SUPPORTING INFORMATION

From Pan-Active to Parasite-Selective Antiparasitic Agents: A Scaffold Hopping Approach

Chiara Borsari,[†,*] Nuno Santarem,[§,◆] Dina Coertzen,[^] Asia Mazzolari,[†] Alexandra Ioana Corfu,[†] Catarina Coelho,[&] Francisca Costa,[&] Lucia Tamborini,[†] Lyn-Marié Birkholtz,[^,°] Lorenzo Raffellini,[⊥] Oliver Keminer,[#] Nicoletta Basilico,[▽] Silvia Parapini,[¶] Sheraz Gul,[#] Anabela Cordeiro-da-Silva,[§,◆] Paola Conti[†]

[†]Department of Pharmaceutical Sciences, University of Milan, Via Mangiagalli 25, 20133 Milan, Italy

[§]Institute for Research and Innovation in Health (i3S), University of Porto, 4200-135 Porto, Portugal

[◆]Department of Biological Sciences, Faculty of Pharmacy, University of Porto, 4050-313 Porto, Portugal

[^]Department of Biochemistry, Genetics and Microbiology, Institute for Sustainable Malaria Control, University of Pretoria, Hatfield 0028, South Africa

[&]Host-Parasite Interaction Group, Institute for Research and Innovation in Health, University of Porto, 4200-135, Porto, Portugal

[°]Department of Biochemistry, Stellenbosch University, Stellenbosch, Matieland, 7602, South Africa

[⊥]Department of Pharmacy, University of Pisa, Via Bonanno 6, 56126 Pisa, Italy

[#]Fraunhofer Institute for Translational Medicine and Pharmacology ITMP, Discovery Research ScreeningPort, Schnackenburgallee 114, 22525, Hamburg, Germany

[▽]Department of Biomedical, Surgical and Dental Sciences, University of Milan, Via Pascal 36, 20133 Milan, Italy

[¶]Department of Biomedical Sciences for Health, University of Milan, Via Pascal 36, 20133 Milan, Italy

*Correspondence to: chiara.borsari@unimi.it, Dept. of Pharmaceutical Sciences, University of Milan; Via Mangiagalli 25, 20133 Milan, Italy. Tel. +39 02503 19309

Overview, Summary

Figure S1. *T. brucei* IC_{50} values compared to CNS and cLogP for 1,2,4- and 1,3,4-oxadiazole and oxazole derivatives. 3

Figure S2. Comparison of the *in vitro* IC_{50} activities of the 1,2,4- and 1,3,4-oxadiazole and oxazole derivatives against *T. brucei*, *L. infantum* and *P. falciparum.* 4

Table S1. *In vitro* % inhibition of 1,3,4-oxadiazoles (**1a-1l**), 1,2,4-oxadiazoles (**2a-2l**), and oxazoles (**3a- 3l**) against *P. falciparum* late-stage gametocytes (lGc >90% IV-V). 5

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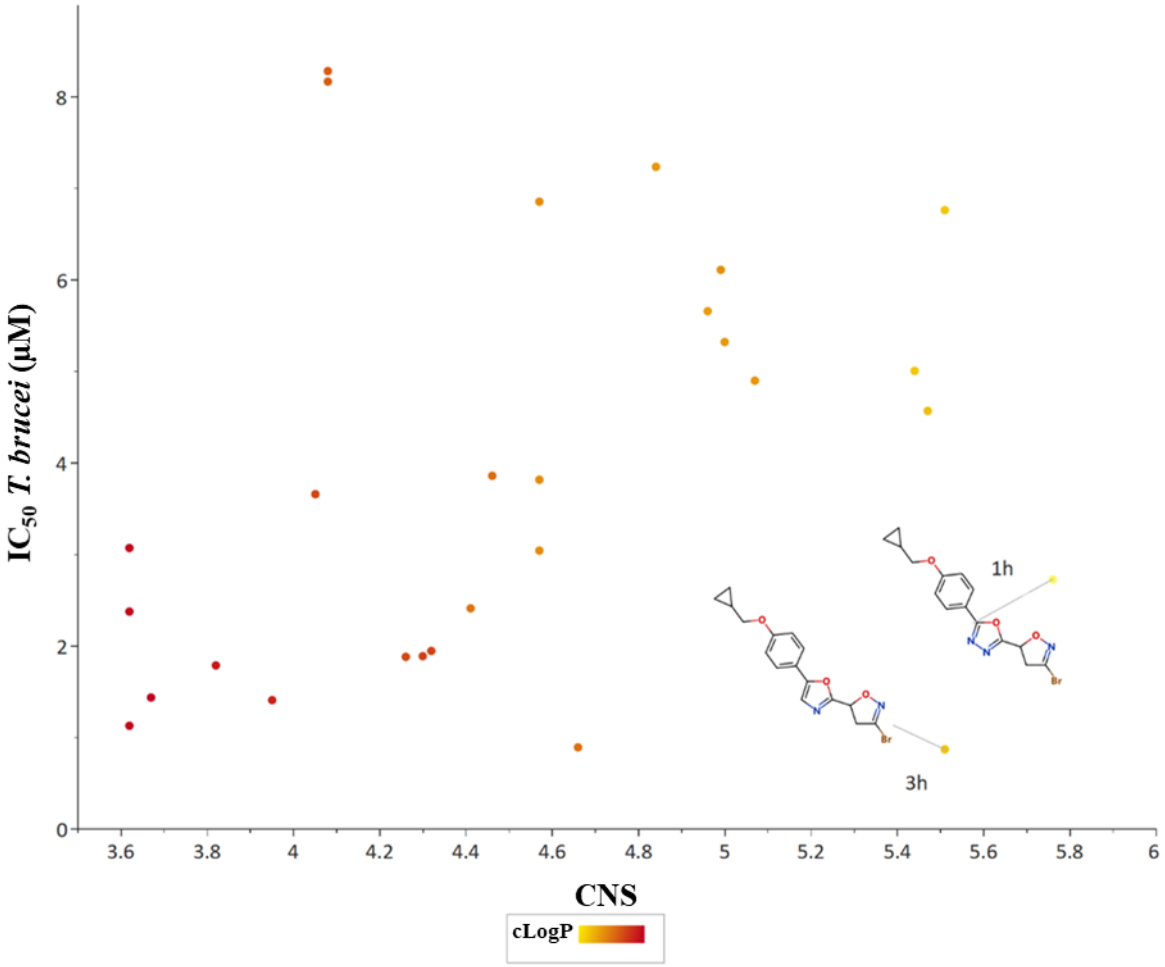
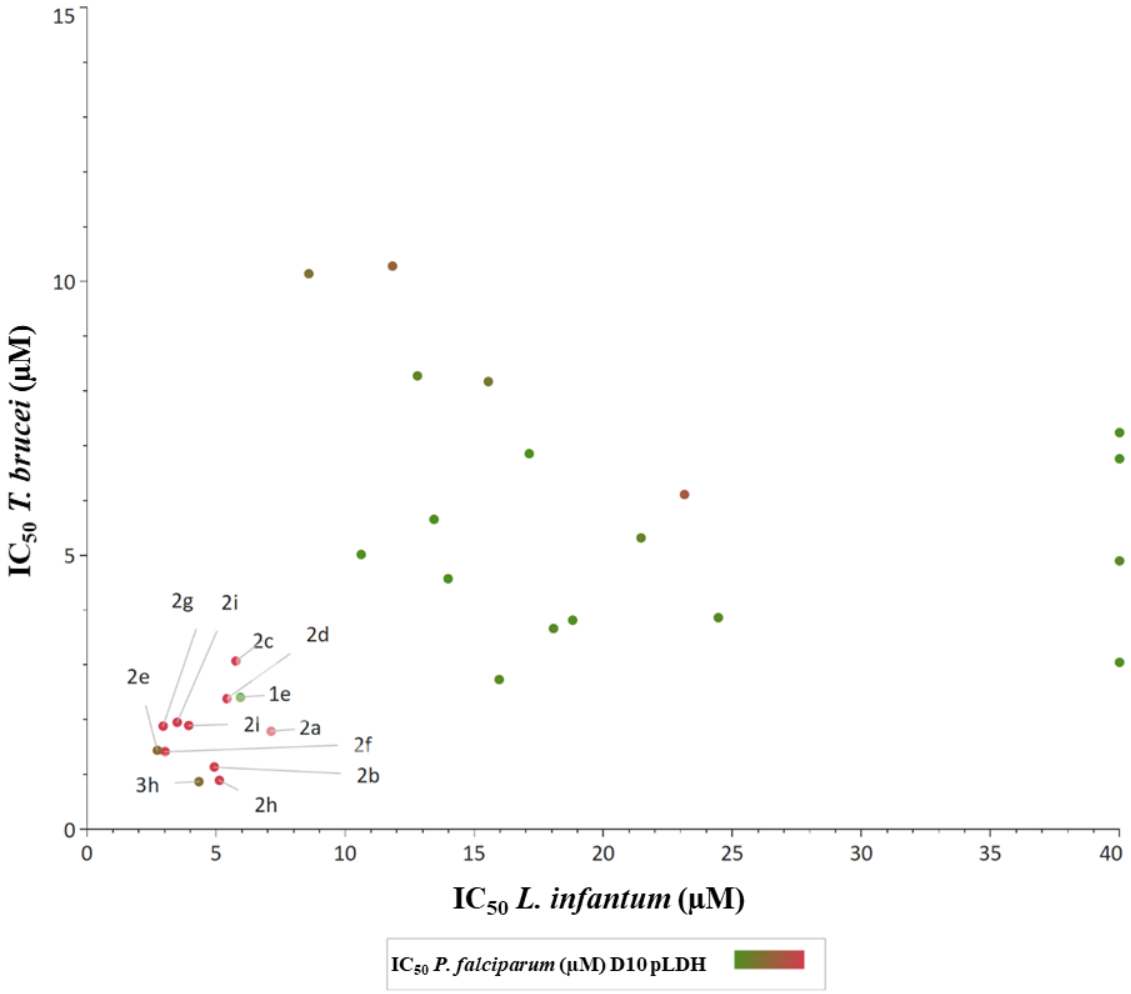
Figure S1. *T. brucei* IC_{50} values compared to CNS and cLogP for 1,2,4- and 1,3,4-oxadiazole and oxazole derivatives.



