Electronic Supplementary Material (ESI) for ChemComm.

Experimental:

^{1}H NMR spectra were recorded on a Brucker Avance 400 MHz spectrometer, using $CDCl_3$ as solvent. ^{13}C NMR spectra were recorded on a 100.6 MHz spectrometer. Chemical shifts are reported in ppm relative to internal TMS. Coupling constant *J* is quoted in hertz Hz. IR spectra were performed on a Perkin-Elmer FT 1600 spectrometer. High-resolution mass spectra (HRMS) were carried out with JEOL Gcmate spectrometer. Melting points (mp) were determined on a Stuart SMP3 apparatus and are uncorrected. Thin layer chromatography (TLC) was performed on silica gel using precoated plates of silica 60 F_{254}.

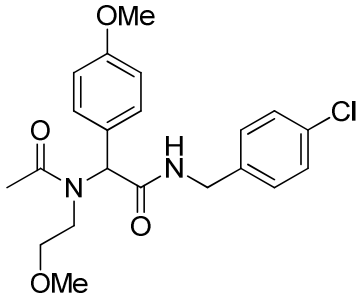
General procedure I: Synthesis of Ugi adducts

To a solution of aldehyde in methanol 1M, amine (1.0 equiv), carboxylic acid (1.0 equiv), and isocyanide (1.0 equiv) were subsequently added under argon atmosphere. Then the reaction mixture was stirred at room temperature for 1-3 days. The progress of the reaction was monitored by TLC. After completion of reaction, the solvent was removed under vacuum and the obtained crude residue was purified by flash column chromatography on silica gel to get the pure product.

General procedure II: Synthesis of pyrroles and pyrrolines

In a microwave vial, Ugi adduct (1.0 equiv) was dissolved in trifluoroethanol (1.5 mL). To this solution, *N*,*N*-diisopropylethylamine (0.5 equiv) and acrylonitrile or methyl acrylate (6.0 equiv) were subsequently added. The resulting mixture was subjected to microwave irradiation (140°C, 30 min, CEM Discover microwave, 150 W). After completion of the reaction, the vial was cooled to room temperature. The reaction mixture was diluted with water (15 mL), extracted with CH_2Cl_2 (3×10 mL). The combined organic layer was dried over $MgSO_4$, and concentrated under reduced pressure. The crude residue was purified by flash column chromatography on silica gel to yield the desired product.

***N*-(4-chlorobenzyl)-2-(*N*-(2-methoxyethyl)acetamido)-2-(4-methoxyphenyl)acetamide (2a)**



$C_{21}H_{25}ClN_2O_4$

Mol. Wt. = 404.887 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using 4-anisaldehyde (124 µl, 1.0 mmol), 2-methoxyethylamine (88 µl, 1.0 mmol), acetic acid (57 µl, 1.0 mmol), and 4-chlorobenzyl isocyanide (150 µl, 1.0 mmol). The desired product was isolated as a 3:1 mixture of rotamers isolated in 78% yield (318 mg).

Nature: White solid

M.P. = 102.3-102.8°C

Rf: 0.3 (100 Ethyl acetate)

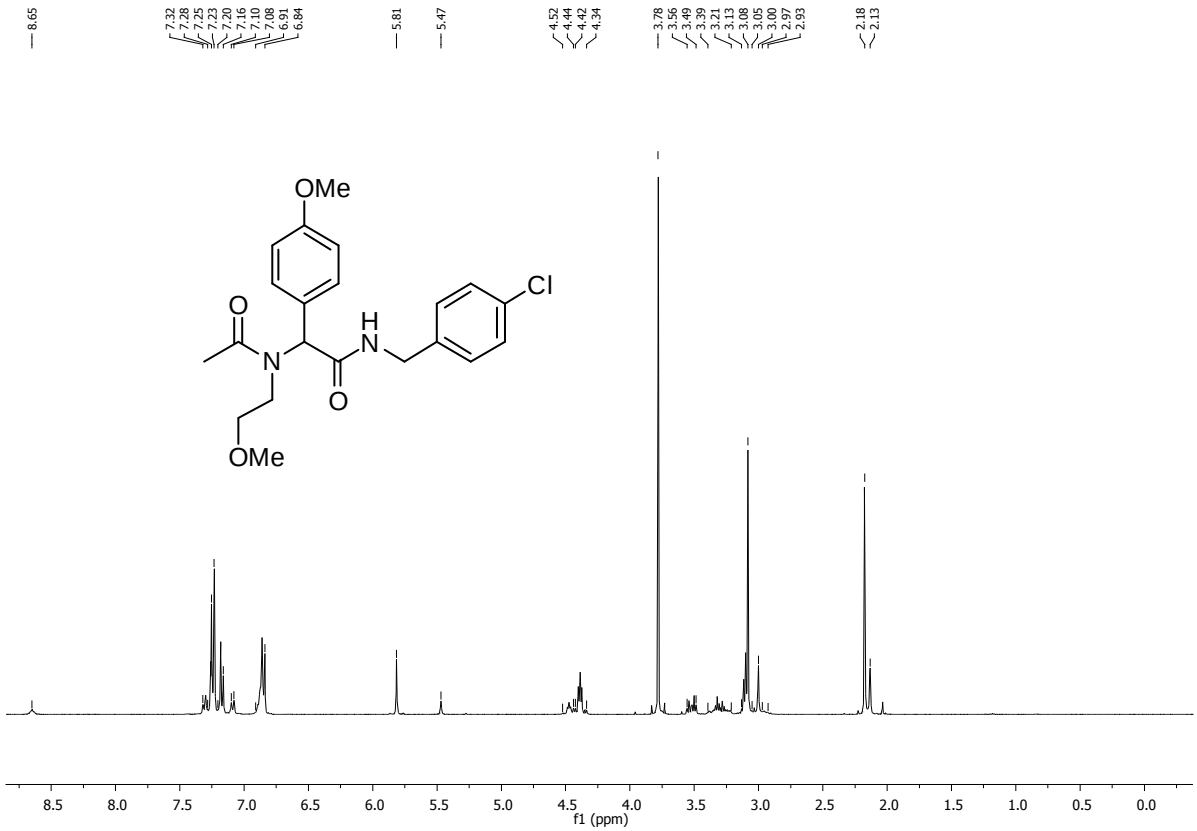
Flash chromatography: (80:20 Ethyl acetate/ Petroleum ether)

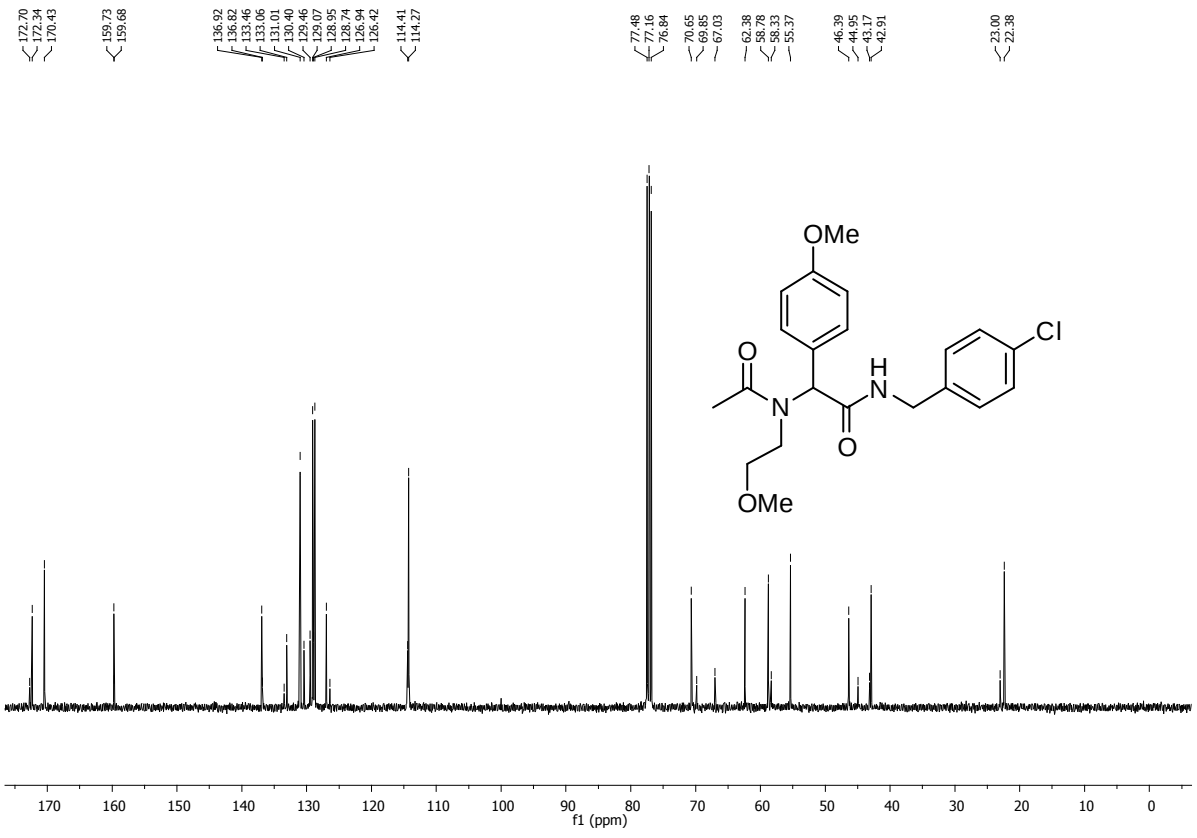
^{1}H NMR ($CDCl_3$, 400 MHz): δ 8.65 (br s, 1H, NH, minor), 7.32-7.28 (m, 2H-ar, minor), 7.25-7.23 (m, 6H, 2H-ar major and 4H-ar minor),7.20-7.16 (m, 2H-ar, major), 7.10-7.08 (m, 2H-ar, minor), 6.91-6.84 (m, 5H, NH major, 2H-ar major and 2H-ar minor), 5.81 (s, 1H, major), 5.47 (s, 1H, minor), 4.52-4.42 (m, 2H, minor), 4.44-4.34 (m, 2H, major), 3.82-3.75 (m, 1H, minor), 3.78 (s, 6H, 2 OMe, major and minor), 3.56-3.49 (m, 1H, major), 3.39-3.21 (m, 3H, 1H major and 2H minor), 3.13-3.06 (m, 2H, major), 3.08 (s, 3H, OMe, major), 3.00 (s, 3H, OMe, minor), 2.97-2.93 (m, 1H, minor), 2.18 (s, 3H, major), 2.13 (s, 3H, minor).

^{13}C NMR ($CDCl_3$, 100.6 MHz): major rotamer δ 172.3, 170.4, 159.7, 136.9, 133.0, 131.0, 129.0, 128.7, 126.9, 114.2, 70.6, 62.3, 58.7, 55.3, 46.3, 42.9, 22.3. Minor rotamer δ 172.7, 170.4, 159.6, 136.8, 133.4, 130.4, 129.4, 128.9, 126.4, 114.4, 69.8, 67.0, 58.3, 55.3, 44.9, 43.1, 23.0.

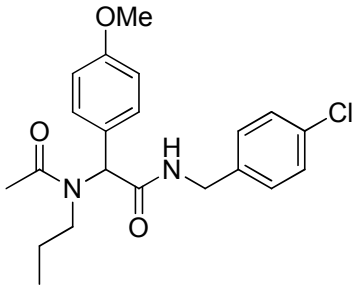
HRMS: Calcd. for $C_{21}H_{25}ClN_2O_4$: 404.1503, Found: 404.1501.

I.R. (thin film): 3286, 3066, 2931, 1631, 1513, 1411, 1248, 1179, 1179, 1114, 1032, 1014 cm^{-1}.





***N*-(4-chlorobenzyl)-2-(4-methoxyphenyl)-2-(*N*-propylacetamido)acetamide (2b)**



$C_{21}H_{25}ClN_2O_3$

Mol. Wt. = 388.887 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using 4-anisaldehyde (248 µl, 2.0 mmol), propylamine (166 µl, 2.0 mmol), acetic acid (114 µl, 2.0 mmol), and 4-chlorobenzyl isocyanide (300 µl, 2.0 mmol). The desired product was isolated in 74% yield (582 mg).

Nature: Pale solid

M.P. = 111.1-111.6°C

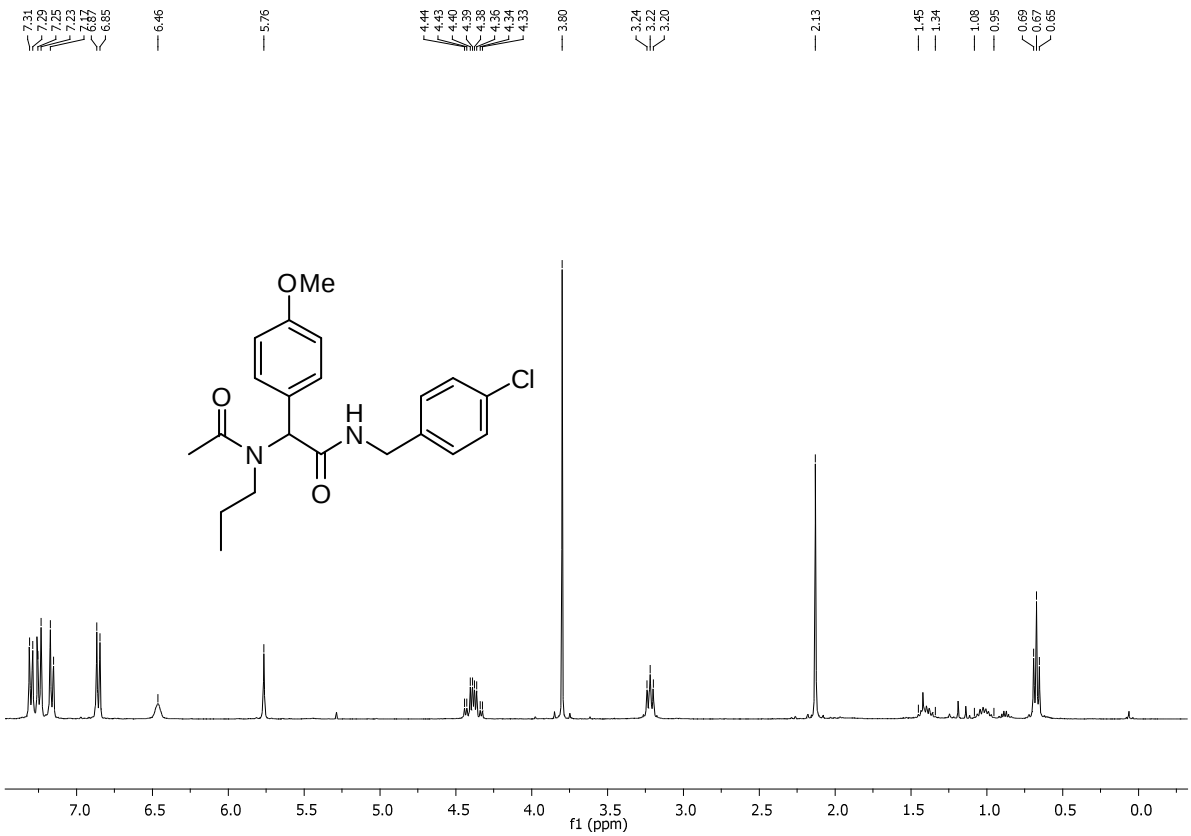
Rf: 0.2 (60:40 Ethyl acetate/ Petroleum ether)

Flash chromatography: (80:20 Ethyl acetate/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.30 (d, *J* = 8.5 Hz, 2H), 7.24 (d, *J* = 8.4 Hz, 2H), 7.16 (d, *J* = 8.5 Hz, 2H), 6.86 (d, *J* = 8.7 Hz, 2H), 6.46 (br s,1H, NH), 5.76 (s, 1H), 4.42 (dd, *J* = 15.1 Hz, 6.0 Hz, 1H), 4.35 (dd, *J* = 15.1 Hz, 5.8 Hz, 1H), 3.80 (s, 3H, OMe), 3.22 (t, *J* = 8.2 Hz, 2H), 2.13 (s, 3H), 1.45-1.34 (m, 1H), 1.08-0.95 (m, 1H), 0.67 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 171.5, 170.4, 159.8, 136.8, 133.1, 130.9, 129.0, 128.8, 127.2, 114.2, 62.1, 55.4, 49.5, 42.9, 23.0, 21.9, 11.3.

HRMS: Calcd. for $C_{21}H_{25}ClN_2O_3$: 388.1554, Found: 388.1549.

I.R. (thin film): 3291, 3065, 2962, 2933, 1611, 1510, 1412, 1248, 1033 cm^{-1}.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4.33
3.80
3.24
3.22
3.20
2.13
1.45
1.34
1.08
0.95
0.69
0.67
0.65
OMe
Cl
O
N
H
N
O
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6.5
6.0
5.5
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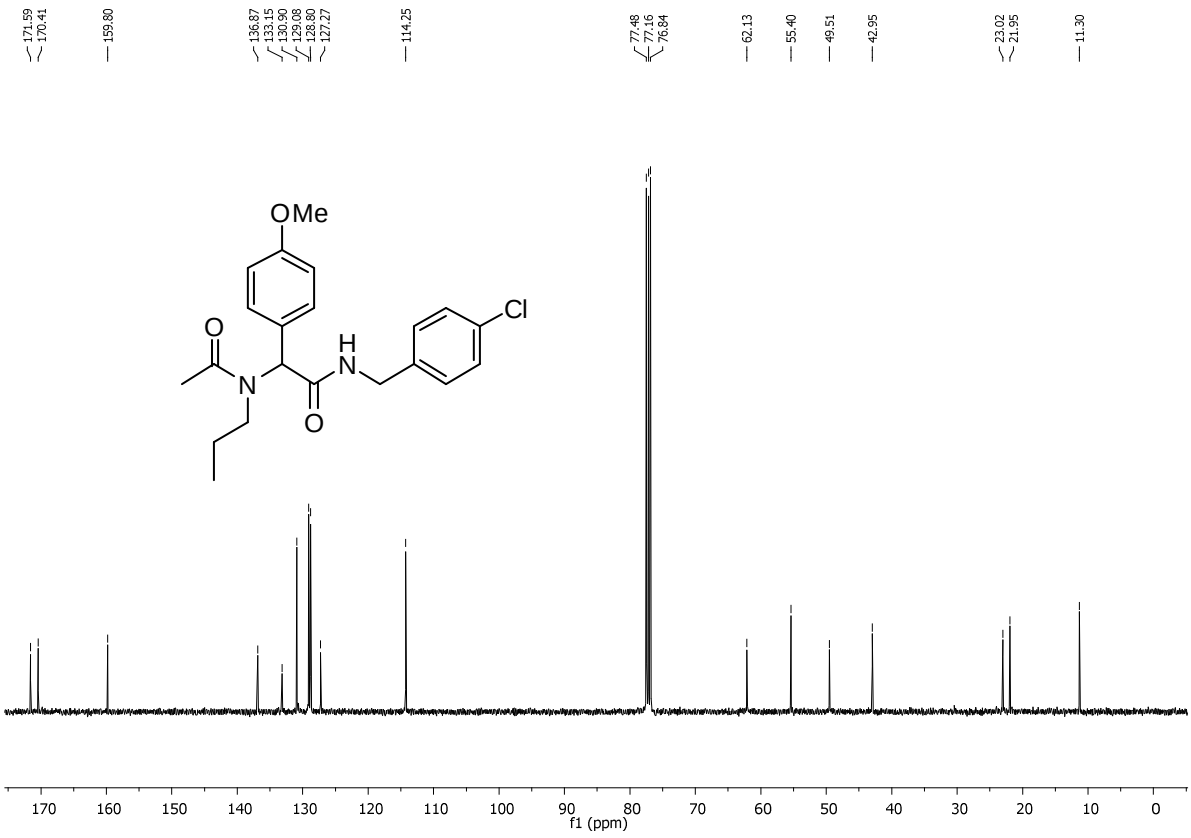
f1 (ppm)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H
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
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10
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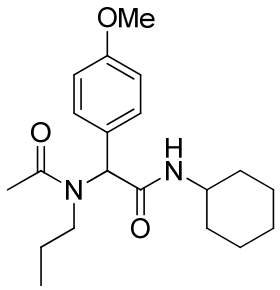
f1 (ppm)

***N*-cyclohexyl-2-(4-methoxyphenyl)-2-(*N*-propylacetamido)acetamide (2c)**



$C_{20}H_{30}N_2O_3$

Mol. Wt. = 346.463 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using 4-anisaldehyde (124 µl, 1.0 mmol), propylamine (83 µl, 1.0 mmol), acetic acid (57 µl, 1.0 mmol), and cyclohexyl isocyanide (124 µl, 1.0 mmol). The desired product was isolated in 73% yield (254 mg).

Nature: Pale solid

M.P. = 150.0-150.5°C

Rf: 0.4 (80:20 Ethyl acetate/ Petroleum ether)

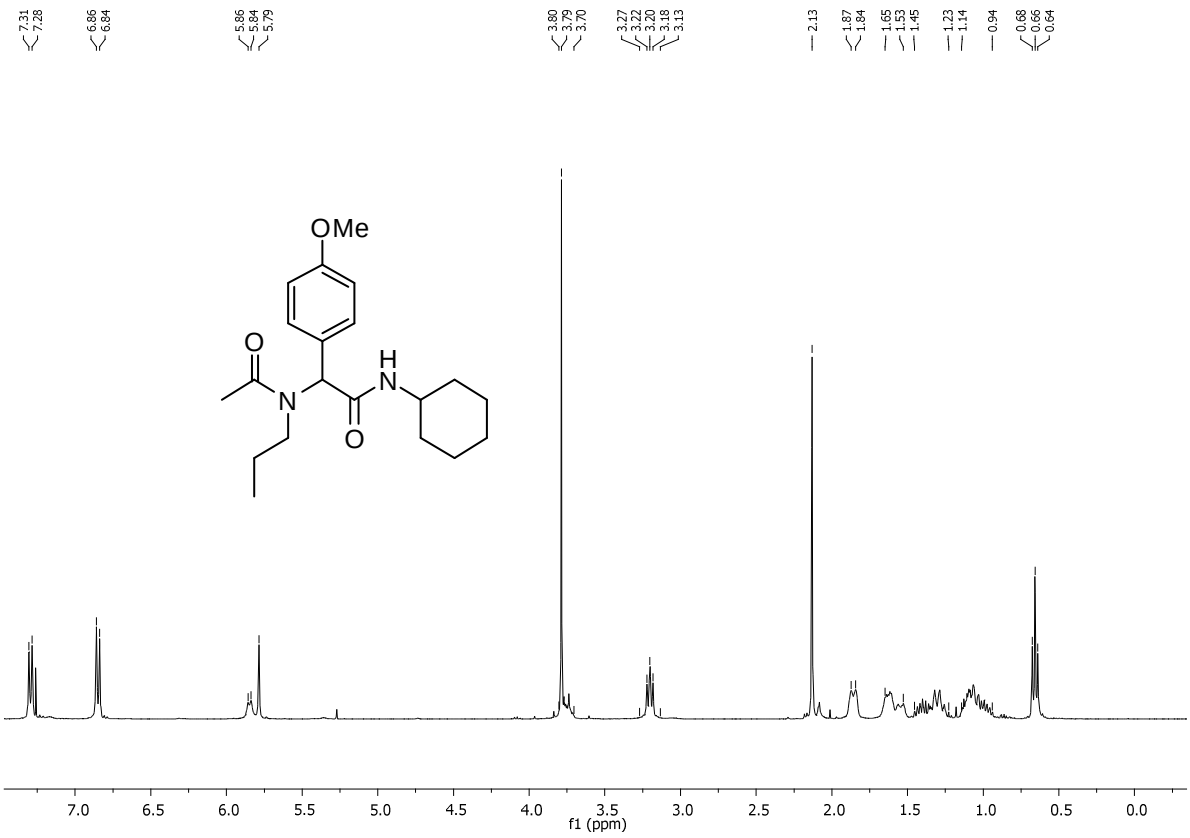
Flash chromatography: (80:20 Ethyl acetate/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.29 (d, *J* = 8.6 Hz, 2H), 6.85 (d, *J* = 8.7 Hz, 2H), 5.85 (d, *J* = 7.7 Hz, 1H, NH), 5.79 (s, 1H), 3.80-3.70 (m, 1H), 3.79 (s, 3H, OMe), 3.27-3.13 (m, 2H), 2.13 (s, 3H), 1.87-1.84 (m, 2H), 1.65-1.53 (m, 3H), 1.45-1.23 (m, 3H), 1.14-0.94 (m, 4H), 0.66 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 171.4, 169.2, 159.5, 130.7, 127.7, 114.1, 61.1, 55.3, 49.2, 48.5, 32.8, 32.8, 25.5, 24.8, 24.8, 23.0, 21.9, 11.3.

HRMS: Calcd. for $C_{20}H_{30}N_2O_3$: 346.2256, Found: 346.2263.

I.R. (thin film): 3290, 3054, 2928, 2852, 1609, 1509, 1412, 1245, 1176, 1028, 836, 730 cm^{-1}.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3.13
2.13
1.87
1.84
1.65
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1.45
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1.14
0.94
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0.66
0.64
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H
N
O
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6.0
5.5
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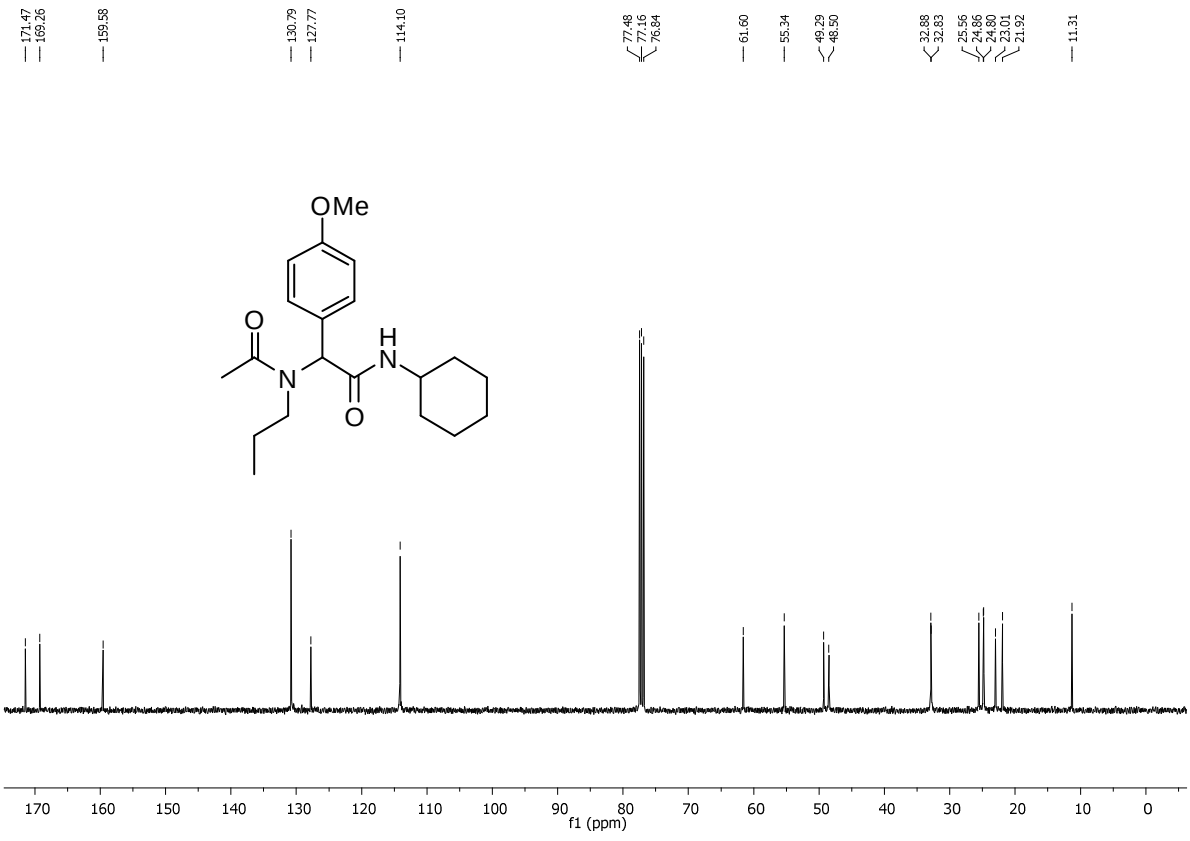
f1 (ppm)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H
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
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30
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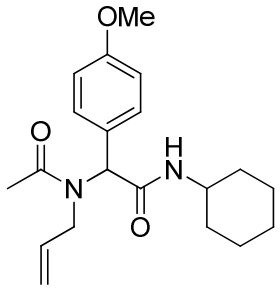
f1 (ppm)

2-(*N*-allylacetamido)-*N*-cyclohexyl-2-(4-methoxyphenyl)acetamide (2d)



$C_{20}H_{28}N_2O_3$

Mol. Wt. = 344.447 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using 4-anisaldehyde (248 µl, 2.0 mmol), allylamine (153 µl, 2.0 mmol), acetic acid (114 µl, 2.0 mmol), and cyclohexyl isocyanide (253 µl, 2.0 mmol). The desired product was isolated in 84% yield (578 mg).

Nature: White solid

M.P. = 133.6-134.1°C

Rf: 0.4 (60:40 Ethyl acetate/ Petroleum ether)

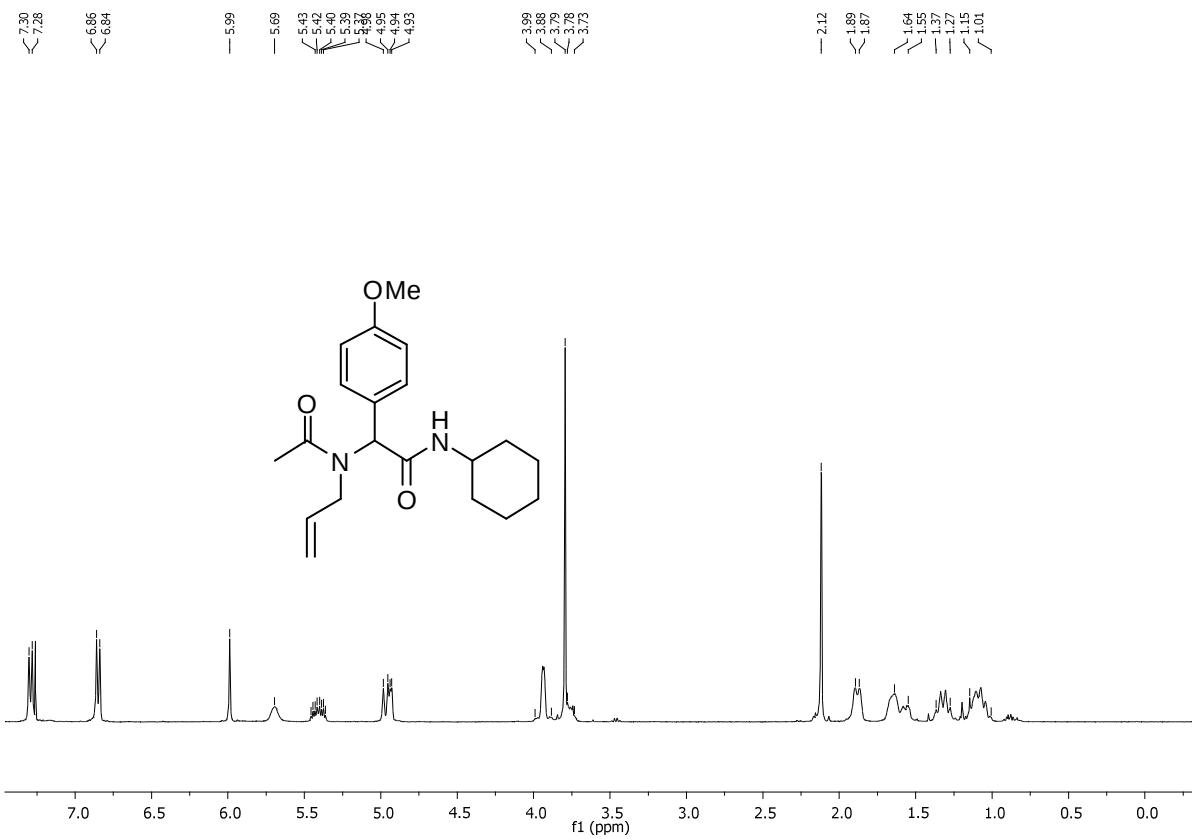
Flash chromatography: (80:20 Ethyl acetate/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.29 (d, *J* = 8.4 Hz, 2H), 6.85 (d, *J* = 8.5 Hz, 2H), 5.99 (s, 1H), 5.69 (br s, 1H, NH), 5.41 (ddt, *J* = 15.8, 10.4, 5.2 Hz, 1H), 4.97 (d, *J* = 11.9 Hz, 1H), 4.93 (d, *J* = 4.1 Hz, 1H), 3.99-3.87 (m, 2H), 3.79 (s, 3H, OMe), 3.78-3.73 (m, 1H), 2.12 (s, 3H), 1.89-1.87 (m, 2H, H-Cy), 1.64-1.55 (m, 3H, H-Cy), 1.37-1.27 (m, 2H, H-Cy), 1.15-1.01 (m, 3H, H-Cy).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 172.1, 169.0, 159.7, 134.4, 131.0, 127.5, 116.4, 114.1, 60.8, 55.3, 49.3, 48.6, 32.9, 32.9, 25.5, 24.9, 24.8, 22.2.

HRMS: Calcd. for $C_{20}H_{28}N_2O_3$: 344.2100, Found: 344.2097.

I.R. (thin film): 3285, 3069, 2926, 2852, 1620, 1509, 1406, 1245, 1177, 1031 cm^{-1}.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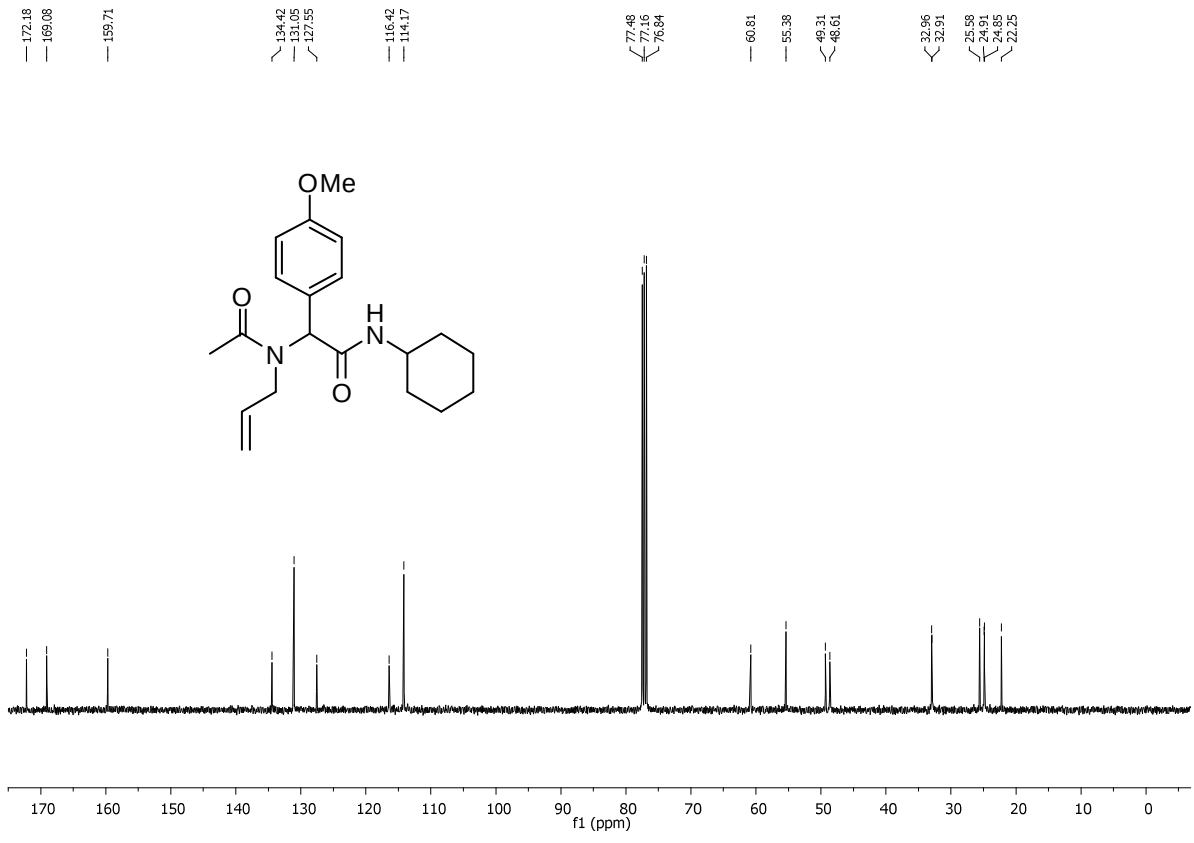
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H
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
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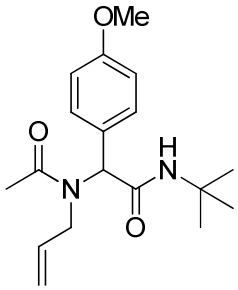
f1 (ppm)

2-(*N*-allylacetamido)-*N*-(*tert*-butyl)-2-(4-methoxyphenyl)acetamide (2e)



$C_{18}H_{26}N_2O_3$

Mol. Wt. = 318.410 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using 4-anisaldehyde (124 µl, 1.0 mmol), allylamine (76.5 µl, 1.0 mmol), acetic acid (57 µl, 1.0 mmol), and *tert*-butyl isocyanide (113 µl, 1.0 mmol). The desired product was isolated in 66% yield (212 mg).

