**Supporting Information**

# A Library of 1,4-Disubstituted 1,2,3-Triazole Analogs of the Oxazolidinone RNA-Binding Agents.

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# Biological data of 1,4-disubstituted 1,2,3-triazole members

**Table 1**. FRET screening of 1,4-disubstituted 1,2,3-triazole binding affinity for model RNAs AM1A and C11U

| Compd | $\Delta F^{a}_{AM1A}$(%) | $\Delta F_{C11U}$(%) | Compd | $\Delta F_{AM1A}$(%) | $\Delta F_{C11U}$(%) | Compd | $\Delta F_{AM1A}$(%) | $\Delta F_{C11U}$(%) |
|---|---|---|---|---|---|---|---|---|
| **ANB-22**[b] | 8.53 | 19.53 | **2**{5,4} | 16.79 | 21.12 | **2**{9,1} | 6.34 | 10.95 |
| **ANB-40** | 7.67 | 13.38 | **2**{5,6} | 11.30 | 12.74 | **2**{9,3} | 6.34 | 13.35 |
| **2**{1,1} | 11.66 | 15.17 | **2**{5,7} | 29.72 | 25.65 | **2**{9,4} | 13.95 | 23.19 |
| **2**{1,2} | -6.61 | -7.73 | **2**{5,8} | 14.51 | 22.54 | **2**{9,5} | 5.86 | 13.32 |
| **2**{1,6} | 32.93 | 34.73 | **2**{5,9} | 6.53 | 15.14 | **2**{9,6} | 0.27 | 2.96 |
| **2**{2,1} | 14.12 | 13.46 | **2**{6,3} | 14.94 | 20.05 | **2**{9,7} | 9.17 | 8.65 |
| **2**{2,2} | 5.10 | 7.80 | **2**{6,4} | 11.73 | 15.73 | **2**{9,8} | 12.83 | 16.15 |
| **2**{2,3} | 3.39 | 3.59 | **2**{6,6} | 4.48 | 4.12 | **2**{9,9} | 5.33 | 12.22 |
| **2**{2,4} | 19.50 | 25.03 | **2**{6,7} | 12.75 | 13.34 | **2**{10,4} | 22.60 | 24.76 |
| **2**{2,6} | 5.85 | 11.85 | **2**{6,8} | 18.23 | 14.55 | **2**{10,5} | 6.08 | 10.78 |
| **2**{2,7} | 18.92 | 23.73 | **2**{6,9} | 8.00 | 14.12 | **2**{10,6} | 20.05 | 24.05 |
| **2**{2,8} | 15.65 | 17.37 | **2**{7,1} | 10.68 | 11.57 | **2**{10,7} | 23.00 | 28.49 |
| **2**{2,9} | 15.23 | 16.01 | **2**{7,2} | 9.39 | 14.36 | **2**{10,8} | 0.50 | 8.57 |
| **2**{3,1} | 7.02 | 9.63 | **2**{7,3} | 14.18 | 13.82 | **2**{10,9} | -16.24 | -11.39 |
| **2**{3,4} | 11.70 | 18.05 | **2**{7,4} | 11.74 | 23.19 | **2**{11,1} | 8.46 | 12.98 |
| **2**{3,7} | 28.48 | 26.13 | **2**{7,5} | 5.50 | 14.99 | **2**{11,4} | 16.09 | 20.19 |
| **2**{3,8} | -4.36 | -2.69 | **2**{7,6} | 3.48 | 9.97 | **2**{11,7} | 17.95 | 18.22 |
| **2**{3,9} | -2.50 | 3.28 | **2**{7,7} | 6.87 | 15.90 | **2**{11,8} | 6.99 | 11.15 |
| **2**{4,1} | 20.43 | 24.49 | **2**{7,8} | 6.03 | 19.98 | **2**{12,1} | 9.64 | 16.31 |
| **2**{4,2} | 2.51 | 9.71 | **2**{7,9} | 6.07 | 12.98 | **2**{12,2} | 7.34 | 8.04 |
| **2**{4,3} | 19.92 | 27.38 | **2**{8,1} | 24.09 | 29.09 | **2**{12,3} | 7.93 | 12.85 |
| **2**{4,5} | 13.01 | 25.07 | **2**{8,2} | 15.07 | 17.68 | **2**{12,4} | 13.47 | 22.72 |
| **2**{4,6} | 9.94 | 14.39 | **2**{8,3} | 18.43 | 19.55 | **2**{12,5} | 5.24 | 10.51 |
| **2**{4,7} | 25.51 | 22.32 | **2**{8,4} | 14.54 | 28.75 | **2**{12,6) | 10.16 | 13.94 |
| **2**{4,8} | 16.43 | 22.17 | **2**{8,5} | 16.07 | 20.17 | **2**{12,7} | 25.78 | 26.08 |
| **2**{4,9} | 16.21 | 21.38 | **2**{8,6} | 9.89 | 10.52 | **2**{12,8} | 6.97 | 14.61 |
| **2**{5,1} | 21.65 | 32.76 | **2**{8,8} | 19.60 | 23.21 | **2**{12,9} | 12.25 | 19.17 |

[a] the relative fluorescence intensity change ΔF was calculated by $\Delta F=[(F-F_0)/F_0]*100$, where F is the fluorescence intensity with ligand and $F_0$ is without ligand at 585 nm upon excitation at 467 nm.[b] All of the compounds were tested at a final concentration of 10 $\mu$M.

## Procedures for Precursors and selected Library Members

**General Procedures.**

All reagents and starting materials were purchased from commercial suppliers. All reactions were conducted under an atmosphere of argon unless otherwise noted. Poly(4-vinylpyridine), cross-linked 2% cross-linked with divinylbenezene powder was purchased from Aldrich and used as a scavenger for copper ions. Workup of 1,2,3-triazole compounds were carried out using Isolute SPE phase separators purchased from Biotage. Purification of desired products were carried out using flash chromatography on silica gel (230-400 mesh) purchased from Silicycle. Triethylamine was distilled from calcium hydride prior to use. THF and DCM were dried over a column of dried alumina under an atmosphere of nitrogen. $^{1}H$ and $^{13}C$-NMR spectra were garnered on a Bruker AG 300 MHz spectrometer in $CDCl_3$ and referenced to TMS. HPLC data were obtained on Shimadzu using Supelco discovery C8 column (15cm x 4.6 mm, 5 μm), eluting at 1 mL/min with a gradient elution starting at 55% of MeOH-$H_2O$ going to 95% over 26 minutes as the mobile phase eluant unless otherwise stated. Retention times are reported in minutes. Mass verifications were carried out on a Shimadzu 2010A LC/MS using APCI probe. IR data were acquired on a Shimadzu Advantage FTIR-8400. Melting points were obtained on a Mel-temp Π, from laboratory devices, USA.

**General method A for glycidyl ester 6a-c synthesis.**

To a solution of glycidol **5** (100 mol%) in $CH_2Cl_2$ (1.35 M) at 0 °C was added DMAP (120 mol%). The reaction mixture was stirred for 30 minutes and the acid chloride (120 mol%) was added. The reaction was warmed to room temperature and stirring was continued at room temperature under argon atmosphere for 4 h. The reaction mixture was poured through a silica

gel pad, washed with $CH_2Cl_2$ (100 mL), filtered, concentrated and chromatographed (25% EtOAc in hexanes) to provide the desired glycidyl esters **6a-c.**

**General method B for glycidyl carbamate 8a-b synthesis.**

To a solution of allyl alcohol **7** (100 mol%) in $CH_2Cl_2$ (1.72 M) at 0 °C was added $Et_3N$ (300 mol%) and DMAP (2 mol%). The reaction mixture was stirred at 0 ° C for 30 minutes and the required isocyanate (120 mol%) was added. The reaction was warmed to rt and stirring was continued for 4 h. The reaction mixture was washed with 1 M HCl (3x), saturated $NaHCO_3$ (3x), $H_2O$ (3x), brine (2x), dried over $MgSO_4$, filtered, concentrated, and chromatographed (50% EtOAc in hexanes) to provide the allyl carbamate. Without further purification, the allyl carbamate was used in the next step of the reaction. To a solution of allyl carbamate (100 mol%) in $CH_2Cl_2$ (0.53 M) at 0 °C was added mCPBA (120 mol%). The reaction mixture was gradually warmed to room temperature and stirred 16 h. The reaction mixture was diluted with $Et_2O$, washed with 1 M NaOH (3x), dried over $MgSO_4$, filtered, concentrated, and chromatographed (50% EtOAc in hexanes) to provide carbamoyl epoxides **8a** and **8b**.

**Oxiran-2-ylmethyl octanoate (6a).**

Glycidol **5** (1.1 g, 15 mmol) was reacted with octanoyl chloride (3.1 mL, 2.9 g, 18 mmol) following general method A for glycidyl esters to afford 2.7 g (91%) of the ester **6a** as pale yellow oil that matched analytical data previously reported.[1] $^1$H NMR ($CDCl_3$, 300 MHz) δ 3.92 (dd, *J* = 3.1, 12.3, 1H), 3.42 (dd, *J* = 6.3, 12.3, 1H), 2.72-2.69 (m, 1H), 2.33 (t, *J* = 4.9, 1H), 2.15 (dd, *J* = 2.6, 5.0, 1H), 1.87 (t, *J* = 7.4, 2H), 1.23-1.09 (m, 2H), 0.93-0.73 (m, 8H), 0.42 (t, *J* = 6.8, 3H).

**Oxiran-2-ylmethyl benzoate (6b).**

Glycidol **5** (1.1 g, 15 mmol) was reacted with benzoyl chloride (2.5 g, 18 mmol) following general method A for glycidyl esters to afford 2.4 g (90%) of the ester **6b** as yellow oil that matched analytical data previously reported.[2] $^{1}$H NMR ($CDCl_3$, 300 MHz) δ 8.07 (d, *J* = 7.2, 2H), 7.57 (t, *J* = 7.2, 1H), 7.45 (t, *J* = 7.1, 2H), 4.65 (dd, *J* = 1.0, 3.1, 1H), 4.19 (dd, *J* = 6.2, 12.3, 1H), 3.37-3.31 (m, 1H), 2.98 (t, *J* = 4.9, 1H), 2.74-2.72 (m, 1H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 166.3, 133.2, 129.7, 128.4, 65.4, 49.5, 44.7.

**Oxiran-2-ylmethyl cyclohexanecarboxylate (6c).**

Glycidol **5** (1.1 g, 15 mmol) was reacted with cyclohexanecarbonyl chloride (2.6 g, 18 mmol) following general method A for glycidyl esters to afford 2.6 g (93%) of the ester **6c** as colorless oil that matched analytical data previously reported.[3] $^{1}$H NMR ($CDCl_3$, 300 MHz) δ 4.21 (dd, *J* = 3, 12.3, 1H), 3.74 (dd, *J* = 6.1, 12.3, 1H), 3.02-2.99 (m, 1H), 2.64 (t, *J* = 4.6, 1H), 2.46 (dd, *J* = 2.6, 4.9, 1H), 1.74 (d, *J* = 12.4, 2H), 1.67-1.42 (m, 3H), 1.40-1.21 (m, 2H), 1.21-0.99 (m, 3H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 175.2, 64.3, 49.1, 44.2, 42.8, 28.8, 25.57, 25.19.

**Oxiran-2-ylmethyl benzylcarbamate (8a).**

Benzyl carbamate (1.13 g, 6.1 mmol) prepared from allyl alcohol **7** was reacted with mCPBA (1.26 g, 7.3 mmol) following general method B for glycidyl carbamates to afford 1.0 g (80%) of the carbamate **8a** as a yellow oil that matched analytical data previously reported.[4] $^{1}$H NMR ($CDCl_3$, 300 MHz) δ 7.36-7.26 (m, 5H); 5.20 (s, 1H), 4.45 (dd, *J* = 2.9, 12.2, 1H), 4.36 (d, *J* = 6.0, 2H), 3.90 (dd, *J* = 6.3, 12.2, 1H), 3.21-3.17 (m, 1H), 2.82 (t, *J* = 4.6, 1H), 2.62 (dd, *J* = 2.4, 4.4, 1H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 156.1, 138.3, 128.7, 127.6, 127.5, 65.6, 49.8, 45.2, 44.6.

**Oxiran-2-ylmethyl butylcarbamate (8b).**

Butyl carbamate (1.60 g, 10.5 mmol) prepared from allyl alcohol **7** was reacted with mCPBA (2.17 g, 12.6 mmol) following general method B for glycidyl carbamates to afford 1.5 g (82%) of

the carbamate **8b** as yellow oil.$^{1}$H NMR ($CDCl_3$, 300 MHz) δ 5.00 (s, 1H); 4.42 (dd, *J* = 2.7, 12.2, 1H), 3.87(dd, *J* = 6.3, 12.2, 1H), 3.21-3.14 (m, 3H), 2.83 (t, *J* = 4.7, 1H), 2.64 (dd, *J* = 2.6, 4.8, 1H), 1.54-1.44 (m, 2H), 1.41-1.28 (m, 2H), 0.92 (t, *J* = 7.2, 3H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 156.2, 65.3, 49.9, 44.6, 40.8, 32.0, 19.9, 13.7.

**General method A for the synthesis of azide components 3**{1-3}, **3**{4-5} & **3**{6-9}.

To a mixture of epoxide (100 mol%) and $NH_4Cl$ (200 mol%) in MeOH and $H_2O$ (0.28 M, 8:1) was added $NaN_3$ (800 mol%) and the reaction mixture was stirred at room temperature for 24 h. The reaction mixture was concentrated to 1/10 its volume, diluted with $H_2O$ and extracted with EtOAc (3x). The combined organic layers were washed with brine (2x), dried over $MgSO_4$, filtered, concentrated, and chromatographed (35% EtOAc in hexanes) to provide azide compounds **3**{1-3}, **3**{4-5} & **3**{6-9}.

**General method B for the synthesis of azide components 3**{10-12}.

To a solution of *trans*-2-azidocyclohexanol **3**{9} (100 mol%) in $CH_2Cl_2$ (0.71 M) at 0 °C was added $Et_3N$ (300 mol%) and DMAP (2 mol%). The reaction mixture was stirred for 30 minutes and the acid chloride (120 mol%) was added. The reaction was gradually warmed to room temperature and stirred for 16 h under an argon atmosphere. The reaction mixture was washed with 1 M HCl (3x), saturated $NaHCO_3$ (3x), $H_2O$ (3x), brine (2x), dried over $MgSO_4$, filtered, concentrated, and chromatographed (25% EtOAc in hexanes) to provide compounds **3**{10-12}.

**3-azido-2-hydroxypropyl octanoate 3**{1}.

Glycidyl octanoate **6a** (1.13 g, 5.6 mmol) was reacted with $NaN_3$ (3.6 g, 56 mmol) following the general method A for azide compounds syntheses to afford 1.1 g (77%) of the azide **3**{1} as a pale yellow oil. $^{1}$H NMR ($CDCl_3$, 300 MHz) δ 4.15 (dd, *J* = 5.2, 12.2, 1H), 4.10 (dd, *J* = 5.2, 12.2, 1H), 4.04-3.97 (m, 1H), 3.41 (dd, *J* = 5.9, 12.8, 1H), 3.35 (dd, *J* = 5.9, 12.8, 1H), 3.03 (d, *J*

= 5.0, 1H), 2.33 (t, *J* = 7.5, 2H), 1.66-1.56 (m, 2H), 1.35-1.21 (m, 8H), 0.86 (t, *J* = 6.9, 3H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 174.8, 69.6, 66.1, 54.1, 34.7, 32.3, 29.7, 29.5, 25.5, 23.2, 14.7. IR ($CDCl_3$) 2100 $cm^{-1}$.

**3-azido-2-hydroxypropyl benzoate 3**{2}.

Glycidyl benzoate **6b** (1.1 g, 6.2 mmol) was reacted with $NaN_3$ (4.0 g, 62 mmol) following the general method A for azide compounds syntheses to afford 1.0 g (81%) of the azide **3**{2} as an orange-yellow oil that matched analytical data previously reported.[5] $^1$H NMR ($CDCl_3$, 300 MHz) δ 8.04 (d, *J* = 7.3, 2H), 7.58 (t, *J* = 7.2, 1H), 7.44 (t, *J* = 7.9, 2H), 4.44 (dd, *J* = 5.3, 20.5, 1H), 4.36 (dd, *J* = 5.3, 20.5, 1H), 4.21-4.12 (m, 1H), 3.51 (dd, *J* = 5.5, 13.0, 1H), 3.46 (dd, *J* = 5.5, 13.0, 1H), 3.22 (d, *J* = 4.4, 1H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 166.8, 133.5, 129.7, 129.4, 128.5, 69.1, 66.1, 53.56. IR ($CDCl_3$) 2106 $cm^{-1}$.

**3-azido-2-hydroxypropyl cyclohexanecarboxylate** 3{3}.

Glycidyl cyclohexanecarboxylate **6c** (1.13 g, 6.1 mmol) was reacted with $NaN_3$ (4 g, 61 mmol) following the general method A for azide compounds syntheses to afford 1.12 g (81%) of the azide **3**{3} as a pale yellow oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 4.11 (dd, *J* = 5.4, 20.4, 1H), 4.03 (dd, *J* = 5.4, 20.4, 1H), 3.99-3.89 (m, 1H); 3.36 (dd, *J* = 5.0, 12.7, 1H), 3.29 (dd, *J* = 5.0, 12.7, 1H), 3.00 (d, *J* = 5.0, 1H), 2.28 (tt, *J* = 3.6, 11.2, 1H), 1.90-1.79 (m, 2H), 1.75-1.53 (m, 3H), 1.45-1.10 (m, 5H), $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 176.3, 69.0, 65.3, 53.5, 43.0, 29.0, 25.6, 25.3. IR ($CDCl_3$) 2100 $cm^{-1}$.

**3-azido-2-hydroxypropyl benzylcarbamate 3**{4}.

Glycidyl benzylcarbamate **8a** (0.97 g, 3.8 mmol) was reacted with $NaN_3$ (2.5 g, 38 mmol) following the general method A for azide compounds syntheses to afford 0.87 g (77%) of the azide **3**{4} as an orange-yellow oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.40-7.23 (m, 5H), 5.27 (s,

1H), 4.36 (d, *J* = 5.2, 2H), 4.19 (dd, *J* = 4.3, 12.1, 1H), 4.14 (dd, *J* = 4.3, 12.1, 1H), 4.02-3.89 (m, 1H), 3.37-3.20 (m, 3H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 156.5, 137.7, 128.5, 127.4, 127.3, 69.3, 66.4, 53.1, 44.95. IR ($CDCl_3$) 2100 $cm^{-1}$.

**3-azido-2-hydroxypropyl butylcarbamate 3**{5}

Glycidyl butylcarbamate **8b** (0.93 g, 4.3 mmol) was reacted with $NaN_3$ (2.8 g, 43 mmol) following the general method A for azide compounds syntheses to afford 0.91 g (81%) of the carbamate **3**{5} as an orange-yellow oil**.** $^1$H NMR ($CDCl_3$, 300 MHz) δ 4.90 (bs, 1H), 4.20-4.09 (m, 2H), 4.04-3.95 (m, 1H), 3.43-3.29 (m, 3H), 3.18 (q, *J* = 6.5, 2H), 1.50-1.43 (m, 2H), 1.42-1.28 (m, 2H), 0.93 (t, *J* = 7.3, 3H), $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 155.3, 68.1, 64.9, 51.9, 39.4, 30.4, 18.3, 12.1. IR ($CDCl_3$) 2100 $cm^{-1}$.

**2-azido-1-phenylethanol 3**{6}.

Styrene oxide **9a** (1.2 g, 10 mmol) was reacted with $NaN_3$ (6.5 g, 100 mmol) following the general method A for azide compounds syntheses to afford 1.5 g (92%) of the azide **3**{6} as a yellow oil that matched analytical data previously reported.[6] $^1$H NMR ($CDCl_3$, 500 MHz) δ 7.43-7.31 (m, 5H), 4.66 (t, *J* = 6.5, 1H), 3.75 (t, *J* = 6.0, 2H), 2.08 (t, *J* = 6.0, 1H); $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 135.5, 128.1, 127.9, 126.4, 67.1, 65.7. IR ($CDCl_3$) 2100 $cm^{-1}$

**1-azidohexan-2-ol 3**{7}.

2-Butyloxirane **9b** (1.0 g, 10 mmol) was reacted with $NaN_3$ (6.5 g, 100 mmol) following the general method A for azide compounds syntheses to afford 1.35 g (94%) of the azide **3**{7} as a colorless oil that matched analytical data previously reported.[7] $^1$H NMR ($CDCl_3$, 300 MHz) δ 3.84-3.68 (m, 1H), 3.38 (dd, *J* = 3.4, 12.4, 1H), 3.25 (dd, *J* = 7.4, 12.4, 1H), 2.00 (s, 1H), 1.56-1.25 (m, 6H), 0.92 (t, *J* = 6.9, 3H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 70.3, 56.6, 33.5, 27.1, 22.1, 13.4. IR ($CDCl_3$) 2100 $cm^{-1}$.

**1-azido-3-(benzyloxy)propan-2-ol 3**{8}.

Benzyl glycidylether **9c** (1.6 g, 10 mmol) was reacted with $NaN_3$ (6.5 g, 100 mmol) following the general method A for azide compounds syntheses to afford 1.95 g (93%) of the azide **3**{8} as a pale yellow oil that matched analytical data previously reported.[8] $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.39-7.27 (m, 5H), 4.55 (s, 2H), 4.01-3.89 (m, 1H), 3.53 (dd, *J* = 4.4, 9.6, 1H), 3.48 (dd, *J* = 4.4, 9.6, 1H), 3.39 (dd, *J* = 6.0, 12.9, 1H), 3.34 (dd, *J* = 6.0, 12.9, 1H), 2.56 (d, *J* = 3.9, 1H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 136.1, 127.1, 126.5, 126.5, 72.1, 69.8, 68.2, 52.0. IR ($CDCl_3$) 2096 $cm^{-1}$.

**Trans-2-azidocyclohexanol 3**{9}.

Cyclohexene oxide **9d** (1.0 g, 10 mmol) was reacted with $NaN_3$ following the general method A for azide compounds syntheses to afford 1.38 g (98%) of the azide **3**{9} as a white solid that matched analytical data previously reported.[8] $^1$H NMR ($CDCl_3$, 300 MHz) δ 3.37-3.26 (m, 1H), 3.18-3.05 (m, 1H), 2.22 (d, *J* = 3.5, 1H), 2.05-1.90 (m, 2H), 1.74-1.60 (m, 2H); 1.36-1.11 (m, 4H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 72.4, 65.9, 31.9, 28.6, 23.1, 22.7. IR ($CDCl_3$) 2096 $cm^{-1}$.

**Trans-2-azidocyclohexyl benzoate 3**{10}.

2-Azido cyclohexanol **3**{9} (1.1 g, 11.3 mmol) was acylated with benzoyl chloride (2.0 g, 13.6 mmol) following general method B for azide synthesis to afford 2.1 g (92%) of the azide **3**{10} as a white solid that matched analytical data previously reported.[9] $^1$H NMR ($CDCl_3$, 300 MHz) δ 8.10 (d, *J* = 7.9, 2H), 7.58 (t, *J* = 7.2, 1H), 7.45 (t, *J* = 7.5, 2H), 5.00-4.89 (m, 1H), 3.63-3.51 (m, 1H), 2.29-2.05 (m, 2H), 1.83-1.72 (m, 2H), 1.54-1.27 (m, 4H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 165.8, 133.1, 130.1, 129.7, 128.4, 76.0, 63.4, 30.5, 30.4, 23.8, 23.5. IR ($CDCl_3$) 2100 $cm^{-1}$. m.p 112-115 °C.

**Trans-2-azidocyclohexyl 2-phenylacetate 3**{11}.

2-Azido cyclohexanol **3**{9} (0.5 g, 3.5 mmol) was acylated with phenylacetyl chloride (0.65 g, 4.2 mmol) following general method B for azide synthesis to afford 0.80 g, (88%) of the azide **3**{11} as colorless oil. $^{1}$H NMR ($CDCl_3$, 300 MHz) δ 7.32-7.23 (m, 5H), 4.73-4.65 (m, 1H), 3.65 (s, 2H), 3.41-3.32 (m, 1H), 2.05-1.98 (m, 2H), 1.73-1.67 (m, 2H), 1.41-1.21 (m, 4H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 170.9, 133.9, 129.3, 128.6, 127.1, 75.8, 63.1, 41.5, 30.4, 30.3, 23.7, 23.4. IR ($CDCl_3$) 2100 $cm^{-1}$

**Trans-2-azidocyclohexyl 2-phenoxyacetate 3**{12}.

2-Azido cyclohexanol **3**{9} (0.51 g, 3.6 mmol) was acylated with phenoxyacetyl chloride (0.75 g, 4.4 mmol) following general method B for azide synthesis to afford 0.90 g (91%) of the azide **3**{12} as colorless oil. $^{1}$H NMR ($CDCl_3$, 300 MHz) δ 7.31 (t, *J* = 7.6, 2H), 7.01 (t, *J* = 7.4, 1H), 6.94 (d, *J* = 8.0, 2H), 4.86-4.78 (m, 1H), 4.70 (d, *J* = 16.2, 1H), 4.64 (d, *J* = 16.2, 1H), 3.45-3.34 (m, 1H), 2.11-1.98 (m, 2H), 1.79-1.65 (m, 2H); 1.45-1.18 (m, 4H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 168.3, 157.8, 129.6, 121.7, 114.7, 76.4, 65.3, 63.0, 30.4, 30.2, 23.7, 23.4. IR ($CDCl_3$) 2096 $cm^{-1}$.

**General method A for the synthesis of propargylamine derivatives 4**{1-7}.

To a solution of propargyl bromide **10** (120 mol%) in THF (0.84 M) was added a secondary amine **11** (100 mol%). The reaction mixture was stirred for 5 minutes and $K_2CO_3$ (200 mol%) was added. The reaction mixture was heated at reflux for 24 h under an argon atmosphere. The reaction mixture was filtered, concentrated and chromatographed (50% EtOAc in hexane) to afford the propargyl amine derived alkynes **4**{1-7}.

**General method B for the synthesis of propargylamine derivatives 4**{8-9}.

To a solution of N-methyl propargylamine **12** (100 mol%) in MeOH (1.45 M) was added alkyl bromide **13** (120 mol%). The reaction mixture was stirred for 5 minutes and $K_2CO_3$ (200 mol%) was added. The reaction was heated at reflux for 24 h under an argon atmosphere. The reaction mixture was filtered, concentrated and chromatographed (50% EtOAc in hexane) to afford the propargyl amine derived alkyne **4**{8} and **4**{9}.

***N*-butyl-*N*-(prop-2-ynyl)butan-1-amine 4**{1}.

Propargyl bromide **10** (1.1 g, 9.29 mmol) was reacted with dibutylamine **11a** (1.0 g, 7.74 mmol) following general method A for propargylamine synthesis to afford 0.93 g (72%) of the alkyne **4**{1} as an orange-yellow oil that matched analytical data previously reported.[10] $^1$H NMR ($CDCl_3$, 300 MHz) δ 3.40 (d, *J* = 2.3, 2H), 2.45 (t, *J* = 7.1, 4H), 2.15 (t, *J* = 2.3, 1H), 1.49-1.26 (m, 8H), 0.92 (t, *J* = 7.1, 6H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 78.2, 71.7, 52.7, 41.0, 29.0, 19.9, 13.3.

**N-methyl-N-(3-phenylpropyl)prop-2-yn-1-amine 4**{2}.

Propargyl bromide **10** (1.0 g, 14.5 mmol) was reacted with N-methyl-3-phenylpropylamine **11b** (3.4 g, 17.4 mmol) following general method A for propargylamine synthesis to afford 2.2 g, (80%) of the alkyne **4**{2} as a reddish brown oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.29-7.24 (m, 2H), 7.19-7.14 (m, 3H), 3.34 (d, *J* = 2.4, 2H), 2.64 (t, *J* = 7.6, 2H), 2.44 (t, *J* = 7.2, 2H), 2.31 (s, 3H), 2.19 (t, *J* = 2.4, 1H), 1.84-1.74 (m, 2H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 139.9, 126.2, 126.1, 123.5, 76.4, 70.7, 52.9, 43.3, 39.5, 31.3, 27.0.

***N*-methyl-*N*-phenethylprop-2-yn-1-amine 4**{3}.

Propargyl bromide **10** (1.1 g, 8.88 mmol) was reacted with N-methyl-2-phenylethanamine **11c** (1.0 g, 7.40 mmol) following general method A for propargylamine synthesis to afford 0.96 g, (75%) of the alkyne **4**{3} as a reddish brown oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.28-7.14 (m,

5H), 3.37 (d, *J* = 2.4, 2H), 2.78-2.72 (m, 2H), 2.69-2.63 (m, 2H), 2.35 (s, 3H), 2.21 (t, *J* = 2.4, 1H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 140.2, 128.7, 128.4, 126.1, 78.5, 73.3, 57.4, 45.6, 41.8, 34.3.

**4-(prop-2-ynyl)morpholine 4**{4}.

Compound **4**{4} **was** prepared by following the method of Verron.[11] Propargyl bromide **10** (1.6 g, 13.8 mmol) was dissolved in THF (10 mL). To this reaction was added $K_2CO_3$ (3.2 g, 23.0 mmol) followed by morpholine **11d** (1.0 g, 11.5 mmol). The reaction mixture was refluxed for 6 h. The reaction mixture was washed with $CH_3OH$ (30 mL) and the washed concentrated to afford a white solid. The solid was suspended in $CH_2Cl_2$ (30 mL) for 20 min then filtered, concentrated to provide the crude 4-prop-2-ynyl-morpholine. Kugelrohr distillation of the crude product afforded 1.2 g, (84%) of 4-prop-2-ynyl-morpholine **4**{4} as a colorless oil that matched analytical data previously reported.[11] $^1$H NMR ($CDCl_3$, 300 MHz) δ 3.72 (t, *J* = 4.8, 4H), 3.27 (d, *J* = 2.4, 2H), 2.55 (t, *J* = 4.9, 4H), 2.26 (t, *J* = 2.4, 1H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 78.4, 73.4, 66.8, 52.2, 47.2.

**4-phenyl-1-(prop-2-ynyl)piperidine 4**{5}.

Propargyl bromide **10** (1.0 g, 6.2 mmol) was reacted with 4-phenylpiperidine **11e** (0.89 g, 7.44 mmol) following general method A for propargylamine synthesis to afford 0.98 g, (80%) of the alkyne **4**{5} as a white solid that matched analytical data previously reported.[12] $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.36-7.19 (m, 5H), 3.38 (d, *J* = 2.8, 2H), 3.08-3.00 (m, 2H), 2.59-2.47 (m, 1H), 2.37 (dt, *J* = 3.5, 11.5, 2H), 2.29 (t, *J* = 3.5, 1H), 1.95-1.80 (m, 4H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 145.8, 128.0, 126.5, 125.8, 78.7, 72.6, 52.6, 46.9, 41.8, 33.0. m.p 62-65 °C

**1-phenyl-4-(prop-2-ynyl)piperazine 4**{6}.

Propargyl bromide **10** (0.88 g, 7.4 mmol) was refluxed with 4-phenylpiperazine **11f** (1.0 g, 6.2 mmol) following general method A for propargylamine synthesis to afford 0.97 g (78%) of the

alkyne **4**{6} as a yellow solid that matched analytical data previously reported.[13] $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.29-7.34 (m, 2H), 6.93 (d, *J* = 8.8, 2H), 6.86 (t, *J* = 7.3, 1H), 3.36 (d, *J* = 2.5, 2H), 3.24 (t, *J* = 5.0, 4H), 2.74 (t, *J* = 5.2, 4H), 2.27 (t, *J* = 2.4, 1H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 150.9, 128.8, 119.4, 115.8, 78.3, 73.0, 51.6, 48.7, 46.6. m.p 45-47 °C.

**Ethyl 1-(prop-2-ynyl)piperidine-3-carboxylate 4**{7}.

Propargyl bromide **10** (0.91 g, 7.7 mmol) was reacted with ethyl nipecotate **11g** (1.0 g, 6.4 mmol) following general method A for propargylamine synthesis to afford 0.86 g (69%) of the alkyne **4**{7} as a yellow oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 4.13 (q, *J* = 7.1, 2H), 3.33 (d, *J* = 2.4, 2H), 3.01 (db, 1H), 2.81-2.74 (m, 1H), 2.64-2.24 (m, 1H), 2.78 (t, *J* = 10.7, 1H), 2.26-2.17 (m, 2H), 1.97-1.90 (m, 1H), 1.81-1.72 (m, 1H), 1.68-1.52 (m, 1H), 1.50-1.41 (m, 1H), 1.26 (t, *J* = 7.1, 3H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 173.5, 78.3, 72.7, 59.9, 53.9, 51.8, 46.8, 41.4, 26.0, 24.0, 13.7.

**N-(cyclohexylmethyl)-N-methylprop-2-yn-1-amine 4**{8}.

N-methylpropargylamine **12** (1.0 g, 14.5 mmol) was reacted with (bromomethyl)cyclohexane **13a** (3.1 g, 17.4 mmol) following general method B for propargylamine synthesis to afford 1.74 g, (74%) of the alkyne **4**{8} as a reddish brown oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 2.97 (d, *J* = 3.0, 2H), 1.93 (s, 3H), 1.89-1.85 (m, 3H), 1.49 (m, 5H), 1.11-1.04 (m, 1H), 0.98-0.76 (m, 3H), 0.61-0.44 (m, 2H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 78.7, 72.8, 62.5, 45.8, 42.0, 35.5, 31.6, 26.7, 26.0.

***N*,3-dimethyl-*N*-(prop-2-ynyl)butan-1-amine 4**{9}.

N-methyl propargylamine **12** (1.0 g, 14.5 mmol) was reacted with 1-bromo-3-methylbutane **13b** following general method B for propargylamine synthesis to afford 1.54 g (77%) of the alkyne **4**{9} as a reddish brown oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 3.34 (d, *J* = 2.4, 2H), 2.42 (t, *J* = 7.6,

2H), 2.30 (s, 3H), 2.20 (t, *J* = 2.4, 1H), 1.68-1.53 (m, 1H), 1.39-1.30 (m, 2H), 0.91 (d, *J* = 6.6, 6H). $^{13}$C NMR ($CDCl_3$, 75 MHz) δ 77.8, 71.9, 53.0, 44.6, 40.9, 35.7, 25.4, 21.7.

**General procedure for 1,4-disubstituted 1,2,3-triazole synthesis.**

To a solution of azide compound (1.0 equiv) in $^t$BuOH/$H_2O$ mixture (1:1, 0.2 M) at 25 °C was added propargylamine derived alkyne (1.1 equiv). To this reaction mixture was added $CuSO_4$•$5H_2O$ (1.0 M in $H_2O$, 1.0 equiv) followed by sodium ascorbate (1.0 M in $H_2O$, 2.0 equiv). The reaction mixture was stirred at room temperature for 24 h, then concentrated to a fourth its volume and diluted with $CH_2Cl_2$ (2 mL). A mixture of $NH_4OH/H_2O$ (1:1= 2 mL) was added and the mixture filtered through a biotage phase separator. The $CH_2Cl_2$ filtrate was concentrated, charged onto silica plug and washed with 50% EtOAc in hexanes as forerun eluant. This was followed by 5% $CH_3OH$ in $CH_2Cl_2$ to afford the desired 1,4-disubstituted 1,2,3-triazole **2.**

**2-hydroxy-3-(4-((methyl(3-phenylpropyl)amino)methyl)-1*H*-1,2,3-triazol-1-yl)propyl benzylcarbamate 2**{4,2}.

Azide **3**{4} (25 mg, 0.100 mmol) and the alkyne **4**{2} (19 mg, 0.100 mmol) were reacted following the general method for triazole synthesis to provide 41 mg (94%) of the 1,2,3-triazole **2**{4,2} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.46 (s, 1H), 7.21-7.11 (m, 7H), 7.06-7.01 (m, 3H), 5.72 (t, *J* = 6.9, 1H), 4.5 (dd, *J* = 3, 13.4, 1H), 4.40-4.28 (m, 3H), 4.27-4.16 (m, 2H), 4.16-4.03 (m, 2H), 3.62 (s, 2H), 2.61 (t, *J* = 7.5, 2H), 2.42 (t, *J* = 7.5, 2H), 2.21 (s, 3H), 1.90-1.72 (m, 2H). HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.92 (97%), MS (APCI) : M+H expected 437.53, obtained 438.95.

**2-(4-((4-phenylpiperazin-1-yl)methyl)-1*H*-1,2,3-triazol-1-yl)cyclohexanol 2**{9,6}.

Azide **3**{9} (15 mg, 0.106 mmol) and the alkyne **4**{6} (21 mg, 0.106 mmol) were reacted following the general method for triazole synthesis to provide 34 mg (95%) of the 1,2,3-triazole

**2**{9,6} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.60 (s, 1H), 7.29-7.24 (m, 2H), 6.93-6.84 (m, 3H), 5.31 (s, 1H), 4.18-4.14 (m, 1H), 4.01-3.98 (m, 1H), 3.72 (s, 2H), 3.20 (t, *J* = 4.7, 4H), 2.70 (t, *J* = 5.0, 4H), 2.22-2.18 (m, 2H), 1.94-1.86 (m, 3H), 1.48-1.42 (m, 3H). HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.51 (84%), MS (APCI) : M+H expected 341.45, obtained 341.90.

**Ethyl 1-((1-(2-hydroxyhexyl)-1*H*-1,2,3-triazol-4-yl)methyl)piperidine-3-carboxylate 2**{7,7}. Azide **3**{7} (15 mg, 0.105 mmol) and the alkyne **4**{7} (20 mg, 0.106 mmol) were reacted following the general method for triazole synthesis to provide 32 mg (91%) of the 1,2,3-triazole **2**{7,7} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.68 (s, 1H), 4.48-4.41 (dt, *J* = 3.1, 13.8, 1H), 4.27-4.02 (m, 5H), 3.76 (d, *J* = 14.2, 1H), 3.70 (d, *J* = 14.2, 1H), 3.03 (d, *J* = 10.9, 1H), 2.86 (d, *J* = 10.4, 1H), 2.62-2.57 (m, 1H), 2.32 (t, *J* = 10.7, 1H), 2.18 (t, *J* = 10.7, 1H), 1.98-1.91 (m, 1H), 1.72-1.69 (m, 1H), 1.68-1.58 (m, 1H), 1.50-1.43 (m, 4H), 1.42-1.37 (m, 3H), 1.23 (t, *J* = 7.2, 3H), 0.90 (t, *J* = 6.9, 3H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.25 (99%), gradient elution 55% to 95% $CH_3OH$ over 26 min. MS (APCI) : M+H expected 338.45, obtained 339.30.

**1-(4-((cyclohexylmethyl)(methyl)amino)methyl)-1*H*-1,2,3-triazol-1-yl)hexan-2-ol 2**{7,8}. Azide **3**{7} (15 mg, 0.105 mmol) and the alkyne **4**{8} (17 mg, 0.105 mmol) were reacted following the general method for triazole synthesis to provide 30 mg (93%) of the 1,2,3-triazole **2**{7,8} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.47 (s, 1H), 4.24-4.17 (m, 1H), 4.01 (dd, *J* = 7.8, 13.8, 1H), 3.89-3.74 (m, 1H), 3.52 (s, 2H), 2.11-2.05 (bs, 5H), 1.73 (s, 1H), 1.55-1.43 (m, 5H), 3.00-2.00 (m, 3H), 1.13-1.08 (m, 3H), 1.03-0.88 (m, 3H), 0.69-0.62 (m, 5H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 16.53 (95%), gradient elution 55% to 95% $CH_3OH$ over 26 min. MS (APCI) : M+H expected 308.26, obtained 309.25.

**1-(4-((4-phenylpiperazin-1-yl)methyl)-1*H*-1,2,3-triazol-1-yl)hexan-2-ol 2**{7,6}.

Azide **3**{7} (15 mg, 0.105 mmol) and the alkyne **4**{6} (21 mg, 0.105 mmol) were reacted following the general method for triazole synthesis to provide 35 mg (96%) of the 1,2,3-triazole **2**{7,6} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.70 (s, 1H), 7.29-7.24 (m, 2H), 6.93-6.84 (m, 3H), 4.46 (dd, *J* = 2.5, 14.0, 1H), 4.24 (dd, *J* = 8, 14, 1H), 4.10-4.00 (m, 1H), 3.76 (s, 2H), 3.42 (s, 2H), 3.23-3.17 (bs, 4H), 2.67-1.80 (bs, 4H), 1.53-1.28 (m, 6H), 0.92 (t, *J* = 7, 3H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 9.79 (84%). MS (APCI) : M+H expected 343.47, obtained 344.90.

**Ethyl 1-((1-(2-hydroxycyclohexyl)-1*H*-1,2,3-triazol-4-yl)methyl)piperidine-3-carboxylate 2**{9,7}.

Azide **3**{9} (15 mg, 0.106 mmol) and the alkyne **4**{7} (21 mg, 0.106 mmol) were reacted following the general method for triazole synthesis to provide 33 mg (92%) of the 1,2,3-triazole **2**{9,7} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.60 (s, 1H), 4.20-4.07 (m, 3H), 3.99-3.91 (m, 1H), 3.79(s, 1H), 3.69-3.66 (m, 2H), 3.01 (d, *J* = 10.8, 1H), 2.82 (d, *J* = 11.0, 1H), 2.63-2.54 (m, 1H), 2.34-2.25 (m, 1H), 2.23-2.07 (m, 3H), 1.94-1.80 (m, 4H), 1.75-1.68 (m, 1H), 1.66-1.53 (m, 1H), 1.51-1.34 (m, 4H), 1.23 (t, *J*= 7.0, 3H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 12.84 (98%). MS (APCI) : M+H expected 336.43, obtained 337.90.

**2-(4-((cyclohexylmethyl)(methyl)amino)methyl)-1*H*-1,2,3-triazol-1-yl)cyclohexanol 2**{9,8}.

Azide **3**{9} (15 mg, 0.106 mmol) and the alkyne **4**{8} (18 mg, 0.106 mmol) were reacted following the general method for triazole synthesis to provide 30 mg (92%) of the 1,2,3-triazole **2**{9,8} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.61 (s, 1H), 4.25-4.10 (m, 3H), 4.02-3.90 (m, 1H), 3.69 (s, 2H) 2.26 (s, 3H), 2.22-2.15 (m, 4H), 1.95-1.82 (m, 3H), 1.80-1.60 (m, 5H), 1.53-1.35 (m, 4H), 1.30-1.10 (m, 3H), 0.92-0.76 (m, 2H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 18.94

(81%), gradient elution 55% to 95% $CH_3OH$ over 26 min. MS (APCI) : M+H expected 306.24, obtained 307.90.

**Ethyl 1-((1-(3-(benzyloxy)-2-hydroxypropyl)-1*H*-1,2,3-triazol-4-yl)methyl)piperidine-3-carboxylate 2**{8,7}.

Azide **3**{8} (15 mg, 0.072 mmol) and the alkyne **4**{7} (14 mg, 0.072 mmol) were reacted following the general method for triazole synthesis to provide 26 mg (91%) of the 1,2,3-triazole **2**{8,7} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.56 (s, 1H), 7.32-7.22 (m, 5H), 4.49-4.47 (m, 3H), 4.37-4.29 (m, 1H), 4.20-4.10 (m, 1H), 4.08-4.05 (q, *J* = 4.5, 2H), 3.70-3.60 (s, 2H), 3.48-3.30 (m, 3H), 2.95 (d, *J* = 9.6, 1H), 2.75 (d, *J* = 9.6, 1H), 2.54 (t, *J* = 10.4, 1H), 2.27-2.21 (m, 1H), 2.18-2.03 (m, 2H), 1.86 (d, *J* = 11.1, 1H), 1.69-1.37 (m, 3H), 1.16 (t, *J* = 7.1, 4H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.56 (98%), gradient elution 55% to 95% $CH_3OH$ over 26 min. MS (APCI) : M+H expected 402.49, obtained 403.25.

**3-(4-((dibutylamino)methyl)-1*H*-1,2,3-triazol-1-yl)-2-hydroxypropyl benzylcarbamate 2**{4,1}.

Azide **3**{4} (20 mg, 0.080 mmol) and the alkyne **4**{1} (13 mg, 0.080 mmol) were reacted following the general method for triazole synthesis to provide 31 mg (92%) of the 1,2,3-triazole **2**{4,1} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.59 (s, 1H), 7.35-7.28 (m, 5H), 5.56 (t, *J* = 5.6, 1H), 4.41 (dd, *J* = 3.3, 13.6, 1H), 4.40-4.30 (m, 3H), 4.28-4.10 (m, 4H), 3.72 (s, 2H), 2.41 (t, *J* = 7.2, 4H), 1.48-1.43 (m, 4H), 1.41-1.27 (m, 4H), 0.90 (t, *J* = 7.2, 6H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 16.53 (95%). MS (APCI) : M+H expected 417.55, obtained 418.35.

**Ethyl 1-((1-(3-(benzylcarbamoyloxy)-2-hydroxypropyl)-1*H*-1,2,3-triazol-4-yl)methyl)piperidine-3-carboxylate 2**{4,7}.

Azide **3**{4} (20 mg, 0.080 mmol) and the alkyne **4**{7} (16 mg, 0.080 mmol) were reacted following the general method for triazole synthesis to provide 34 mg (96%) of the 1,2,3-triazole **2**{4,7} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.66 (s, 1H), 7.33-7.27 (m, 5H), 5.79 (t, *J* = 5.4, 1H), 4.50 (dt, *J* = 2.8, 13.7, 1H), 4.40-4.30 (m, 3H), 4.28-4.18 (m, 2H), 4.16-4.06 (m, 4H), 3.64 (d, *J* = 14.2, 1H), 3.60 (d, *J* = 14.2, 1H), 2.95 (d, *J* = 10.8, 1H), 2.75 (d, *J* = 11.1, 1H), 2.59-2.50 (m, 1H), 2.25 (t, *J* = 10.2, 1H), 2.09 (t, *J* = 10.2, 1H), 1.92-1.87 (m, 1H), 1.73-1.67 (m, 1H), 1.51-1.37 (m, 2H), 1.23 (t, *J* = 7.2, 3H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 13.88 (97%), gradient elution 55% to 95% $CH_3OH$ over 26 min. MS (APCI) : M+H expected 445.51, obtained 446.25.

**2-Hydroxy-3-(4-((isopentyl(methyl)amino)methyl)-1*H*-1,2,3-triazol-1-yl)propyl benzylcarbamate 2**{4,9}.

Azide **3**{4} (20 mg, 0.080 mmol) and the alkyne **4**{9} (11 mg, 0.080 mmol) were reacted following the general method for triazole synthesis to provide 29 mg (92%) of the 1,2,3-triazole **2**{4,9} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.81 (s, 1H), 7.35-7.28 (m, 6H), 5.50 (t, *J* = 5.4, 1H), 4.54 (dd, *J* = 3.0, 13.6, 1H), 4.40-4.36 (m, 3H), 4.30-4.24 (m, 1H), 4.20 (d, *J* = 4.1, 1H), 4.12 (dd, *J* = 5.2, 11.6, 1H), 3.79 (s, 2H), 2.57-2.51 (m, 2H), 2.32 (s, 3H), 1.65-1.55 (m, 1H), 151-1.43 (m, 2H), 0.91 (t, *J* = 6.6, 6H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 3.25 (82%), gradient elution 55% to 95% $CH_3OH$ over 26 min. MS (APCI) : M+H expected 389.49, obtained 390.30.

**3-(4-(((cyclohexylmethyl)(methyl) amino)methyl)-1*H*-1,2,3-triazol-1-yl)-2-hydroxypropyl benzylcarbamate 2**{4,8}.

Azide **3**{4} (20 mg, 0.080 mmol) and the alkyne **4**{8} (13 mg, 0.080 mmol) were reacted following the general method for triazole synthesis to provide 30 mg (90%) of the 1,2,3-triazole **2**{4,8} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.51 (s, 1H), 7.26-7.19 (m, 5H), 5.39 (t, *J* = 5.4, 1H), 4.43 (dd, *J* = 3.3, 13.7, 1H), 4.34 (m, 3H), 4.18-4.13 (m, 1H), 4.11 (d, *J* = 3.7, 1H),

4.07 (dd, *J* = 4.0, 13.3, 1H), 3.53 (s, 2H), 2.11 (s, 3H), 2.07 (d, *J* = 7.2, 2H), 1.70-1.59 (m, 5H), 1.46-1.36 (m, 1H), 1.18-1.09 (m, 4H), 0.79-0.68 (m, 2H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 11.89 (84%). MS (APCI) : M+H expected 415.53, obtained 416.95.

**2-(4-((4-phenylpiperidin-1-yl)methyl)-1*H*-1,2,3-triazol-1-yl)cyclohexyl benzoate 2**{10,5}.

Azide **3**{10} (20 mg, 0.082 mmol) and the alkyne **4**{5} (16 mg, 0.082 mmol) were reacted following the general method for triazole synthesis to provide 33 mg (90%) of the 1,2,3-triazole **2**{10,5} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.88-785 (m, 2H), 7.49 (tt, *J* = 0.9, 7.5, 1H), 7.39-7.27 (m, 4H), 7.23-7.15 (m, 3H), 7.58 (s, 1H), 5.38-5.29 (m, 1H), 4.79-4.70 (m, 1H), 3.68 (s, 2H), 2.89-2.84 (m, 2H), 2.39-2.23 (m, 3H), 2.09-1.94 (m, 5H), 1.72-1.51 (m, 7H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 2.52 (100%). MS (APCI) : M+H expected 444.25, obtained 445.30.

**2-(4-(((cyclohexylmethyl)(methyl)amino)methyl)-1*H*-1,2,3-triazol-1-yl)cyclohexyl 2-phenoxyacetate 2**{12,8}.

Azide **3**{12} (20 mg, 0.073 mmol) and the alkyne **4**{8} (12 mg, 0.073 mmol) were reacted following the general method for triazole synthesis to provide 30 mg (93%) of the 1,2,3-triazole **2**{12,8} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.46 (s, 1H), 7.29-7.23 (m, 2H), 6.97 (tt, *J* = 0.9, 7.4, 1H), 6.75-6.71 (m, 2H), 5.27-5.18 (m, 1H), 4.60-4.51 (m, 1H), 4.48 (d, *J* = 16.3, 1H), 4.39 (d, *J* = 16.3, 1H), 3.63 (m, 2H), 2.29-2.21 (m, 2H), 2.16 (s, 3H), 2.12 (d, *J* = 7.1, 2H), 2.00-1.91 (m, 3H), 1.79-1.60 (m, 5H), 1.59-1.40 (m, 4H), 1.29-1.11 (m, 3H), 0.89-0.74 (m, 2H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 13.92 (84%), gradient elution 55% to 95% $CH_3OH$ over 26 min. MS (APCI) : M+H expected 440.28, obtained 441.90.

**2-(4-((methyl(3-phenylpropyl)amino)methyl)-1*H*-1,2,3-triazol-1-yl)cyclohexyl 2-phenoxyacetate 2**{12,2}.

Azide **3**{12} (20 mg, 0.073 mmol) and the alkyne **4**{2} (14 mg, 0.073 mmol) were reacted following the general method for triazole synthesis to provide 32 mg (95%) of the 1,2,3-triazole

**2**{12,2} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.44 (s, 1H), 7.28-7.17 (m, 7H), 6.97 (t, *J* = 7.2, 1H), 6.73 (d, *J* = 8.1, 2H), 5.28-5.17 (m, 1H), 4.52-4.42 (m, 4H), 3.68 (s, 2H), 2.62 (t, *J* = 7.8, 2H), 2.41 (t, *J* = 7.2, 2H), 2.21 (s, 3H), 1.94-1.83 (m, 7H), 1.53-1.47 (m, 4H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 20.29 (97%), gradient elution 55% to 95% $CH_3OH$ over 26 min. MS (APCI) : M+H expected 462.58, obtained 463.00.

**2-(4-((4-phenylpiperidin-1-yl)methyl)-1*H*-1,2,3-triazol-1-yl)cyclohexyl 2-phenoxyacetate 2**{12,5}.

Azide **3**{12} (20 mg, 0.073 mmol) and the alkyne **4**{5} (15 mg, 0.073 mmol) were reacted following the general method for triazole synthesis to provide 32 mg (91%) of the 1,2,3-triazole **2**{12,5} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.53 (s, 1H), 7.32-7.17 (m, 7H), 6.97 (tt, *J* = 0.9, 7.5, 1H), 6.76-6.72 (m, 2H), 5.29-5.20 (m, 1H), 4.62-4.53 (m, 1H), 4.49 (d, *J* = 16.3, 1H), 4.40 (d, *J* = 16.3, 1H), 3.70 (s, 2H), 3.04-2.99 (m, 2H), 2.49-2.41 (m, 1H), 2.32-2.22 (m, 2H), 2.19-2.09 (m, 2H), 2.03-1.09 (m, 3H), 1.82-1.76 (m, 4H), 1.60-1.48 (m, 3H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.48 (98%). MS (APCI) : M+H expected 474.59, obtained 475.85.

**2-(4-((dibutylamino)methyl)-1*H*-1,2,3-triazol-1-yl)cyclohexyl 2-phenoxyacetate 2**{12,1}.

Azide **3**{12} (20 mg, 0.073 mmol) and the alkyne **4**{1} (12 mg, 0.073 mmol) were reacted following the general method for triazole synthesis to provide 30 mg (91%) of the 1,2,3-triazole **2**{12,1} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.46 (s, 1H), 7.26-7.21 (m, 2H), 6.98-6.90 (m, 1H), 6.72 (d, *J* = 8.3, 2H), 5.24-5.16 (m, 1H), 4.67-4.49 (m, 1H), 4.45 (d, *J* = 16.2, 1H), 4.36 (d, *J* = 16.2, 1H), 3.72 (s, 2H), 2.38 (t, *J* = 7.2, 4H), 2.25-2.21 (m, 2H), 1.98-1.89 (m, 3H), 1.51-1.38 (m, 7H), 1.31-1.19 (m, 5H), 0.86 (t, *J* = 7.2, 6H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 20.38 (81%), gradient elution 55% to 95% $CH_3OH$ over 26 min. MS (APCI) : M+H expected 442.59, obtained 444.30.

**Ethyl 1-((1-(2-(2-phenoxyacetoxy)cyclohexyl)-1*H*-1,2,3-triazol-4-yl)methyl)piperidine-3-carboxylate 2**{12,7}.

Azide **3**{12} (20 mg, 0.073 mmol) and the alkyne **4**{7} (14 mg, 0.073 mmol) were reacted following the general method for triazole synthesis to provide 31 mg (92%) of the 1,2,3-triazole **2**{12,7} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.49 (d, *J* = 6, 1H), 7.29-7.23 (m, 2H), 6.98 (tt, *J* = 0.9, 6.4, 1H), 6.73 (dd, *J* = 0.8, 7.9, 2H), 5.23 (m, 1H), 4.58-4.53 (m, 1H), 4.48 (d, *J* = 16.3, 1H), 4.39 (d, *J* = 16.3, 1H), 4.16-4.06 (m, 2H), 3.70 (d, *J* = 14.2, 1H), 3.63 (d, *J* = 14.2, 1H), 2.95 (t, *J* = 8.1, 1H), 2.76-2.70 (m, 1H), 2.58-2.50 (m, 1H), 2.30-2.22 (m, 3H), 2.19-1.81 (m, 6H), 1.71-1.65 (m, 1H), 1.60-1.37 (m, 5H), 1.23 (t, *J* = 7.2, 3H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.25 (96%), gradient elution 55% to 95% $CH_3OH$ over 26 min. MS (APCI) : M+H expected 470.56, obtained 470.80

**2-(4-((dibutylamino)methyl)-1*H*-1,2,3-triazol-1-yl)cyclohexyl 2-phenylacetate 2**{11,1}.

Azide **3**{11} (25 mg, 0.096 mmol) and the alkyne **4**{1} (16 mg, 0.096 mmol) were reacted following the general method for triazole synthesis to provide 38 mg (93%) of the 1,2,3-triazole **2**{11,1} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.10 (s, 1H), 7.07-6.99 (m, 3H), 6.86-6.82 (m, 2H), 4.92-4.83 (m, 1H), 4.35-4.24 (m, 1H), 3.47 (s, 2H), 3.20 (s, 2H), 2.14 (t, *J* = 7.2, 3H), 2.10-1.92 (m, 2H), 1.72-1.64 (m, 3H), 1.29-1.16 (m, 7H), 1.11-0.99 (m, 5H), 0.69 (t, *J* = 7.2, 6H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 16.80 (86%), gradient elution 55% to 95% $CH_3OH$ over 26 min. MS (APCI) : M+H expected 426.59, obtained 430.00.