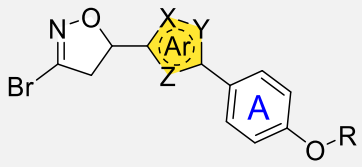
Scatter plot indicating IC_{50} values of *T. brucei* compared to CNS MPO scores. The cLogP range 2.42-5.07 is ranged from yellow to red. Figure generated using Stardrop (Optibrium Software).

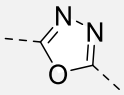
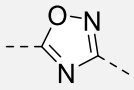
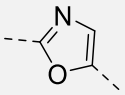
Figure S2. Comparison of the *in vitro* IC_{50} activities of the 1,2,4- and 1,3,4-oxadiazole and oxazole derivatives against *T. brucei*, *L. infantum* and *P. falciparum.*

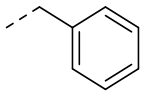
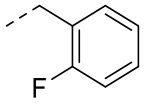
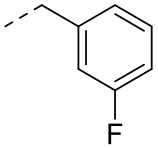
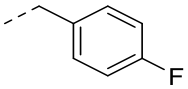
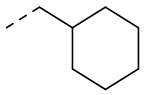
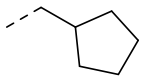
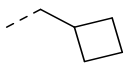
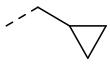
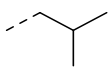
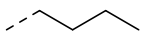


Scatter plot comparing the *in vitro* IC_{50} values of *T. brucei* to *L. infantum* and *P.* falciparum (D10 drug sensitive strain using the pDLH assay) parasites. *P. falciparum* activities are indicated in the colour scale bar with green indicating the most and red the least active compounds against *P. falciparum* parasites. Figure generated using Stardrop (Optibrium Software).

Table S1. *In vitro* % inhibition of 1,3,4-oxadiazoles (**1a-1l**), 1,2,4-oxadiazoles (**2a-2l**), and oxazoles (**3a- 3l**) against *P. falciparum* late-stage gametocytes (lGc >90% IV-V).



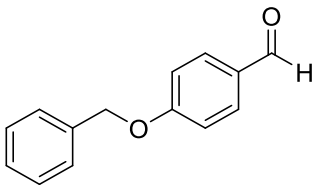
Ar_n | Ar_1 =  | Ar_2 =  | Ar_3 = 

			[a]% inhibition of lGc viability			
			1 µM	SD	5 µM	SD
1a	Ar_1		0.0	0.0	1.4	1.7
2a	Ar_2		14.9	1.1	16.7	5.8
3a	Ar_3		0.0	0.0	0.0	0.0
1b	Ar_1		35.7	3.5	29.8	2.1
2b	Ar_2		12.6	2.2	20.0	3.1
3b	Ar_3		0.0	0.0	0.0	0.0
1c	Ar_1		22.7	3.5	18.4	5.1
2c	Ar_2		20.1	8.6	17.6	9.7
3c	Ar_3		ND	ND	ND	ND
1d	Ar_1		19.8	2.2	15.6	11.8
2d	Ar_2		6.0	2.2	14.7	4.2
3d	Ar_3		ND	ND	ND	ND
1e	Ar_1		12.3	2.4	9.7	8.6
2e	Ar_2		0.0	0.0	0.0	0.0
3e	Ar_3		0.6	1.0	22.8	3.1
1f	Ar_1		9.7	4.2	4.2	4.7
2f	Ar_2		23.1	6.6	19.5	2.1
3f	Ar_3		ND	ND	ND	ND
1g	Ar_1		9.1	5.4	0.0	0.0
2g	Ar_2		20.8	4.2	18.3	3.1
3g	Ar_3		ND	ND	ND	ND
1h	Ar_1		11.8	4.9	6.4	0.9
2h	Ar_2		17.6	3.2	15.9	0.6
3h	Ar_3		0.0	0.0	0.0	0.0
1i	Ar_1		19.3	7.1	4.9	5.4
2i	Ar_2		10.9	6.3	18.7	4.3
3i	Ar_3		ND	ND	ND	ND
1l	Ar_1		ND	ND	ND	ND
2l	Ar_2		10.3	3.9	12.1	3.9

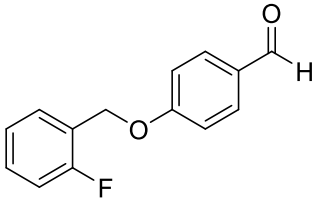
3l	Ar_3	0.0	0.0	0.0	0.0

[a]% Inhibition of late-stage gametocyte (lGc) viability at 1 and 5 μM. *Pf*lGc > 90% stage IV/V. Data are means of triplicate values performed for a single biological repeat, n=1 ± S.D. ND = Not determined.

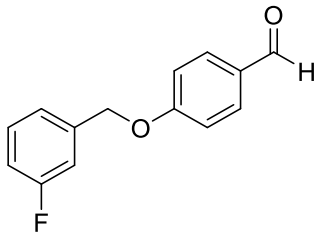
Synthesis and characterization of intermediates and final compounds



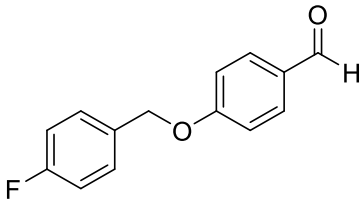
4-(Benzyloxy)benzaldehyde (5a). Compound **5a**[1] was prepared according to general procedure 1 from *p*-hydroxybenzaldehyde **4** (1.50 g, 12.30 mmol, 1.0 eq.) and benzylbromide (1.6 mL, 13.50 mmol, 1.1 eq). Purification by column chromatography on silica gel (cyclohexane/EtOAc 95:5) afforded compound **5a** as a white solid (2.11 g, 9.94 mmol, 80% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 9.89 (s, 1H), 7.87-7.82 (m, 2H), 7.46-7.42 (m, 2H), 7.41-7.38 (m, 2H), 7.36-7.32 (m, 1H), 7.11-7.06 (m, 2H), 5.16 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 190.78 (s, 1C), 163.74 (s, 1C), 136.03 (s, 1C), 132.00 (s, 2C), 130.16 (s, 1C), 128.76 (s, 2C), 128.33 (s, 1C), 127.48 (s, 2C), 115.23 (s, 2C), 70.22 (s, 1C).