Nature: Pale solid

M.P. = 152.7-153.2°C

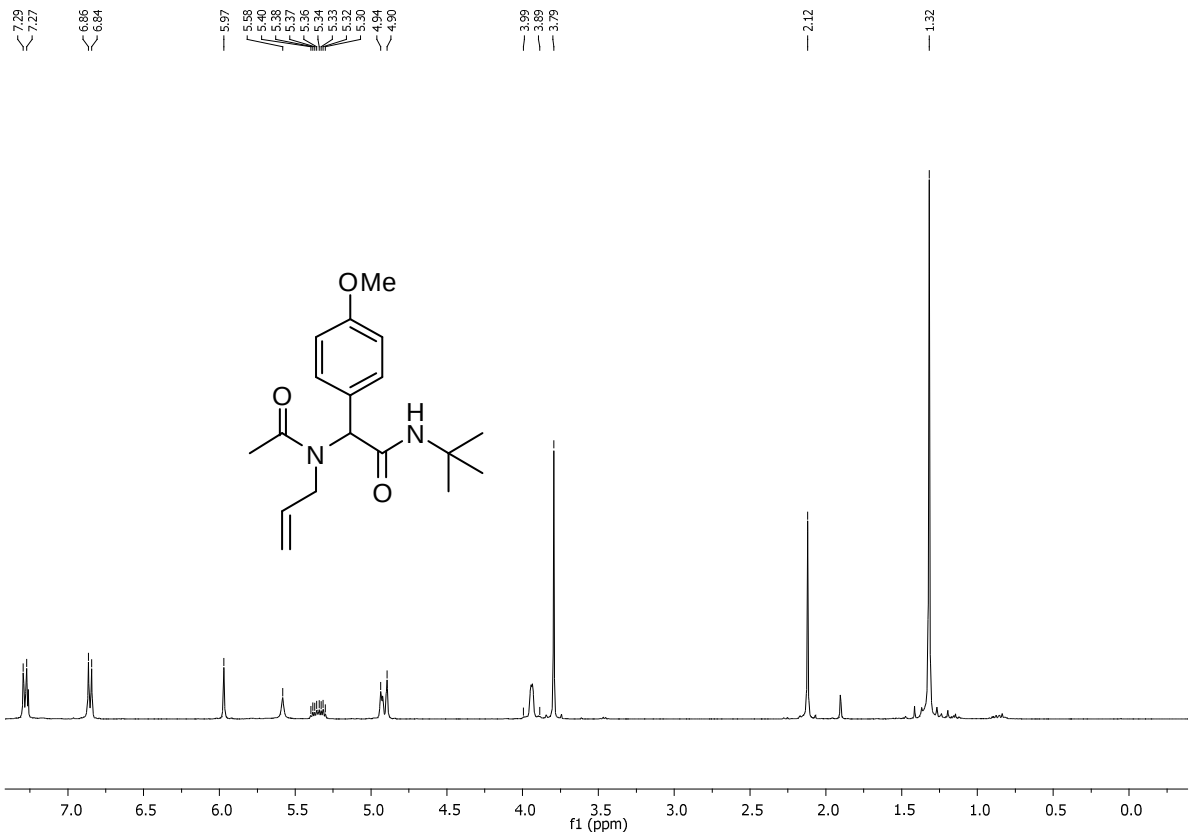
Rf: 0.4 (60:40 Ethyl acetate/ Petroleum ether)

Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.28 (d, *J* = 8.6 Hz, 2H), 6.85 (d, *J* = 8.6 Hz, 2H), 5.97 (s, 1H), 5.58 (br s, 1H, NH), 5.35 (ddd, *J* = 22.4, 10.4, 5.3 Hz, 1H), 4.94-4.90 (m, 2H), 3.99-3.89 (m, 2H), 3.79 (s, 3H, OMe), 2.12 (s, 3H), 1.32 (s, 9H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 172.1, 169.4, 159.7, 134.4, 131.0, 127.7, 116.3, 114.1, 60.9, 55.3, 51.6, 49.1, 28.7, 22.2.

HRMS: Calcd. for $C_{18}H_{26}N_2O_3$: 318.1943, Found: 318.1937.

I.R. (thin film): 3311, 3075, 2967, 1680, 1626, 1512, 1413, 1249, 1179, 1033 cm^{-1}.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5.30
4.94
4.90
3.99
3.89
3.79
2.12
1.32
OMe
O
N
H
N
O
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6.5
6.0
5.5
5.0
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1.0
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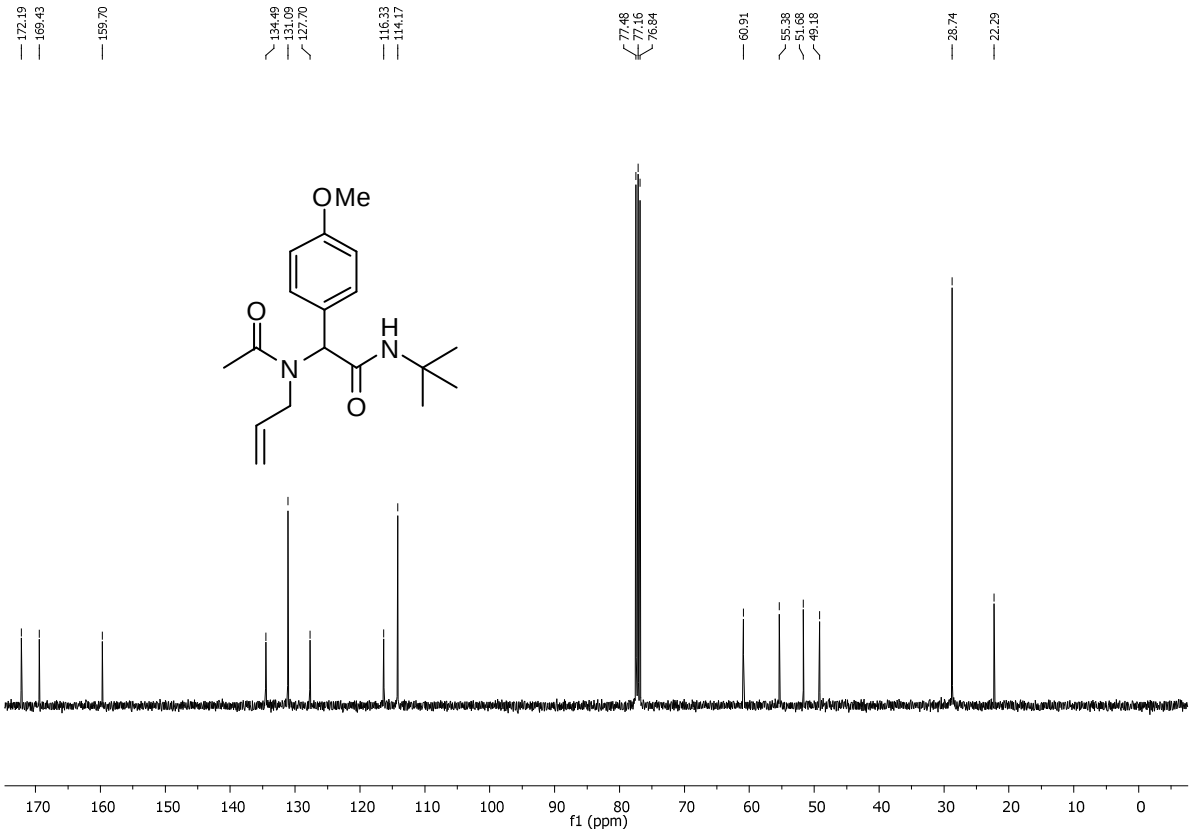
f1 (ppm)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127.70
116.33
114.17
77.48
77.16
76.84
60.91
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49.18
28.74
22.29
OMe
O
N
H
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
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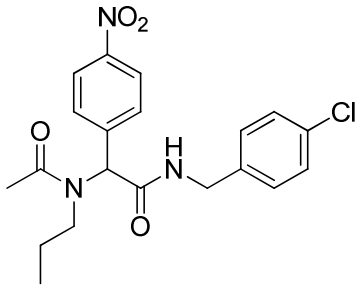
10
0
f1 (ppm)

***N*-(4-chlorobenzyl)-2-(4-nitrophenyl)-2-(*N*-propylacetamido)acetamide (2f)**



$C_{20}H_{22}ClN_3O_4$

Mol. Wt. = 403.859 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using 4-nitrobenzaldehyde (231 mg, 1.5 mmol), propylamine (125 µl, 1.5 mmol), acetic acid (86 µl, 1.5 mmol), and 4-chlorobenzyl isocyanide (225 µl, 1.5 mmol). The desired product was isolated in 70% yield (424 mg).

Nature: Pale solid

M.P. = 135.8-136.3°C

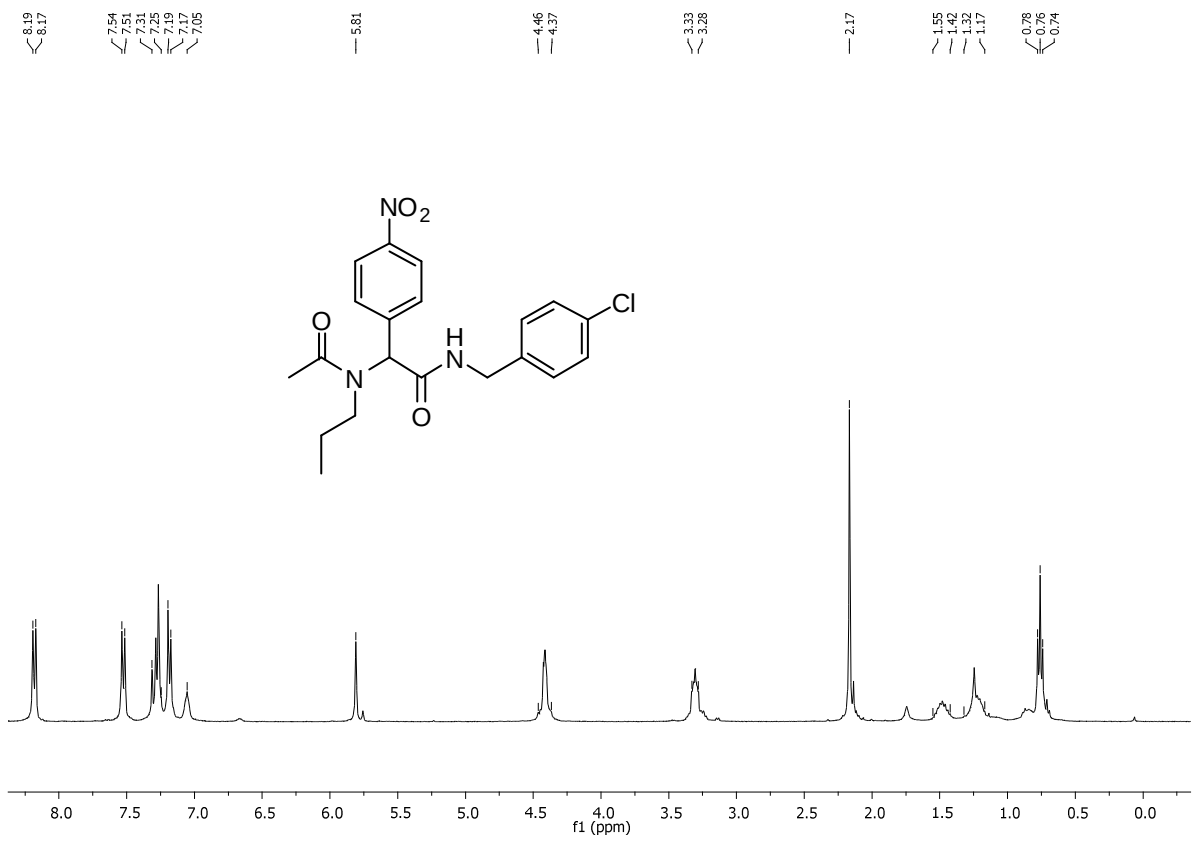
Rf: 0.2 (60:40 Ethyl acetate/ Petroleum ether)

Flash chromatography: (80:20 Ethyl acetate/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 8.18 (d, *J* = 8.6 Hz, 2H), 7.52 (d, *J* = 8.5 Hz, 2H), 7.31-7.25 (m, 2H), 7.18 (d, *J* = 8.2 Hz, 2H), 7.05 (br s, 1H, NH), 5.81 (s, 1H), 4.46-4.37 (m, 2H), 3.33-3.28 (m, 2H), 2.17 (s, 3H), 1.55-1.42 (m, 1H), 1.32-1.17 (m, 1H), 0.76 (t, *J* = 7.3 Hz, 3H)
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 172.1, 169.1, 147.7, 142.8, 136.4, 133.5, 129.5, 129.2, 128.9, 123.8, 62.7, 50.7, 43.1, 22.9, 21.9, 11.2.

HRMS: Calcd. for $C_{20}H_{22}ClN_3O_4$: 403.1299, Found: 403.1314.

I.R. (thin film): 3281, 3053, 2963, 2931, 2873, 1622, 1518, 1407, 1344, 1228, 1013 cm^{-1}.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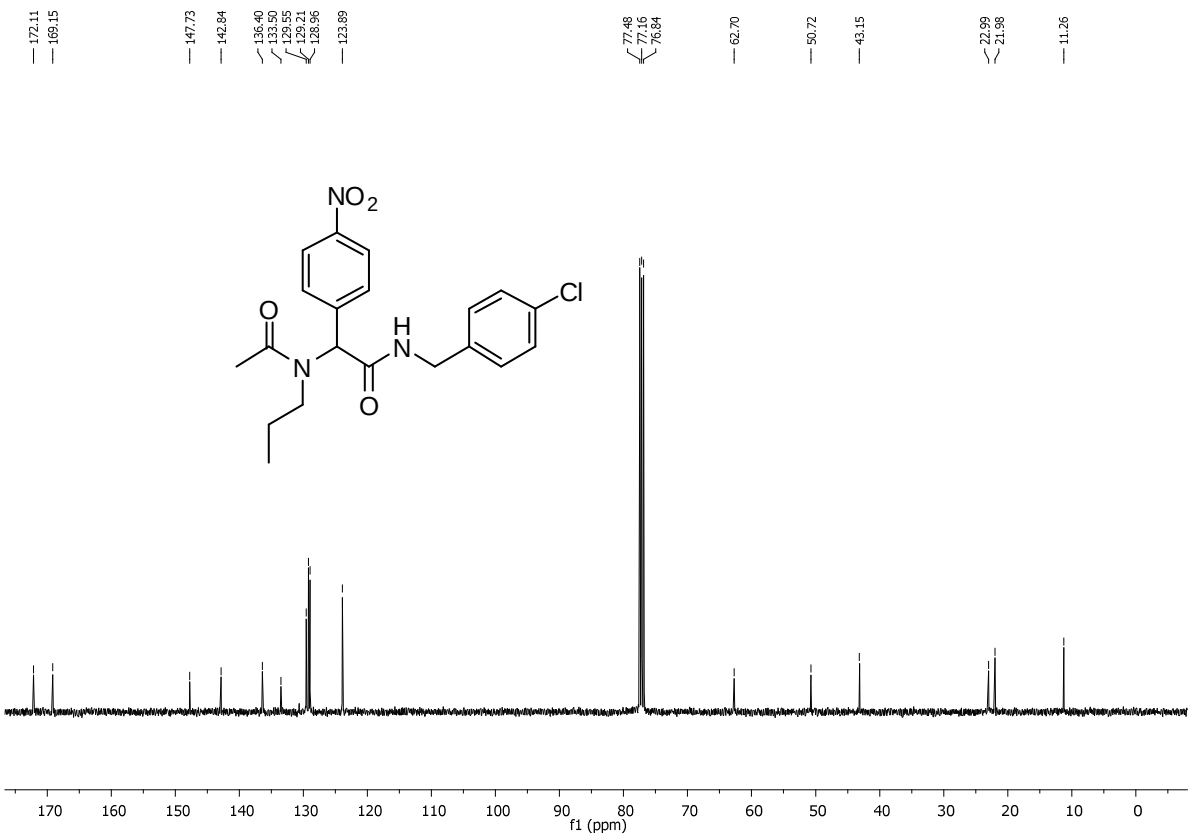
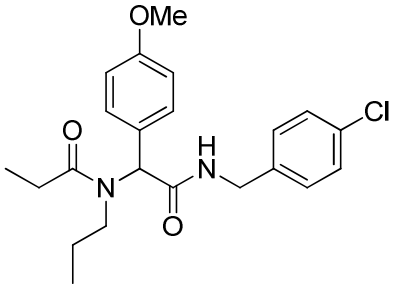
7.25
7.19
7.17
7.05
5.81
4.46
4.37
3.33
3.28
2.17
1.55
1.42
1.32
1.17
0.78
0.76
0.74
NO2
Cl
O
H
N
N
O
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


172.11
169.15
147.73
142.84
136.40
133.50
129.55
129.21
128.96
123.89
77.48
77.16
76.84
62.70
50.72
43.15
22.99
21.98
11.26
NO2
Cl
O
H
N
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(2-((4-chlorobenzyl)amino)-1-(4-methoxyphenyl)-2-oxoethyl)-*N*-propylpropionamide (2g)**



$C_{22}H_{27}ClN_2O_3$

Mol. Wt. = 402.914 g.mol^{-1}

This compound was synthesized according to the general procedure **I**, using 4-anisaldehyde (186 µl, 1.5 mmol), propylamine (125 µl, 1.5 mmol), propionic acid (112 µl, 1.5 mmol), and 4-chlorobenzyl isocyanide (225 µl, 1.5 mmol). The desired product was isolated in 86% yield (524 mg).

Nature: White solid

M.P. = 148.1-148.7°C

Rf: 0.6 (80:20 Ethyl acetate/ Petroleum ether)

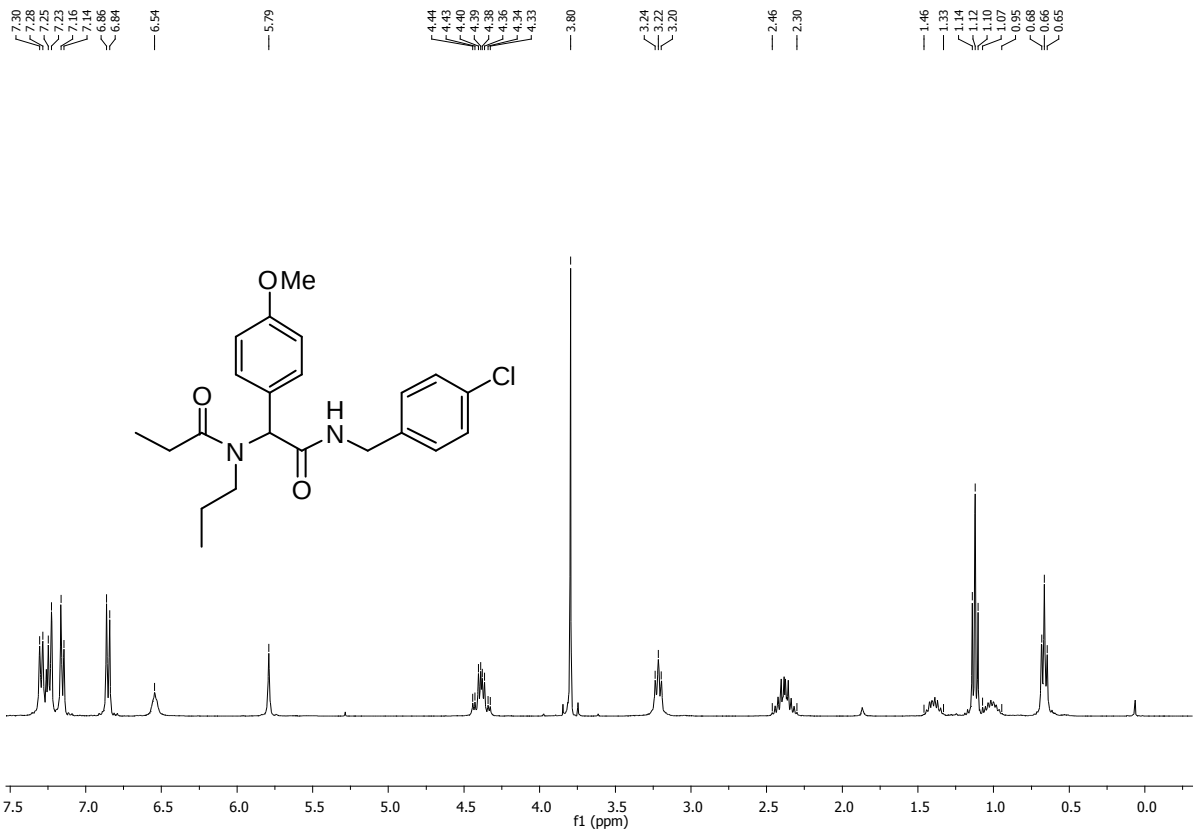
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

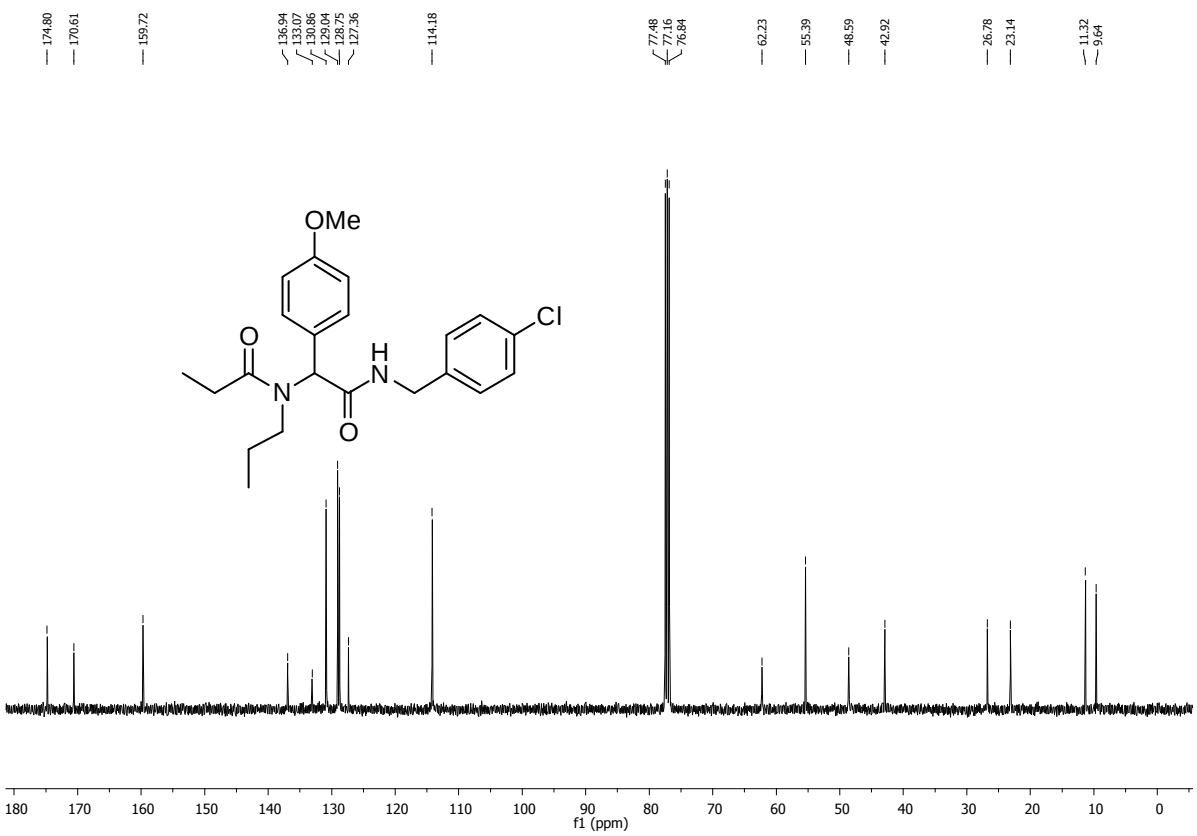
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.29 (d, *J* = 8.4 Hz, 2H), 7.24 (d, *J* = 8.3 Hz, 2H), 7.15 (d, *J* = 8.2 Hz, 2H), 6.85 (d, *J* = 8.6 Hz, 2H), 6.54 (br s, 1H, NH), 5.79 (s, 1H), 4.42 (dd, *J* = 15.1, 5.9 Hz, 1H), 4.35 (dd, *J* = 15.1, 5.8 Hz, 1H), 3.80 (s, 3H, OMe), 3.22 (t, *J* = 8.1 Hz, 2H), 2.46-2.30 (m, 2H), 1.46-1.33 (m, 1H), 1.12 (t, *J* = 7.4 Hz, 3H), 1.07-0.95 (m, 1H), 0.66 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 174.8, 170.6, 159.7, 136.9, 133.0, 130.8, 129.0, 128.7, 127.3, 114.1, 62.2, 55.3, 48.5, 42.9, 26.7, 23.1, 11.3, 9.6.

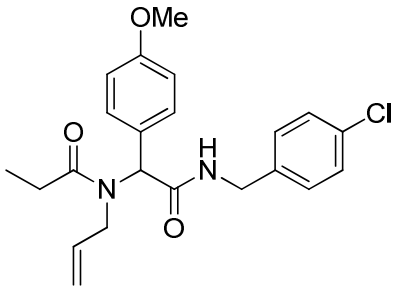
HRMS: Calcd. for $C_{22}H_{27}ClN_2O_3$: 402.1710, Found: 402.1707.

I.R. (thin film): 3291, 3066, 3962, 2934, 1611, 1510, 1419, 1248, 1178, 1032 cm^{-1}.


7.30
7.28
7.25
7.23
7.16
7.14
6.86
6.84
6.54
5.79
4.44
4.43
4.40
4.39
4.38
4.36
4.34
4.33
3.80
3.24
3.22
3.20
2.46
2.30
1.46
1.33
1.14
1.12
1.10
1.07
0.95
0.68
0.66
0.65
OMe
Cl
O
N
H
N
O
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


174.80
170.61
159.72
136.94
133.07
130.86
129.04
128.75
127.36
114.18
77.48
77.16
76.84
62.23
55.39
48.59
42.92
26.78
23.14
11.32
9.64
OMe
Cl
O
N
H
N
O
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-allyl-*N*-(2-((4-chlorobenzyl)amino)-1-(4-methoxyphenyl)-2-oxoethyl)propionamide (2h)**



$C_{22}H_{25}ClN_2O_3$

Mol. Wt. = 400.898 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using 4-anisaldehyde (124 µl, 1.0 mmol), allylamine (76.5 µl, 1.0 mmol), propionic acid (75 µl, 1.0 mmol), and 4-chlorobenzyl isocyanide (150 µl, 1.0 mmol). The desired product was isolated in 89% yield (360 mg).

Nature: Pale solid

M.P. = 115.4-115.9°C

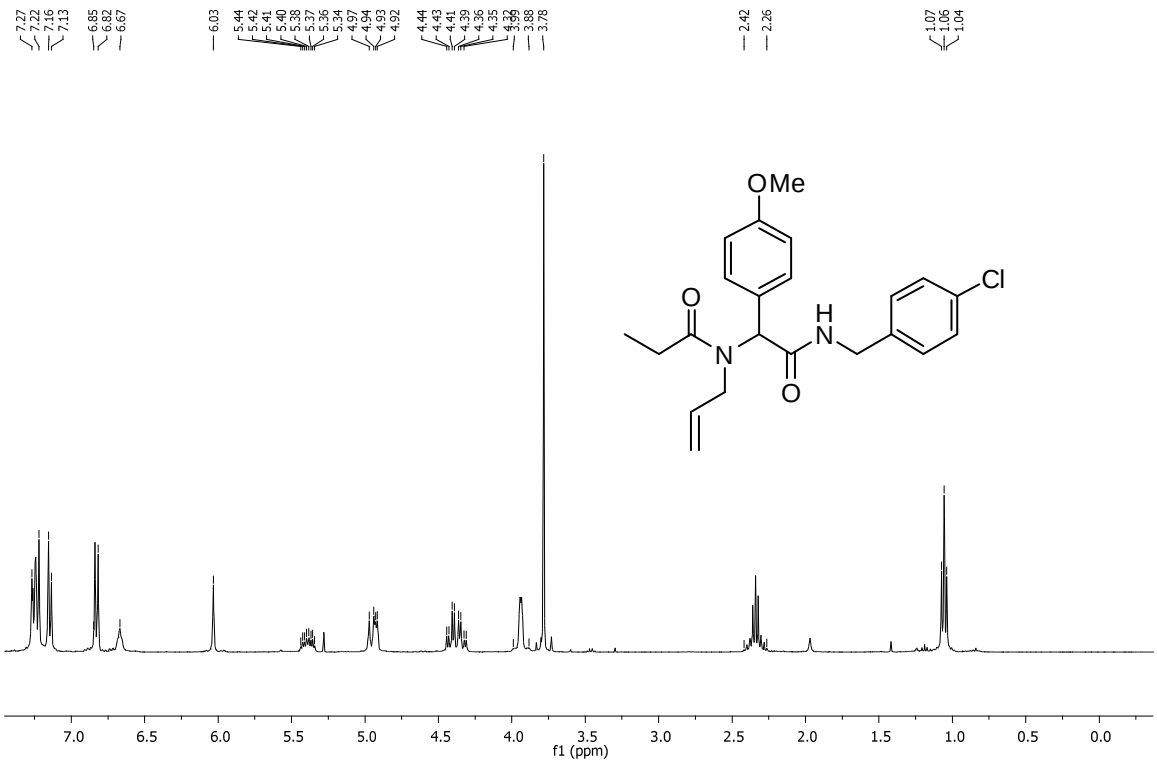
Rf: 0.6 (60:40 Ethyl acetate/ Petroleum ether)

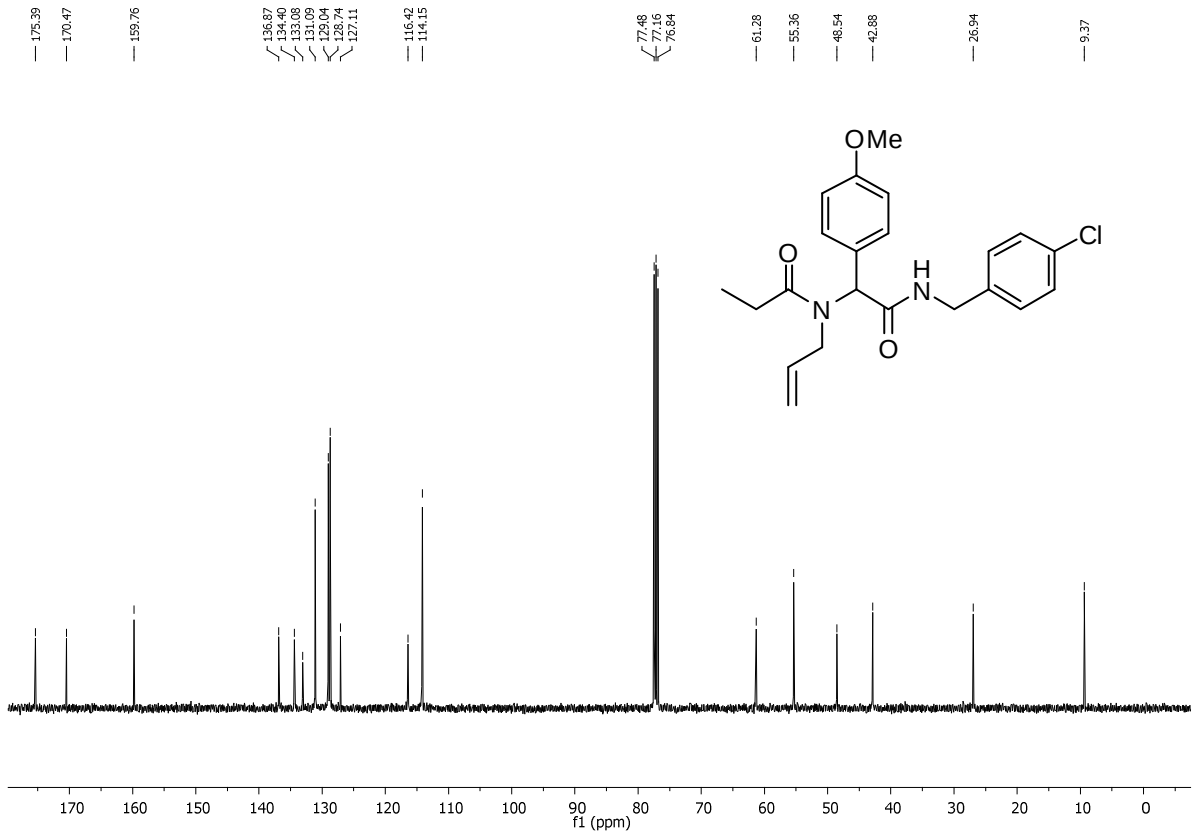
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.27-7.22 (m, 4H), 7.15 (d, *J* = 8.3 Hz, 2H), 6.85-6.82 (m, 2H), 6.67 (br s, 1H, NH), 6.03 (s, 1H), 5.39 (ddd, *J* = 22.3, 10.3, 5.2 Hz, 1H), 4.96 (d, *J* = 12.4, 1H), 4.92 (d, *J* = 4.8, 1H), 4.42 (dd, *J* = 15.0, 6.0 Hz, 1H), 4.34 (dd, *J* = 15.1, 5.8 Hz, 1H), 3.99-3.88 (m, 2H), 3.78 (s, 3H, OMe), 2.42-2.26 (m, 2H), 1.06 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 175.3, 170.4, 159.7, 136.8, 134.4, 133.0, 131.0, 129.0, 128.7, 127.1, 116.4, 114.1, 61.2, 55.3, 48.5, 42.8, 26.9, 9.3.

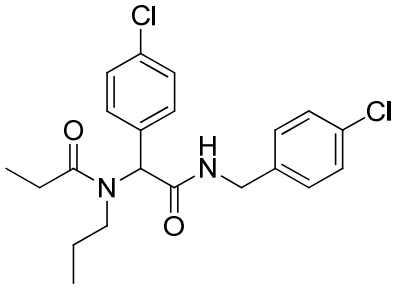
HRMS: Calcd. for $C_{22}H_{25}ClN_2O_3$: 400.1554, Found: 400.1559.

I.R. (thin film): 3291, 3069, 2974, 2936, 2836, 1623, 1510, 1409, 1247, 1177, 1032 cm^{-1}.


7.27
7.22
7.16
7.13
6.85
6.82
6.67
6.03
5.44
5.42
5.41
5.40
5.38
5.37
5.36
5.34
4.97
4.94
4.93
4.92
4.44
4.43
4.41
4.39
4.36
4.35
3.92
3.88
3.78
2.42
2.26
1.07
1.06
1.04
OMe
Cl
O
N
H
N
O
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


175.39
170.47
159.76
136.87
134.40
133.08
131.09
129.04
128.74
127.11
116.42
114.15
77.48
77.16
76.84
61.28
55.36
48.54
42.88
26.94
9.37
OMe
Cl
O
N
H
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(2-((4-chlorobenzyl)amino)-1-(4-chlorophenyl)-2-oxoethyl)-*N*-propylpropionamide (2i)**



$C_{21}H_{24}Cl_2N_2O_2$

Mol. Wt. = 407.333 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using 4-chlorobenzaldehyde (215 mg, 1.5 mmol), propylamine (225 µl, 1.5 mmol), propionic acid (112 µl, 1.5 mmol), and 4-chlorobenzyl isocyanide (225 µl, 1.5 mmol). The desired product was isolated in 61% yield (378 mg).