**2-(4-(((cyclohexylmethyl)(methyl)amino)methyl)-1*H*-1,2,3-triazol-1-yl)cyclohexyl 2-phenylacetate 2{11,8}.**

Azide **3**{11} (25 mg, 0.0.096 mmol) and the alkyne **4**{8} (16 mg, 0.096 mmol) were reacted following the general method for triazole synthesis to provide 38 mg (93%) of the 1,2,3-triazole **2**{11,8} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.56 (s, 1H), 7.09-7.00 (m, 3H), 6.90-6.84 (m, 2H), 4.93-4.84 (m, 1H), 4.36-4.27 (m, 1H), 3.39 (s, 2H), 3.22 (s, 2H), 2.10-1.90 (m, 7H),

1.79-1.65 (m, 3H), 1.64-1.40 (m, 6H), 1.33-1.21 (m, 4H), 1.10-0.90 (m, 4H), 0.68-0.54 (m, 2H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 16.53 (95%). MS (APCI) : M+H expected 424.58, obtained 423.40.

**2-hydroxy-3-(4-((4-phenylpiperidin-1-yl)methyl)-1*H*-1,2,3-triazol-1-yl)propyl benzylcarbamate 2**{4,5}.

Azide **3**{4} (20 mg, 0.080 mmol) and the alkyne **4**{5} (16 mg, 0.080 mmol) were reacted following the general method for triazole synthesis to provide 33 mg (92%) of the 1,2,3-triazole **2**{4,5} as a thick oil. $^1$H NMR ($CDCl_3$, 300 MHz) δ 7.69 (s, 1H), 7.33-7.24 (m, 7H), 7.22-7.19 (m, 3H), 5.71 (t, *J* = 6.8, 1H), 4.53 (dd, *J* = 3.0, 13.6, 1H), 4.39-4.21 (m, 5H), 4.20-4.10 (m, 2H), 3.03 (d, *J* = 11.4, 2H), 2.53-2.43 (m, 1H), 2.20-2.10 (m, 2H), 1.83-1.72 (m, 4H). HPLC ($CH_3OH$ : $H_2O$) $R_T$ 2.33 (100%). MS (APCI) : M+H expected 449.55, obtained 450.35.

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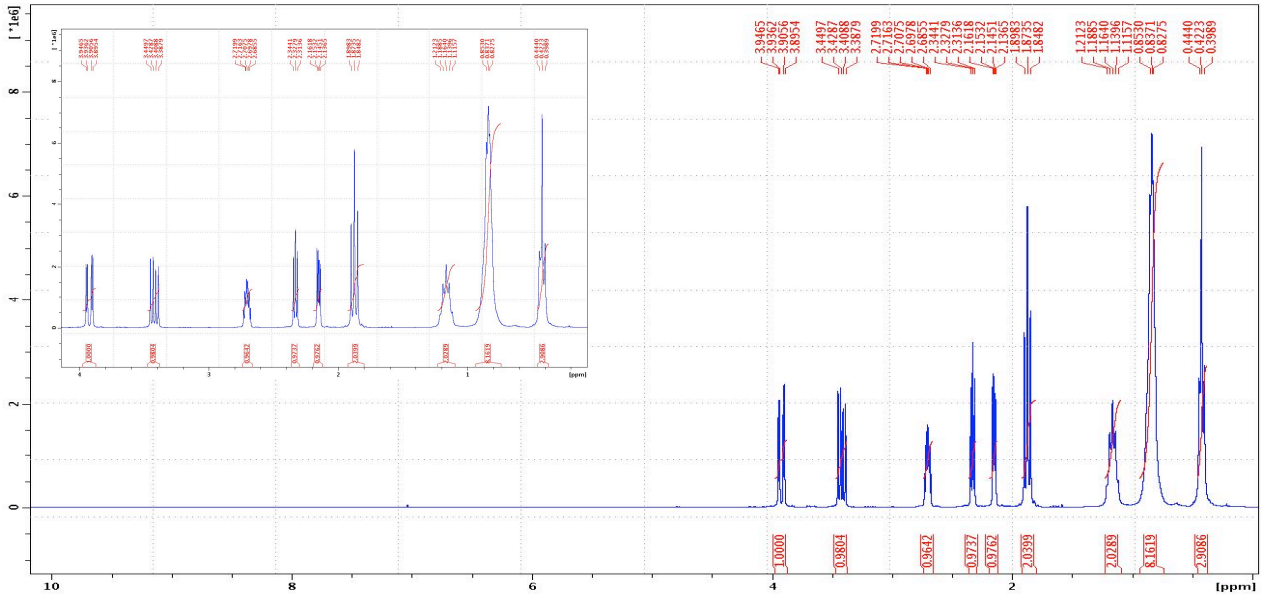
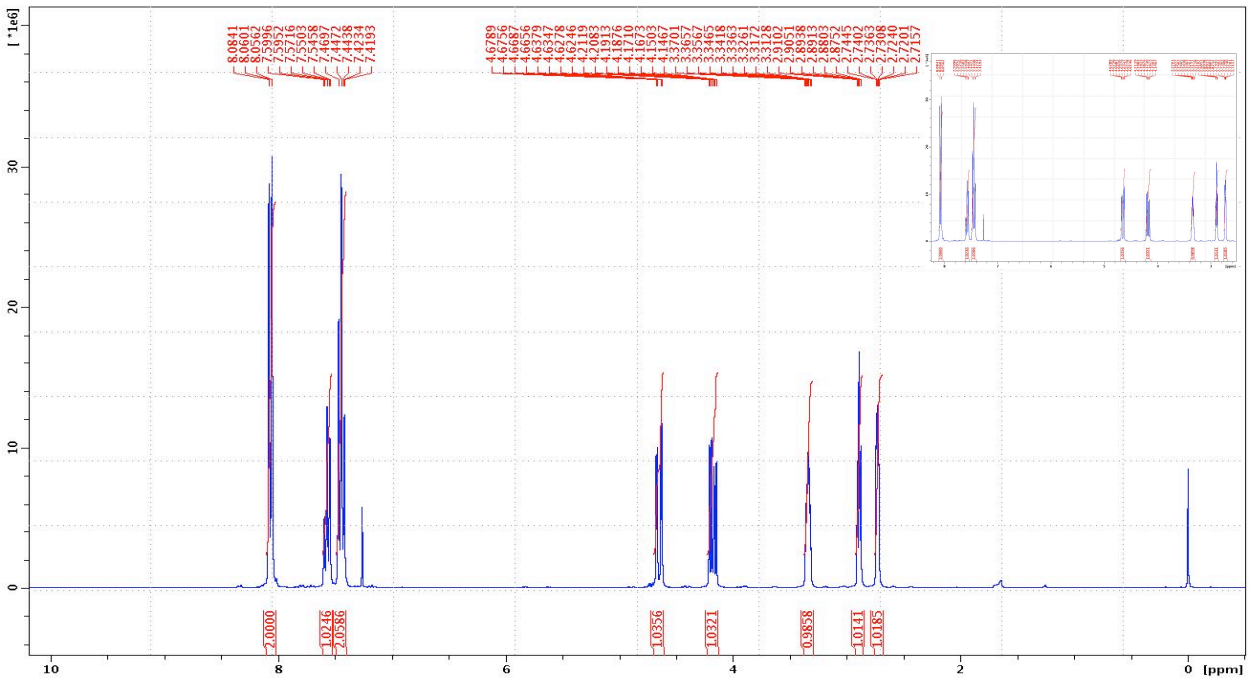
## Glycidyl esters 6a-c

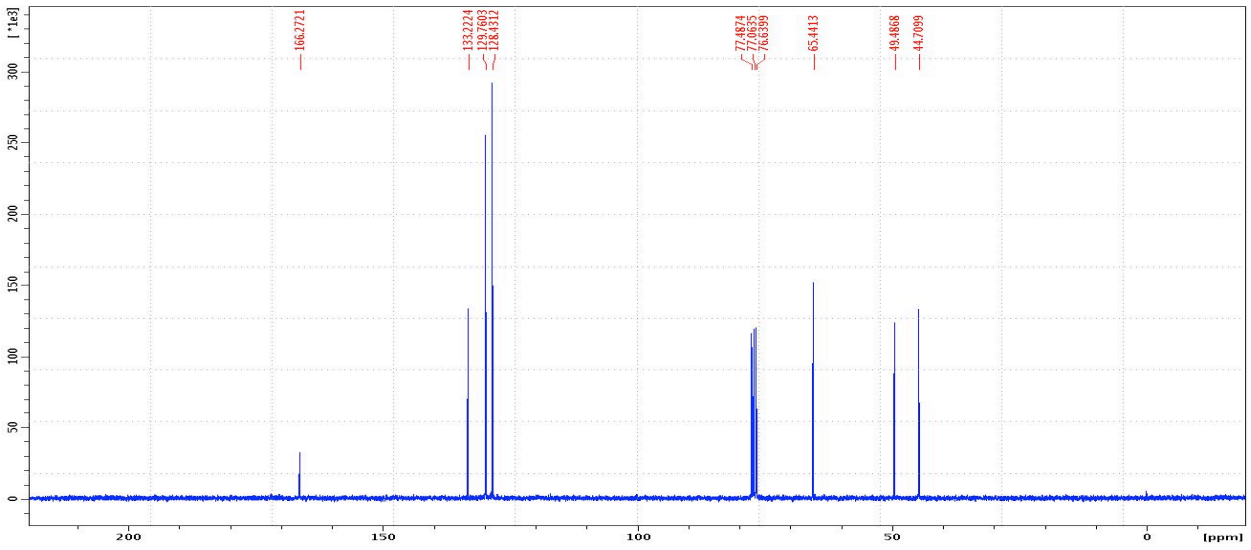
### Oxiran-2-ylmethyl octanoate (6a). $^1$H NMR



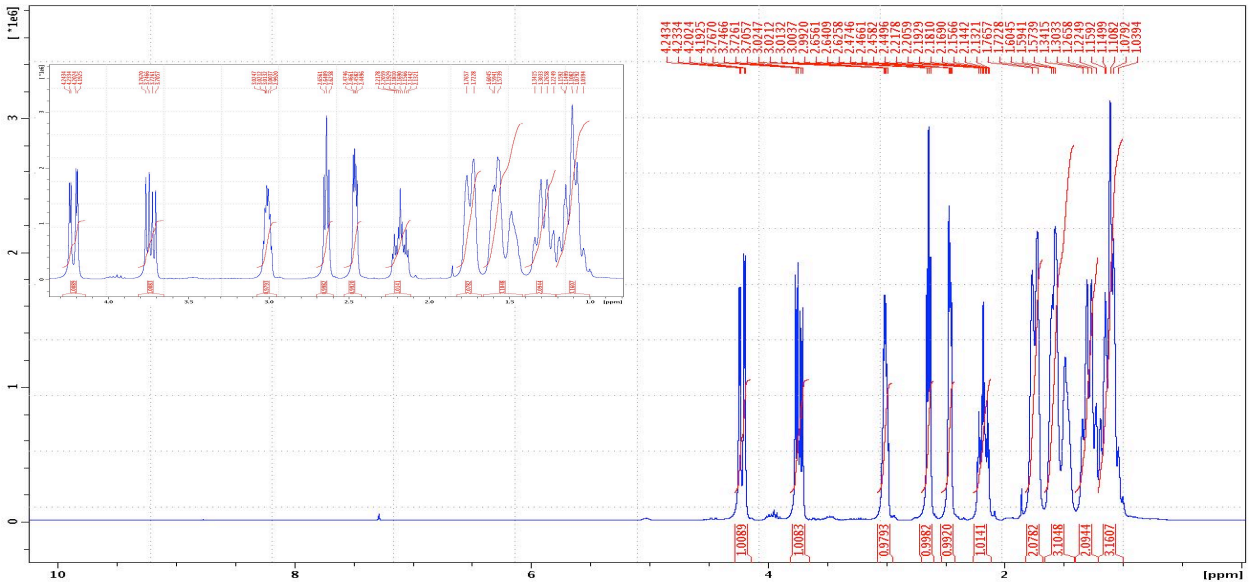
### Oxiran-2-ylmethyl benzoate (6b). $^1$H NMR



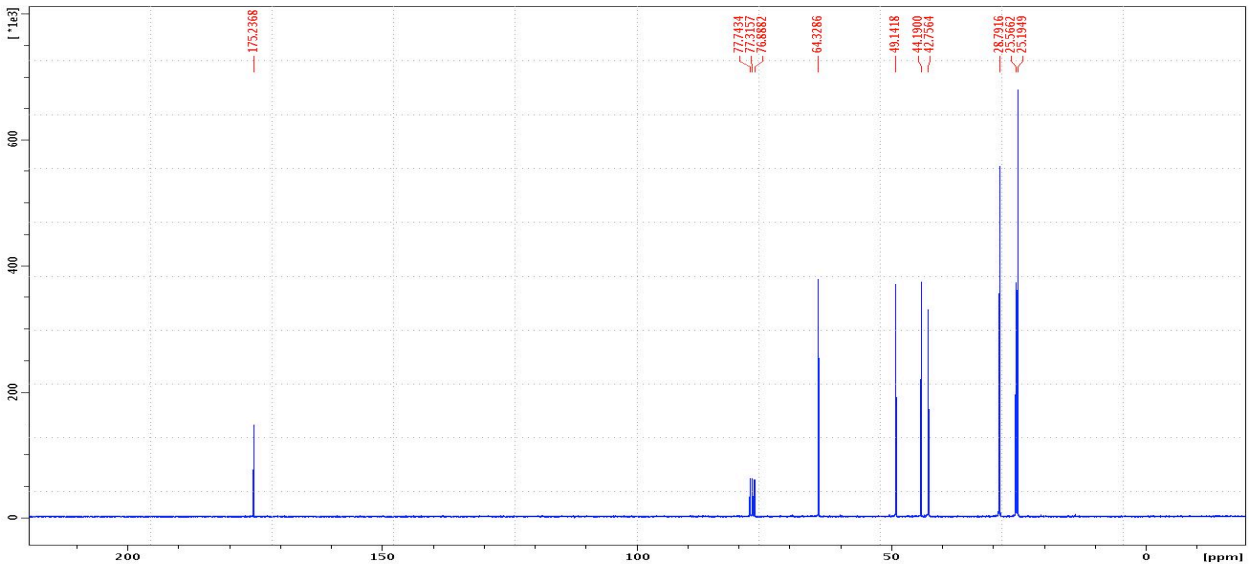
## Oxiran-2-ylmethyl benzoate (6b). $^{13}$C NMR




## Oxiran-2-ylmethyl cyclohexanecarboxylate (6c). $^{1}$H NMR

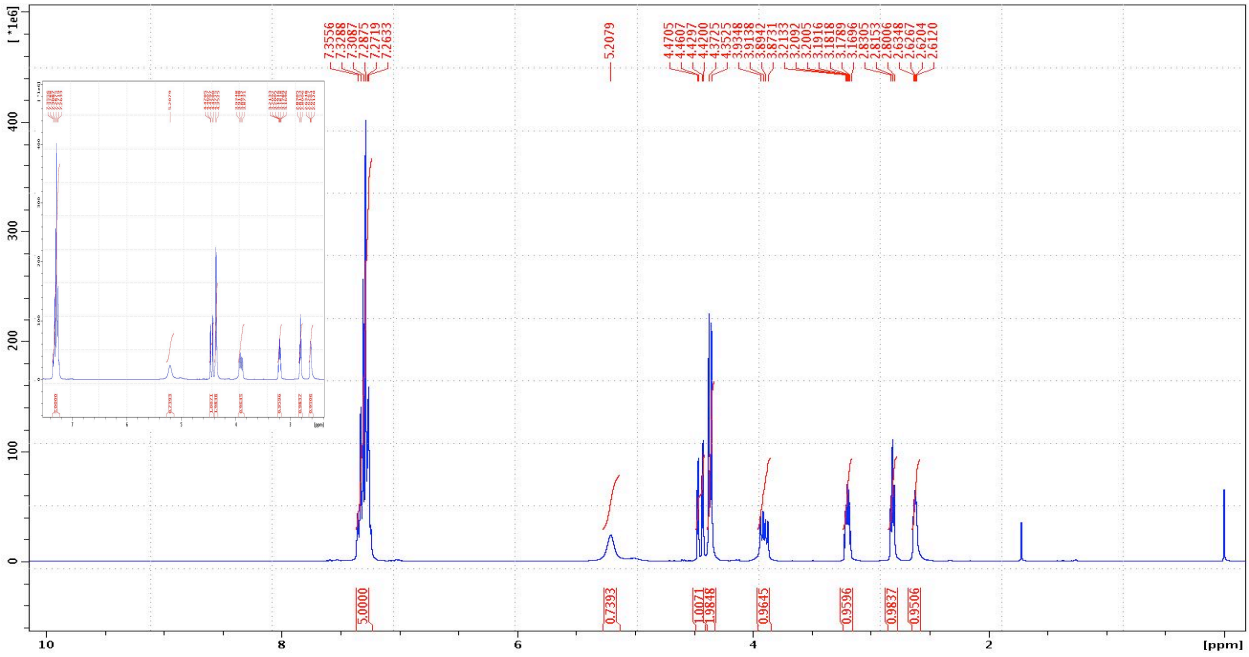


**Oxiran-2-ylmethyl cyclohexanecarboxylate (6c). $^{13}$C NMR**

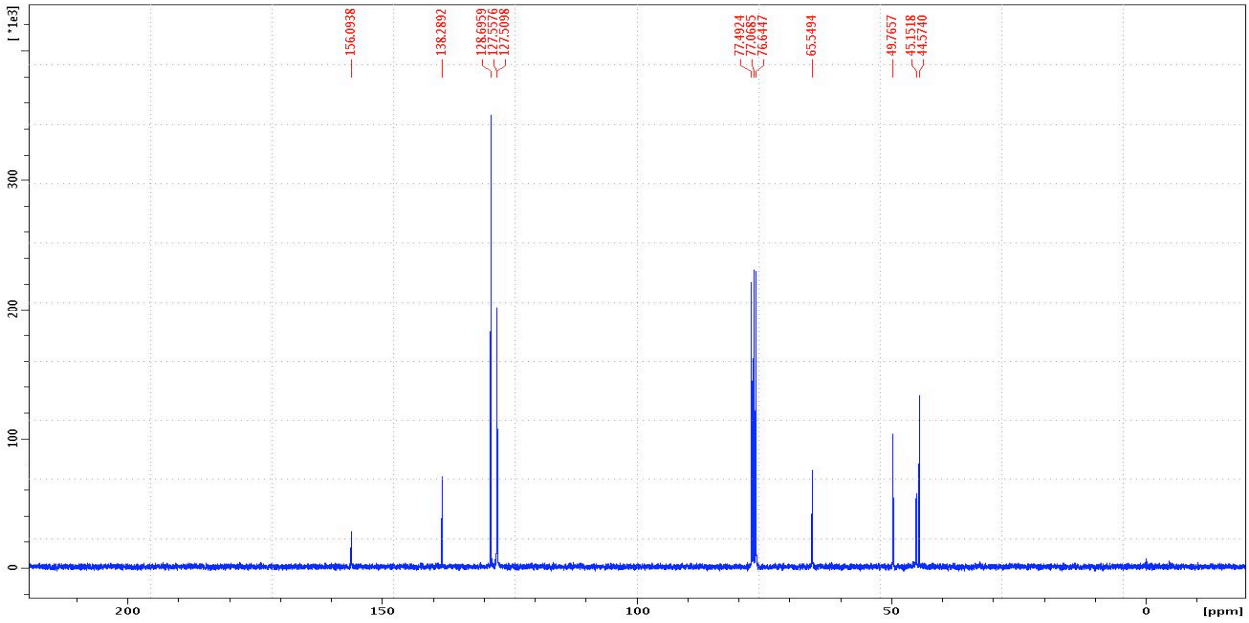



## Glycidyl carbamates 8a-b

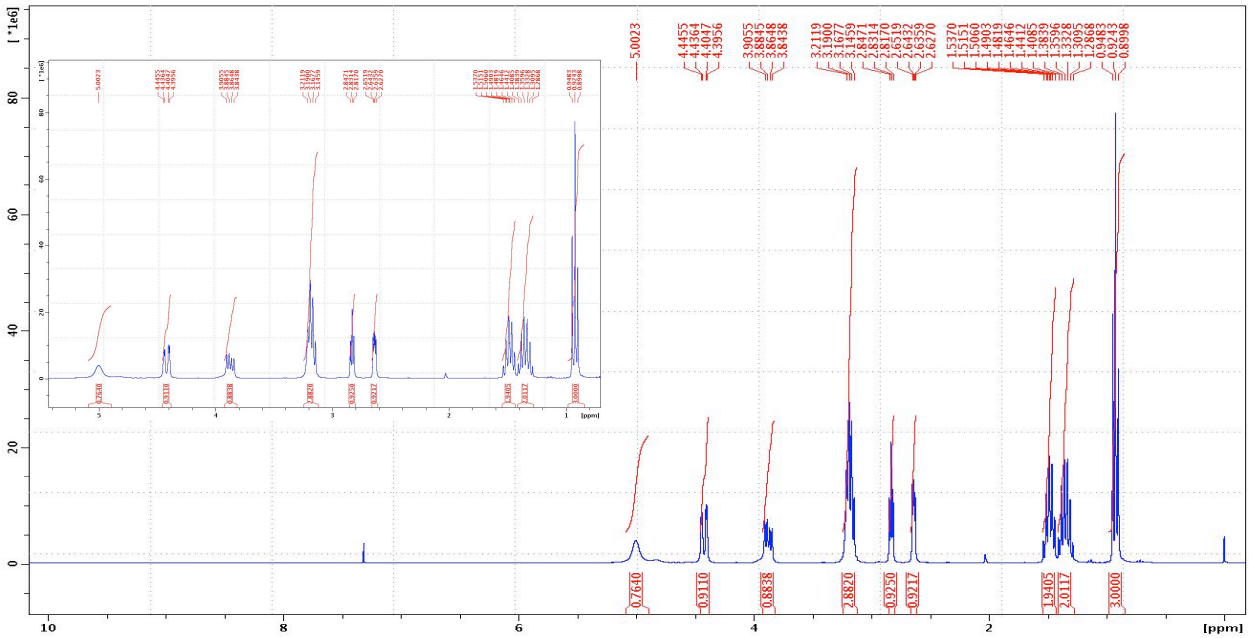
**Oxiran-2-ylmethyl benzylcarbamate (8a). $^{1}$H NMR**



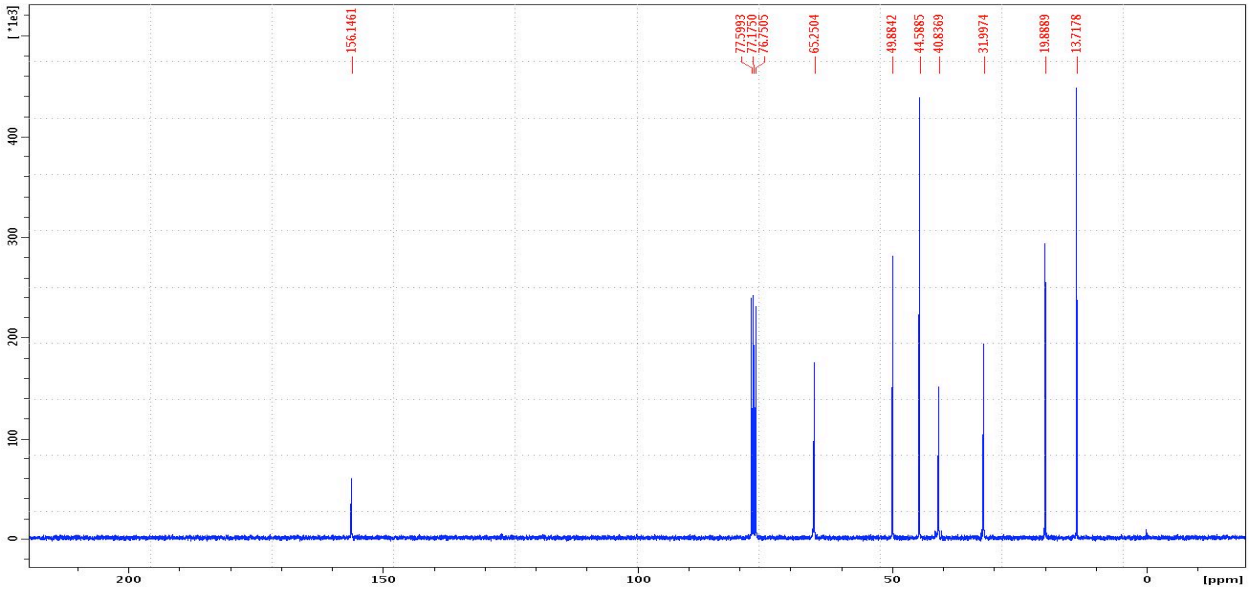
**Oxiran-2-ylmethyl benzylcarbamate (8a). $^{13}$C NMR**




**Oxiran-2-ylmethyl butylcarbamate (8b). $^{1}$H NMR**

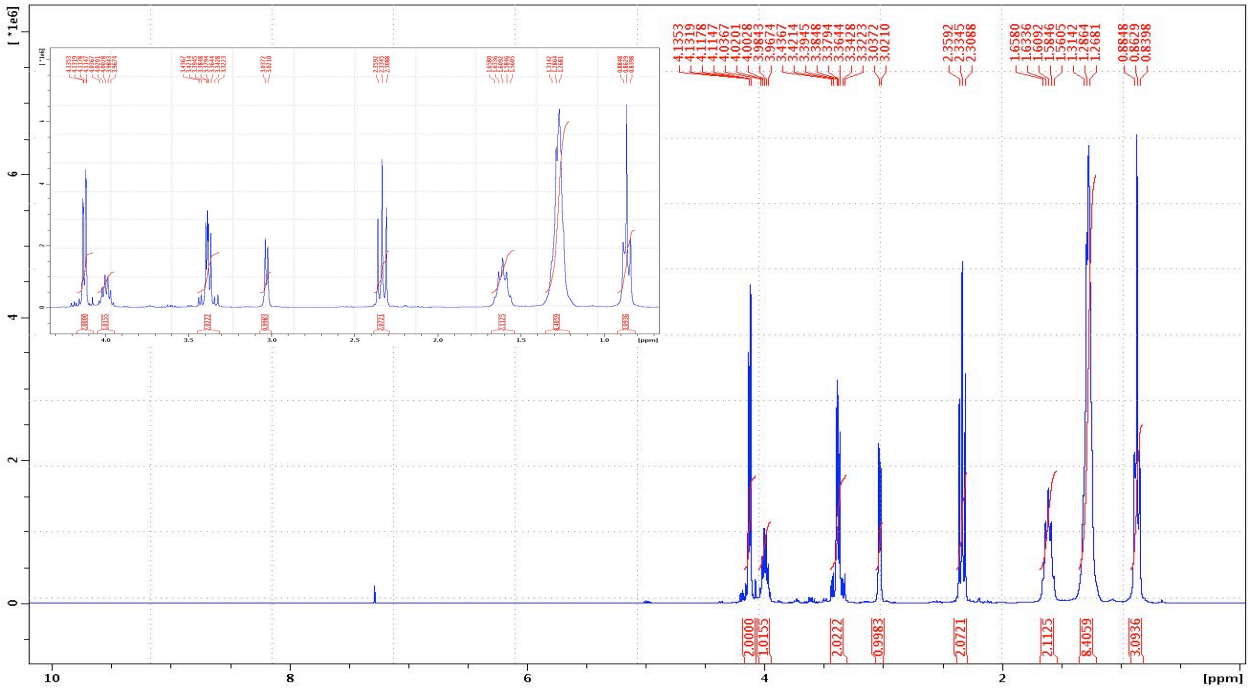


**Oxiran-2-ylmethyl butylcarbamate (8b). $^{13}$C NMR**

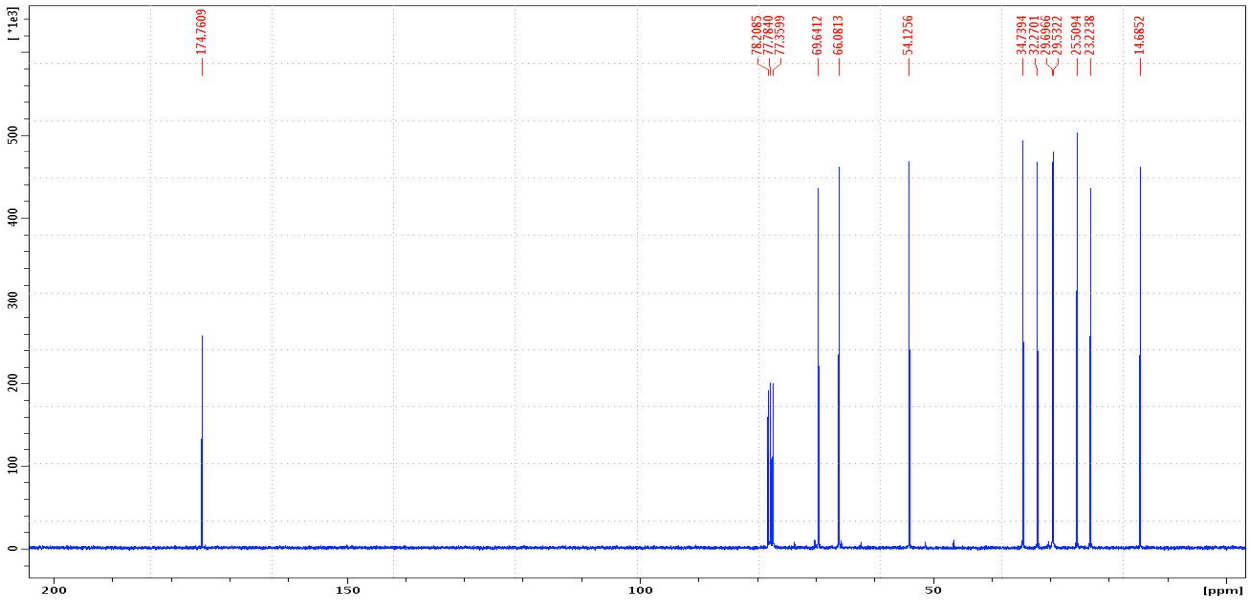



## Azide components 3{1-12}

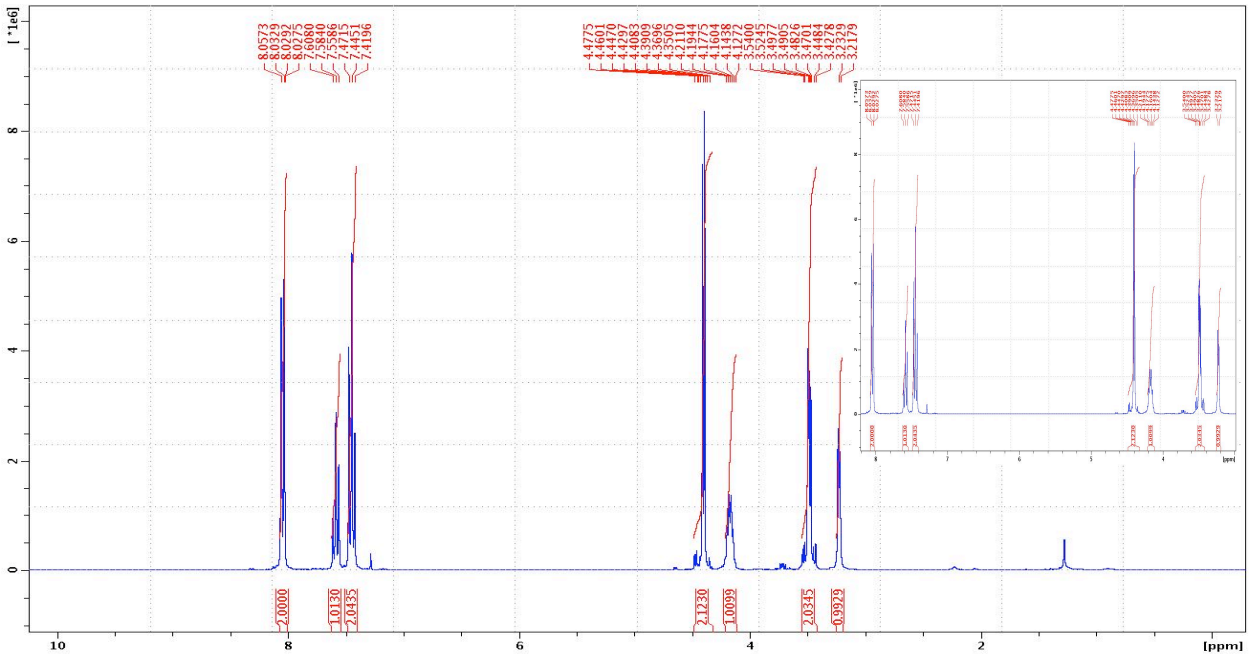
**3-azido-2-hydroxypropyl octanoate 3{1}. $^{1}$H NMR**



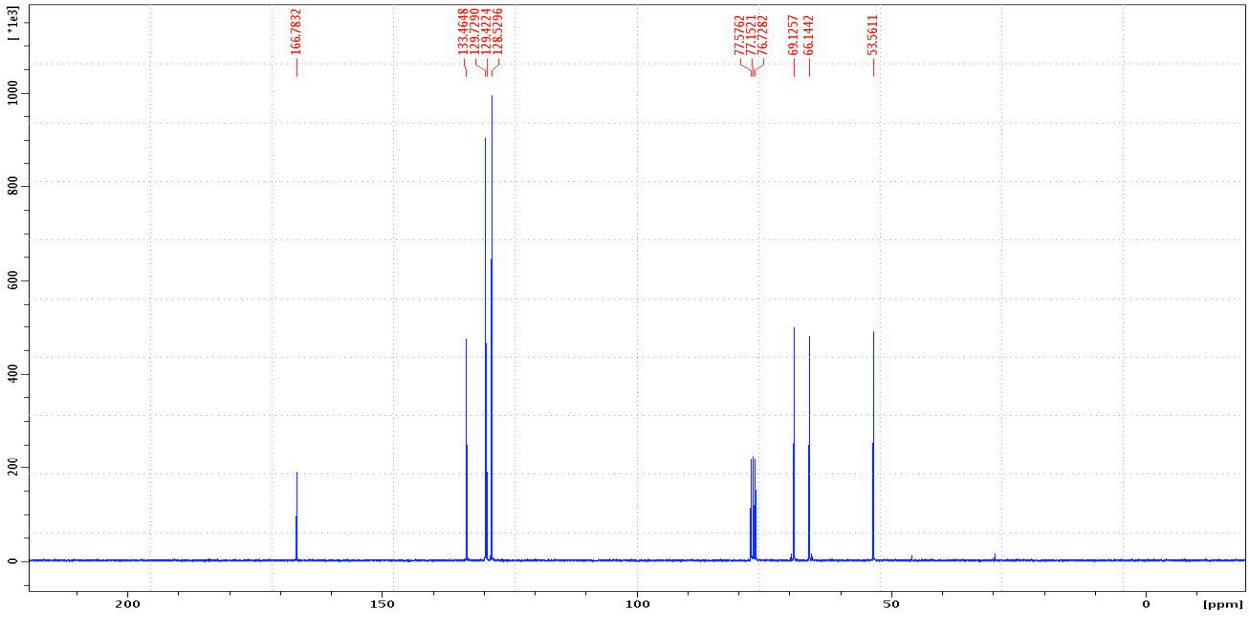
**3-azido-2-hydroxypropyl octanoate 3{1}. $^{13}$C NMR**



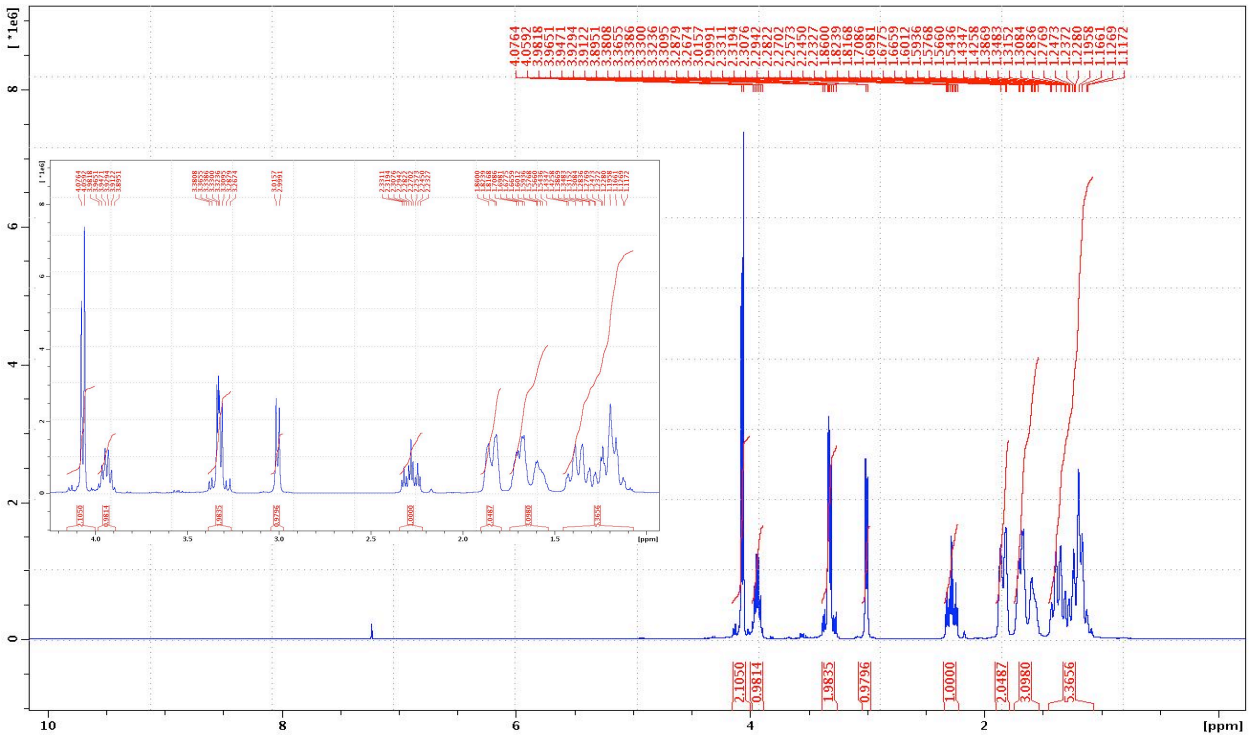

**3-azido-2-hydroxypropyl benzoate 3{2}. $^{1}$H NMR**



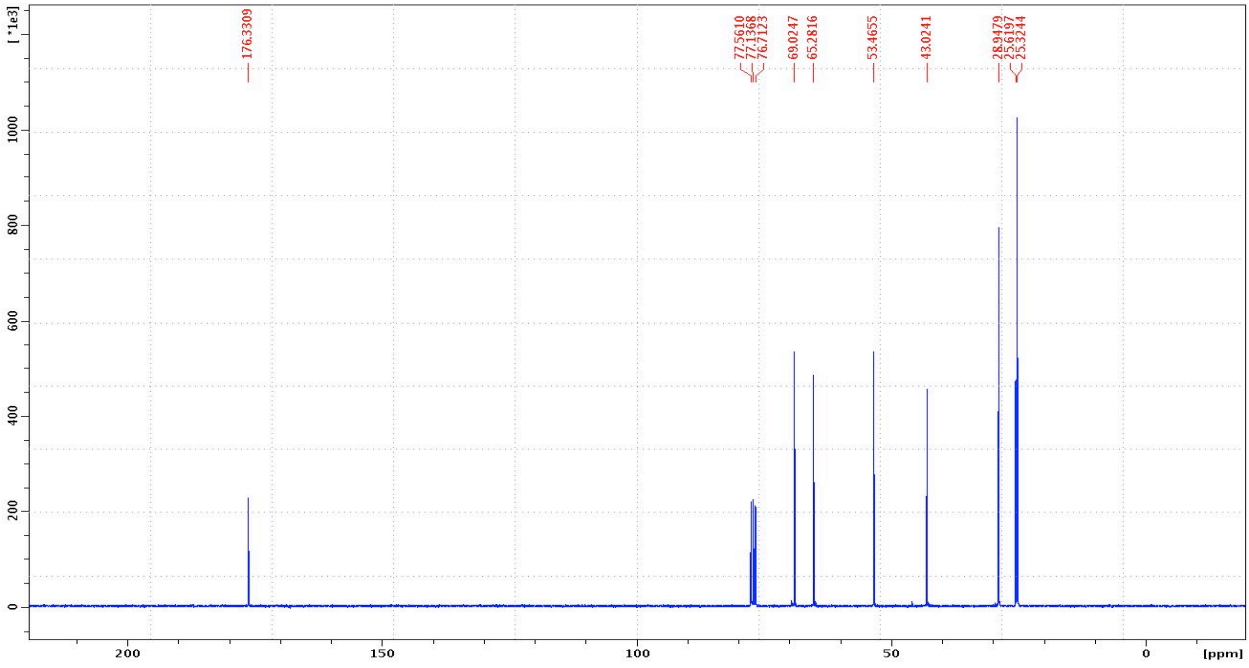
**3-azido-2-hydroxypropyl benzoate 3{2}. $^{13}$C NMR**



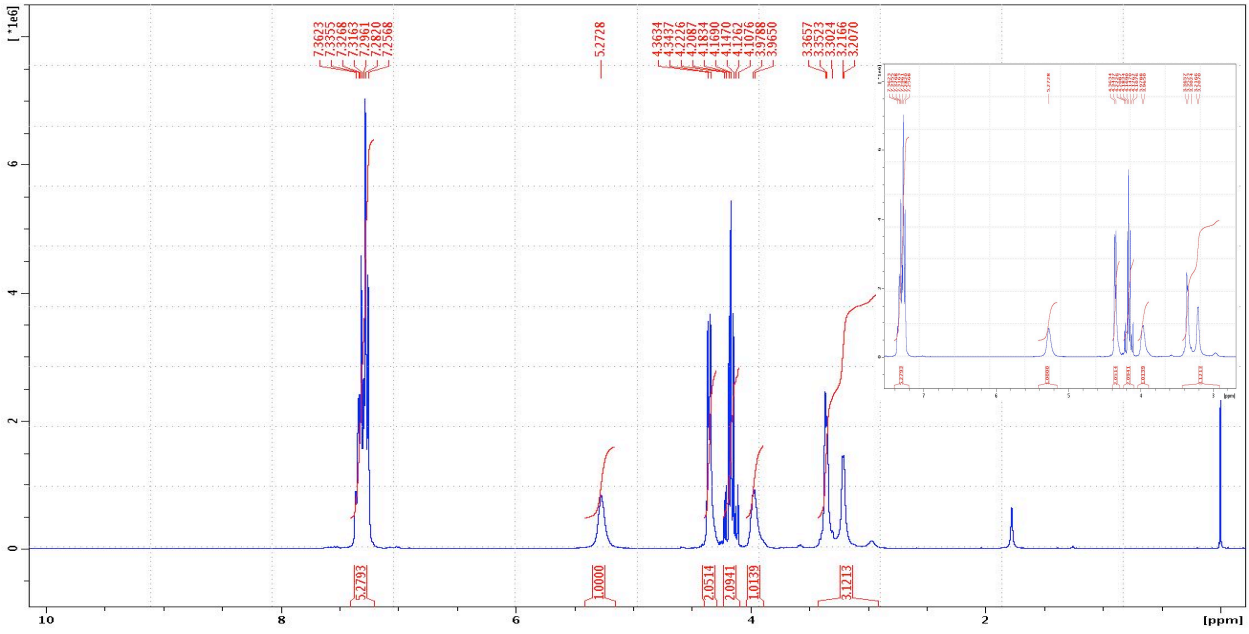

**3-azido-2-hydroxypropyl cyclohexanecarboxylate 3{3}. $^{1}$H NMR**



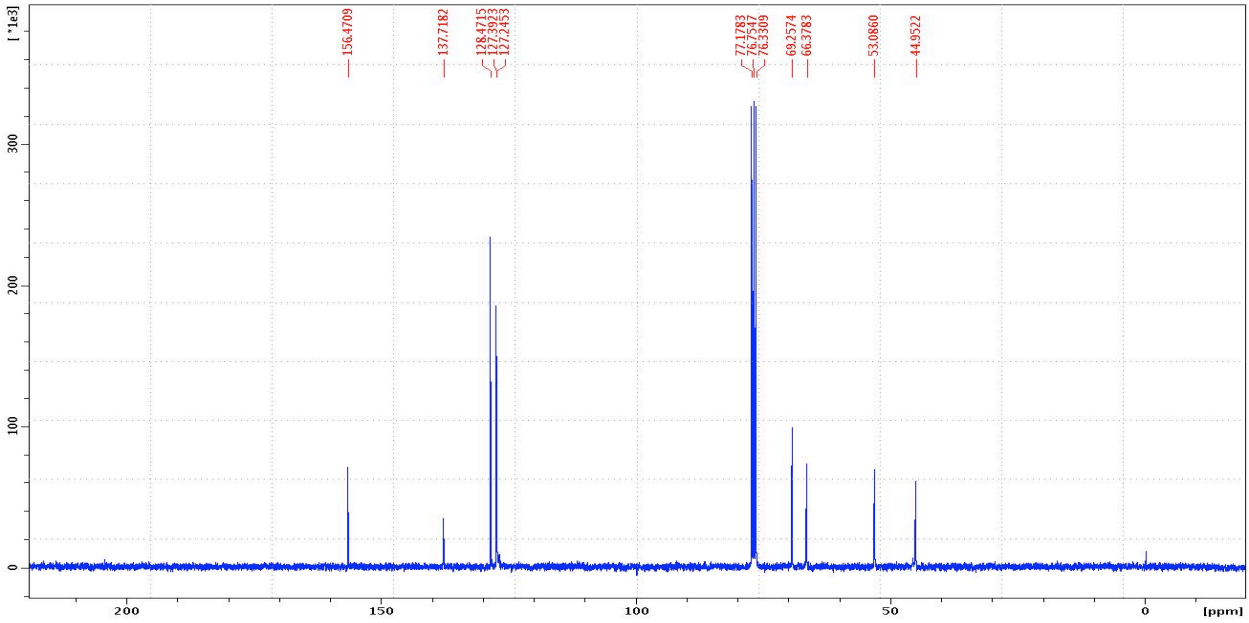
**3-azido-2-hydroxypropyl cyclohexanecarboxylate 3{3}. $^{13}$C NMR**



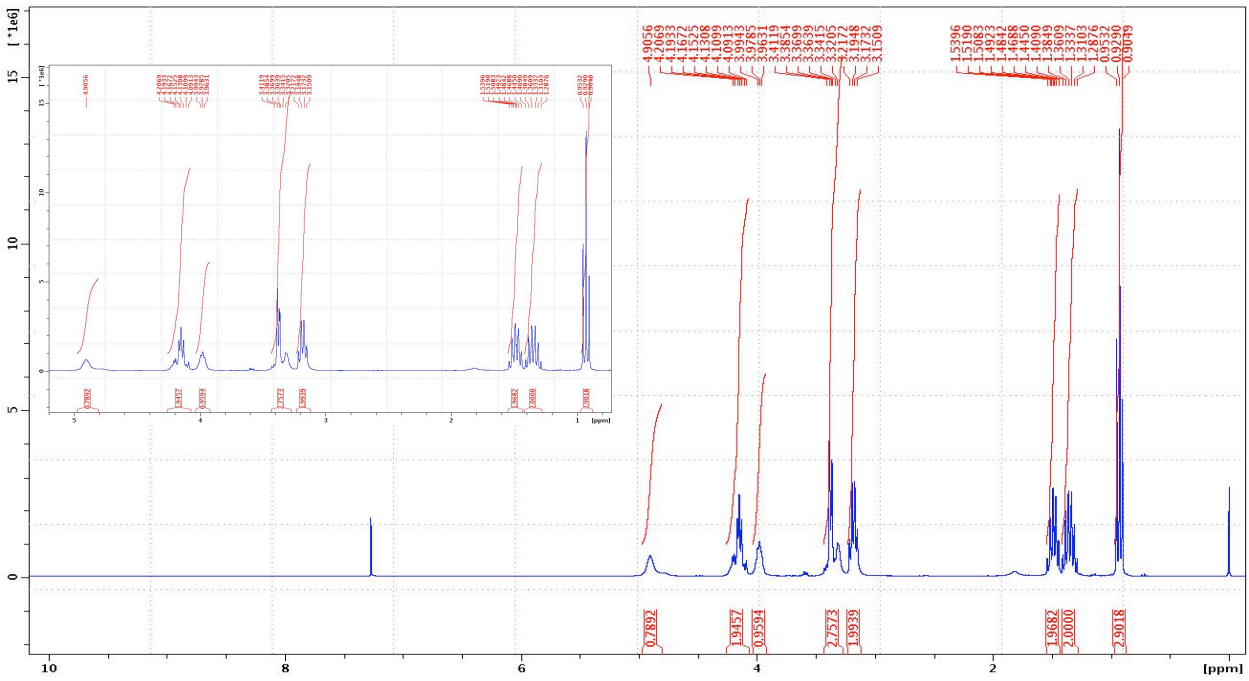

**3-azido-2-hydroxypropyl benzylcarbamate 3{4}. $^{1}$H NMR**



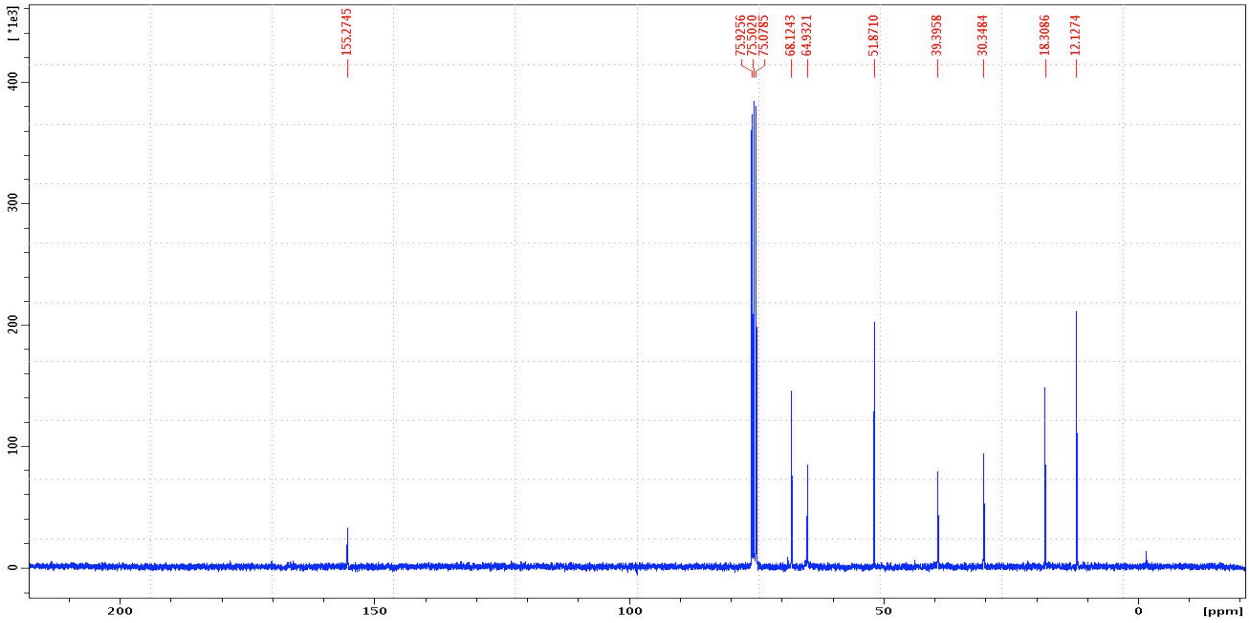
**3-azido-2-hydroxypropyl benzylcarbamate 3{4}. $^{13}$C NMR**



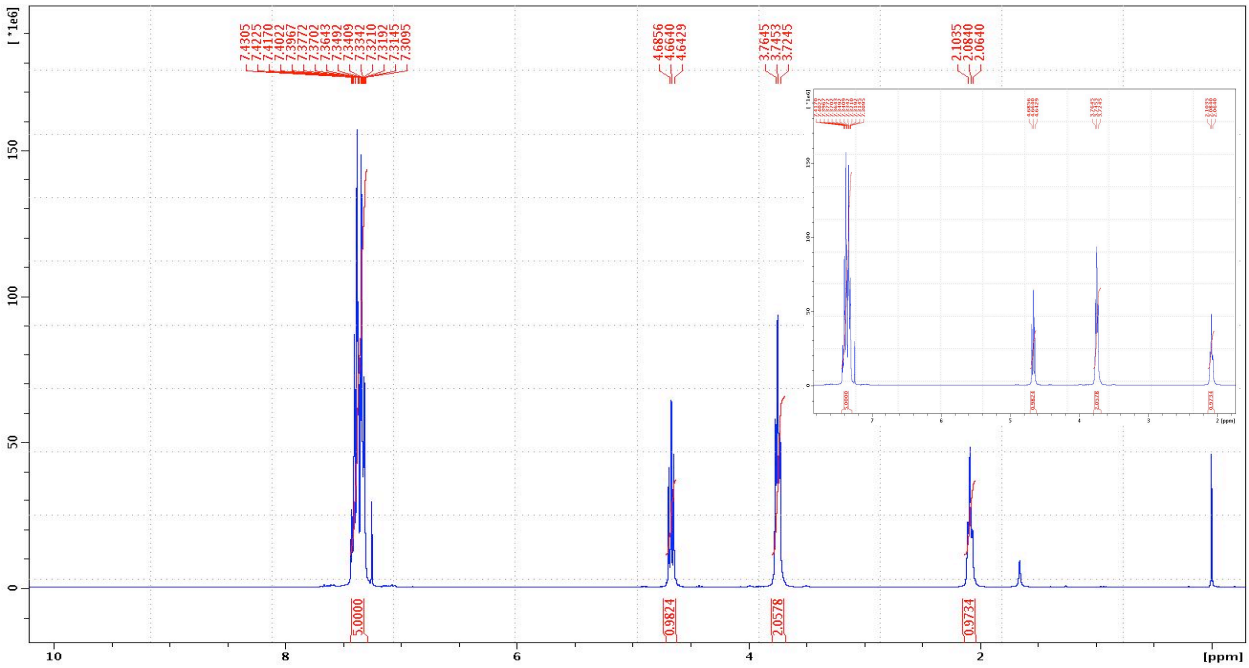

**3-azido-2-hydroxypropyl butylcarbamate 3{5}. $^{1}$H NMR**



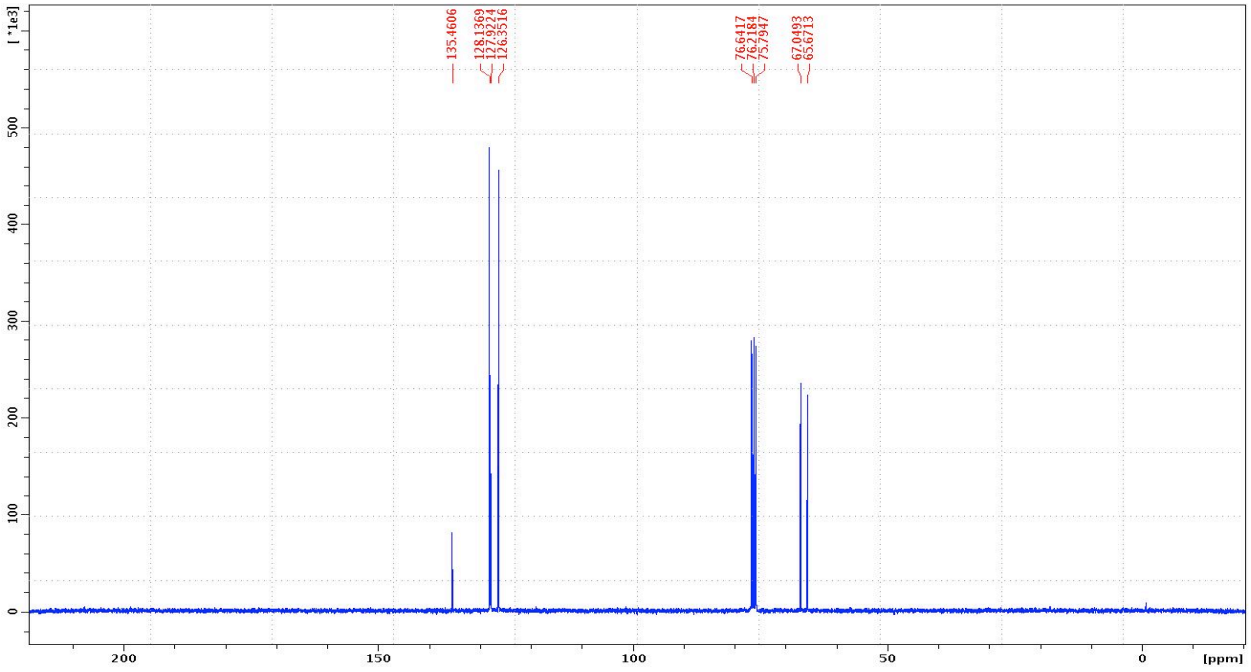
**3-azido-2-hydroxypropyl butylcarbamate 3{5}. $^{13}$C NMR**