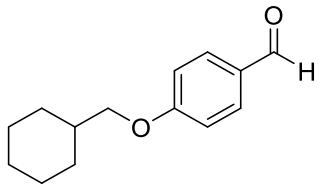
4-((2-Fluorobenzyl)oxy)benzaldehyde (5b). Compound **5b**[2] was prepared according to general procedure 1 from *p*-hydroxybenzaldehyde **4** (2.00 g, 16.3 mmol, 1.0 eq.) and 1-(bromomethyl)-2-fluorobenzene (2.1 mL, 17.9 mmol, 1.1 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **5b** as a white solid (2.93 g, 12.7 mmol, 98% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 9.90 (s, 1H), 7.88-7.83 (m, 2H), 7.53-7.46 (m, 1H), 7.39-7.31 (m, 1H), 7.22-7.17 (m, 1H), 7.17-7.13 (m, 1H), 7.13-7.07 (m, 2H), 5.22 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 190.73 (s, 1C), 163.45 (s, 1C), 160.48 (d, $^{1}J_{C,F}$ = 247.3 Hz, 1C), 132.00 (s, 2C), 130.30 (s, 1C), 130.17 (d, $^{3}J_{C,F}$ = 8.3 Hz, 1C), 129.74 (d, $^{3}J_{C,F}$ = 3.7 Hz, 1C), 124.40 (d, $^{4}J_{C,F}$ = 3.7 Hz, 1C), 123.16 (d, $^{2}J_{C,F}$ = 14.1 Hz, 1C), 115.51 (d, $^{2}J_{C,F}$ = 21.0 Hz, 1C), 115.05 (s, 2C), 63.99 (s, 1C).



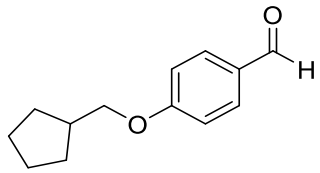
4-((3-Fluorobenzyl)oxy)benzaldehyde (5c). Compound **5c**[2] was prepared according to general procedure 1 from *p*-hydroxybenzaldehyde **4** (1.50 g, 12.30 mmol, 1.0 eq.) and 1-(bromomethyl)-3-fluorobenzene (1.6 mL, 13.50 mmol, 1.1 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **5c** as a white solid (1.46 g, 6.34 mmol, 53% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 9.89 (s, 1H), 7.88-7.82 (m, 2H), 7.41-7.33 (m, 1H), 7.23-7.17 (m, 1H), 7.17-7.12 (m, 1H), 7.10-7.06 (m, 2H), 7.05-7.00 (m, 1H), 5.15 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 190.74 (s, 1C), 163.39 (s, 1C), 162.98 (d, $^{1}J_{C,F}$ = 246.4 Hz, 1C), 138.59 (d, $^{3}J_{C,F}$ = 7.5 Hz, 1C), 131.99 (s, 2C), 130.33 (d, $^{3}J_{C,F}$ = 8.1 Hz, 1C), 130.32 (s, 1C), 122.77 (d, $^{4}J_{C,F}$ = 2.9 Hz, 1C), 115.14 (d, $^{2}J_{C,F}$ = 21.3 Hz, 1C), 115.11 (s, 2C), 114.23 (d, $^{2}J_{C,F}$ = 22.2 Hz, 1C), 69.32 (s, 1C).



4-((4-Fluorobenzyl)oxy)benzaldehyde (5d). Compound **5d**[3] was prepared according to general procedure 1 from *p*-hydroxybenzaldehyde **4** (2.00 g, 16.3 mmol, 1.0 eq.) and 1-(bromomethyl)-4-fluorobenzene (2.2 mL, 17.9 mmol, 1.1 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 95:5) afforded compound **5d** as a yellow solid (2.67 g, 11.6 mmol, 73% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 9.89 (s, 1H), 7.88-7.82 (m, 2H), 7.45-7.37 (m, 2H), 7.14-7.09 (m, 2H), 7.09-7.04 (m, 2H), 5.11 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 190.72 (s, 1C), 163.52 (s, 1C), 162.64 (d, $^{1}J_{C,F}$ = 247.0 Hz, 1C), 131.98 (s, 2C), 131.80 (d, $^{4}J_{C,F}$ = 3.2 Hz, 1C), 130.22 (s, 1C), 129.45 (d, $^{3}J_{C,F}$ = 8.3 Hz, 2C), 115.64 (d, $^{2}J_{C,F}$ = 21.6 Hz, 2C), 115.11 (s, 2C), 69.53 (s, 1C).

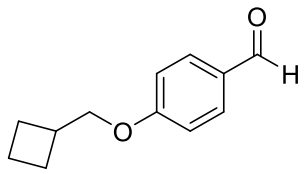


4-(Cyclohexylmethoxy)benzaldehyde (5e). Compound **5e**[4] was prepared according to general procedure 1 from *p*-hydroxybenzaldehyde **4** (2.00 g, 16.00 mmol, 1.0 eq.) and 1-(bromomethyl)-4-cyclohexane (2.46 mL, 17.60 mmol, 1.1 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **5e** as a yellow crystal (1.70 g, 7.78 mmol, 50% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 9.87 (s, 1H), 7.85-7.79 (m, 2H), 7.02-6.95 (m, 2H), 3.86-3.81 (m, 2H), 1.92-1.83 (m, 1H), 1.81-1.76 (m, 2H), 1.74-1.66 (m, 2H), 1.41-1.30 (m, 1H), 1.28-1.22 (m, 1H), 1.18-1.11 (m, 2H), 1.08-0.98 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 190.70 (s, 1C), 164.40 (s, 1C), 131.92 (s, 2C), 129.67 (s, 1C), 114.70 (s, 2C), 73.74 (s, 1C), 37.53 (s, 1C), 29.75 (s, 2C), 26.40 (s, 1C), 25.66 (s, 2C).

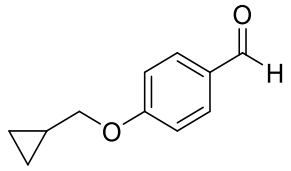


4-(Cyclopentylmethoxy)benzaldehyde (5f).[5] To a 0.3 M solution of *p*-hydroxybenzaldehyde **4** (2.00 g, 16.3 mmol, 1.0 eq.) in dry THF cooled at 0 °C, under nitrogen atmosphere, cyclopentanemethanol (1.7 mL, 16.3 mmol, 1.0 eq.) and triphenylphosphine (5.15 g, 19.6 mmol, 1.2 eq.) were added. The reaction mixture was stirred for 15 min at 0° C, then diisopropylazodicarboxylate (3.7 mL, 18.8 mmol, 1.2 eq.) was added. The reaction was stirred at room temperature overnight. After completion of the reaction monitored by TLC, THF was removed under reduced pressure. The crude product was dissolved in EtOAc, the organic phase was washed with water (3x), dried over anhydrous Na_2SO_4, filtered and evaporated under reduced pressure. The crude was purified by flash chromatography (cyclohexane/EtOAc 98:2) to afford compound **5f** as a colorless oil (1.86 g, 9.1 mmol, 57% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 9.87 (s, 1H), 7.84-7.79 (m, 2H), 7.02-6.96 (m, 2H), 3.91 (d, J = 6.9 Hz, 2H), 2.46-2.31 (m, 1H), 1.91-1.80 (m, 2H), 1.69-1.63 (m, 2H), 1.63-1.55 (m, 2H), 1.43-1.30 (m, 2H).

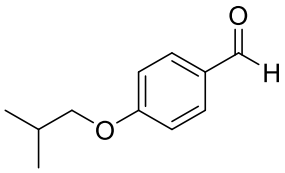
^{13}C NMR (75 MHz, $CDCl_3$): δ 189.96 (s, 1C), 164.02 (s, 1C), 131.52 (s, 2C), 129.60 (s, 1C), 114.47 (s, 2C), 72.10 (s, 1C), 38.71 (s, 1C), 29.17 (s, 2C), 25.24 (s, 2C).



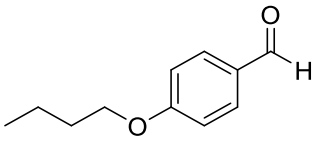
4-(Cyclobutylmethoxy)benzaldehyde (5g).[6] Compound **5g** was prepared according to general procedure 1 from *p*-hydroxybenzaldehyde **4** (2.00 g, 16.0 mmol, 1.0 eq.) and 1-(bromomethyl)-4-cyclobutane (1.98 mL, 17.6 mmol, 1.1 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 95:5) afforded compound **5g** as a yellow oil (2.30 g, 12.1 mmol, 75% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 9.88 (s, 1H), 7.85-7.80 (m, 2H), 7.02-6.97 (m, 2H), 4.01 (d, J = 6.6 Hz, 2H), 2.86-2.74 (m, 1H), 2.22-2.15 (m, 2H), 2.14-2.06 (m, 1H), 2.04-1.94 (m, 1H), 1.92-1.82 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 189.84 (s, 1C), 163.95 (s, 1C), 131.43 (s, 2C), 129.62 (s, 1C), 114.44 (s, 2C), 71.80 (s, 1C), 34.12 (s, 1C), 24.41 (s, 2C), 18.27 (s, 1C).



