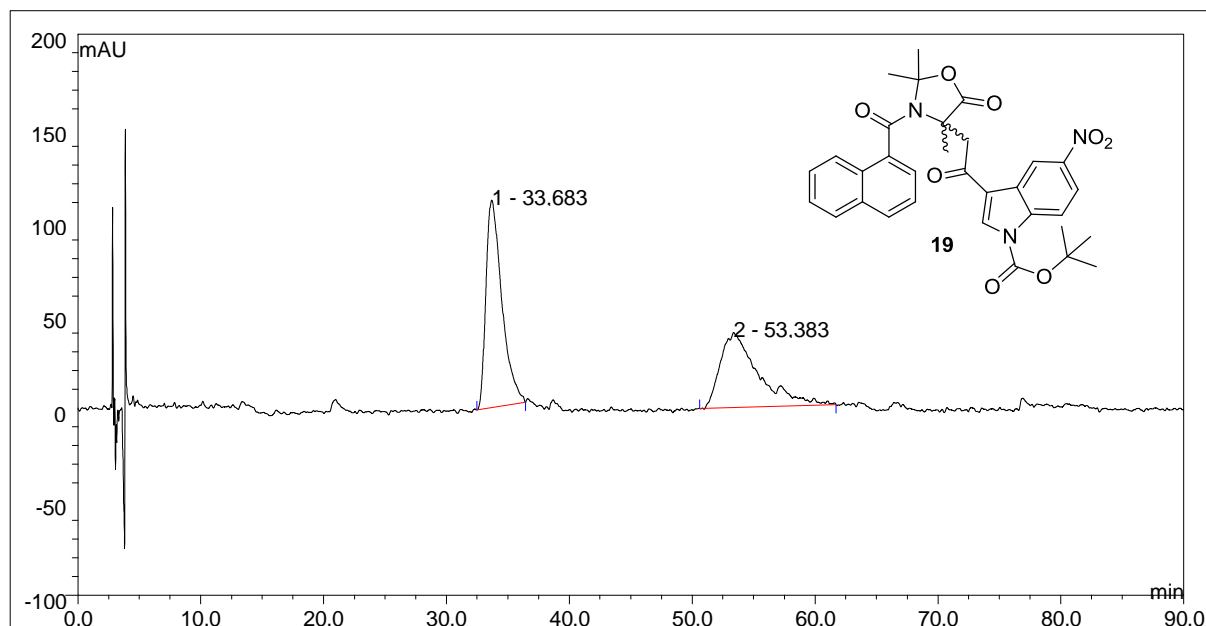


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	26,08	162,921	155,673	46,08
2	34,38	98,932	182,137	53,92

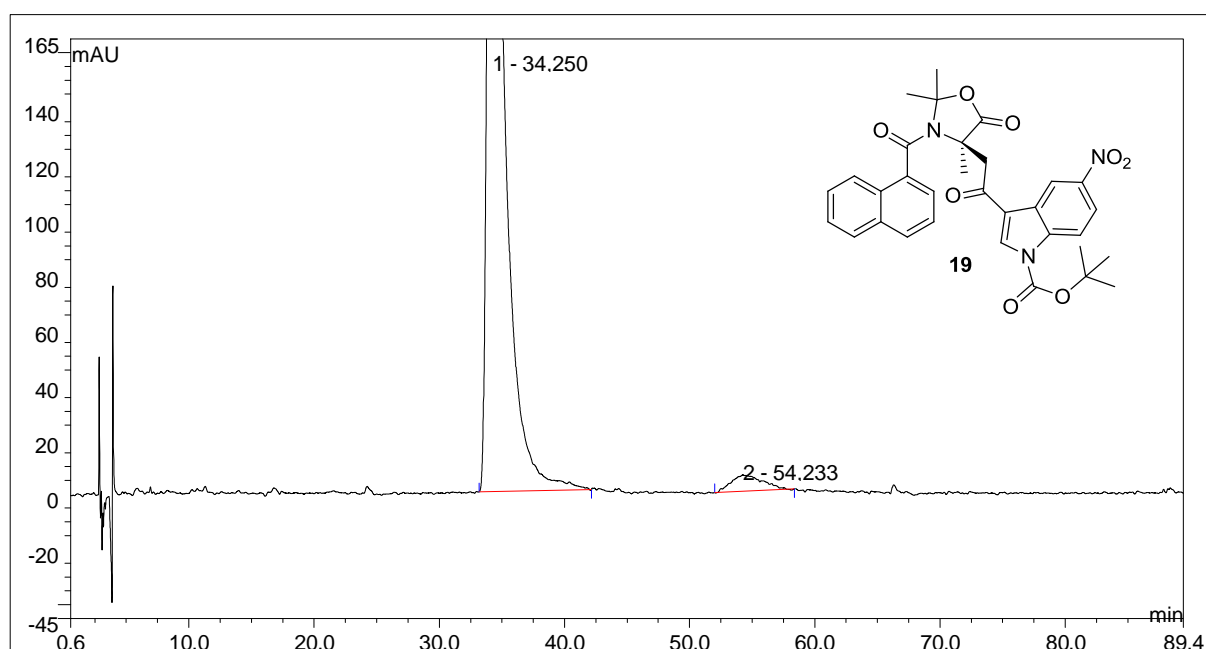


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	25,57	239,549	230,452	90,05
2	34,01	13,377	25,474	9,95