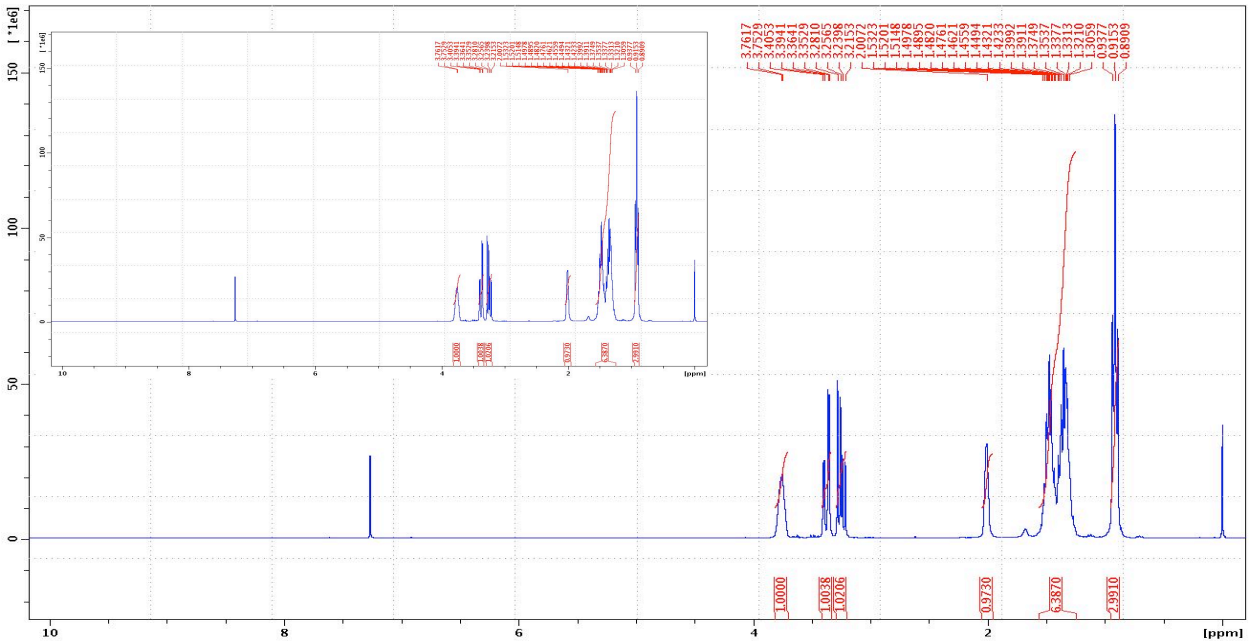

**2-azido-1-phenylethanol 3{6}. $^{1}$H NMR**



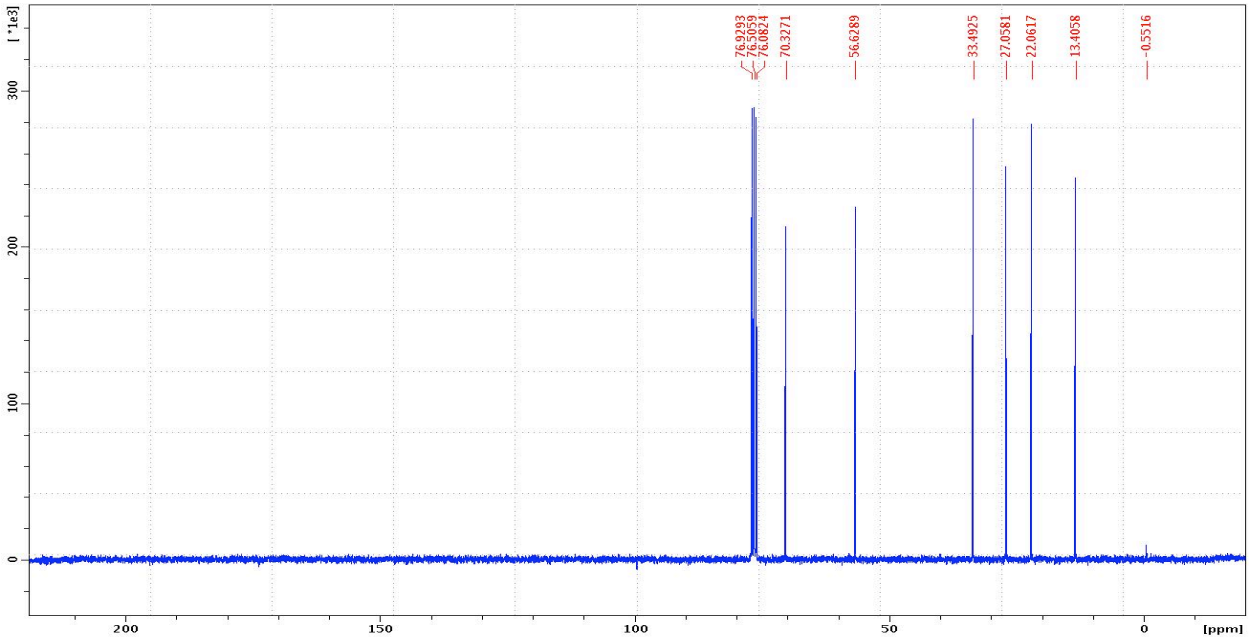
## 2-azido-1-phenylethanol 3{6}. $^{13}$C NMR



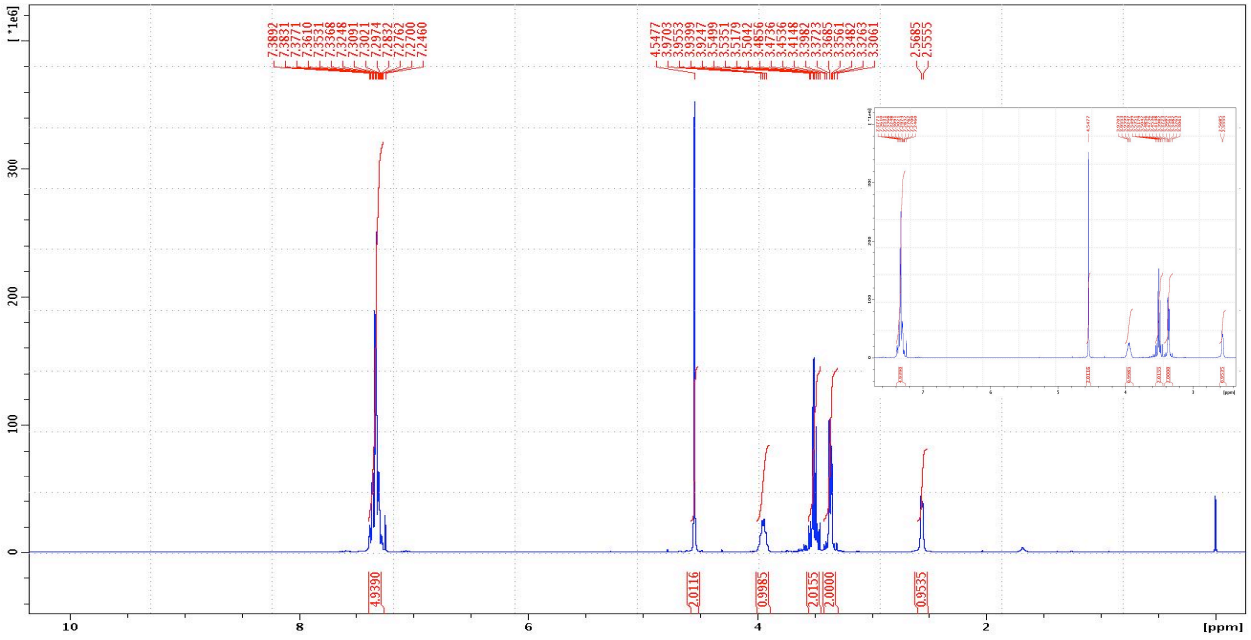

## 2-azidohexan-2-ol 3{7}. $^{1}$H NMR



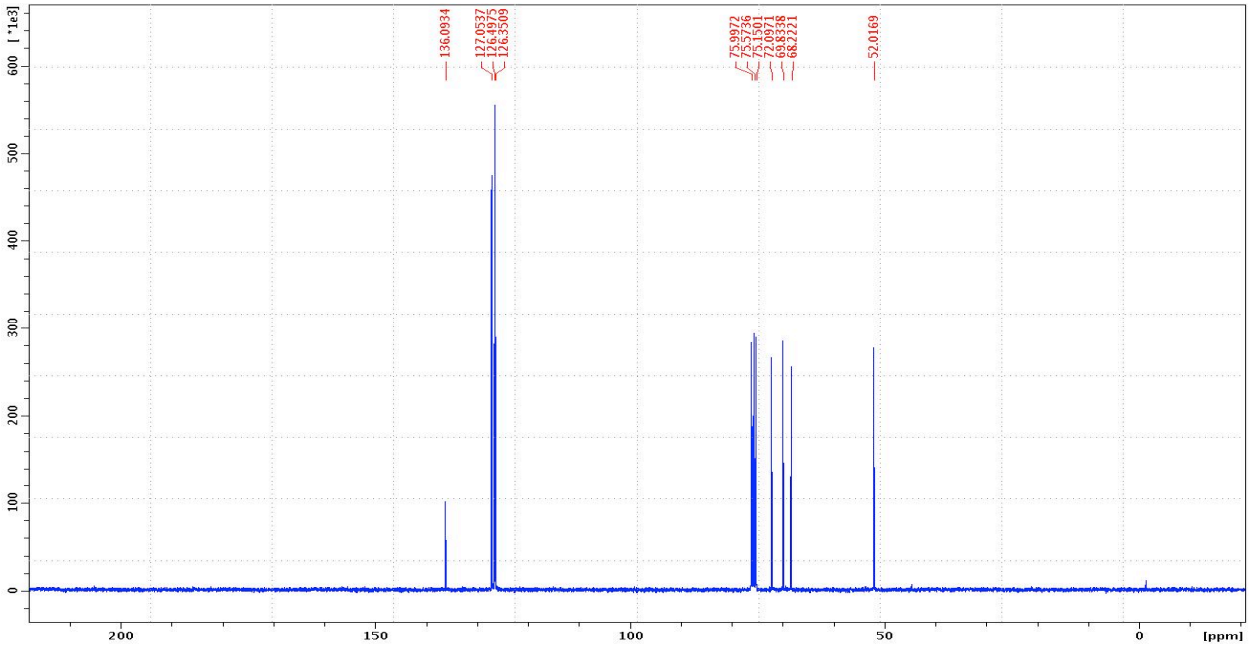
**2-azidohexan-2-ol 3{7}. $^{13}$C NMR**



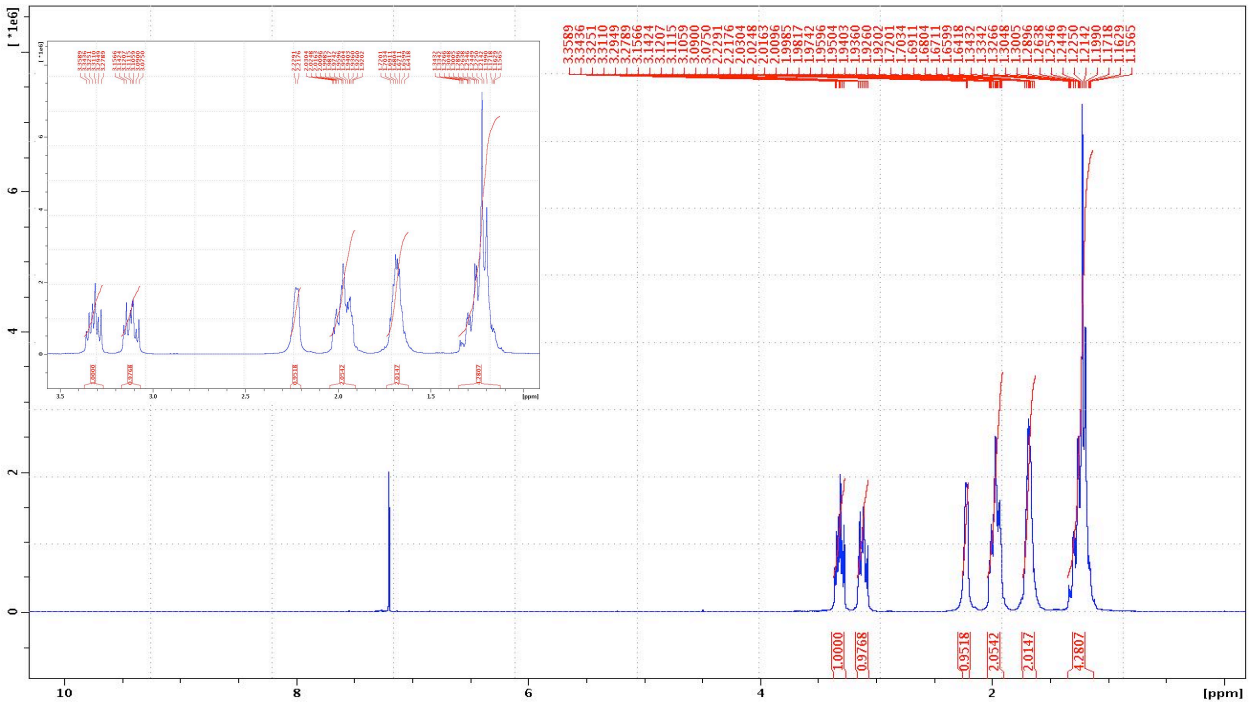

**1-azido-3-(benzyloxy)propan-2-ol 3{8}. $^{1}$H NMR**



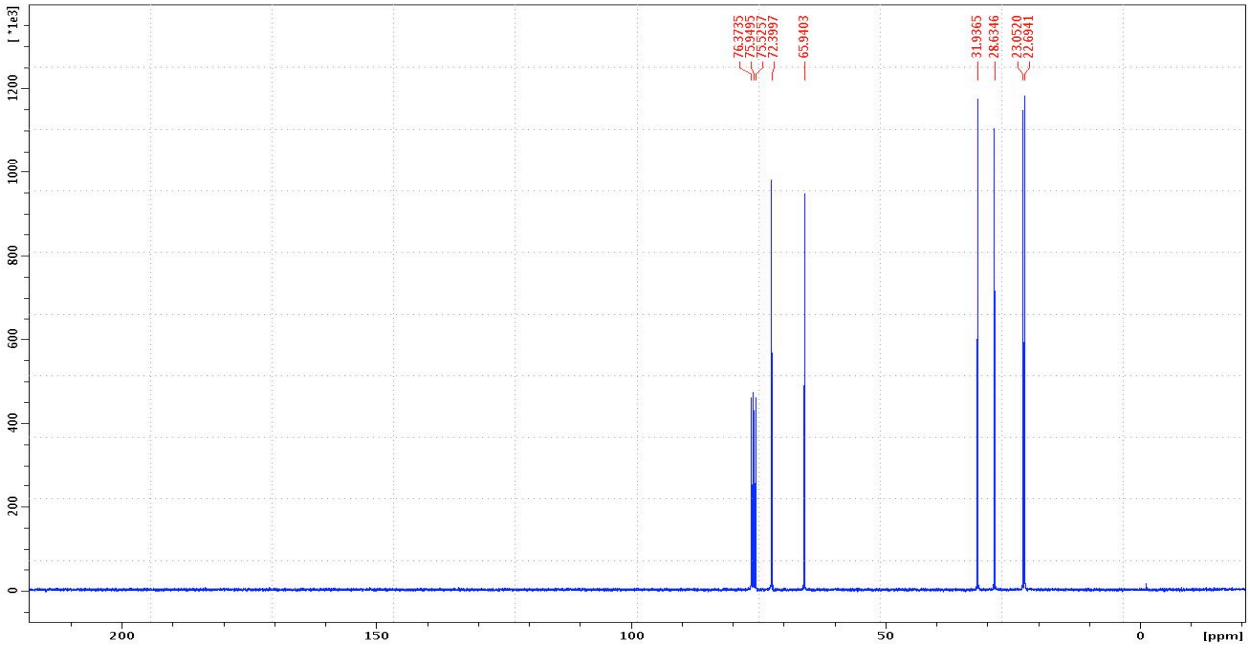
**1-azido-3-(benzyloxy)propan-2-ol 3{8}. $^{13}$C NMR**



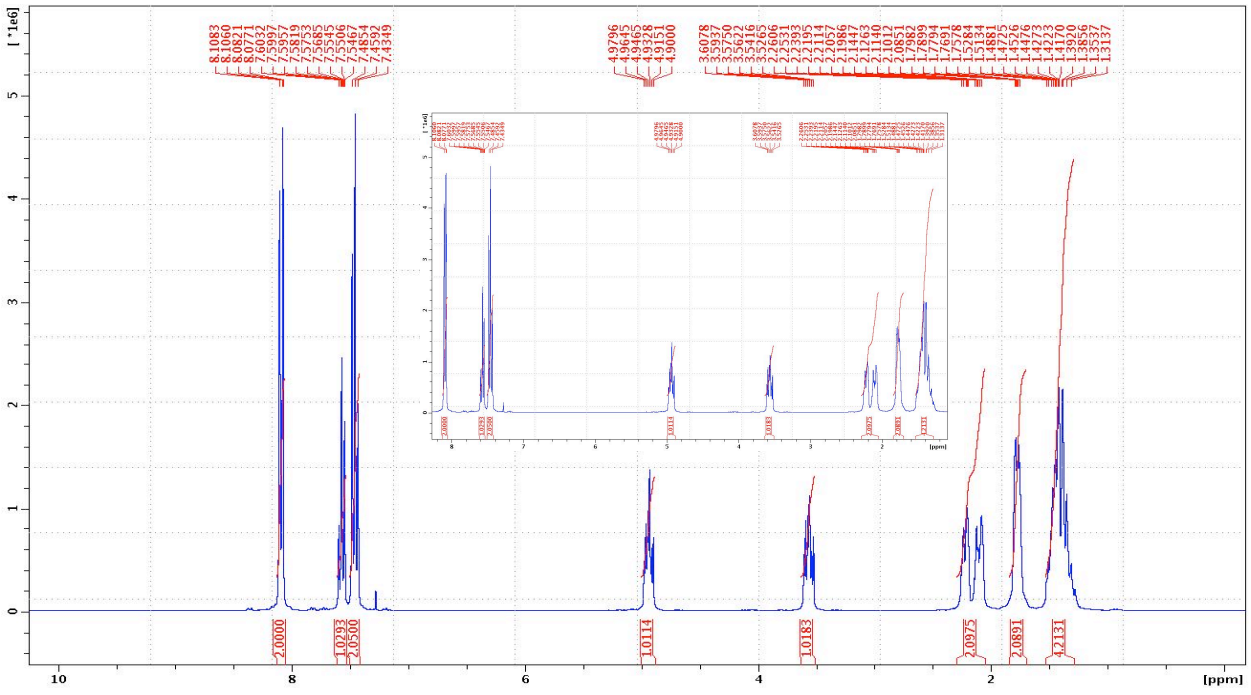

**Trans-2-azidocyclohexanol 3{9}. $^{1}$H NMR**



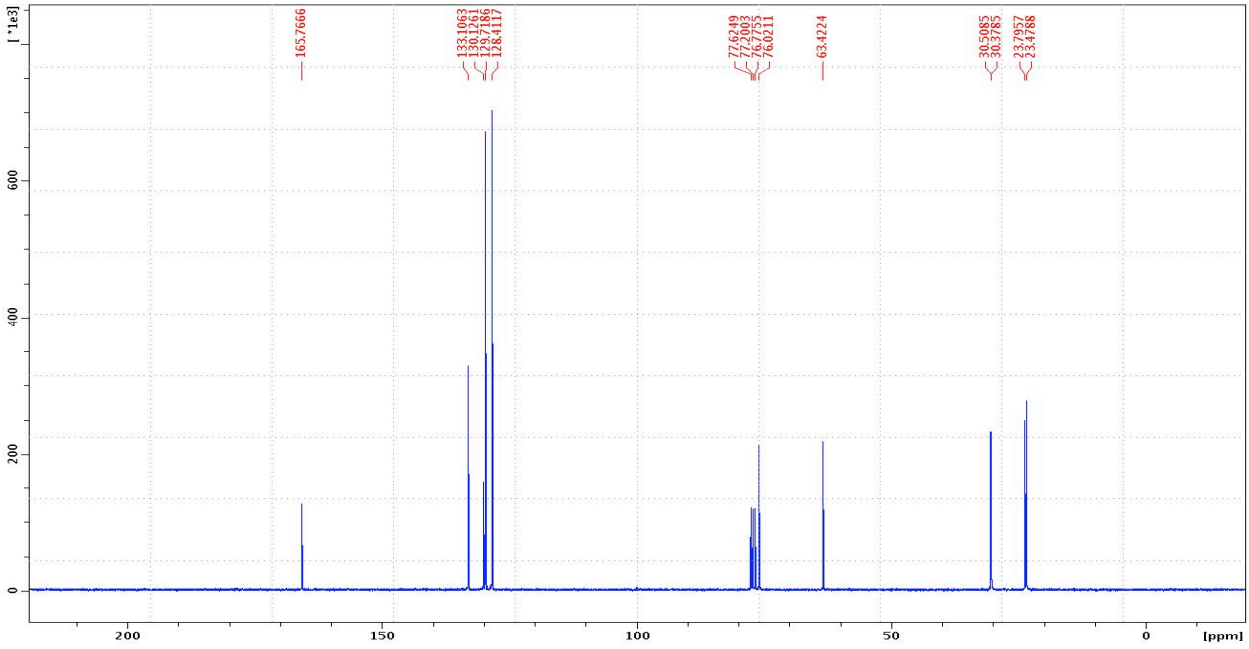
**Trans-2-azidocyclohexanol 3{9}. $^{13}$C NMR**



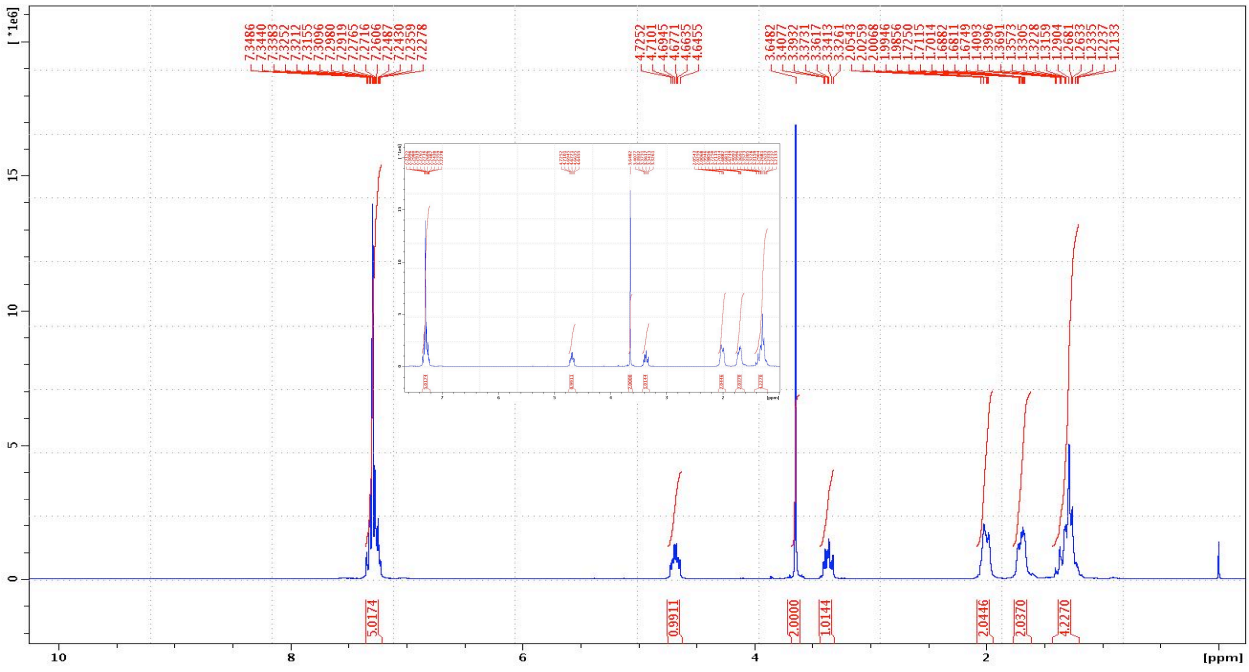

**Trans-2-azidocyclohexyl benzoate 3{10}. $^{1}$H NMR**



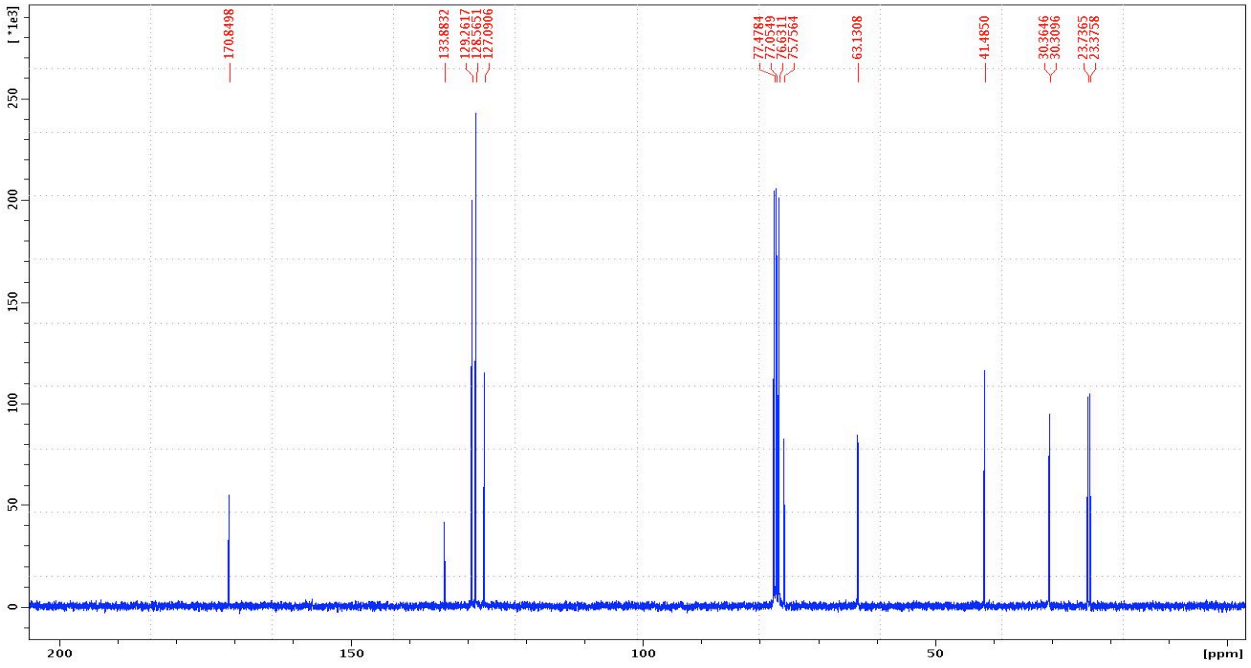
**Trans-2-azidocyclohexyl benzoate 3{10}. $^{13}$C NMR**



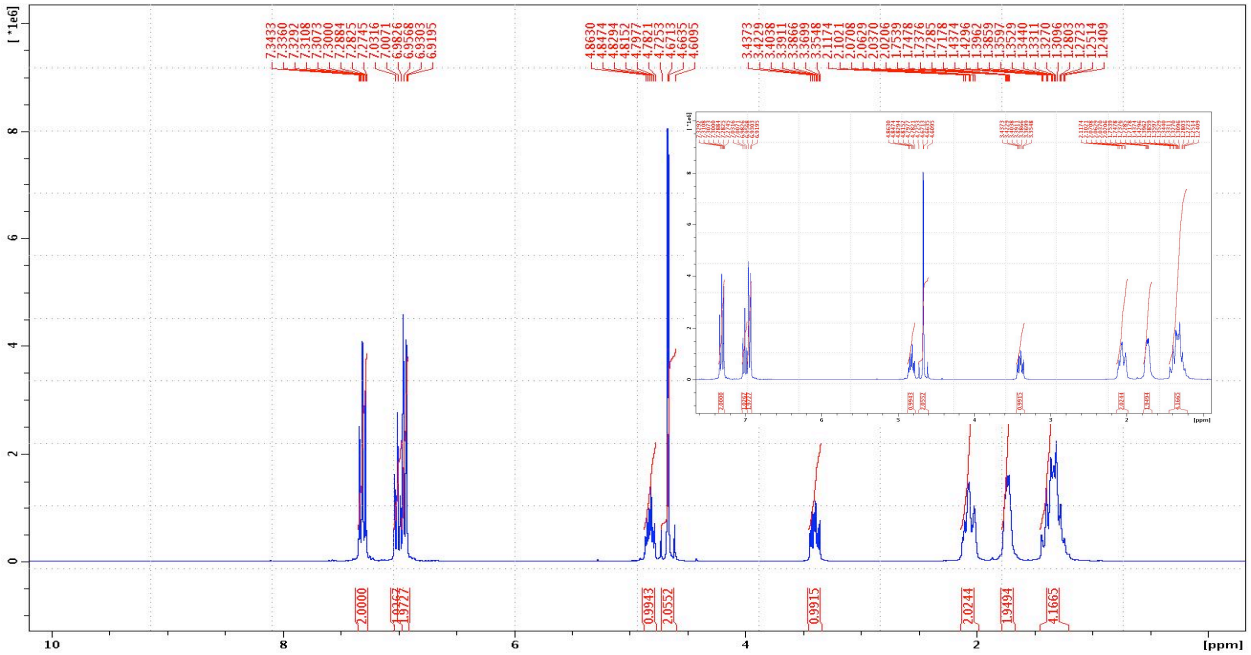

**Trans-2-azidocyclohexyl 2-phenylacetate 3{11}. $^{1}$H NMR**



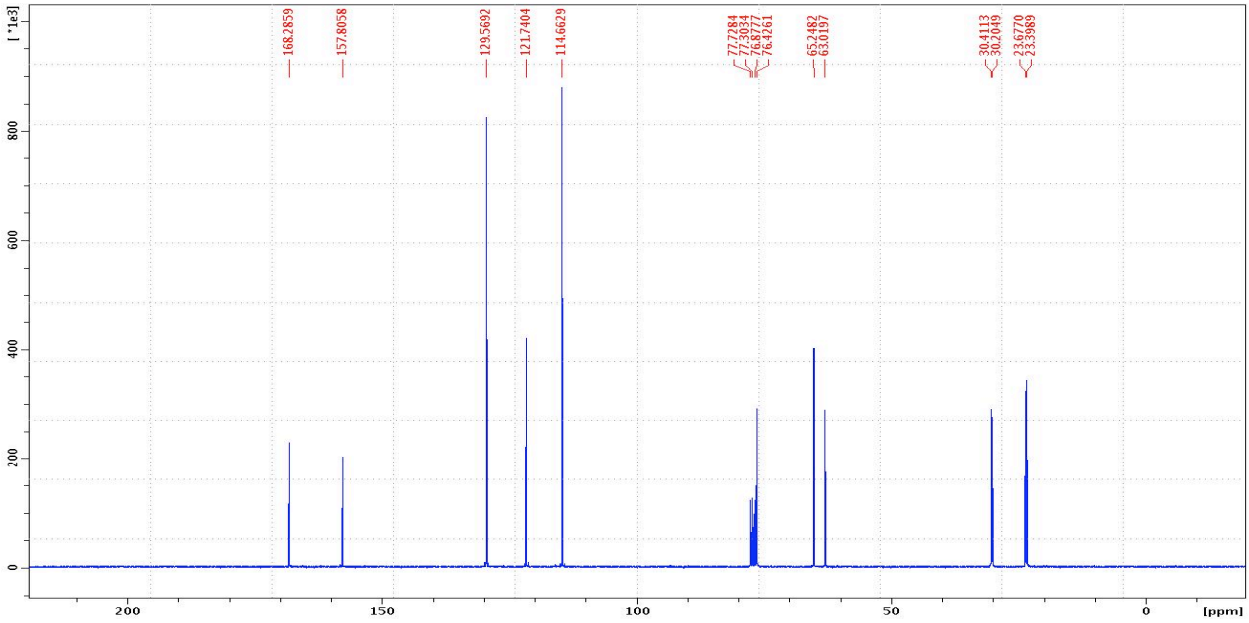
**Trans-2-azidocyclohexyl 2-phenylacetate 3{11}. $^{13}C$ NMR**




**Trans-2-azidocyclohexyl 2-phenoxyacetate 3{12}. $^{1}H$ NMR**

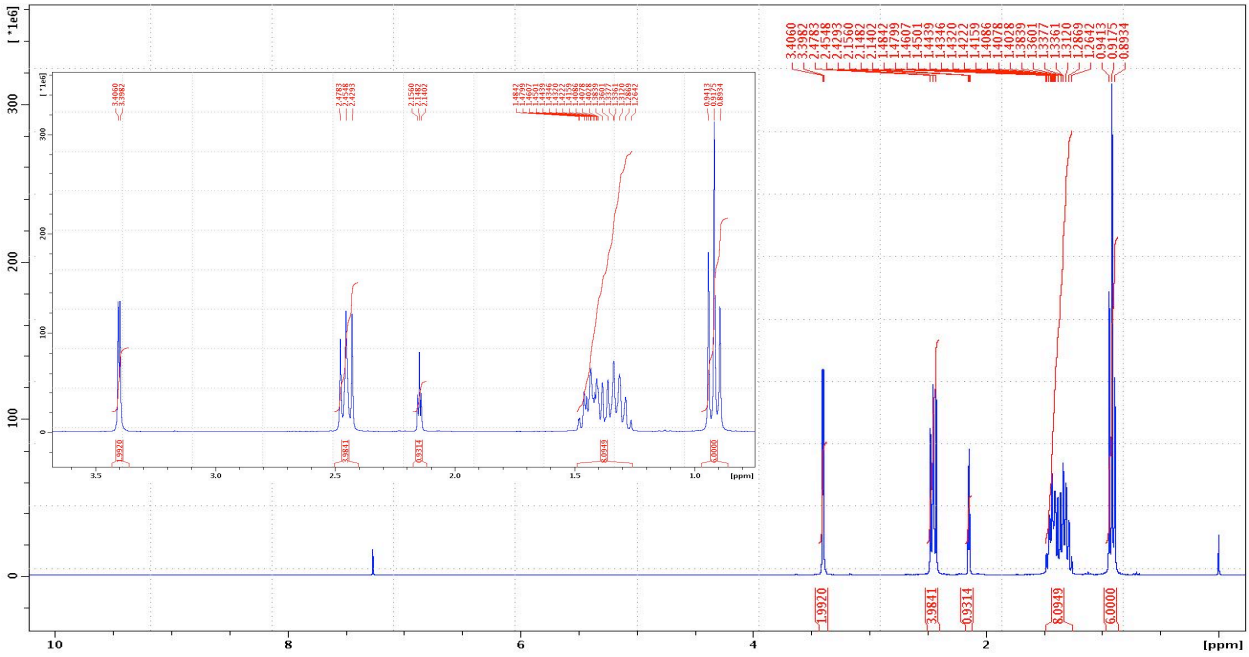


**Trans-2-azidocyclohexyl 2-phenoxyacetate 3{12}. $^{13}C$ NMR**

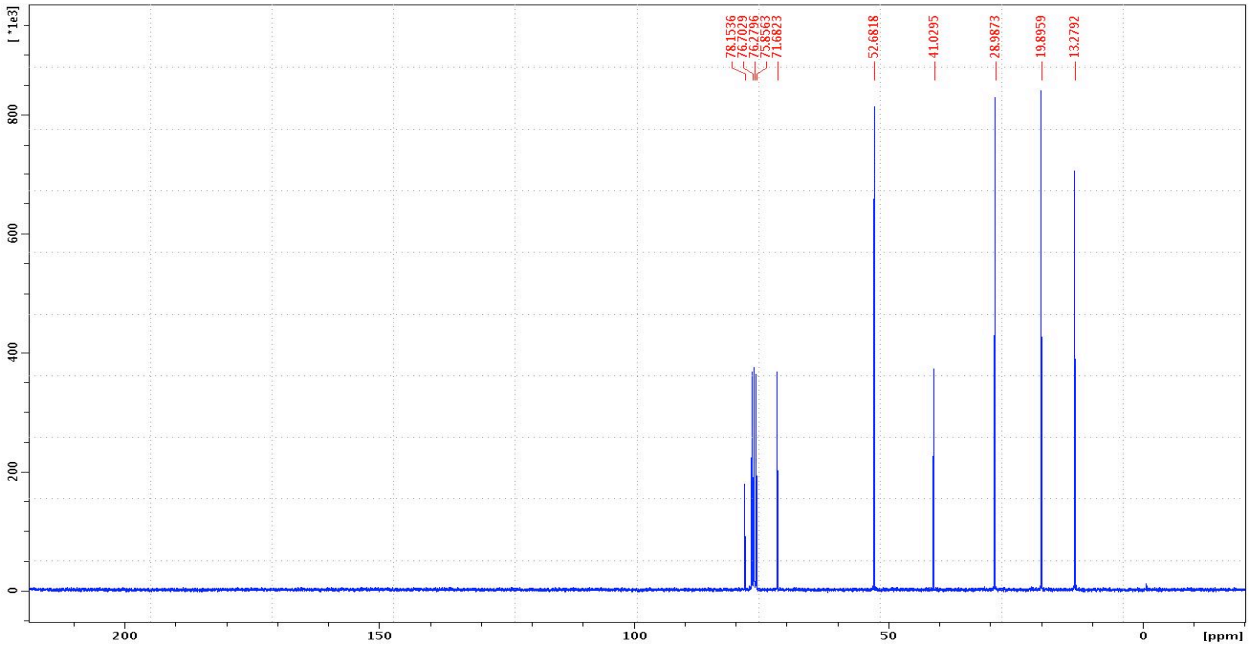



**Alkyne components 4{1-9}**

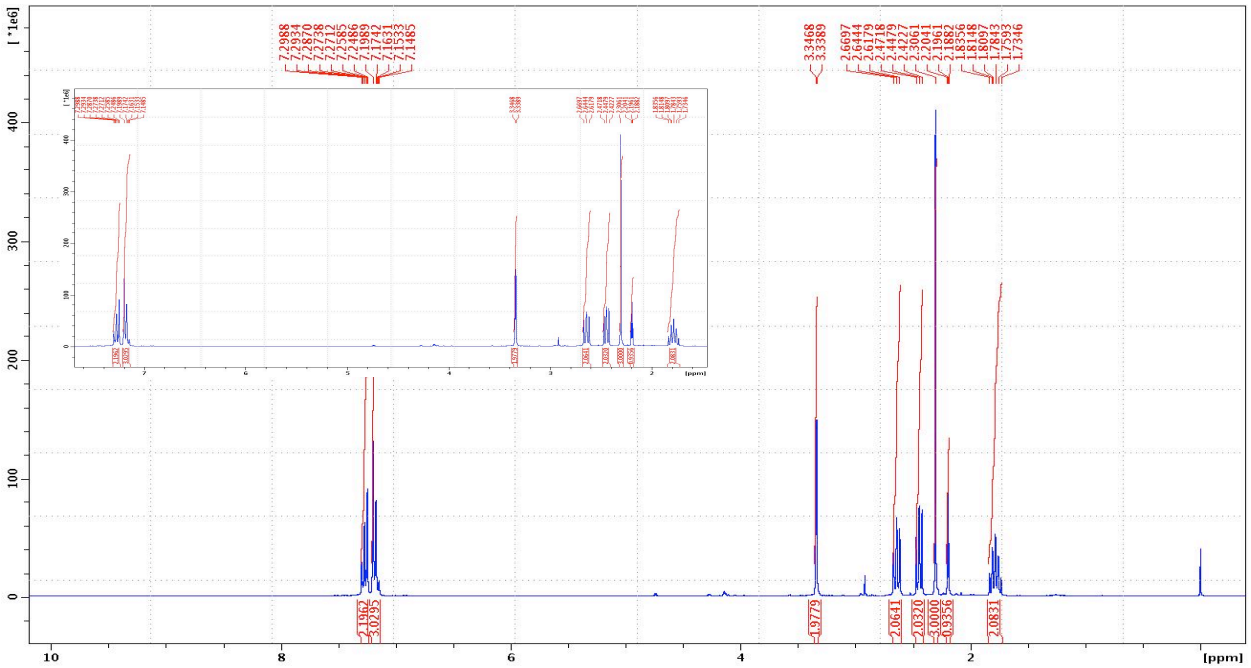
**N-butyl-N-(prop-2-ynyl)butan-1-amine 4{1}. $^{1}H$ NMR**



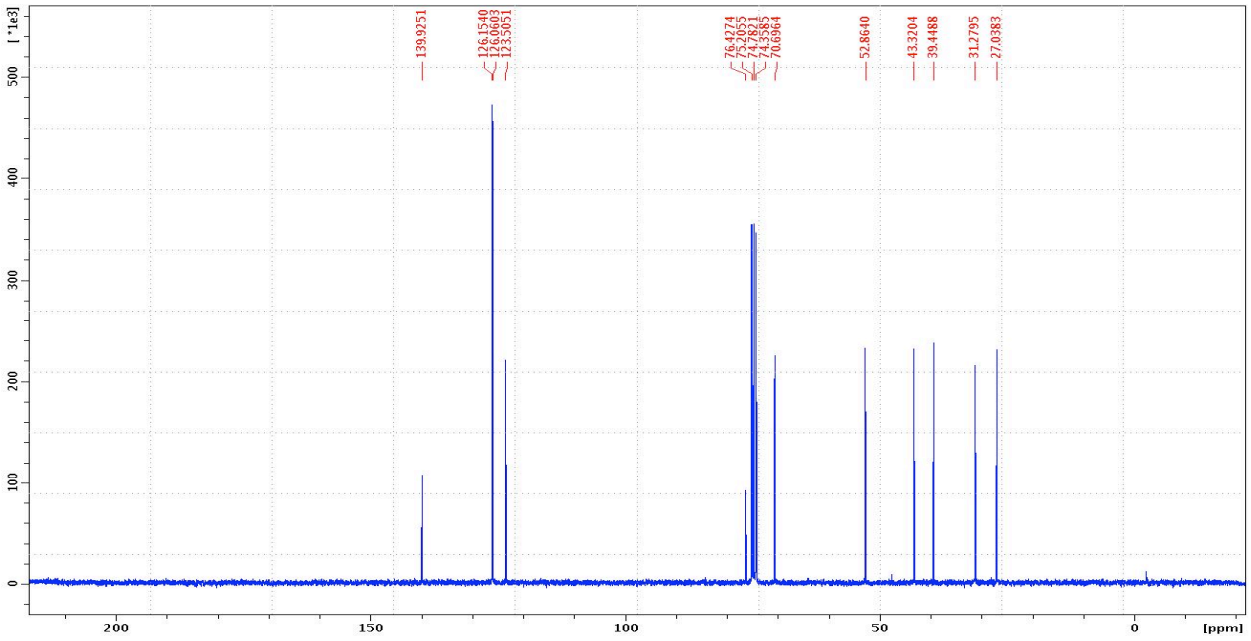
**N-butyl-N-(prop-2-ynyl)butan-1-amine 4{1}. $^{13}$C NMR**



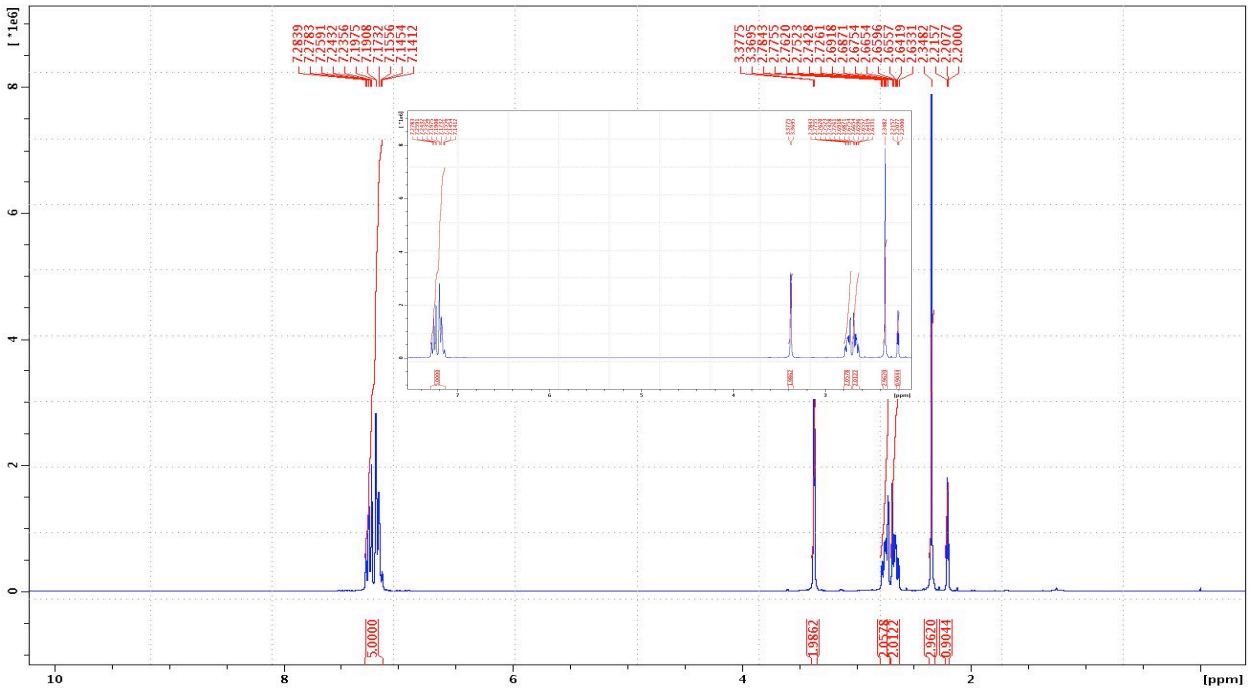

**N-methyl-N-(3-phenylpropyl)prop-2-yn-1-amine 4{2}. $^{1}$H NMR**



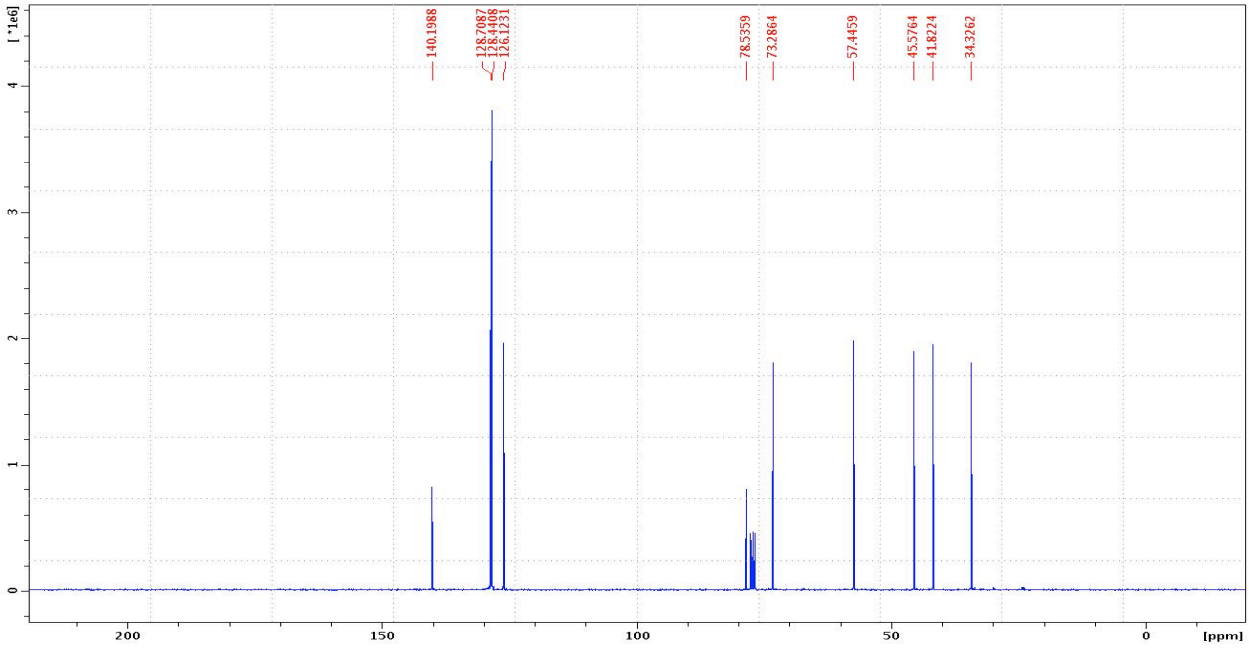
**N-methyl-N-(3-phenylpropyl)prop-2-yn-1-amine 4{2}. $^{13}$C NMR**



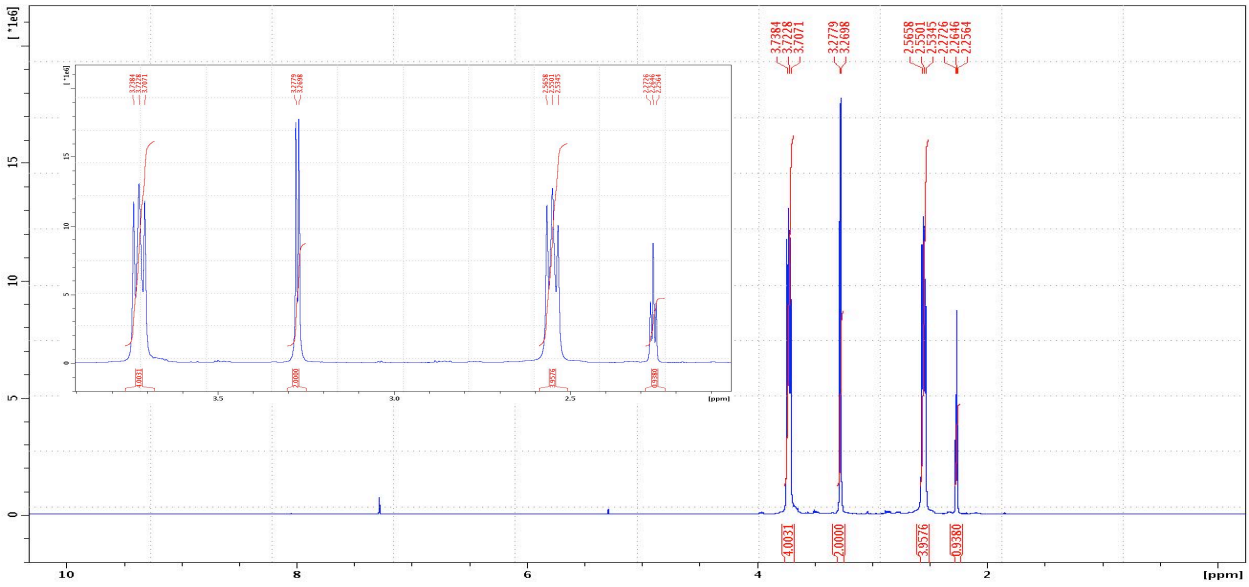

**N-methyl-N-phenethylprop-2-yn-1-amine 4{3}. $^{1}$H NMR**



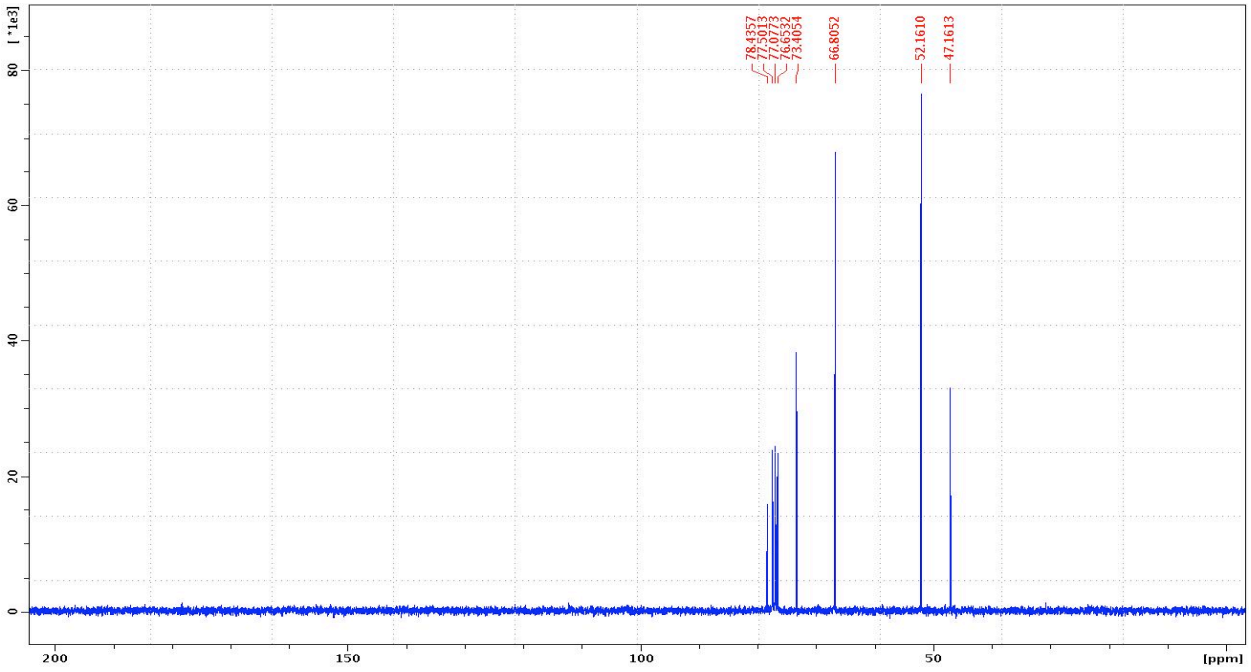
**N-methyl-N-phenethylprop-2-yn-1-amine 4{3}. $^{13}$C NMR**



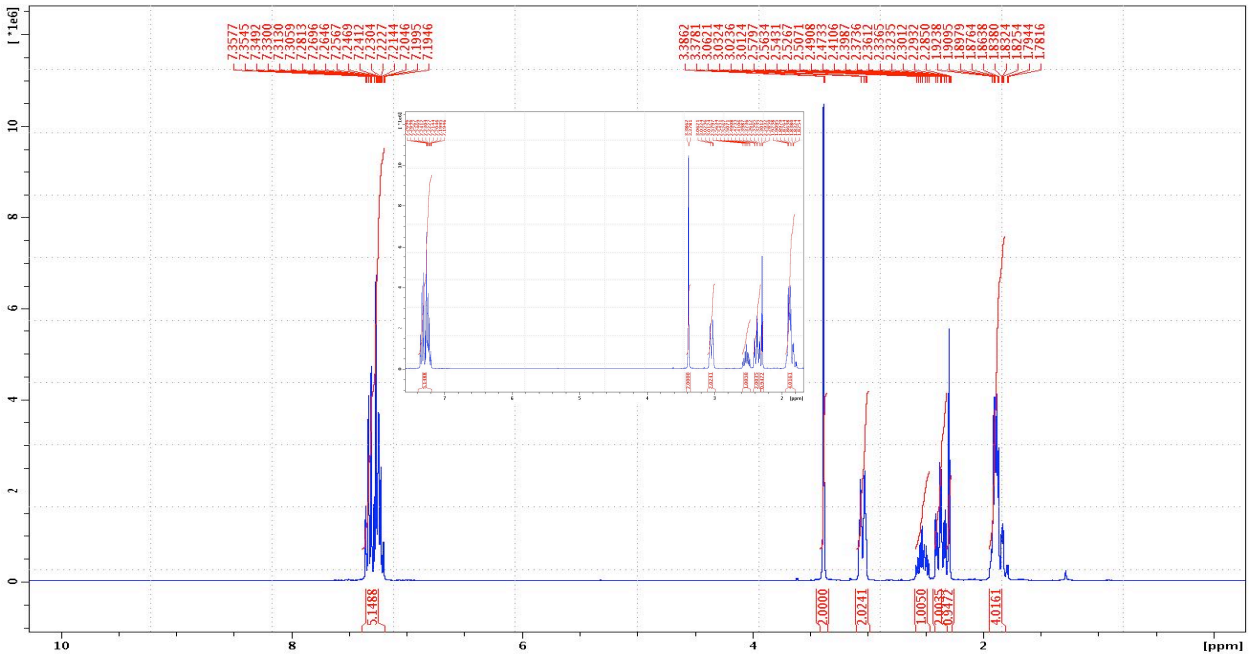

**4-(prop-2-ynyl)morpholine 4{4}. $^{1}$H NMR**



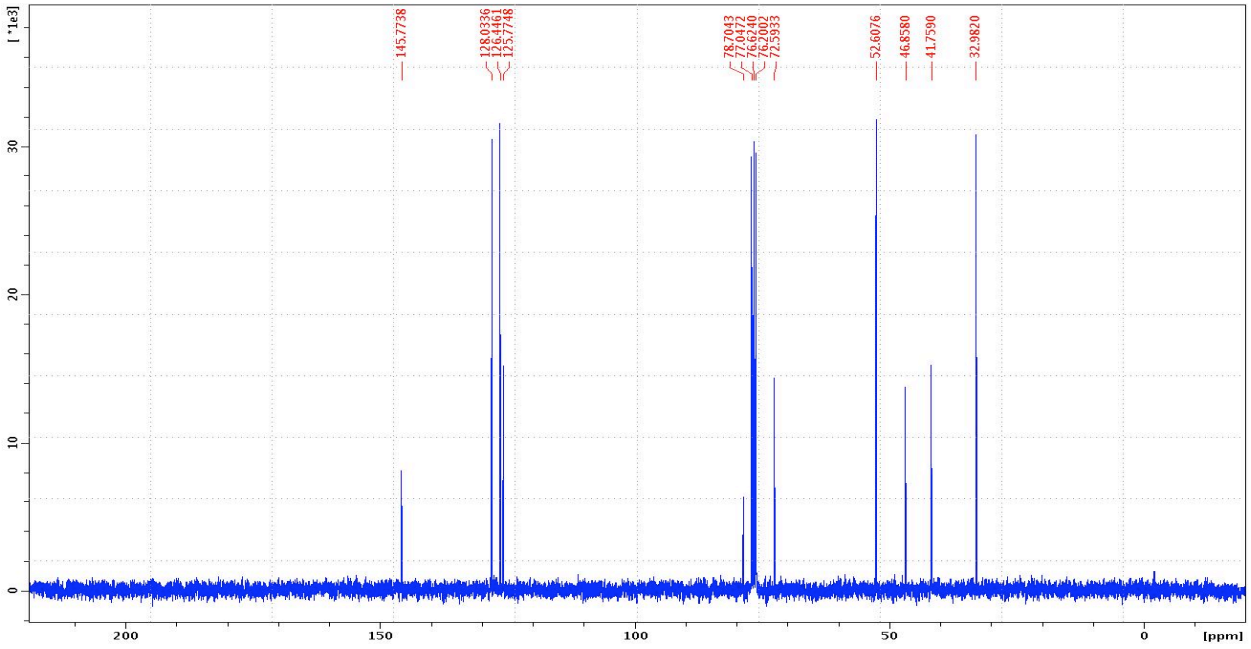
**4-(prop-2-ynyl)morpholine 4{4}. $^{13}$C NMR**