Nature: White solid

M.P. = 148.3-148.8°C

Rf: 0.4 (50:50 Ethyl acetate/ Petroleum ether)

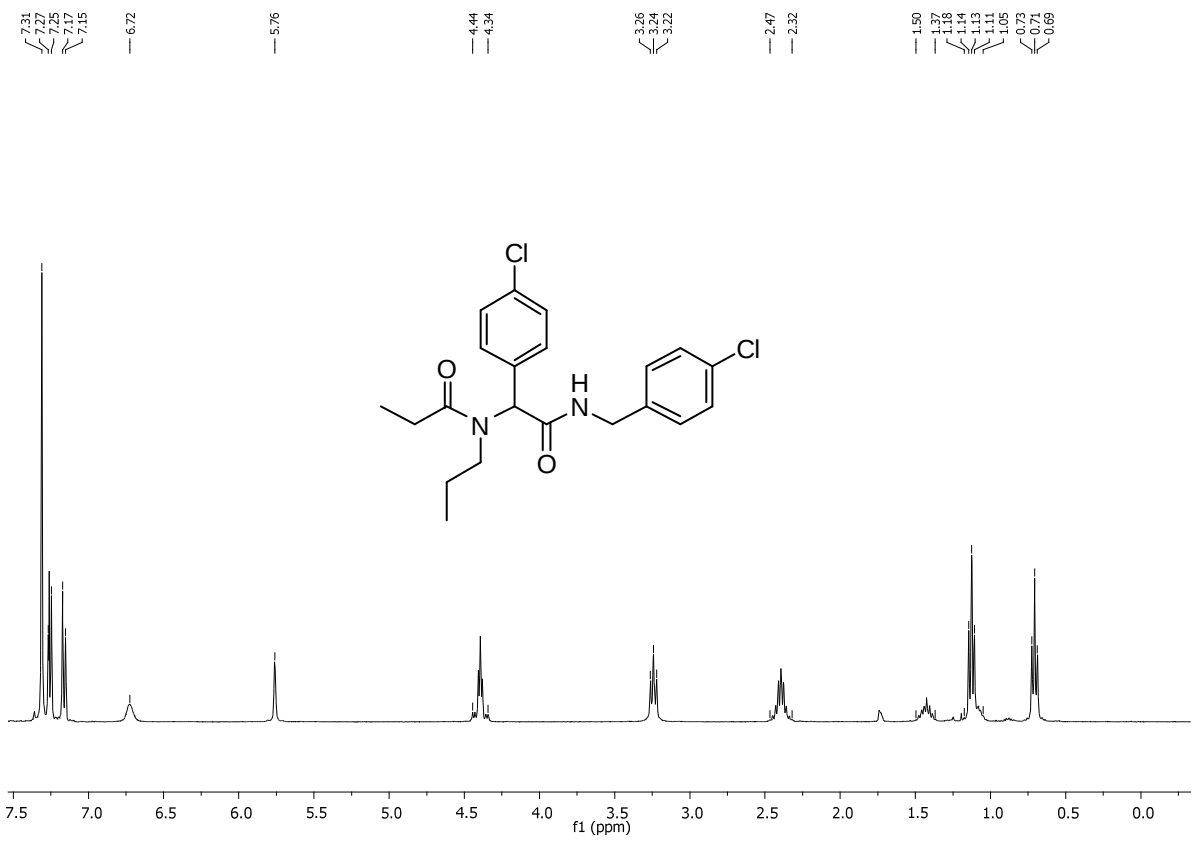
Flash chromatography: (70:30 Diethyl ether/ Petroleum ether)

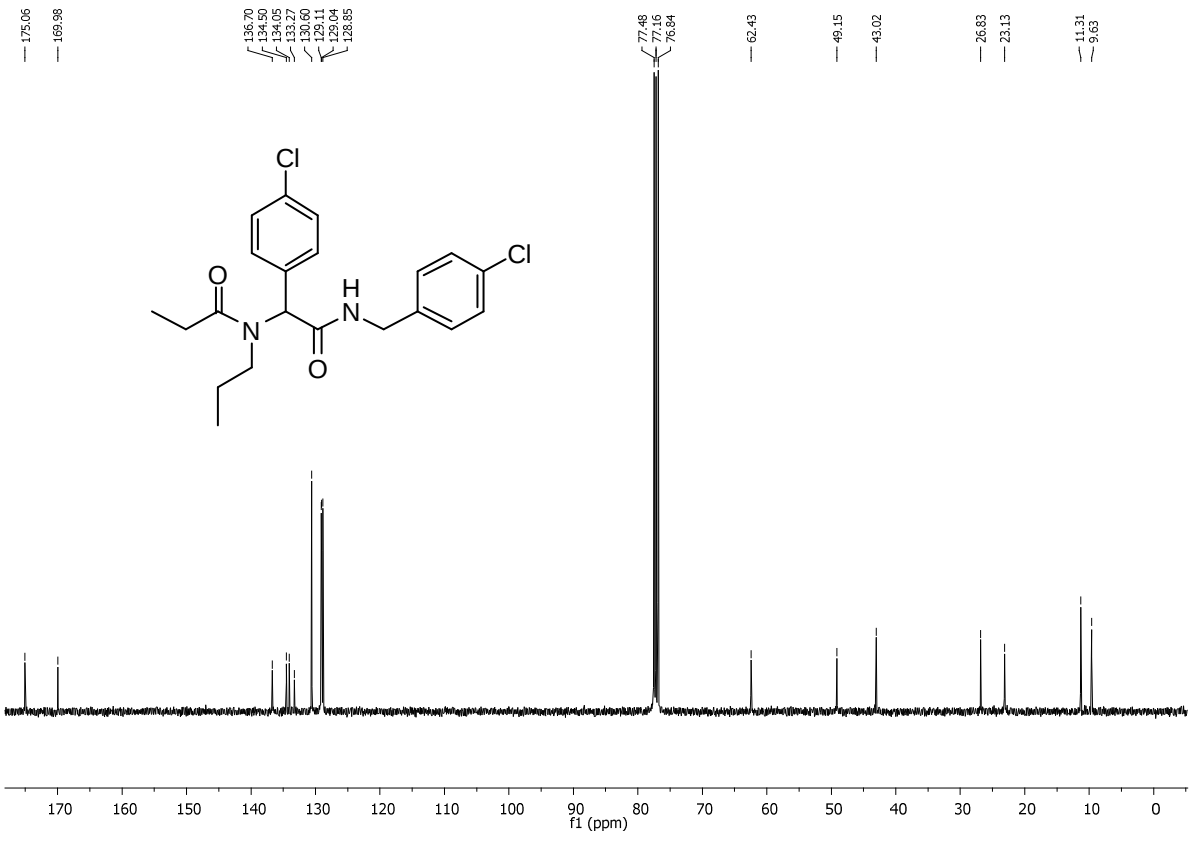
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.31 (s, 4H), 7.26 (d, *J* = 8.2 Hz, 2H), 7.16 (d, *J* = 8.3 Hz, 2H), 6.72 (br s, 1H, NH), 5.76 (s, 1H), 4.44-4.34 (m, 2H), 3.24 (t, *J* = 8.1 Hz, 2H), 2.47-2.32 (m, 2H), 1.50-1.37 (m, 1H), 1.17-1.06 (m, 1H), 1.13 (t, *J* = 7.4 Hz, 3H), 0.71 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 175.0, 169.9, 136.7, 134.5, 134.0, 133.2, 130.6, 129.1, 129.0, 128.8, 62.4, 49.1, 43.0, 26.8, 23.1, 11.3, 9.6.

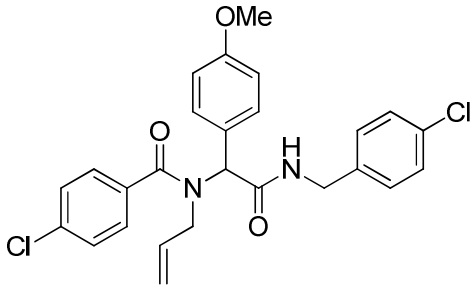
HRMS: Calcd. for $C_{21}H_{24}Cl_2N_2O_2$: 406.1215, Found: 406.1218.

I.R. (thin film): 3292, 3066, 2967, 2935, 1625, 1546, 1491, 1420, 1231, 1091 cm^{-1}.


7.31
7.27
7.25
7.17
7.15
6.72
5.76
4.44
4.34
3.26
3.24
3.22
2.47
2.32
1.50
1.37
1.18
1.14
1.13
1.11
1.05
0.73
0.71
0.69
Cl
Cl
O
N
H
N
O
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


175.06
169.98
136.70
134.50
134.05
133.27
130.60
129.11
129.04
128.85
77.48
77.16
76.84
62.43
49.15
43.02
26.83
23.13
11.31
9.63
Cl
Cl
O
N
H
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-allyl-4-chloro-*N*-(2-((4-chlorobenzyl)amino)-1-(4-methoxyphenyl)-2-oxoethyl)benzamide (2j)**



$C_{26}H_{24}Cl_2N_2O_3$

Mol. Wt. = 483.386 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using 4-anisaldehyde (124 µl, 1.0 mmol), allylamine (76.5 µl, 1.0 mmol), 4-chlorobenzoic acid (158 mg, 1.0 mmol), and 4-chlorobenzyl isocyanide (150 µl, 1.0 mmol). The desired product was isolated in 88% yield (429 mg).

Nature: Pale solid

M.P. = 136.8-137.3°C

Rf: 0.7 (60:40 Ethyl acetate/ Petroleum ether)

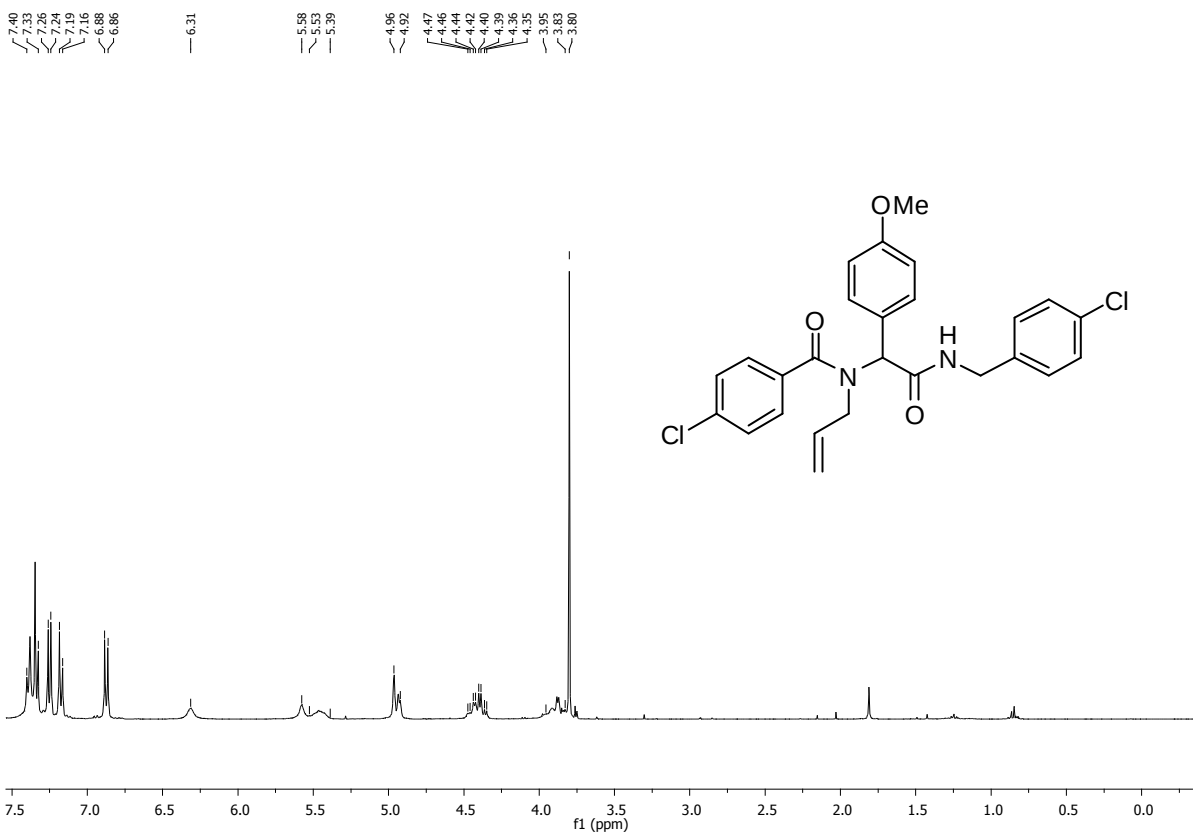
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

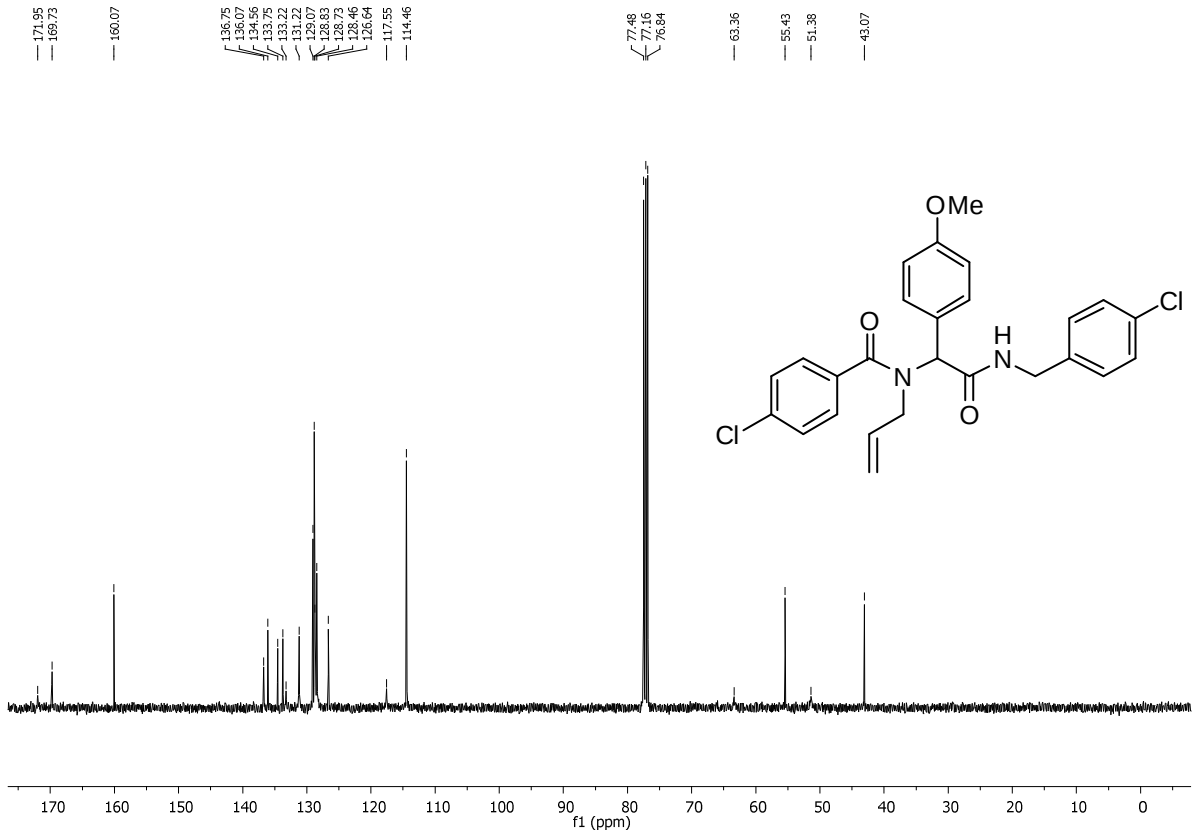
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.40-7.33 (m, 6H), 7.25 (d, *J* = 7.4 Hz, 2H), 7.18 (d, *J* = 8.4 Hz, 2H), 6.87 (d, *J* = 8.7 Hz, 2H), 6.31 (br s, 1H, NH), 5.58 (s, 1H), 5.53-5.39 (m, 1H), 4.96-4.92 (m, 2H), 4.45 (dd, *J* = 15.1, 5.8 Hz, 1H), 4.38 (dd, *J* = 15.1, 5.8 Hz, 1H), 3.95-3.83 (m, 2H), 3.80 (s, 3H, OMe).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 171.9, 169.7, 160.0, 136.7, 136.0, 134.5, 133.7, 133.2, 131.2, 129.0, 128.8, 128.7, 128.4, 126.6, 117.5, 144.4, 63.3, 55.4, 51.3, 43.0.

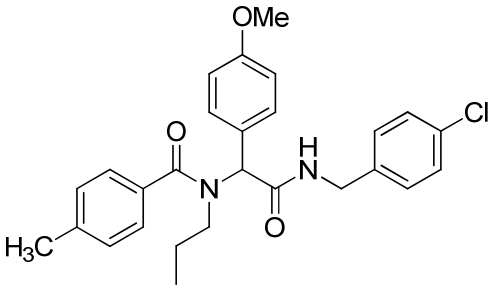
HRMS: Calcd. for $C_{26}H_{24}Cl_2N_2O_3$: 482.1164, Found: 482.1166.

I.R. (thin film): 3287, 3067, 2933, 2837, 1609, 1404, 1246, 1176, 1088, 924, 829 cm^{-1}.


7.40
7.33
7.26
7.24
7.19
7.16
6.88
6.86
6.31
5.58
5.53
5.39
4.96
4.92
4.47
4.46
4.44
4.42
4.40
4.39
4.36
4.35
3.95
3.83
3.80
OMe
Cl
O
N
H
N
O
Cl
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


171.95
169.73
160.07
136.75
136.07
134.56
133.75
133.22
131.22
129.07
128.83
128.73
128.46
126.64
117.55
114.46
77.48
77.16
76.84
63.36
55.43
51.38
43.07
OMe
Cl
O
N
H
N
O
Cl
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(2-((4-chlorobenzyl)amino)-1-(4-methoxyphenyl)-2-oxoethyl)-4-methyl-*N*-propylbenzamide (2k)**



$C_{27}H_{29}ClN_2O_3$

Mol. Wt. = 464.983 g.mol^{-1}

This compound was synthesized according to the general procedure **I**, using 4-anisaldehyde (186 µl, 1.5 mmol), propylamine (225 µl, 1.5 mmol), p-toluic acid (208 mg, 1.5 mmol), and 4-chlorobenzyl isocyanide (225 µl, 1.5 mmol). The desired product was isolated in 65% yield (453 mg).

Nature: White solid

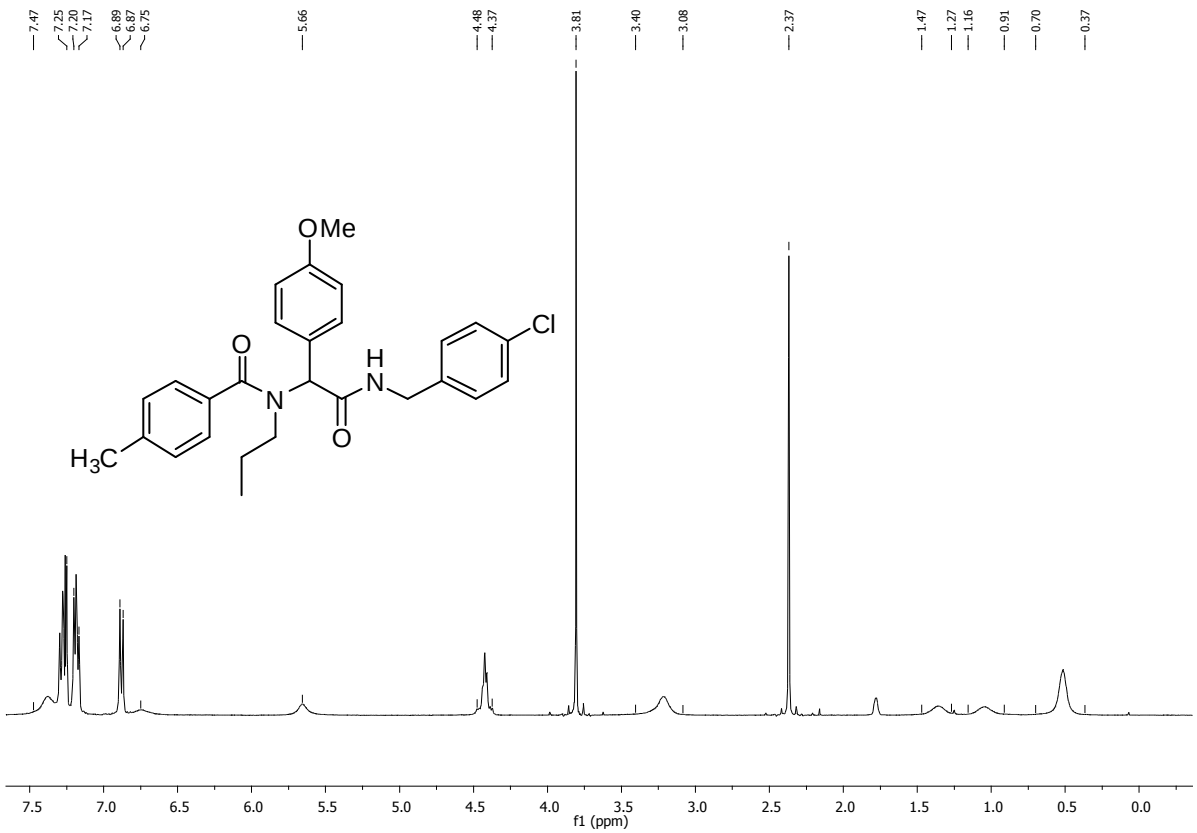
M.P. = 142.9-143.4°C

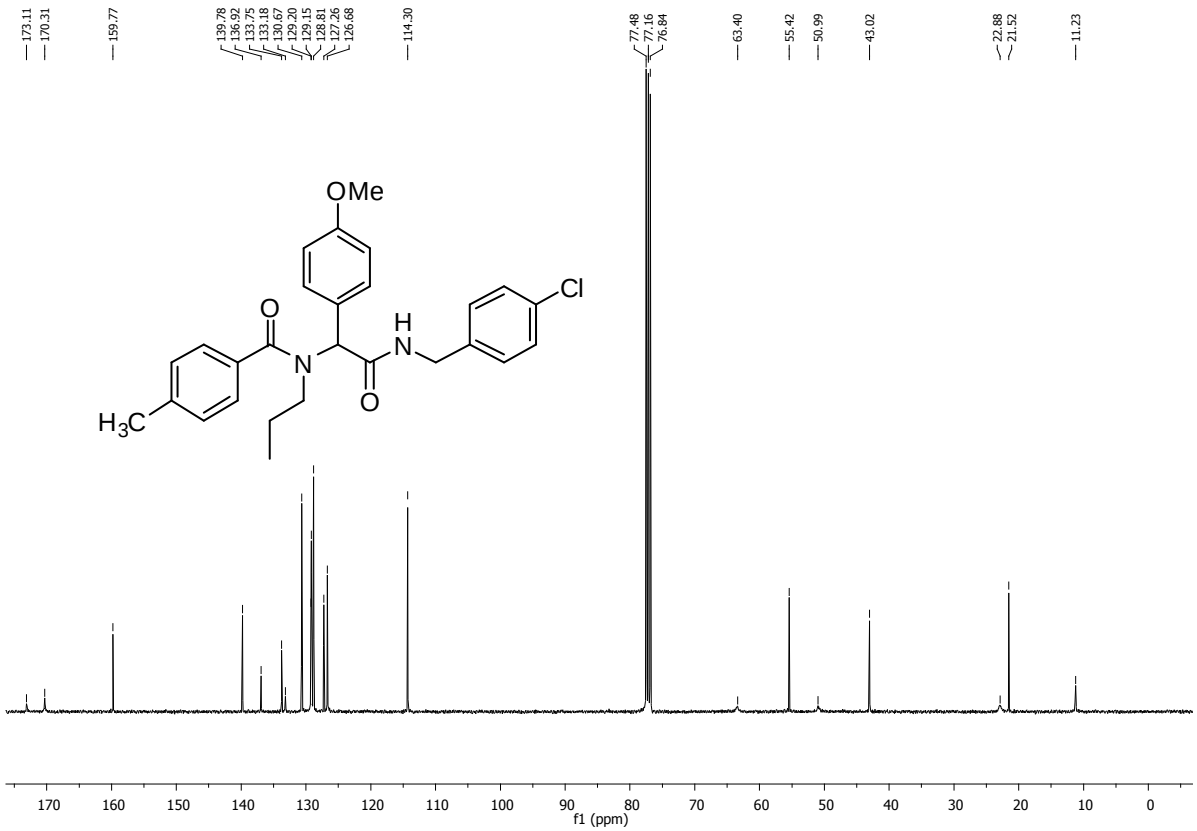
Rf: 0.7 (70:30 Ethyl acetate/ Petroleum ether)

Flash chromatography: (60:40 Diethyl ether/ Petroleum ether)

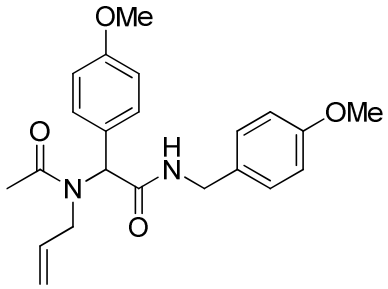
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.47-7.25 (m, 6H), 7.20-7.17 (m, 4H), 6.88 (d, *J* = 8.6 Hz, 2H), 6.75 (br s, 1H, NH), 5.66 (s, 1H), 4.48-4.37 (m, 2H), 3.81 (s, 3H, OMe), 3.40-3.08 (m, 2H), 2.37 (s, 3H), 1.47-1.27 (m, 1H), 1.16-0.91 (m, 1H), 0.70-0.37 (m, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 173.1, 170.3, 159.7, 139.7, 136.9, 133.7, 133.1, 130.6, 129.2, 129.1, 128.8, 127.2, 126.6, 114.3, 63.4, 55.4, 50.9, 43.0, 22.8, 21.5, 11.2.
HRMS: Calcd. for $C_{27}H_{29}ClN_2O_3$: 464.1867, Found: 464.1868.

I.R. (thin film): 3292, 3064, 2961, 2933, 1670, 1609, 1511, 1411, 1249, 1178, 1093 cm^{-1}.


7.47
7.25
7.20
7.17
6.89
6.87
6.75
5.66
4.48
4.37
3.81
3.40
3.08
2.37
1.47
1.27
1.16
0.91
0.70
0.37
OMe
Cl
O
N
H
N
O
H3C
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


173.11
170.31
159.77
139.78
136.92
133.75
133.18
130.67
129.20
129.15
128.81
127.26
126.68
114.30
77.48
77.16
76.84
63.40
55.42
50.99
43.02
22.88
21.52
11.23
OMe
Cl
O
N
H
N
O
H3C
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

2-(*N*-allylacetamido)-*N*-(4-methoxybenzyl)-2-(4-methoxyphenyl)acetamide (2l)



$C_{22}H_{26}N_2O_4$

Mol. Wt. = 382.452 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using 4-anisaldehyde (124 µl, 1.0 mmol), allylamine (76.5 µl, 1.0 mmol), acetic acid (57 µl, 1.0 mmol), and 4-methoxybenzyl isocyanide (150 µl, 1.0 mmol). The desired product was isolated in 70% yield (271 mg).

Nature: Pale solid

M.P. = 104.0-140.5°C

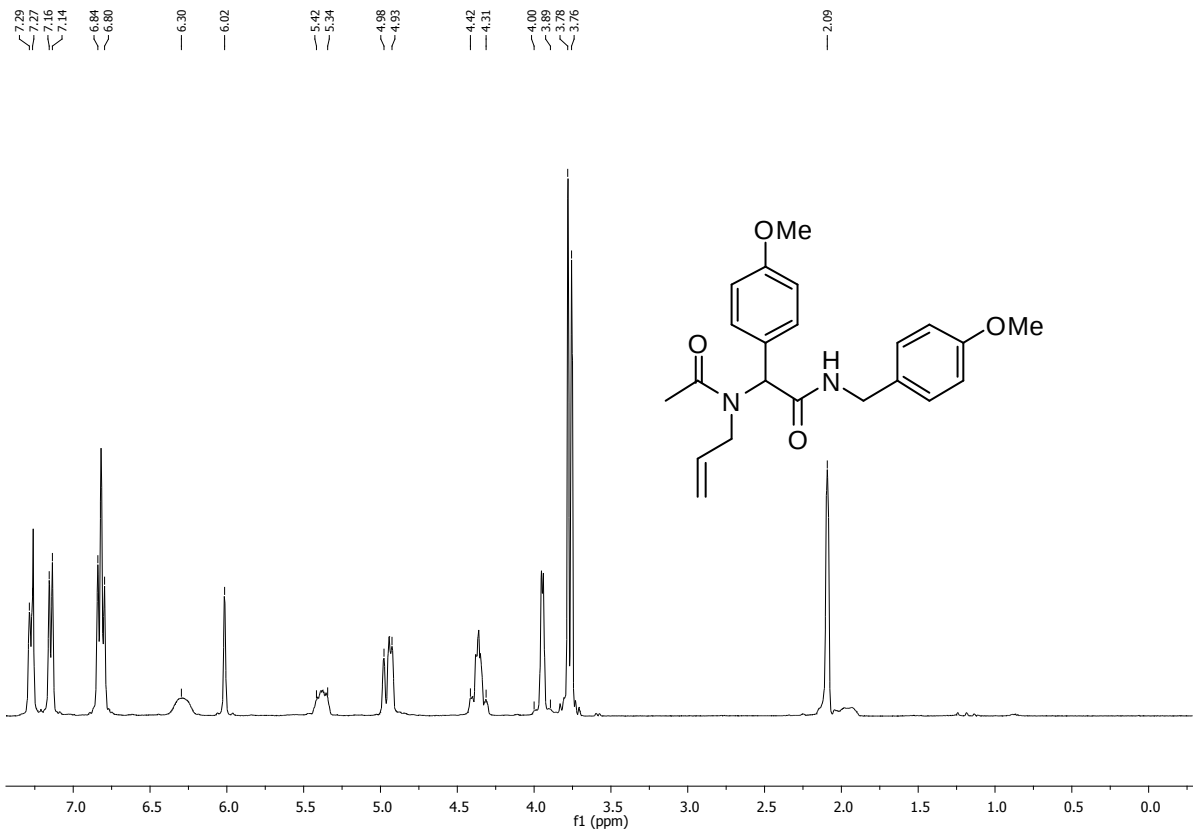
Rf: 0.2 (60:40 Ethyl acetate/ Petroleum ether)

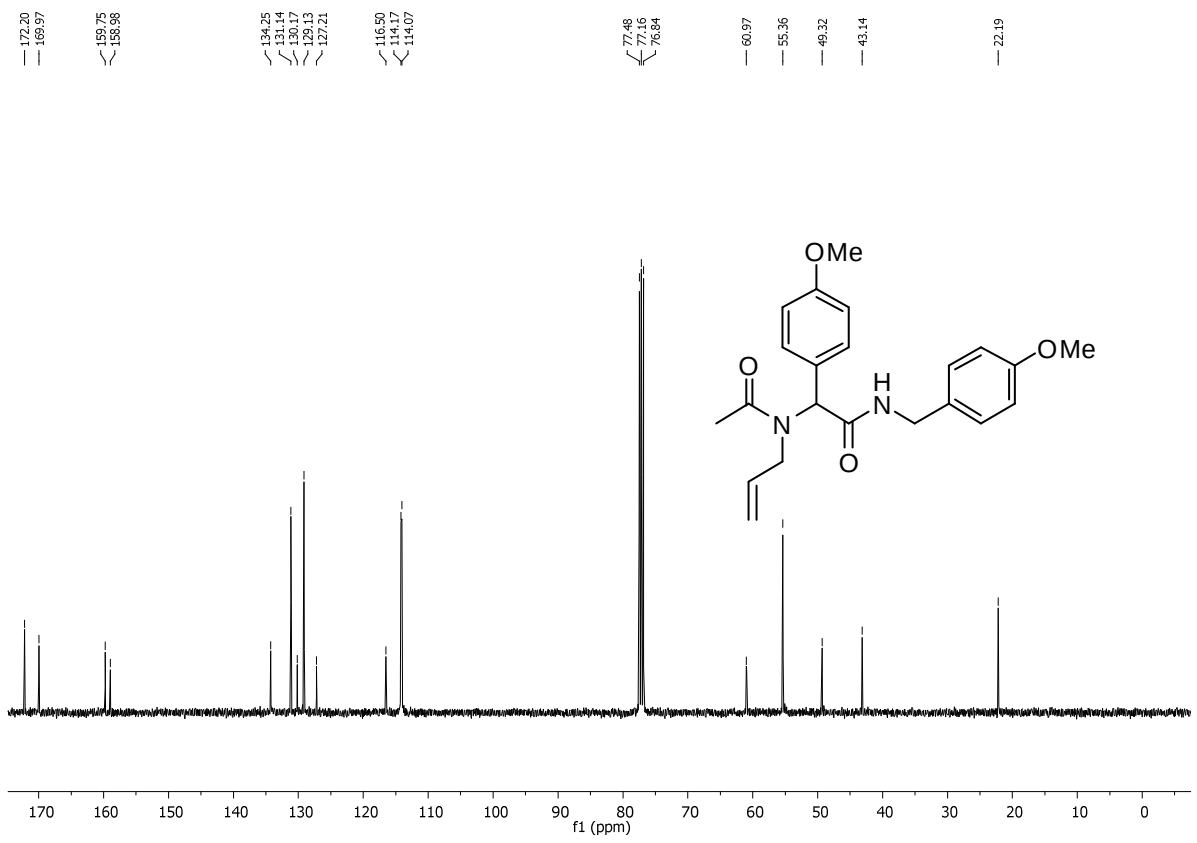
Flash chromatography: (80:20 Ethyl acetate/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.29-7.27 (m, 2H), 7.15 (d, *J* = 8.0 Hz, 2H), 6.84-6.80 (m, 4H), 6.30 (br s, 1H, NH), 6.02 (s, 1H), 5.42-5.34 (m, 1H), 4.98-4.93 (m, 2H), 4.42-4.31 (m, 2H), 4.00-3.89 (m, 2H), 3.78 (s, 3H, OMe), 3.76 (s, 3H, OMe), 2.09 (s, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 172.2, 169.9, 159.7, 158.9, 134.2, 131.1, 130.1, 129.1, 127.2, 116.5, 114.1, 114.0, 60.9, 55.3, 49.3, 43.1, 22.1.

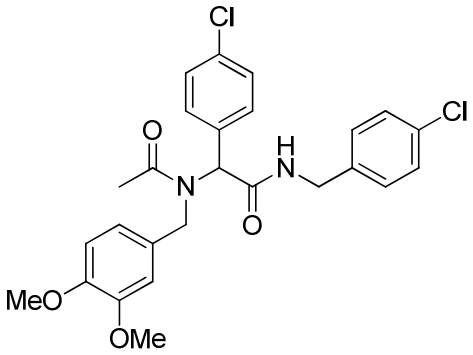
HRMS: Calcd. for $C_{22}H_{26}N_2O_4$: 382.1893, Found: 382.1898.

I.R. (thin film): 3284, 3070, 2933, 2835, 1609, 1509, 1407, 1240, 1176, 1030, 837 cm^{-1}.


7.29
7.27
7.16
7.14
6.84
6.80
6.30
6.02
5.42
5.34
4.98
4.93
4.42
4.31
4.00
3.89
3.78
3.76
2.09
OMe
OMe
O
N
H
N
O
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


172.20
169.97
159.75
158.98
134.25
131.14
130.17
129.13
127.21
116.50
114.17
114.07
77.48
77.16
76.84
60.97
55.36
49.32
43.14
22.19
OMe
OMe
O
N
H
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(4-chlorobenzyl)-2-(4-chlorophenyl)-2-(*N*-(3,4-dimethoxybenzyl)acetamido)acetamide (2m)**



$C_{26}H_{26}Cl_2N_2O_4$

Mol. Wt. = 501.401 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using 4-chlorobenzaldehyde (215 mg, 1.5 mmol), veratryl amine (229 µl, 1.5 mmol), acetic acid (86 µl, 1.5 mmol), 4-chlorobenzyl isocyanide (225, 1.5 mmol). The desired product was isolated in 74% yield (562 mg).

Nature: White solid

M.P. = 111.3-111.8°C

Rf: 0.4 (80:20 Ethyl acetate/ Petroleum ether)

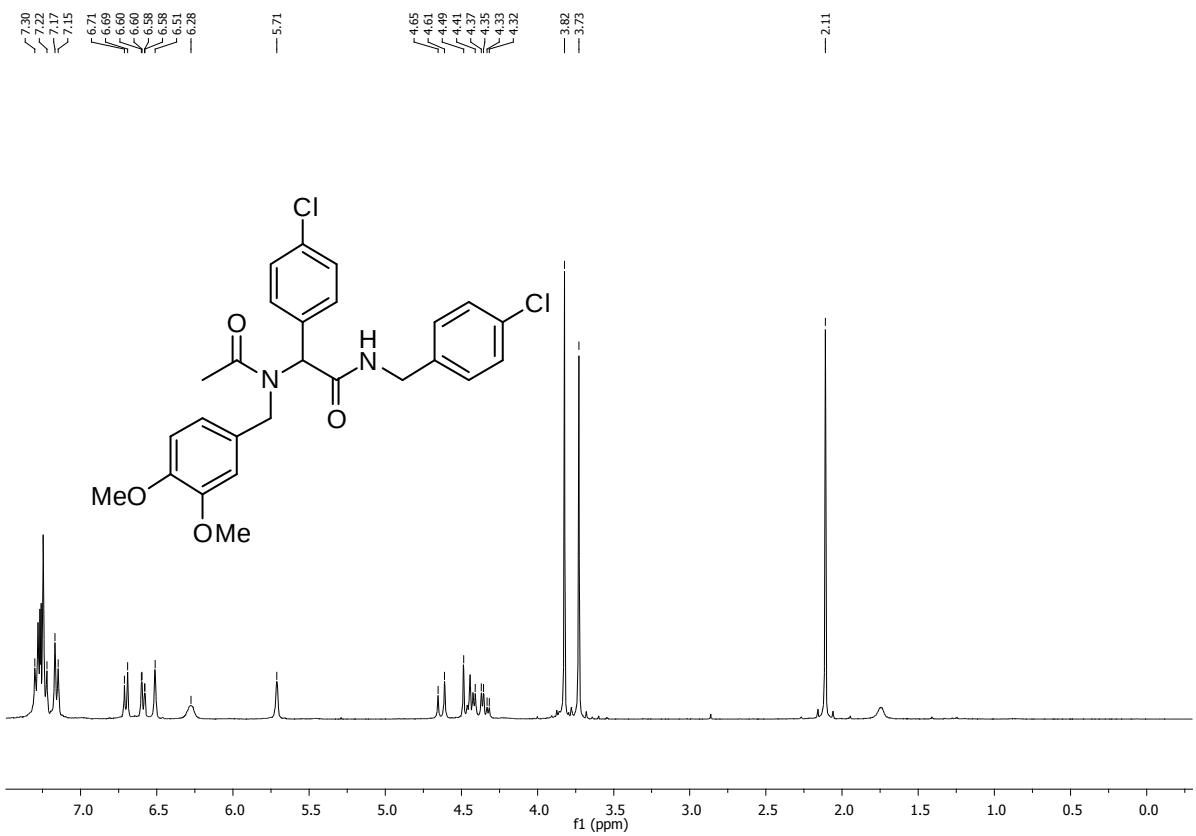
Flash chromatography: (80:20 Ethyl acetate/ Petroleum ether)

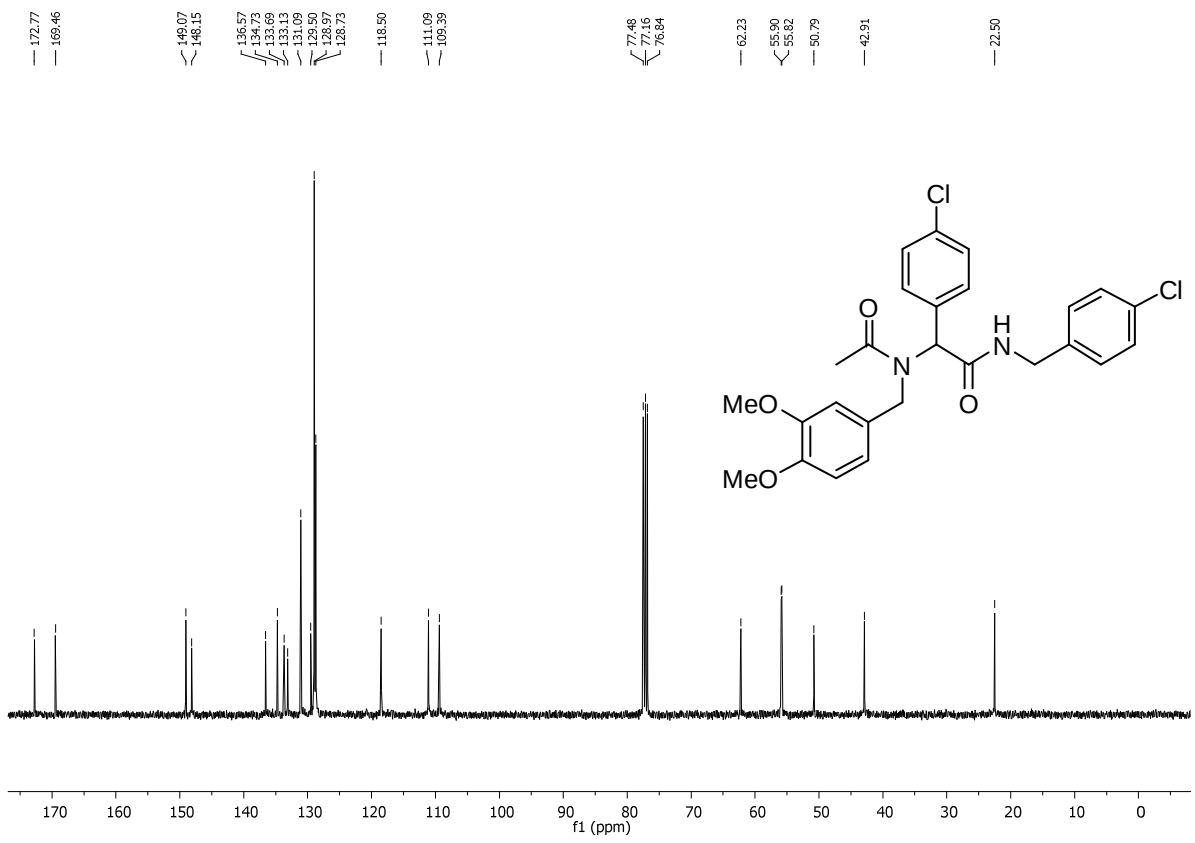
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.30-7.22 (m, 6H), 7.16 (d, *J* = 8.3 Hz, 2H), 6.70 (d, *J* = 8.2 Hz, 1H), 6.59 (dd, *J* = 8.1, 1.5 Hz, 1H), 6.51 (s, 1H), 6.28 (br s, 1H, NH), 5.71 (s, 1H), 4.63 (d, *J* = 17.3 Hz, 1H), 4.49-4.41 (m, 2H), 4.34 (dd, *J* = 15.1, 5.7 Hz, 1H), 3.82 (s, 3H, OMe), 3.73 (s, 3H, OMe), 2.11 (s, 3H).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 172.7, 169.4, 149.0, 148.1, 136.5, 134.7, 133.6, 133.1, 131.0, 129.5, 128.9, 128.7, 118.5, 111.0, 109.3, 62.2, 55.9, 55.8, 50.7, 42.9, 22.5.