Tert-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-nitro-1H-indole-1-carboxylate (19) :

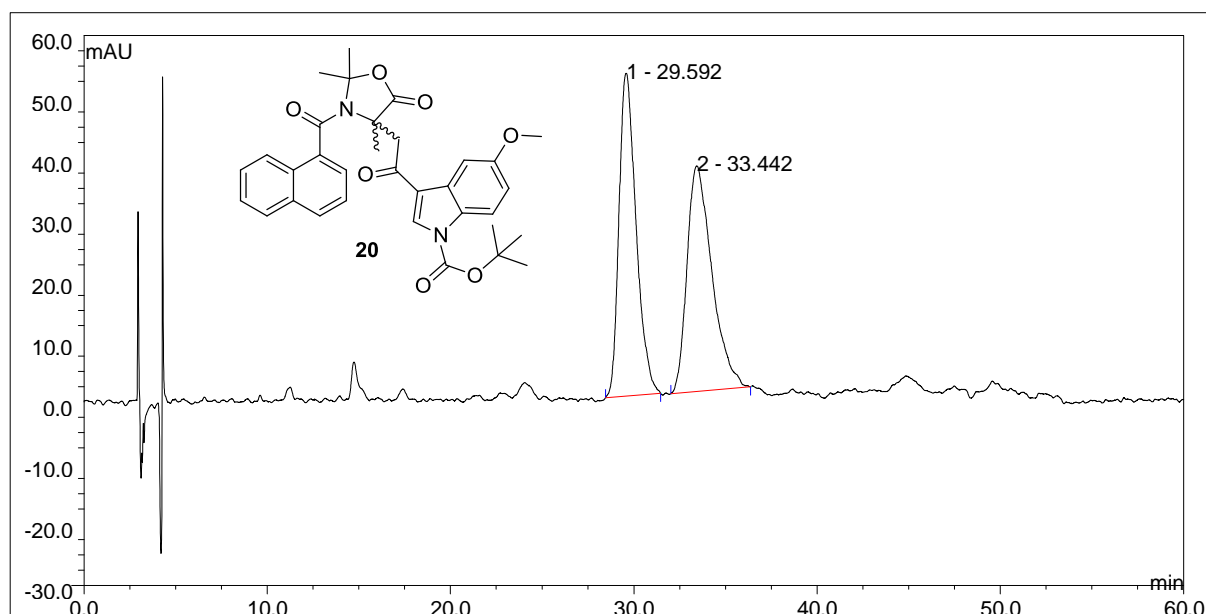


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	33,68	110,969	166,562	53,69
2	53,38	40,072	143,644	46,31