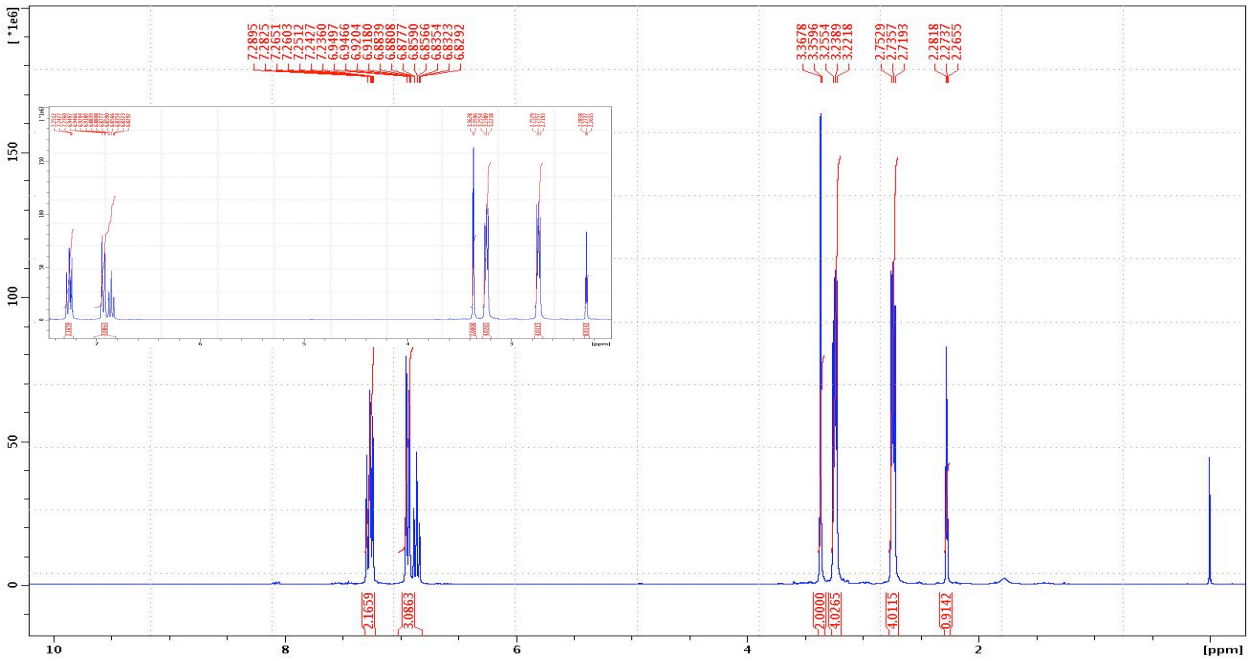

**4-phenyl-1-(prop-2-ynyl)piperidine 4{5}. $^{1}$H NMR**



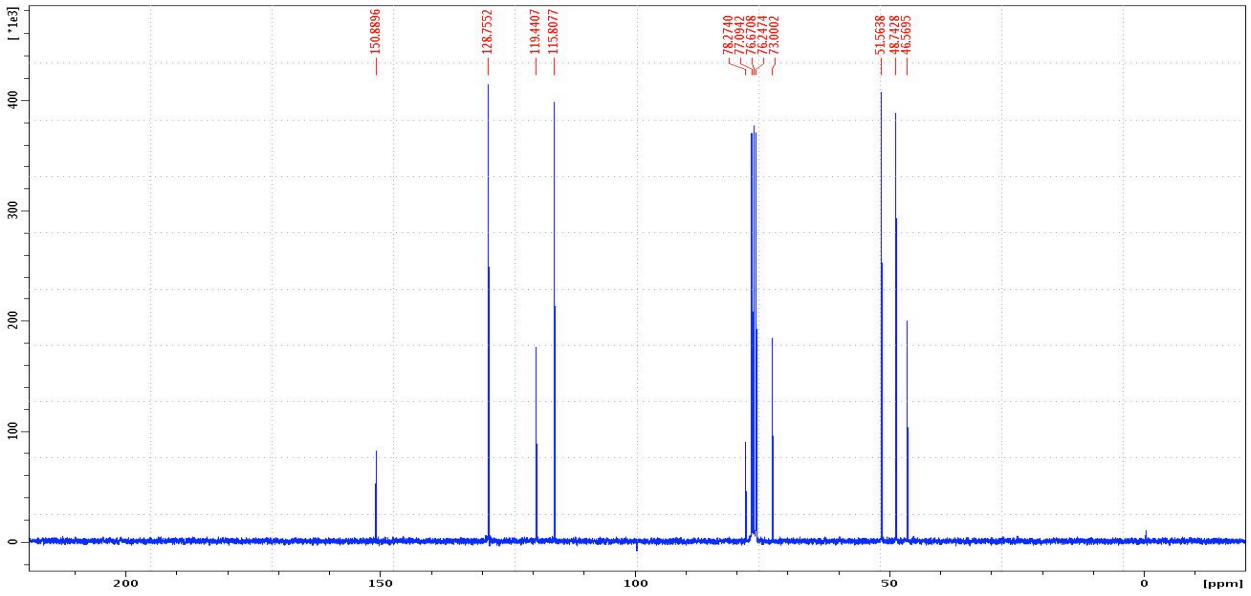
**4-phenyl-1-(prop-2-ynyl)piperidine 4{5}. $^{13}$C NMR**



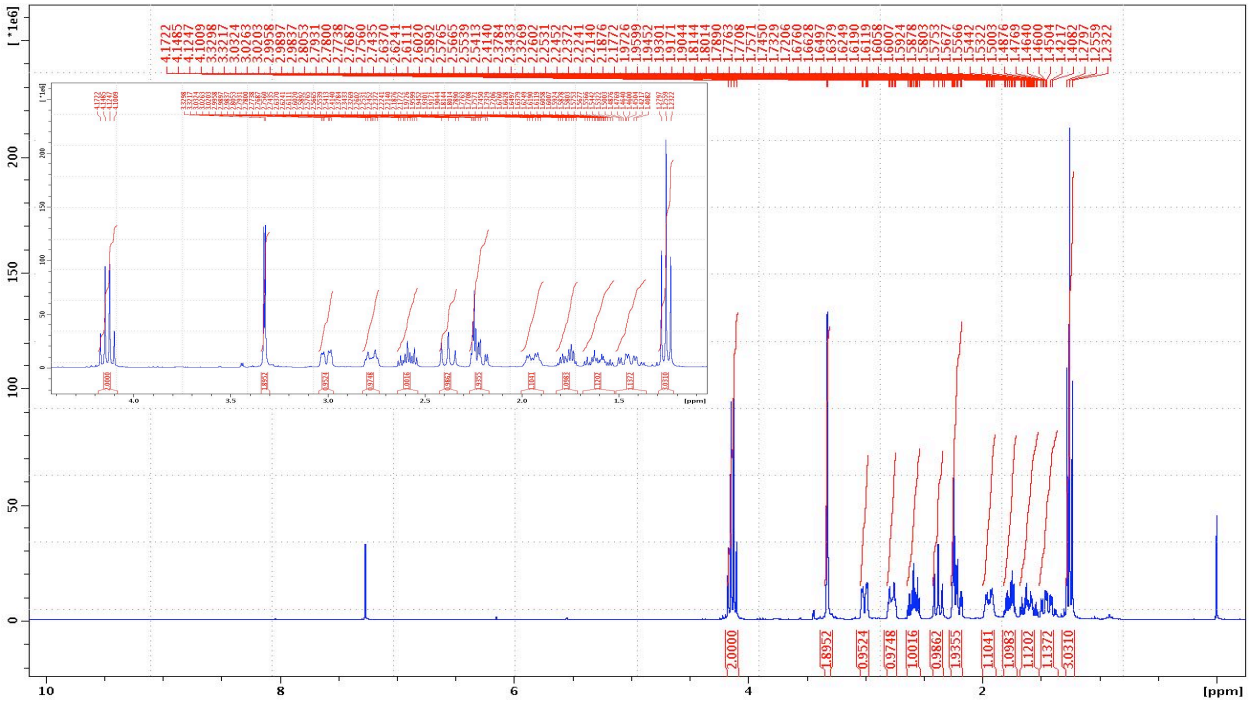

**1-phenyl-4-(prop-2-ynyl)piperazine 4{6}. $^{1}$H NMR**



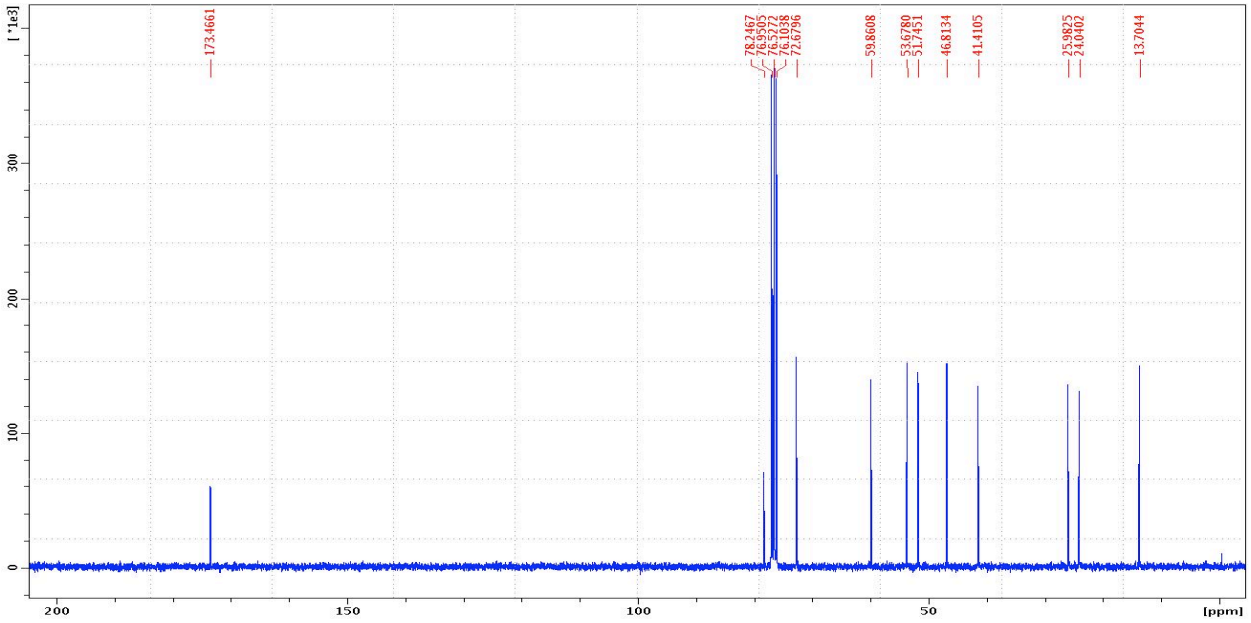
**1-phenyl-4-(prop-2-ynyl)piperazine 4{6}. $^{13}$C NMR**



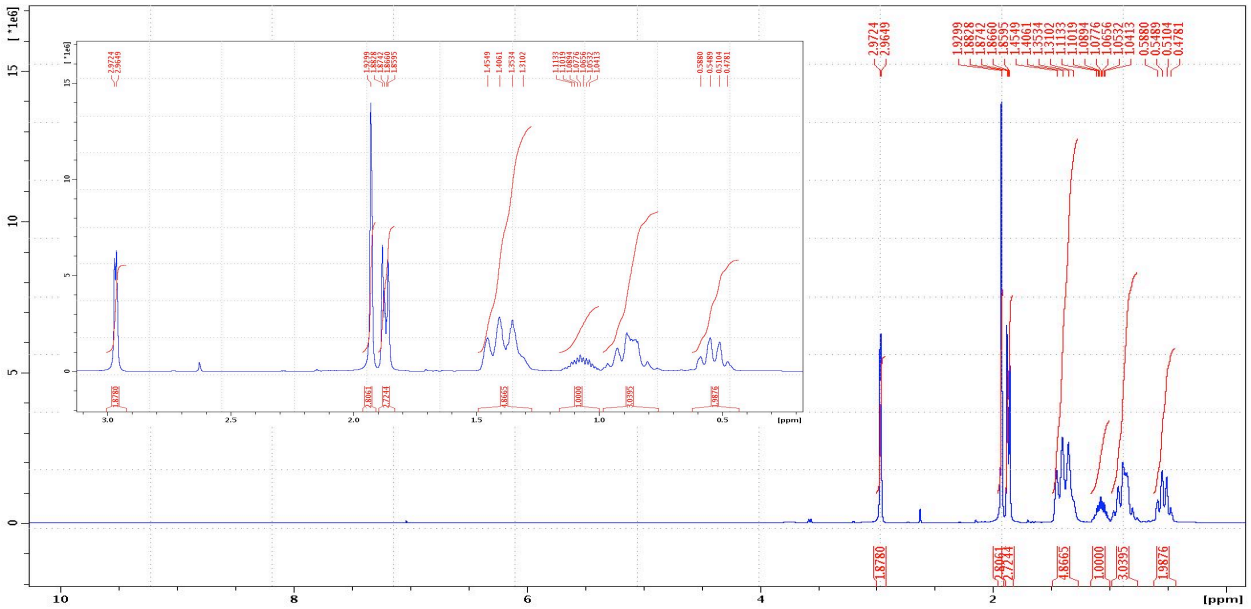

**Ethyl 1-(prop-2-ynyl)piperidine-3-carboxylate 4{7}. $^{1}$H NMR**



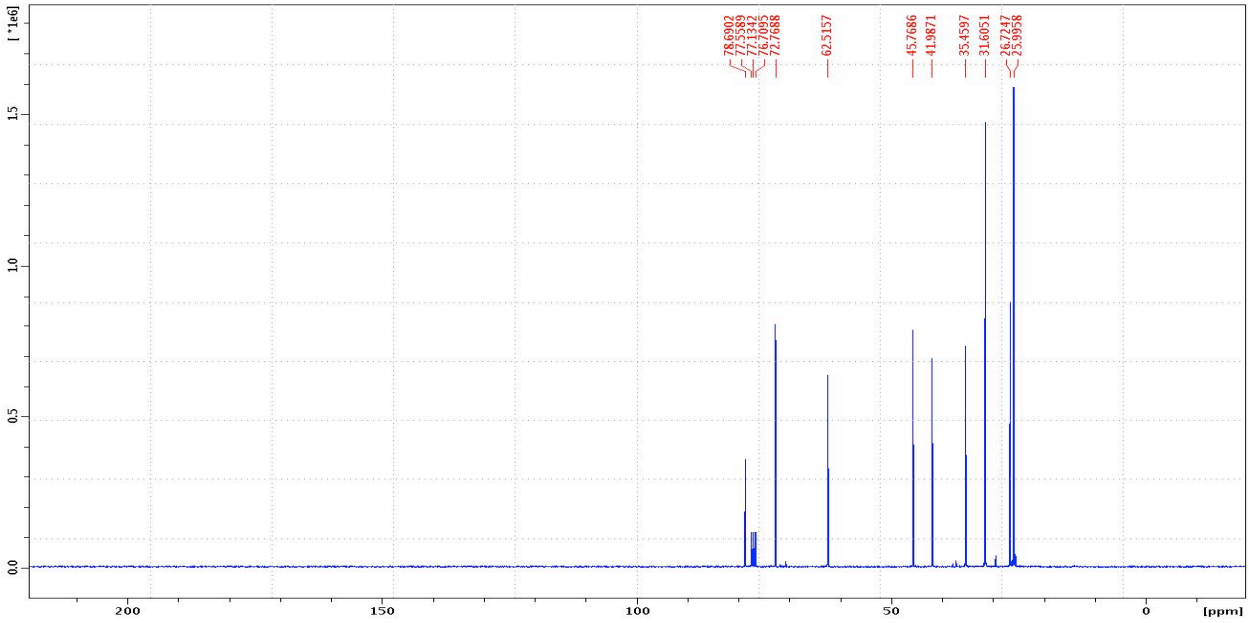
**Ethyl 1-(prop-2-ynyl)piperidine-3-carboxylate 4{7}. $^{13}$C NMR**



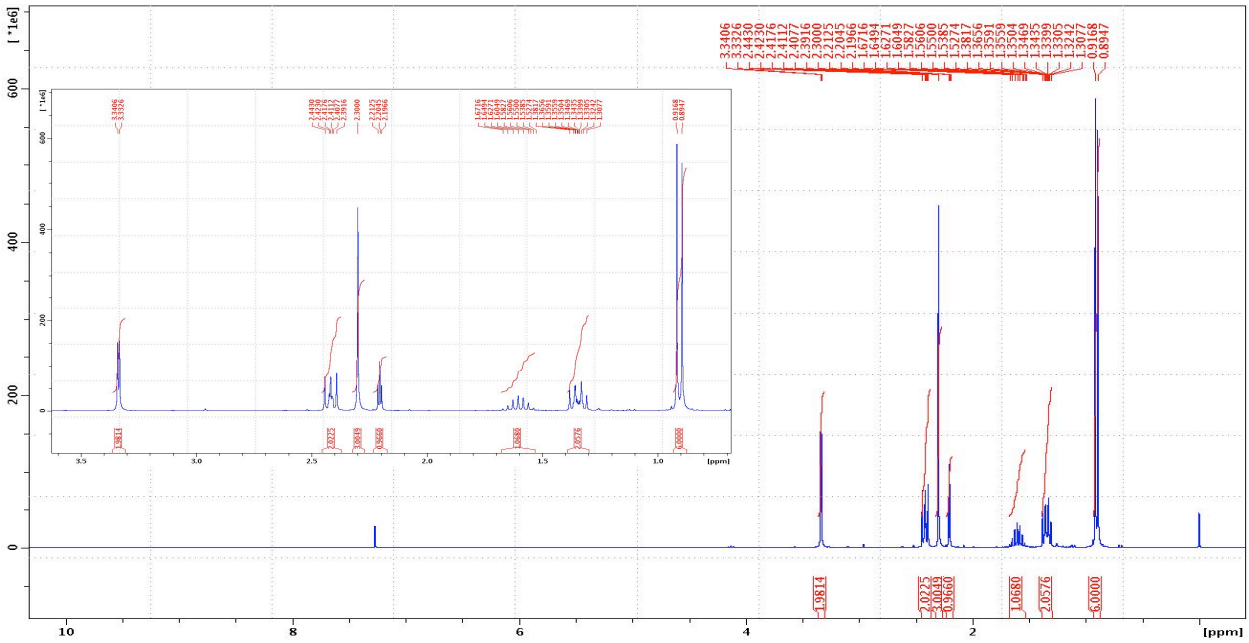

**N-(cyclohexylmethyl)-N-methylprop-2-yn-1-amine 4{8}. $^{1}$H NMR**



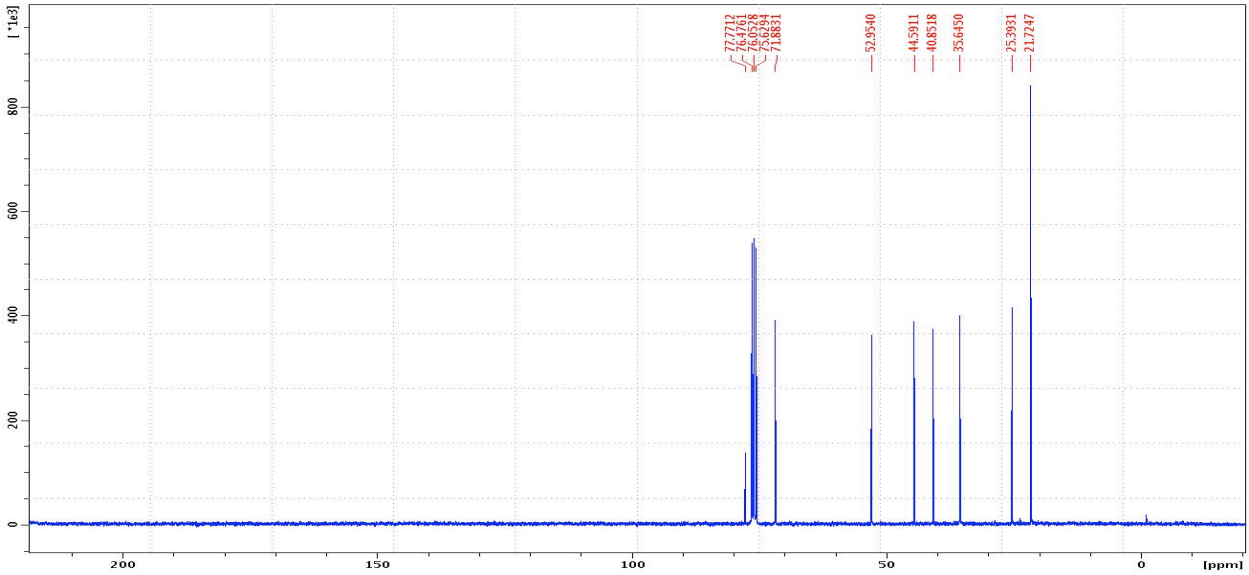
**N-(cyclohexylmethyl)-N-methylprop-2-yn-1-amine 4{8}. $^{13}C$ NMR**




**N,3-dimethyl-N-(prop-2-ynyl)butan-1-amine 4{9}. $^{1}H$ NMR**

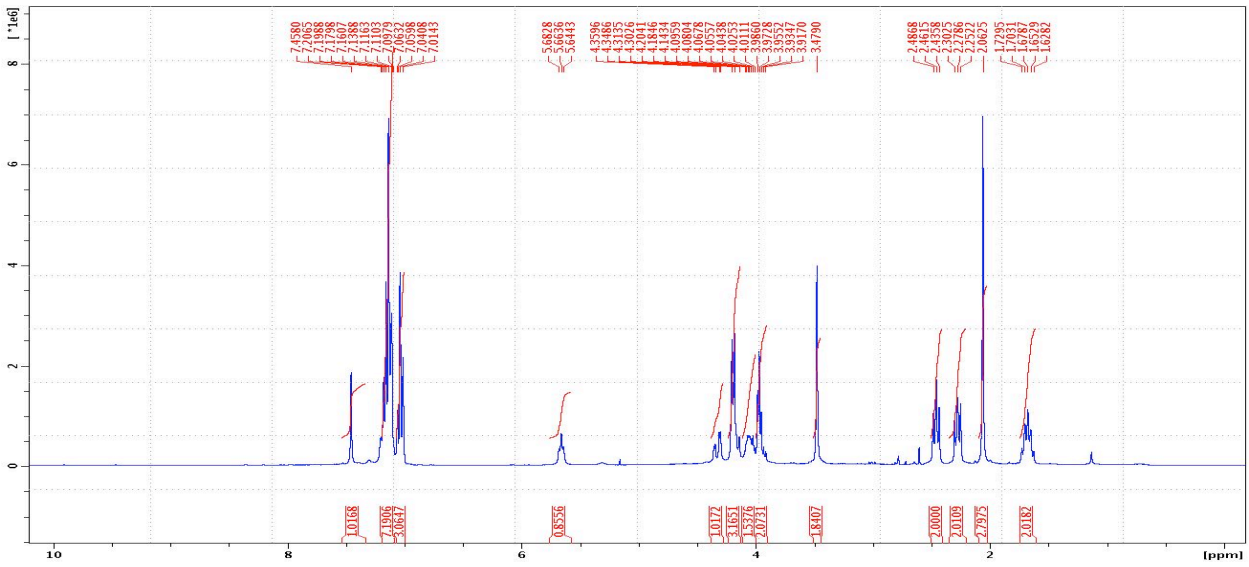


**N,3-dimethyl-N-(prop-2-ynyl)butan-1-amine 4{9}. $^{13}$C NMR**

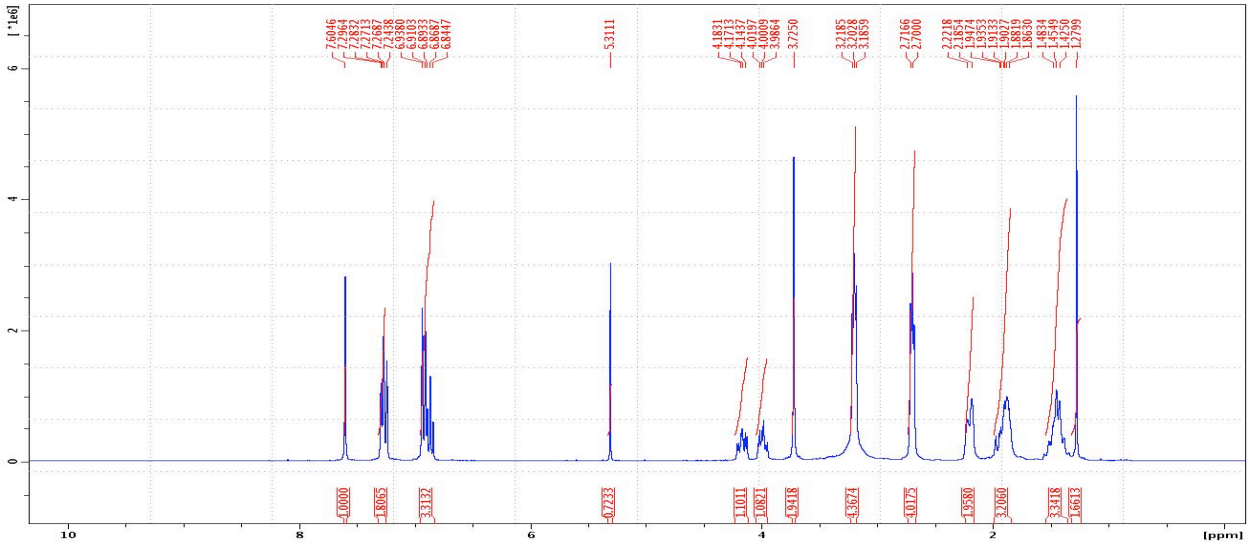



## 1,4-disubstituted 1,2,3-triazole lbrary

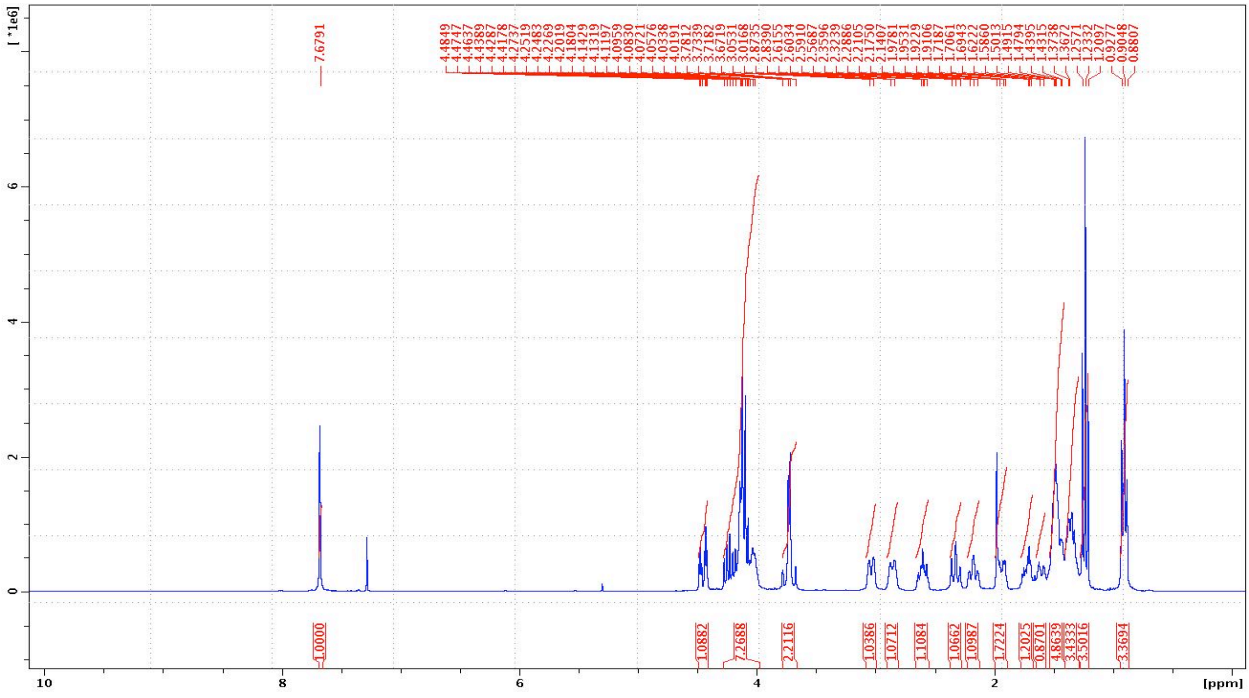
**2-hydroxy-3-(4-((methyl(3-phenylpropyl)amino)methyl)-1H-1,2,3-triazol-1-yl)propyl benzylcarbamate 2{4,2}. $^{1}$H NMR**



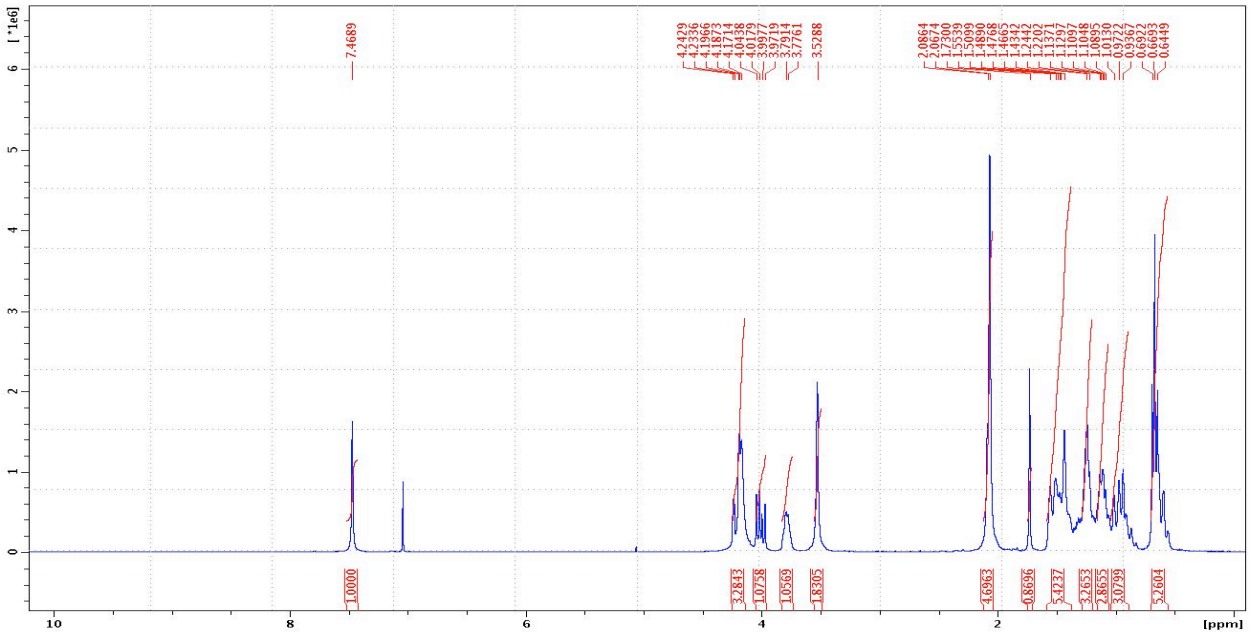
**2-(4-((4-phenylpiperazin-1-yl)methyl)-1H-1,2,3-triazol-1-yl)cyclohexanol 2{9,6}. $^1$H NMR**



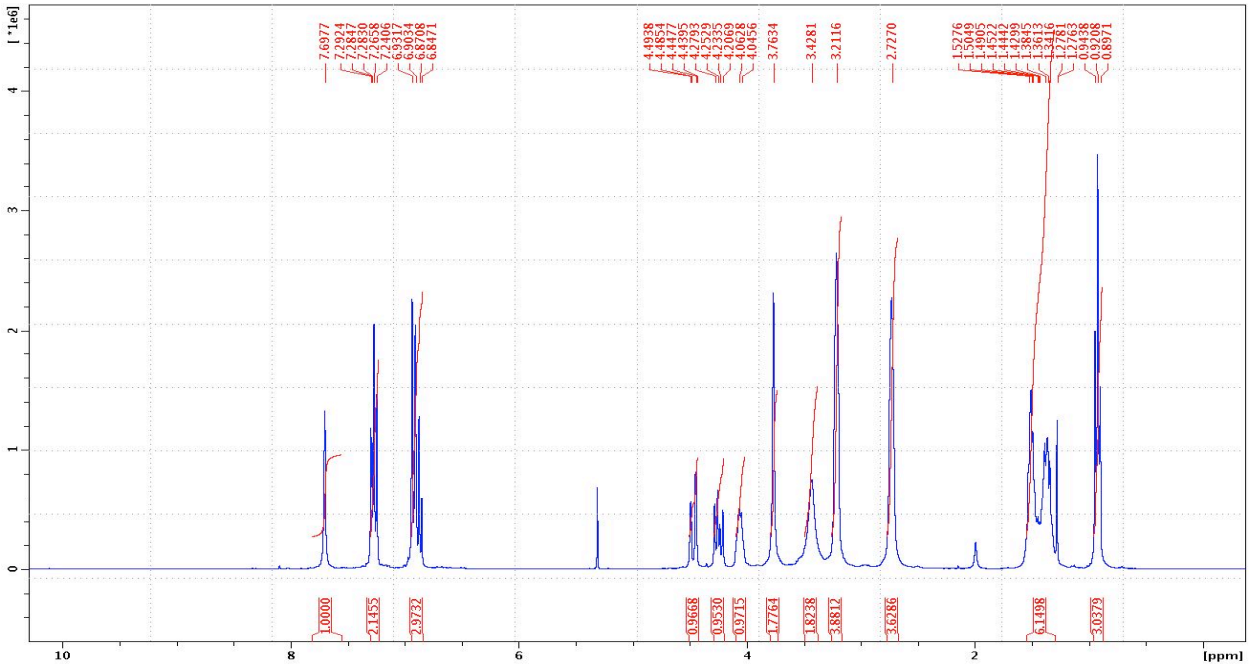
**Ethyl 1-((1-(2-hydroxyhexyl)-1H-1,2,3-triazol-4-yl)methyl)piperidine-3-carboxylate 2{7,7}. $^1$H NMR**



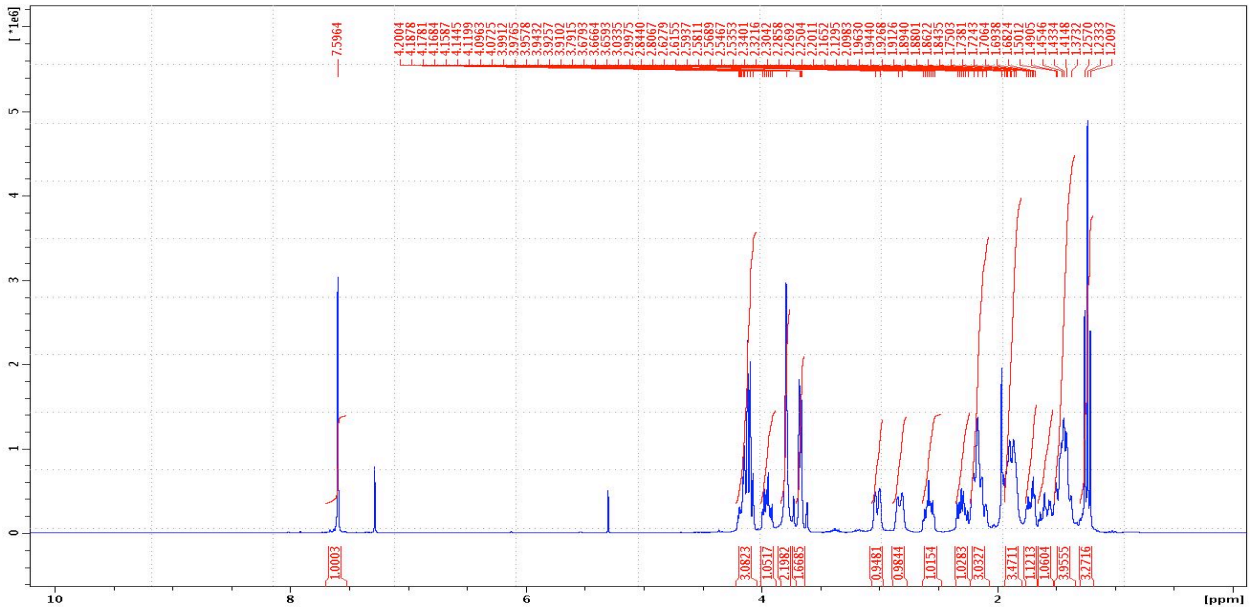
**1-(4-(((cyclohexylmethyl)(methyl)amino)methyl)-1H-1,2,3-triazol-1-yl)hexan-2-ol 2{7,8}. $^{1}$H NMR**



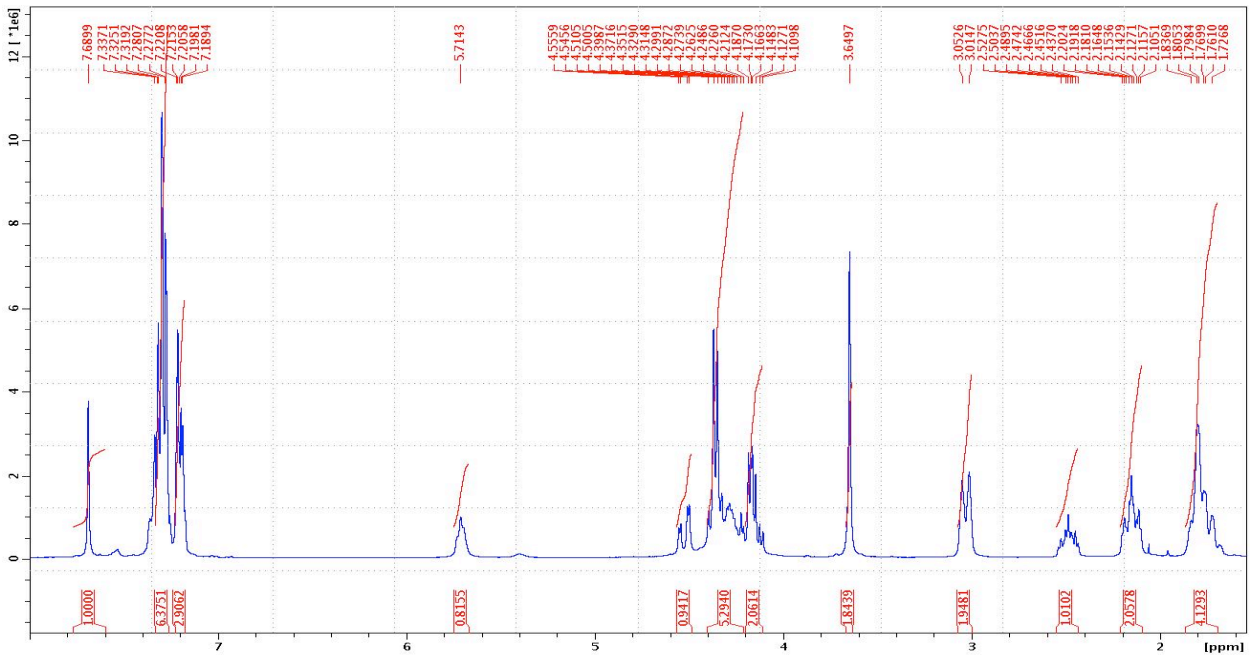
**1-(4-((4-phenylpiperazin-1-yl)methyl)-1H-1,2,3-triazol-1-yl)hexan-2-ol 2{7,6}. $^{1}$H NMR**



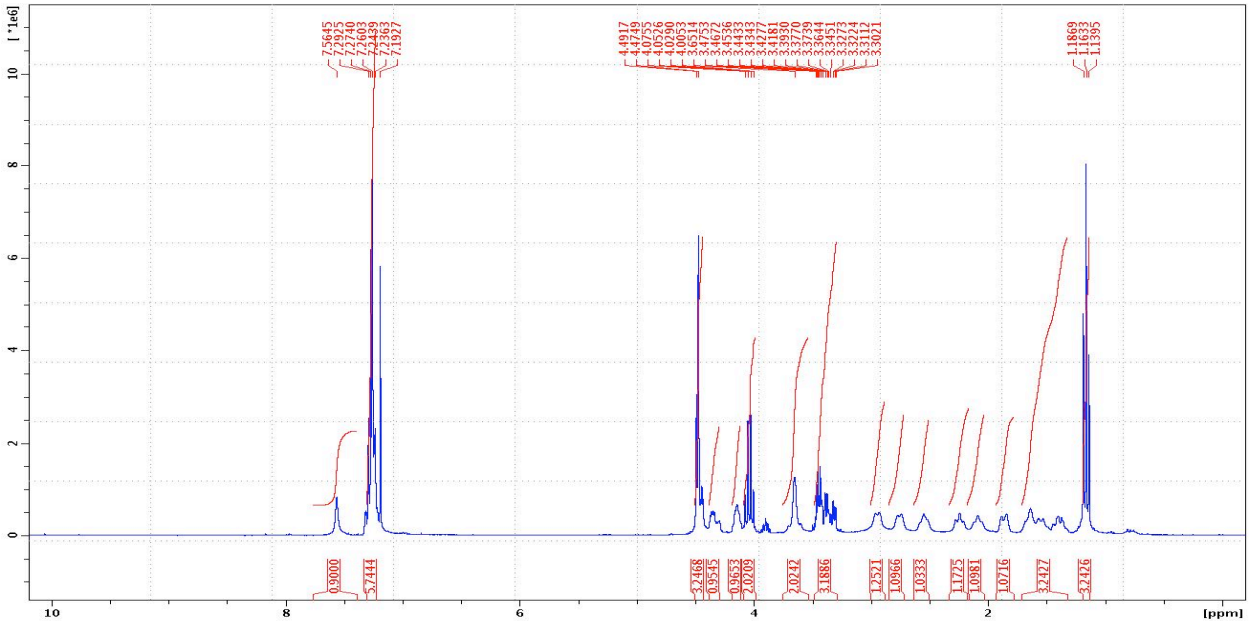
**Ethyl 1-((1-(2-hydroxycyclohexyl)-1H-1,2,3-triazol-4-yl)methyl)piperidine-3-carboxylate 2{9,7}. $^{1}$H NMR**



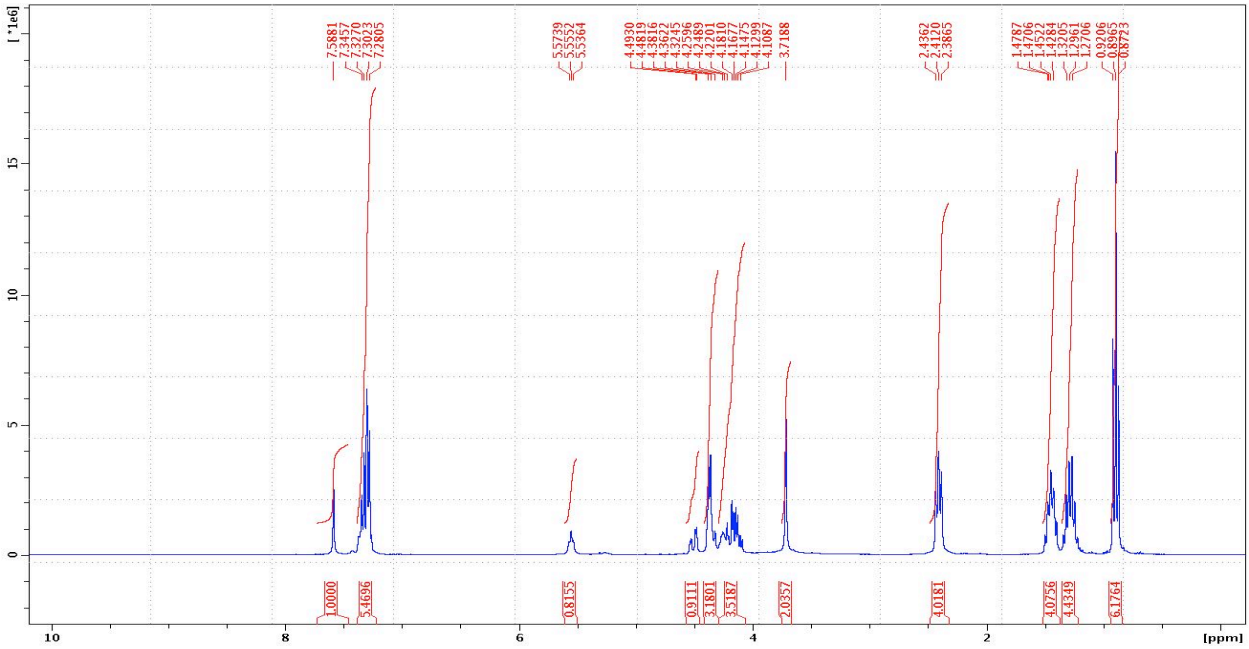
**2-(4-(((cyclohexylmethyl)(methyl)amino)methyl)-1H-1,2,3-triazol-1-yl)cyclohexanol 2{9,8}. $^{1}$H NMR**



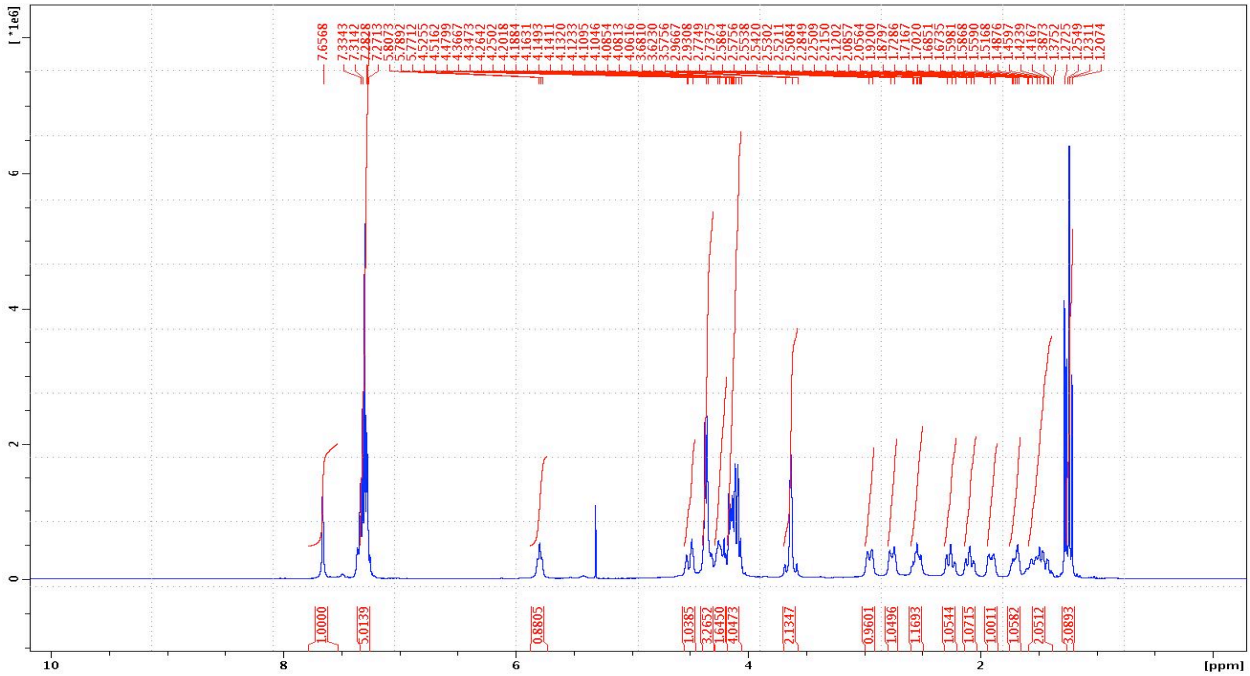
**Ethyl 1-((1-(3-(benzyloxy)-2-hydroxypropyl)-1H-1,2,3-triazol-4-yl)methyl)piperidine-3-carboxylate 2{8,7}. $^{1}$H NMR**



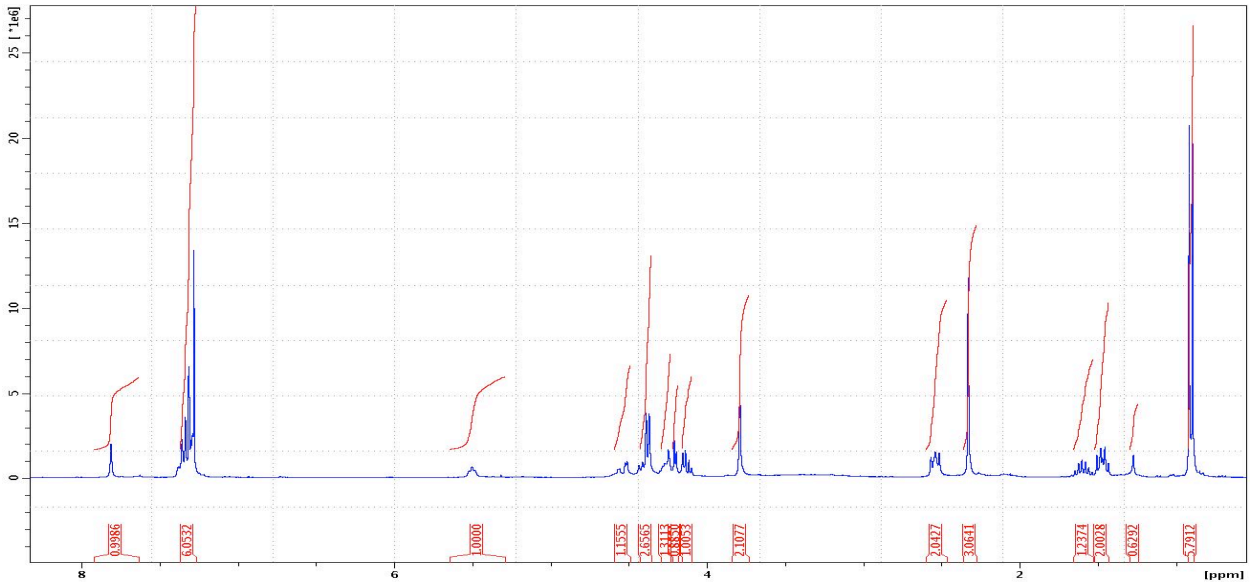
**3-(4-((dibutylamino)methyl)-1H-1,2,3-triazol-1-yl)-2-hydroxypropyl benzylcarbamate 2{4,1}. $^{1}$H NMR**



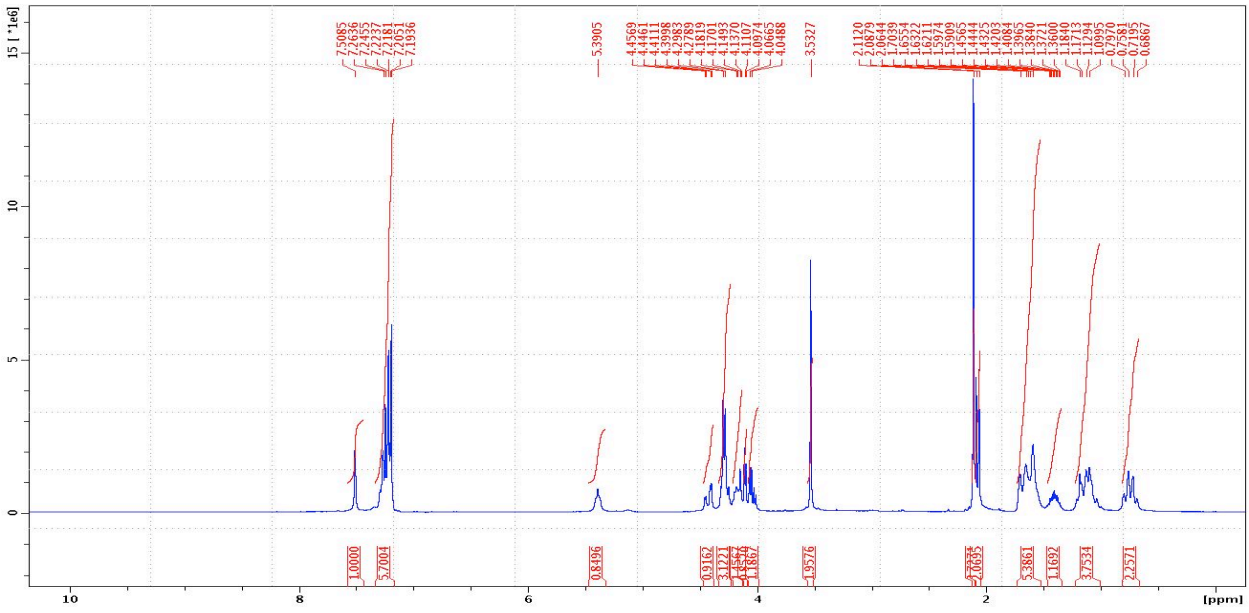
**Ethyl 1-((1-(3-(benzylcarbamoyloxy)-2-hydroxypropyl)-1H-1,2,3-triazol-4-yl)methyl)piperidine-3-carboxylate 2{4,7}. $^{1}$H NMR**



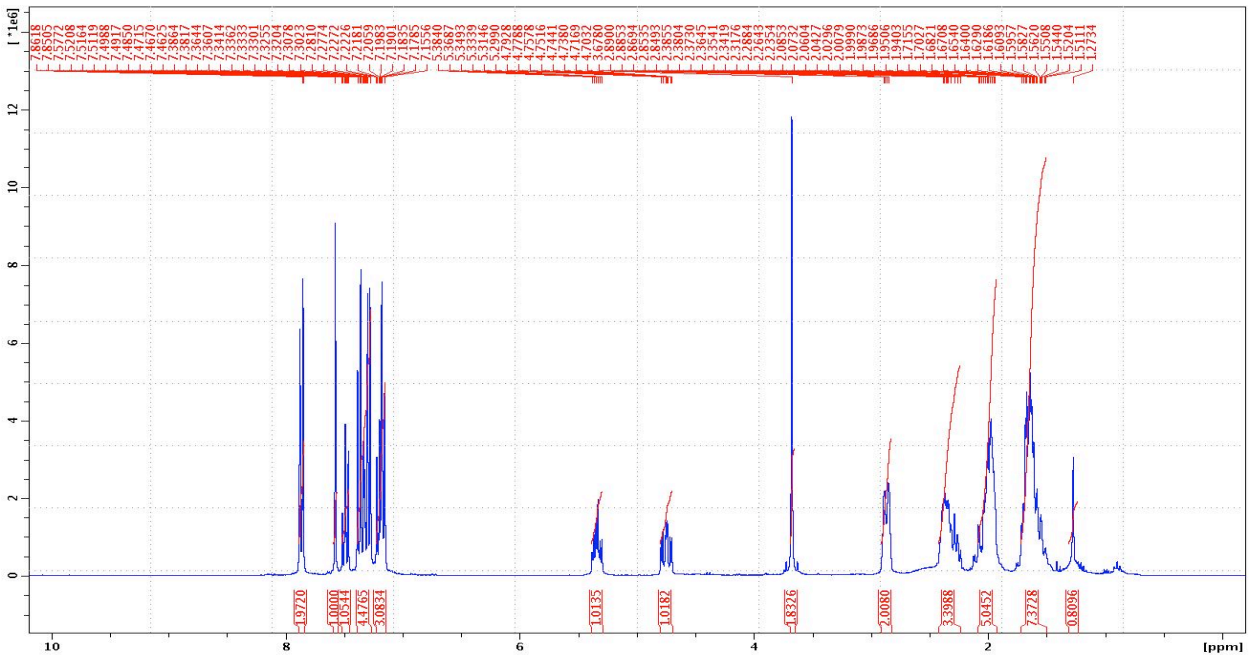
**2-hydroxy-3-(4-((isopentyl(methyl)amino)methyl)-1H-1,2,3-triazol-1-yl)propyl benzylcarbamate 2{4,9}. $^{1}$H NMR**