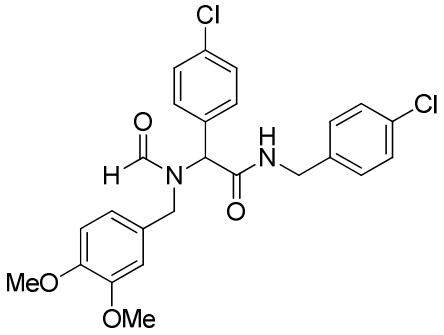
HRMS: Calcd. for $C_{26}H_{26}Cl_2N_2O_4$: 500.1270, Found: 500.1266.

I.R. (thin film): 3309, 3066, 2935, 2836, 1628, 1515, 1409, 1235, 1140, 1091 cm^{-1}.


7.30
7.22
7.17
7.15
6.71
6.69
6.60
6.60
6.58
6.58
6.51
6.28
5.71
4.65
4.61
4.49
4.41
4.37
4.35
4.33
4.32
3.82
3.73
2.11
Cl
Cl
O
N
H
N
O
MeO
OMe
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


172.77
169.46
149.07
148.15
136.57
134.73
133.69
133.13
131.09
129.50
128.97
128.73
118.50
111.09
109.39
77.48
77.16
76.84
62.23
55.90
55.82
50.79
42.91
22.50
Cl
Cl
O
N
H
N
O
MeO
MeO
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(4-chlorobenzyl)-2-(4-chlorophenyl)-2-(*N*-(3,4-dimethoxybenzyl)formamido)acetamide (2n)**



$C_{25}H_{24}Cl_2N_2O_4$

Mol. Wt. = 487.375 g.mol^{-1}

This compound was synthesized according to the general procedure **I**, using 4-chlorobenzaldehyde (143 mg, 1.0 mmol), veratryl amine (153 µl, 1.0 mmol), formic acid (38 µl, 1.0 mmol), 4-chlorobenzyl isocyanide (150 µl, 1.0 mmol). The desired product was isolated as a 2:1 mixture of rotamers isolated in 84% yield (411 mg).

Nature: Colorless oil

Rf: 0.4 (60:40 Ethyl acetate/ Petroleum ether)

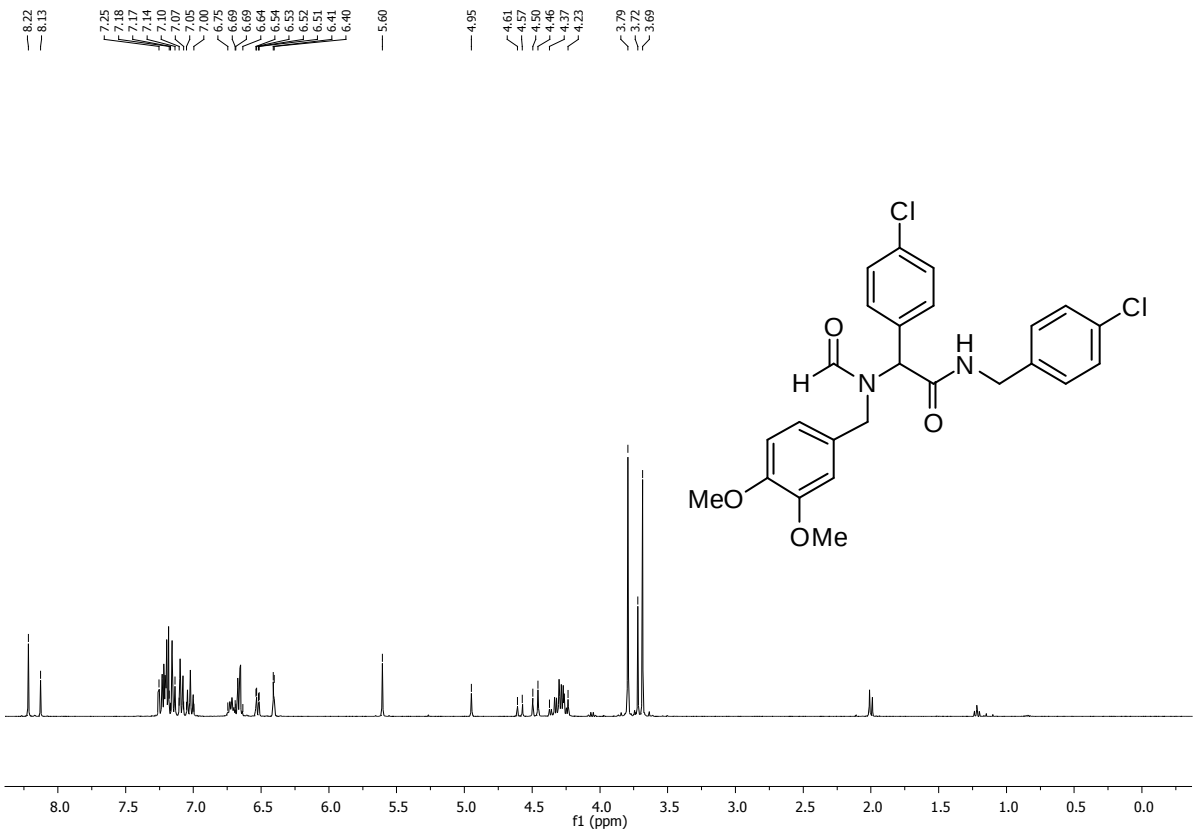
Flash chromatography: (80:20 Ethyl acetate/ Petroleum ether)

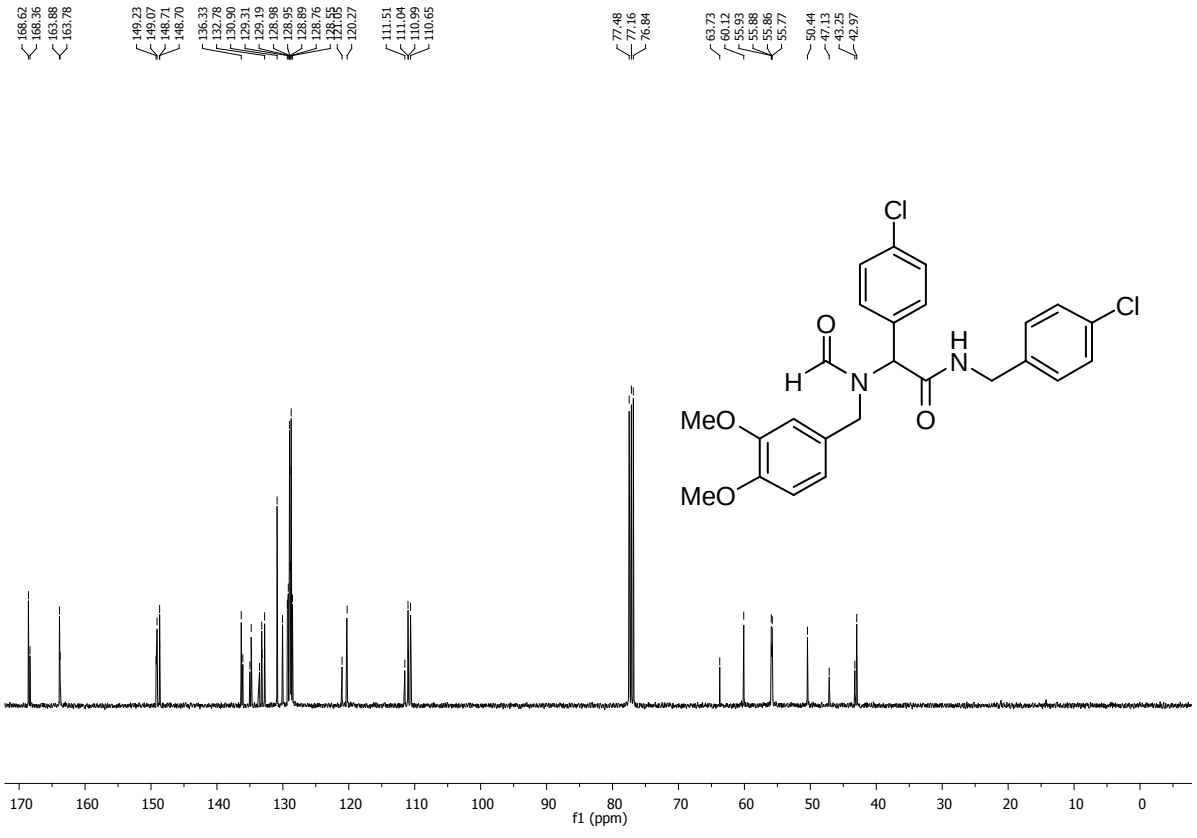
^{1}H NMR ($CDCl_3$, 400 MHz): δ 8.22 (s, 1H, major), 8.13 (s, 1H, minor), 7.25-7.18 (m, 10H, major and minor), 7.17-7.14 (m, 2H, major), 7.10-7.07 (m, 2H, major), 7.05-7.00 (m, 2H, major), 6.75-6.69 (m, 2H, NH, major and minor), 6.69-6.64 (m, 4H, major and minor), 6.53 (dd, *J* = 8.2, 2.0 Hz, 1H, major), 6.41 (d, *J* = 2.0 Hz, 1H, major), 5.60 (s, 1H, major), 4.95 (s, 1H, minor), 4.59 (d, *J* = 14.8 Hz, 1H, minor), 4.48 (d, *J* = 15.5 Hz, 1H, major), 4.37-4.23 (m, 6H, minor and major), 3.79 (s, 6H, OMe, major and minor), 3.72 (s, 3H, OMe, minor), 3.69 (s, 3H, OMe, major).

^{13}C NMR ($CDCl_3$, 100.6 MHz): major rotamer δ 168.6, 163.8, 149.0, 148.7, 136.3, 134.8, 133.2, 132.7, 130.9, 128.9, 128.9, 128.7, 128.5, 120.2, 111.0, 110.6, 60.1, 55.9, 55.7, 50.4, 42.9. Minor rotamer δ 168.3, 163.7, 149.2, 148.7, 136.0, 135.0, 133.5, 133.1, 130.0, 129.3, 129.1, 128.8, 128.6, 121.0, 111.5, 110.9, 63.7, 55.8, 55.8, 47.1, 43.2.

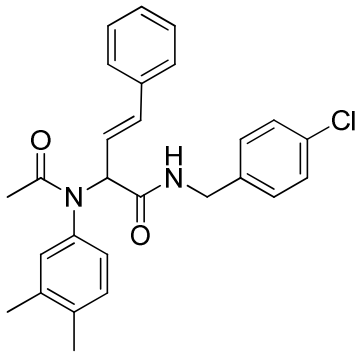
HRMS: Calcd. for $C_{25}H_{24}Cl_2N_2O_4$: 486.1113, Found: 486.1121.

I.R. (thin film): 3292, 3059, 3000, 2933, 2835, 1647, 1512, 1490, 1406, 1257, 1234, 1090, 1015, 802, 731 cm^{-1}.


8.22
8.13
7.25
7.18
7.17
7.14
7.10
7.07
7.05
7.00
6.75
6.69
6.69
6.64
6.54
6.53
6.52
6.51
6.41
6.40
5.60
4.95
4.61
4.57
4.50
4.46
4.37
4.23
3.79
3.72
3.69
Cl
O
H
N
H
N
Cl
O
MeO
OMe
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


168.62
168.36
163.88
163.78
149.23
149.07
148.71
148.70
136.33
132.78
130.90
129.31
129.19
128.98
128.95
128.89
128.76
121.05
120.27
111.51
111.04
110.99
110.65
77.48
77.16
76.84
63.73
60.12
55.93
55.88
55.86
55.77
50.44
47.13
43.25
42.97
Cl
O
H
N
H
N
Cl
O
MeO
MeO
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

(*E*)-*N*-(4-chlorobenzyl)-2-(*N*-(3,4-dimethylphenyl)acetamido)-4-phenylbut-3-enamide (2o)



$C_{27}H_{27}ClN_2O_2$

Mol. Wt. = 446.968 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using *trans*-cinnamaldehyde (192.6 µl, 1.5 mmol), 3,4-dimethylaniline (185 mg, 1.5 mmol), acetic acid (86 µl, 1.5 mmol), 4-chlorobenzyl isocyanide (225, 1.5 mmol). The desired product was isolated in 66% yield (447 mg).

Nature: Pale solid

M.P. = 139.4-139.9°C

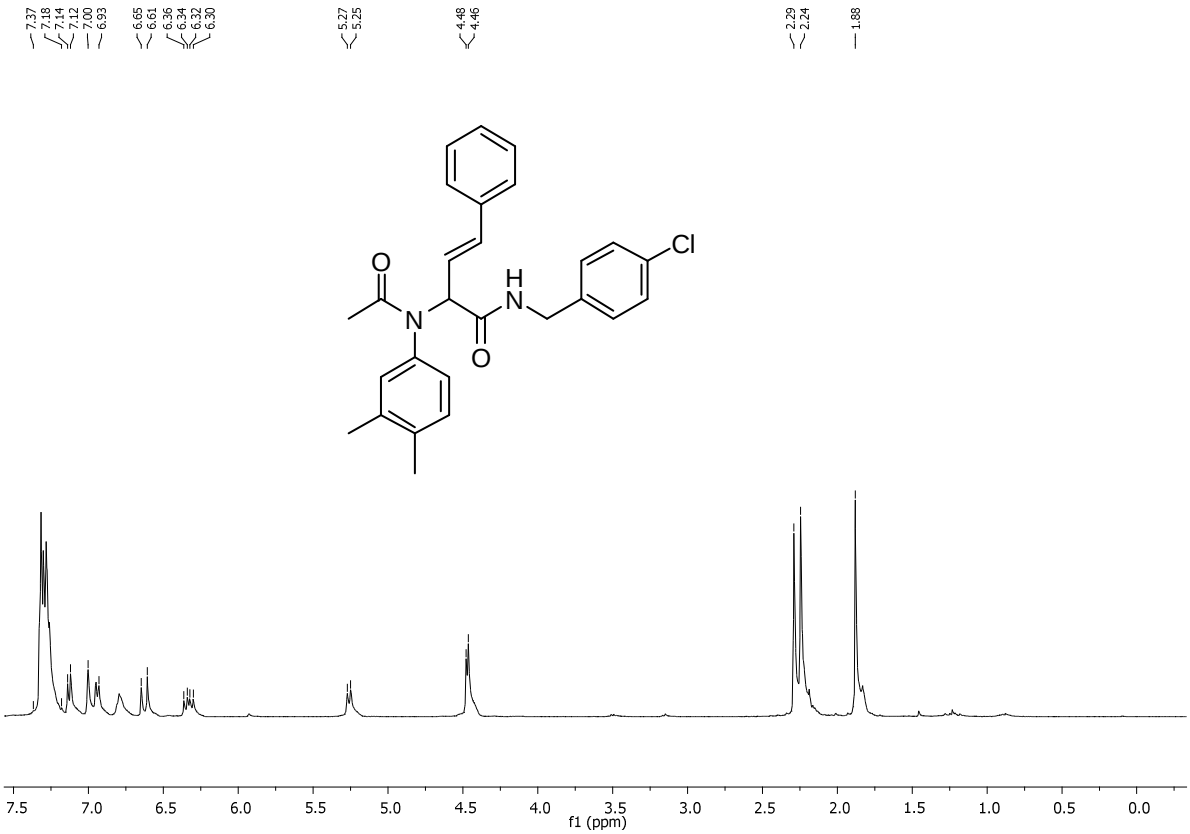
Rf: 0.7 (80:20 Ethyl acetate/ Petroleum ether)

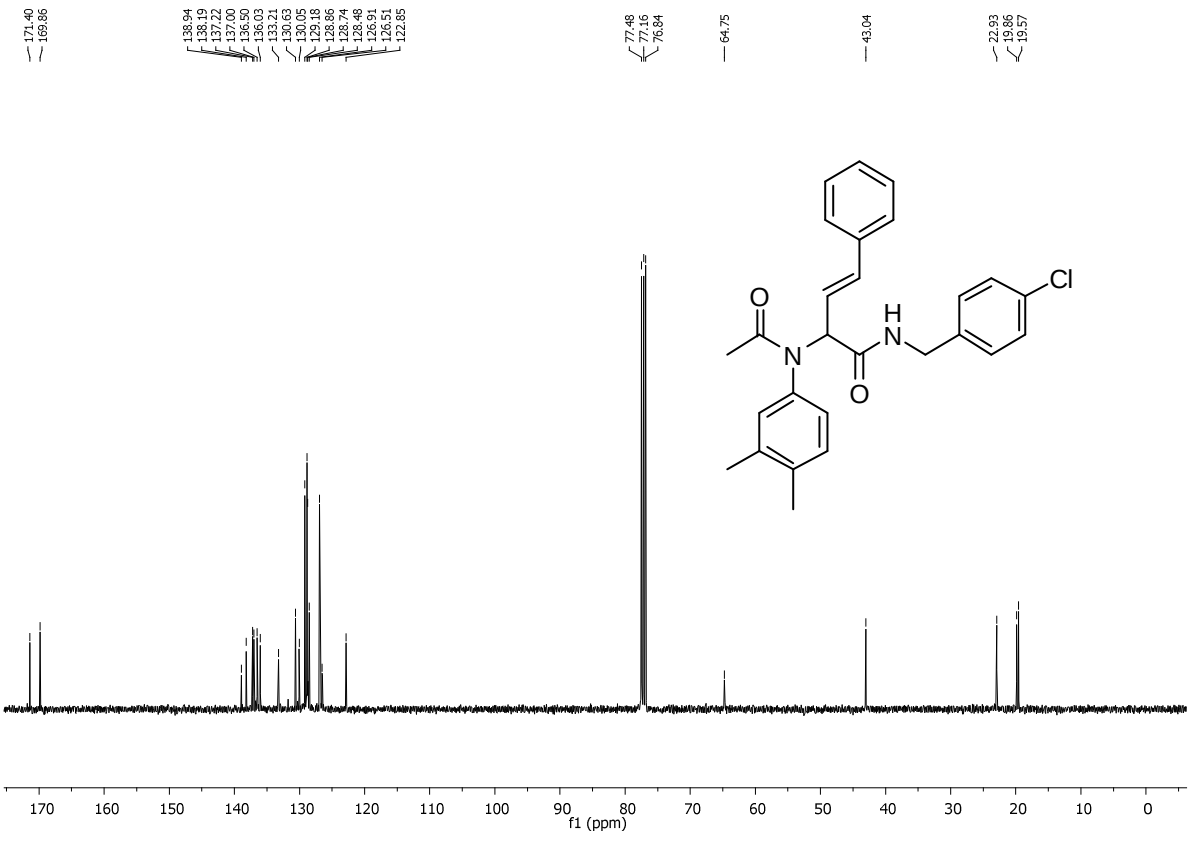
Flash chromatography: (60:40 Diethyl ether/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.37-7.18 (m, 9H), 7.14-7.12 (m, 1H), 7.00-6.93 (m, 2H), 6.79 (br s, 1H, NH), 6.63 (d, *J* = 15.9 Hz, 1H), 6.33 (dd, *J* = 15.9, 9.3 Hz, 1H), 5.26 (d, *J* = 9.2 Hz, 1H), 4.47 (d, *J* = 5.9 Hz, 2H), 2.29 (s, 3H), 2.24 (s, 3H), 1.88 (s, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 171.4, 169.8, 138.9, 138.1, 137.2, 137.0, 136.5, 136.0, 133.2, 130.6, 130.0, 129.1, 128.8, 128.7, 128.4, 126.9, 126.5, 122.8, 64.7, 43.0, 22.9, 19.8, 19.5.

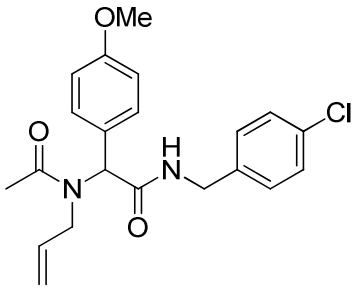
HRMS: Calcd. for $C_{27}H_{27}ClN_2O_2$: 446.1761, Found: 446.1763.

I.R. (thin film): 3294, 3051, 2919, 1633, 1491, 1377, 1303, 1240, 1088, 967, 731, 691 cm^{-1}.


7.37
7.18
7.14
7.12
7.00
6.93
6.65
6.61
6.36
6.34
6.32
6.30
5.27
5.25
4.48
4.46
2.29
2.24
1.88
O
N
H
N
Cl
O
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


171.40
169.86
138.94
138.19
137.22
137.00
136.50
136.03
133.21
130.63
130.05
129.18
128.86
128.74
128.48
126.91
126.51
122.85
77.48
77.16
76.84
64.75
43.04
22.93
19.86
19.57
O
N
H
N
Cl
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

2-(*N*-allylacetamido)-*N*-(4-chlorobenzyl)-2-(4-methoxyphenyl)acetamide (2p)



$C_{21}H_{23}ClN_2O_3$

Mol. Wt. = 386.871 $g.mol^{-1}$

This compound was synthesized according to the general procedure **I**, using of 4-anisaldehyde (124 µl, 1.0 mmol), allylamine (76.5 µl, 1.0 mmol), acetic acid (57 µl, 1.0 mmol), and 4-chlorobenzyl isocyanide (300 µl, 1.0 mmol). The desired product was isolated in 80% yield (312 mg).

Nature: Pale solid

M.P. = 124.9-125.4°C

Rf: 0.3 (60:40 Ethyl acetate/ Petroleum ether)

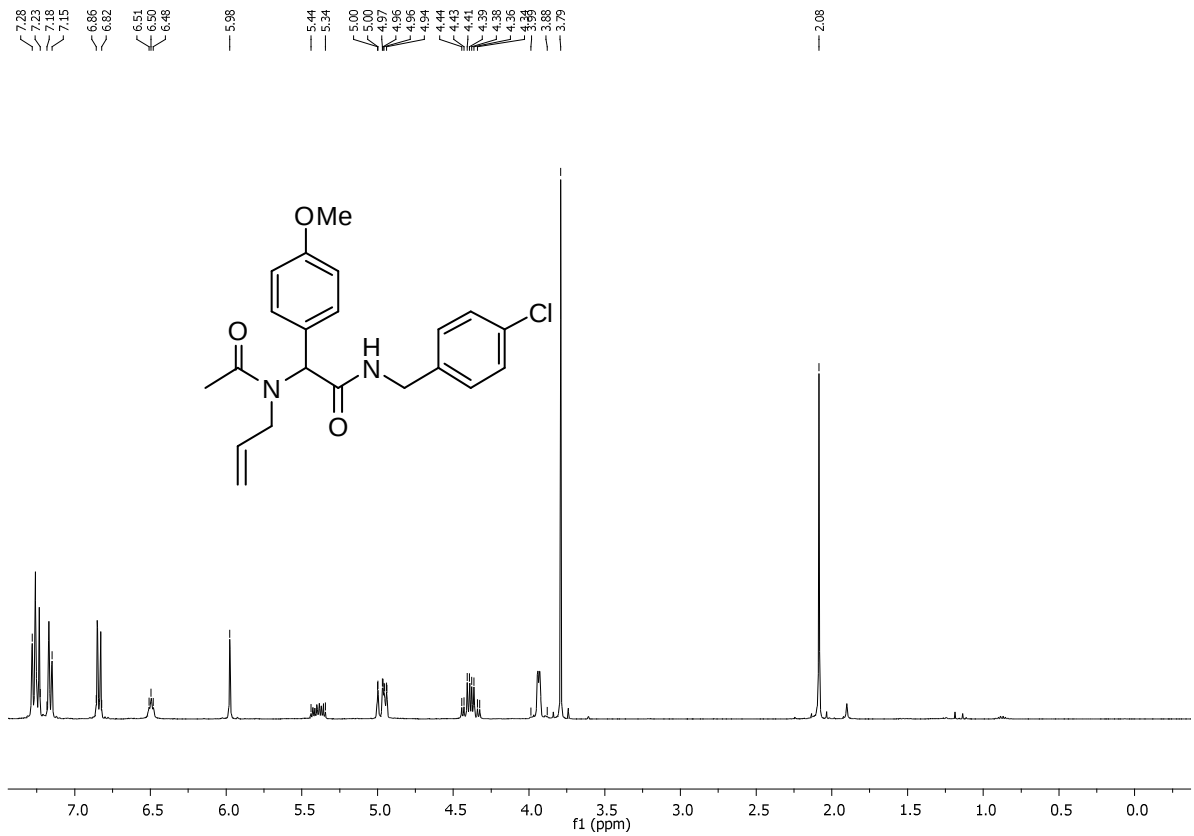
Flash chromatography: (80:40 Ethyl acetate/ Petroleum ether)

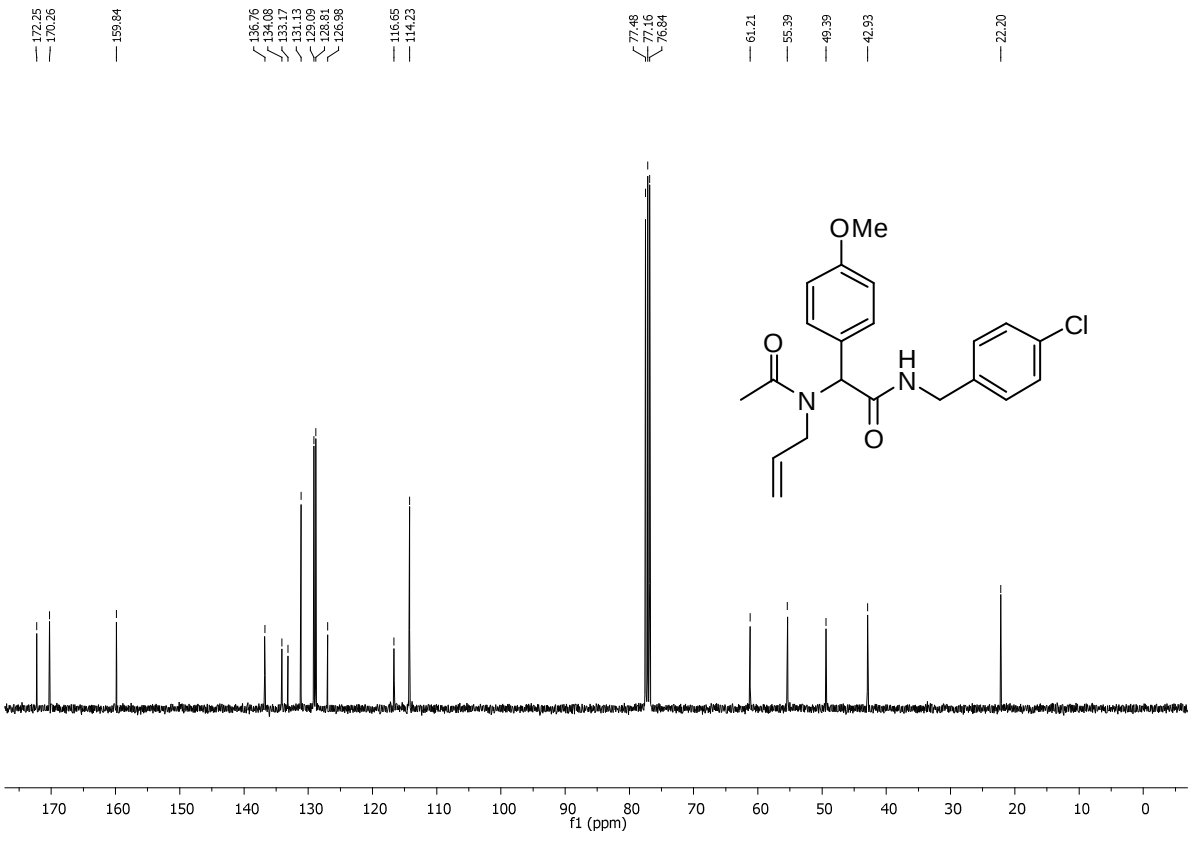
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.28-7.23 (m, 4H), 7.18-7.15 (m, 2H), 6.86-6.82 (m, 2H), 6.50 (t, *J* = 5.7 Hz, 1H, NH), 5.98 (s, 1H), 5.44-5.34 (m, 1H), 4.98 (dd, *J* = 13.1, 1.3 Hz, 1H), 4.95 (dd, *J* = 6.2, 1.3 Hz, 1H), 4.42 (dd, *J* = 15.0, 6.0 Hz, 1H), 4.35 (dd, *J* = 15.1, 5.8 Hz, 1H), 3.99-3.88 (m, 2H), 3.79 (s, 3H, OMe), 2.08 (s, 3H).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 172.2, 170.2, 159.8, 136.7, 134.0, 133.1, 131.1, 129.0, 128.8, 126.9, 116.6, 114.2, 61.2, 55.3, 49.3, 42.9, 22.2.

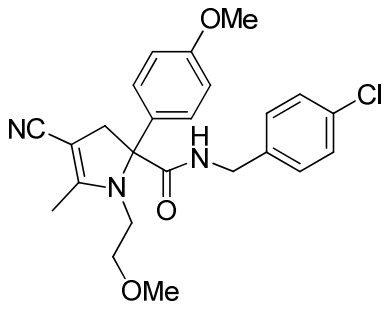
HRMS: Calcd. for $C_{21}H_{23}ClN_2O_3$: 386.1397, Found: 386.1397.

I.R. (thin film): 3284, 3069, 2933, 2837, 1620, 1510, 1407, 1248, 1178, 1032, 838, 803 cm^{-1}.


7.28
7.23
7.18
7.15
6.86
6.82
6.51
6.50
6.48
5.98
5.44
5.34
5.00
5.00
4.97
4.96
4.96
4.94
4.44
4.43
4.41
4.39
4.38
4.36
3.88
3.79
2.08
OMe
Cl
O
H
N
N
O
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


172.25
170.26
159.84
136.76
134.08
133.17
131.13
129.09
128.81
126.98
116.65
114.23
77.48
77.16
76.84
61.21
55.39
49.39
42.93
22.20
OMe
Cl
O
H
N
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(4-chlorobenzyl)-4-cyano-1-(2-methoxyethyl)-2-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3a)**



$C_{24}H_{26}ClN_3O_3$

Mol. Wt. = 439.934 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II** using Ugi adduct **2a** (150 mg, 0.370 mmol), DIPEA (32 µl, 0.5 equiv) and acrylonitrile (146 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 67% yield (110 mg).

Nature: Bright oil

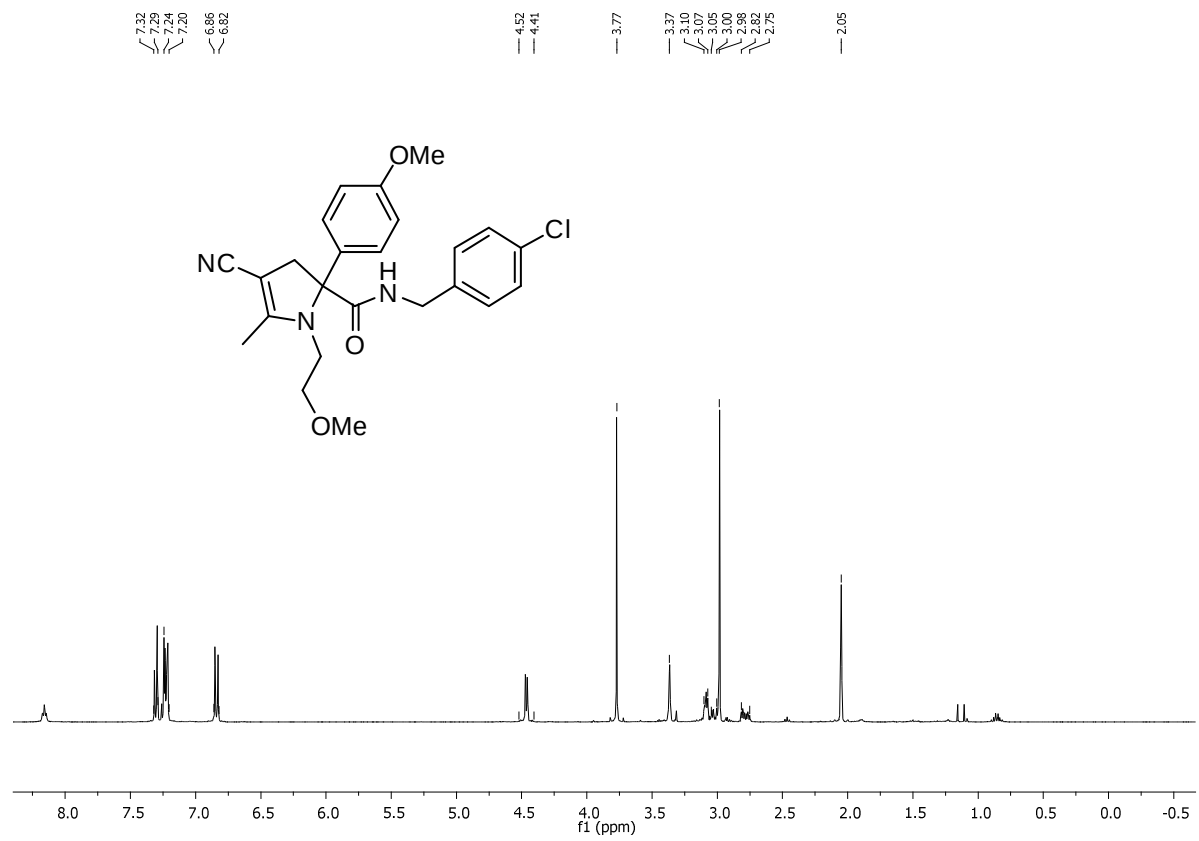
Rf: 0.6 (55:45 Ethyl acetate/ Petroleum ether)

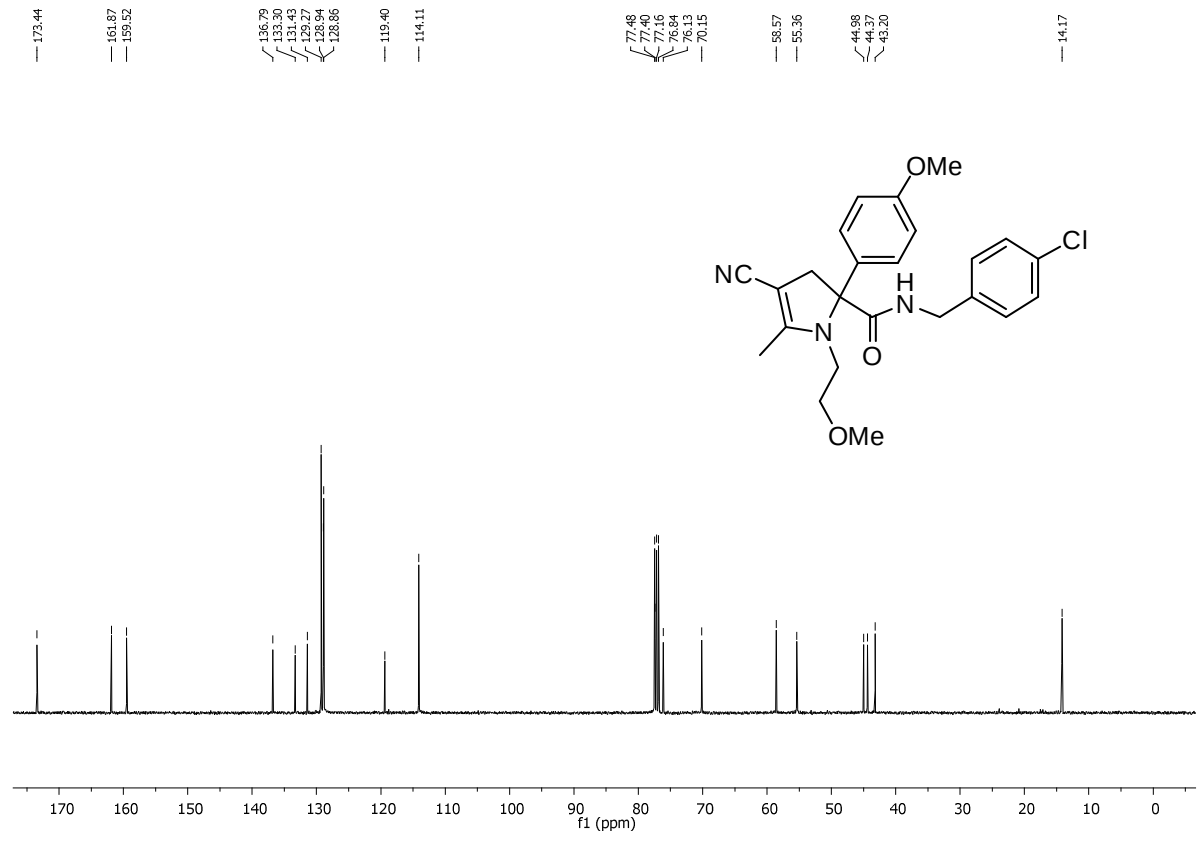
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.16 (t, *J* = 5.6 Hz, 1H, NH), 7.32-7.29 (m, 2H), 7.24-7.20 (m, 4H), 6.86-6.82 (m, 2H), 4.52-4.41 (m, 2H), 3.77 (s, 3H, OMe), 3.37 (s, 2H), 3.10-3.07 (m, 2H), 3.05-3.00 (m, 1H), 2.98 (s, 3H, OMe), 2.82-2.75 (m, 1H), 2.05 (s, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 173.4, 161.8, 159.5, 136.7, 133.3, 131.4, 129.2, 128.9, 128.8, 119.4, 114.1, 77.4, 76.1, 70.1, 58.5, 55.3, 44.9, 44.3, 43.2, 14.1.