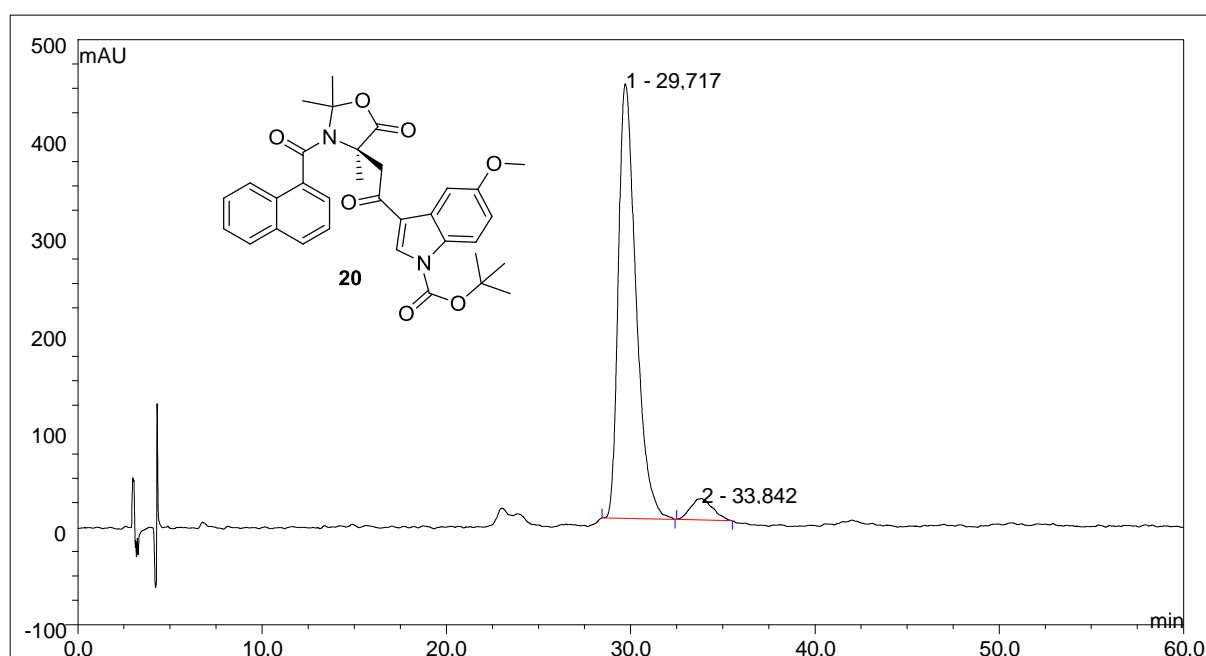


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	34,25	329,508	513,688	96,83
2	54,23	5,882	16,831	3,17

Tert-butyl 3-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-5-methoxy-1H-indole-1-carboxylate (20) :

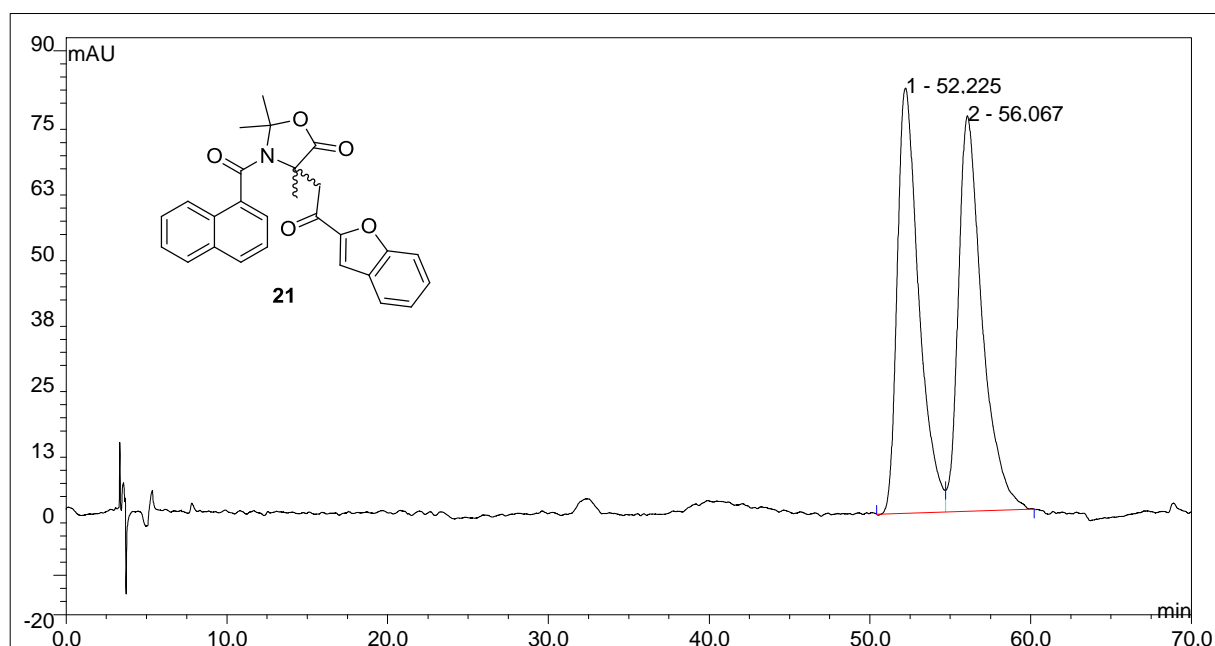


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	29,59	52,857	57,114	49,48
2	33,44	36,955	58,319	50,52