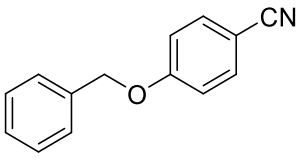
4-(Cyclopropylmethoxy)benzaldehyde (5h). Compound **5h**[7] was prepared according to general procedure 1 from *p*-hydroxybenzaldehyde **4** (2.00 g, 16.00 mmol, 1.0 eq.) and 1-(bromomethyl)-4-cyclopropane (1.7 mL, 17.60 mmol, 1.1 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **5h** as a colorless oil (2.56 g, 14.55 mmol, 91% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 9.88 (s, 1H), 7.85-7.79 (m, 2H), 7.02-6.97 (m, 2H), 3.89 (d, J = 7.0 Hz, 2H), 1.36-1.22 (m, 1H), 0.72-0.64 (m, 2H), 0.41-0.35 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 190.25 (s, 1C), 163.83 (s, 1C), 131.64 (s, 2C), 129.61 (s, 1C), 114.58 (s, 2C), 72.72 (s, 1C), 9.91 (s, 1C), 3.10 (s, 2C).



4-Isobutoxybenzaldehyde (5i). Compound **5i**[8] was prepared according to general procedure 1 from *p*-hydroxybenzaldehyde **4** (1.50 g, 12.30 mmol, 1.0 eq.) and 1-bromo-2-methylpropane (1.5 mL, 13.50 mmol, 1.1 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 95:5) afforded compound **5i** as a yellow oil (0.70 g, 3.92 mmol, 32% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 9.88 (s, 1H), 7.85-7.80 (m, 2H), 7.02-6.97 (m, 2H), 3.80 (d, *J* = 6.5 Hz, 2H), 2.18-2.04 (m, 1H), 1.04 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 190.22 (s, 1C), 164.08 (s, 1C), 131.66 (s, 2C), 129.64 (s, 1C), 114.56 (s, 2C), 74.36 (s, 1C), 27.99 (s, 1C), 18.91 (s, 2C).

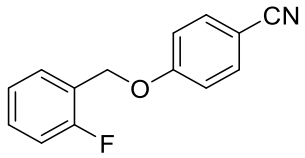


4-Butoxybenzaldehyde (5l). Compound **5l**[8] was prepared according to general procedure 1 from *p*-hydroxybenzaldehyde **4** (2.00 g, 16.3 mmol, 1.0 eq.) and 1-bromobutane (2.0 mL, 17.9 mmol, 1.1 eq.) Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **5l** as a yellow oil (1.93 g, 10.8 mmol, 68% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 9.87 (s, 1H), 7.85-7.79 (m, 2H), 7.01-6.96 (m, 2H), 4.04 (t, *J* = 6.5 Hz, 2H), 1.85-1.75 (m, 2H), 1.57-1.44 (m, 2H), 0.98 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 190.60 (s, 1C), 164.19 (s, 1C), 131.86 (s, 2C), 129.69 (s, 1C), 114.65 (s, 2C), 68.01 (s, 1C), 31.01 (s, 1C), 19.10 (s, 1C), 13.72 (s, 1C).

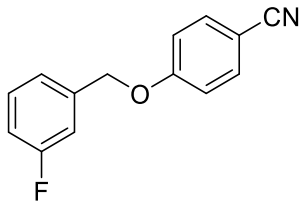


4-(Benzyloxy)benzonitrile (6a). Compound **6a**[9] was prepared according to general procedure 2 from 4-(benzyloxy)benzaldehyde **5a** (2.00 g, 9.4 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 95:5) afforded compound **6a** as a white solid (1.80 g, 8.6 mmol, 95%

yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.61-7.56 (m, 2H), 7.43-7.40 (m, 2H), 7.39-7.36 (m, 2H), 7.36-7.32 (m, 1H), 7.05-6.99 (m, 2H), 5.12 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 162.00 (s, 1C), 135.82 (s, 1C), 134.03 (s, 2C), 128.81 (s, 2C), 128.44 (s, 1C), 127.56 (s, 2C), 119.26 (s, 1C), 115.63 (s, 2C), 104.16 (s, 1C), 70.23 (s, 1C).

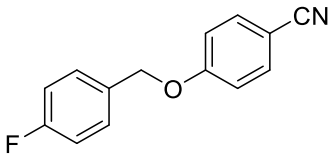


4-((2-Fluorobenzyl)oxy)benzonitrile (6b). Compound **6b**[9] was prepared according to general procedure 2 from 4-((2-fluorobenzyl)oxy)benzaldehyde **5b** (2.70 g, 11.7 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 8:2) afforded compound **6b** as a pale-yellow solid (2.50 g, 11.0 mmol, 94% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.60-7.55 (m, 2H), 7.50-7.43 (m, 1H), 7.39-7.30 (m, 1H), 7.21-7.15 (m, 1H), 7.14-7.07 (m, 1H), 7.06-7.00 (m, 2H), 5.16 (d, J = 1.2 Hz, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 161.72 (s, 1C), 160.50 (d, $^1J_{C,F}$ = 247.3 Hz, 1C), 134.04 (s, 2C), 130.31 (d, $^3J_{C,F}$ = 8.1 Hz, 1C), 129.78 (d, $^3J_{C,F}$ = 3.7 Hz, 1C), 124.45 (d, $^4J_{C,F}$ = 3.7 Hz, 1C), 122.91 (d, $^2J_{C,F}$ = 14.4 Hz, 1C), 119.11 (s, 1C), 115.55 (d, $^2J_{C,F}$ = 21.0 Hz, 1C), 115.51 (s, 2C), 104.43 (s, 1C), 63.97 (s, 1C).

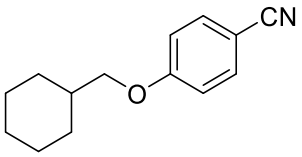


4-((3-Fluorobenzyl)oxy)benzonitrile (6c). Compound **6c**[9] was prepared according to general procedure 2 from 4-((3-fluorobenzyl)oxy)benzaldehyde **5c** (1.30 g, 5.60 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 95:5 → 9:1) afforded compound **6c** as a white solid (1.17 g, 5.15 mmol, 92% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.62-7.57 (m, 2H), 7.41-7.33 (m, 1H), 7.20-7.15 (m, 1H), 7.15-7.11 (m, 1H), 7.10-7.04 (m, 1H), 7.03-6.98 (m, 2H), 5.11 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 162.99 (d, $^1J_{C,F}$ = 246.7 Hz, 1C), 161.66 (s, 1C), 138.33 (d, $^3J_{C,F}$ = 7.2 Hz, 1C), 134.05 (s, 2C), 130.38 (d, $^3J_{C,F}$ = 8.3 Hz, 1C), 122.78 (d, $^4J_{C,F}$ = 2.9 Hz, 1C), 119.09 (s, 1C),

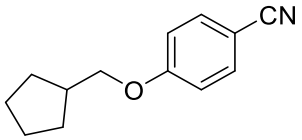
115.58 (s, 2C), 115.25 (d, $^{2}J_{C,F}$ = 21.3 Hz, 1C), 114.23 (d, $^{2}J_{C,F}$ = 22.2 Hz, 1C), 104.47 (s, 1C), 69.39 (s, 1C).



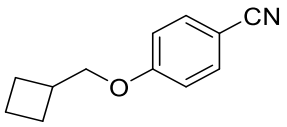
4-((4-Fluorobenzyl)oxy)benzonitrile (6d). Compound **6d**[9] was prepared according to general procedure 2 from 4-((4-fluorobenzyl)oxy)benzaldehyde **5d** (2.60 g, 11.30 mmol, 1.0 eq.). Instead of column purification, the crude was filtered with cyclohexane to washout the excess of pyridine and afford compound **6d** as a white solid (1.70 g, 7.48 mmol, 68% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.62-7.57 (m, 2H), 7.42-7.37 (m, 2H), 7.13-7.06 (m, 2H), 7.04-6.98 (m, 2H), 5.07 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 162.69 (d, $^{1}J_{C,F}$ = 247.3 Hz, 1C), 161.79 (s, 1C), 134.03 (s, 2C), 131.52 (d, $^{4}J_{C,F}$ = 3.5 Hz, 1C), 129.45 (d, $^{3}J_{C,F}$ = 8.3 Hz, 2C), 119.12 (s, 1C), 115.71 (d, $^{2}J_{C,F}$ = 22.2 Hz, 2C), 115.56 (s, 2C), 104.35 (s, 1C), 69.59 (s, 1C).