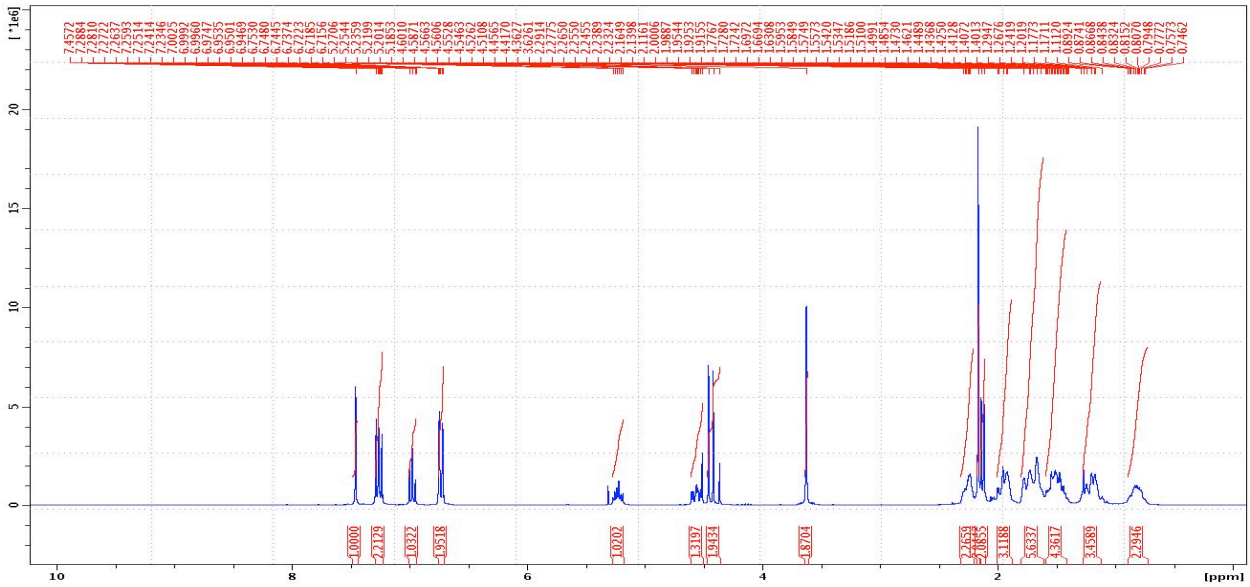
**3-(4-(((cyclohexylmethyl)(methyl) amino)methyl)-1H-1,2,3-triazol-1-yl)-2-hydroxypropyl benzylcarbamate 2{4,8}. $^{1}$H NMR**



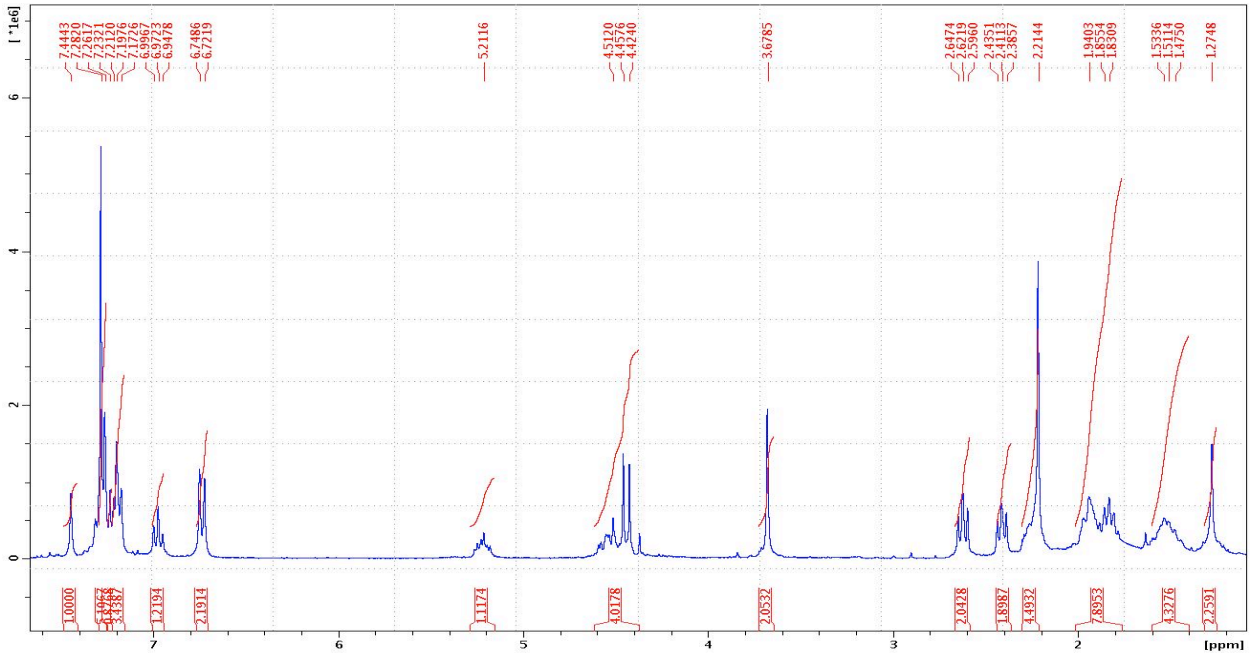
**2-(4-((4-phenylpiperidin-1-yl)methyl)-1H-1,2,3-triazol-1-yl)cyclohexyl benzoate 2{10,5}. $^{1}$H NMR**



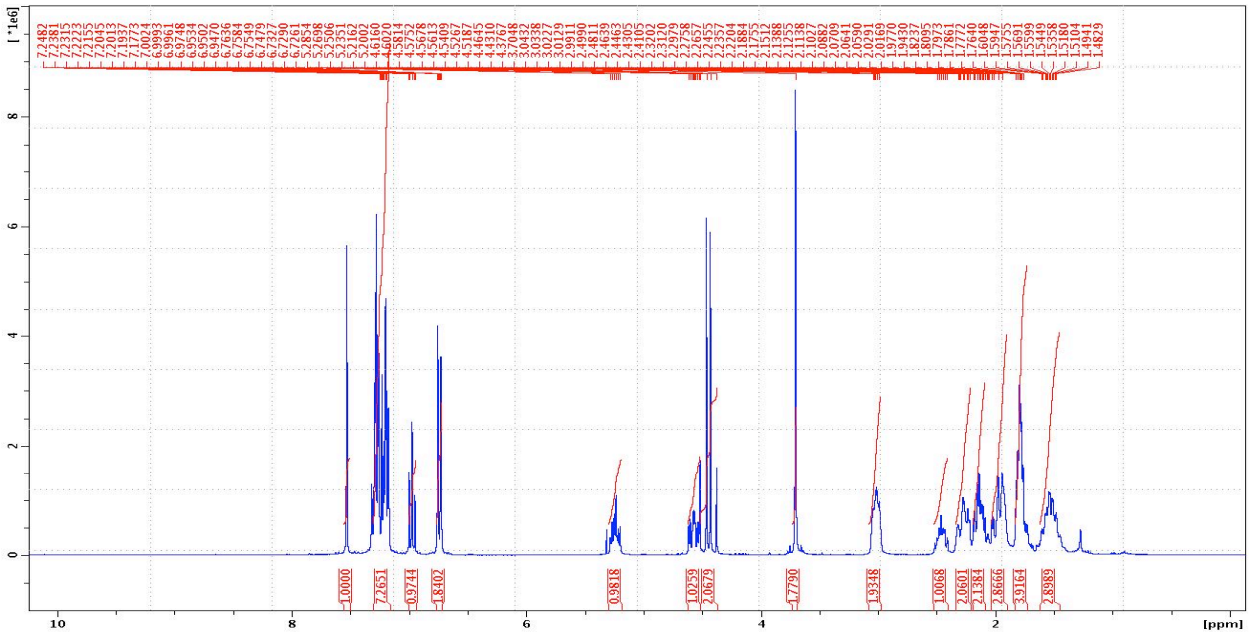
**2-(4-(((cyclohexylmethyl)(methyl)amino)methyl)-1H-1,2,3-triazol-1-yl)cyclohexyl 2-phenoxyacetate 2{12,8}. $^{1}$H NMR**



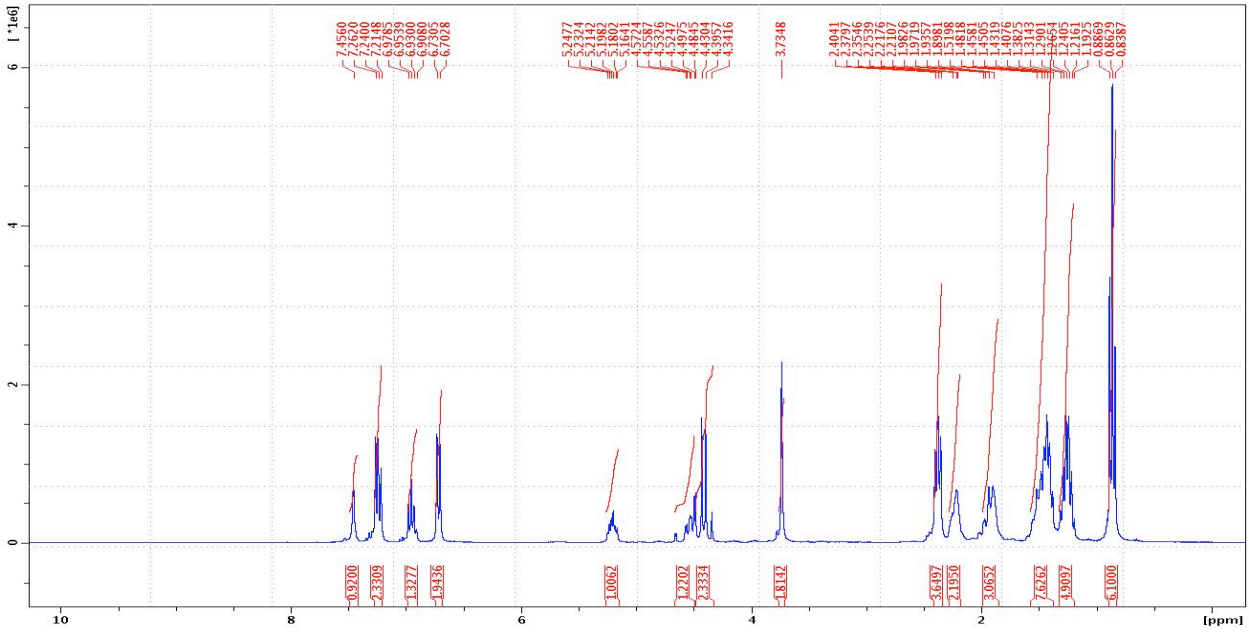
**2-(4-((methyl(3-phenylpropyl)amino)methyl)-1H-1,2,3-triazol-1-yl)cyclohexyl 2-phenoxyacetate 2{12,2}. $^{1}$H NMR**



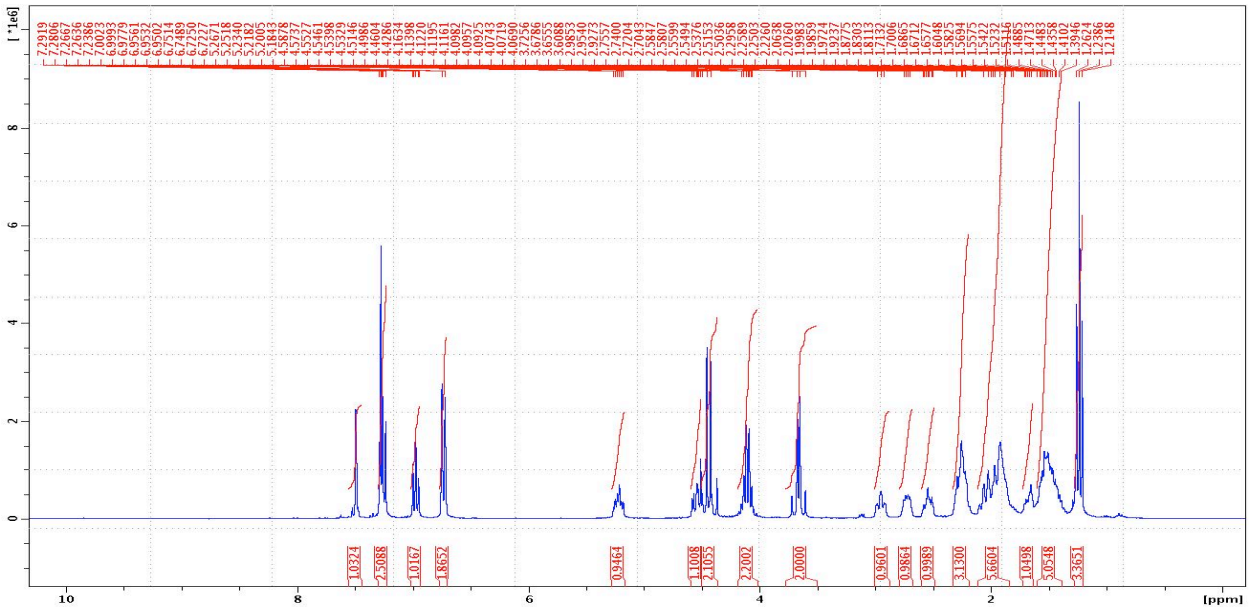
**2-(4-((4-phenylpiperidin-1-yl)methyl)-1H-1,2,3-triazol-1-yl)cyclohexyl 2-phenoxyacetate 2{12,5}. $^{1}$H NMR**



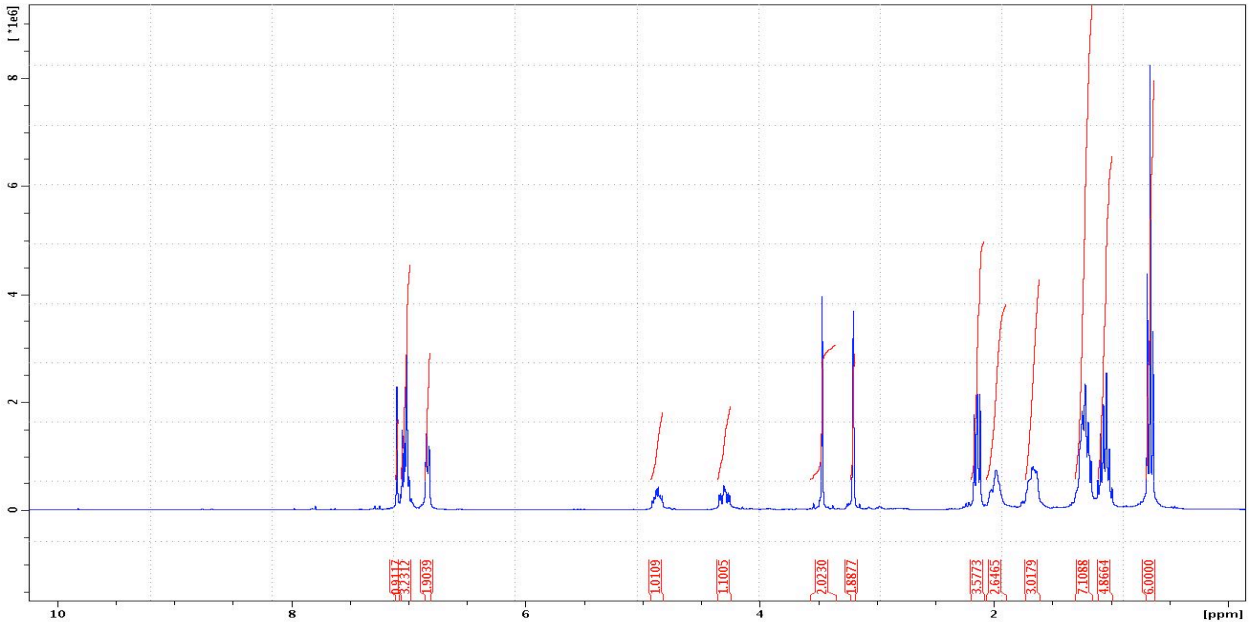
**2-(4-((dibutylamino)methyl)-1H-1,2,3-triazol-1-yl)cyclohexyl 2-phenoxyacetate 2{12,1}. $^{1}$H NMR**



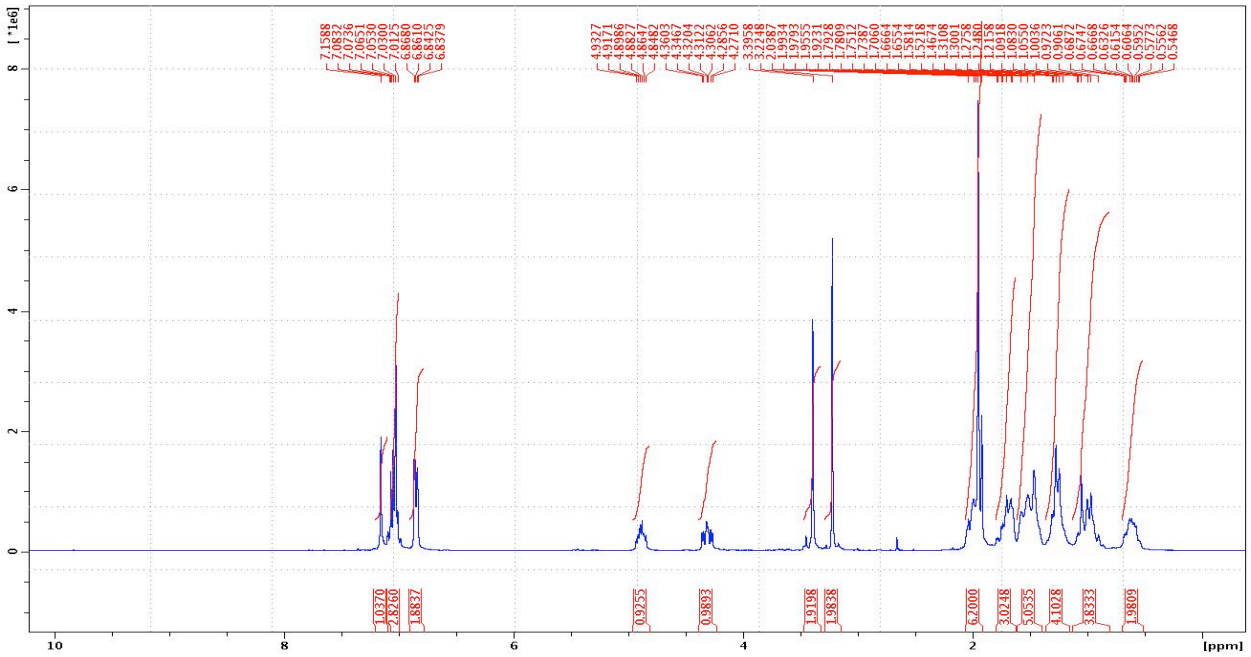
**Ethyl 1-((1-(2-(2-phenoxyacetoxy)cyclohexyl)-1H-1,2,3-triazol-4-yl)methyl)piperidine-3-carboxylate 2{12,7}. $^{1}$H NMR**



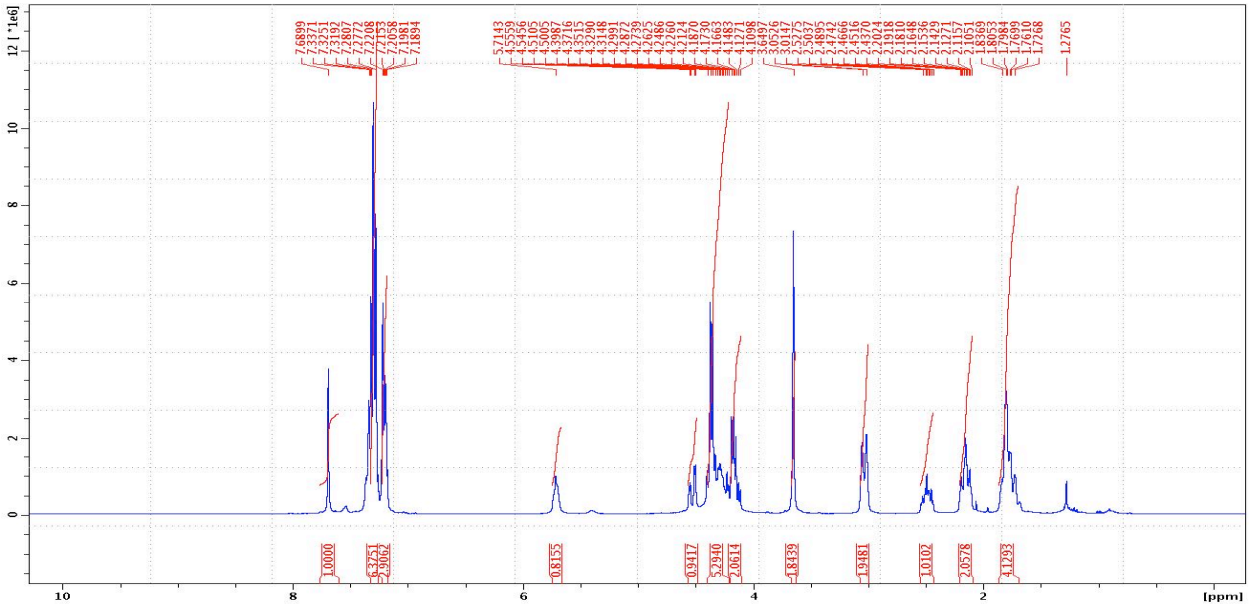
**2-(4-((dibutylamino)methyl)-1H-1,2,3-triazol-1-yl)cyclohexyl 2-phenylacetate 2{11,1}. $^{1}$H NMR**



**2-(4-(((cyclohexylmethyl)(methyl)amino)methyl)-1H-1,2,3-triazol-1-yl)cyclohexyl 2-phenylacetate 2{11,8}. $^{1}$H NMR**

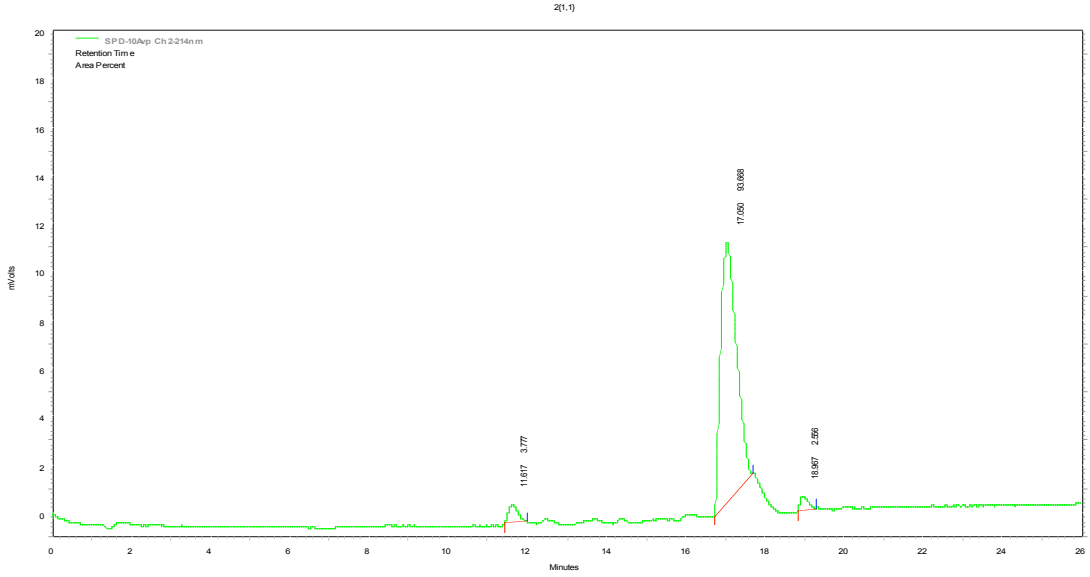


**2-hydroxy-3-(4-((4-phenylpiperidin-1-yl)methyl)-1H-1,2,3-triazol-1-yl)propyl benzylcarbamate 2{4,5}. $^{1}$H NMR**

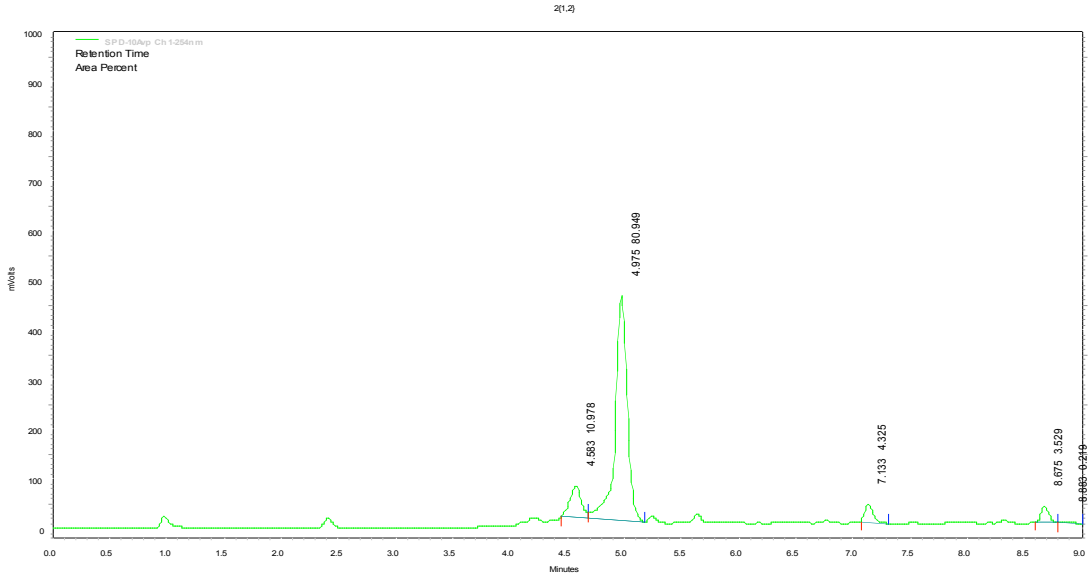


## HPLC chromatograms for 1,4-disubstituted 1,2,3-triazole library members

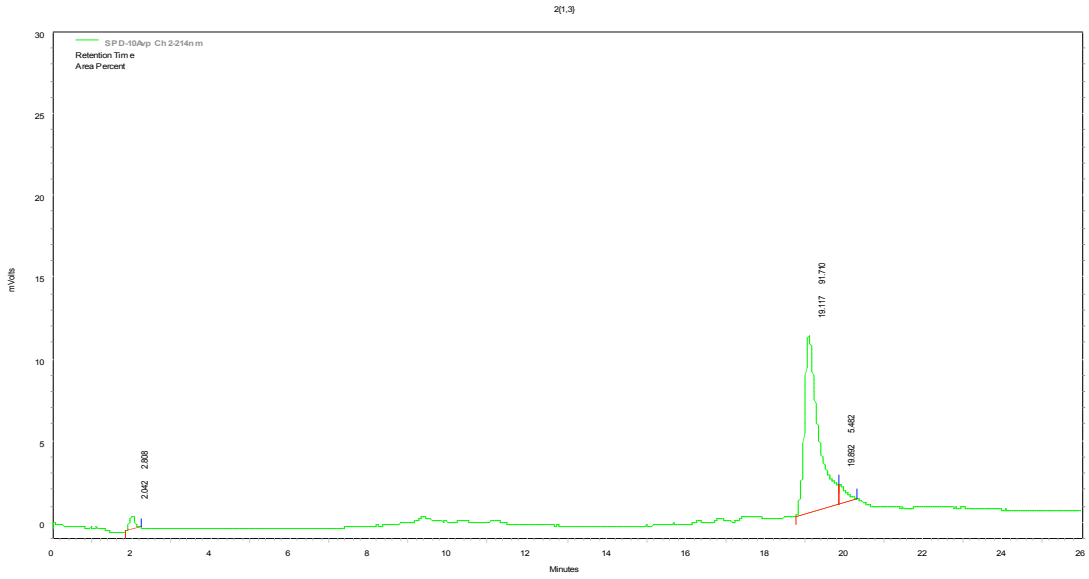
**1,4-disubstituted 1,2,3-triazole member 2**{1,1}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 17.05 (93%)



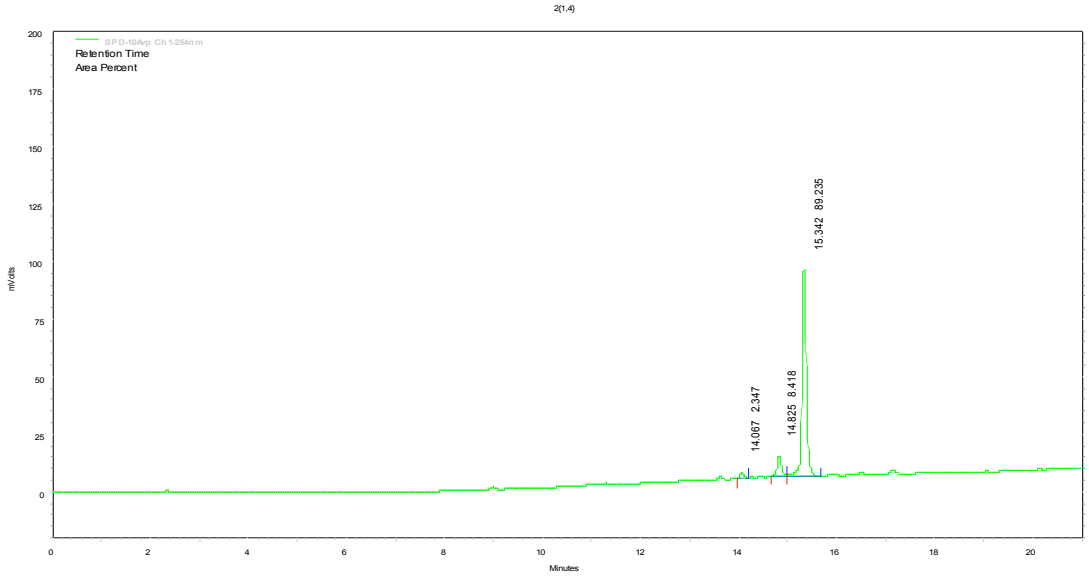

**1,4-disubstituted 1,2,3-triazole member 2**{1,2}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 4.97 (81%), gradient elution 55% to 95% over 9 min.



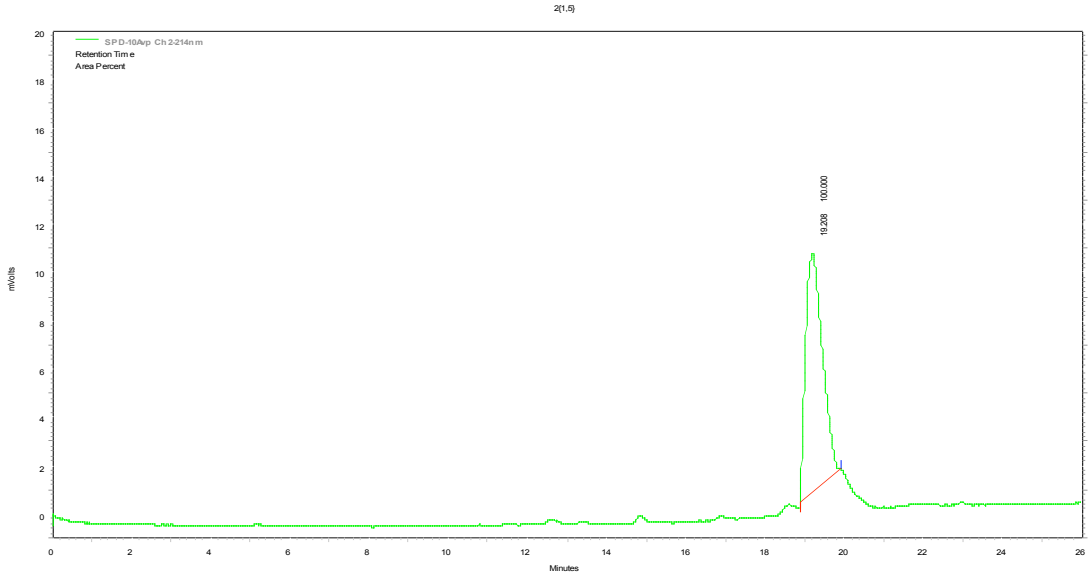
**1,4-disubstituted 1,2,3-triazole member 2**{1,3}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 19.11 (91%), gradient elution 55% to 95% over 26 min.



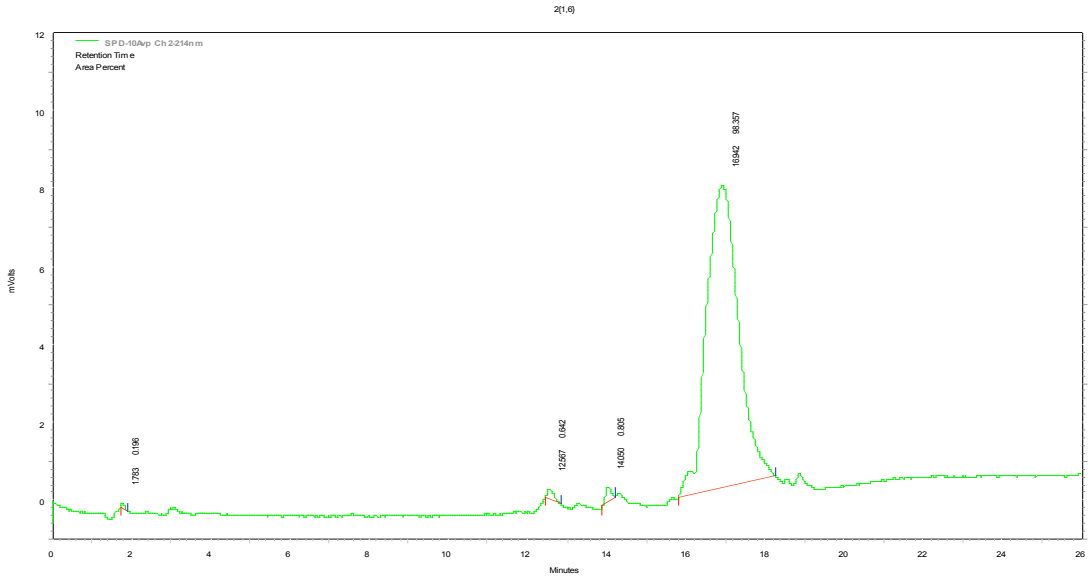

**1,4-disubstituted 1,2,3-triazole member 2**{1,4}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.34 (87%), gradient elution 55% to 95% over 21 min.



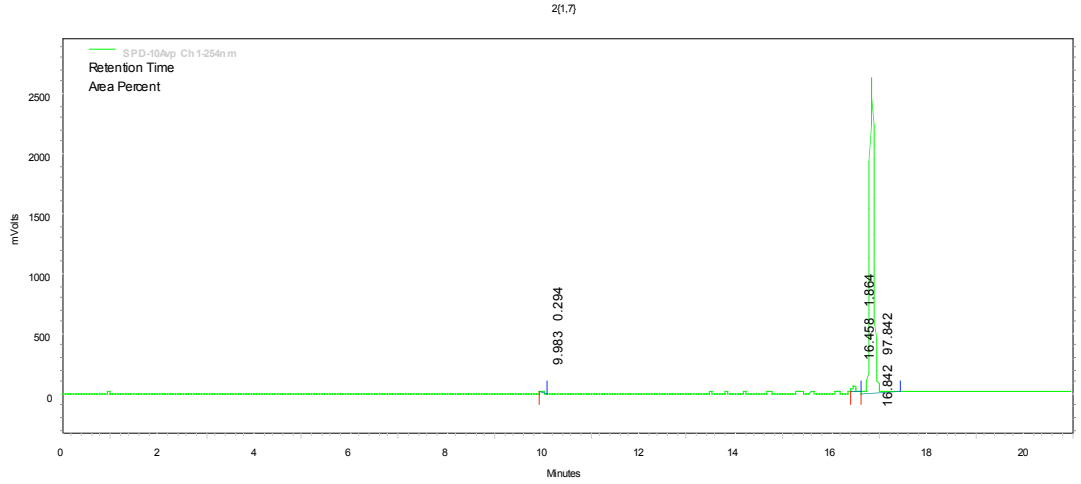
**1,4-disubstituted 1,2,3-triazole member 2**{1,5}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 19.20 (100%).



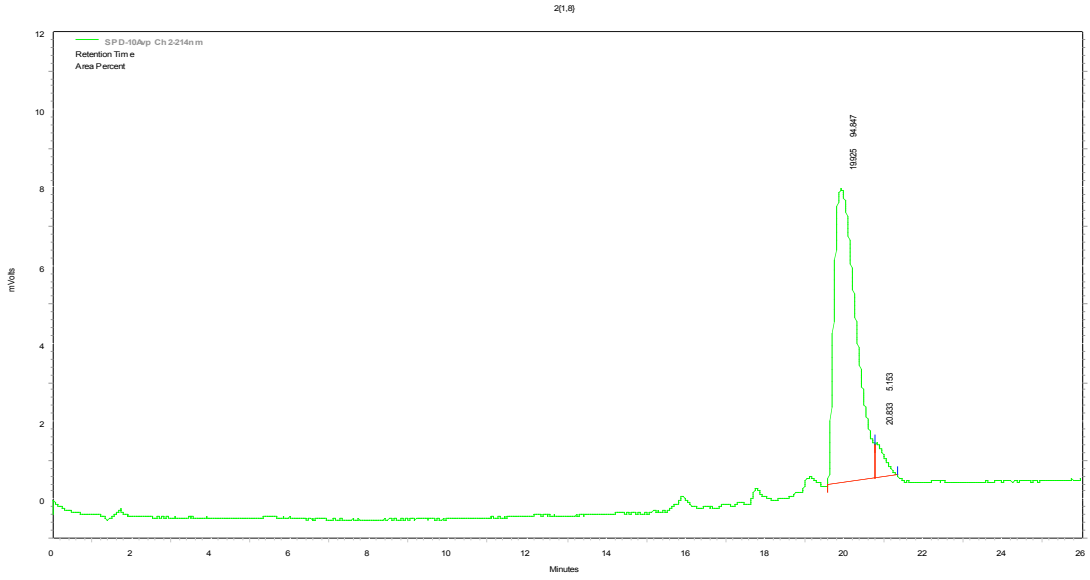

**1,4-disubstituted 1,2,3-triazole member 2**{1,6}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 16.94 (98%).



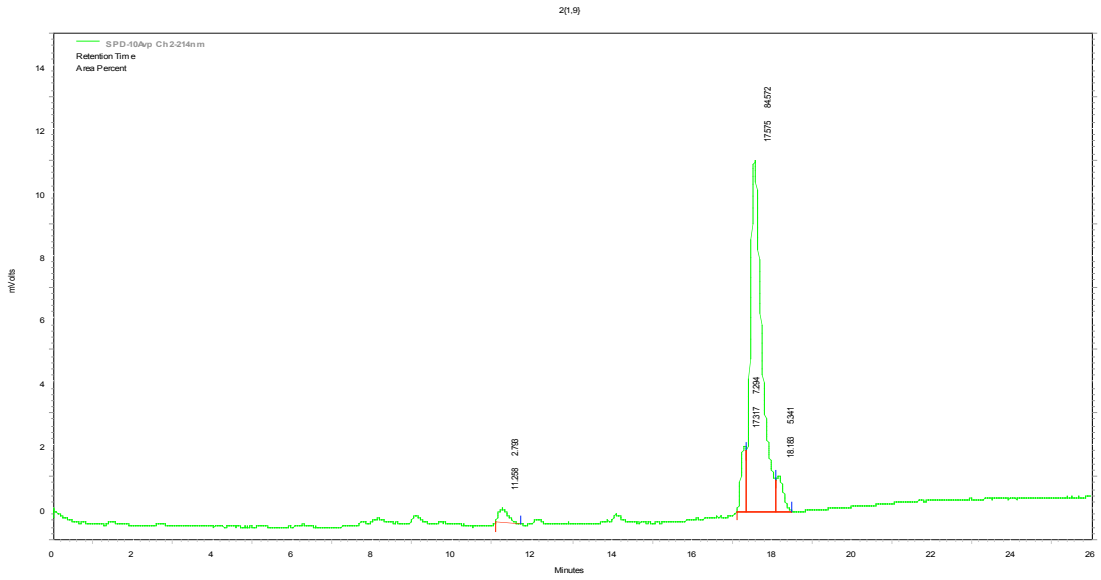
**1,4-disubstituted 1,2,3-triazole member 2**{1,7}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 16.84 (97%), gradient elution 55% to 95% over 21 min.



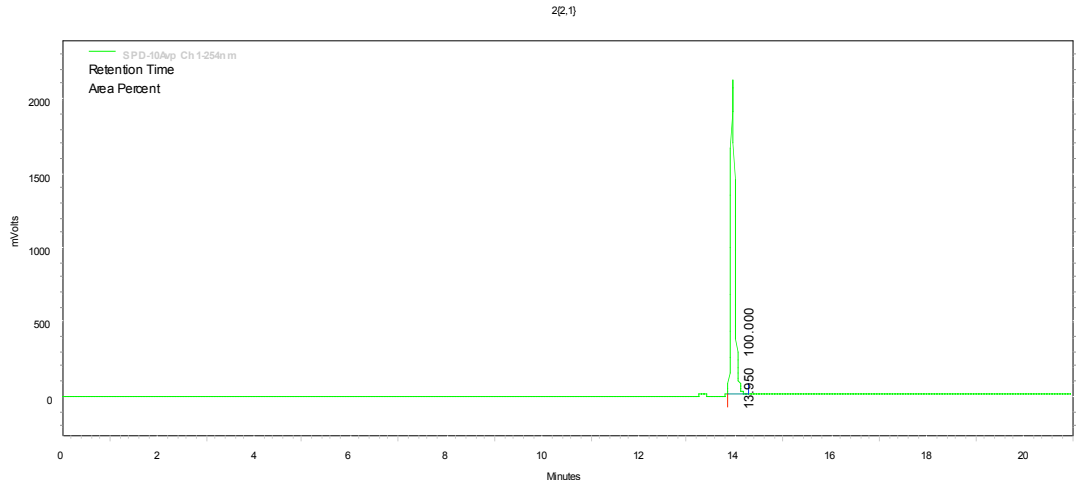

**1,4-disubstituted 1,2,3-triazole member 2**{1,8}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 19.92 (95%).



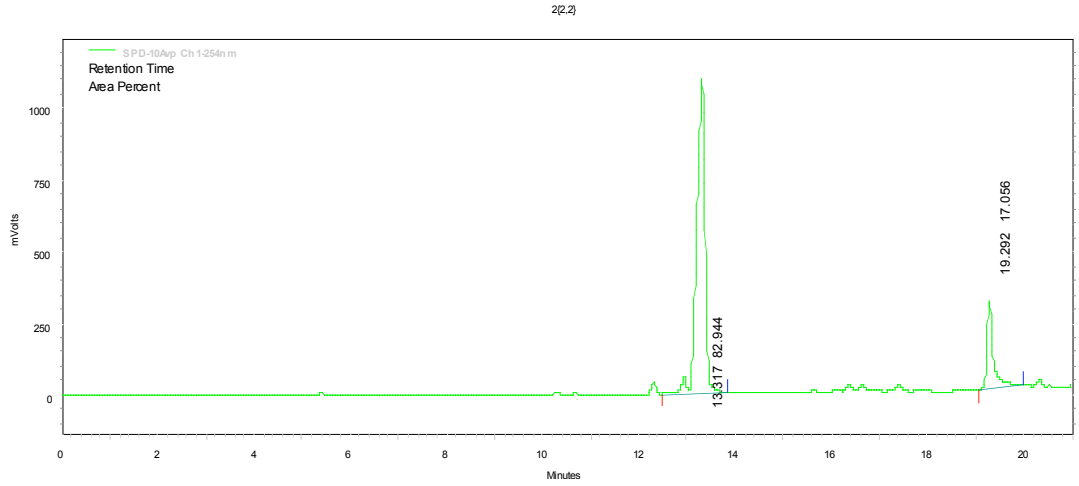
**1,4-disubstituted 1,2,3-triazole member 2**{1,9}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 17.57 (84%).



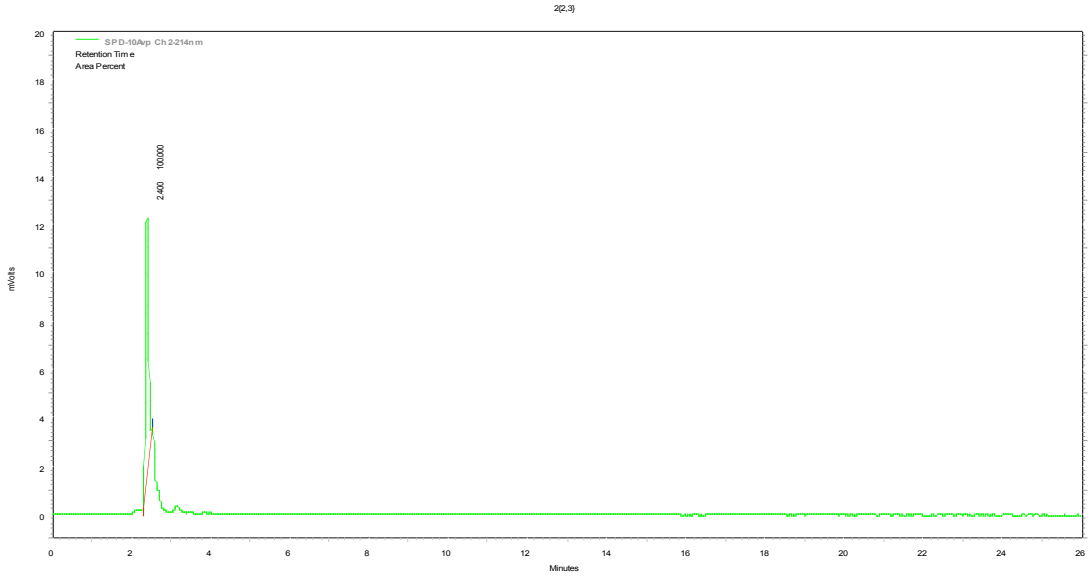

**1,4-disubstituted 1,2,3-triazole member 2**{2,1}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 13.95 (100%), gradient elution 55% to 95% over 21 min.



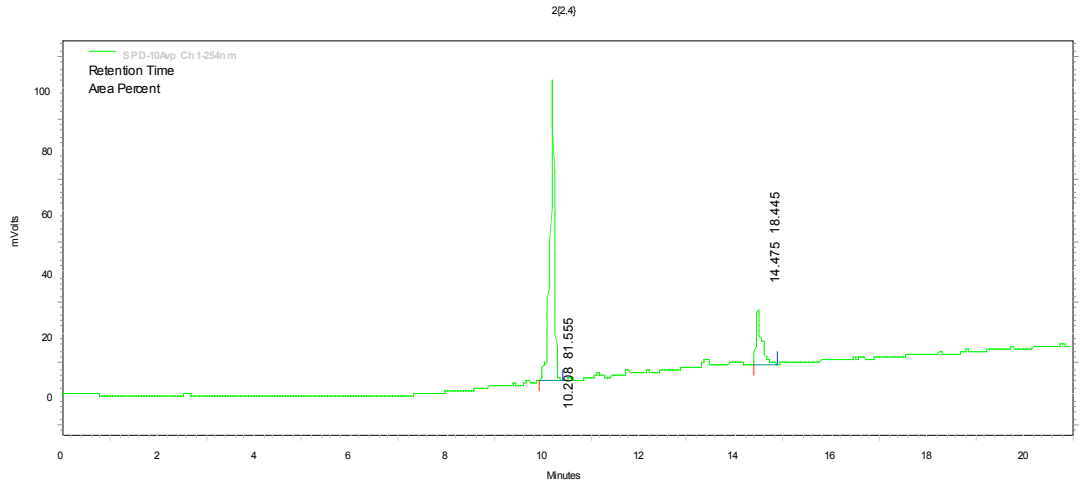
**1,4-disubstituted 1,2,3-triazole member 2**{2,2}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 13.31 (83%), gradient elution 55% to 95% over 21 min.



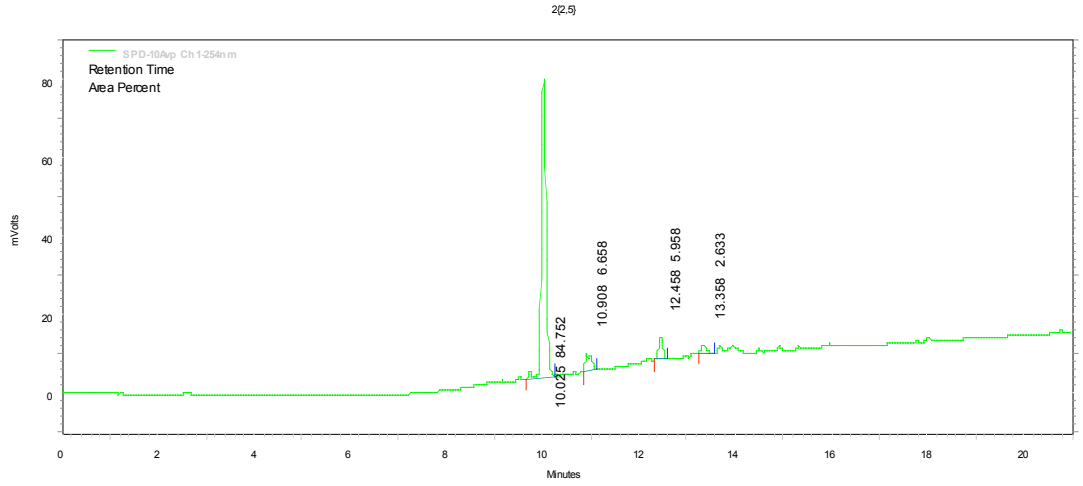

**1,4-disubstituted 1,2,3-triazole member 2**{2,3}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.40 (93%), gradient elution 30% to 90% over 26 min.



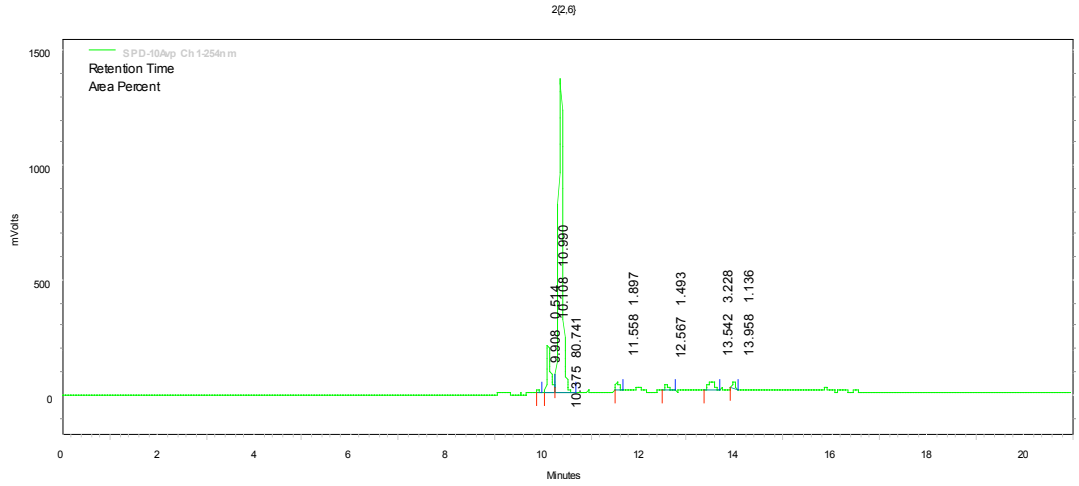
**1,4-disubstituted 1,2,3-triazole member 2**{2,4}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 10.20 (81%), gradient elution 55% to 95% over 21 min.



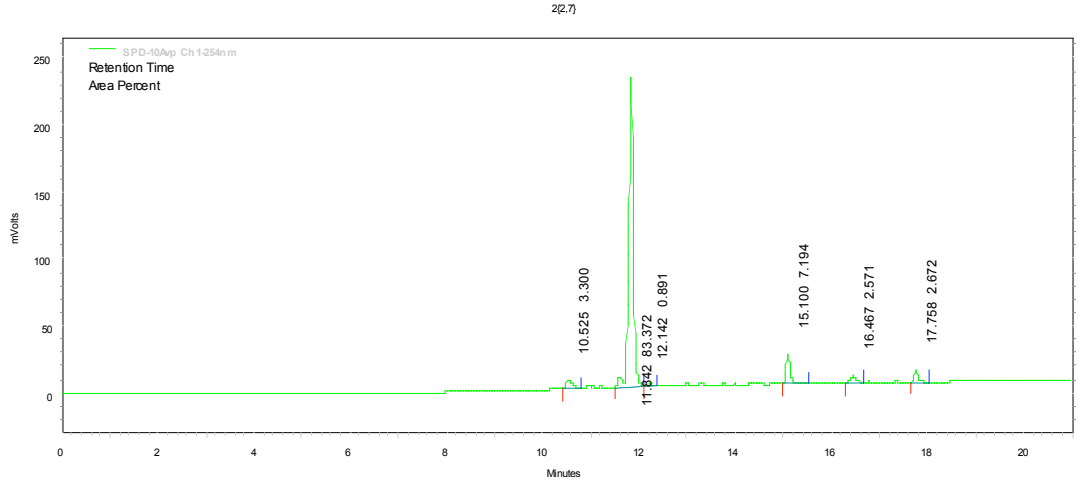

**1,4-disubstituted 1,2,3-triazole member 2**{2,5}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 10.02 (84%), gradient elution 55% to 95% over 21 min.



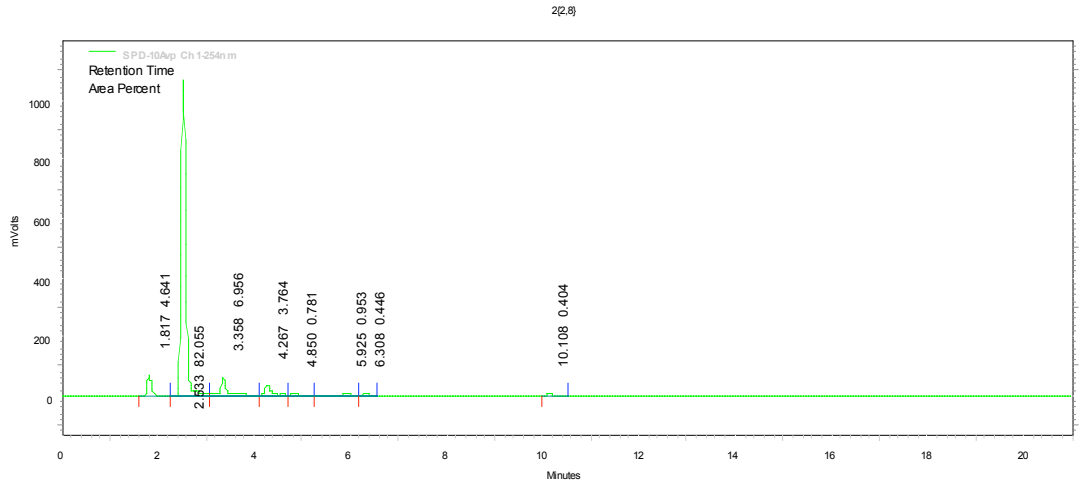
**1,4-disubstituted 1,2,3-triazole member 2**{2,6}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 10.37 (81%), gradient elution 55% to 95% over 21 min.



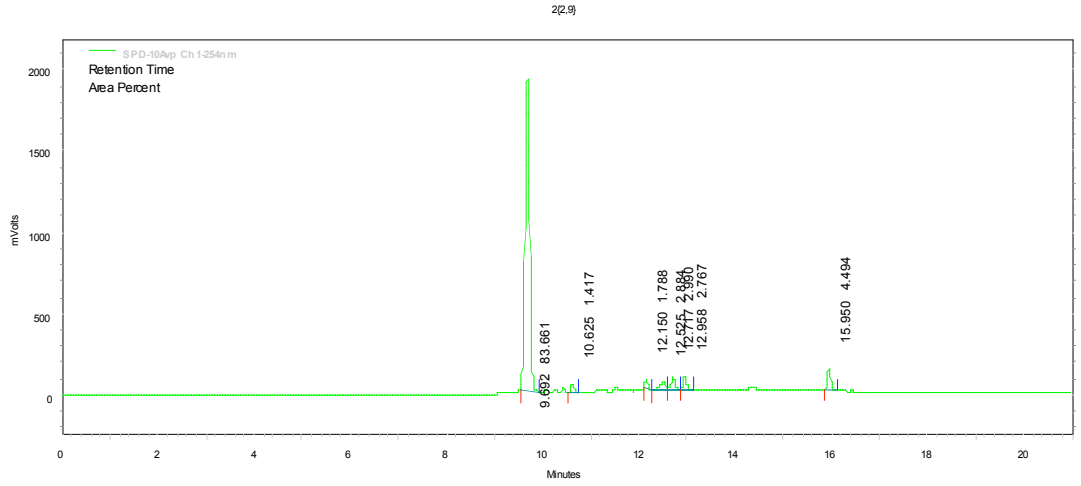

**1,4-disubstituted 1,2,3-triazole member 2**{2,7}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 11.84 (84%), gradient elution 55% to 95% over 21 min.