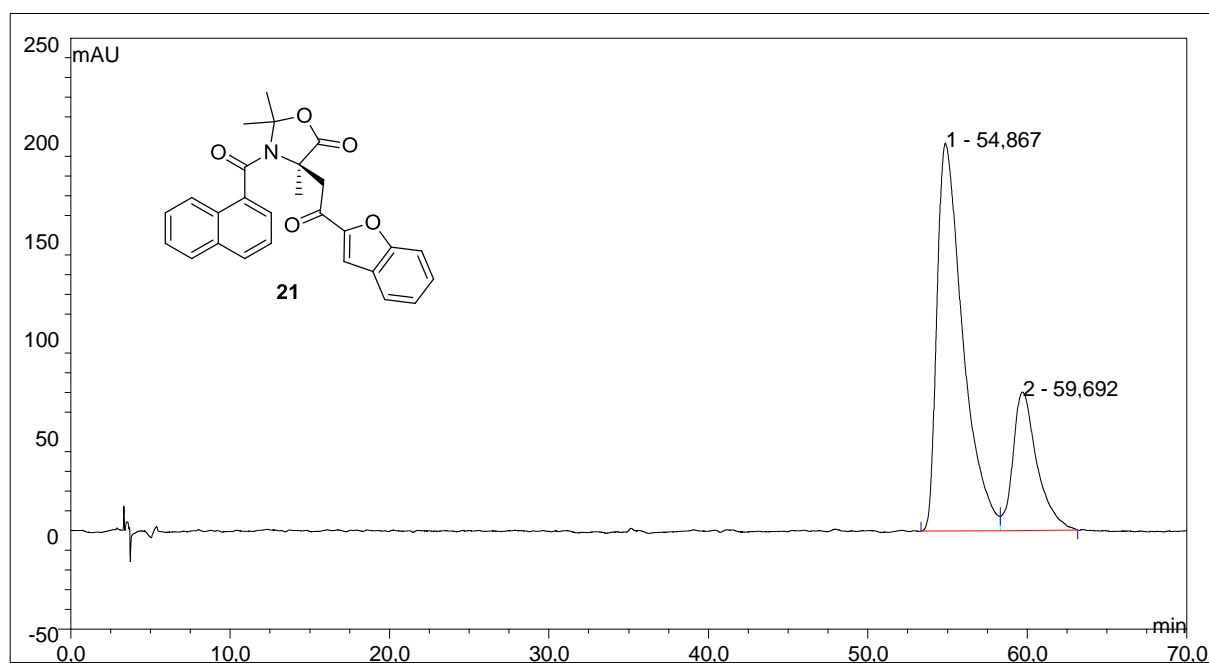


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	29,72	445,600	495,278	94,24
2	33,84	21,888	30,278	5,76

3-(1-naphthoyl)-4-(benzofuran-2-carbonyl)-2,2,4-trimethyloxazolidin-5-one (21) :

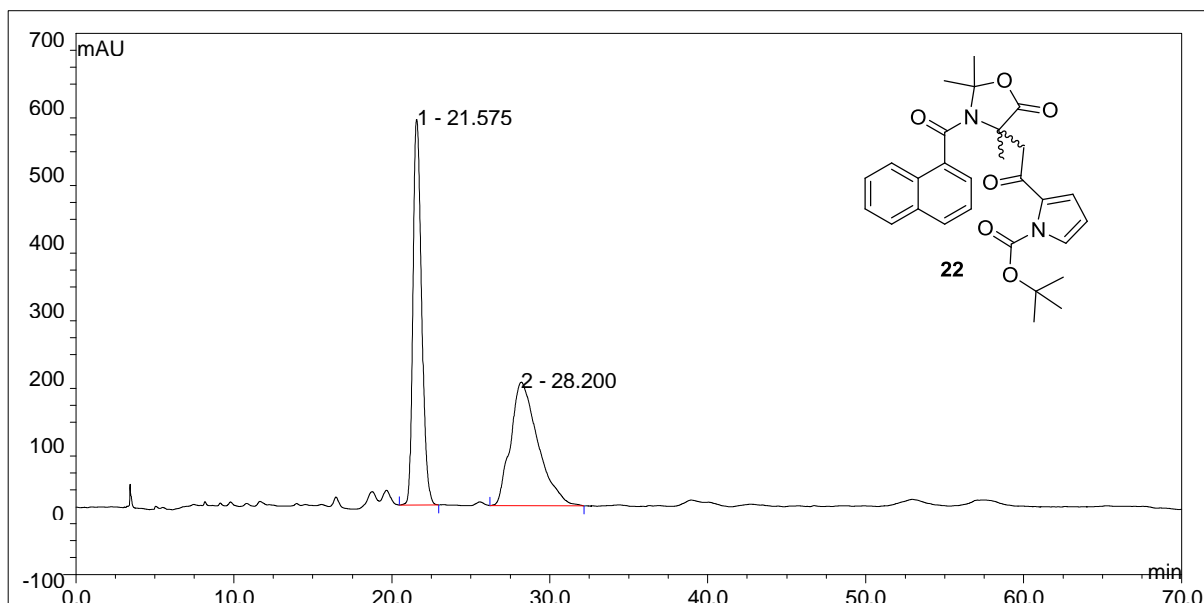


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	52,23	81,061	126,472	49,37
2	56,07	75,399	129,698	50,63