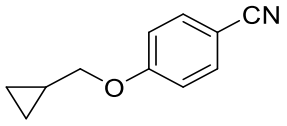
4-(Cyclohexylmethoxy)benzonitrile (6e). Compound **6e**[10] was prepared according to general procedure 2 from 4-(cyclohexylmethoxy)benzaldehyde **5e** (1.42 g, 6.50 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **6e** as a white solid (1.20 g, 5.57 mmol, 86% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.59-7.54 (m, 2H), 6.95-6.90 (m, 2H), 3.78 (d, J = 6.1 Hz, 2H), 1.90-1.81 (m, 1H), 1.81-1.75 (m, 2H), 1.74-1.67 (m, 2H), 1.38-1.30 (m, 1H), 1.29-1.24 (m, 1H), 1.23-1.11 (m, 2H), 1.09-0.98 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 162.61 (s, 1C), 133.92 (s, 2C), 119.31 (s, 1C), 115.14 (s, 2C), 103.50 (s, 1C), 73.75 (s, 1C), 37.49 (s, 1C), 29.75 (s, 2C), 26.38 (s, 1C), 25.66 (s, 2C).



4-(Cyclopentylmethoxy)benzonitrile (6f). Compound **6f**[10] was prepared according to general procedure 2 from 4-(cyclopentylmethoxy)benzaldehyde **5f** (1.80 g, 8.80 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 95:5) afforded compound **6f** as a yellow oil (1.60 g, 7.95 mmol, 90% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.59-7.54 (m, 2H), 6.96-6.91 (m, 2H), 3.87 (d, *J* = 7.0 Hz, 2H), 2.44-2.29 (m, 1H), 1.91-1.78 (m, 2H), 1.70-1.62 (m, 2H), 1.61-1.53 (m, 2H), 1.43-1.27 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 162.55 (s, 1C), 133.81 (s, 2C), 119.26 (s, 1C), 115.18 (s, 2C), 103.45 (s, 1C), 72.45 (s, 1C), 38.80 (s, 1C), 29.35 (s, 2C), 25.33 (s, 2C).

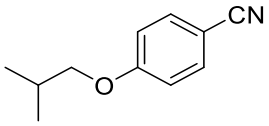


4-(Cyclobutylmethoxy)benzonitrile (6g). Compound **6g** [10] was prepared according to general procedure 2 from 4-(cyclobutylmethoxy)benzaldehyde **5g** (2.30 g, 12.00 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **6g** as a colorless oil (1.85 g, 9.88 mmol, 83% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.59-7.54 (m, 2H), 6.96-6.91 (m, 2H), 3.96 (d, *J* = 6.6 Hz, 2H), 2.86-2.71 (m, 1H), 2.21-2.10 (m, 2H), 2.09-1.96 (m, 1H), 1.95-1.89 (m, 1H), 1.88-1.80 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 162.40 (s, 1C), 133.59 (s, 2C), 118.92 (s, 1C), 115.09 (s, 2C), 103.39 (s, 1C), 72.01 (s, 1C), 34.19 (s, 1C), 24.71 (s, 2C), 18.44 (s, 1C).

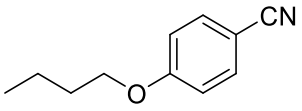


4-(Cyclopropylmethoxy)benzonitrile (6h). Compound **6h**[10] was prepared according to general procedure 2 from 4-(cyclopropylmethoxy)benzaldehyde **5h** (1.80 g, 10.0 mmol, 1.0 eq). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9:1) afforded compound **6h** as a white solid (1.73 g, 10.0 mmol, quantitative yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.60-7.54 (m, 2H), 6.96-6.90

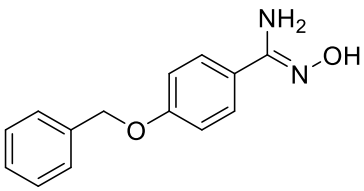
(m, 2H), 3.84 (d, J = 7.0 Hz, 2H), 1.34-1.21 (m, 1H), 0.71-0.63 (m, 2H), 0.40-0.33 (m, 2H). **^{13}C NMR** (75 MHz, DMSO-d_6): δ 162.54 (s, 1C), 134.60 (s, 2C), 119.61 (s, 1C), 115.94 (s, 2C), 103.02 (s, 1C), 73.05 (s, 1C), 10.29 (s, 1C), 3.50 (s, 2C).



4-Isobutoxybenzonitrile (6i). Compound **6i** was prepared according to general procedure 2 from 4-isobutoxybenzaldehyde **5i** (690 mg, 3.90 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **6i** as a colorless oil (624 mg, 3.56 mmol, 93% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.47-7.41 (m, 2H), 6.87-6.81 (m, 2H), 3.66 (d, J = 6.5 Hz, 2H), 2.07-1.93 (m, 1H), 0.95 (d, J = 6.8 Hz, 6H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 162.49 (s, 1C), 133.79 (s, 2C), 119.19 (s, 1C), 115.17 (s, 2C), 103.48 (s, 1C), 74.53 (s, 1C), 28.06 (s, 1C), 19.02 (s, 2C).

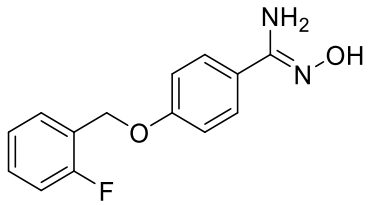


4-Butoxybenzonitrile (6l). Compound **6l** was prepared according to general procedure 2 from 4-butoxybenzaldehyde **5l** (1.90 g, 15.80 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2 → 9:1) afforded compound **6l** as a yellow oil (1.60 g, 9.13 mmol, 60% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.59-7.54 (m, 2H), 6.95-6.90 (m, 2H), 4.00 (t, J = 6.5 Hz, 2H), 1.84-1.73 (m, 2H), 1.58-1.42 (m, 2H), 0.98 (t, J = 7.4 Hz, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 162.45 (s, 1C), 133.85 (s, 2C), 119.25 (s, 1C), 115.14 (s, 2C), 103.51 (s, 1C), 68.07 (s, 1C), 30.95 (s, 1C), 19.10 (s, 1C), 13.73 (s, 1C).

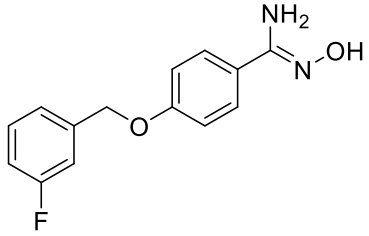


4-(Benzyloxy)-*N′*-hydroxybenzimidamide (7a). Compound **7a**[11] was prepared according to general procedure 3 from 4-(benzyloxy)benzonitrile **6a** (1.50 g, 7.2 mmol, 1.0 eq.). The crude product was

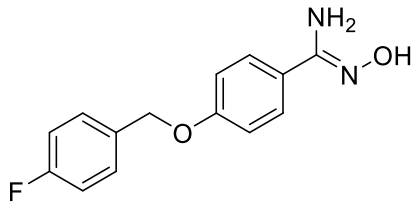
triturated with diethyl ether and then filtered on a Buchner to afford compound **7a** as a white solid (1.60 g, 6.6 mmol, 95% yield), which was used for the next step without further purification.



4-((2-Fluorobenzyl)oxy)-*N′*-hydroxybenzimidamide (7b). Compound **7b** was prepared according to general procedure 3 from 4-((2-fluorobenzyl)oxy)benzonitrile **6b** (2.40 g, 10.5 mmol, 1.0 eq.). The crude product was triturated with diethyl ether and then filtered on a Buchner to afford compound **7b** as a white solid (2.73 g, 10.5 mmol, quantitative yield), which was used for the next step without further purification.