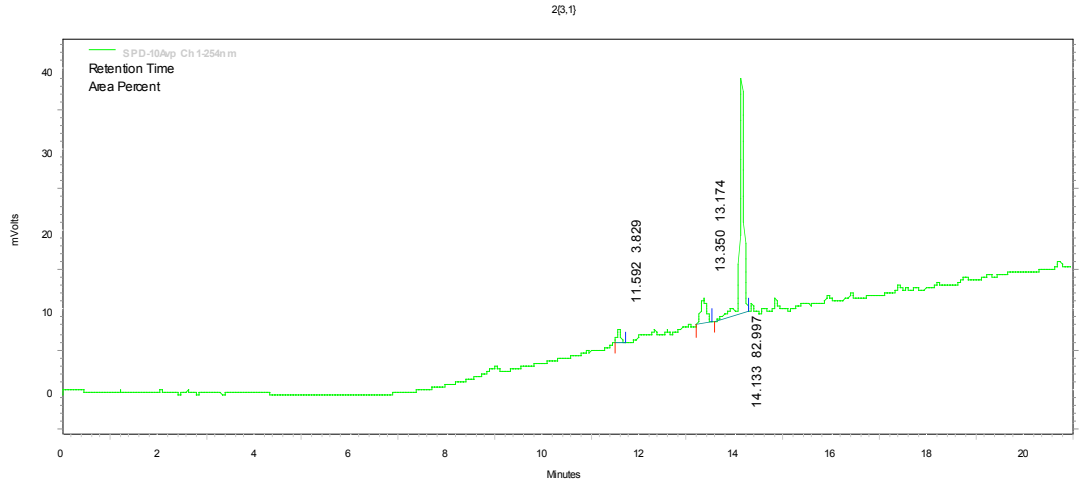
**1,4-disubstituted 1,2,3-triazole member 2**{2,8}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.53 (82%), gradient elution 30% to 90% over 21 min.



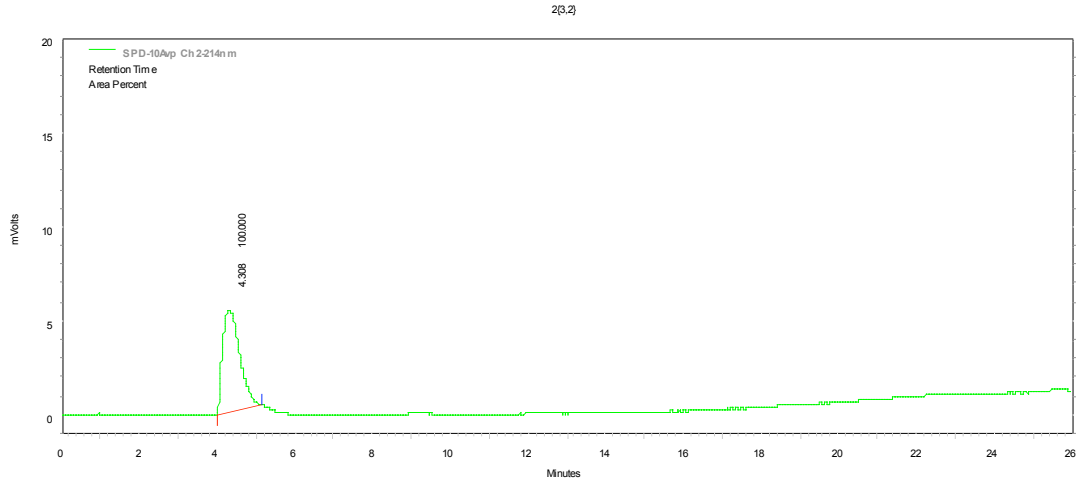

**1,4-disubstituted 1,2,3-triazole member 2**{2,9}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 9.69 (84%), gradient elution 55% to 95% over 21 min.



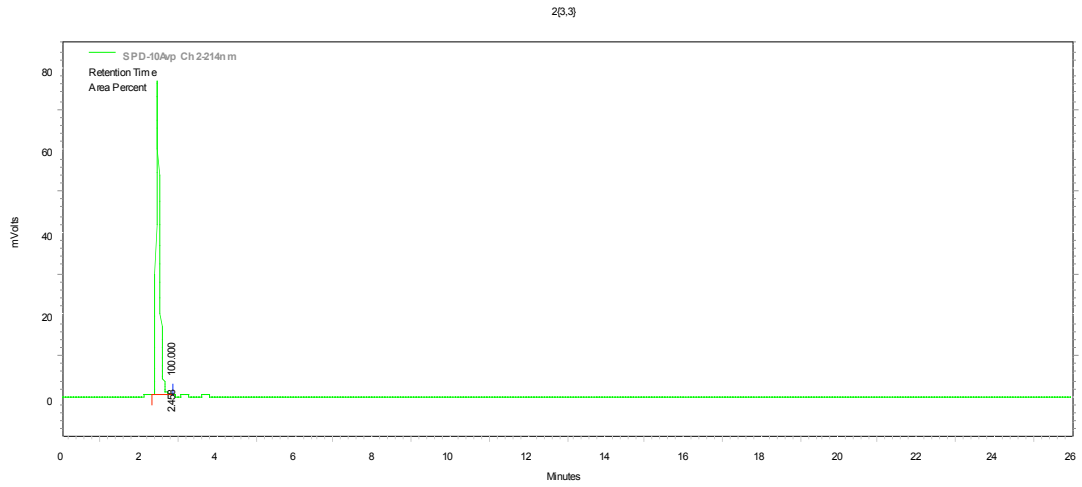
**1,4-disubstituted 1,2,3-triazole member 2**{3,1}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.13 (83%), gradient elution 55% to 95% over 21 min.



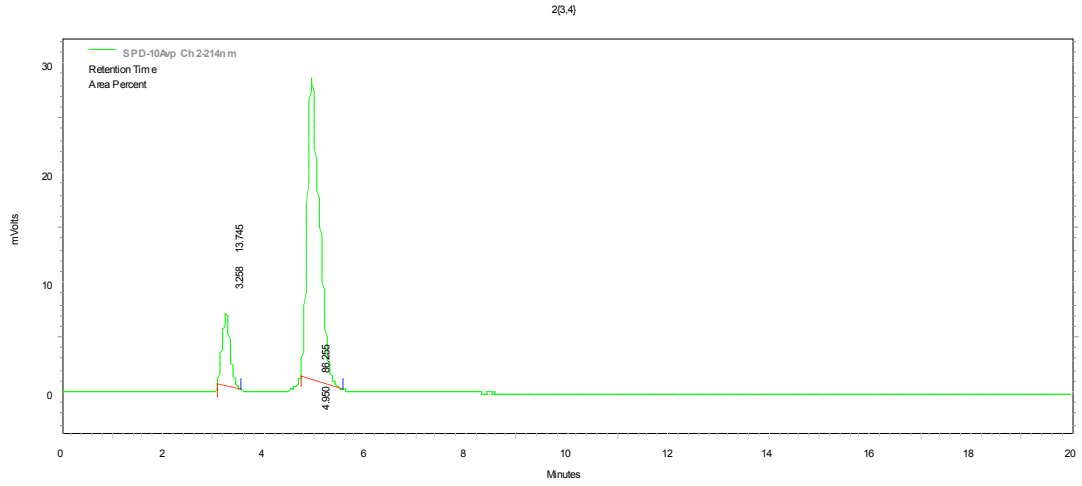

**1,4-disubstituted 1,2,3-triazole member 2**{3,2}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 4.30 (100%), gradient elution 30% to 90% over 26 min.



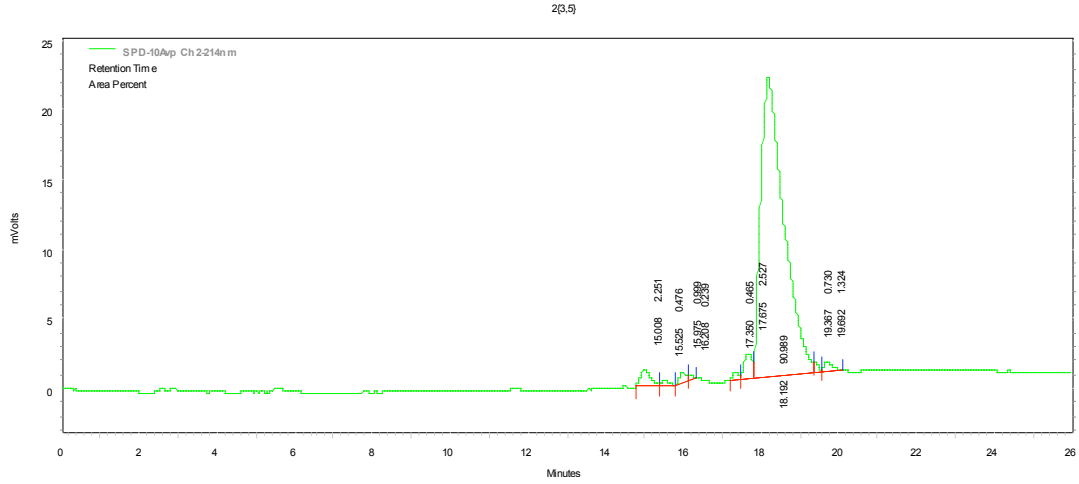
**1,4-disubstituted 1,2,3-triazole member 2**{3,3}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.45 (100%), gradient elution 30% to 90% over 26 min.



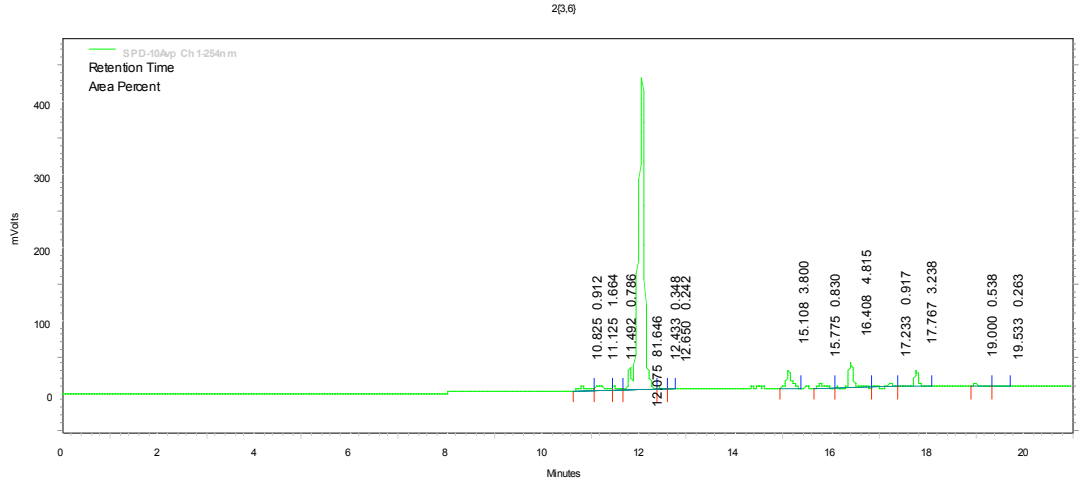

**1,4-disubstituted 1,2,3-triazole member 2**{3,4}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 5.09 (86%), gradient elution 30% to 90% over 20 min.



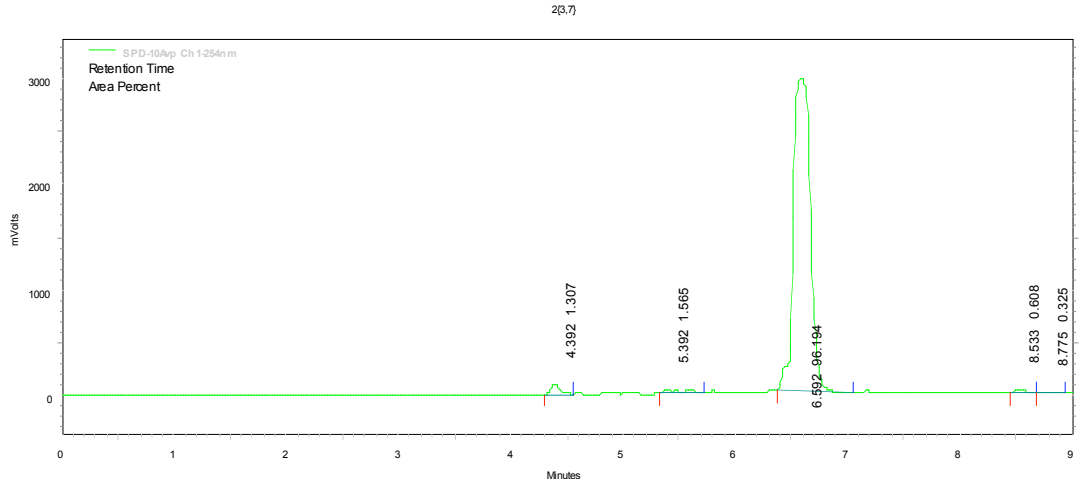
**1,4-disubstituted 1,2,3-triazole member 2**{3,5}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 18.19 (90%).



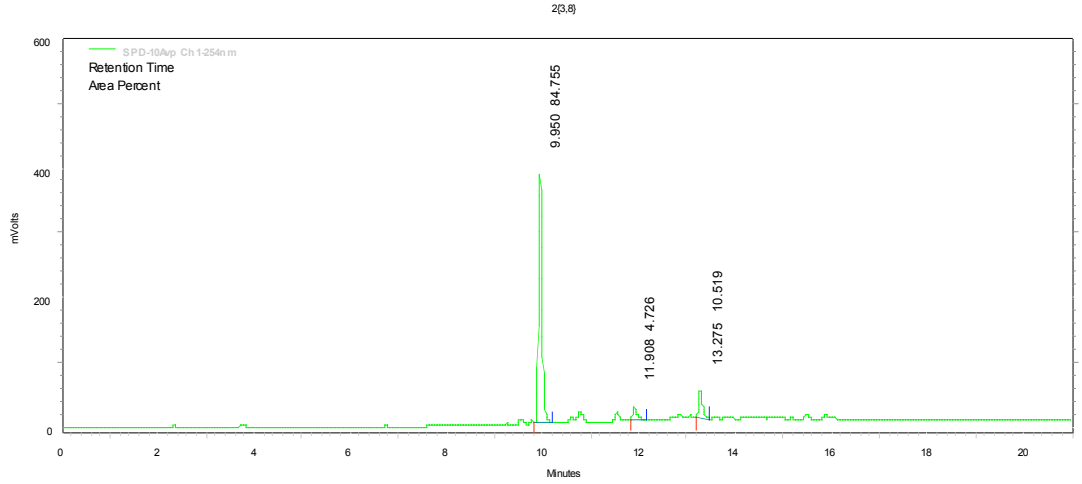

**1,4-disubstituted 1,2,3-triazole member 2**{3,6}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 12.07 (82%), gradient elution 55% to 95% over 21 min.



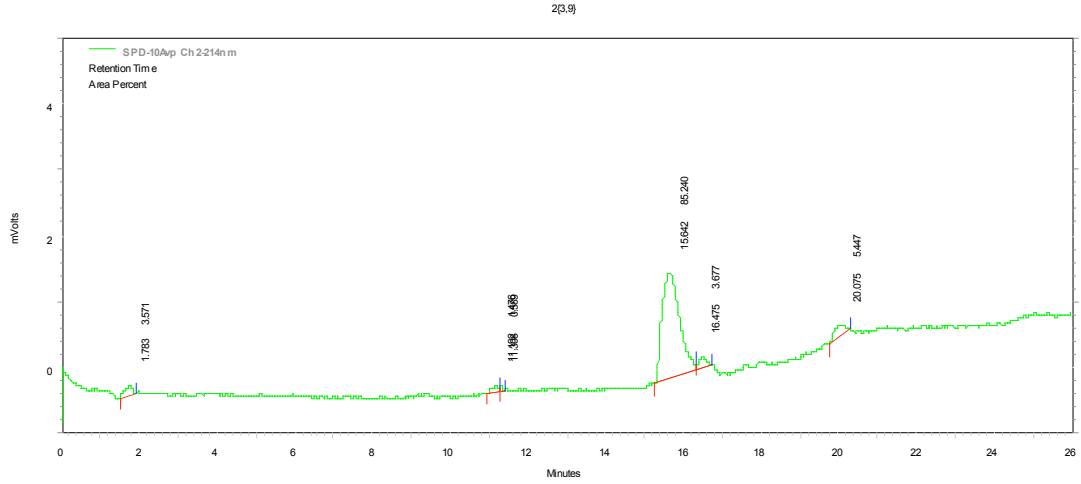
**1,4-disubstituted 1,2,3-triazole member 2**{3,7}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 6.59 (96%), gradient elution 55% to 95% over 9 min.



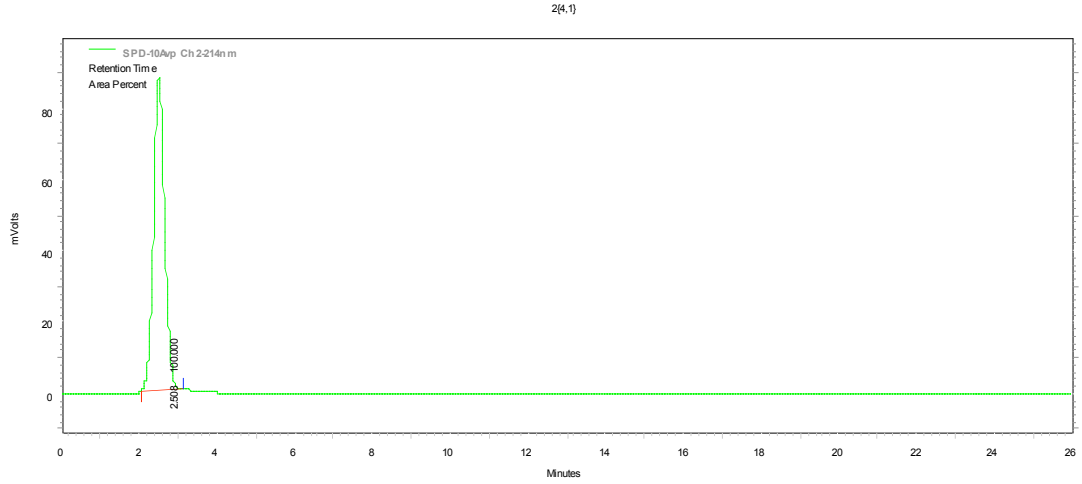

**1,4-disubstituted 1,2,3-triazole member 2**{3,8}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 9.95 (84%), gradient elution 55% to 95% over 21 min.



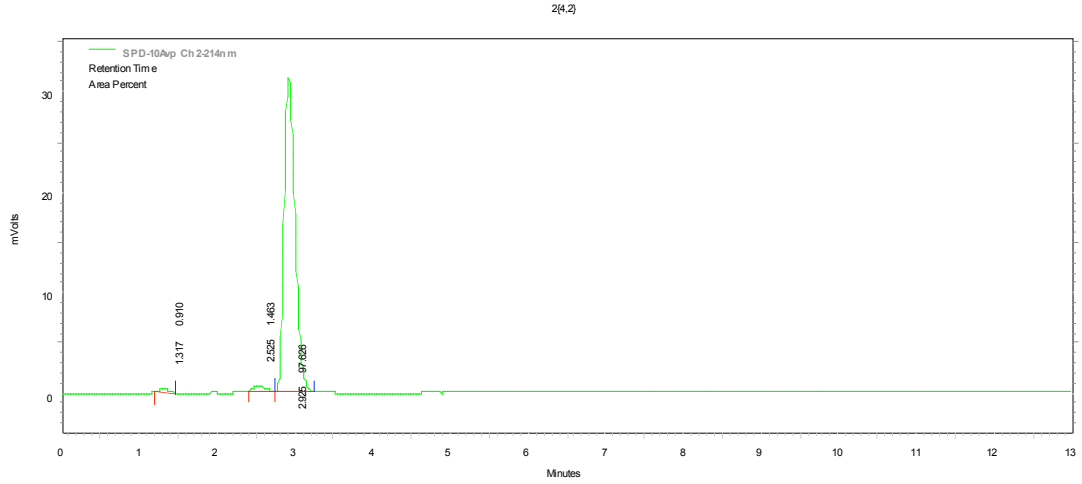
**1,4-disubstituted 1,2,3-triazole member 2**{3,9}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.64 (85%).



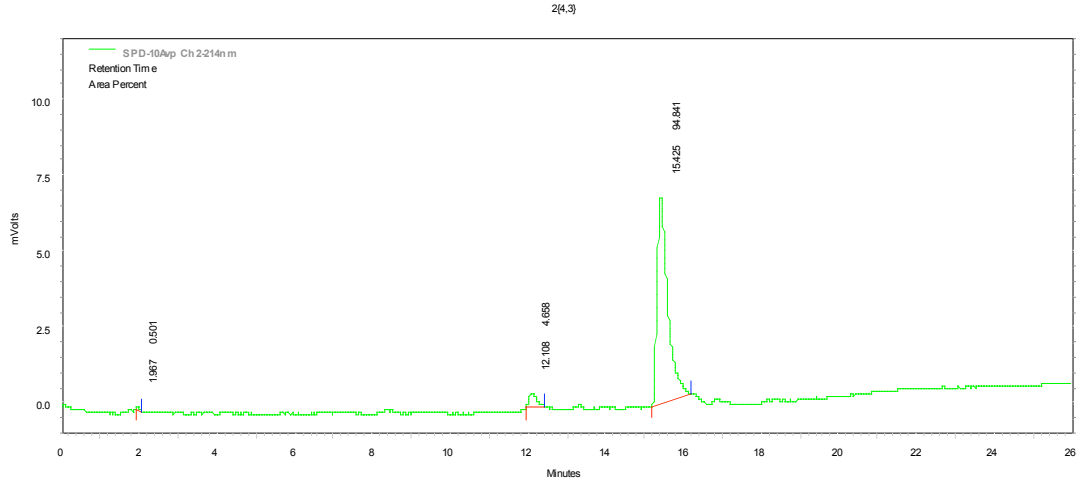

**1,4-disubstituted 1,2,3-triazole member 2**{4,1}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.50 (100%), gradient elution 30% to 90% over 26 min.



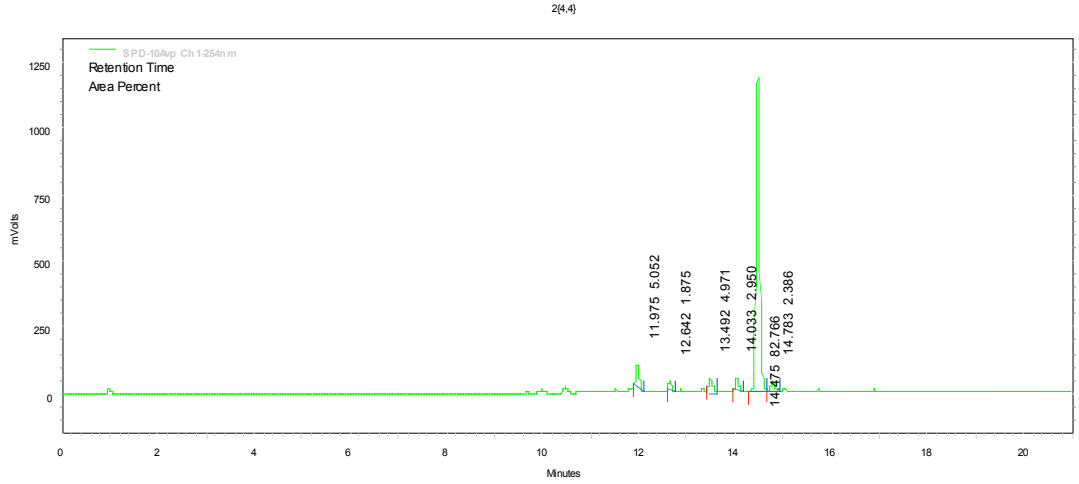
**1,4-disubstituted 1,2,3-triazole member 2**{4,2}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.92 (98%), gradient elution 30% to 90% over 13 min.



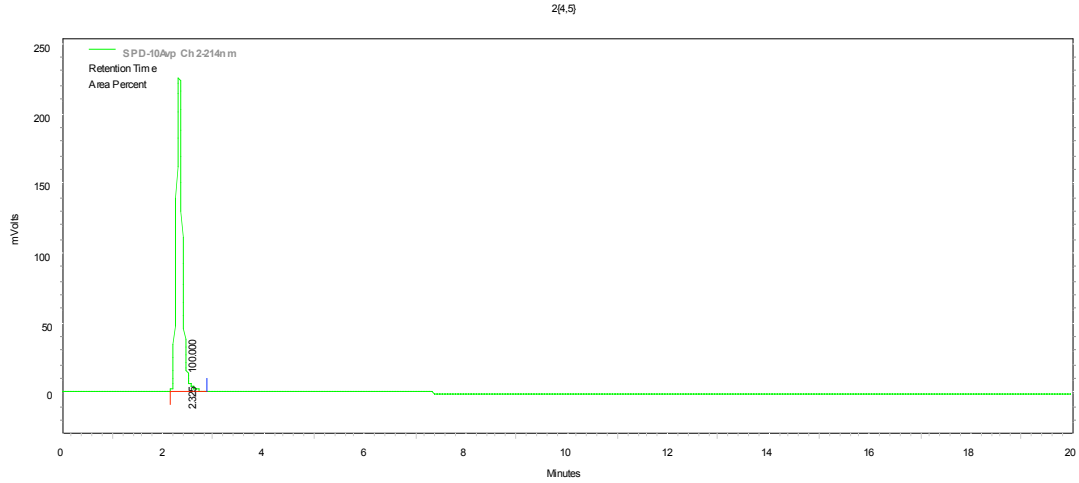

**1,4-disubstituted 1,2,3-triazole member 2**{4,3}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.42 (95%).



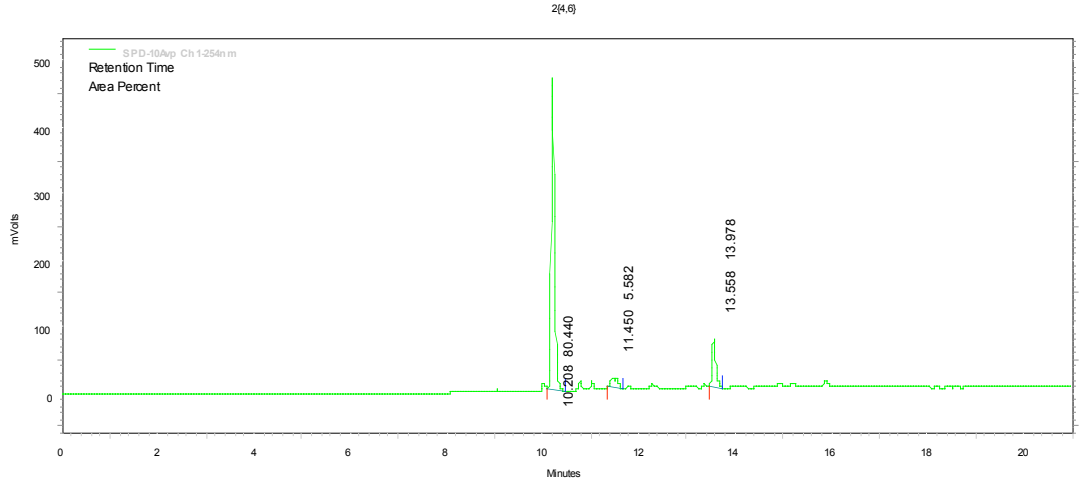
**1,4-disubstituted 1,2,3-triazole member 2**{4,4}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.47 (84%), gradient elution 55% to 95% over 21 min.



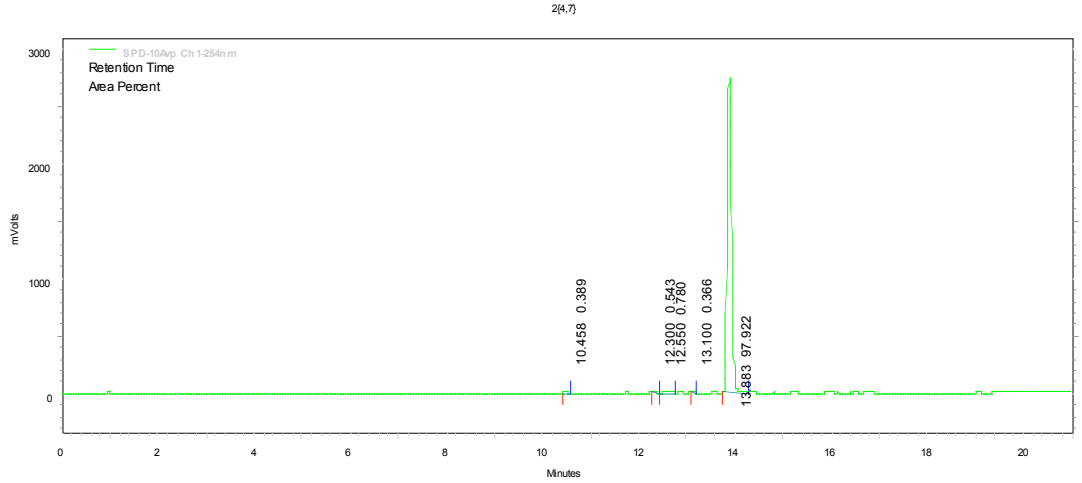

**1,4-disubstituted 1,2,3-triazole member 2**{4,5}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.32 (100%), gradient elution 30% to 90% over 20 min.



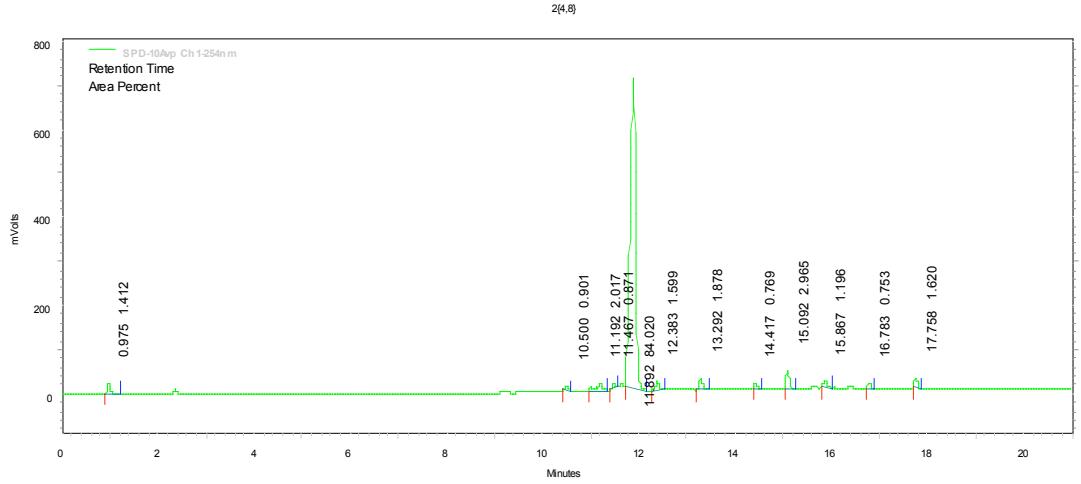
**1,4-disubstituted 1,2,3-triazole member 2**{4,6}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 10.20 (80%), gradient elution 55% to 95% over 21 min.



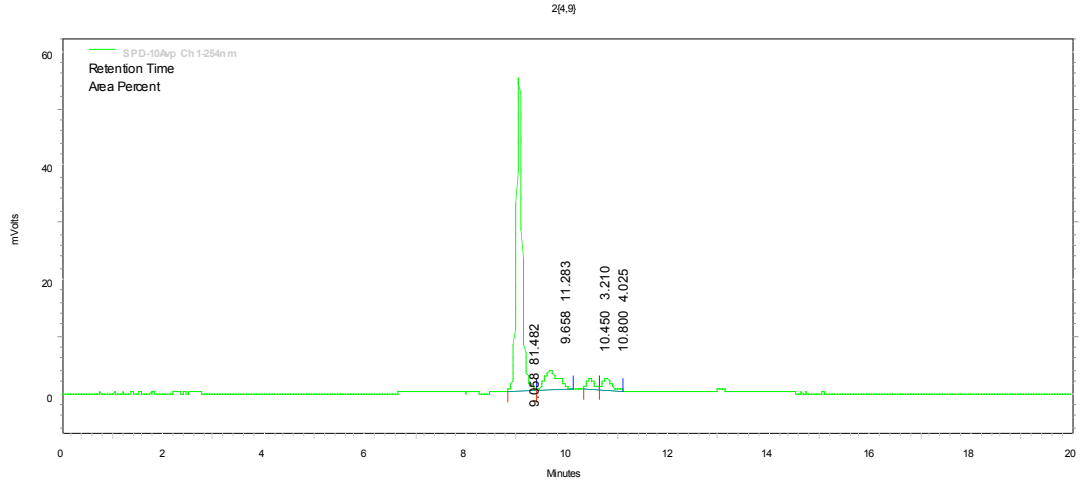

**1,4-disubstituted 1,2,3-triazole member 2**{4,7}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 13.88 (97%), gradient elution 55% to 95% over 21 min.



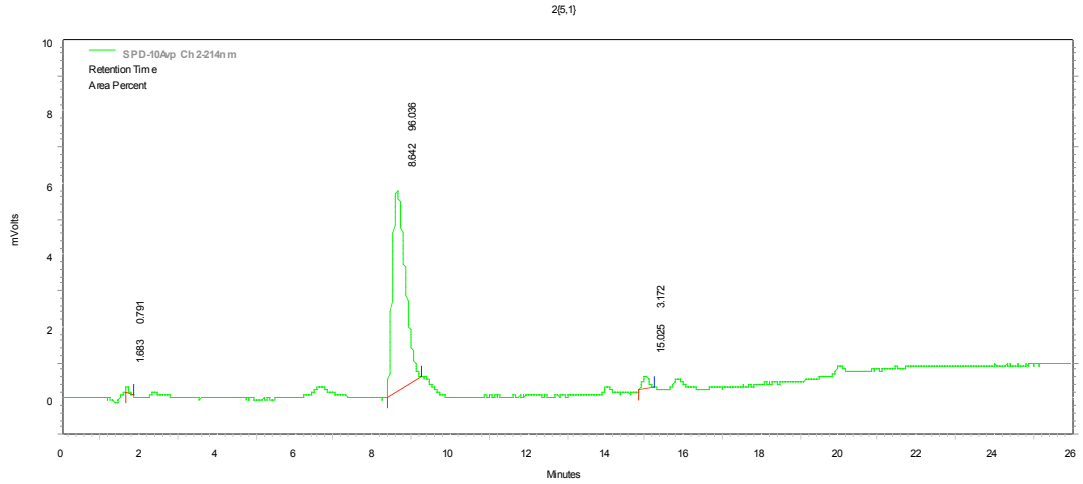
**1,4-disubstituted 1,2,3-triazole member 2**{4,8}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 11.89 (84%), gradient elution 55% to 95% over 21 min.



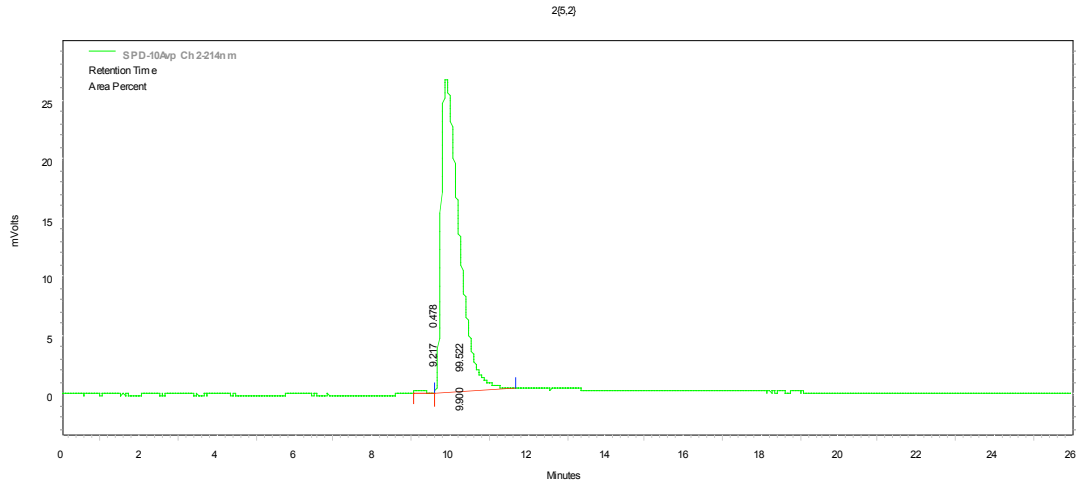

**1,4-disubstituted 1,2,3-triazole member 2**{4,9}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 9.05 (81%), gradient elution 55% to 95% over 20 min.



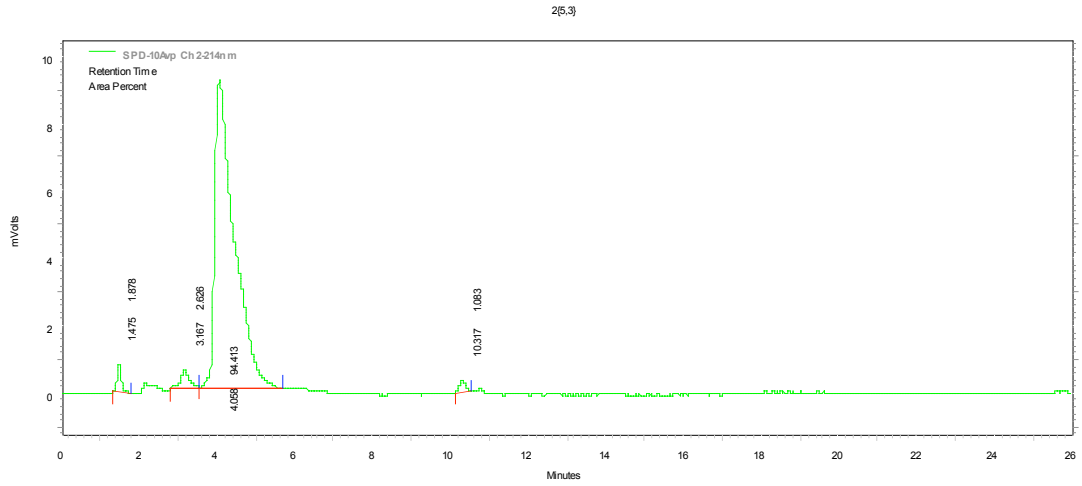
**1,4-disubstituted 1,2,3-triazole member 2**{5,1}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 8.64 (96%), gradient elution 30% to 90% over 26 min.



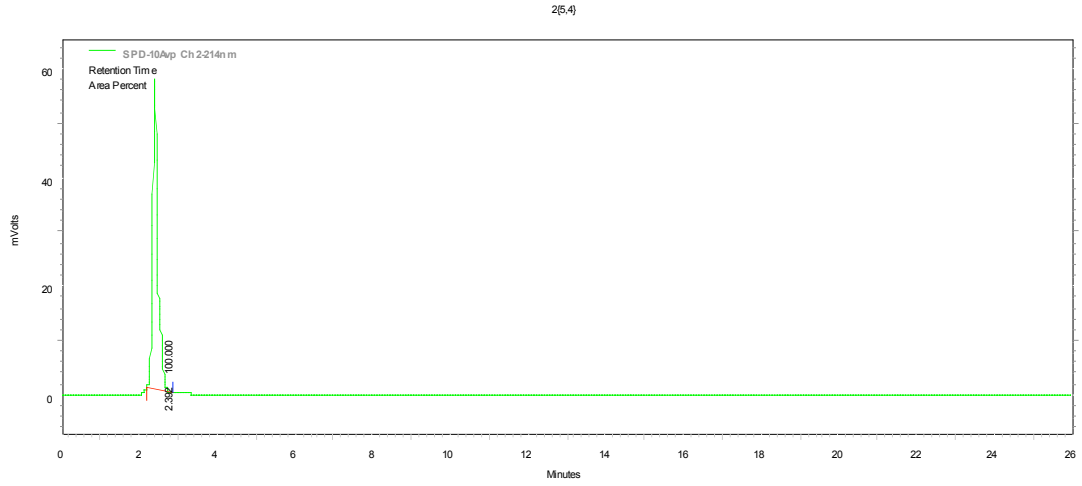

**1,4-disubstituted 1,2,3-triazole member 2**{5,2}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 9.90 (99%), gradient elution 30% to 90% over 26 min.



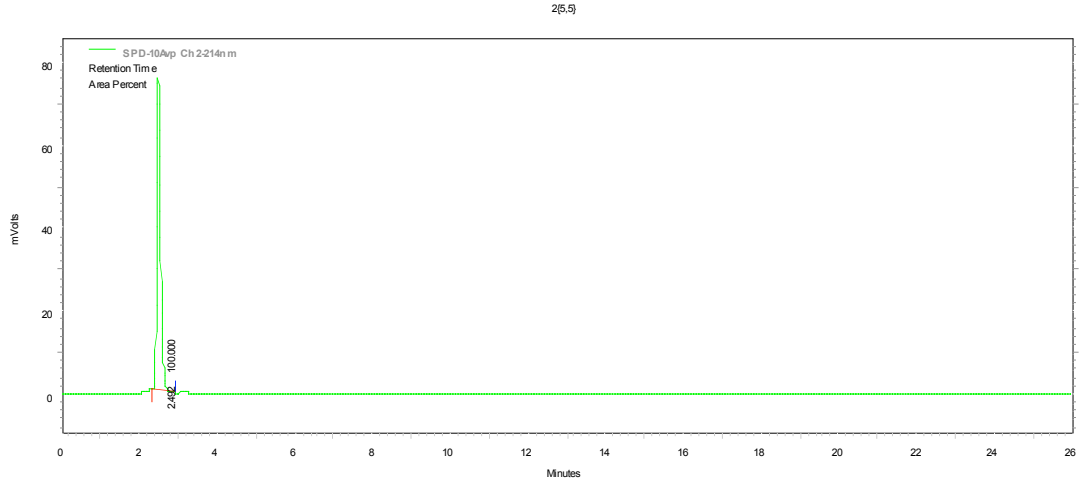
**1,4-disubstituted 1,2,3-triazole member 2**{5,3}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 4.05 (94%), gradient elution 30% to 90% over 26 min.



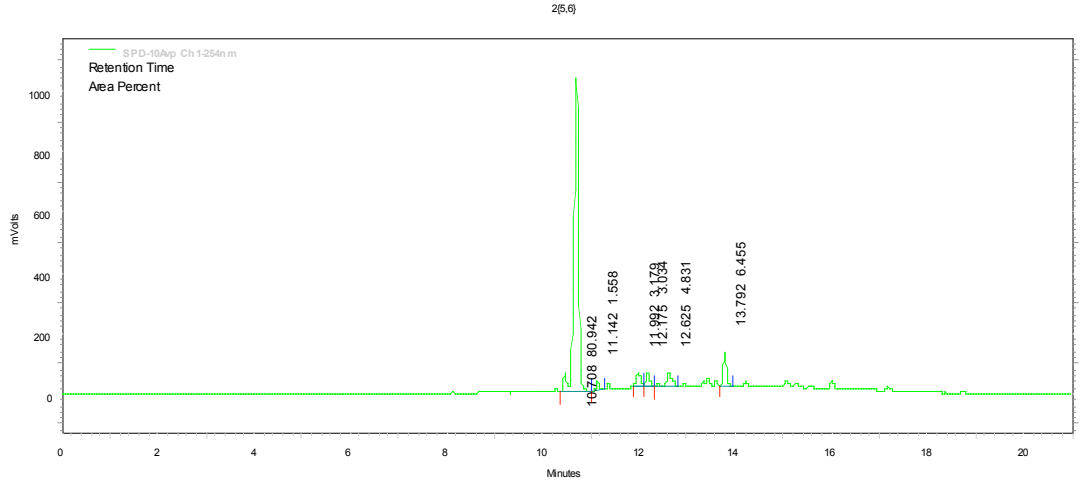

**1,4-disubstituted 1,2,3-triazole member 2**{5,4}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.39 (100%), gradient elution 30% to 90% over 26 min.



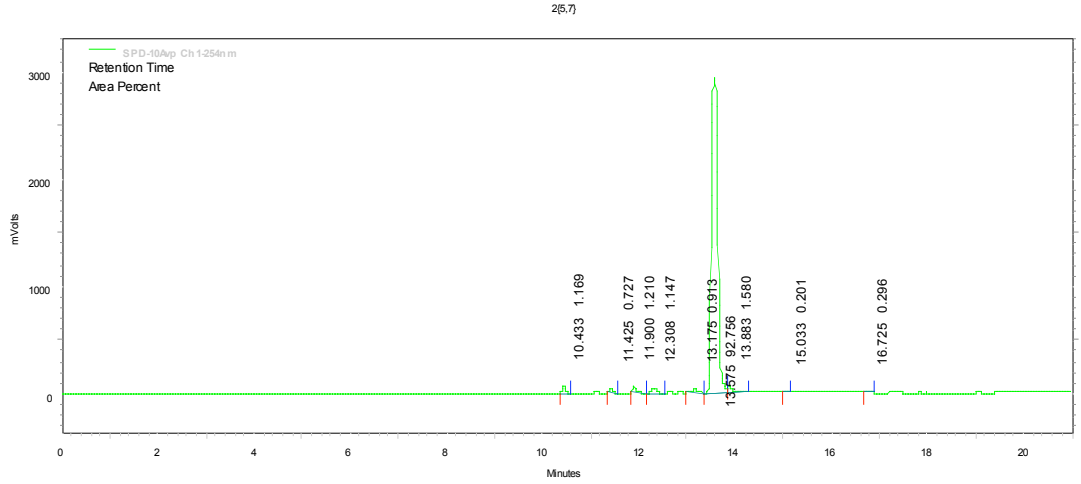
**1,4-disubstituted 1,2,3-triazole member 2**{5,5}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.49 (100%), gradient elution 30% to 90% over 26 min.



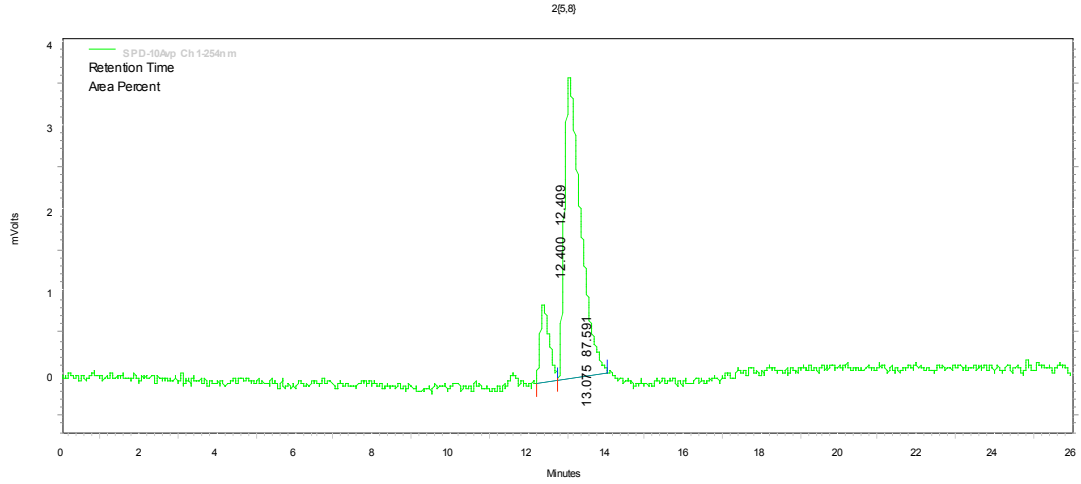

**1,4-disubstituted 1,2,3-triazole member 2**{5,6}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 10.70 (80%), gradient elution 55% to 95% over 21 min.



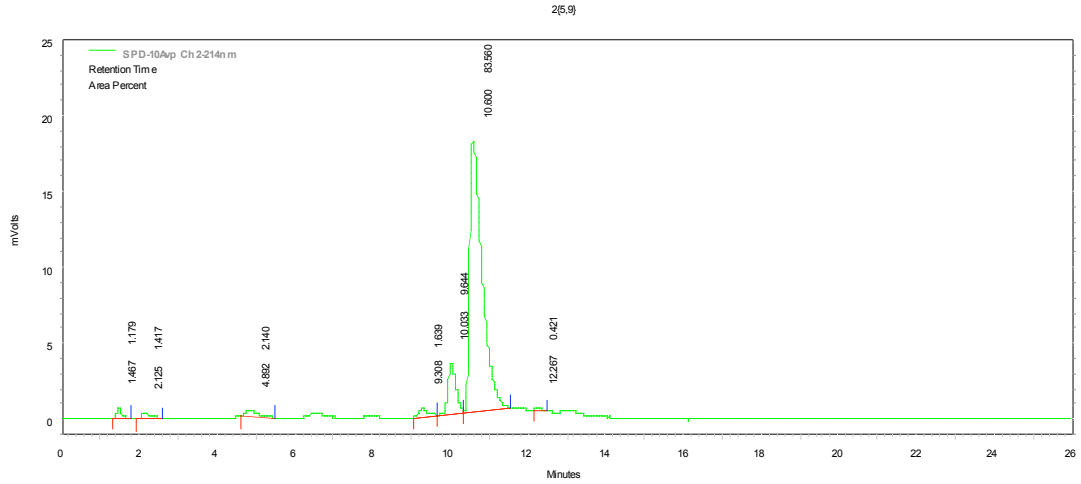
**1,4-disubstituted 1,2,3-triazole member 2**{5,7}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 13.57 (92%), gradient elution 55% to 95% over 21 min.



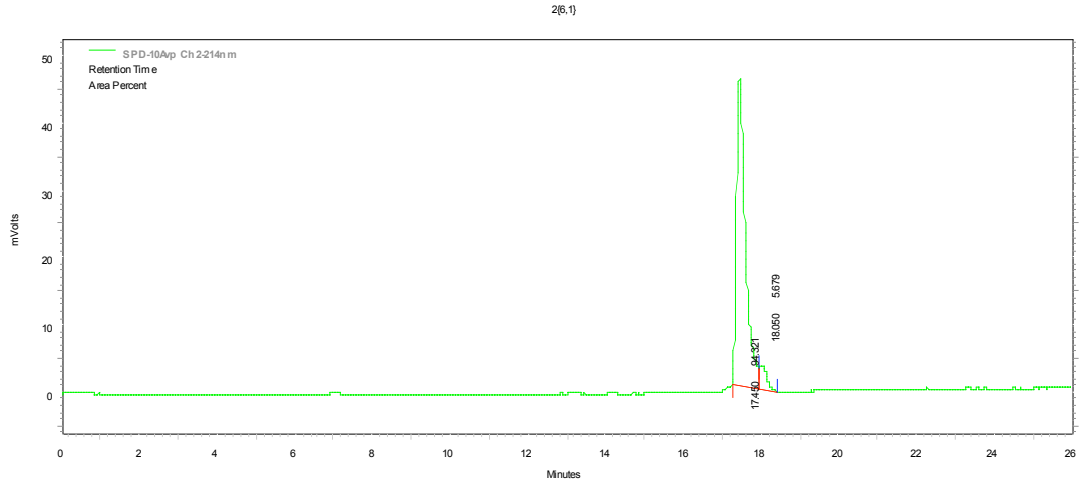

**1,4-disubstituted 1,2,3-triazole member 2**{5,8}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 13.06 (85%).



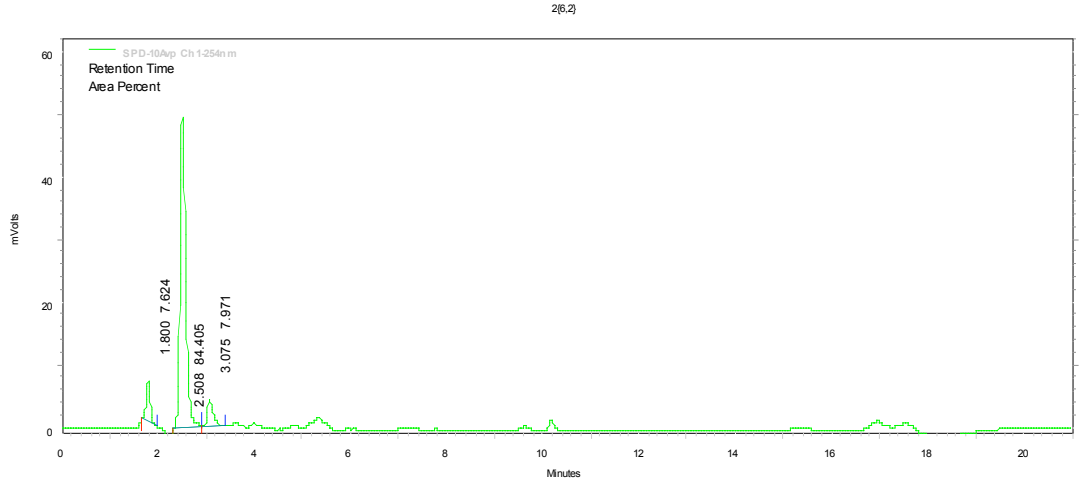
**1,4-disubstituted 1,2,3-triazole member 2**{5,9}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 10.60 (84%).



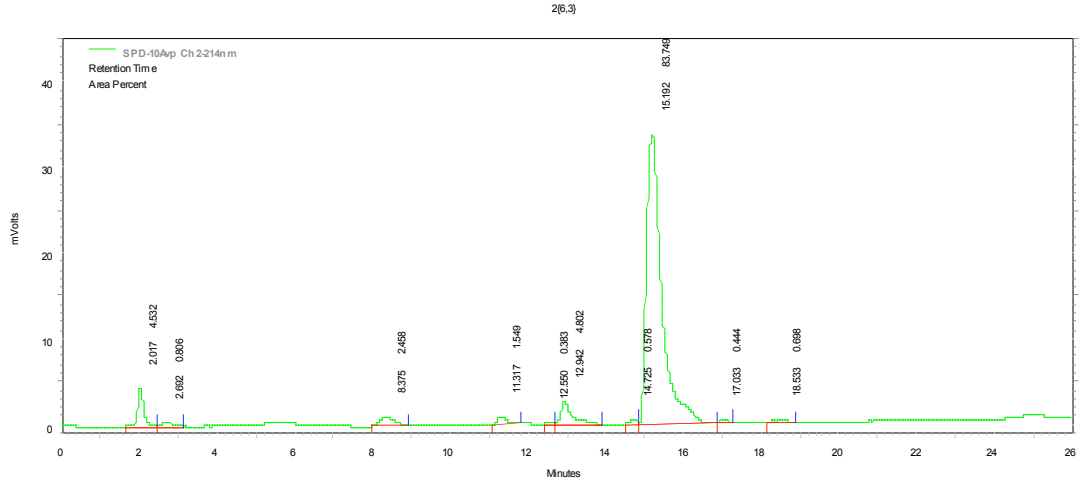

**1,4-disubstituted 1,2,3-triazole member 2**{6,1}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 17.45 (94%).



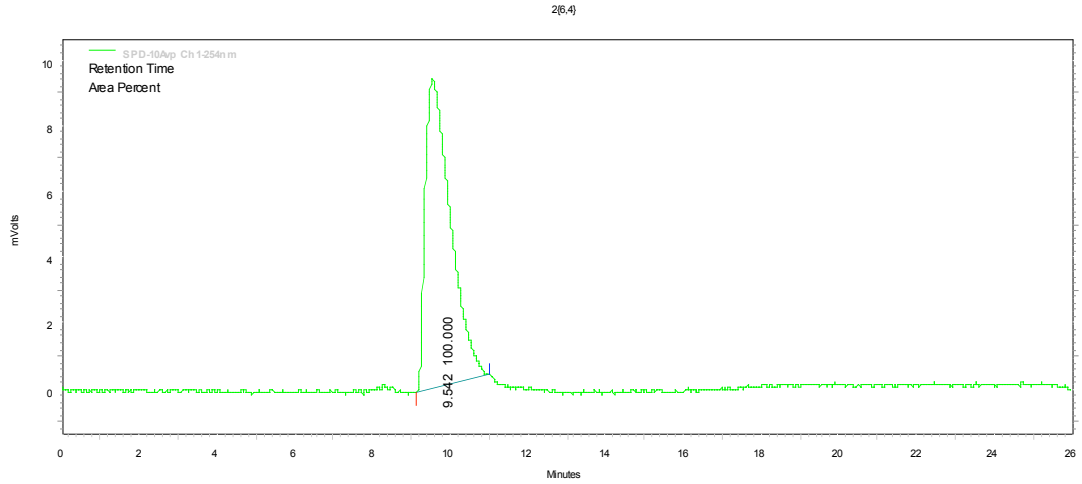
**1,4-disubstituted 1,2,3-triazole member 2**{6,2}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.50 (84%), gradient elution 30% to 90% over 21 min.