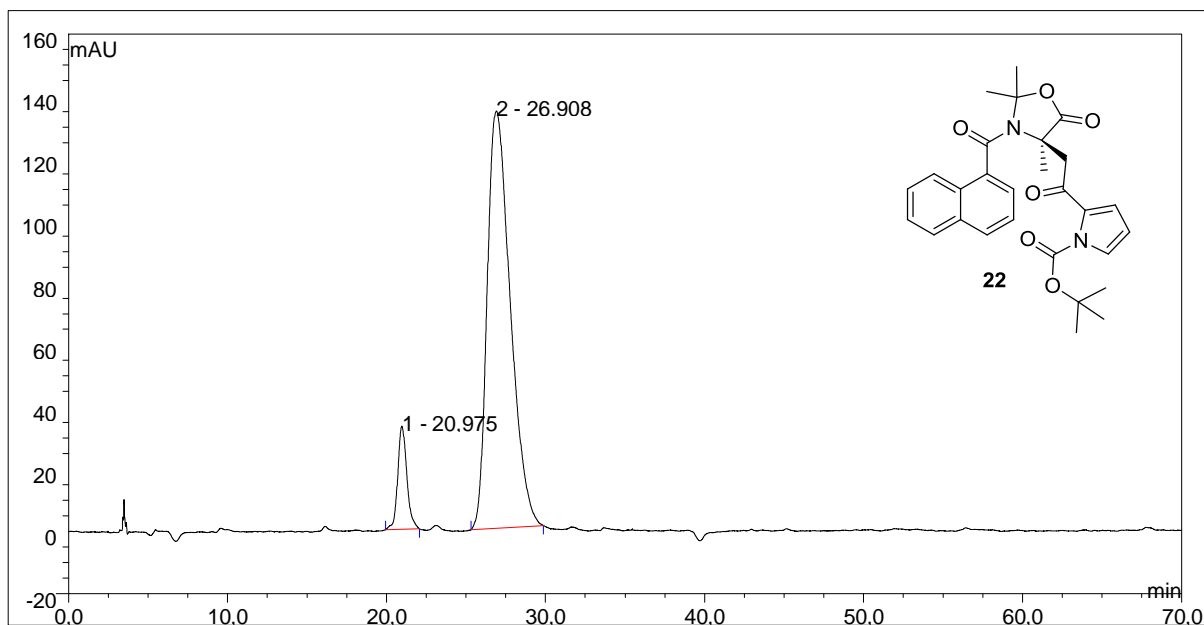


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	54,87	197,057	370,462	74,98
2	59,69	70,375	123,602	25,02

tert-butyl 2-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-1H-pyrrole-1-carboxylate (22) :

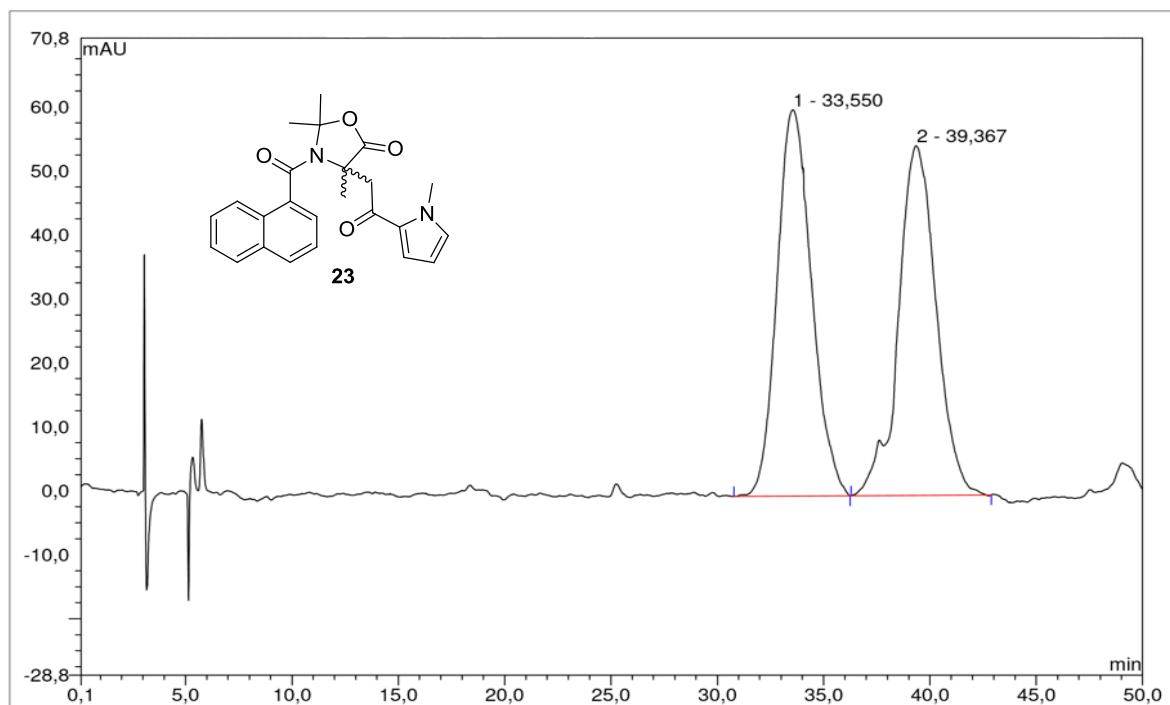


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	21,58	569,715	361,081	49,66
2	28,20	182,454	365,994	50,34