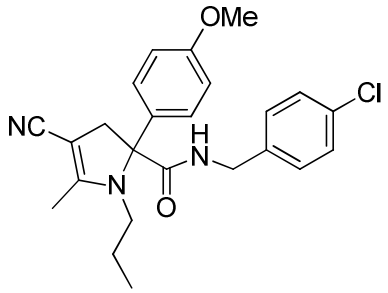
HRMS: Calcd. For $C_{24}H_{26}ClN_3O_3$: 439.1663, Found: 439.1653.

I.R. (thin film): 3301, 3051, 2930, 2836, 2182, 1656, 1606, 1510, 1407, 1251, 1182, 1089, 728, 699 cm^{-1}.


7.32
7.29
7.24
7.20
6.86
6.82
4.52
4.41
3.77
3.37
3.10
3.07
3.05
3.00
2.98
2.82
2.75
2.05
OMe
Cl
NC
H
N
N
O
OMe
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


173.44
161.87
159.52
136.79
133.30
131.43
129.27
128.94
128.86
119.40
114.11
77.48
77.40
77.16
76.84
76.13
70.15
58.57
55.36
44.98
44.37
43.20
14.17
OMe
Cl
NC
H
N
N
O
OMe
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(4-chlorobenzyl)-4-cyano-2-(4-methoxyphenyl)-5-methyl-1-propyl-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3b)**



$C_{24}H_{26}ClN_3O_2$

Mol. Wt. = 423.935 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2b** (160 mg, 0.411 mmol), DIPEA (36 µl, 0.5 equiv) and acrylonitrile (162 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 60% yield (105 mg).

Nature: Dark red oil

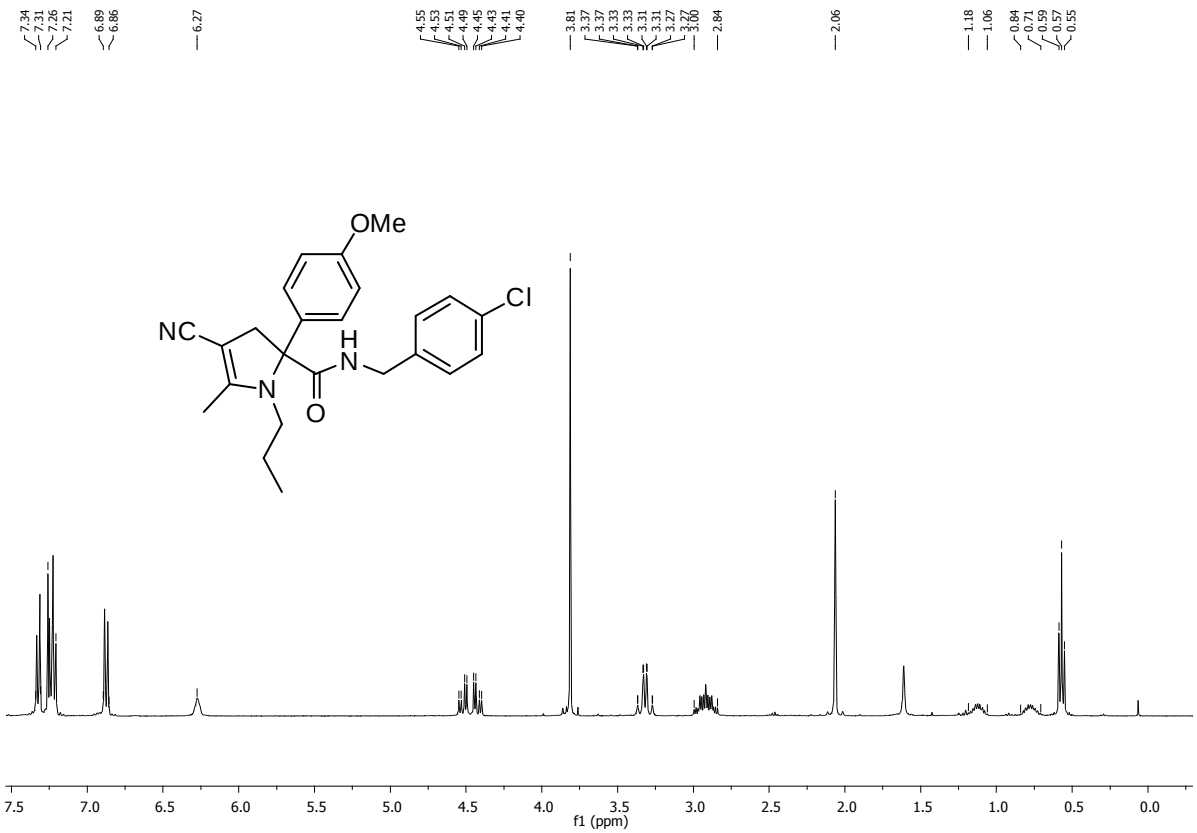
Rf: 0.5 (45:55 Ethyl acetate/ Petroleum ether)

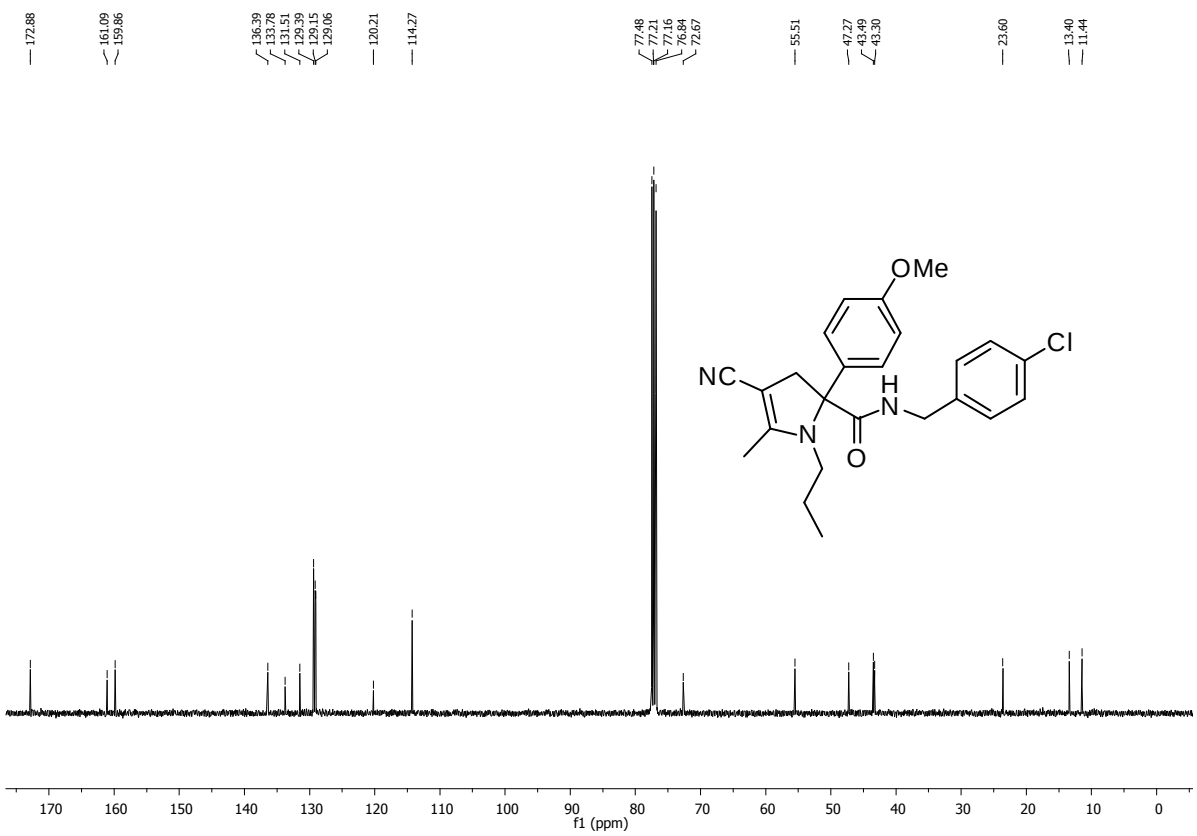
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

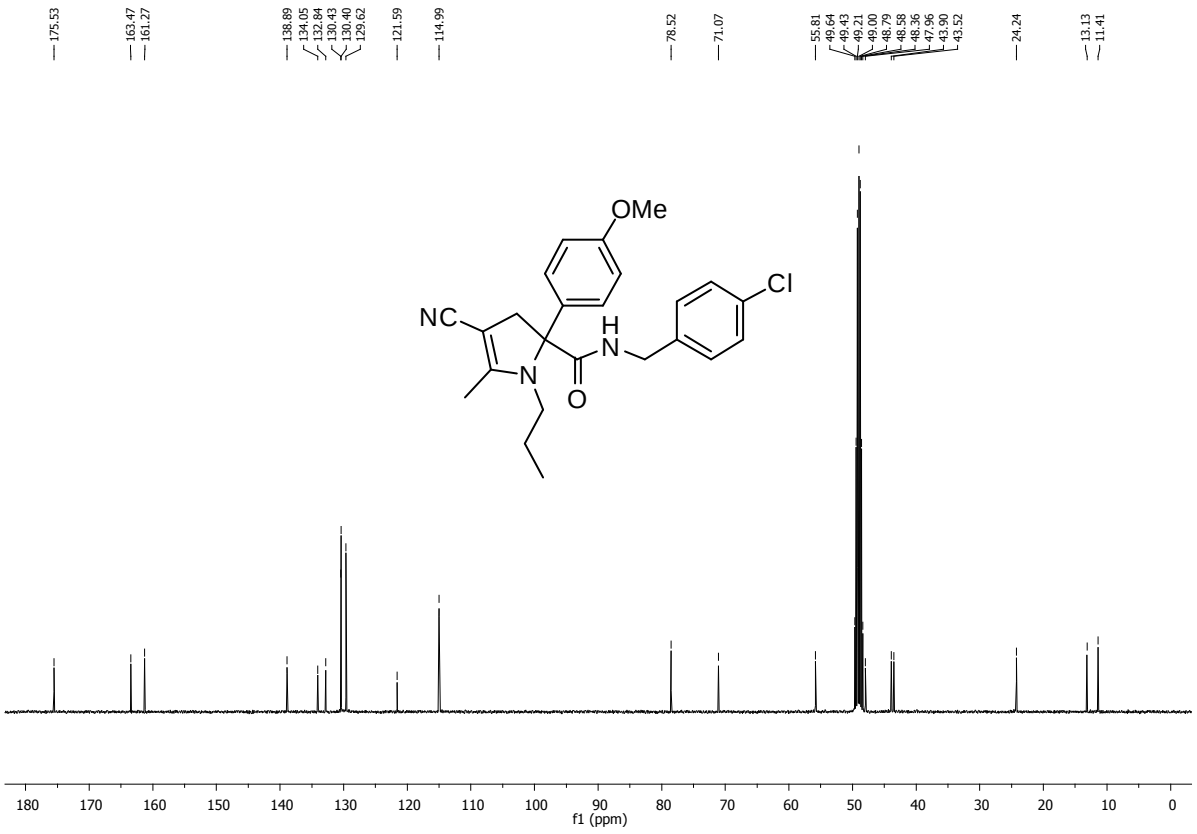
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.34-7.30 (m, 2H), 7.27-7.20 (m, 4H), 6.89 – 6.86 (m, 2H), 6.27 (br s, 1H, NH), 4.52 (dd, *J* = 14.7, 6.1 Hz, 1H), 4.42 (dd, *J* = 14.7, 5.7 Hz, 1H), 3.81 (s, 3H, OMe), 3.35 (dd, *J* = 14.9, 1.4 Hz, 1H), 3.29 (dd, *J* = 14.9, 1.2 Hz, 1H), 3.00 – 2.84 (m, 2H), 2.06 (s, 3H), 1.18 – 1.06 (m, 1H), 0.84 – 0.71 (m, 1H), 0.57 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 172.8, 161.0, 159.8, 136.3, 133.7, 131.5, 129.3, 129.1, 129.0, 120.2, 114.2, 77.2, 72.6, 55.5, 47.2, 43.4, 43.3, 23.6, 13.4, 11.4.

HRMS: Calcd. for $C_{24}H_{26}ClN_3O_2$: 423.1714, Found: 423.1718.

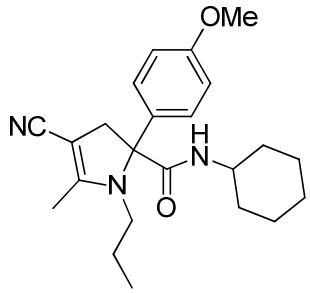
I.R. (thin film): 3309, 3051, 2961, 2931, 2872, 2837, 2175, 1651, 1595, 1406, 1249, 1179, 1013, 828, 730 cm^{-1}.


7.34
7.31
7.26
7.21
6.89
6.86
6.27
4.55
4.53
4.51
4.49
4.45
4.43
4.41
4.40
3.81
3.37
3.37
3.33
3.31
3.31
3.27
3.27
3.00
2.84
2.06
1.18
1.06
0.84
0.71
0.59
0.57
0.55
OMe
Cl
NC
H
N
N
O
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


172.88
161.09
159.86
136.39
133.78
131.51
129.39
129.15
129.06
120.21
114.27
77.48
77.21
77.16
76.84
72.67
55.51
47.27
43.49
43.30
23.60
13.40
11.44
OMe
Cl
NC
H
N
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


175.53
163.47
161.27
138.89
134.05
132.84
130.43
130.40
129.62
121.59
114.99
78.52
71.07
55.81
49.64
49.43
49.21
49.00
48.79
48.58
48.36
47.96
43.90
43.52
24.24
13.13
11.41
OMe
Cl
NC
H
N
N
O
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

4-cyano-*N*-cyclohexyl-2-(4-methoxyphenyl)-5-methyl-1-propyl-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3c)



$C_{23}H_{31}N_3O_2$

Mol. Wt. = 381.511 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2c** (128 mg, 0.370 mmol), DIPEA (32 µl, 0.5 equiv) and acrylonitrile (146 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 17% yield (25 mg).

Nature: White solid

M.P. = 150.6-151.2°C

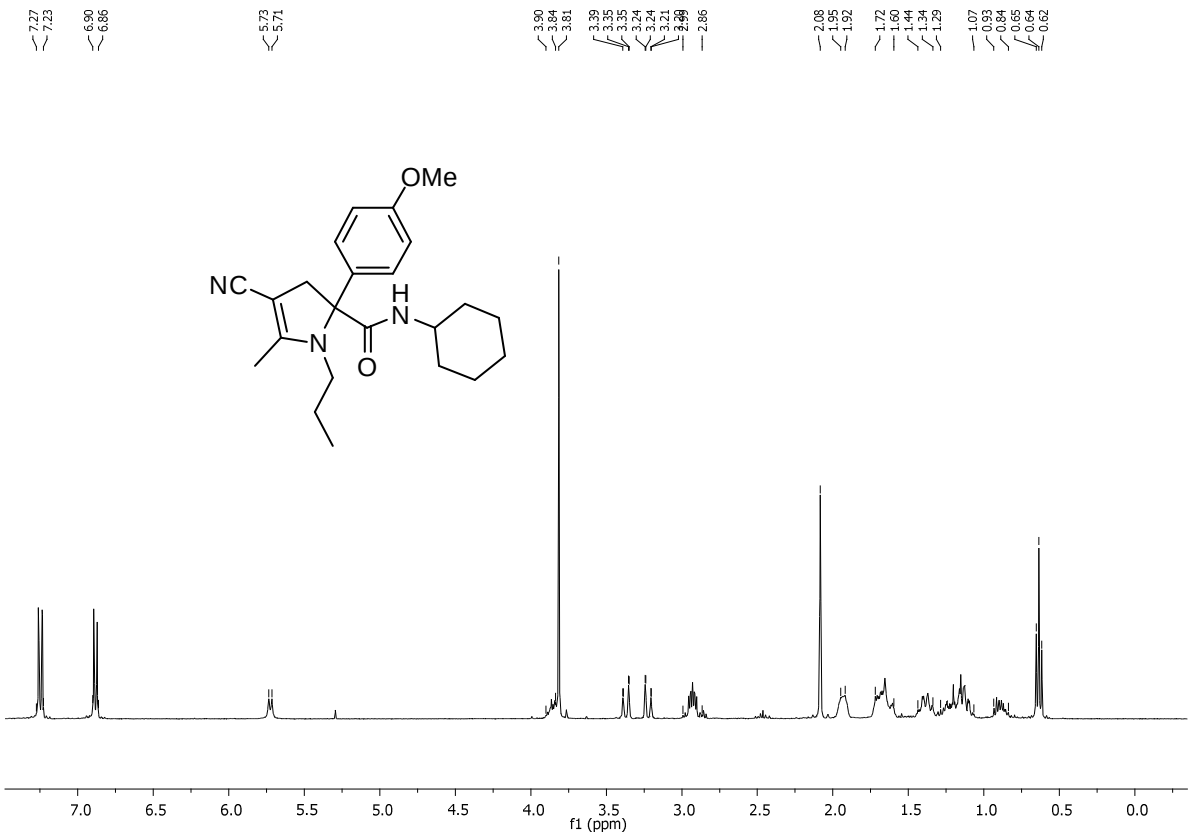
Rf: 0.4 (80:20 Diethyl ether/ Petroleum ether)

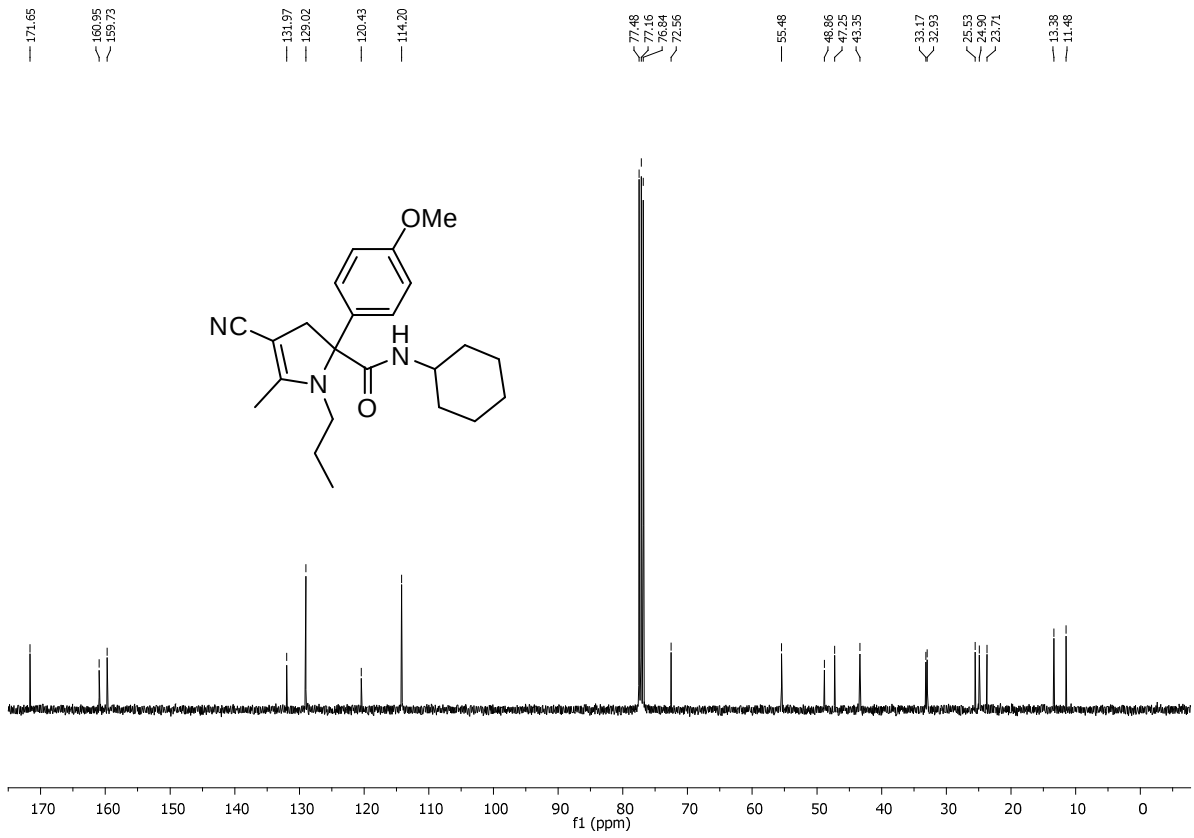
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

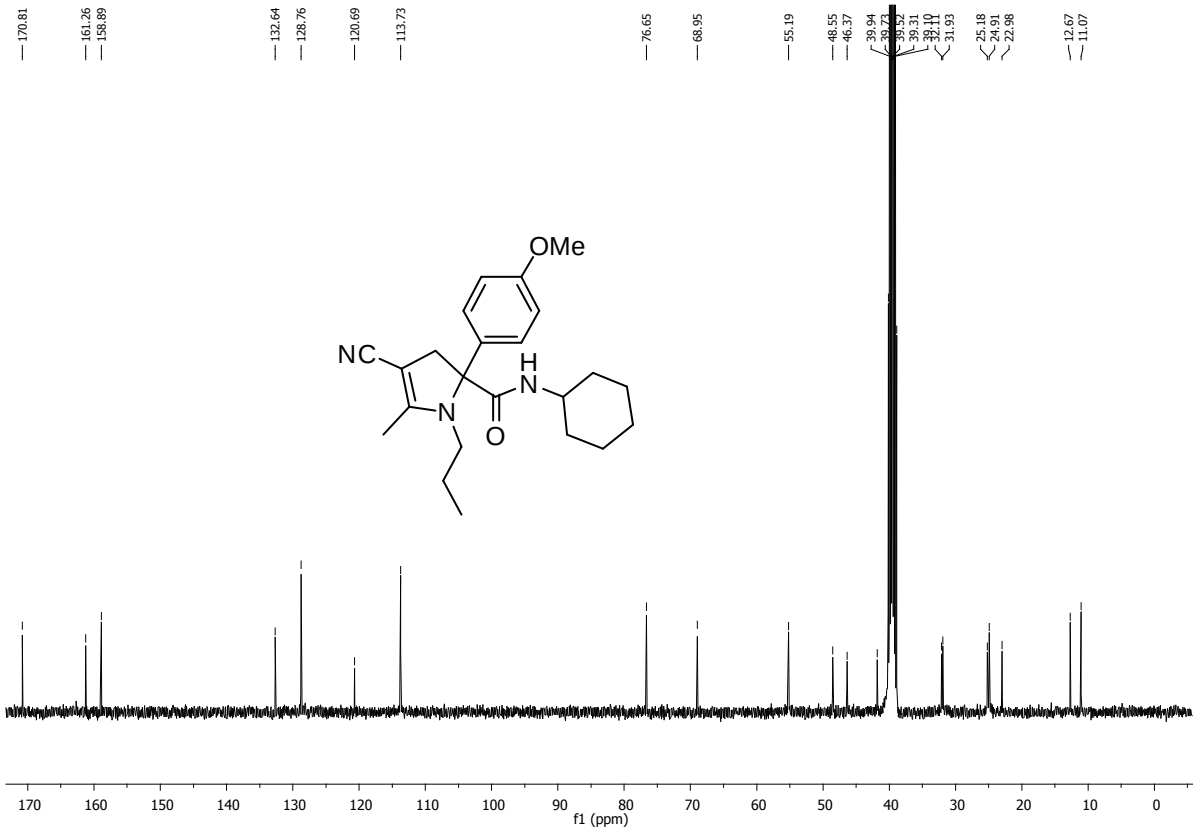
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.27-7.23 (m, 2H), 6.90-6.86 (m, 2H), 5.72 (d, *J* = 8.2 Hz, 1H, NH), 3.90-3.84 (m, 1H), 3.81 (s, 3H, OMe), 3.37 (dd, *J* = 14.8, 1.5 Hz, 1H), 3.22 (dd, *J* = 14.8, 1.2 Hz, 1H), 3.99-2.86 (m, 2H), 2.08 (s, 3H), 1.95-1.92 (m, 2H), 1.72-1.60 (m, 3H), 1.44-1.34 (m, 2H), 1.29-1.07 (m, 4H), 0.93-0.84 (m, 1H), 0.64 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 171.6, 160.9, 159.7, 131.9, 129.0, 120.4, 114.2, 76.8, 72.5, 55.4, 48.8, 47.2, 43.3, 33.1, 32.9, 25.5, 24.9, 23.7, 13.3, 11.4.

HRMS: Calcd. for $C_{23}H_{31}N_3O_2$: 381.2416, Found: 381.2424.

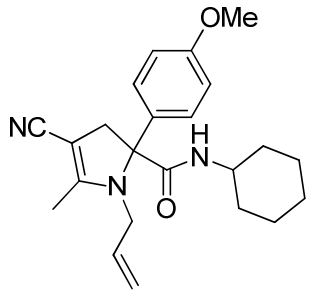
I.R. (thin film): 3374, 2931, 2854, 2178, 1653, 1605, 1512, 1420, 1300, 1251, 1183, 1025, 828 cm^{-1}.


7.27
7.23
6.90
6.86
5.73
5.71
3.90
3.84
3.81
3.39
3.35
3.35
3.24
3.24
3.21
2.86
2.08
1.95
1.92
1.72
1.60
1.44
1.34
1.29
1.07
0.93
0.84
0.65
0.64
0.62
OMe
NC
H
N
N
O
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


171.65
160.95
159.73
131.97
129.02
120.43
114.20
77.48
77.16
76.84
72.56
55.48
48.86
47.25
43.35
33.17
32.93
25.53
24.90
23.71
13.38
11.48
OMe
NC
H
N
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


170.81
161.26
158.89
132.64
128.76
120.69
113.73
76.65
68.95
55.19
48.55
46.37
39.94
39.73
39.52
39.31
39.10
32.11
31.93
25.18
24.91
22.98
12.67
11.07
OMe
NC
H
N
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

1-allyl-4-cyano-*N*-cyclohexyl-2-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3d)



$C_{23}H_{29}N_3O_2$

Mol. Wt. = 379.495 g.mol^{-1}

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2d** (127 mg, 0.370 mmol), DIPEA (32 µl, 0.5 equiv) and acrylonitrile (146 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 26% yield (37 mg).

Nature: White solid

M.P. = 148.3-148.8°C

Rf: 0.6 (45:55 Ethyl acetate/ Petroleum ether)

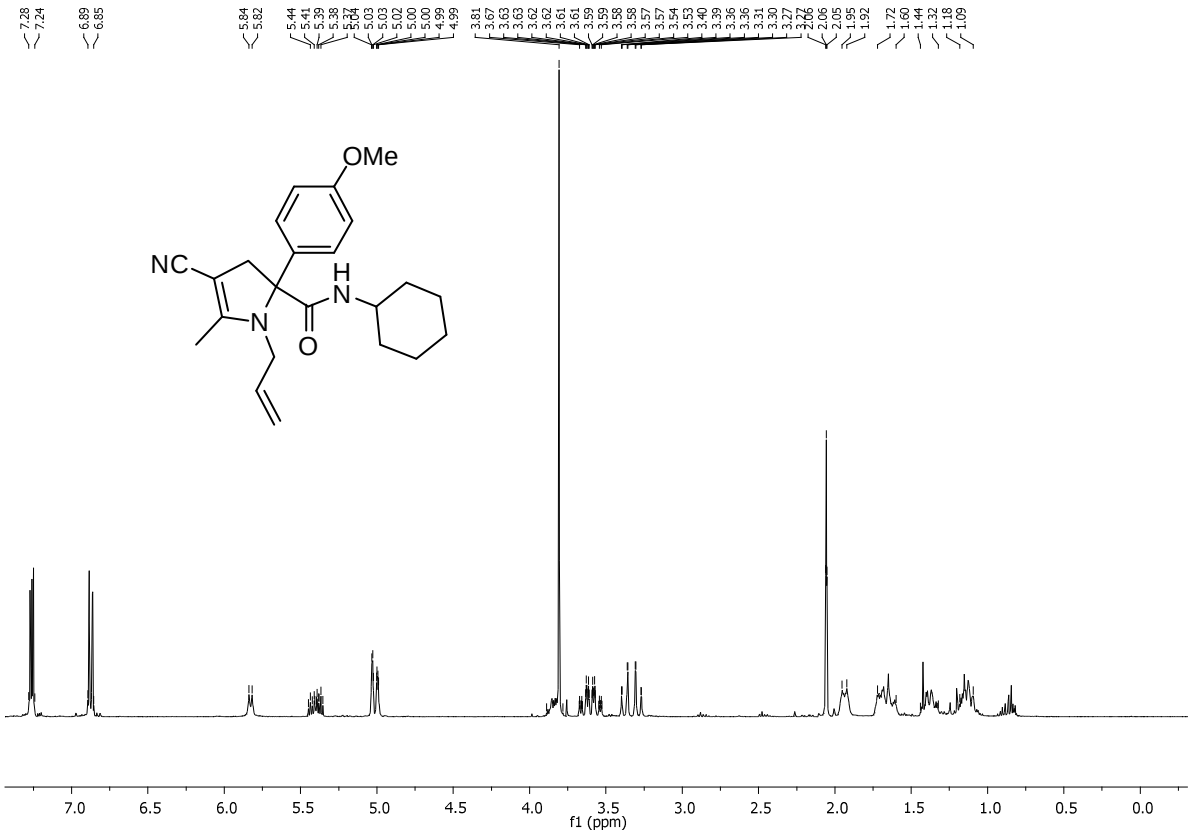
Flash chromatography: (60:40 Diethyl ether/ Petroleum ether)

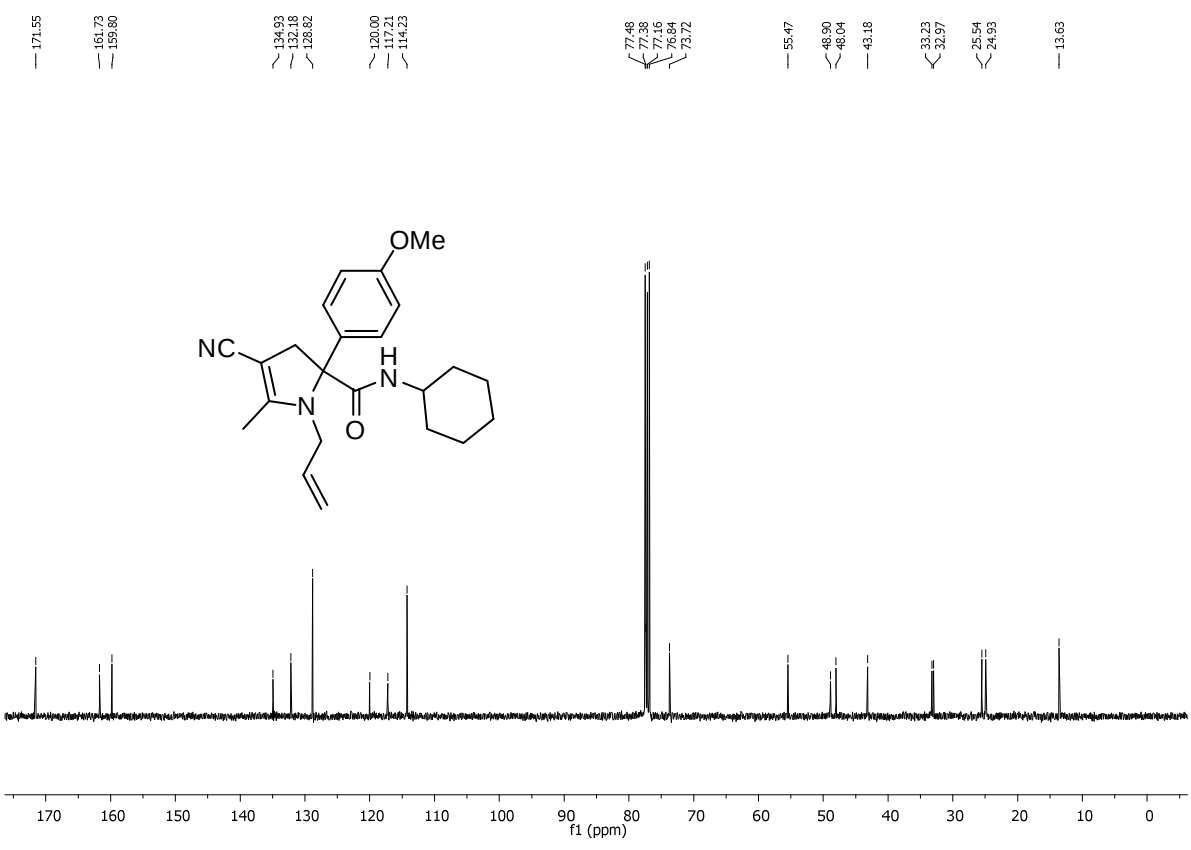
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.28-7.24 (m, 2H), 6.89-6.85 (m, 2H), 5.83 (d, *J* = 8.1 Hz, 1H, NH), 5.40 (ddt, *J* = 17.4, 10.2, 5.5 Hz, 1H), 5.03 (dd, *J* = 3.5, 1.4 Hz, 1H), 5.00 (dd, *J* = 3.8, 1.3 Hz, 1H), 3.89-3.78, (m, 1H), 3.81 (s, 3H, OMe), 3.64 (ddt, *J* = 17.2, 5.8, 1.5 Hz, 1H), 3.56 (ddt, *J* = 17.3, 5.2, 1.6 Hz, 1H), 3.38 (dd, *J* = 15.0, 1.7 Hz, 1H), 3.29 (dd, *J* = 15.0, 1.4 Hz, 1H), 2.06 (t, *J* = 1.4 Hz, 3H), 1.95-1.92 (m, 2H), 1.72-1.60 (m, 3H), 1.44-1.32 (m, 2H), 1.18-1.09 (m, 3H).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 171.5, 161.7, 159.8, 134.9, 132.1, 128.8, 120.0, 117.2, 114.2, 77.3, 73.7, 55.4, 48.9, 48.0, 43.1, 33.2, 32.9, 25.5, 24.9, 13.6.

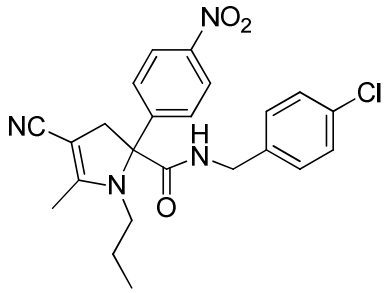
HRMS: Calcd. for $C_{23}H_{29}N_3O_2$: 379.2260, Found: 379.2252.

I.R. (thin film): 3309, 2930, 2854, 2180, 1653, 1606, 1511, 1409, 1250, 1183, 1030, 929, 831 cm^{-1}.