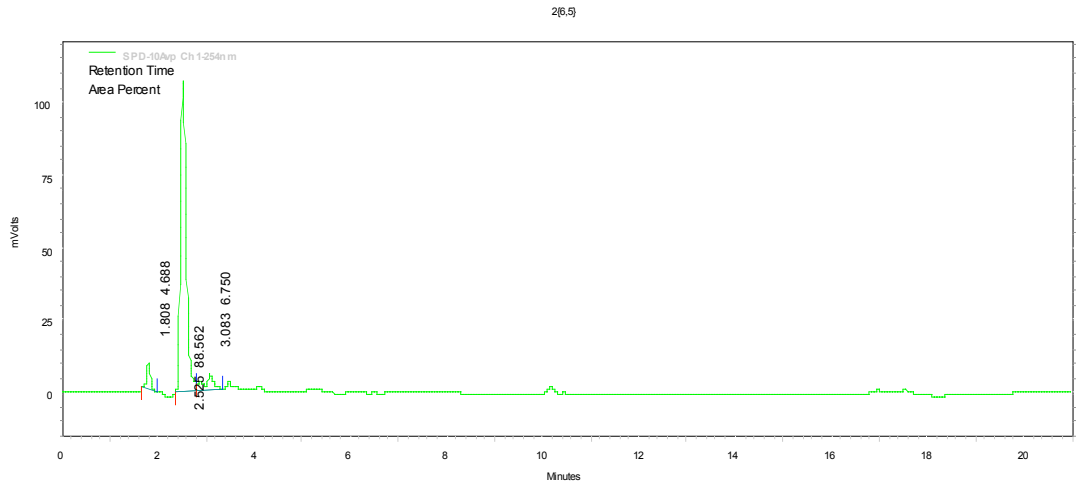

**1,4-disubstituted 1,2,3-triazole member 2**{6,3}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.19 (84%).



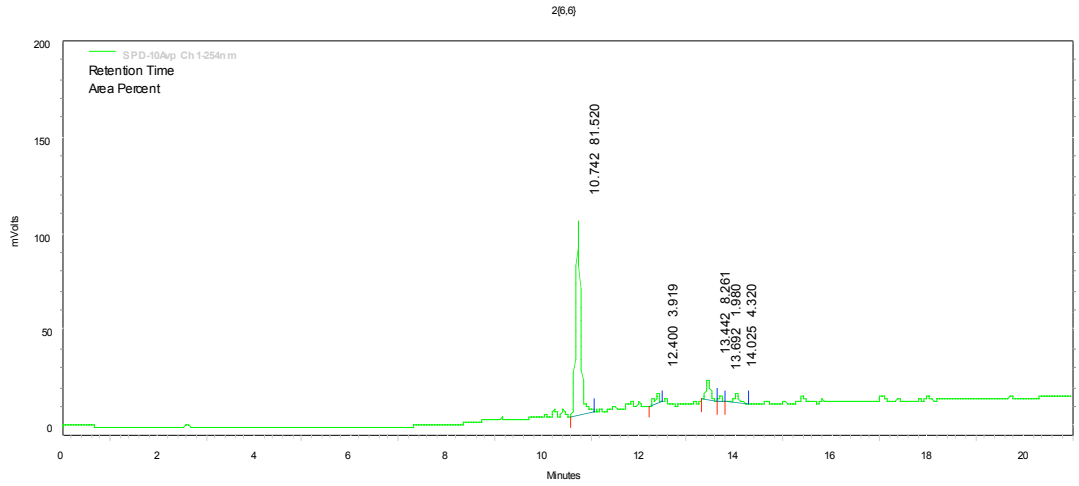
**1,4-disubstituted 1,2,3-triazole member 2**{6,4}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 9.54 (100%).



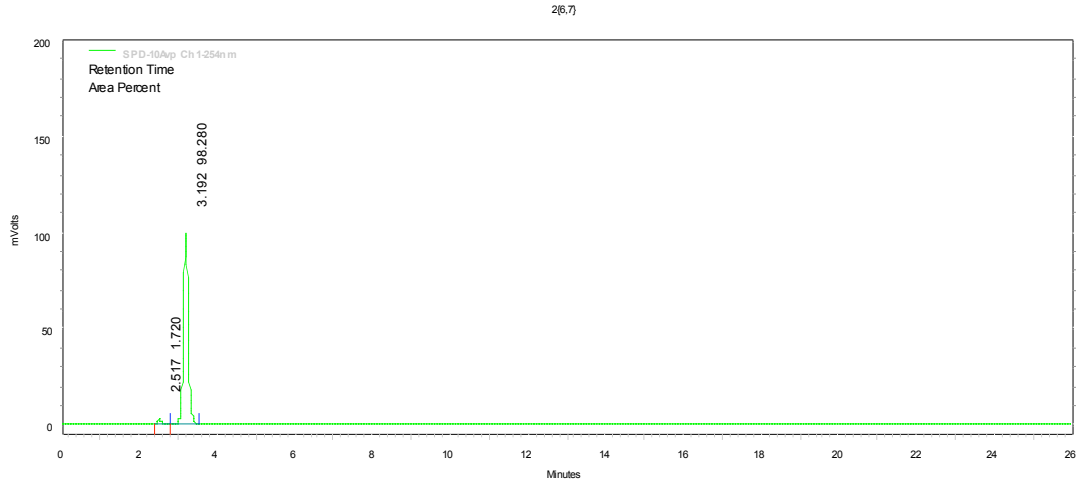

**1,4-disubstituted 1,2,3-triazole member 2**{6,5}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.52 (89%), gradient elution 30% to 90% over 21 min.



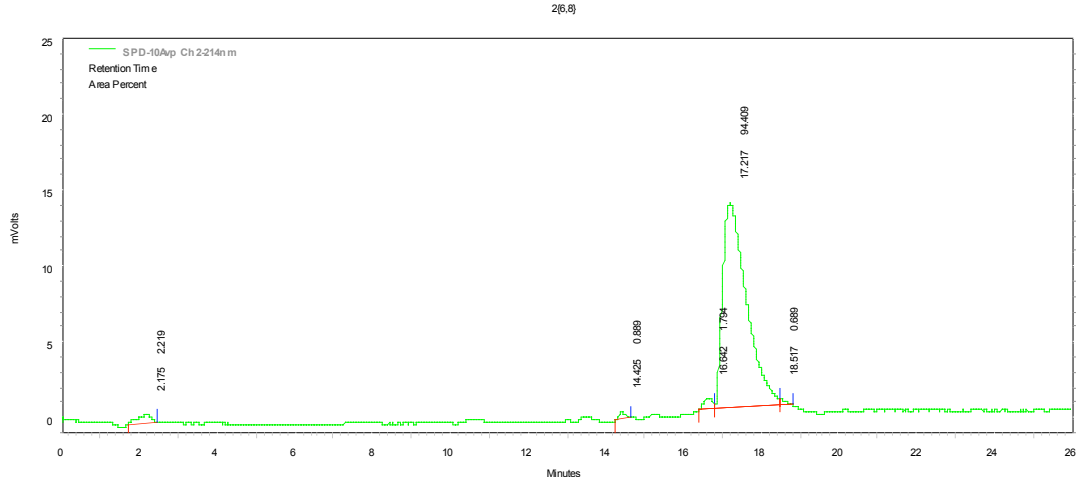
**1,4-disubstituted 1,2,3-triazole member 2**{6,6}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 9.76 (80%), gradient elution 55% to 95% over 21 min.



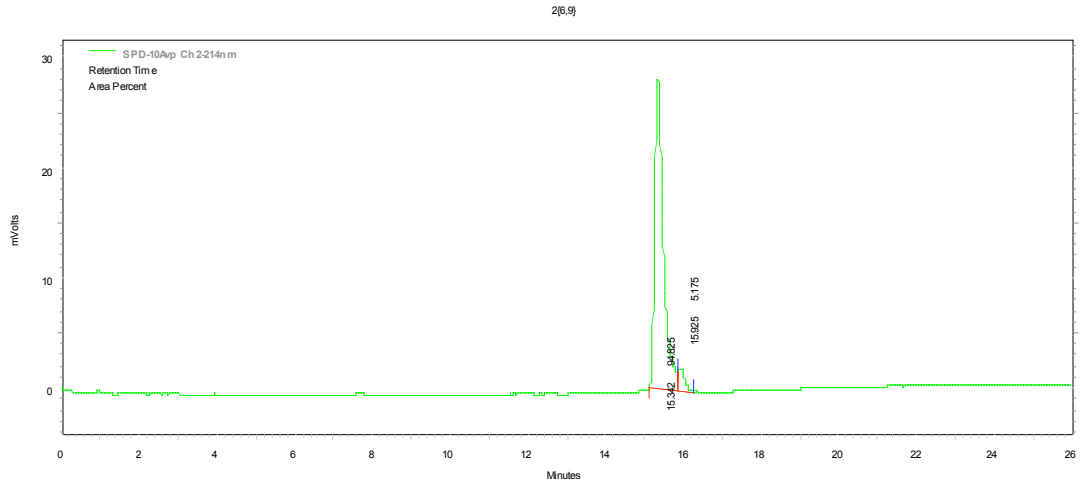

**1,4-disubstituted 1,2,3-triazole member 2**{6,7}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 3.12 (98%), gradient elution 30% to 90% over 26 min.



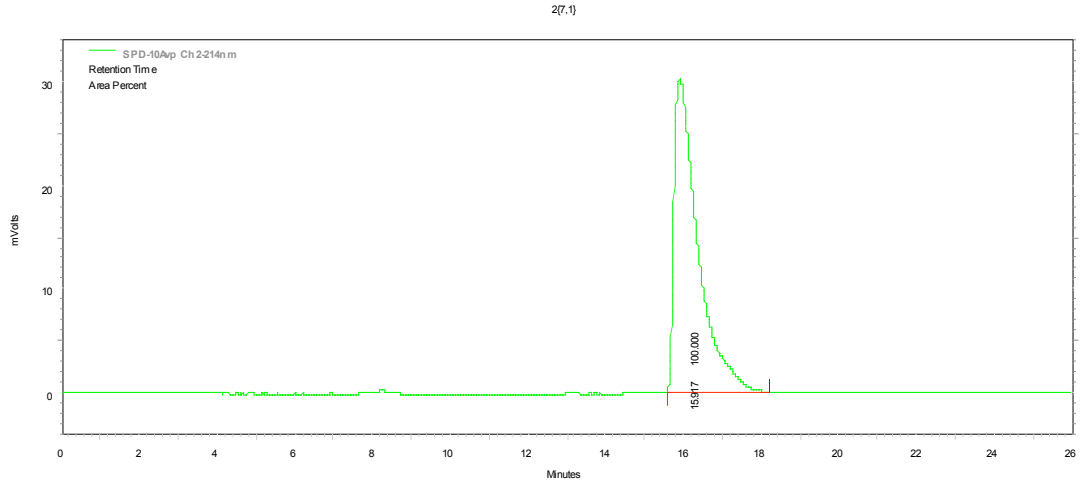
**1,4-disubstituted 1,2,3-triazole member 2**{6,8}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 17.21 (94%).



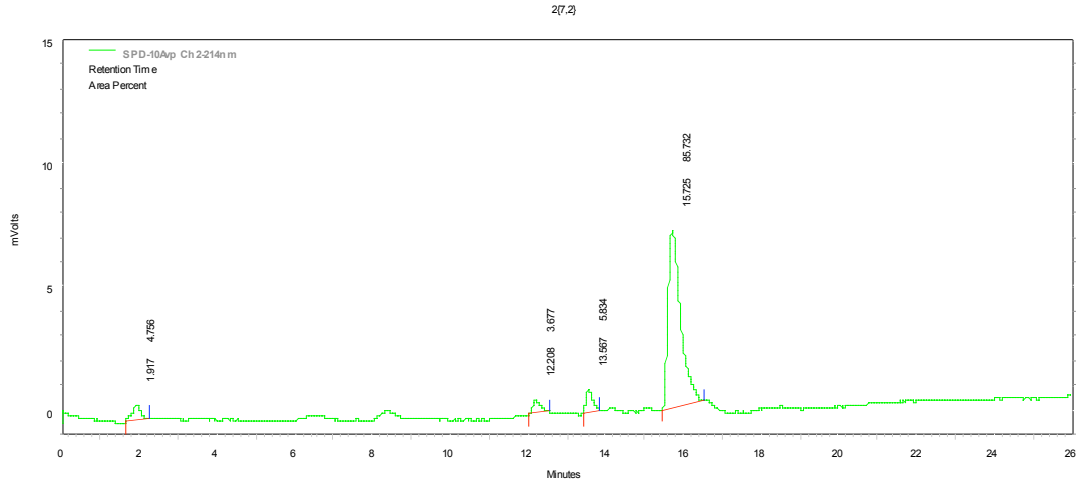

**1,4-disubstituted 1,2,3-triazole member 2**{6,9}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.34 (95%).



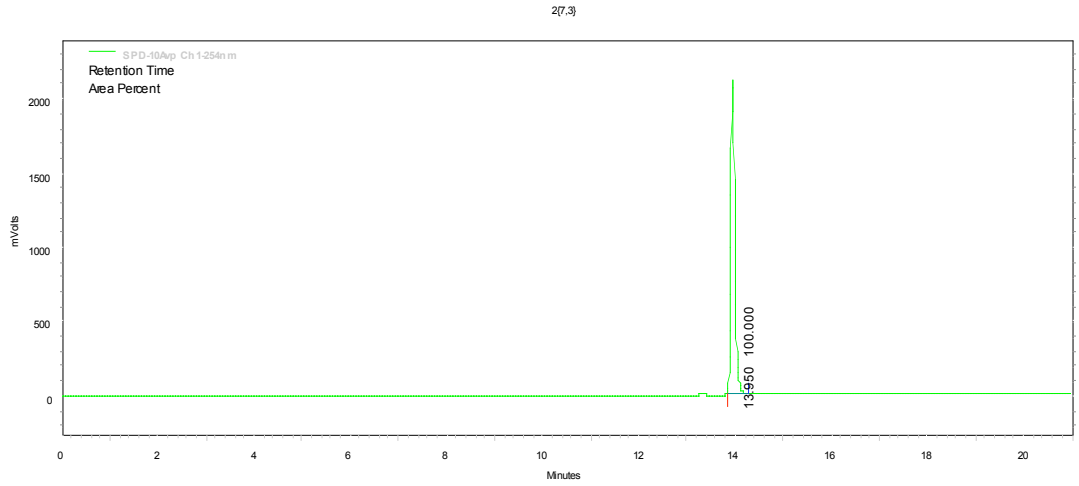
**1,4-disubstituted 1,2,3-triazole member 2**{7,1}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.91 (100%).



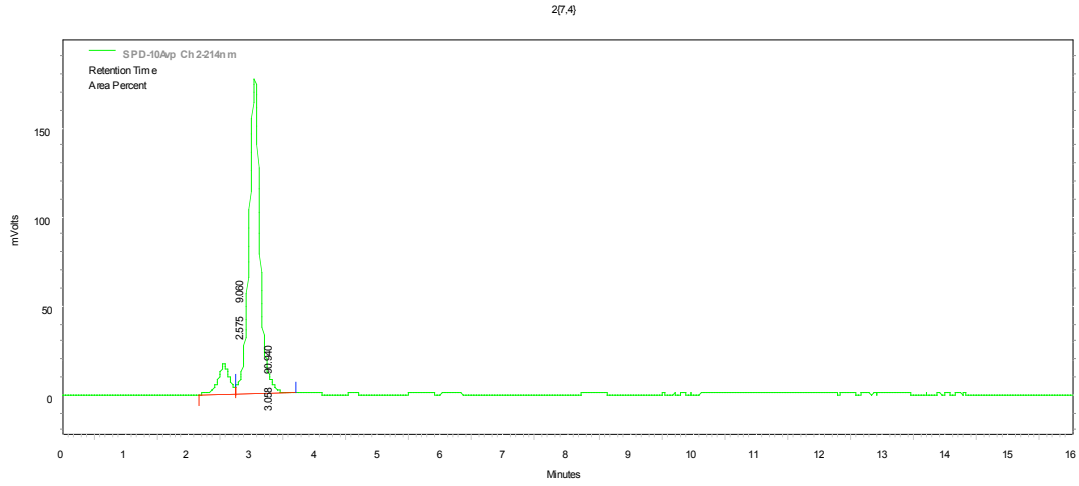

**1,4-disubstituted 1,2,3-triazole member 2**{7,2}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.72 (86%).



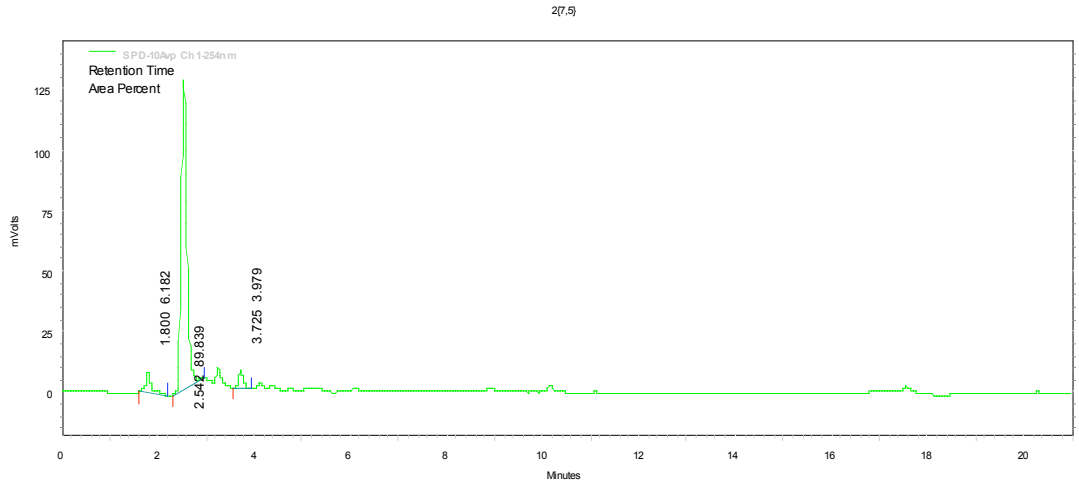
**1,4-disubstituted 1,2,3-triazole member 2**{7,3}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 13.95 (99%), gradient elution 30% to 90% over 21 min.



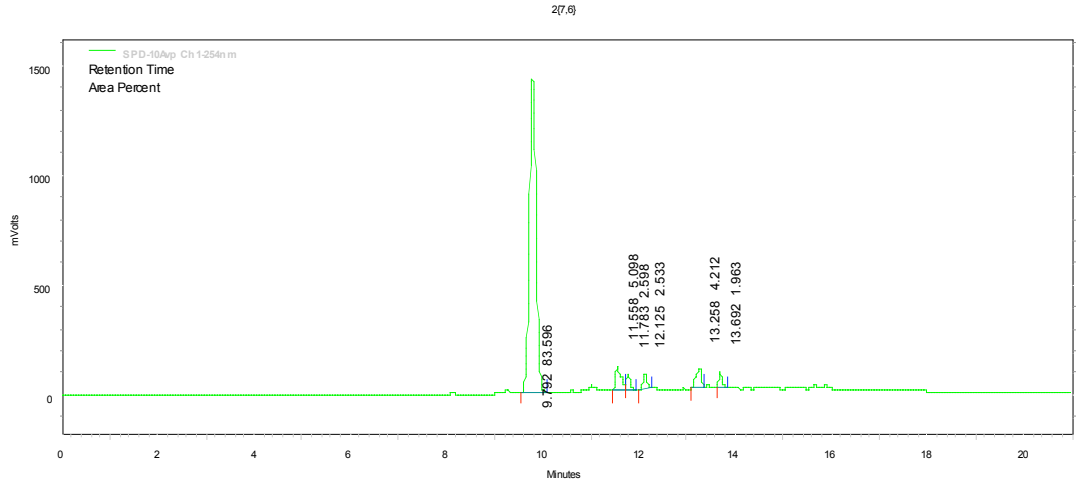

**1,4-disubstituted 1,2,3-triazole member 2**{7,4}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 9.05 (90%), gradient elution 30% to 90% over 14 min.



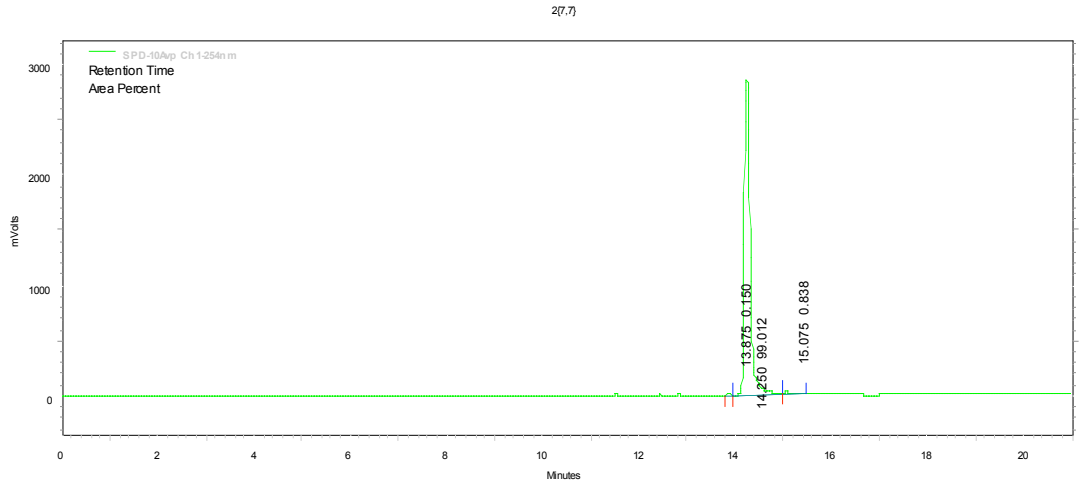
**1,4-disubstituted 1,2,3-triazole member 2**{7,5}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.54 (90%), gradient elution 30% to 90% over 21 min.



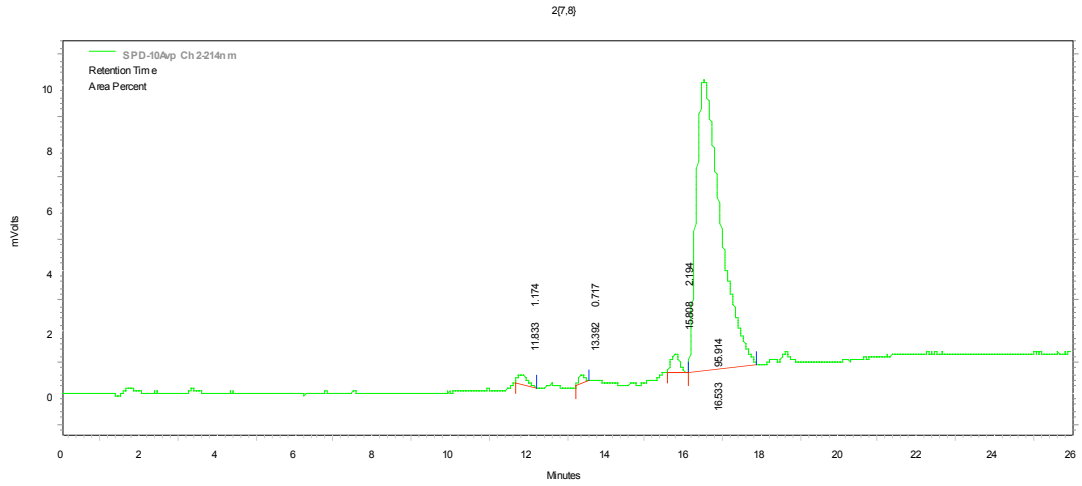

**1,4-disubstituted 1,2,3-triazole member 2**{7,6}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 9.79 (84%), gradient elution 55% to 95% over 21 min.



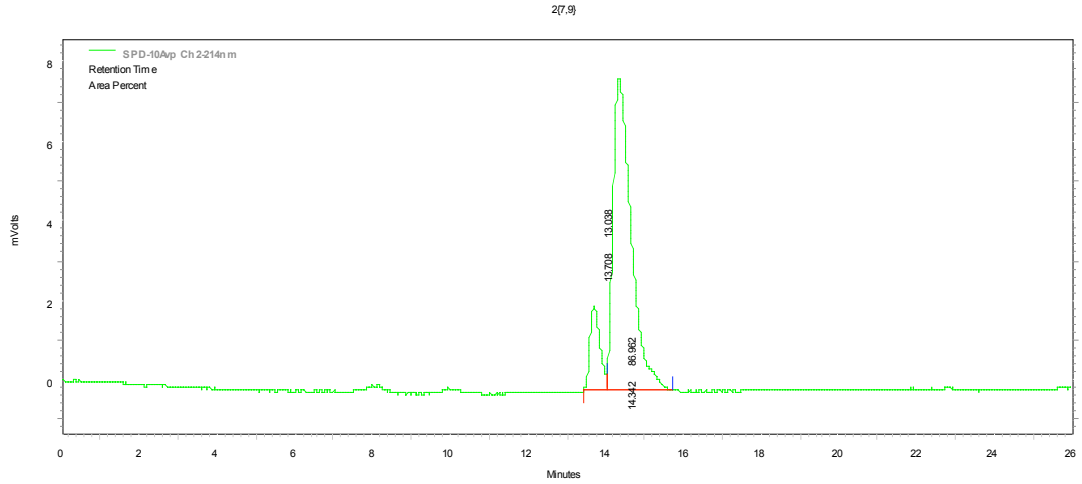
**1,4-disubstituted 1,2,3-triazole member 2**{7,7}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.25 (99%), gradient elution 55% to 95% over 21 min.



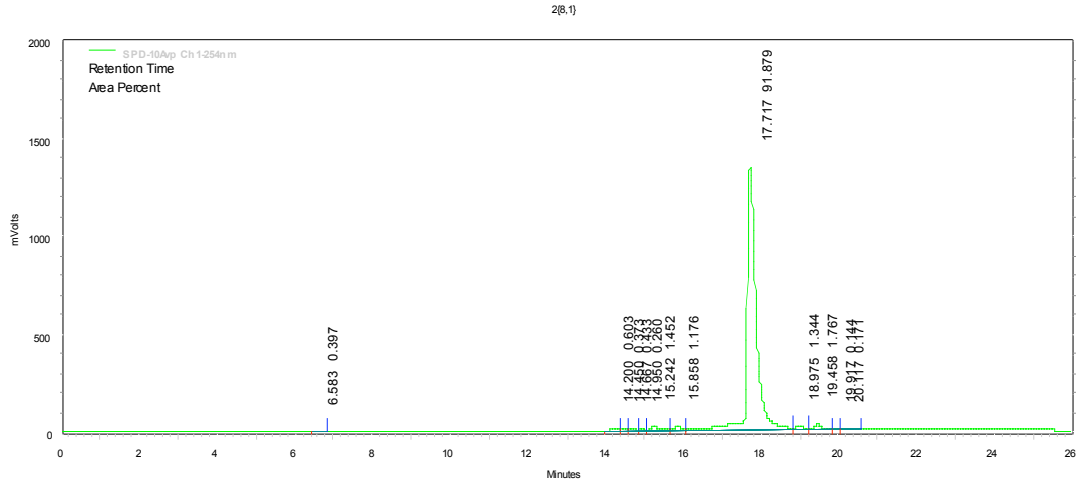

**1,4-disubstituted 1,2,3-triazole member 2**{7,8}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 16.53 (96%).



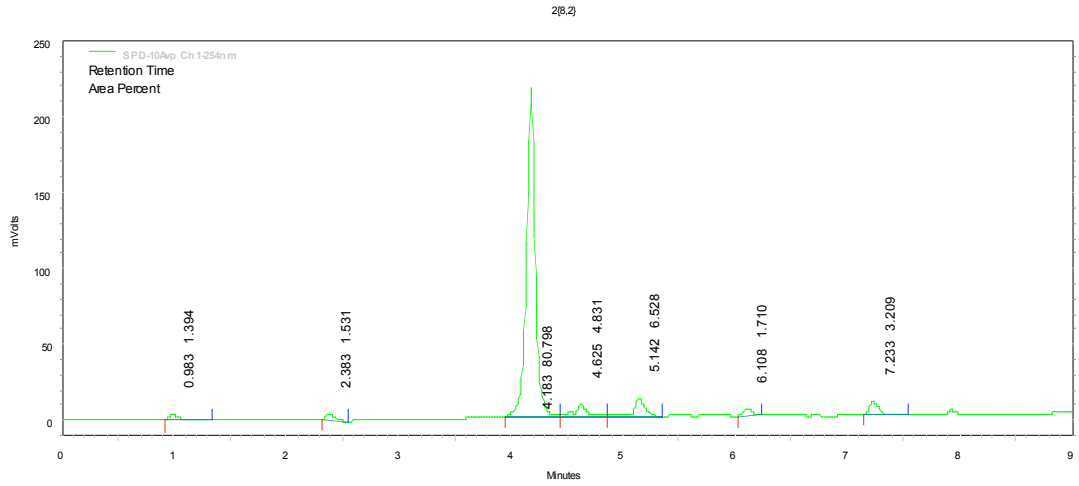
**1,4-disubstituted 1,2,3-triazole member 2**{7,9}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.96 (86%).



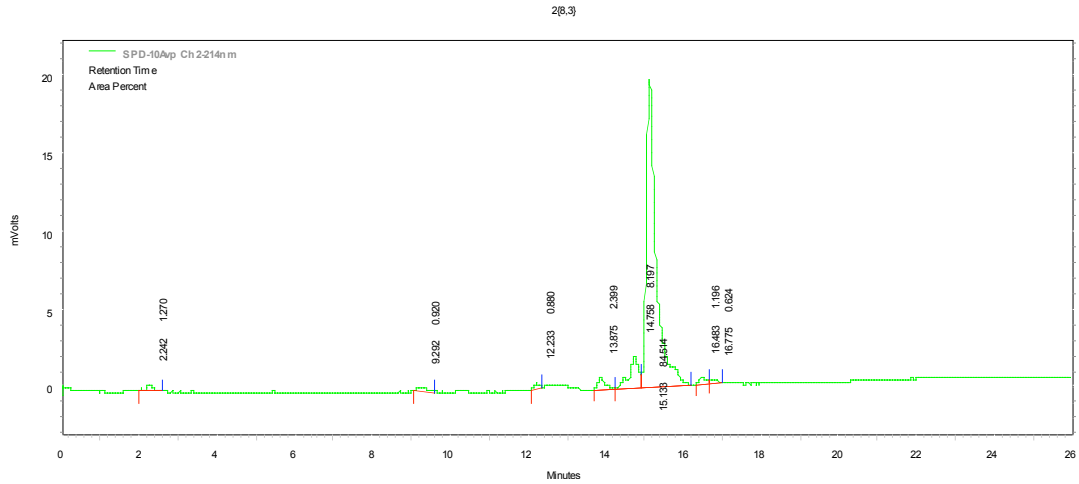

**1,4-disubstituted 1,2,3-triazole member 2**{8,1}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 17.71 (92%).



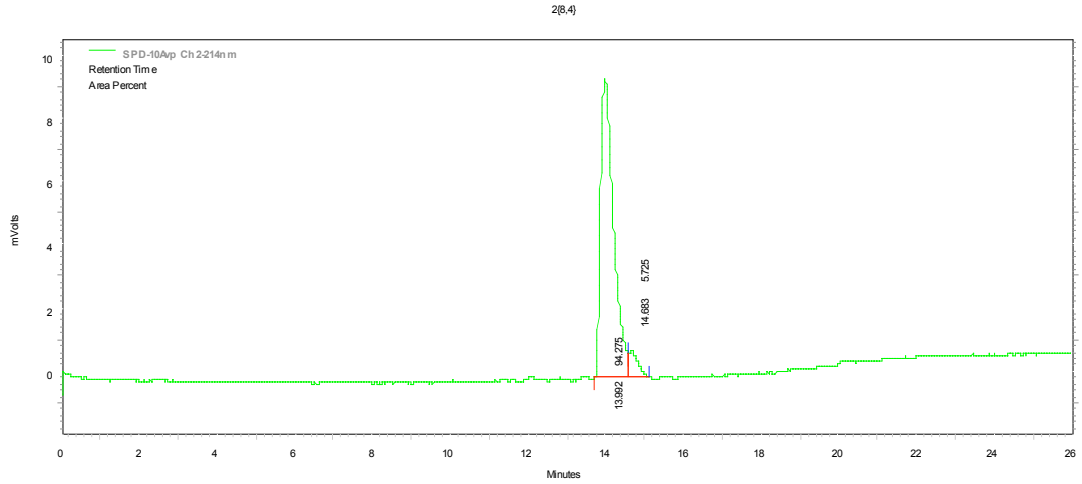
**1,4-disubstituted 1,2,3-triazole member 2**{8,2}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 4.18 (81%), gradient elution 30% to 90% over 9 min.



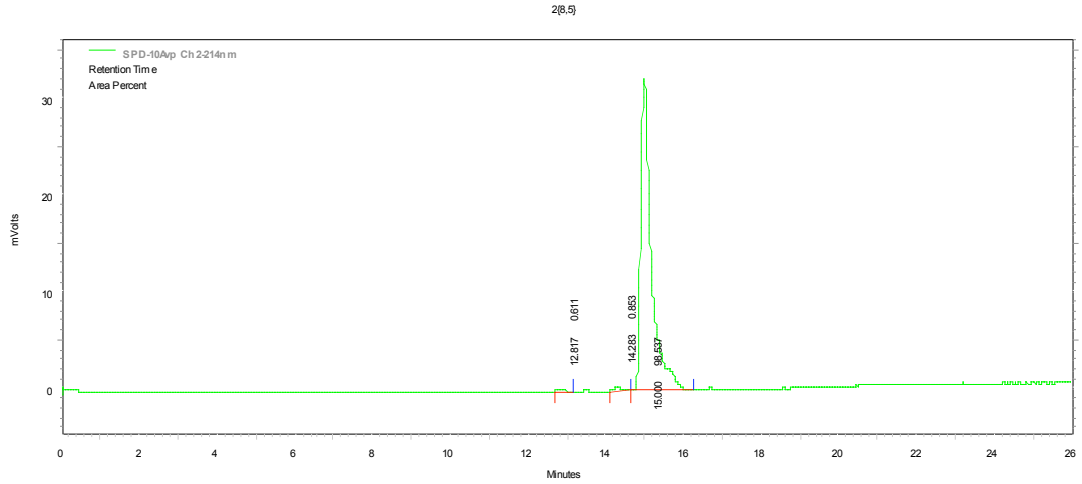

**1,4-disubstituted 1,2,3-triazole member 2**{8,3}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.13 (84%).



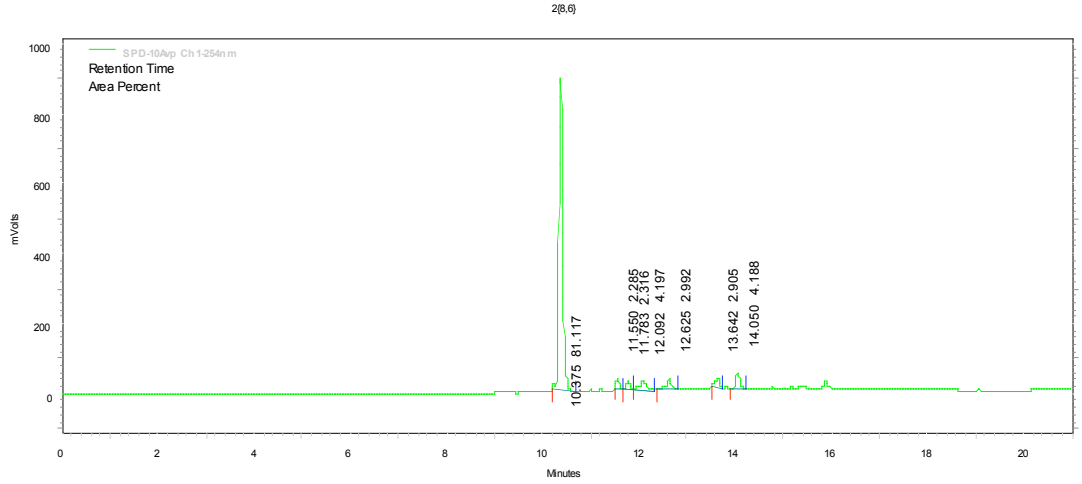
**1,4-disubstituted 1,2,3-triazole member 2**{8,4}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 13.99 (94%).



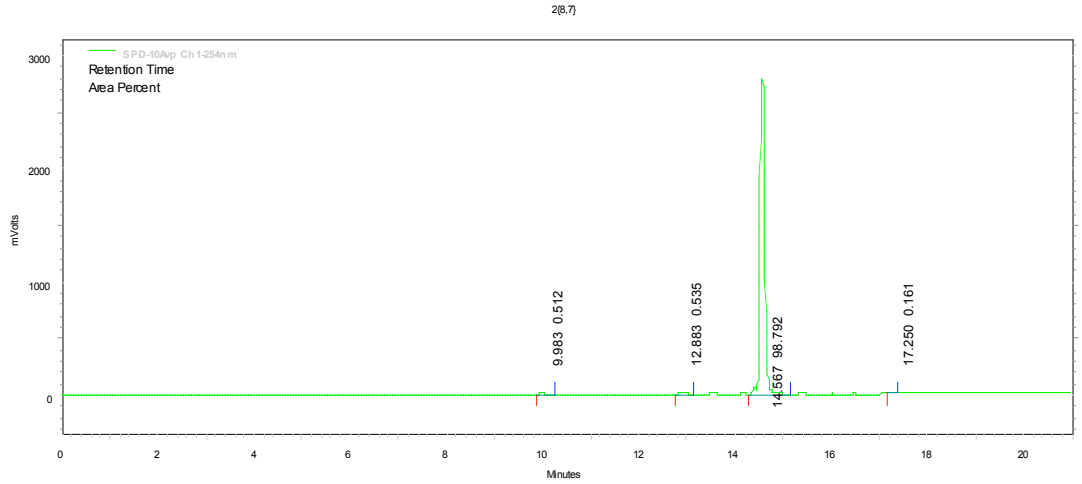

**1,4-disubstituted 1,2,3-triazole member 2**{8,5}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.00 (98%).



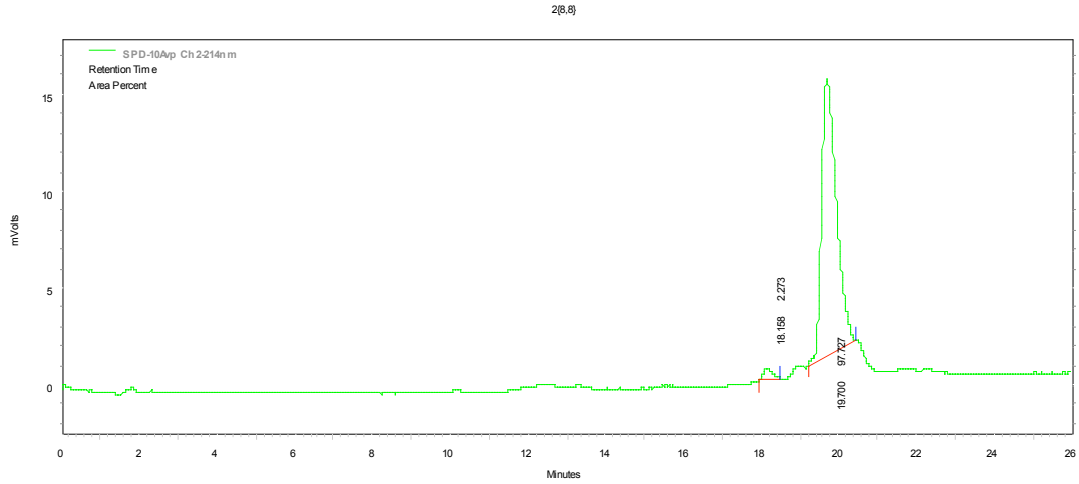
**1,4-disubstituted 1,2,3-triazole member 2**{8,6}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 10.37 (81%), gradient elution 55% to 95% over 21 min.



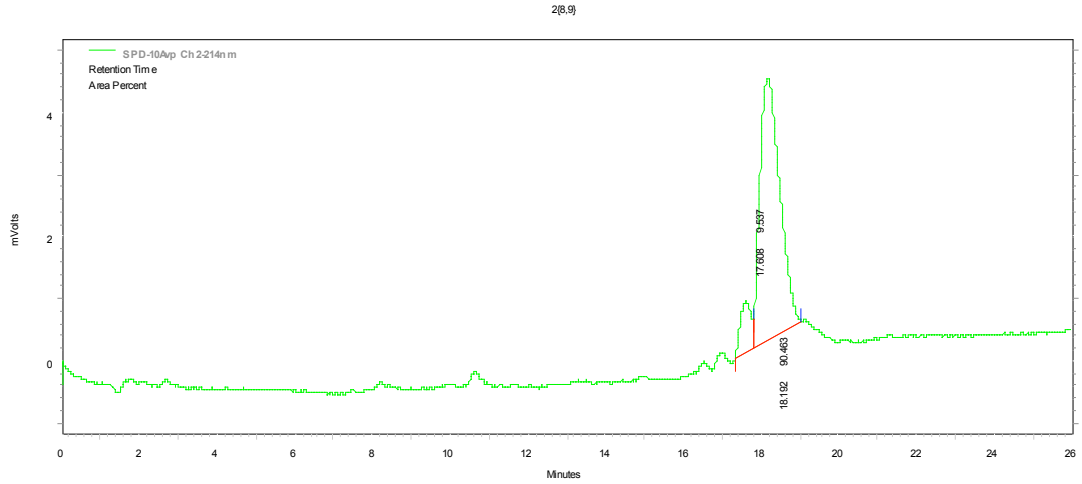

**1,4-disubstituted 1,2,3-triazole member 2**{8,7}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.56 (98%), gradient elution 55% to 95% over 21 min.



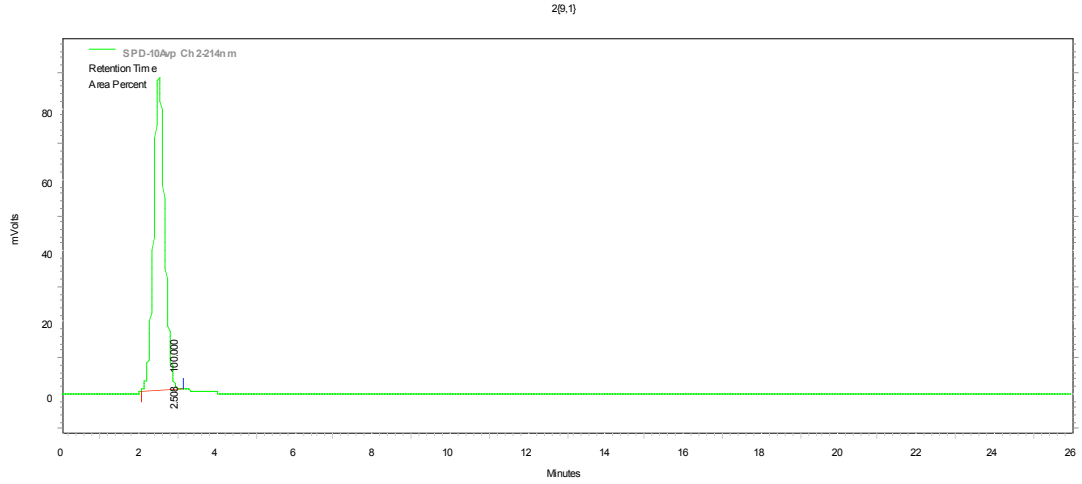
**1,4-disubstituted 1,2,3-triazole member 2**{8,8}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 19.70 (98%).



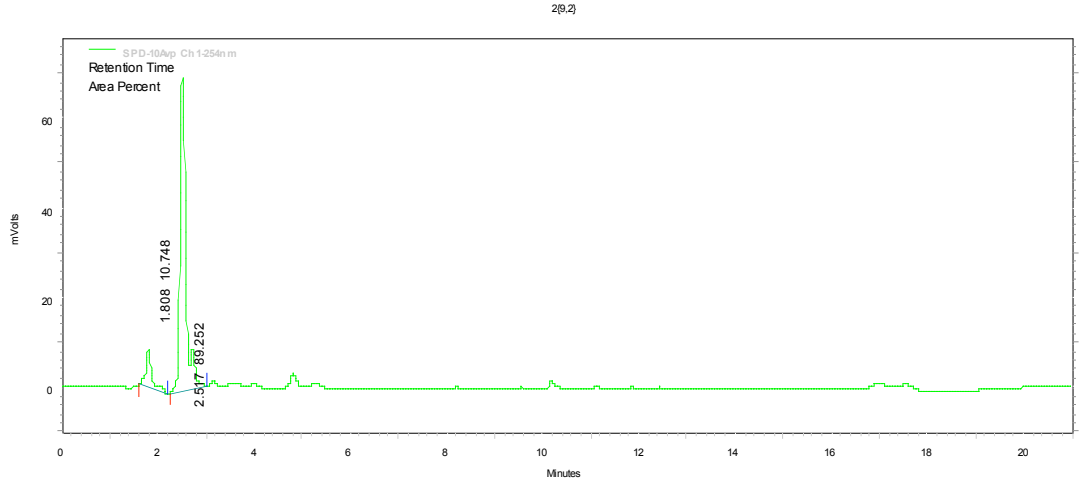

**1,4-disubstituted 1,2,3-triazole member 2**{8,9}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 18.19 (90%).



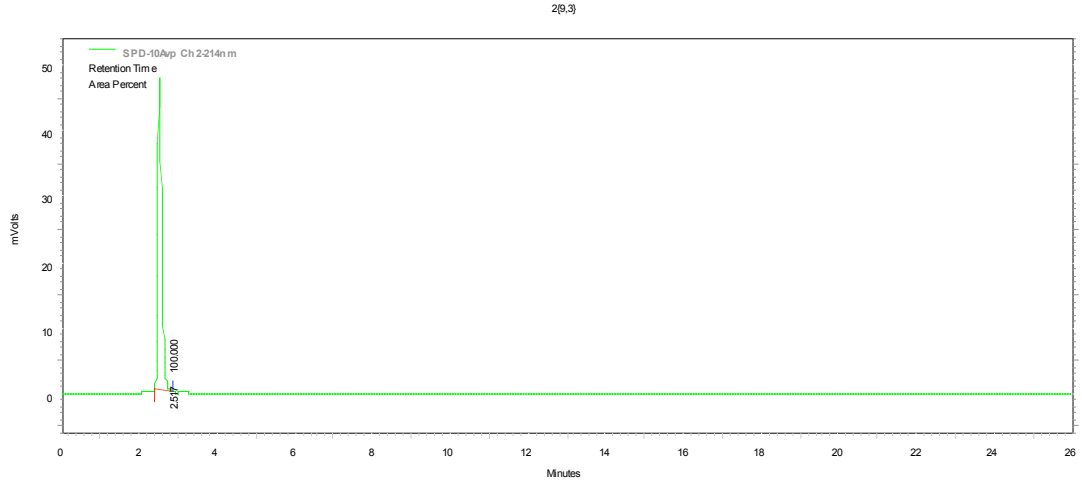
**1,4-disubstituted 1,2,3-triazole member 2**{9,1}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.50 (100%), gradient elution 30% to 90% over 26 min.



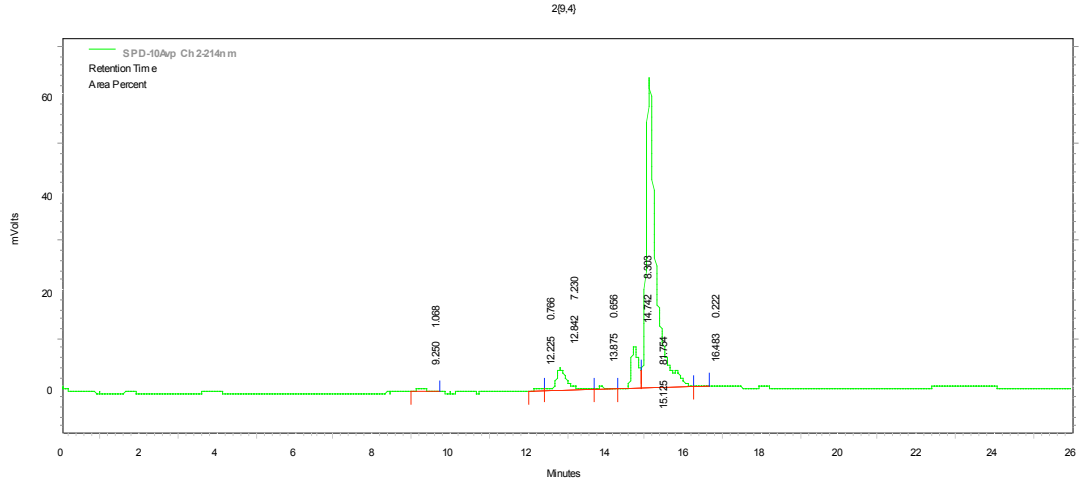

**1,4-disubstituted 1,2,3-triazole member 2**{9,2}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.51 (89%), gradient elution 30% to 90% over 21 min.



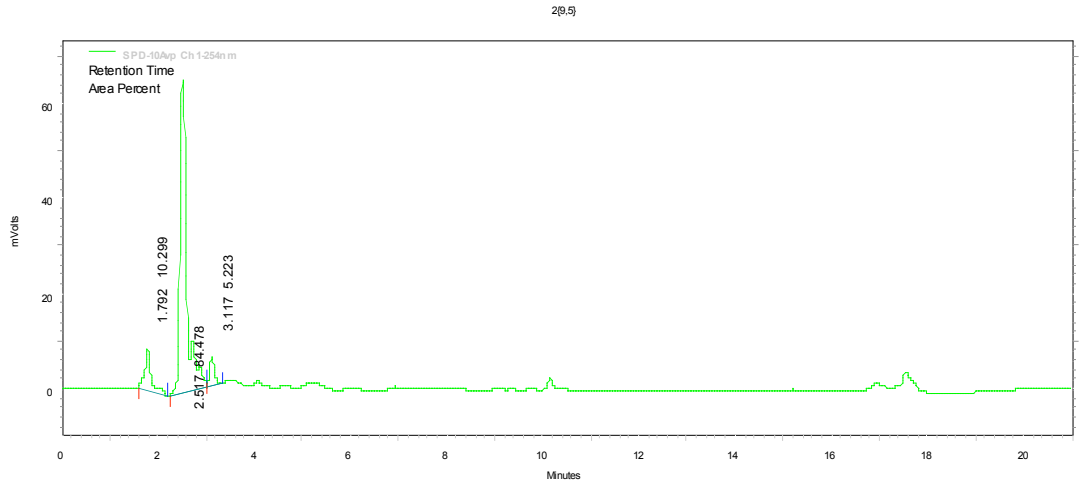
**1,4-disubstituted 1,2,3-triazole member 2**{9,3}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.51 (100%), gradient elution 30% to 90% over 26 min.



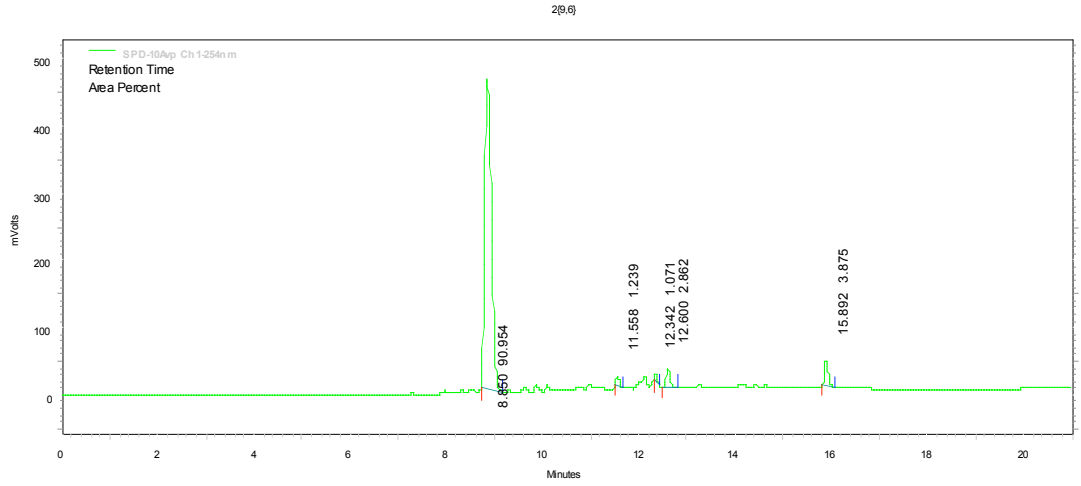

**1,4-disubstituted 1,2,3-triazole member 2**{9,4}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.12 (82%).



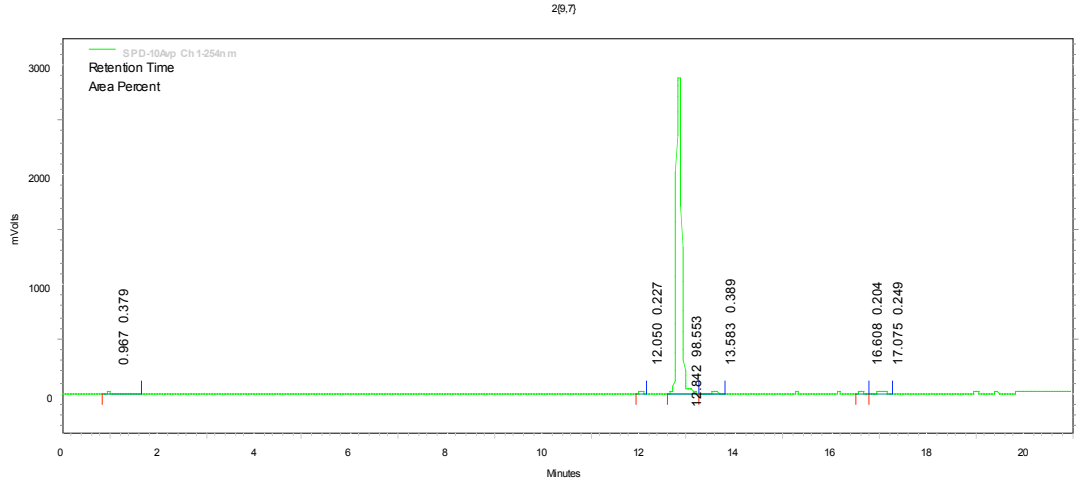
**1,4-disubstituted 1,2,3-triazole member 2**{9,5}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.51 (84%), gradient elution 30% to 90% over 21 min.



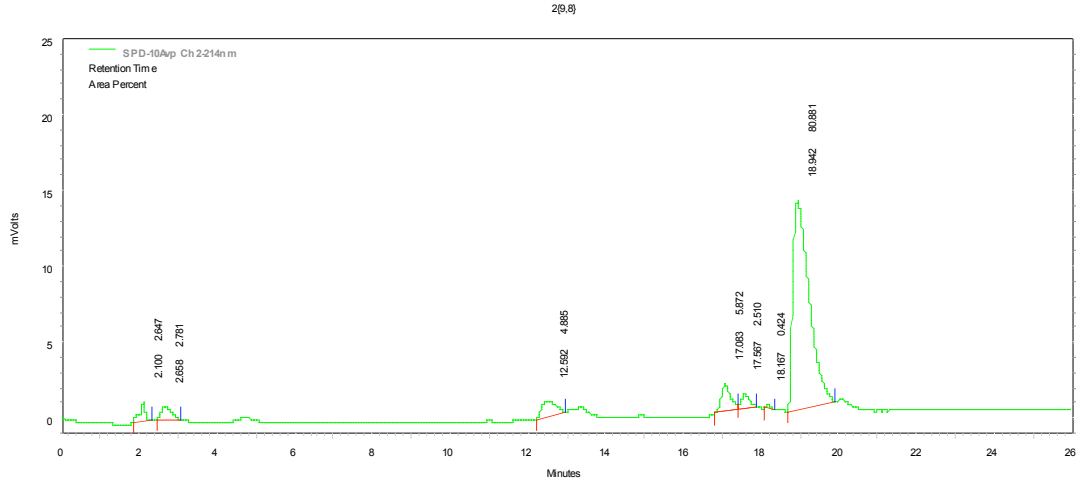

**1,4-disubstituted 1,2,3-triazole member 2**{9,6}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 8.85 (90%), gradient elution 55% to 95% over 21 min.