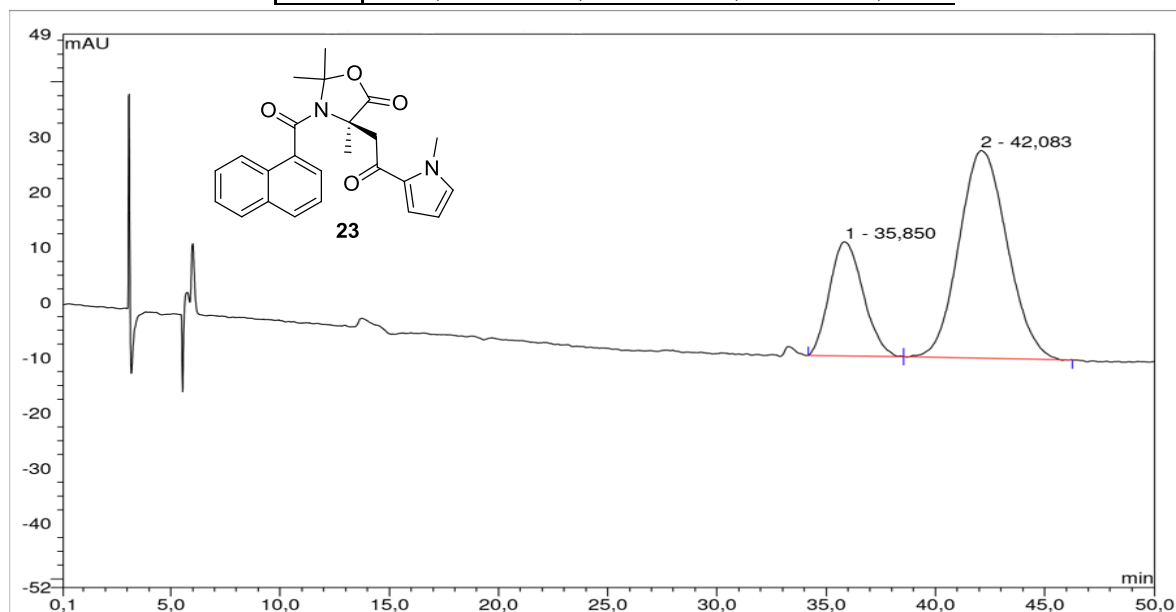


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	20,98	33,166	22,089	8,92
2	26,91	134,290	225,525	91,08

tert-butyl 2-(2-(3-(1-naphthoyl)-2,2,4-trimethyl-5-oxooxazolidin-4-yl)acetyl)-1H-pyrrole-1-carboxylate (23) :