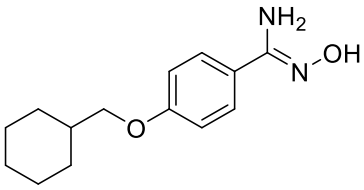


4-((3-Fluorobenzyl)oxy)-*N′*-hydroxybenzimidamide (7c). Compound **7c** was prepared according to general procedure 3 from 4-((3-fluorobenzyl)oxy)benzonitrile **6c** (1.10 g, 4.80 mmol, 1.0 eq.). The crude product was triturated with diethyl ether and then filtered on a Buchner to afford compound **7c** as a white solid (888 mg, 3.41 mmol, 71% yield), which was used for the next step without further purification.

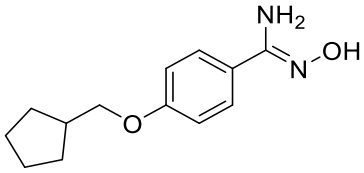


4-((4-Fluorobenzyl)oxy)-*N′*-hydroxybenzimidamide (7d). Compound **7d** was prepared according to general procedure 3 from 4-((4-fluorobenzyl)oxy)benzonitrile **6d** (1.70 g, 7.5 mmol, 1.0 eq.). The crude

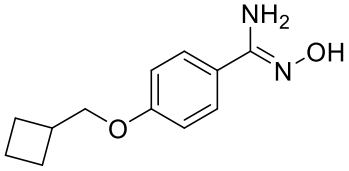
product was triturated with diethyl ether and then filtered on a Buchner to afford compound **7d** as a white solid (1.20 g, 4.6 mmol, 62% yield), which was used for the next step without further purification.



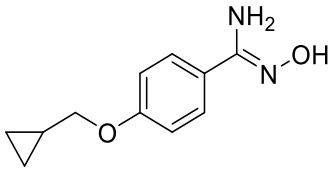
4-(Cyclohexylmethoxy)-*N*'-hydroxybenzimidamide (7e). Compound **7e**[12] was prepared according to general procedure 3 from 4-(cyclohexylmethoxy)benzonitrile **6e** (1.20 g, 5.57 mmol, 1.0 eq.). The crude product was triturated with diethyl ether and then filtered on a Buchner to afford compound **7e** as a white solid (798 mg, 3.21 mmol, 58% yield), which was used for the next step without further purification.



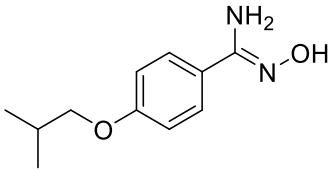
4-(Cyclopentylmethoxy)-*N*'-hydroxybenzimidamide (7f). Compound **7f** was prepared according to general procedure 3 from 4-(cyclopentylmethoxy)benzonitrile **6f** (1.60 g, 7.90 mmol, 1.0 eq). The crude product was triturated with diethyl ether and then filtered on a Buchner to afford compound **7f** as a white solid (1.70 g, 7.72 mmol, 91% yield), which was used for the next step without further purification.



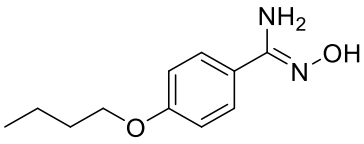
4-(Cyclobutylmethoxy)-*N*'-hydroxybenzimidamide (7g). Compound **7g** was prepared according to general procedure 3 from 4-(cyclobutylmethoxy)benzonitrile **6g** (1.46 g, 7.79 mmol, 1.0 eq.). The crude product was triturated with diethyl ether and then filtered on a Buchner to afford compound **7g** as a white solid (995 mg, 4.52 mmol, 58% yield).



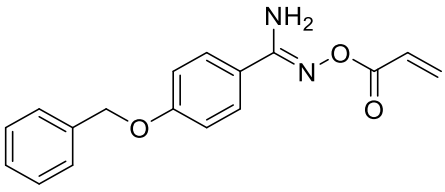
4-(Cyclopropylmethoxy)-*N*'-hydroxybenzimidamide (7h). Compound **7h** was prepared according to general procedure 3 from 4-(cyclopropylmethoxy)benzonitrile **6h** (2.23 g, 13.0 mmol, 1.0 eq.). The crude product was triturated with diethyl ether and then filtered on a Buchner to afford compound **7h** as a white solid (2.02 g, 9.8 mmol, 75% yield).



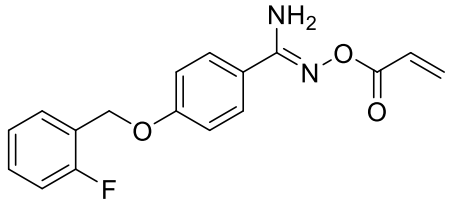
4-Isobutoxybenzonitrile (7i). Compound **7i** was prepared according to general procedure 3 from 4-isobutoxybenzaldehyde **6i** (690 mg, 3.90 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **7i** as a colorless oil (624 mg, 3.56 mmol, 93% yield).



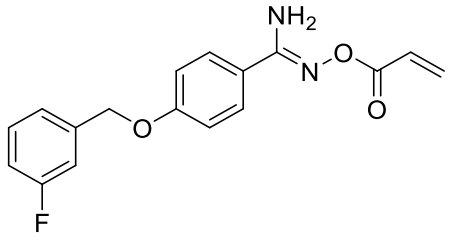
4-Butoxybenzonitrile (7l). Compound **7l** was prepared according to general procedure 3 from 4-butoxybenzaldehyde **6l** (1.90 g, 15.80 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc, from 98:2 to 9:1) afforded compound **7l** as a yellow oil (1.60 g, 9.13 mmol, 60% yield).



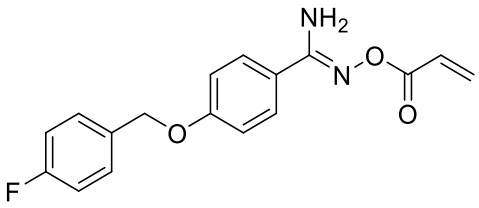
***N*'-(Acryloyloxy)-4-(benzyloxy)benzimidamide (8a)**. Compound **8a** was prepared according to general procedure 4 from 4-(benzyloxy)-*N*'-hydroxybenzimidamide **7a** (800 mg, 3.30 mmol, 1.0 eq.). Instead of column purification the crude product was recrystallized from *i*PrOH to afford compound **8a** as a white solid (916 mg, 3.09 mmol, 94% yield). **^{1}H NMR** (300 MHz, DMSO-d_6): δ 7.68-7.63 (m, 2H), 7.47-7.41 (m, 2H), 7.41-7.37 (m, 2H), 7.36-7.29 (m, 1H), 7.09-7.03 (m, 2H), 6.78 (s, 2H), 6.42 (dd, J = 17.4, 2.3 Hz, 1H), 6.32 (dd, J = 17.4, 9.7 Hz, 1H), 5.92 (dd, J = 9.7, 2.3 Hz, 1H), 5.15 (s, 2H). **^{13}C NMR** (75 MHz, DMSO-d_6): δ 163.96 (s, 1C), 160.50 (s, 1C), 156.88 (s, 1C), 137.23 (s, 1C), 131.25 (s, 1C), 128.91 (s, 2C), 128.68 (s, 2C), 128.36 (s, 1C), 128.18 (s, 2C), 128.09 (s, 1C), 124.34 (s, 1C), 115.01 (s, 2C), 69.74 (s, 1C).



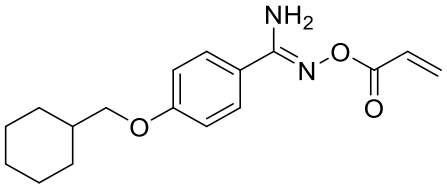
***N*'-(Acryloyloxy)-4-((2-fluorobenzyl)oxy)benzimidamide (8b)**. Compound **8b** was prepared according to general procedure 4 from 4-((2-fluorobenzyl)oxy)-*N*'-hydroxybenzimidamide **7b** (2.30 g, 8.80 mmol, 1.0 eq.). Instead of column purification the crude product was recrystallized from *i*PrOH to afford compound **8b** as a white solid (2.1 g, 6.68 mmol, 76% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.70-7.65 (m, 2H), 7.52-7.45 (m, 1H), 7.37-7.28 (m, 1H), 7.20-7.13 (m, 1H), 7.13-7.06 (m, 1H), 7.04-6.99 (m, 2H), 6.55 (dd, J = 17.3, 1.4 Hz, 1H), 6.31 (dd, J = 17.3, 10.5 Hz, 1H), 5.91 (dd, J = 10.5, 1.4 Hz, 1H), 5.17 (s, 2H), 5.03 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 164.05 (s, 1C), 160.60 (s, 1C), 160.44 (d, $^1J_{C,F}$ = 247.0 Hz, 1C), 158.67 (s, 1C), 131.26 (s, 1C), 129.94 (d, $^3J_{C,F}$ = 8.1 Hz, 1C), 129.74 (d, $^3J_{C,F}$ = 4.0 Hz, 1C), 128.31 (s, 2C), 126.78 (s, 1C), 124.32 (d, $^4J_{C,F}$ = 3.5 Hz, 1C), 123.76 (s, 1C), 123.56 (d, $^2J_{C,F=}$ 14.4 Hz, 1C), 115.38 (d, $^2J_{C,F}$ = 21.3 Hz, 1C), 114.79 (s, 2C), 63.70 (s, 1C).