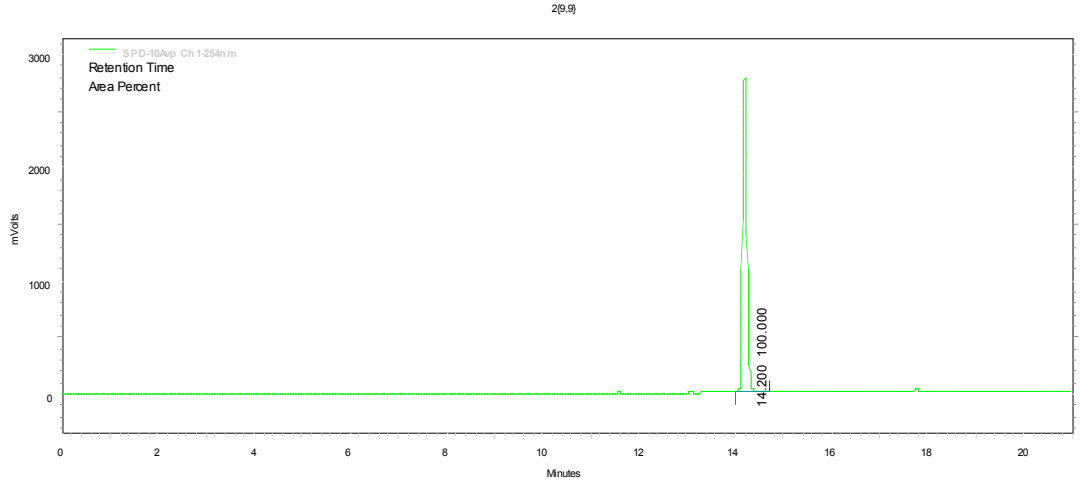
**1,4-disubstituted 1,2,3-triazole member 2**{9,7}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 12.84 (98%), gradient elution 55% to 95% over 21 min.



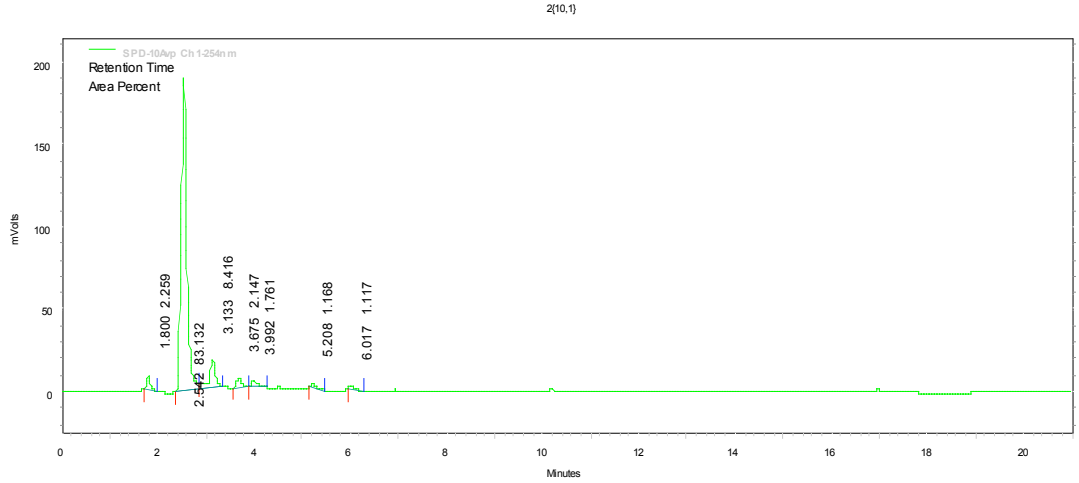

**1,4-disubstituted 1,2,3-triazole member 2**{9,8}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 18.94 (81%).



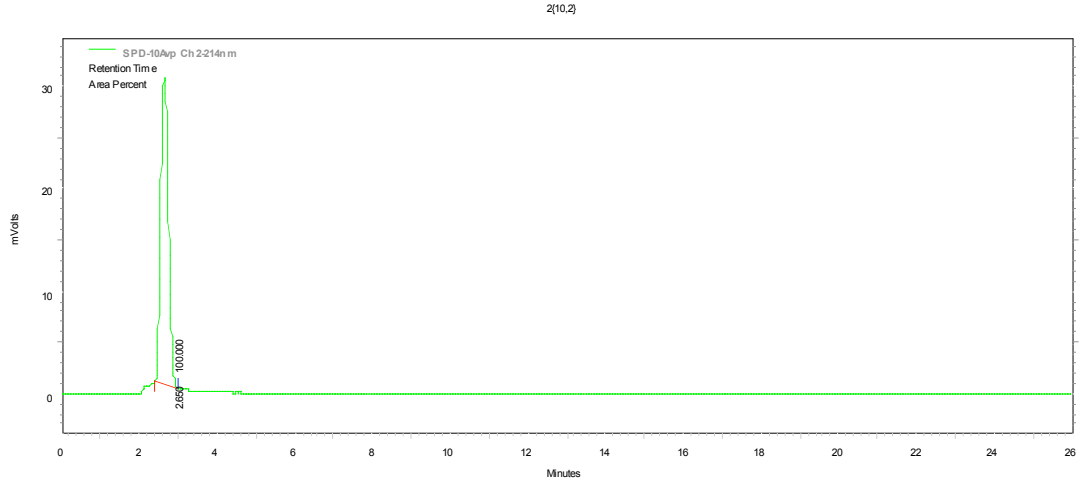
**1,4-disubstituted 1,2,3-triazole member 2**{9,9}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.20 (100%), gradient elution 55% to 95% over 21 min.



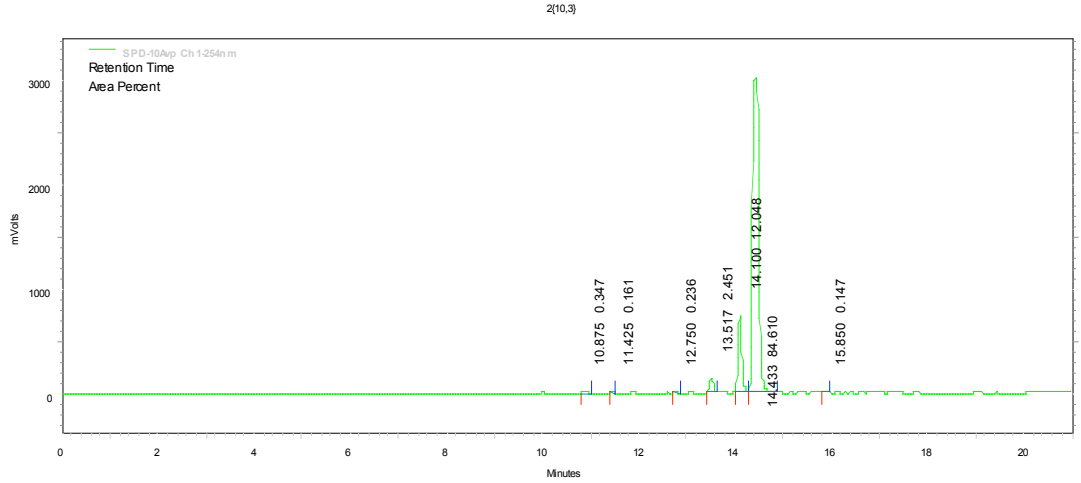

**1,4-disubstituted 1,2,3-triazole member 2**{10,1}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.54 (83%), gradient elution 30% to 90% over 21 min.



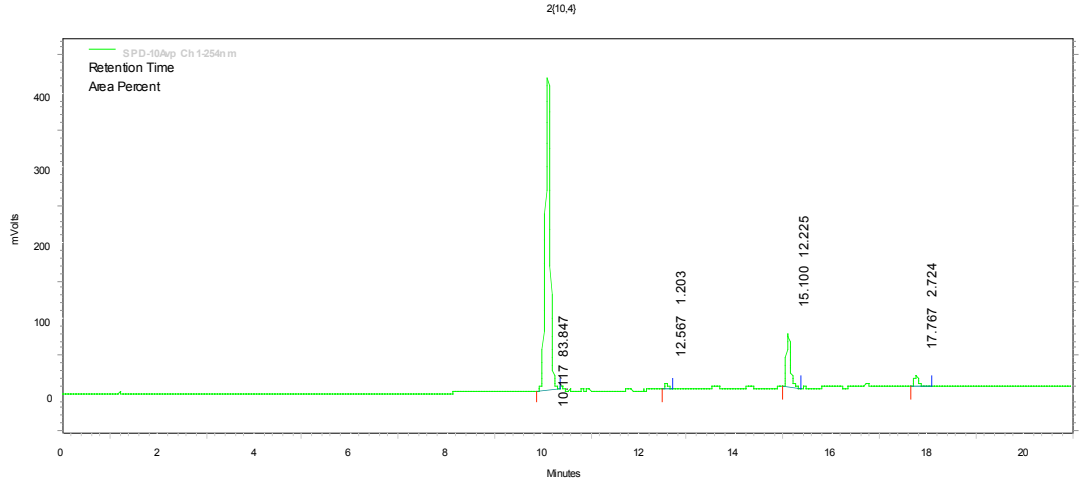
**1,4-disubstituted 1,2,3-triazole member 2**{10,2}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.65 (100%), gradient elution 30% to 90% over 21 min.



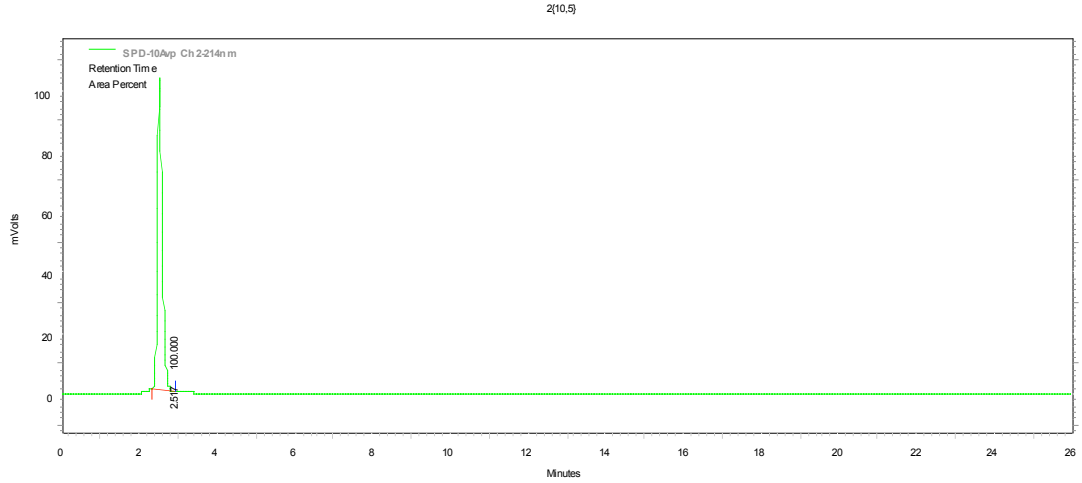

**1,4-disubstituted 1,2,3-triazole member 2**{10,3}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.43 (84%), gradient elution 55% to 95% over 21 min.



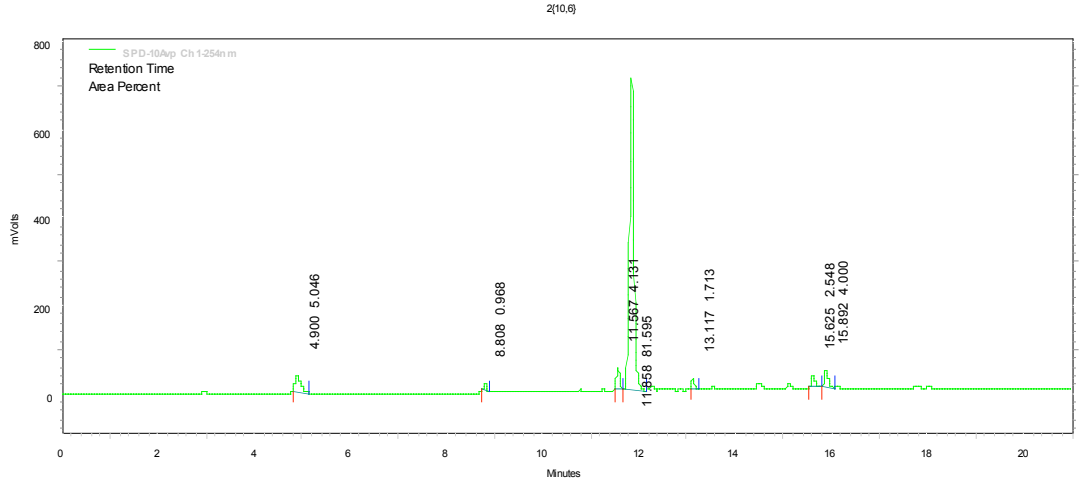
**1,4-disubstituted 1,2,3-triazole member 2**{10,4}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 10.11 (84%), gradient elution 55% to 95% over 21 min.



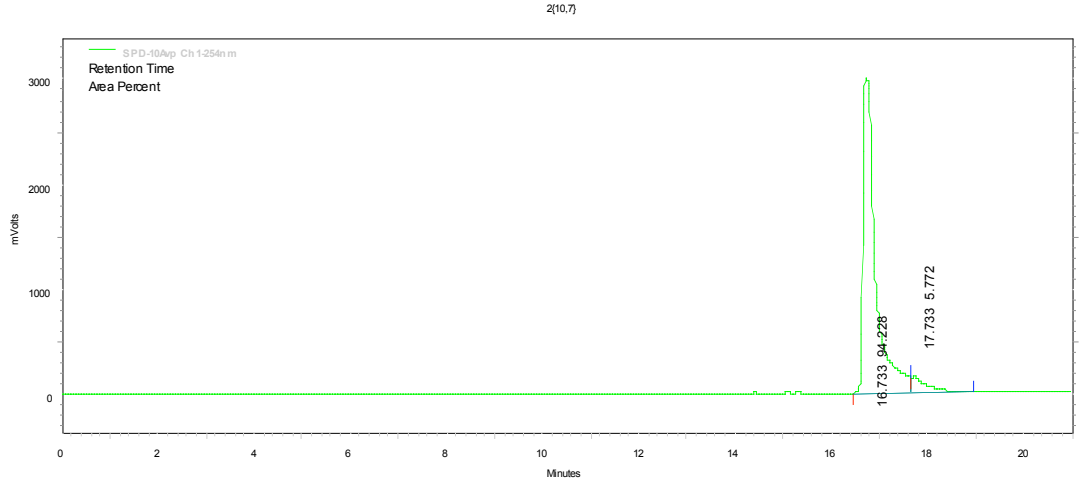

**1,4-disubstituted 1,2,3-triazole member 2**{10,5}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.52 (100%), gradient elution 30% to 90% over 26 min.



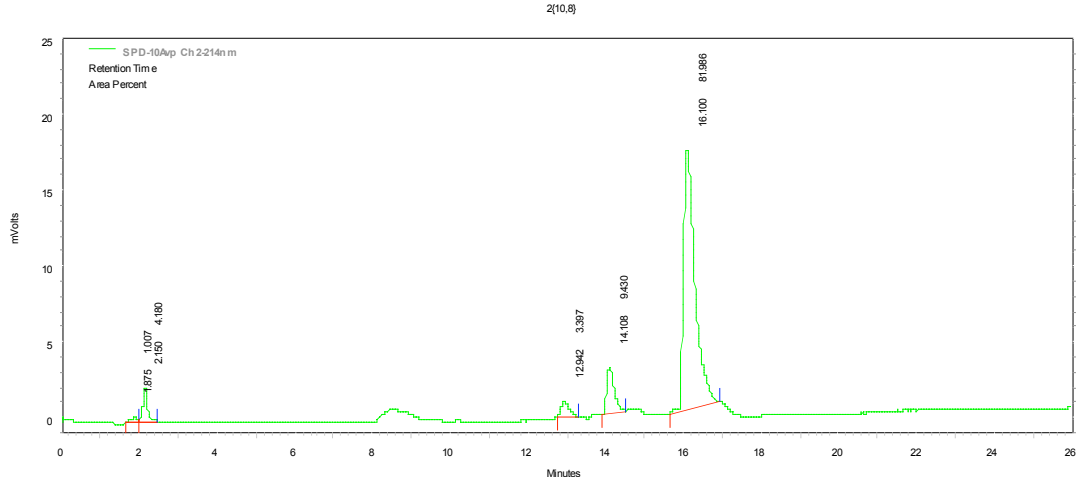
**1,4-disubstituted 1,2,3-triazole member 2**{10,6}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 11.85 (82%), gradient elution 55% to 95% over 21 min.



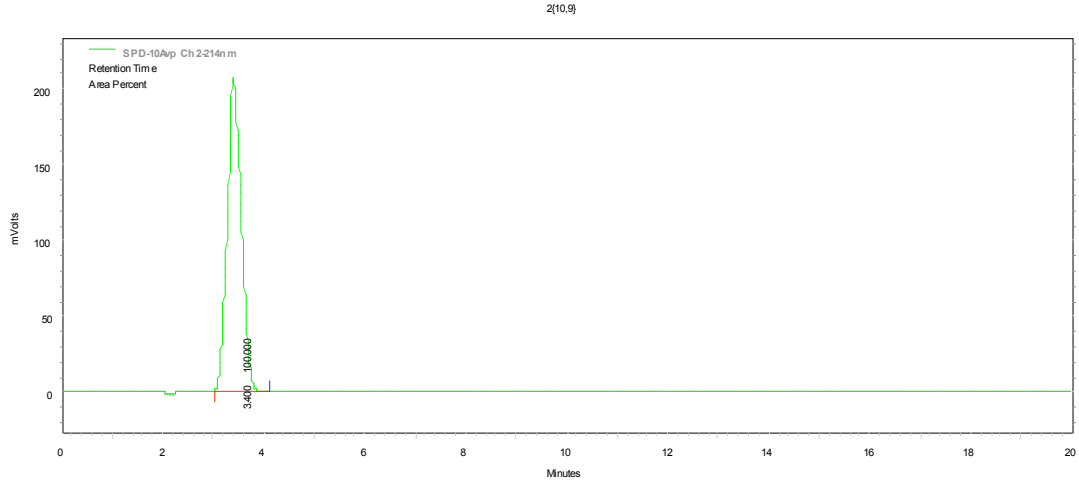

**1,4-disubstituted 1,2,3-triazole member 2**{10,7}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 16.73 (94%), gradient elution 55% to 95% over 21 min.



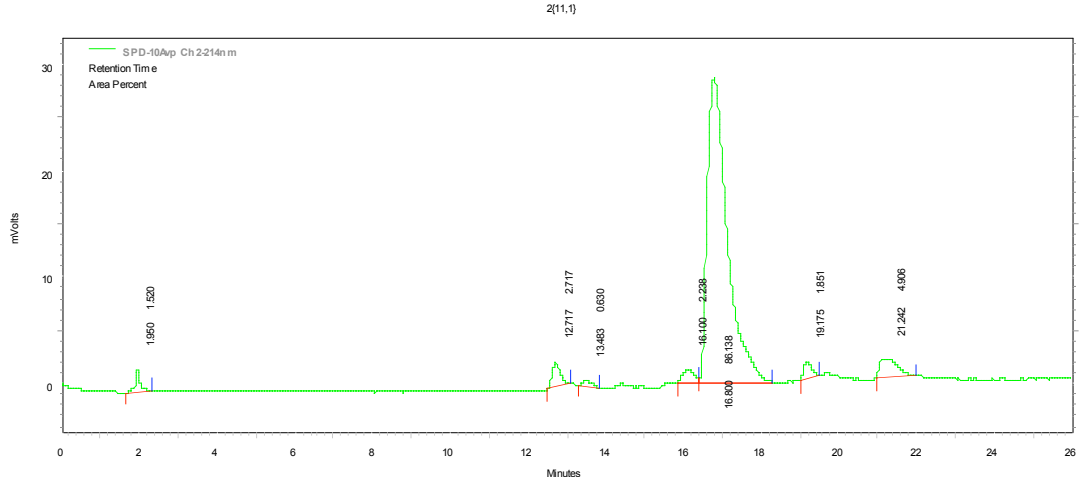
**1,4-disubstituted 1,2,3-triazole member 2**{10,8}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.47 (82%).



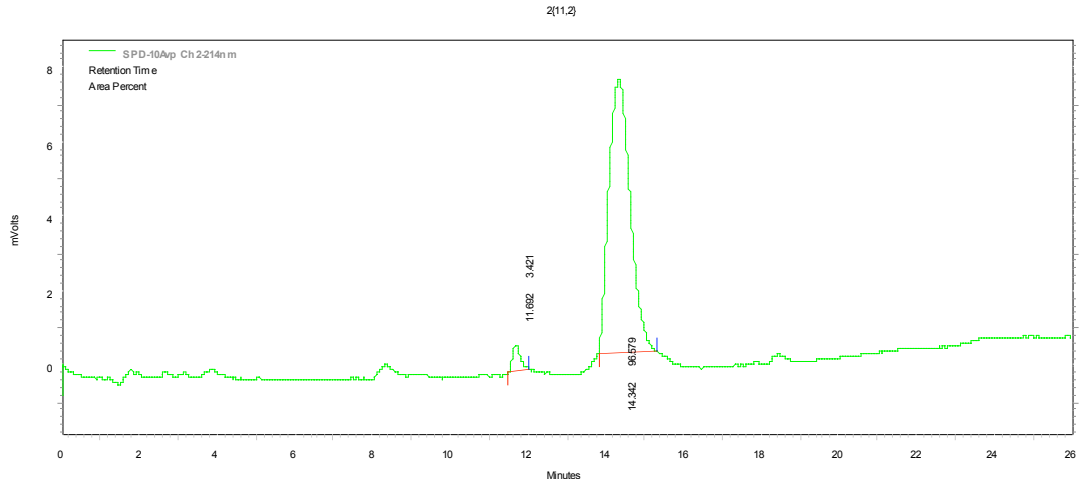

**1,4-disubstituted 1,2,3-triazole member 2**{10,9}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 3.40 (100%), gradient elution 30% to 90% over 20 min.



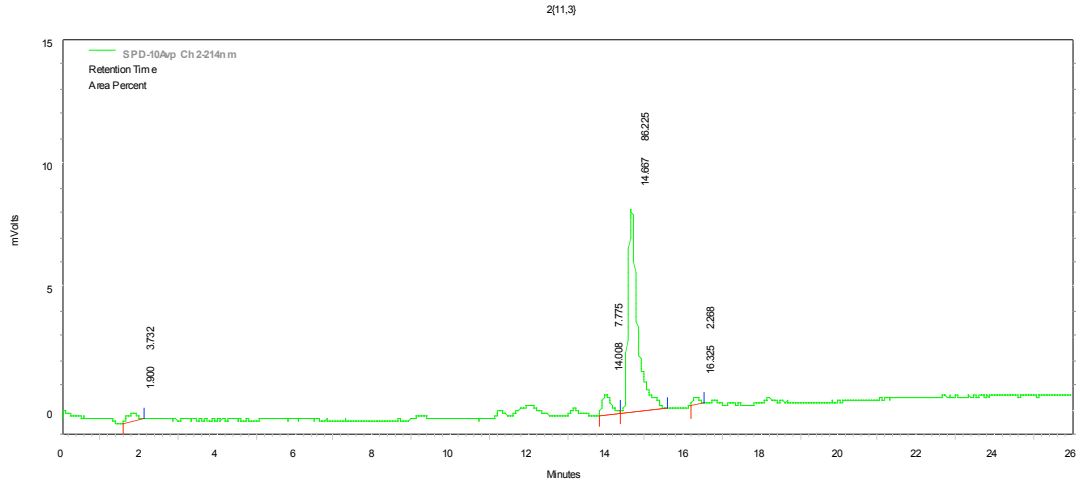
**1,4-disubstituted 1,2,3-triazole member 2**{11,1}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 16.80 (86%).



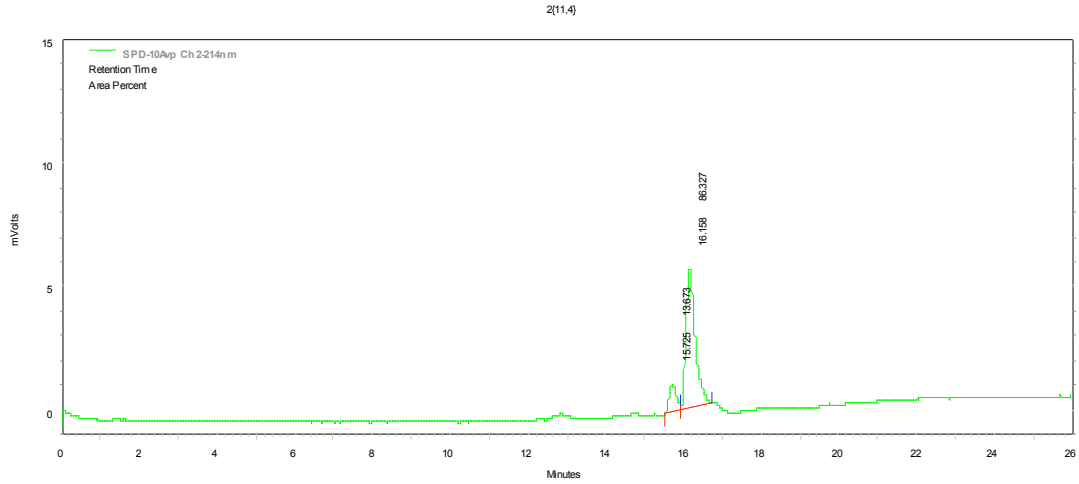

**1,4-disubstituted 1,2,3-triazole member 2**{11,2}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.34 (96%).



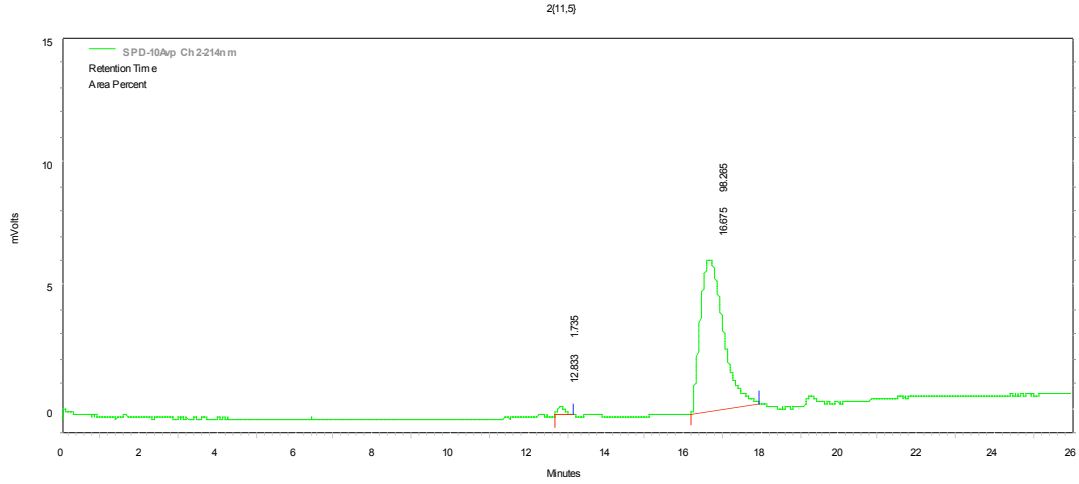
**1,4-disubstituted 1,2,3-triazole member 2**{11,3}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.66 (86%).



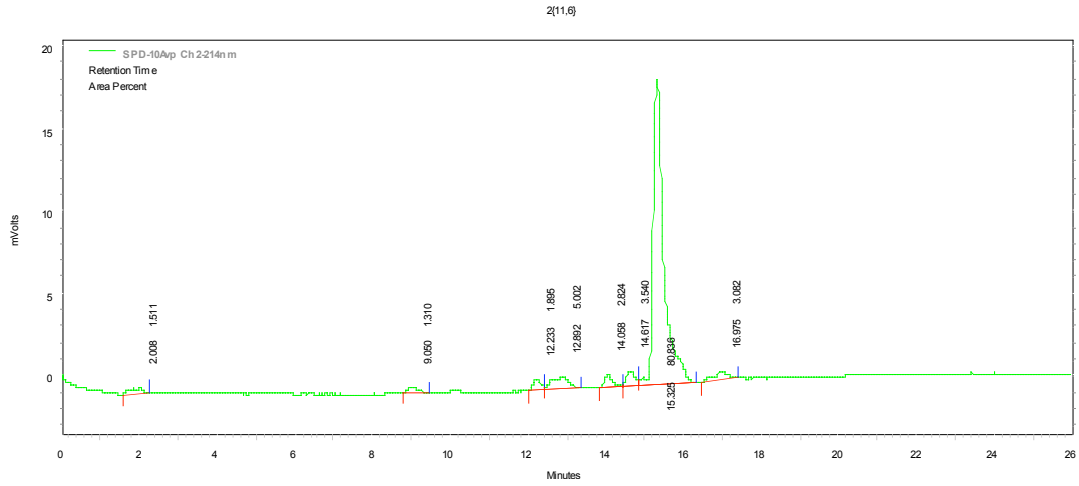

**1,4-disubstituted 1,2,3-triazole member 2**{11,4}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 16.15 (86%).



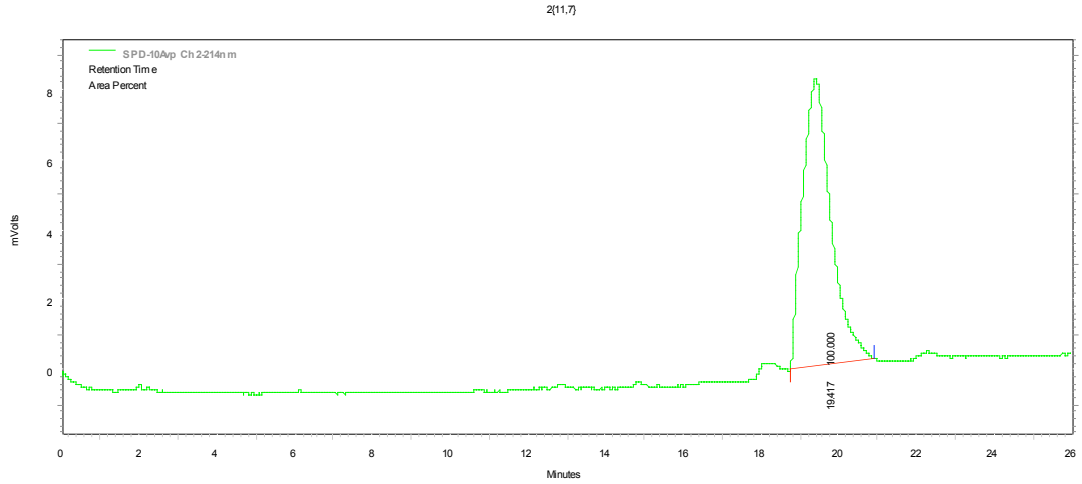
**1,4-disubstituted 1,2,3-triazole member 2**{11,5}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 16.67 (98%).



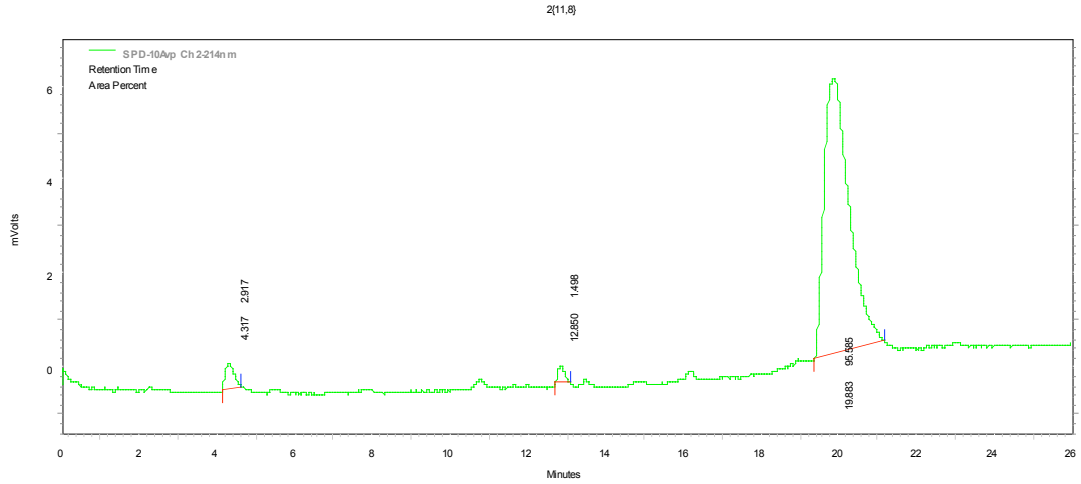

**1,4-disubstituted 1,2,3-triazole member 2**{11,6}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.32 (81%).



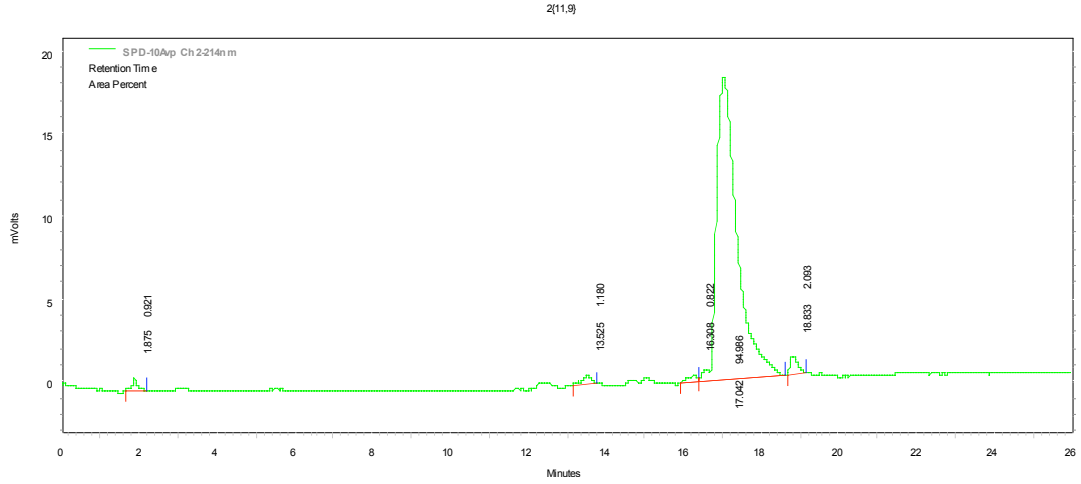
**1,4-disubstituted 1,2,3-triazole member 2**{11,7}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 19.41 (100%).



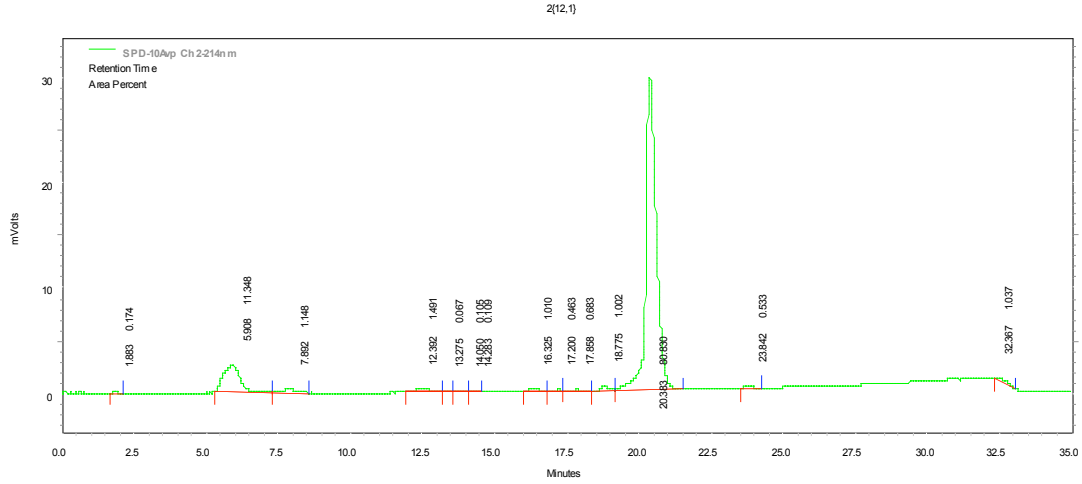

**1,4-disubstituted 1,2,3-triazole member 2**{11,8}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 19.88 (95%).



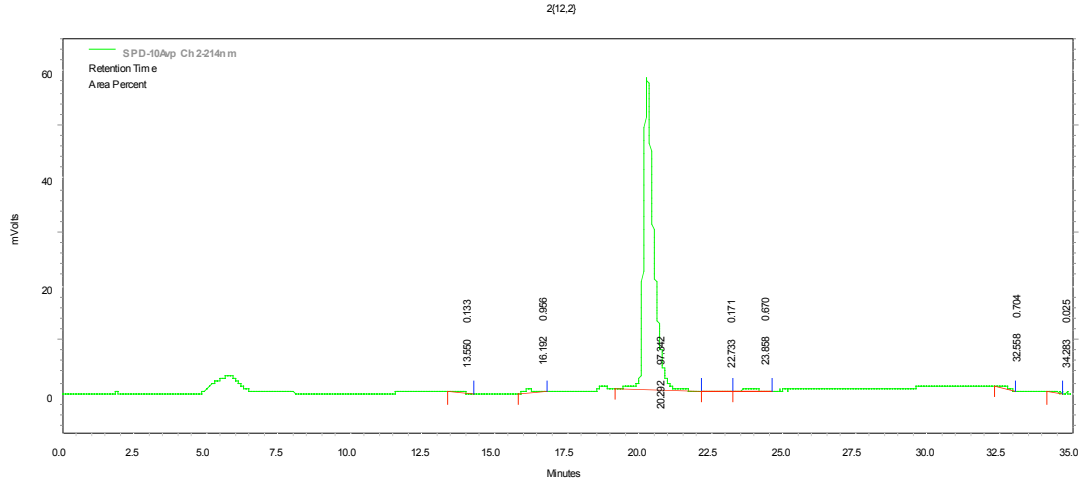
**1,4-disubstituted 1,2,3-triazole member 2**{11,9}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 17.04 (95%).



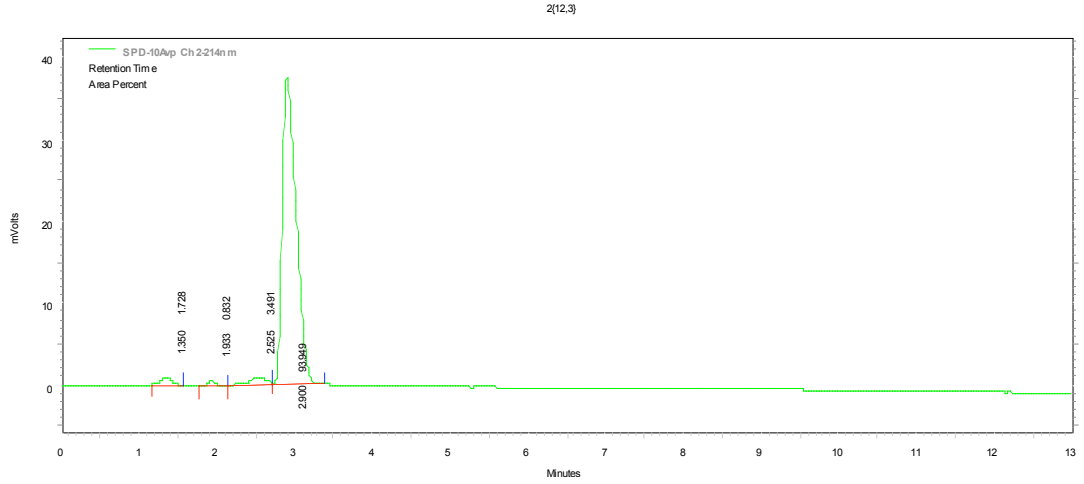

**1,4-disubstituted 1,2,3-triazole member 2**{12,1}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 20.38 (81%), gradient elution 55% to 95% over 35 min.



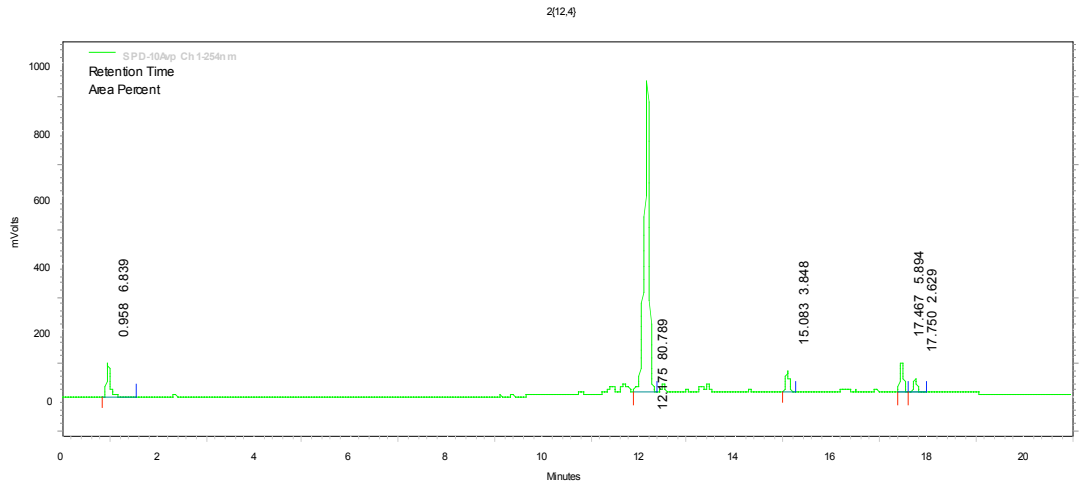
**1,4-disubstituted 1,2,3-triazole member 2**{12,2}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 20.29 (97%), gradient elution 55% to 95% over 35 min.



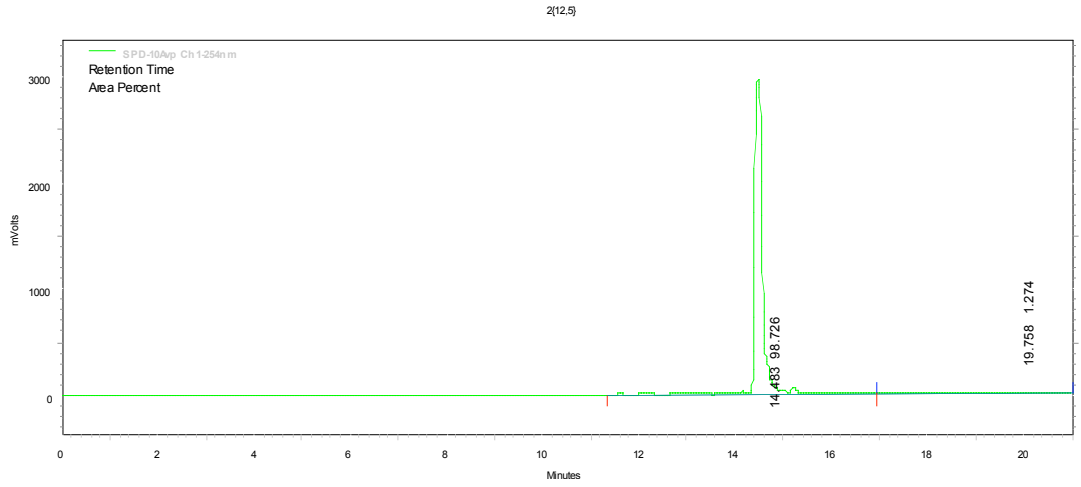

**1,4-disubstituted 1,2,3-triazole member 2**{12,3}. HPLC (1% AcOH in $CH_3OH$ : $H_2O$) $R_T$ 2.90 (93%), gradient elution 30% to 90% over 13 min.



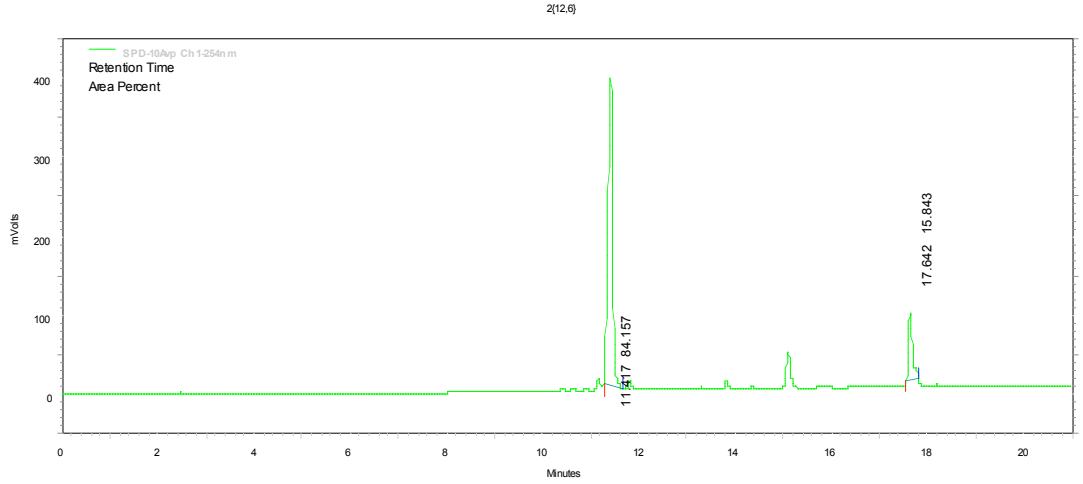
**1,4-disubstituted 1,2,3-triazole member 2**{12,4}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 12.17 (81%), gradient elution 55% to 95% over 21 min.



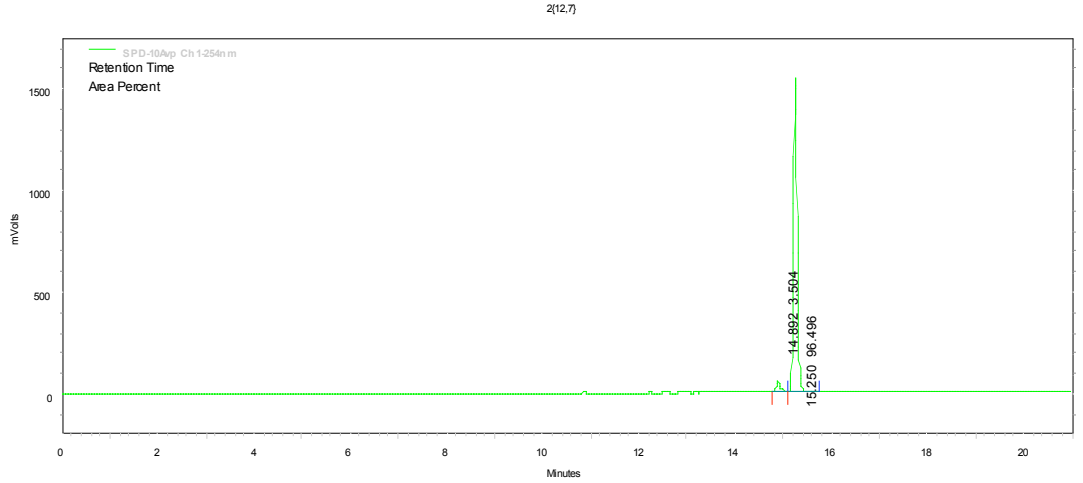

**1,4-disubstituted 1,2,3-triazole member 2**{12,5}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 14.48 (98%), gradient elution 55% to 95% over 21 min.



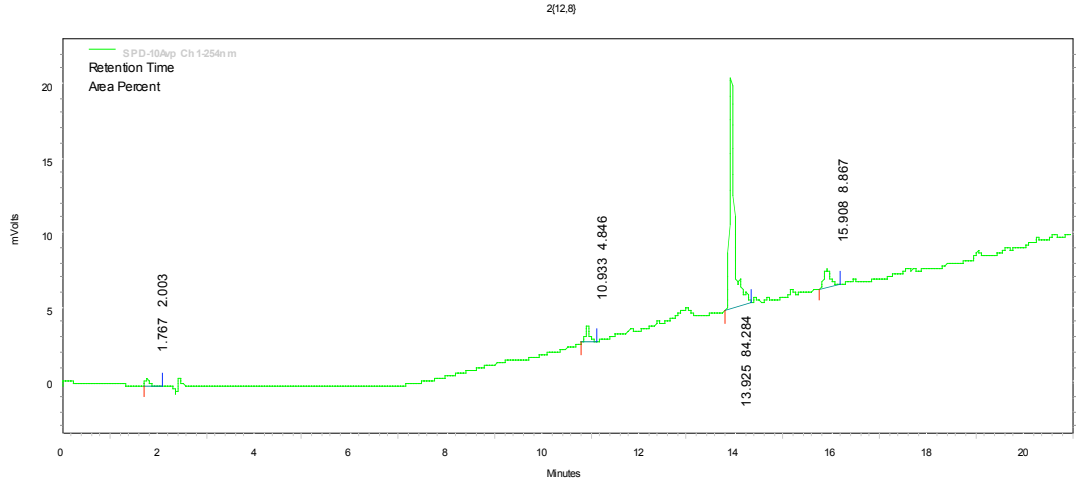
**1,4-disubstituted 1,2,3-triazole member 2**{12,6}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 11.41 (84%), gradient elution 55% to 95% over 21 min.




**1,4-disubstituted 1,2,3-triazole member 2**{12,7}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 15.25 (96%), gradient elution 55% to 95% over 21 min.



**1,4-disubstituted 1,2,3-triazole member 2**{12,8}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 13.92 (84%), gradient elution 55% to 95% over 21 min.




**1,4-disubstituted 1,2,3-triazole member 2**{12,9}. HPLC ($CH_3OH$ : $H_2O$) $R_T$ 10.99 (82%), gradient elution 55% to 95% over 21 min.

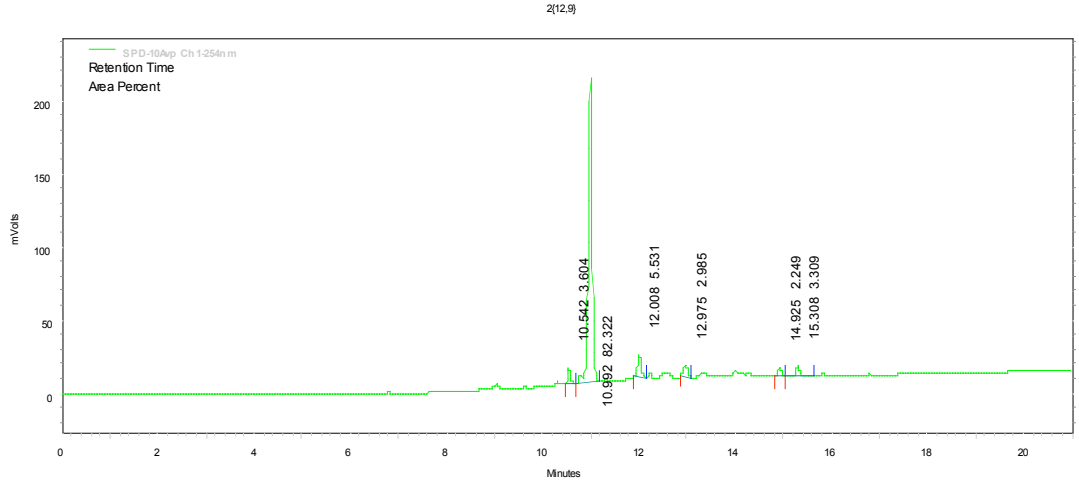


**Table 2.** Mass of molecular ion peak (MW+H) of the 1,4-disubstituted 1,2,3-triazole library members

| Compd | MW | MW+H | Compd | MW | MW+H | Compd | MW | MW+H | Compd | MW | MW+H |
|---|---|---|---|---|---|---|---|---|---|---|---|
| **2**{1,1} | 410.59 | 411.35 | **2**{4,1} | 417.55 | 418.35 | **2**{7,1} | 310.48 | 311.25 | **2**{10,1} | 412.57 | 413.30 |
| **2**{1,2} | 430.58 | 431.25 | **2**{4,2} | 437.53 | 438.95 | **2**{7,2} | 330.47 | 331.20 | **2**{10,2} | 432.56 | 432.95 |
| **2**{1,3} | 416.56 | 416.70 | **2**{4,3} | 432.51 | 423.90 | **2**{7,3} | 316.44 | 316.85 | **2**{10,3} | 418.53 | 419.25 |
| **2**{1,4} | 368.47 | 369.30 | **2**{4,4} | 375.42 | 376.25 | **2**{7,4} | 268.36 | 269.20 | **2**{10,4} | 370.45 | 371.25 |
| **2**{1,5} | 442.59 | 443.40 | **2**{4,5} | 449.55 | 450.35 | **2**{7,5} | 342.48 | 342.90 | **2**{10,5} | 444.25 | 445.30 |
| **2**{1,6} | 443.58 | 444.30 | **2**{4,6} | 450.53 | 451.25 | **2**{7,6} | 343.47 | 344.90 | **2**{10,6} | 445.56 | 445.95 |
| **2**{1,7} | 438.56 | 439.35 | **2**{4,7} | 445.51 | 446.25 | **2**{7,7} | 338.45 | 339.30 | **2**{10,7} | 440.54 | 441.75 |
| **2**{1,8} | 408.58 | 409.35 | **2**{4,8} | 415.53 | 416.95 | **2**{7,8} | 308.26 | 309.25 | **2**{10,8} | 410.55 | 411.35 |
| **2**{1,9} | 382.54 | 383.30 | **2**{4,9} | 389.49 | 390.30 | **2**{7,9} | 282.42 | 282.95 | **2**{10,9} | 384.47 | 385.30 |
| **2**{2,1} | 388.50 | 389.95 | **2**{5,1} | 383.53 | 384.30 | **2**{8,1} | 374.52 | 375.25 | **2**{11,1} | 426.59 | 430.00 |
| **2**{2,2} | 408.49 | 409.35 | **2**{5,2} | 403.52 | 403.75 | **2**{8,2} | 394.51 | 395.80 | **2**{11,2} | 445.58 | 445.95 |
| **2**{2,3} | 394.47 | 395.80 | **2**{5,3} | 389.49 | 391.20 | **2**{8,3} | 380.48 | 381.25 | **2**{11,3} | 432.56 | 423.90 |
| **2**{2,4} | 346.38 | 347.20 | **2**{5,4} | 341.41 | 342.20 | **2**{8,4} | 332.40 | 333.20 | **2**{11,4} | 384.47 | 384.30 |
| **2**{2,5} | 420.50 | 422.35 | **2**{5,5} | 415.53 | 415.95 | **2**{8,5} | 406.52 | 406.95 | **2**{11,5} | 458.60 | 459.00 |
| **2**{2,6} | 421.49 | 422.95 | **2**{5,6} | 416.52 | 417.25 | **2**{8,6} | 407.51 | 407.90 | **2**{11,6} | 459.58 | 459.80 |
| **2**{2,7} | 416.47 | 417.25 | **2**{5,7} | 411.50 | 413.05 | **2**{8,7} | 402.49 | 403.25 | **2**{11,7} | 451.56 | 452.32 |
| **2**{2,8} | 384.54 | 385.25 | **2**{5,8} | 381.51 | 382.35 | **2**{8,8} | 372.50 | 373.25 | **2**{11,8} | 424.58 | 423.40 |
| **2**{2,9} | 360.45 | 361.20 | **2**{5,9} | 355.48 | 356.30 | **2**{8,9} | 346.47 | 346.20 | **2**{11,9} | 398.54 | 401.15 |
| **2**{3,1} | 394.55 | 395.80 | **2**{6,1} | 330.47 | 330.90 | **2**{9,1} | 308.46 | 308.95 | **2**{12,1} | 442.59 | 444.30 |
| **2**{3,2} | 414.54 | 415.00 | **2**{6,2} | 350.46 | 350.90 | **2**{9,2} | 328.45 | 329.25 | **2**{12,2} | 462.58 | 463.00 |
| **2**{3,3} | 400.51 | 402.90 | **2**{6,3} | 336.43 | 336.95 | **2**{9,3} | 314.43 | 314.95 | **2**{12,3} | 448.56 | 449.00 |
| **2**{3,4} | 351.43 | 352.85 | **2**{6,4} | 288.34 | 289.25 | **2**{9,4} | 266.34 | 267.20 | **2**{12,4} | 400.47 | 402.25 |
| **2**{3,5} | 426.55 | 428.15 | **2**{6,5} | 362.47 | 362.85 | **2**{9,5} | 340.46 | 340.90 | **2**{12,5} | 474.59 | 475.85 |
| **2**{3,6} | 427.54 | 429.15 | **2**{6,6} | 363.46 | 364.20 | **2**{9,6} | 341.45 | 342.90 | **2**{12,6} | 475.58 | 475.85 |
| **2**{3,7} | 422.52 | 423.30 | **2**{6,7} | 358.43 | 358.85 | **2**{9,7} | 336.43 | 337.90 | **2**{12,7} | 470.56 | 470.80 |
| **2**{3,8} | 392.54 | 393.35 | **2**{6,8} | 328.45 | 328.90 | **2**{9,8} | 306.24 | 307.90 | **2**{12,8} | 440.28 | 441.90 |
| **2**{3,9} | 366.50 | 367.30 | **2**{6,9} | 302.41 | 302.90 | **2**{9,9} | 280.41 | 281.25 | **2**{12,9} | 414.54 | 415.00 |