7.28
7.24
6.89
6.85
5.84
5.82
5.44
5.41
5.39
5.38
5.37
5.04
5.03
5.03
5.02
5.00
5.00
4.99
4.99
3.81
3.67
3.63
3.63
3.62
3.62
3.61
3.61
3.59
3.59
3.58
3.58
3.57
3.57
3.54
3.53
3.40
3.39
3.36
3.36
3.31
3.30
3.27
2.06
2.06
2.05
1.95
1.92
1.72
1.60
1.44
1.32
1.18
1.09
OMe
NC
H
N
N
O
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


171.55
161.73
159.80
134.93
132.18
128.82
120.00
117.21
114.23
77.48
77.38
77.16
76.84
73.72
55.47
48.90
48.04
43.18
33.23
32.57
25.54
24.93
13.63
OMe
NC
H
N
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(4-chlorobenzyl)-4-cyano-5-methyl-2-(4-nitrophenyl)-1-propyl-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3f)**



$C_{23}H_{23}ClN_4O_3$

Mol. Wt. = 438.906 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2f** (150 mg, 0.371 mmol), DIPEA (32 µl, 0.5 equiv) and acrylonitrile (146 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 51% yield (83 mg).

Nature: Brown solid

M.P. = 173.2-173.6°C

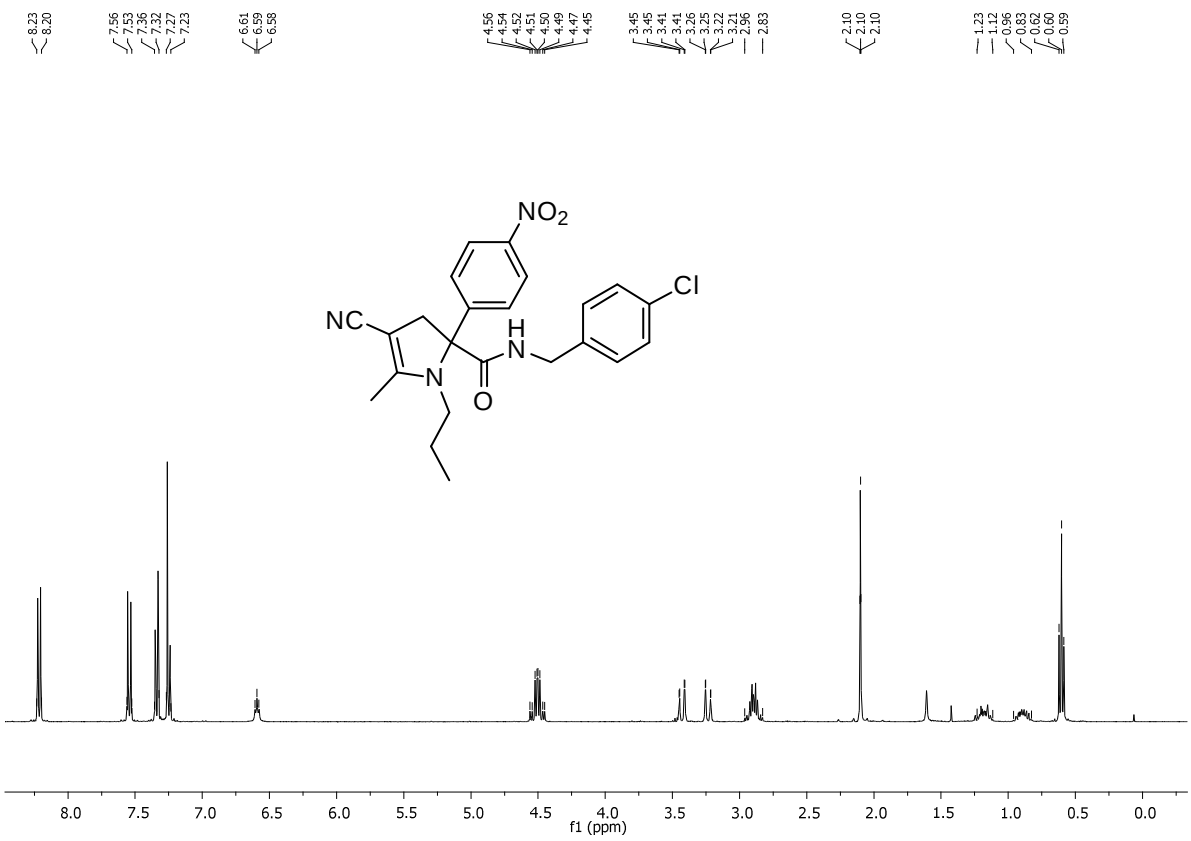
Rf: 0.6 (50:50 Ethyl acetate/ Petroleum ether)

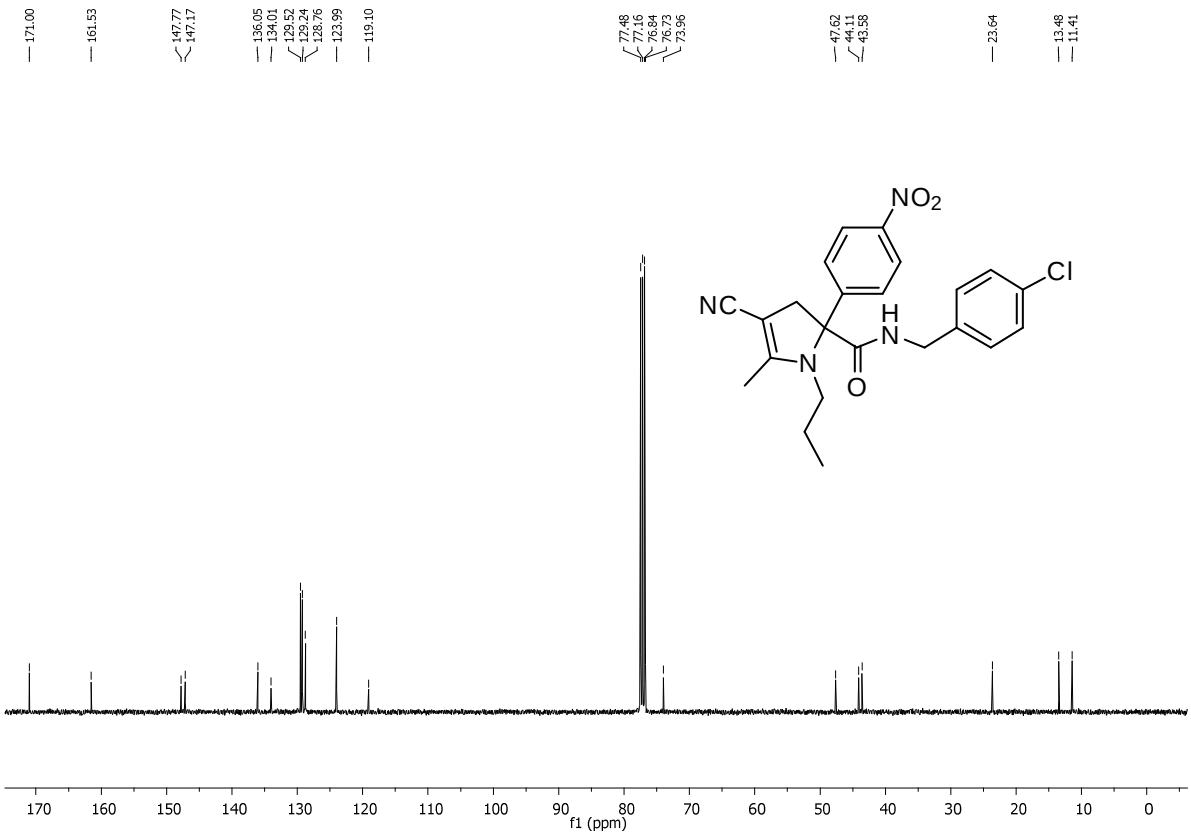
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 8.23-8.20 (m, 2H), 7.56-7.53 (m, 2H), 7.36-7.32 (m, 2H), 7.27-7.23 (m, 2H), 6.59 (t, *J* = 5.9 Hz, 1H, NH), 4.53 (dd, *J* = 14.7, 6.1 Hz, 1H), 4.48 (dd, *J* = 14.7, 5.9 Hz, 1H), 3.43 (dd, *J* = 15.4, 1.6 Hz, 1H), 3.24 (dd, *J* = 15.4, 1.4 Hz, 1H), 2.96-2.83 (m, 2H), 2.10 (t, *J* = 1.4, 3H), 1.23-1.12 (m, 1H), 0.96-0.83 (m, 1H), 0.60 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 171.0, 161.5, 147.7, 147.1, 136.0, 134.0, 129.5, 129.2, 128.7, 123.9, 119.1, 76.7, 73.9, 47.6, 44.1, 43.5, 23.6, 13.4, 11.4.

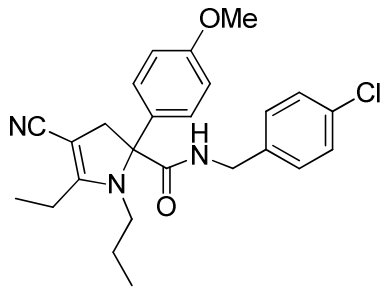
HRMS: Calcd. for $C_{23}H_{23}ClN_4O_3$: 438.1459, Found: 438.1455.

I.R. (thin film): 3311, 3054, 2965, 2930, 2874, 2181, 1661, 1596, 1518, 1416, 1347, 1239, 1090, 1013, 851, 734 cm^{-1}.


8.23
8.20
7.56
7.53
7.36
7.32
7.27
7.23
6.61
6.59
6.58
4.56
4.54
4.52
4.51
4.50
4.49
4.47
4.45
3.45
3.45
3.41
3.41
3.26
3.25
3.22
3.21
2.96
2.83
2.10
2.10
2.10
1.23
1.12
0.96
0.83
0.62
0.60
0.59
NO2
Cl
NC
H
N
N
O
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


171.00
161.53
147.77
147.17
136.05
134.01
129.52
129.24
128.76
123.99
119.10
77.48
77.16
76.84
76.73
73.96
47.62
44.11
43.58
23.64
13.48
11.41
NO2
Cl
NC
H
N
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(4-chlorobenzyl)-4-cyano-5-ethyl-2-(4-methoxyphenyl)-1-propyl-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3g)**



$C_{25}H_{28}ClN_3O_2$

Mol. Wt. = 437.961 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2g** (160 mg, 0.397 mmol), DIPEA (34 µl, 0.5 equiv) and acrylonitrile (156 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 49% yield (86 mg).

Nature: Dark red oil

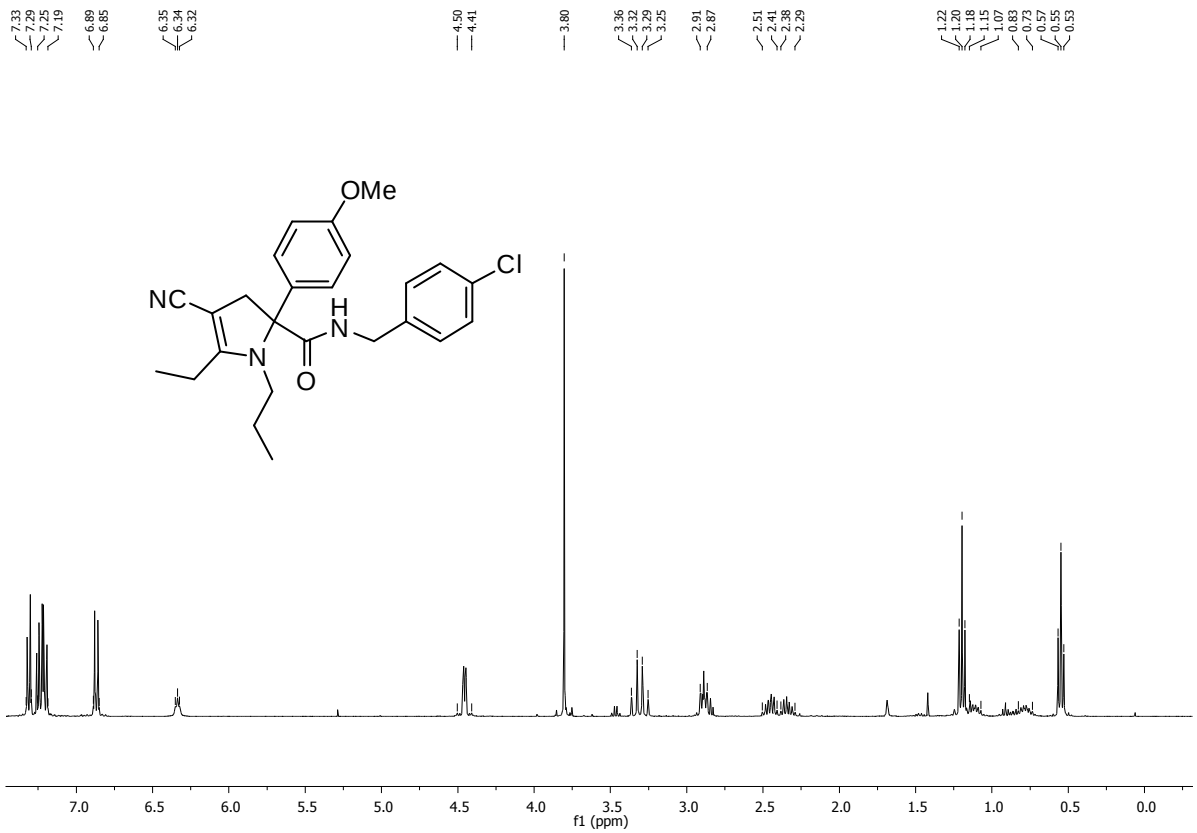
Rf: 0.6 (90:10 Diethyl ether/ Petroleum ether)

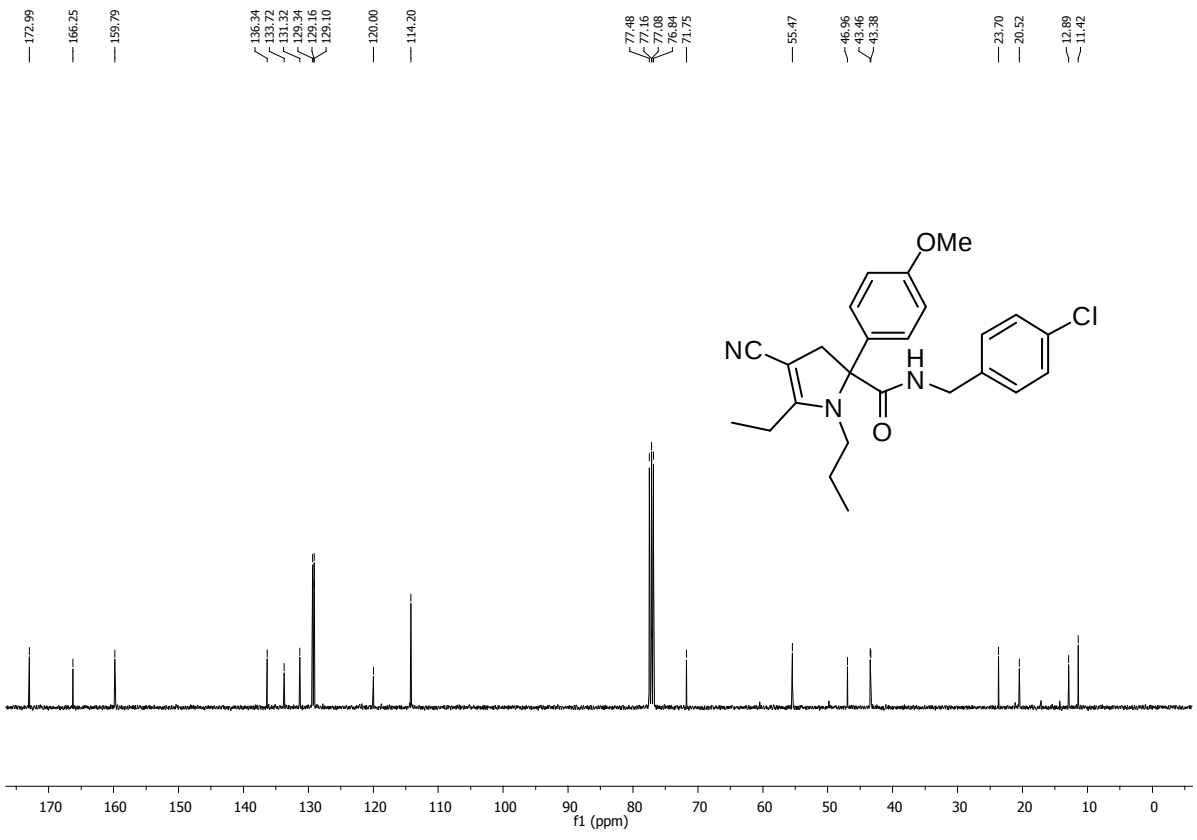
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.33-7.29 (m, 2H), 7.25-7.19 (m, 4H), 6.89-6.85 (m, 2H), 6.34 (t, *J* = 5.5 Hz, 1H, NH), 4.50-4.41 (m, 2H), 3.14 (s, 3H, OMe), 3.34 (d, *J* = 15.0 Hz, 1H), 3.27 (d, *J* = 15.0 Hz, 1H), 2.91-2.87 (m, 2H), 2.51-2.41 (m, 1H), 2.38-2.29 (m, 1H), 1.20 (t, *J* = 7.6 Hz, 3H), 1.15-1.07 (m, 1H), 0.83-0.73 (m, 1H), 0.55 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 172.9, 166.2, 159.7, 136.3, 133.7, 131.3, 129.3, 129.1, 129.1, 120.0, 114.2, 77.0, 71.7, 55.4, 46.9, 43.4, 43.3, 23.7, 20.5, 12.8, 11.4.

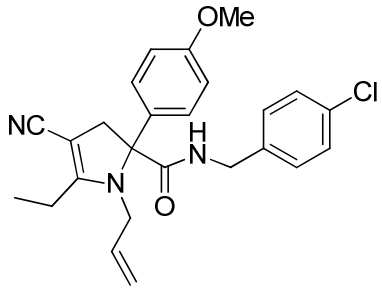
HRMS: Calcd. for $C_{25}H_{28}ClN_3O_2$: 437.1870, Found: 437.1851.

I.R. (thin film): 3306, 3049, 2963, 2932, 2873, 2837, 2174, 1656, 1586, 1509, 1417, 1249, 1180, 1090, 1014, 908, 727 cm^{-1}.


7.33
7.29
7.25
7.19
6.89
6.85
6.35
6.34
6.32
4.50
4.41
3.80
3.36
3.32
3.29
3.25
2.91
2.87
2.51
2.41
2.38
2.29
1.22
1.20
1.18
1.15
1.07
0.83
0.73
0.57
0.55
0.53
OMe
Cl
NC
H
N
N
O
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


172.99
166.25
159.79
136.34
133.72
131.32
129.34
129.16
129.10
120.00
114.20
77.48
77.16
77.08
76.84
71.75
55.47
46.96
43.46
43.38
23.70
20.52
12.89
11.42
OMe
Cl
NC
H
N
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

1-allyl-*N*-(4-chlorobenzyl)-4-cyano-5-ethyl-2-(4-methoxyphenyl)-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3h)



$C_{25}H_{26}ClN_3O_2$

Mol. Wt. = 435.945 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2h** (148 mg, 0.370 mmol), DIPEA (32 µl, 0.5 equiv) and acrylonitrile (146 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 55% yield (90 mg).

Nature: Bright oil

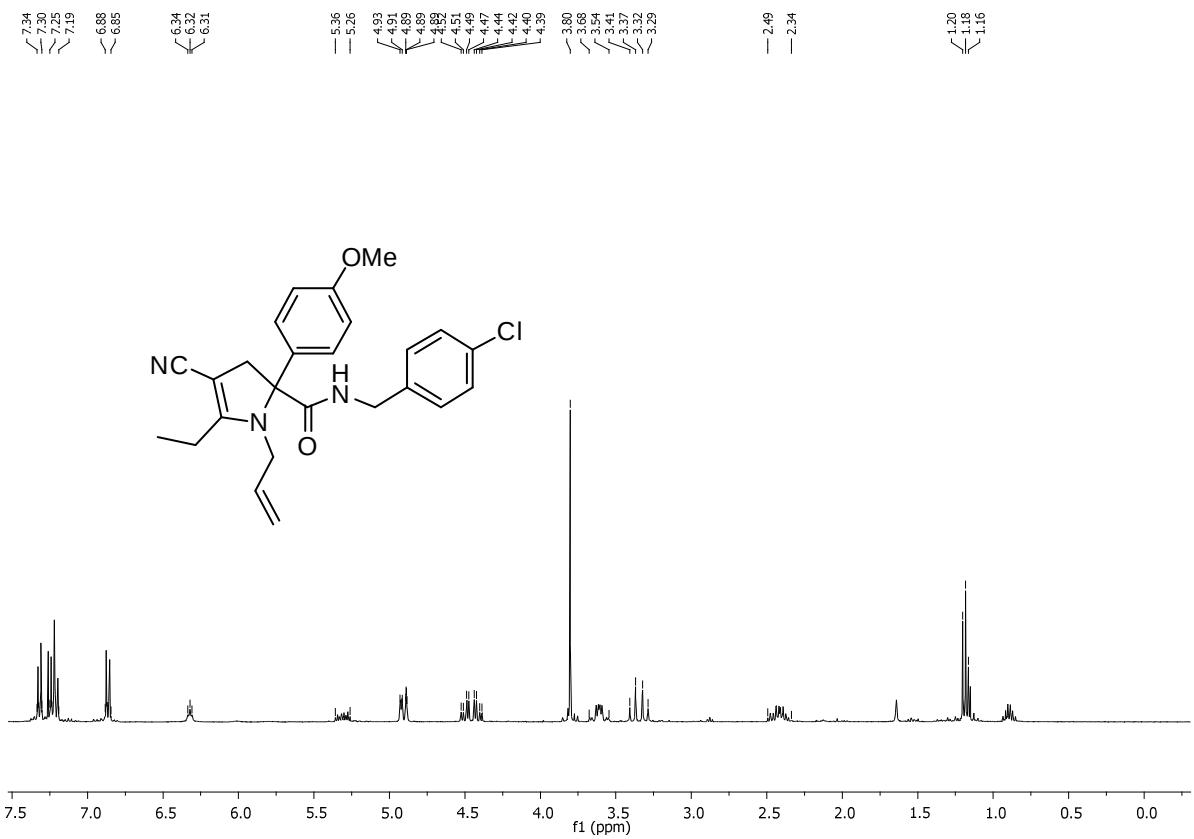
Rf: 0.7 (50:50 Ethyl acetate/ Petroleum ether)

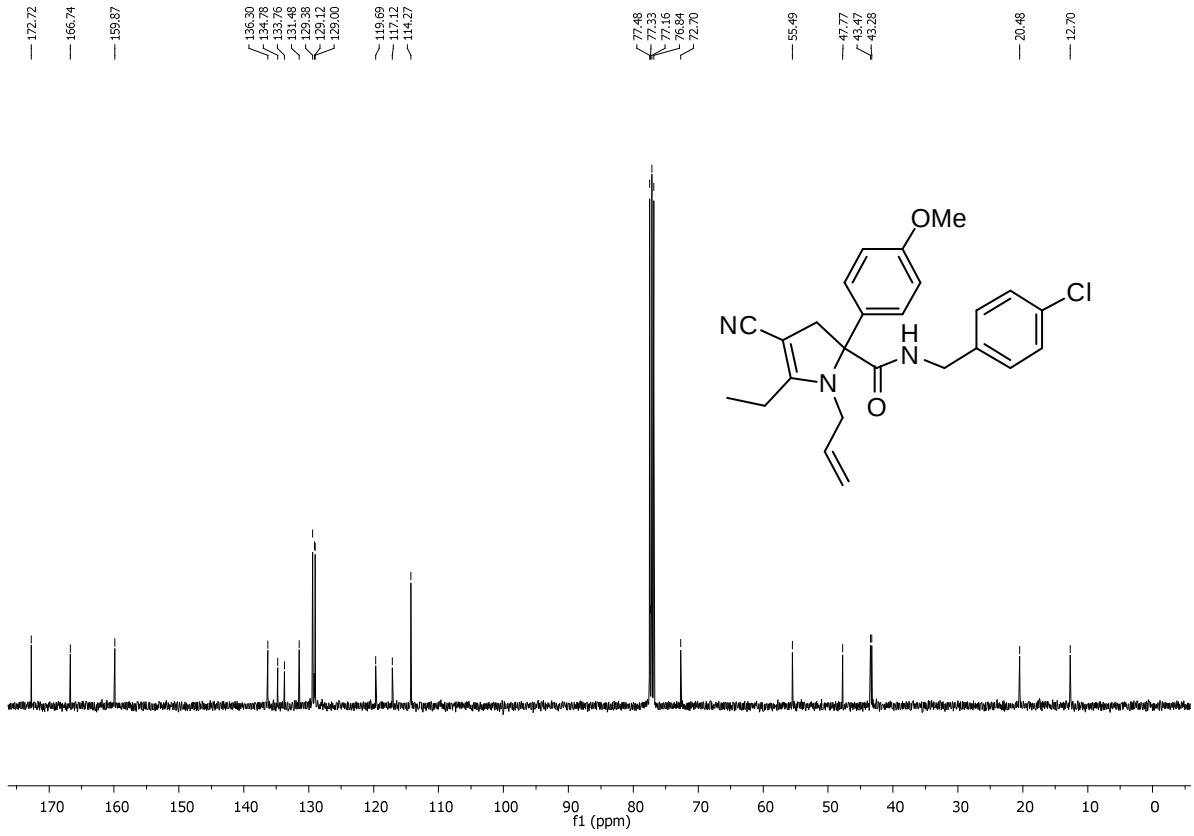
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.34-7.30 (m, 2H), 7.25-7.19 (m, 4H), 6.88-6.85 (m, 2H), 6.32 (t, *J* = 5.7 Hz, 1H, NH), 5.31 (ddt, *J* = 17.3, 10.2, 5.6 Hz, 1H), 4.94-4.91 (m, 1H), 4.89 (dd, *J* = 2.4, 1.1 Hz, 1H), 4.50 (dd, *J* = 14.7, 6.0 Hz, 1H), 4.41 (dd, *J* = 14.7, 5.7 Hz, 1H), 3.80 (s, 3H, OMe), 3.68-3.54 (m, 2H), 3.39 (d, *J* = 15.1 Hz, 1H), 3.30 (d, *J* = 15.0 Hz, 1H), 2.49-2.36 (m, 2H), 1.18 (t, *J* = 7.6 Hz, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 172.7, 166.7, 159.8, 136.3, 134.7, 133.7, 131.4, 129.3, 129.1, 129.0, 119.6, 117.1, 114.2, 77.3, 72.7, 55.4, 47.7, 43.4, 43.2, 20.4, 12.7.

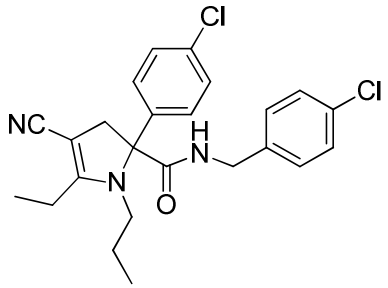
HRMS: Calcd. for $C_{25}H_{26}ClN_3O_2$: 435.1714, Found: 435.1703.

I.R. (thin film): 3309, 3052, 2934, 2837, 2179, 1659, 1594, 1509, 1407, 1249, 1180, 1089, 1014, 730 cm^{-1}.


7.34
7.30
7.25
7.19
6.88
6.85
6.34
6.32
6.31
5.36
5.26
4.93
4.91
4.89
4.89
4.52
4.51
4.49
4.47
4.44
4.42
4.40
4.39
3.80
3.68
3.54
3.41
3.37
3.32
3.29
2.49
2.34
1.20
1.18
1.16
OMe
Cl
NC
H
N
N
O
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


172.72
166.74
159.87
136.30
134.78
133.76
131.48
129.38
129.12
129.00
119.69
117.12
114.27
77.48
77.33
77.16
76.84
72.70
55.49
47.77
43.47
43.28
20.48
12.70
OMe
Cl
NC
H
N
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(4-chlorobenzyl)-2-(4-chlorophenyl)-4-cyano-5-ethyl-1-propyl-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3i)**



$C_{24}H_{25}Cl_2N_3O$

Mol. Wt. = 442.380 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2i** (160 mg, 0.392 mmol), DIPEA (34 µl, 0.5 equiv) and acrylonitrile (155 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 64% yield (112 mg).

Nature: Yellow oil

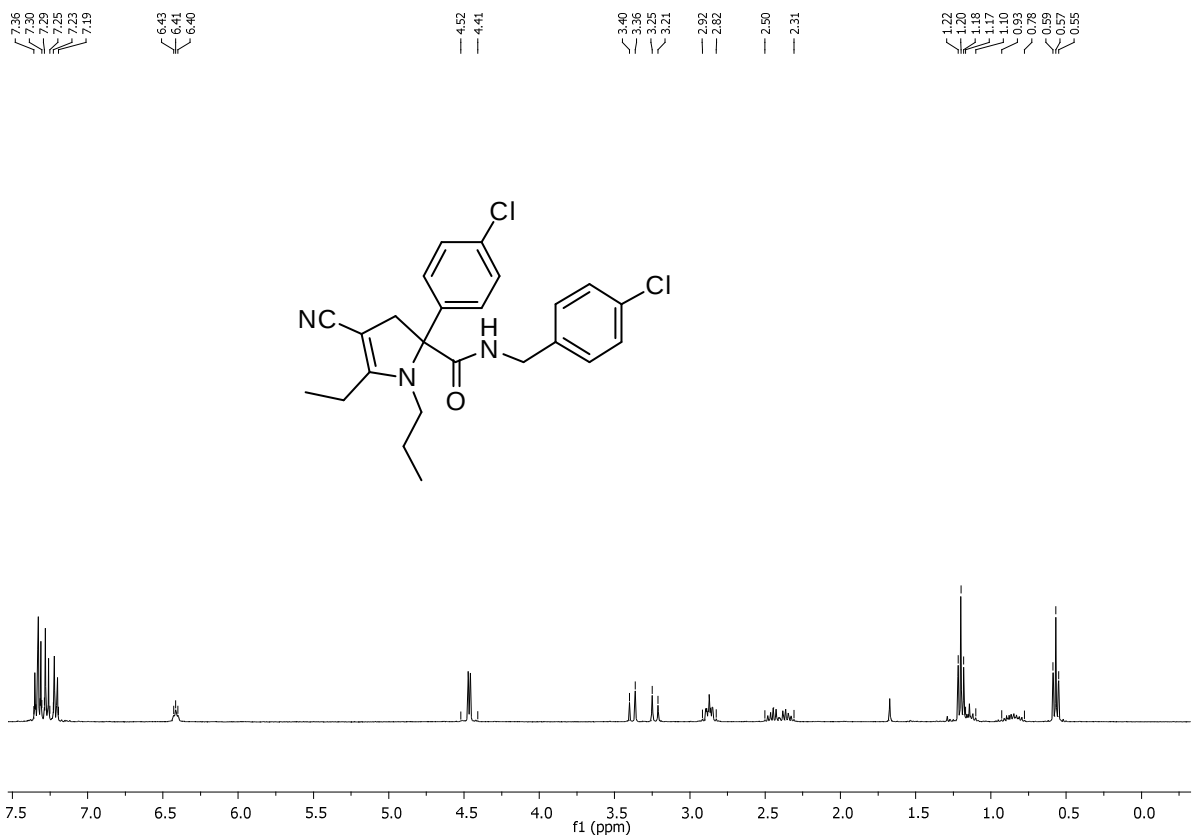
Rf: 0.3 (55:45 Ethyl acetate/ Petroleum ether)

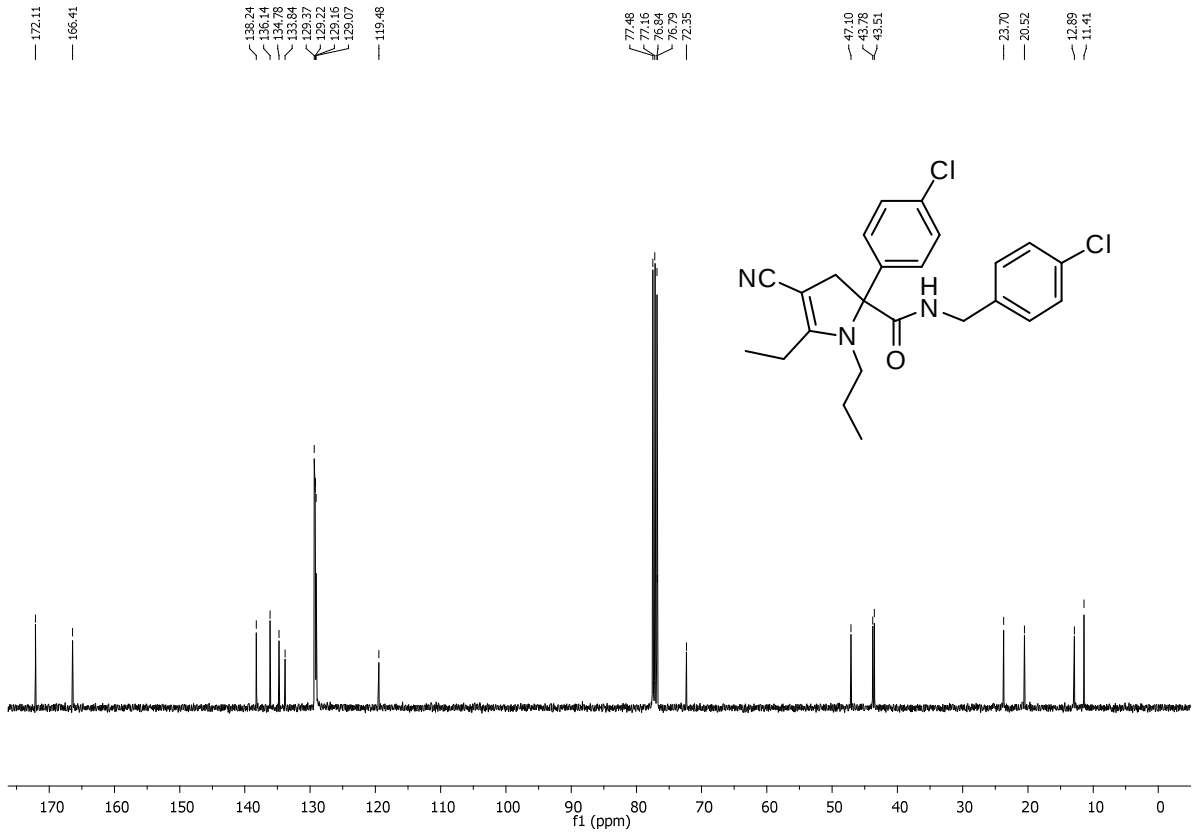
Flash chromatography: (40:60 Diethyl ether/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.36-7.30 (m, 4H), 7.29-7.25 (m, 2H), 7.23-7.19 (m, 2H), 6.41 (t, *J* = 5.7 Hz, 1H, NH), 4.52-4.41 (m, 2H), 3.38 (d, *J* = 15.2 Hz, 1H), 3.23 (d, *J* = 15.2 Hz, 1H), 2.92-2.82 (m, 2H), 2.50-2.31 (m, 2H), 1.20 (t, *J* = 7.6 Hz, 3H), 1.17-1.10 (m, 1H), 0.93-0.78 (m, 1H), 0.57 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 172.1, 166.4, 138.2, 136.1, 134.7, 133.8, 129.3, 129.2, 129.1, 129.0, 119.4, 76.7, 72.3, 47.1, 43.7, 43.5, 23.7, 20.5, 12.8, 11.4.

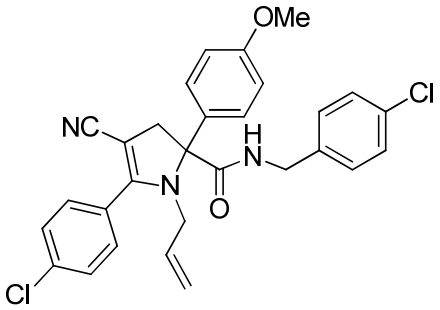
HRMS: Calcd. for $C_{24}H_{25}Cl_2N_3O$: 441.1375, Found: 441.1386.

I.R. (thin film): 3293, 3054, 2998, 2933, 2835, 1625, 1511, 1404, 1252, 1231, 1137, 1089 1014, 798, 729 cm^{-1}.


7.36
7.30
7.29
7.25
7.23
7.19
6.43
6.41
6.40
4.52
4.41
3.40
3.36
3.25
3.21
2.92
2.82
2.50
2.31
1.22
1.20
1.18
1.17
1.10
0.93
0.78
0.59
0.57
0.55
Cl
Cl
NC
H
N
N
O
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


172.11
166.41
138.24
136.14
134.78
133.84
129.37
129.22
129.16
129.07
119.48
77.48
77.16
76.84
76.29
72.35
47.10
43.78
43.51
23.70
20.52
12.89
11.41
Cl
Cl
NC
H
N
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

1-allyl-*N*-(4-chlorobenzyl)-5-(4-chlorophenyl)-4-cyano-2-(4-methoxyphenyl)-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3j)



$C_{29}H_{25}Cl_2N_3O_2$

Mol. Wt. = 518.433 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2j** (179 mg, 0.370 mmol), DIPEA (32 µl, 0.5 equiv) and acrylonitrile (146 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 54% yield (104 mg).