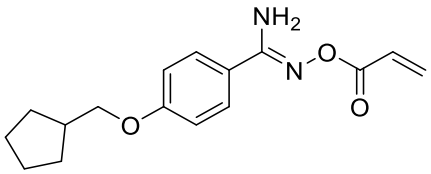
***N*'-(Acryloyloxy)-4-((3-fluorobenzyl)oxy)benzimidamide (8c)**. Compound **8c** was prepared according to general procedure 4 from 4-((3-fluorobenzyl)oxy)-*N*'-hydroxybenzimidamide **7c** (875 mg, 3.40 mmol, 1.0 eq.). Instead of column purification the crude product was recrystallized from *i*PrOH to afford compound **8c** as a white solid (381 mg, 1.21 mmol, 40% yield). **^{1}H NMR** (300 MHz, DMSO-d_6): δ 7.71-7.63 (m, 2H), 7.47-7.38 (m, 1H), 7.31-7.28 (m, 1H), 7.28-7.24 (m, 1H), 7.18-7.11 (m, 1H), 7.09-7.04 (m, 2H), 6.78 (s, 2H), 6.42 (dd, J = 17.4, 2.4 Hz, 1H), 6.32 (dd, J = 17.4, 9.7 Hz, 1H), 5.92 (dd, J = 9.7, 2.4 Hz, 1H), 5.18 (s, 2H). **^{13}C NMR** (75 MHz, DMSO-d_6): δ 164.26 (s, 1C), 162.64 (d, $^1J_{C,F}$ = 243.6 Hz, 1C), 160.25 (s, 1C) 156.85 (s, 1C), 140.20 (d, $^3J_{C,F}$ = 7.5 Hz, 1C), 131.26 (s, 1C), 130.96 (d, $^3J_{C,F}$ = 8.3 Hz, 1C), 128.71 (s, 2C), 128.09 (s, 1C), 124.52 (s, 1C), 124.00 (d, $^4J_{C,F}$ = 2.6 Hz, 1C), 115.10 (d, $^2J_{C,F}$ = 20.7 Hz, 1C), 115.03 (s, 2C), 114.71 (d, $^2J_{C,F}$ = 21.6 Hz, 1C), 68.87 (s, 1C).



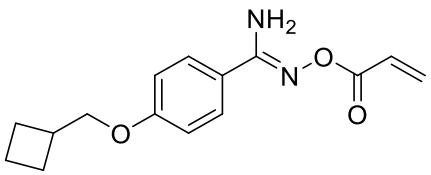
***N*'-(Acryloyloxy)-4-((4-fluorobenzyl)oxy)benzimidamide (8d)**. Compound **8d** was prepared according to general procedure 4 from 4-((4-fluorobenzyl)oxy)-*N*'-hydroxybenzimidamide **7d** (1.00 g, 3.80 mmol, 1.0 eq.). Instead of column purification the crude product was recrystallized from *i*PrOH to afford compound **8d** as a white solid (690 mg, 2.19 mmol, 57% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.70-7.65 (m, 2H), 7.42-7.36 (m, 2H), 7.11-7.04 (m, 2H), 7.02-6.96 (m, 2H), 6.55 (dd, J = 17.3, 1.5 Hz, 1H), 6.30 (dd, J = 17.3, 10.4 Hz, 1H), 5.91 (dd, J = 10.4, 1.5 Hz, 1H), 5.06 (s, 2H), 5.03 (s, 2H). **^{13}C NMR** (75 MHz, DMSO-d_6): δ 164.03 (s, 1C), 162.27 (d, $^1J_{C,F}$ = 243.6 Hz, 1C), 160.41 (s, 1C), 156.93 (s, 1C), 133.42 (d, $^4J_{C,F}$ = 3.1 Hz, 1C), 131.23 (s, 1C), 130.43 (d, $^3J_{C,F}$ = 8.3 Hz, 2C), 128.71 (s, 2C), 128.09 (s, 1C), 124.43 (s, 1C), 115.71 (d, $^2J_{C,F}$ = 21.6 Hz, 2C), 115.00 (s, 2C), 69.04 (s, 1C).



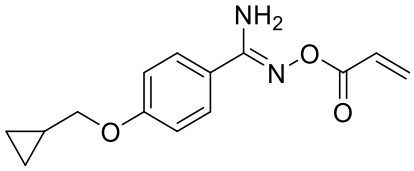
3-(4-(Cyclohexylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (8e). Compound **8e** was prepared according to general procedure 4 from *N*'-(acryloyloxy)-4-(cyclohexylmethoxy)benzimidamide **7e** (610 mg, 2.02 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **8e** as a white solid (518 mg, 1.82 mmol, 90% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.67-7.61 (m, 2H), 6.93-6.87 (m, 2H), 6.54 (dd, *J* = 17.3, 2.0 Hz, 1H), 6.30 (dd, *J* = 17.3, 10.4 Hz, 1H), 5.90 (dd, *J* = 10.4, 2.0 Hz, 1H), 5.04 (s, 2H), 3.77 (d, *J* = 6.2 Hz, 2H), 1.90-1.81 (m, 1H), 1.80-1.75 (m, 2H), 1.74-1.66 (m, 2H), 1.38-1.31 (m, 1H), 1.29-1.23 (m, 1H), 1.21-1.12 (m, 2H), 1.10-0.98 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 163.95 (s, 1C), 161.59 (s, 1C), 156.59 (s, 1C), 131.28 (s, 1C), 128.18 (s, 2C), 126.73 (s, 1C), 122.88 (s, 1C), 114.59 (s, 2C), 73.60 (s, 1C), 37.61 (s, 1C), 29.88 (s, 2C), 26.46 (s, 1C), 25.75 (s, 2C).



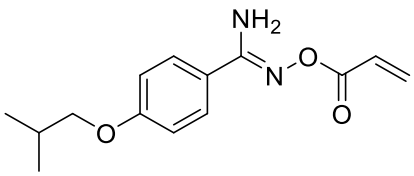
3-(4-(Cyclopentylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (8f). Compound **8f** was prepared according to general procedure 4 from *N*'-(acryloyloxy)-4-(cyclopentylmethoxy)benzimidamide **7f** (750 mg, 2.60 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **8f** as a white crystal (495 mg, 1.83 mmol, 71% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.67-7.61 (m, 2H), 6.94-6.87 (m, 2H), 6.54 (dd, *J* = 17.3, 1.5 Hz, 1H), 6.30 (dd, *J* = 17.3, 10.4 Hz, 1H), 5.90 (dd, *J* = 10.4, 1.5 Hz, 1H), 5.05 (s, 2H), 3.85 (d, *J* = 7.0 Hz, 2H), 2.44-2.28 (m, 1H), 1.91-1.77 (m, 2H), 1.70-1.63 (m, 2H), 1.61-1.52 (m, 2H), 1.42-1.28 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 164.08 (s, 1C), 161.44 (s, 1C), 156.80 (s, 1C), 131.15 (s, 1C), 128.17 (s, 2C), 126.83 (s, 1C), 122.92 (s, 1C), 114.53 (s, 2C), 72.27 (s, 1C), 38.96 (s, 1C), 29.45 (s, 2C), 25.44 (s, 2C).