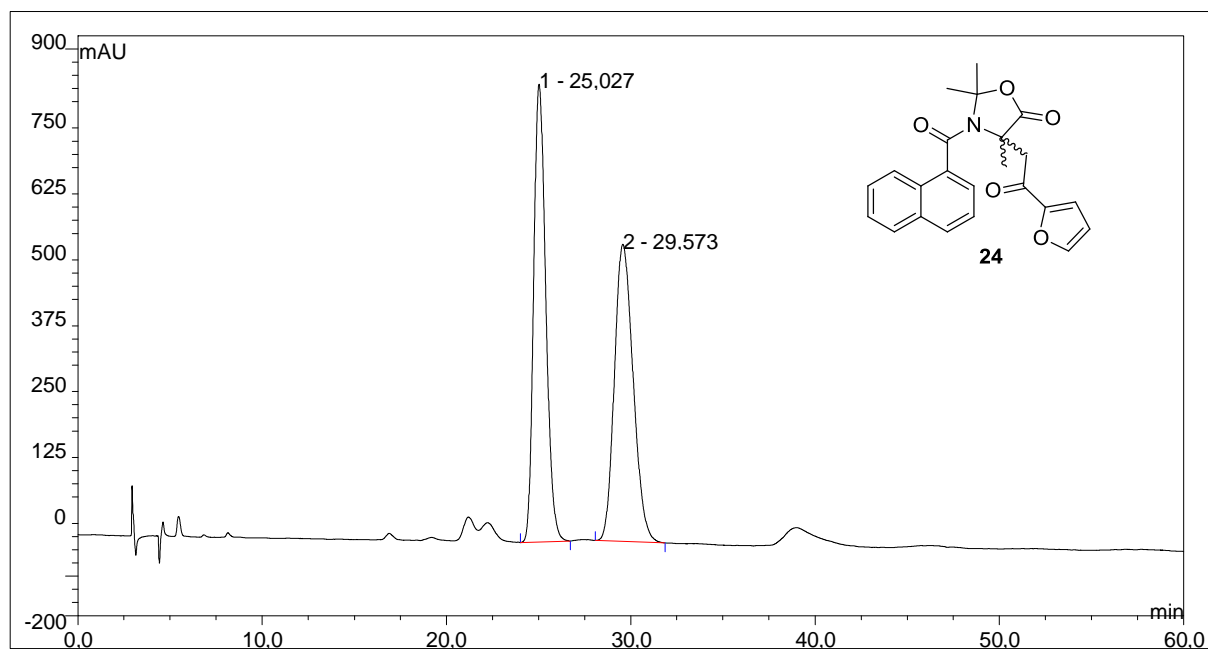


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	33,55	60,391	113,705	50,28
2	39,37	54,662	112,439	49,72

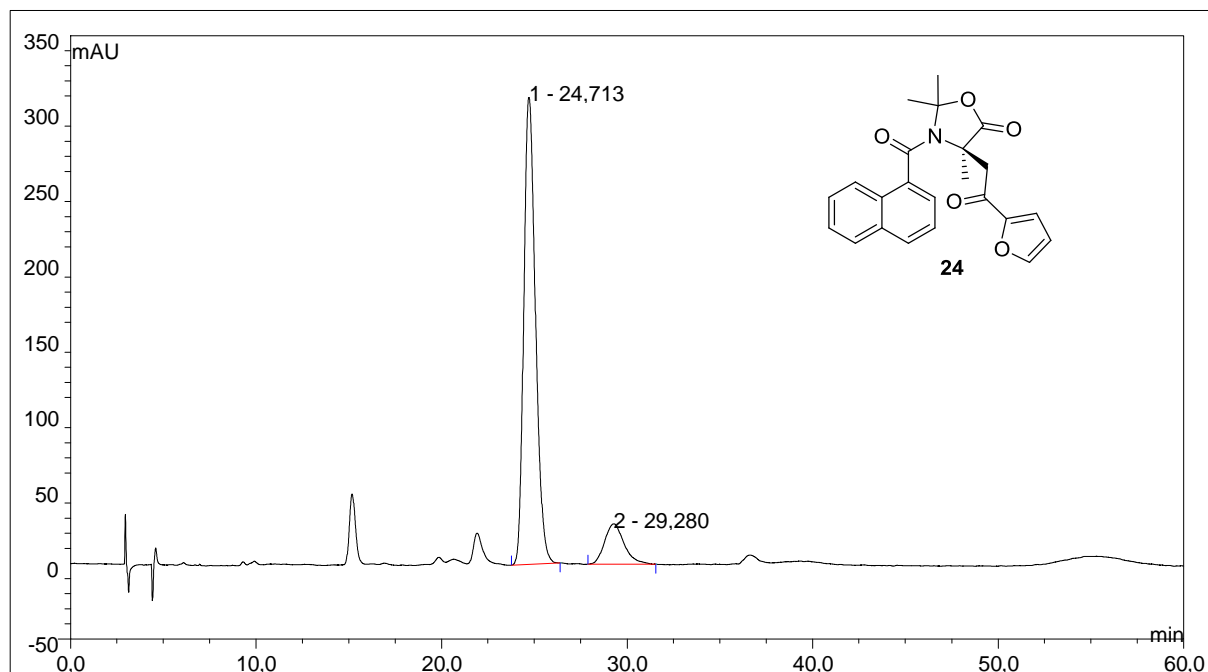


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	35,85	20,680	37,032	27,75
2	42,08	37,665	96,427	72,25

3-(1-naphthoyl)-4-(2-(furan-2-yl)-2-oxoethyl)-2,2,4-trimethyloxazolidin-5-one (24) :