Nature: Yellow oil

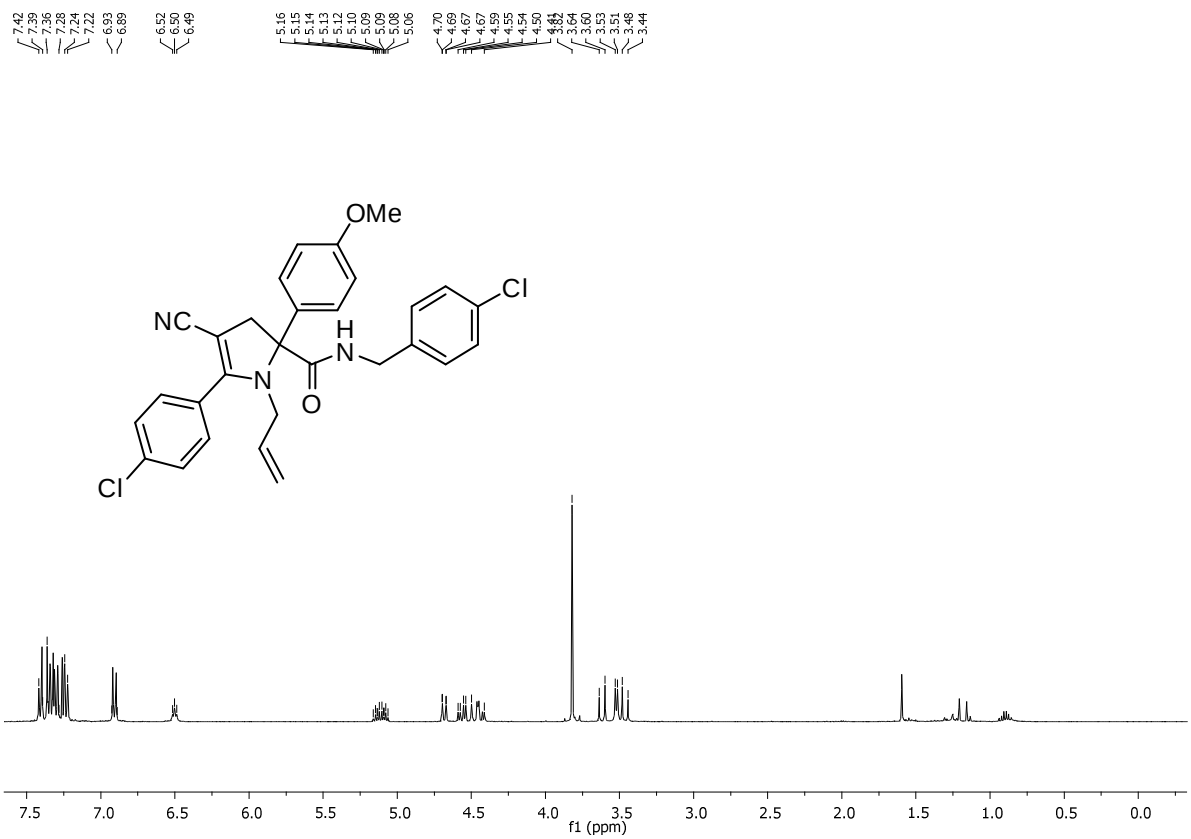
Rf: 0.8 (50:50 Ethyl acetate/ Petroleum ether)

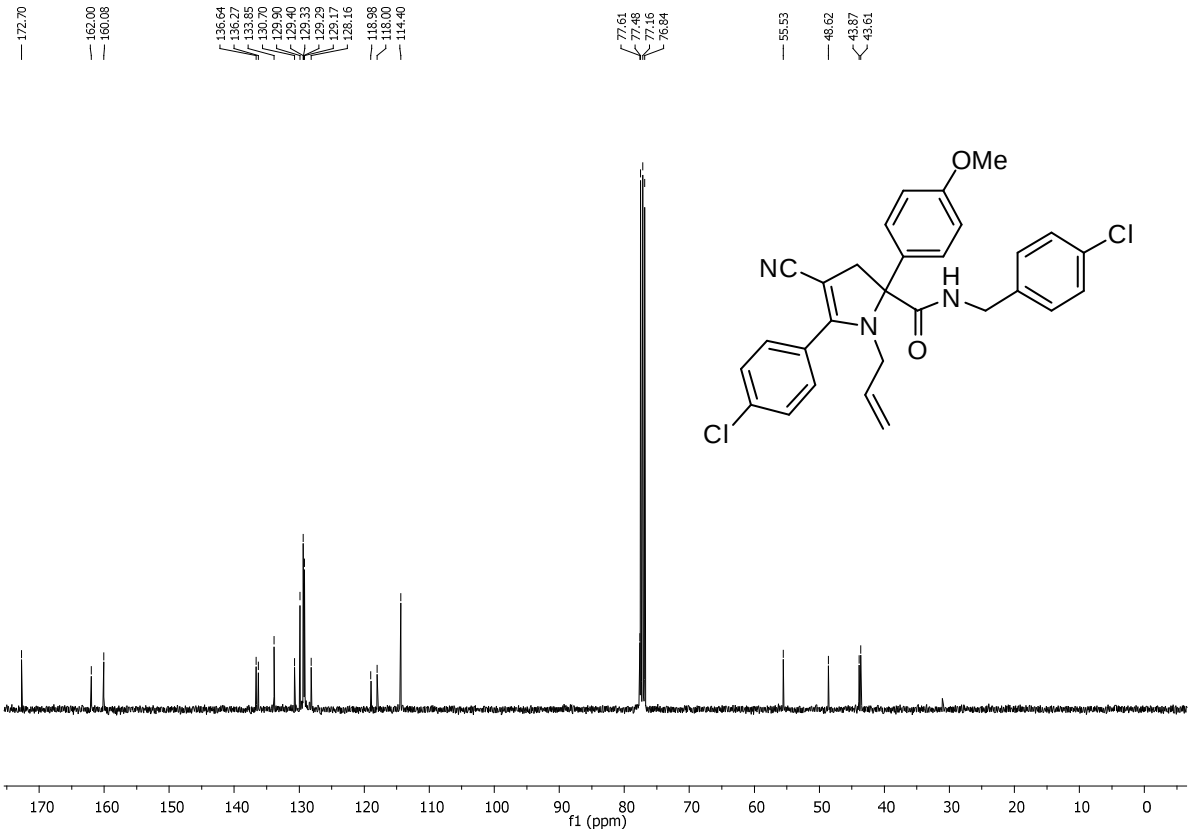
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

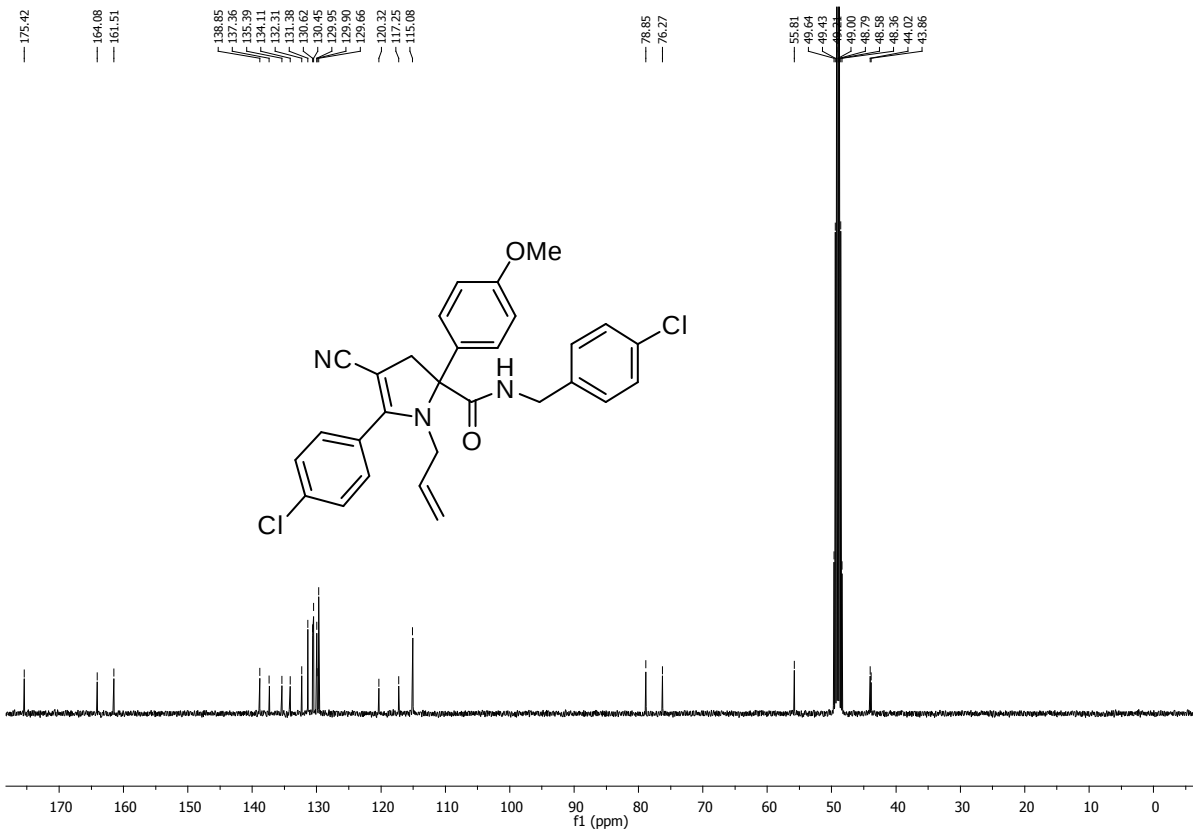
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.42-7.39 (m, 2H), 7.36-7.28 (m, 6H), 7.24-7.22 (m, 2H), 6.93-6.89 (m, 2H), 6.51 (t, *J* = 5.7 Hz, 1H, NH), 5.11 (ddt, *J* = 16.4, 10.2, 6.1 Hz, 1H), 4.68 (dd, *J* = 10.2, 0.8 Hz, 1H), 4.56 (dd, *J* = 14.8, 6.0 Hz, 1H), 4.50-4.41 (m, 2H), 3.82 (s, 3H, OMe), 3.62 (d, *J* = 15.6 Hz, 1H), 3.52 (d, *J* = 6.2 Hz, 2H), 3.46 (d, *J* = 15.6 Hz, 1H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 172.7, 162.0, 160.0, 136.6, 136.2, 133.8, 130.7, 129.9, 129.4, 129.3, 129.2, 129.1, 128.1, 118.9, 118.0, 114.4, 77.6, 77.4, 55.5, 48.6, 43.8, 43.6.

HRMS: Calcd. for $C_{29}H_{25}Cl_2N_3O_2$: 517.1324, Found: 517.1320.

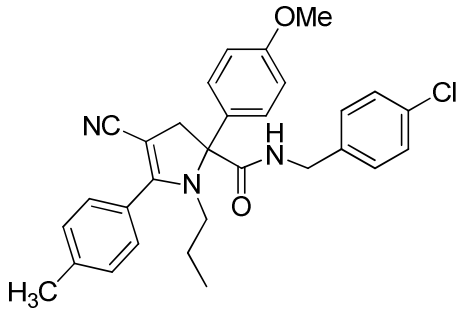
I.R. (thin film): 3337, 3072, 2933, 2190, 1660, 1609, 1513, 1492, 1252, 1183, 1092, 1015, 832 cm^{-1}.


7.42
7.39
7.36
7.28
7.24
7.22
6.93
6.89
6.52
6.50
6.49
5.16
5.15
5.14
5.13
5.12
5.10
5.09
5.09
5.08
5.06
4.70
4.69
4.67
4.67
4.59
4.55
4.54
4.50
3.64
3.60
3.53
3.51
3.48
3.44
OMe
Cl
NC
H
N
N
O
Cl
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


172.70
162.00
160.08
136.64
136.27
133.85
130.70
129.90
129.40
129.33
129.29
129.17
128.16
118.98
118.00
114.40
77.61
77.48
77.16
76.84
55.53
48.62
43.87
43.61
OMe
Cl
NC
H
N
N
O
Cl
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


175.42
164.08
161.51
138.85
137.36
135.39
134.11
132.31
131.38
130.62
130.45
129.95
129.90
129.66
120.32
117.25
115.08
78.85
76.27
55.81
49.64
49.43
49.00
48.79
48.58
48.36
44.02
43.86
OMe
NC
H
N
Cl
N
O
Cl
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(4-chlorobenzyl)-4-cyano-2-(4-methoxyphenyl)-1-propyl-5-(*p*-tolyl)-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3k)**



$C_{30}H_{30}ClN_3O_2$

Mol. Wt. = 500.031 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2k** (150 mg, 0.322 mmol), DIPEA (32 µl, 0.5 equiv) and acrylonitrile (146 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 42% yield (68 mg).

Nature: Yellow oil

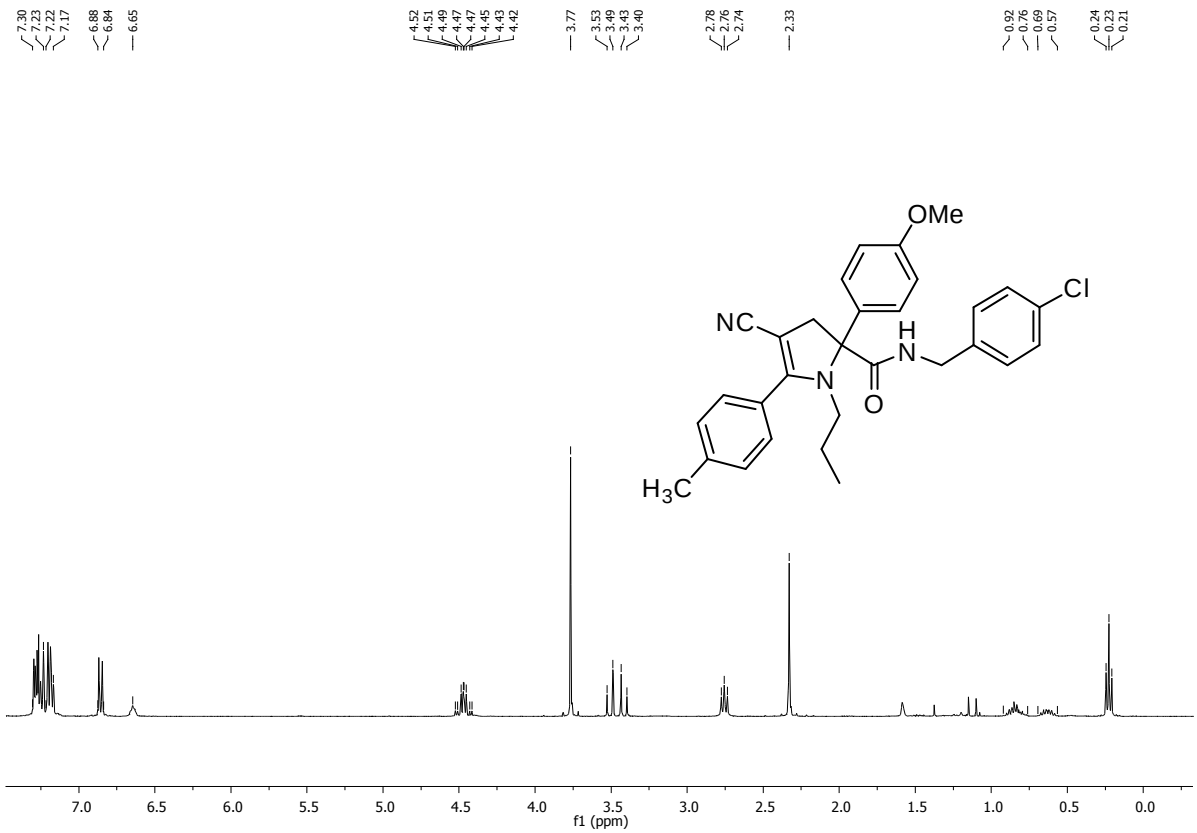
Rf: 0.6 (40:60 Ethyl acetate/ Petroleum ether)

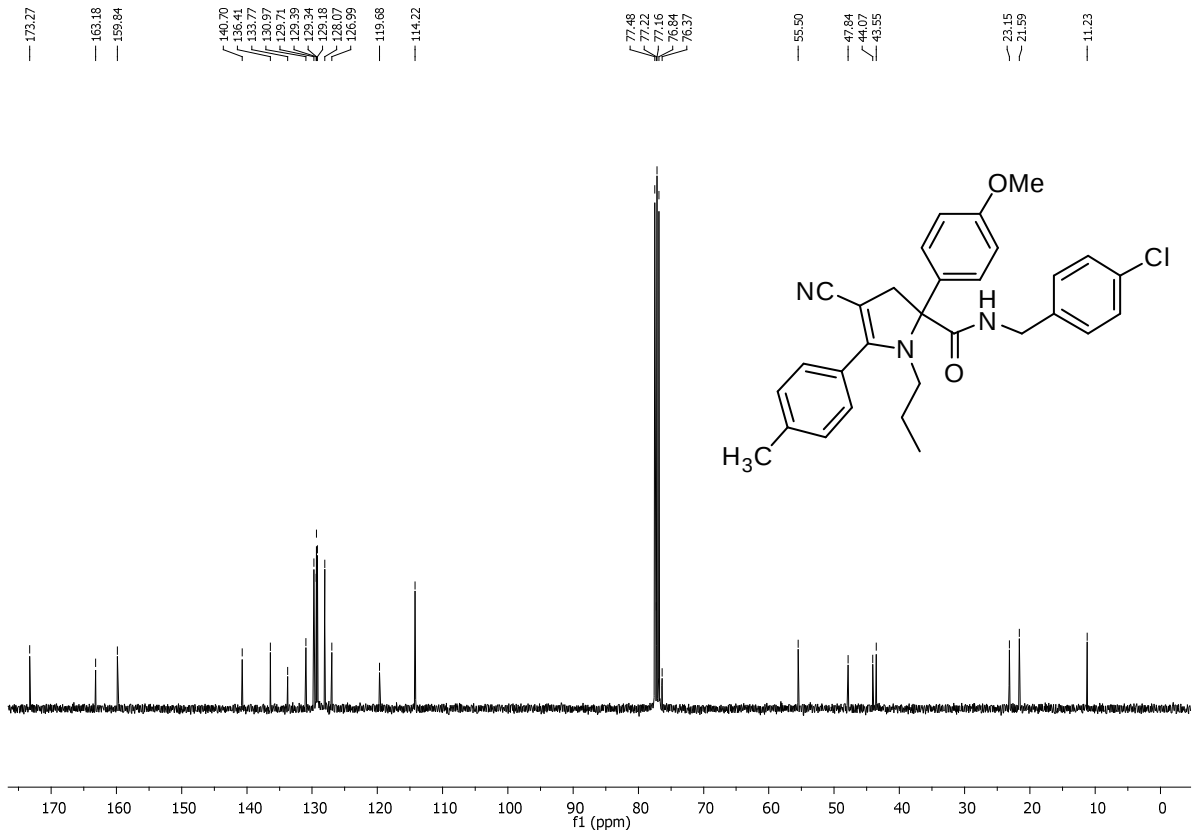
Flash chromatography: (60:40 Diethyl ether/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.30-7.23 (m, 6H), 7.22-7.17 (m, 4H), 6.88-6.84 (m, 2H), 6.65 (br s, 1H, NH), 4.50 (d, *J* = 14.8, 5.9 Hz, 1H), 4.44 (d, *J* = 14.8, 5.9 Hz, 1H), 3.77 (s, 3H, OMe), 3.51 (d, *J* = 15.5 Hz, 1H), 3.41 (d, *J* = 15.5 Hz, 1H), 2.76 (t, *J* = 8.1 Hz, 2H), 2.33 (s, 3H), 0.92-0.76 (m, 1H), 0.69-0.57 (m, 1H), 0.23 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 173.2, 163.1, 159.8, 140.7, 136.4, 133.7, 130.9, 129.7, 129.3, 129.3, 129.1, 128.0, 126.9, 119.6, 114.2, 77.2, 76.3, 55.5, 47.8, 44.0, 43.5, 23.1, 21.5, 11.2.

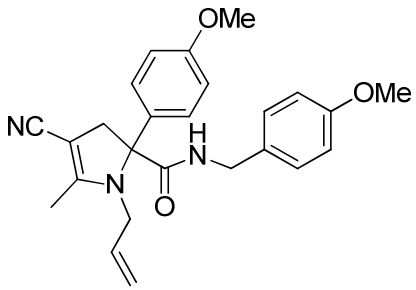
HRMS: Calcd. for $C_{30}H_{30}ClN_3O_2$: 499.2027, Found: 499.2050.

I.R. (thin film): 3325, 3034, 2961, 2930, 2871, 2181, 1656, 1609, 1591, 1508, 1408, 1251, 1180, 1089, 823, 734 cm^{-1}.


7.30
7.23
7.22
7.17
6.88
6.84
6.65
4.52
4.51
4.49
4.47
4.47
4.45
4.43
4.42
3.77
3.53
3.49
3.43
3.40
2.78
2.76
2.74
2.33
0.92
0.76
0.69
0.57
0.24
0.23
0.21
OMe
Cl
NC
H
N
N
O
H3C
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


173.27
163.18
159.84
140.70
136.41
133.77
130.97
129.71
129.39
129.34
129.18
128.07
126.99
119.68
114.22
77.48
77.22
77.15
76.84
76.37
55.50
47.84
44.07
43.55
23.15
21.59
11.23
OMe
Cl
NC
H
N
N
O
H3C
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

1-allyl-4-cyano-*N*-(4-methoxybenzyl)-2-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3l)



$C_{25}H_{27}N_3O_3$

Mol. Wt. = 417.500 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2l** (141 mg, 0.368 mmol), DIPEA (32 µl, 0.5 equiv) and acrylonitrile (145 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 57% yield (88 mg).

Nature: Bright oil

Rf: 0.7 (55:45 Ethyl acetate/ Petroleum ether)

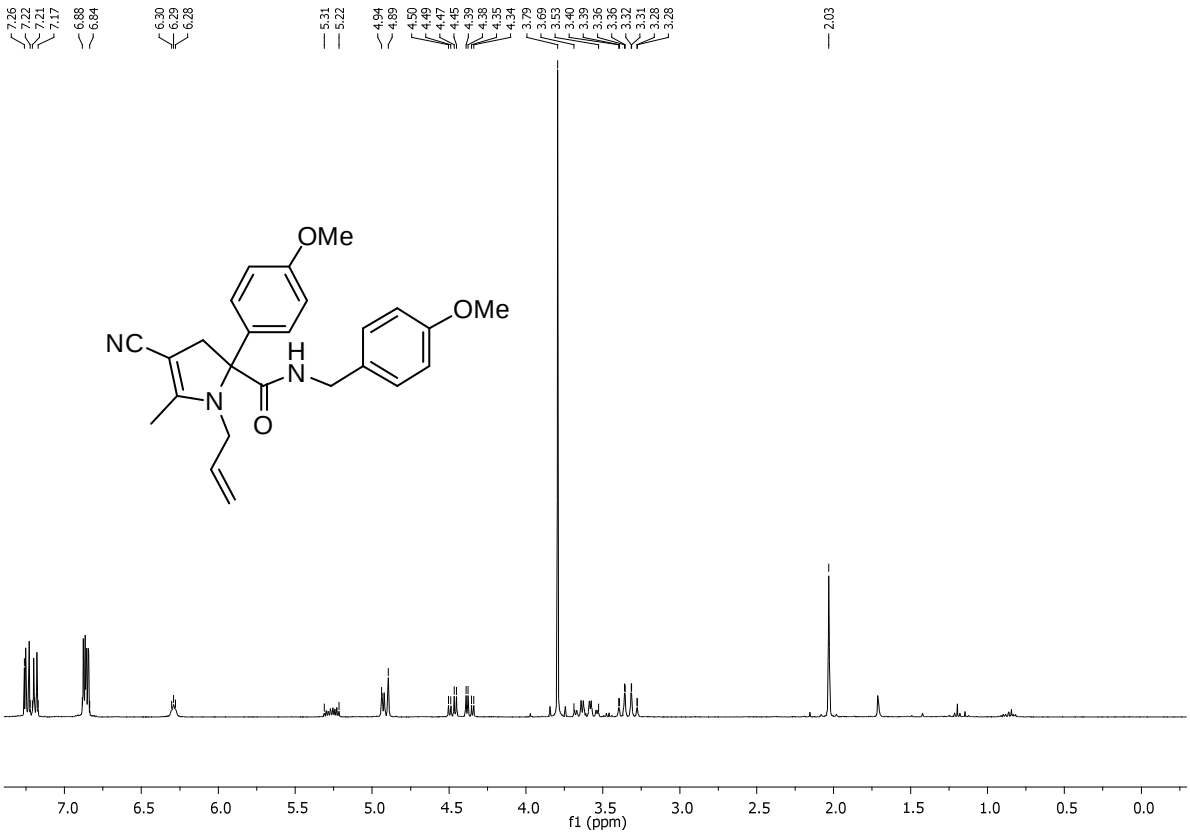
Flash chromatography: (80:20 Ethyl acetate/ Petroleum ether)

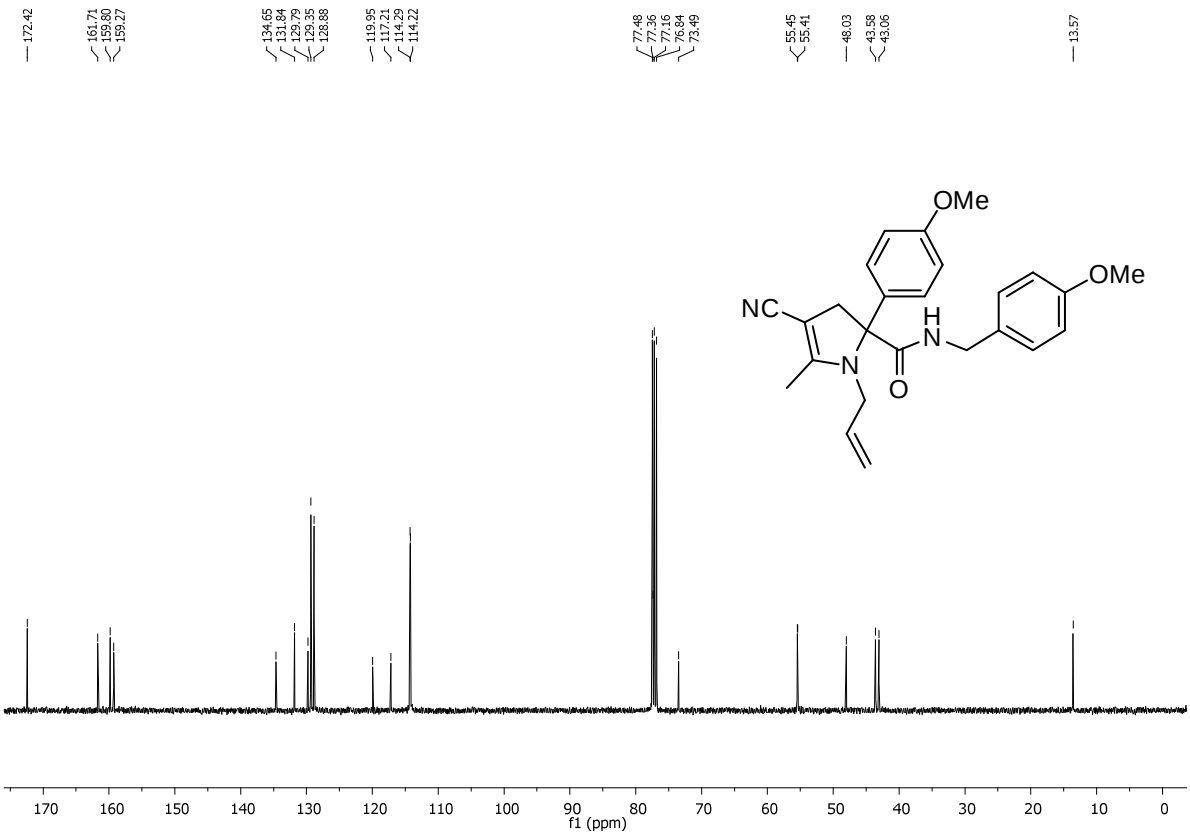
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.26-7.22 (m, 2H), 7.21-7.17 (m, 2H), 6.88-6.84 (m, 4H), 6.29 (t, *J* = 5.1 Hz, 1H, NH), 5.31-5.22 (m, 1H), 4.94-4.89 (m, 2H), 4.48 (dd, *J* = 14.4, 5.9 Hz, 1H), 4.36 (dd, *J* = 14.4, 5.4 Hz, 1H), 3.79 (s, 2 OMe, 6H), 3.69-3.53 (m, 2H), 3.34 (qd, *J* = 15.0, 1.5 Hz, 2H), 2.03 (s, 3H).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 172.4, 161.7, 159.8, 159.2, 134.6, 131.8, 129.7, 129.3, 128.8, 119.9, 117.2, 114.2, 114.2, 77.3, 73.4, 55.4, 55.4, 48.0, 43.5, 43.0, 13.5.

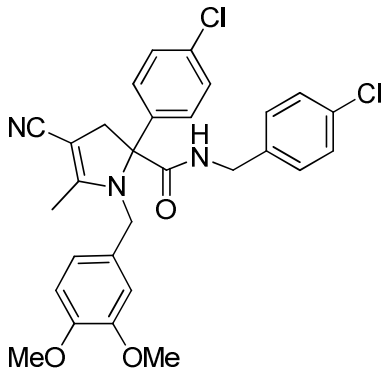
HRMS: Calcd. for $C_{25}H_{27}N_3O_3$: 417.2052, Found: 417.2070.

I.R. (thin film): 3323, 2933, 2836, 2180, 1659, 1608, 1511, 1409, 1249, 1181, 1032, 930, 831 cm^{-1}.


7.26
7.22
7.21
7.17
6.88
6.84
6.30
6.29
6.28
5.31
5.22
4.94
4.89
4.50
4.49
4.47
4.45
4.39
4.38
4.35
4.34
3.79
3.69
3.53
3.40
3.39
3.36
3.36
3.32
3.31
3.28
3.28
2.03
OMe
OMe
NC
H
N
N
O
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


172.42
161.71
159.80
159.27
134.65
131.84
129.79
129.35
128.88
119.95
117.21
114.29
114.22
77.48
77.36
77.16
76.84
73.49
55.45
55.41
48.03
43.58
43.06
13.57
OMe
OMe
NC
H
N
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(4-chlorobenzyl)-2-(4-chlorophenyl)-4-cyano-1-(3,4-dimethoxybenzyl)-5-methyl-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3m)**



$C_{29}H_{27}Cl_2N_3O_3$

Mol. Wt. = 536.449 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2m** (100 mg, 0.199 mmol), DIPEA (17 µl, 0.5 equiv) and acrylonitrile (78 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 59% yield (63 mg).

Nature: Orange oil

Rf: 0.4 (45:55 Ethyl acetate/ Petroleum ether)

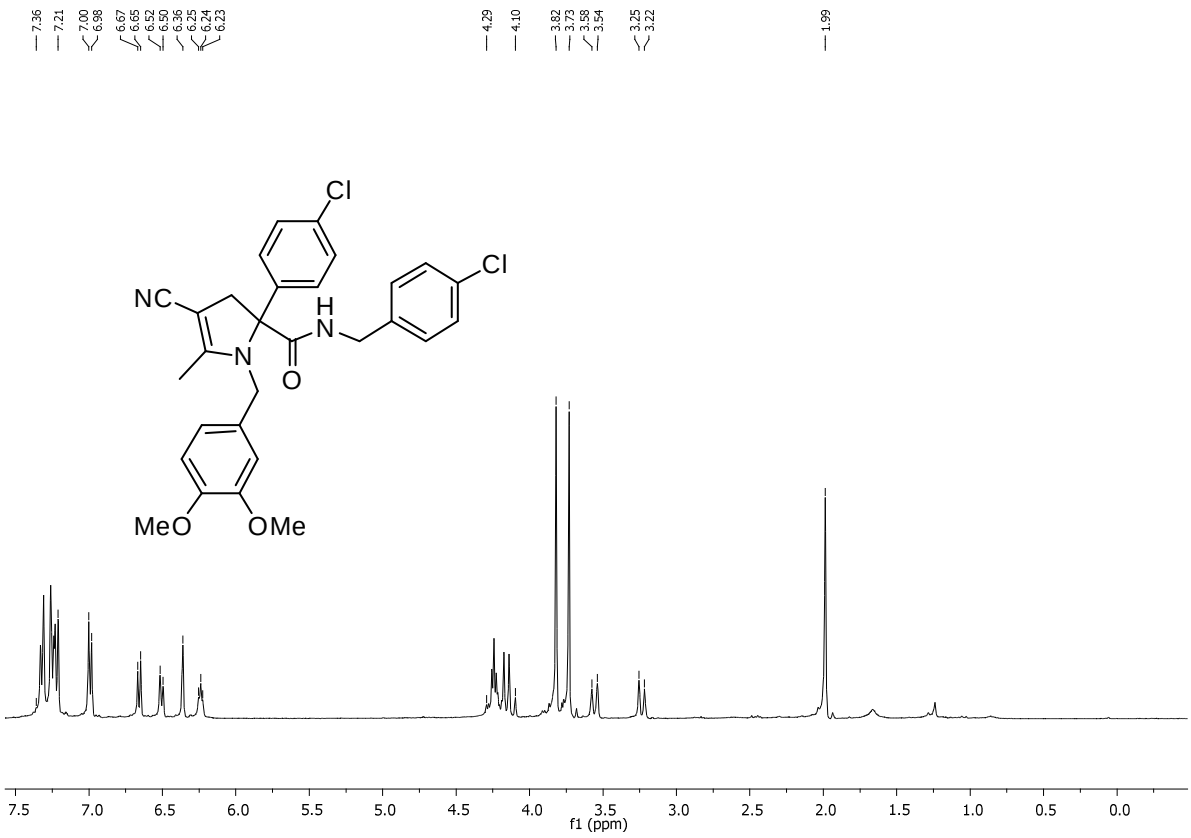
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

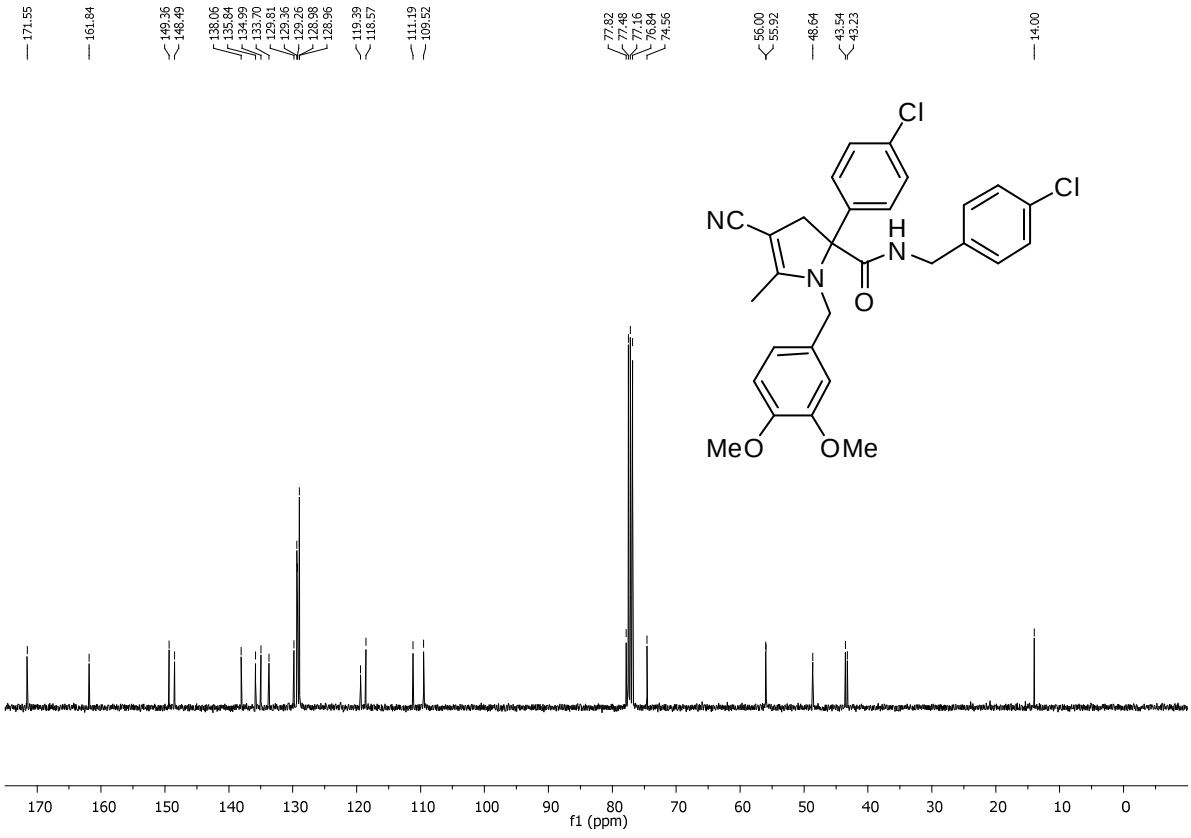
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.36-7.21 (m, 6H), 6.99 (d, *J* = 8.1 Hz, 2H), 6.66 (d, *J* = 8.2 Hz, 1H), 6.51 (d, *J* = 8.1 Hz, 1H), 6.36 (s, 1H), 6.24 (t, *J* = 5.3 Hz, 1H, NH), 4.29-4.10 (m, 4H), 3.82 (s, 3H, OMe), 3.73 (s, 3H, OMe), 3.56 (d, *J* = 15.1 Hz, 1H), 3.24 (d, *J* = 15.1 Hz, 1H), 1.99 (s, 3H).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 171.5, 161.8, 149.3, 148.4, 138.0, 135.8, 134.9, 133.7, 129.8, 129.3, 129.2, 128.9, 128.9, 119.3, 118.5, 111.1, 109.5, 77.8, 74.5, 56.0, 55.9, 48.6, 43.5, 43.2, 14.0.

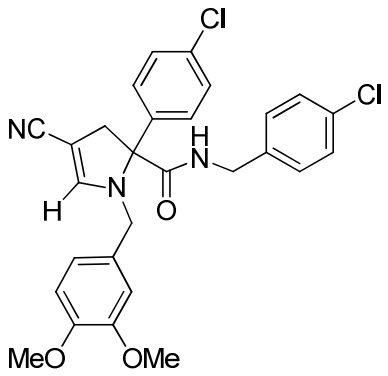
HRMS: Calcd. for $C_{29}H_{27}Cl_2N_3O_3$: 535.1429, Found: 535.1408.