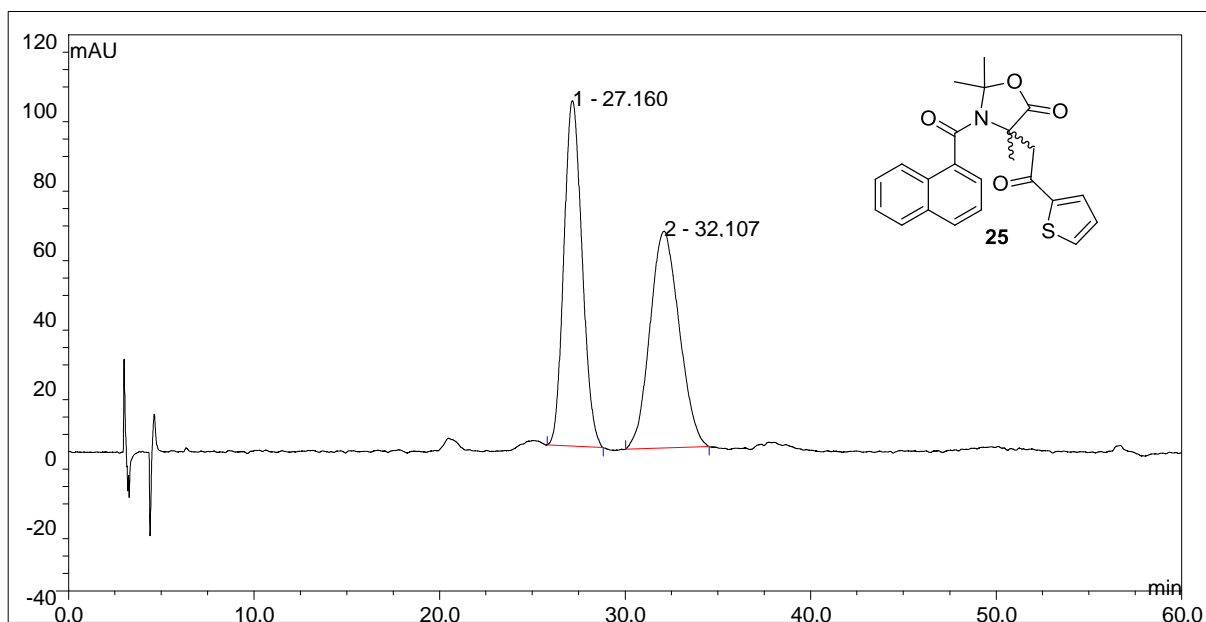


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	25,03	868,538	662,724	49,93
2	29,57	563,863	664,603	50,07

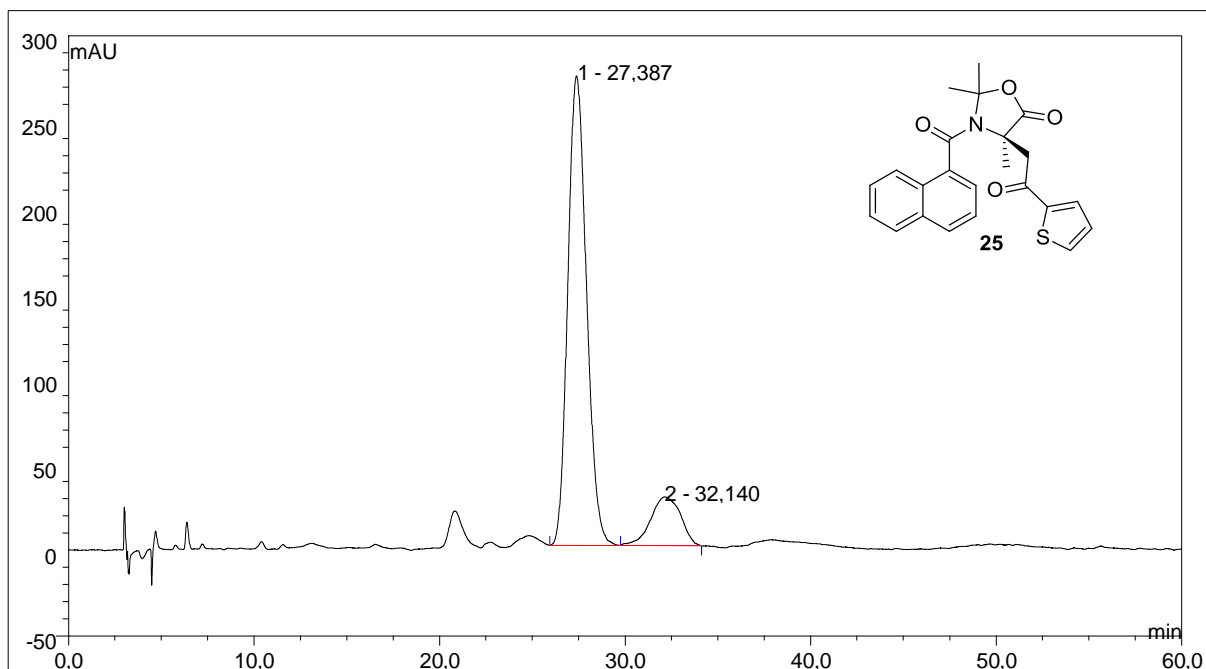


No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	24,71	309,633	225,640	87,38
2	29,28	26,792	32,580	12,62

3-(1-naphthoyl)-2,2,4-trimethyl-4-(2-oxo-2-(thiophen-2-yl)ethyl)oxazolidin-5-one (25) :



No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	27,16	99,392	113,243	49,84
2	32,11	62,383	113,976	50,16



No.	Ret.Time min	Height mAU	Area mAU*min	Rel.Area %
1	27,39	273,912	319,967	85,76
2	32,14	28,413	53,138	14,24