I.R. (thin film): 3338, 3057, 2934, 2183, 1664, 1604, 1514, 1409, 1236, 1139, 1093 cm^{-1}.


7.36
7.21
7.00
6.98
6.67
6.65
6.52
6.50
6.36
6.25
6.24
6.23
4.29
4.10
3.82
3.73
3.58
3.54
3.25
3.22
1.99
Cl
Cl
NC
H
N
N
O
MeO
OMe
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


171.55
161.84
149.36
148.49
138.06
135.84
134.99
133.70
129.81
129.36
129.26
128.98
128.96
119.39
118.57
111.19
109.52
77.82
77.48
77.16
76.84
74.56
56.00
55.92
48.64
43.54
43.23
14.00
Cl
Cl
NC
H
N
N
O
MeO
OMe
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

***N*-(4-chlorobenzyl)-2-(4-chlorophenyl)-4-cyano-1-(3,4-dimethoxybenzyl)-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3n)**



$C_{28}H_{25}Cl_2N_3O_3$

Mol. Wt. = 522.422 g.mol^{-1}

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2n** (180 mg, 0.369 mmol), DIPEA (32 µl, 0.5 equiv) and acrylonitrile (145 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 54% yield (105 mg).

Nature: Orange oil

Rf: 0.4 (40:60 Ethyl acetate/ Petroleum ether)

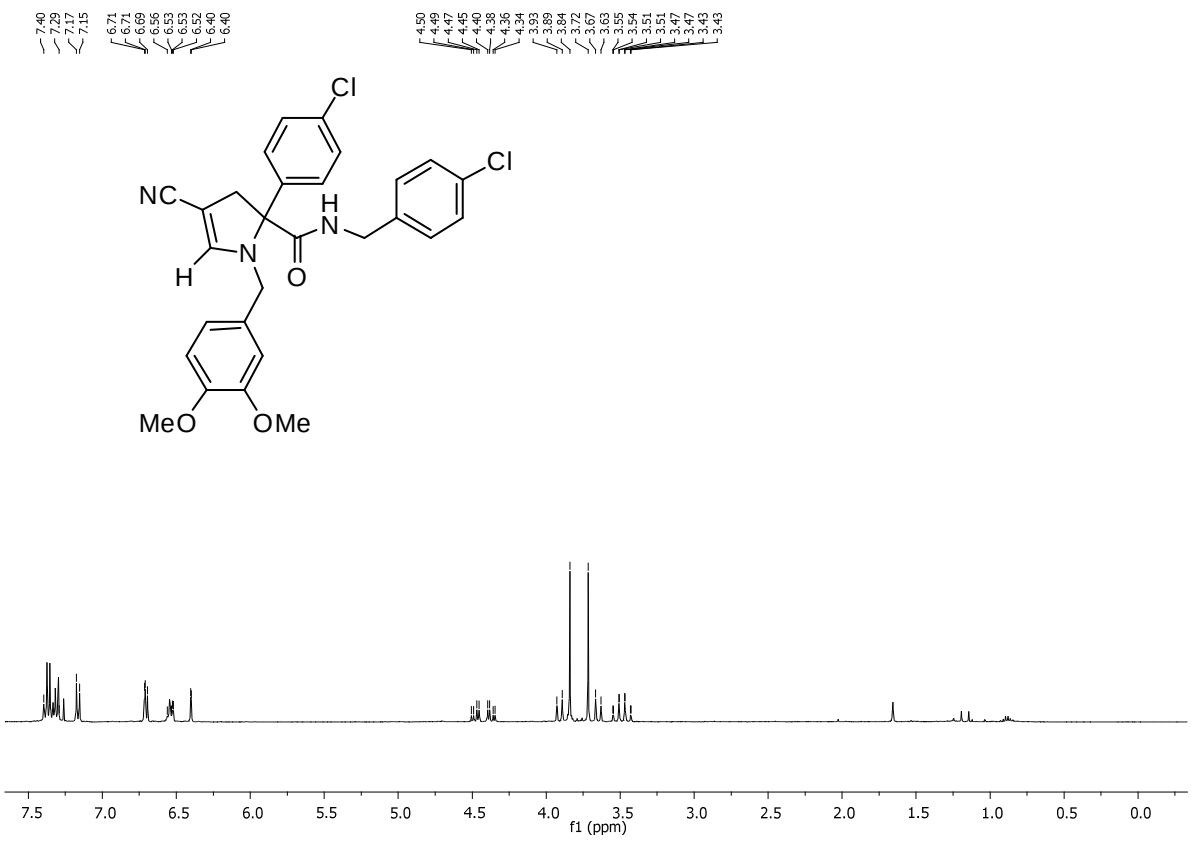
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

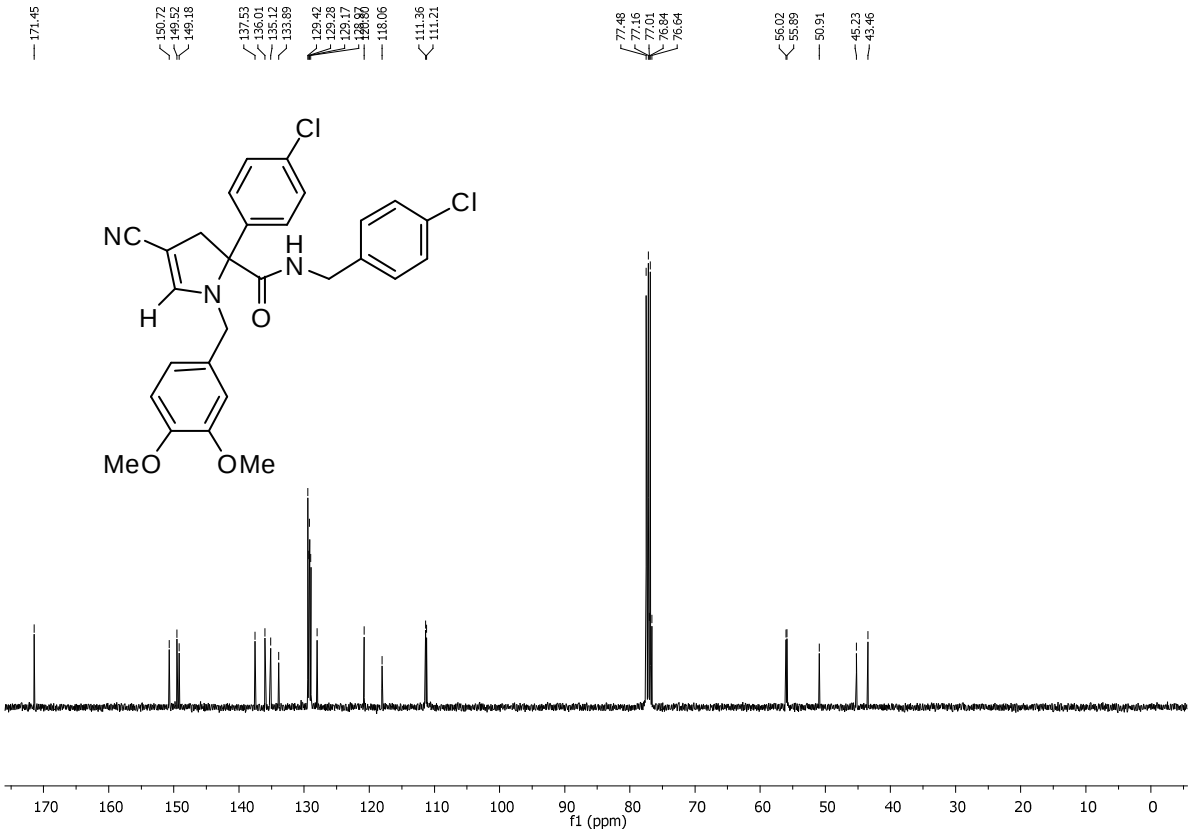
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.40-7.29 (m, 6H), 7.17-7.15 (m, 2H), 6.71 (d, *J* = 1.9 Hz, 1H), 6.69 (s, 1H), 6.56-6.53 (m, 1H, NH), 6.52 (d, *J* = 2.0 Hz, 1H), 6.40 (d, *J* = 1.9 Hz, 1H) 4.48 (dd, *J* = 14.6, 6.0 Hz, 1H), 4.37 (dd, *J* = 14.6, 5.5 Hz, 1H), 3.91 (d, *J* = 14.1 Hz, 1H), 3.84 (s, 3H, OMe), 3.72 (s, 3H, OMe), 3.65 (d, *J* = 14.2 Hz, 1H), 3.53 (dd, *J* = 15.8, 1.4 Hz, 1H), 3.45 (dd, *J* = 15.8, 0.9 Hz, 1H).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 171.4, 150.7, 149.5, 149.1, 137.5, 136.0, 135.1, 133.8, 129.4, 129.2, 129.1, 128.9, 128.0, 120.8, 118.0, 111.3, 111.2, 77.0, 76.6, 56.0, 55.8, 50.9, 45.2, 43.4.

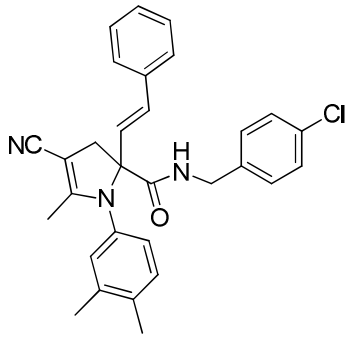
HRMS: Calcd. for $C_{28}H_{25}Cl_2N_3O_3$: 521.1273, Found: 521.1270.

I.R. (thin film): 3325, 3057, 2933, 2835, 2188, 1663, 1592, 1511, 1403, 1348, 1256, 1234, 1091, 1014, 813, 730 cm^{-1}.


7.40
7.29
7.17
7.15
6.71
6.71
6.69
6.66
6.53
6.53
6.52
6.40
6.40
4.50
4.49
4.47
4.45
4.40
4.38
4.36
4.34
3.93
3.89
3.84
3.72
3.67
3.63
3.55
3.54
3.51
3.47
3.47
3.43
3.43
Cl
Cl
NC
H
N
H
N
O
MeO
OMe
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


171.45
150.72
149.52
149.18
137.53
136.01
135.12
133.89
129.42
129.28
129.17
128.87
128.80
118.06
111.36
111.21
77.48
77.16
77.01
76.84
76.64
56.02
55.89
50.91
45.23
43.46
Cl
Cl
NC
H
N
H
N
O
MeO
OMe
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

(*E*)-*N*-(4-chlorobenzyl)-4-cyano-1-(3,4-dimethylphenyl)-5-methyl-2-styryl-2,3-dihydro-1*H*-pyrrole-2-carboxamide (3o)



$C_{30}H_{28}ClN_3O$

Mol. Wt. = 482.015 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2o** (165 mg, 0.369 mmol), DIPEA (32 µl, 0.5 equiv) and acrylonitrile (145 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 57% yield (102 mg).

Nature: White solid

M.P. = 174.4-174.8°C

Rf: 0.7 (45:55 Ethyl acetate/ Petroleum ether)

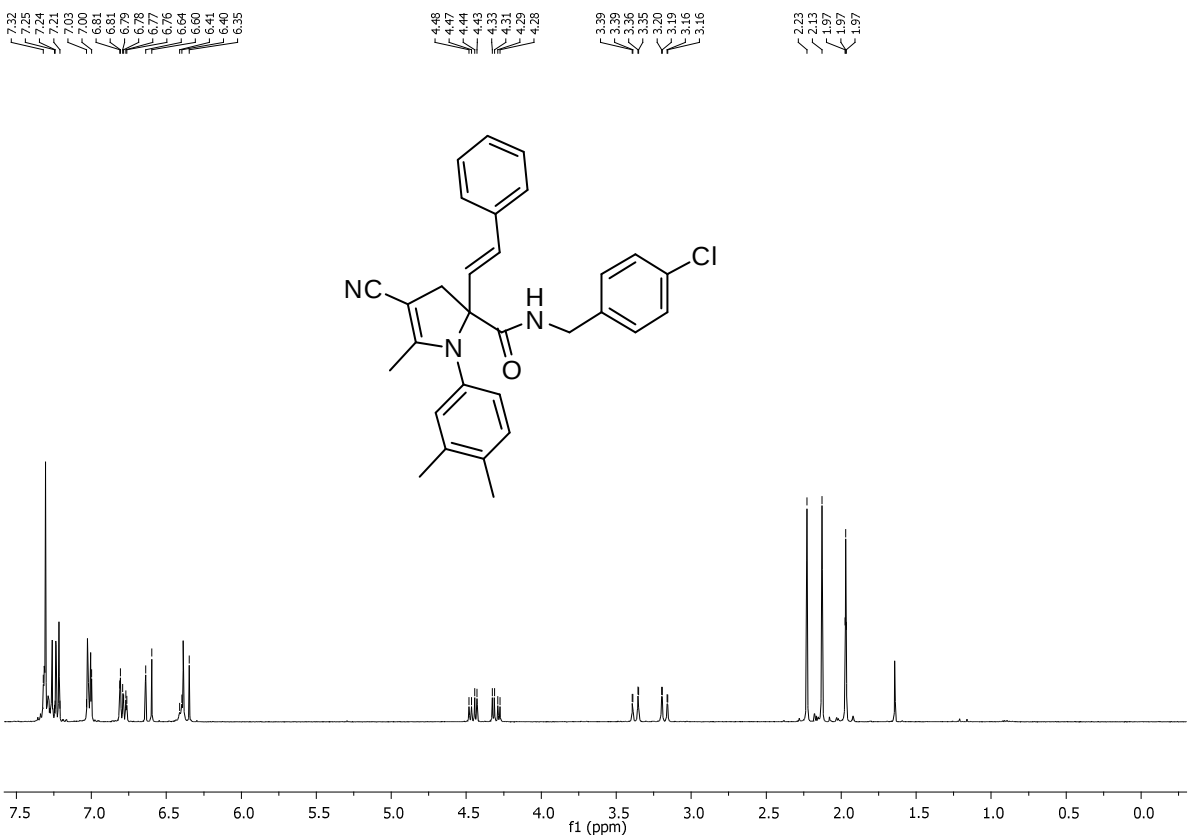
Flash chromatography: (40:60 Diethyl ether/ Petroleum ether)

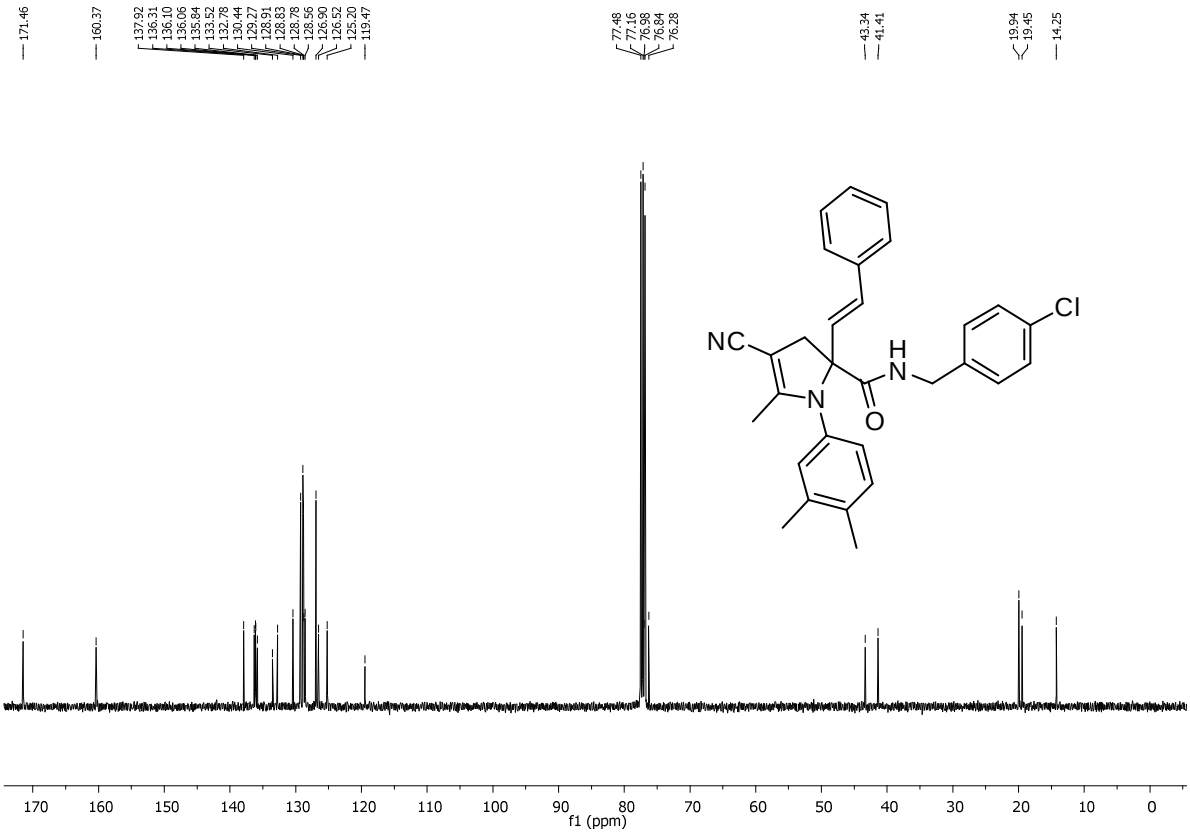
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.32-7.25 (m, 5H), 7.24-7.21 (m, 2H), 7.03-7.00 (m, 3H), 6.81 (d, *J* = 2.0 Hz, 1H), 6.78 (dd, *J* = 8.0, 2.3 Hz, 1H), 6.62 (d, *J* = 16.3 Hz, 1H), 6.42-6.38 (m, 1H, NH), 6.37 (d, *J* = 16.3 Hz, 1H), 4.45 (dd, *J* = 14.8, 6.4 Hz, 1H), 4.30 (dd, *J* = 14.8, 5.6 Hz, 1H), 3.37 (dd, *J* = 14.6, 1.7 Hz, 1H), 3.18 (dd, *J* = 14.6, 1.4 Hz, 1H), 2.23 (s, 3H), 2.13 (s, 3H), 1.97 (t, *J* = 1.4 Hz, 3H).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 171.4, 160.3, 137.9, 136.3, 136.1, 136.0, 135.8, 133.5, 132.7, 130.4, 129.2, 128.9, 128.8, 128.7, 128.5, 126.9, 126.5, 125.2, 119.4, 76.9, 76.2, 43.3, 41.4, 19.9, 19.4, 14.2.

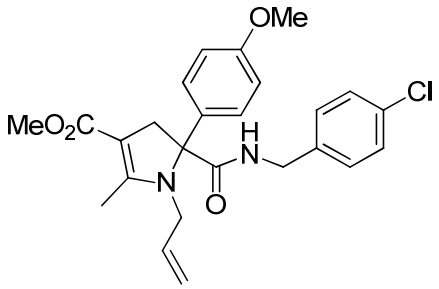
HRMS: Calcd. for $C_{30}H_{28}ClN_3O$: 481.1921, Found: 481.1935.

I.R. (thin film): 3329, 3053, 2922, 2186, 1666, 1602, 1502, 1393, 1365, 1091, 1015 cm^{-1}.


7.32
7.25
7.24
7.21
7.03
7.00
6.81
6.81
6.79
6.78
6.77
6.76
6.64
6.60
6.41
6.40
6.35
4.48
4.47
4.44
4.43
4.33
4.31
4.29
4.28
3.39
3.39
3.36
3.35
3.20
3.19
3.16
3.16
2.23
2.13
1.97
1.97
1.97
NC
H
N
O
N
Cl
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


171.46
160.37
137.92
136.31
136.10
136.06
135.84
133.52
132.78
130.44
129.27
128.91
128.83
128.78
128.56
126.90
126.52
125.20
119.47
77.48
77.16
76.98
76.84
76.28
43.34
41.41
19.94
19.45
14.25
NC
H
N
O
N
Cl
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

methyl 1-allyl-5-((4-chlorobenzyl)carbamoyl)-5-(4-methoxyphenyl)-2-methyl-4,5-dihydro-1*H*-pyrrole-3-carboxylate (3p)



$C_{25}H_{27}ClN_2O_4$

Mol. Wt. = 454.945 $g.mol^{-1}$

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2p** (143 mg, 0.369 mmol), DIPEA (32 µl, 0.5 equiv) and methyl acrylate (201 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 22% yield (38 mg).

Nature: Bright oil

Rf: 0.6 (50:50 Ethyl acetate/ Petroleum ether)

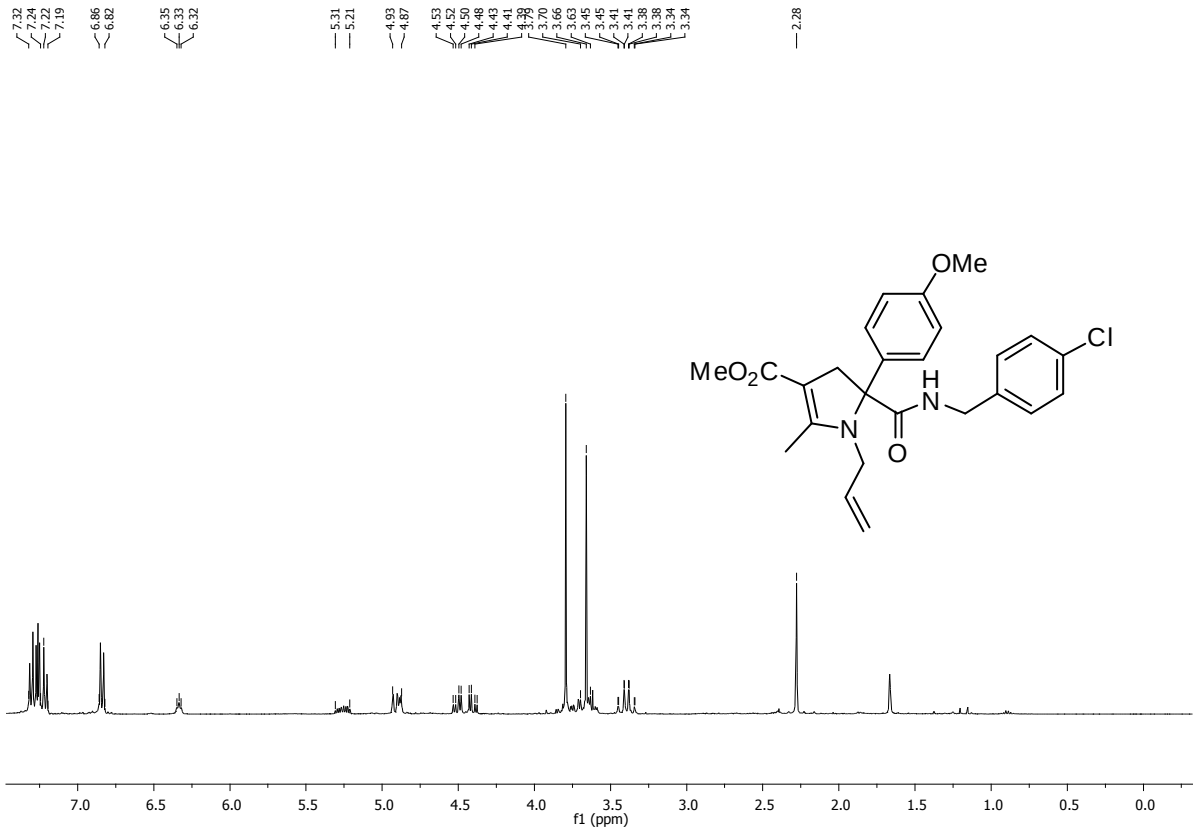
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.32-7.24 (m, 4H), 7.22-7.19 (m, 2H), 6.86-6.82 (m, 2H), 6.33 (t, *J* = 5.6 Hz, 1H, NH), 5.31-5.21 (m, 1H), 4.91 (dd, *J* = 11.7, 1.3 Hz, 1H), 4.88 (dd, *J* = 4.7, 1.3 Hz, 1H), 4.51 (dd, *J* = 14.8, 6.1 Hz, 1H), 4.40 (dd, *J* = 14.8, 5.7 Hz, 1H), 3.79 (s, 3H, OMe), 3.70-3.63 (m, 2H), 3.66 (s, 3H, OMe), 3.43 (dd, *J* = 15.6, 1.2 Hz, 1H), 3.36 (dd, *J* = 15.6, 1.4 Hz, 1H), 2.28 (s, 3H).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 173.4, 166.8, 160.2, 159.6, 136.5, 135.0, 133.6, 132.5, 129.4, 129.0, 116.8, 114.0, 94.5, 76.2, 55.4, 50.4, 47.7, 43.3, 43.3, 13.1.

HRMS: Calcd. for $C_{25}H_{27}ClN_2O_4$: 454.1659, Found: 454.1660.

I.R. (thin film): 3307, 3052, 2945, 2837, 1702, 1654, 1580, 1509, 1399, 1245, 1177, 1128, 1013, 730 cm^{-1}.


7.32
7.24
7.22
7.19
6.86
6.82
6.35
6.33
6.32
5.31
5.21
4.93
4.87
4.53
4.52
4.50
4.48
4.43
4.41
3.70
3.66
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3.45
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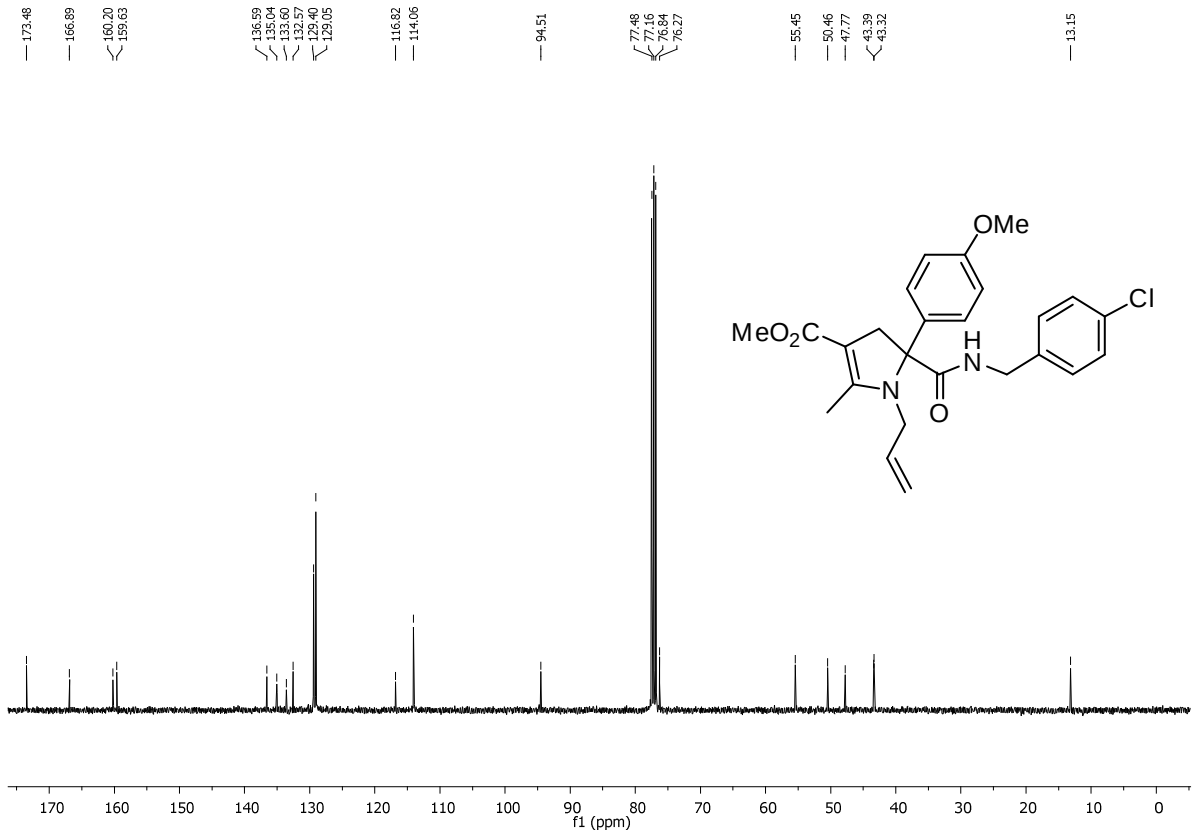
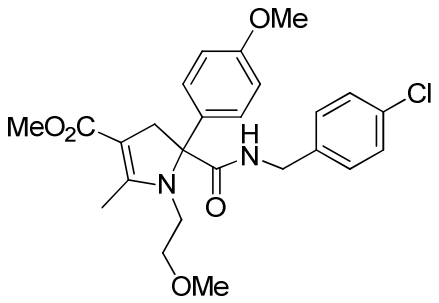
3.38
3.34
2.28
OMe
Cl
MeO2C
H
N
N
O
f1 (ppm)


173.48
166.89
160.20
159.63
136.59
135.04
133.60
132.57
129.40
129.05
116.82
114.06
94.51
77.48
77.16
76.84
76.27
55.45
50.46
47.77
43.39
43.32
13.15
OMe
Cl
MeO2C
H
N
N
O
f1 (ppm)

methyl 5-((4-chlorobenzyl)carbamoyl)-1-(2-methoxyethyl)-5-(4-methoxyphenyl)-2-methyl-4,5-dihydro-1*H*-pyrrole-3-carboxylate (3q)



$C_{25}H_{29}ClN_2O_5$

Mol. Wt. = 472.961 g.mol^{-1}

This compound was synthesized according to the general procedure **II,** using Ugi adduct **2a** (150 mg, 0.370 mmol), DIPEA (32 µl, 0.5 equiv) and methyl acrylate (202 µl, 6.0 equiv). The crude product thus obtained after extraction was further purified by flash chromatography on silica gel to afford the desired product in 25% yield (45 mg).

Nature: Yellow oil

Rf: 0.1 (30:70 Ethyl acetate/ Petroleum ether)

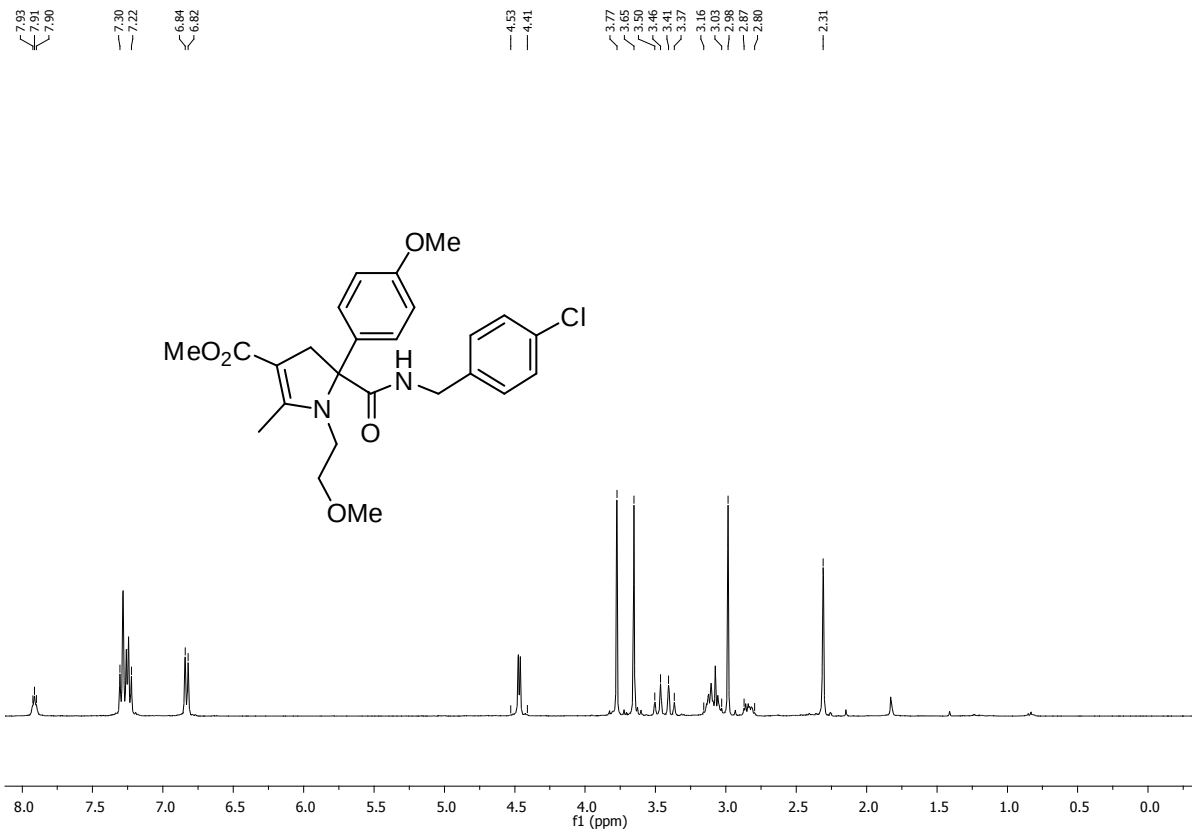
Flash chromatography: (80:20 Diethyl ether/ Petroleum ether)

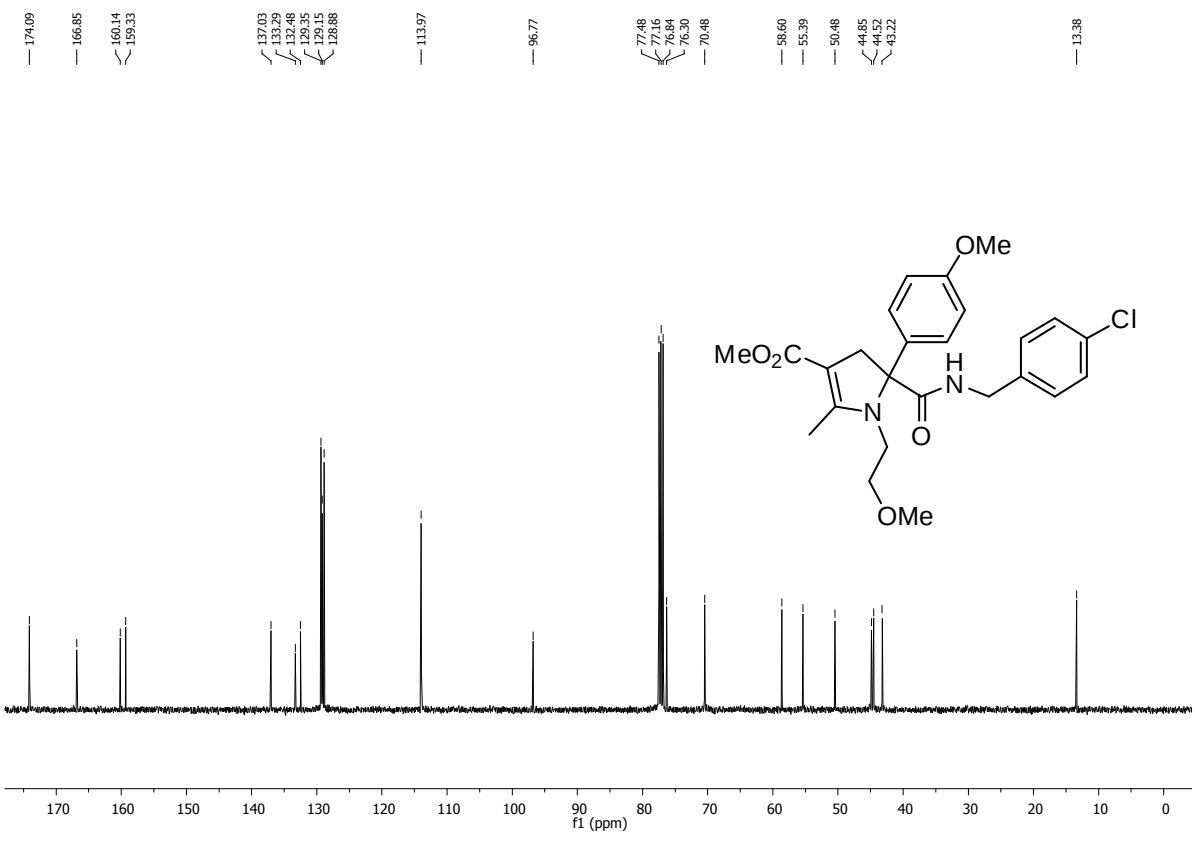
^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.91 (t, *J* = 5.2 Hz, 1H, NH), 7.30-7.22 (m, 6H), 6.83 (d, *J* = 8.4 Hz, 2H), 4.53-4.41 (m, 2H), 3.77 (s, 3H, OMe), 3.65 (s, 3H, OMe), 3.48 (d, *J* = 16.0 Hz, 1H), 3.39 (d, *J* = 16.1 Hz, 1H), 3.16-3.03 (m, 3H), 2.98 (s, 3H, OMe), 2.87-2.80 (m, 1H), 2.31 (s, 3H).

^{13}C NMR ($CDCl_3$, 100.6 MHz): δ 174.0, 166.8, 160.1, 159.3, 137.0, 133.2, 132.4, 129.3, 129.1, 128.8, 113.9, 96.7, 76.3, 70.4, 58.6, 55.3, 50.4, 44.8, 44.5, 43.2, 13.3.

HRMS: Calcd. for $C_{25}H_{29}ClN_2O_5$: 472.1765, Found 472.1786.

I.R. (thin film): 3308, 2933, 2837, 1734, 1633, 1606, 1512, 1407, 1252, 1183, 1141, 1118, 831 cm^{-1}.


7.93
7.91
7.90
7.30
7.22
6.84
6.82
4.53
4.41
3.77
3.65
3.50
3.46
3.41
3.37
3.16
3.03
2.98
2.87
2.80
2.31
OMe
Cl
MeO2C
H
N
N
O
OMe
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


174.09
166.85
160.14
159.33
137.03
133.29
132.48
129.35
129.15
128.88
113.97
96.77
77.48
77.16
76.84
76.30
70.48
58.60
55.39
50.48
44.85
44.52
43.22
13.38
OMe
Cl
MeO2C
H
N
N
O
OMe
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)