3-(4-(Cyclobutylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (8g). Compound **8g** was prepared according to general procedure 4 from *N*'-(acryloyloxy)-4-(cyclobutylmethoxy)benzimidamide **7g** (536 mg, 1.95 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **8g** as a colorless oil (425 mg, 1.66 mmol, 85% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.68-7.62 (m, 2H), 6.94-6.88 (m, 2H), 6.54 (dd, *J* = 17.3, 1.5 Hz, 1H), 6.30 (dd, *J* = 17.3, 10.4 Hz, 1H), 5.90 (dd, *J* = 10.4, 1.5 Hz, 1H), 5.05 (s, 2H), 3.95 (d, *J* = 6.6 Hz, 2H), 2.83-2.70 (m, 1H), 2.21-2.09 (m, 2H), 2.06-1.91 (m, 2H), 1.89-1.79 (m, 2H). **^{13}C NMR** (75 MHz, DMSO-d_6): δ 163.99 (s, 1C), 161.02 (s, 1C), 156.89 (s, 1C), 131.25 (s, 1C), 128.62 (s, 2C), 128.08 (s, 1C), 123.93 (s, 1C), 114.63 (s, 2C), 72.04 (s, 1C), 34.36 (s, 1C), 24.80 (s, 2C), 18.54 (s, 1C).

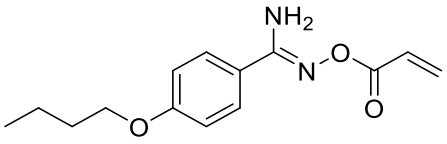


3-(4-(Cyclopropylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (8h). Compound **8h** was prepared according to general procedure 4 from *N*'-(acryloyloxy)-4-(cyclopropylmethoxy)benzimidamide **7h** (500 mg, 1.92 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9:1) afforded compound **8h** as a white solid (327 mg, 1.35 mmol, 70% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.67-7.61 (m, 2H), 6.94-6.88 (m, 2H), 6.54 (dd, *J* = 17.3, 1.5 Hz, 1H), 6.29 (dd, *J* = 17.3, 10.4 Hz, 1H), 5.89 (dd, *J* = 10.4, 1.5 Hz, 1H), 5.05 (s, 2H), 3.82 (d, *J* = 6.9 Hz, 2H), 1.32-1.20 (m, 1H), 0.69-0.60 (m, 2H), 0.39-0.32 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 163.91 (s, 1C), 161.27 (s, 1C), 156.52 (s, 1C), 131.30 (s, 1C), 128.17 (s, 2C), 126.75 (s, 1C), 123.11 (s, 1C), 114.63 (s, 2C), 72.86 (s, 1C), 10.12 (s, 1C), 3.17 (s, 2C).

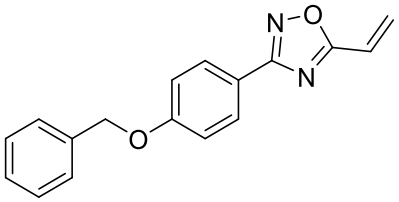


***N*'-(Acryloyloxy)-4-isobutoxybenzimidamide (8i)**. Compound **8i** was prepared according to general procedure 4 from *N*'-hydroxy-4-isobutoxybenzimidamide **7i** (285 mg, 1.3 mmol, 1.0 eq.). Purification

by column chromatography on silica gel (cyclohexane/EtOAc 65:35) afforded compound **8i** as a white solid (223 mg, 8.5 mmol, 62% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.68-7.62 (m, 2H), 6.94-6.88 (m, 2H), 6.54 (dd, *J* = 17.3, 1.5 Hz, 1H), 6.30 (dd, *J* = 17.3, 10.4 Hz, 1H), 5.90 (dd, *J* = 10.4, 1.5 Hz, 1H), 5.05 (s, 2H), 3.74 (d, *J* = 6.6 Hz, 2H), 2.16-2.01 (m, 1H), 1.03 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 164.03 (s, 1C), 161.45 (s, 1C), 156.75 (s, 1C), 131.18 (s, 1C), 128.15 (s, 2C), 126.81 (s, 1C), 122.93 (s, 1C), 114.49 (s, 2C), 74.44 (s, 1C), 28.19 (s, 1C), 19.19 (s, 2C).

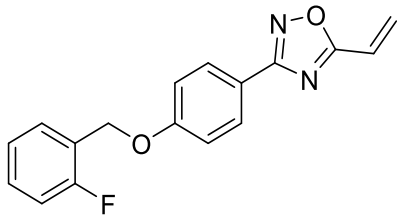


***N'*-(Acryloyloxy)-4-butoxybenzimidamide (8l)**. Compound **8l** was prepared according to general procedure 4 from 4-butoxy-*N*'-hydroxybenzimidamide **7l** (800 mg, 3.80 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 65:35) afforded compound **8l** as a white solid (696 mg, 2.65 mmol, 70% yield). **^{1}H NMR** (300 MHz, DMSO-d_6): δ 7.68-7.62 (m, 2H), 7.00-6.95 (m, 2H), 6.77 (s, 2H), 6.42 (dd, *J* = 17.4, 2.4 Hz, 1H), 6.32 (dd, *J* = 17.4, 9.7 Hz, 1H), 5.93 (dd, *J* = 9.7, 2.4 Hz, 1H), 4.00 (t, *J* = 6.5 Hz, 2H), 1.75-1.64 (m, 2H), 1.50-1.36 (m, 2H), 0.93 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (75 MHz, DMSO-d_6): δ 163.97 (s, 1C), 160.90 (s, 1C), 156.91 (s, 1C), 131.23 (s, 1C), 128.65 (s, 2C), 128.12 (s, 1C), 123.94 (s, 1C), 114.59 (s, 2C), 67.76 (s, 1C), 31.11 (s, 1C), 19.18 (s, 1C), 14.15 (s, 1C).

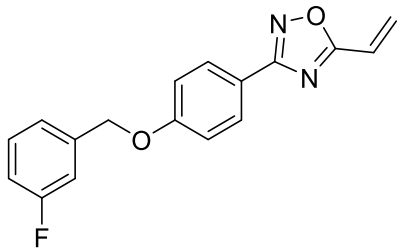


3-(4-(Benzyloxy)phenyl)-5-vinyl-1,2,4-oxadiazole (9a). Compound **9a** was prepared according to general procedure 5 from *N*'-(acryloyloxy)-4-(benzyloxy)benzimidamide **8a** (900 mg, 3.00 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **9a** as a white solid (414 mg, 1.49 mmol, 50% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.07-8.01 (m, 2H), 7.47-7.42 (m, 2H), 7.41-7.37 (m, 2H), 7.36-7.31 (m, 1H), 7.10-7.04 (m, 2H), 6.76 (dd, *J*

= 17.7, 11.0 Hz, 1H), 6.57 (dd, *J* = 17.7, 1.1 Hz, 1H), 5.98 (dd, *J* = 11.0, 1.1 Hz, 1H), 5.13 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.21 (s, 1C), 168.36 (s, 1C), 161.11 (s, 1C), 136.43 (s, 1C), 129.06 (s, 2C), 128.66 (s, 2C), 128.54 (s, 1C), 128.15 (s, 1C), 127.50 (s, 1C), 120.65 (s, 2C), 119.49 (s, 1C), 115.17 (s, 1C), 115.10 (s, 1C), 70.08 (s, 1C).

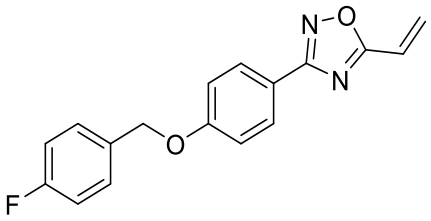


3-(4-((2-Fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole (9b). Compound **9b** was prepared according to general procedure 5 from *N*'-(acryloyloxy)-4-((2-fluorobenzyl)oxy)benzimidamide **8b** (2.00 g, 6.40 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 96:4) afforded compound **9b** as a white solid (892 mg, 3.01 mmol, 47% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.07-8.02 (m, 2H), 7.55-7.48 (m, 1H), 7.38-7.29 (m, 1H), 7.21-7.15 (m, 1H), 7.14-7.10 (m, 1H), 7.10-7.06 (m, 2H), 6.75 (dd, *J* = 17.6, 11.0 Hz, 1H), 6.57 (dd, *J* = 17.6, 1.1 Hz, 1H), 5.99 (dd, *J* = 11.0, 1.1 Hz, 1H), 5.20 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.23 (s, 1C), 168.33 (s, 1C), 160.85 (s, 1C), 160.46 (d, $^{1}J_{C,F}$ = 247.2 Hz, 1C), 129.91 (d, $^{3}J_{C,F}$ = 8.2 Hz, 1C), 129.69 (d, $^{3}J_{C,F}$ = 3.8 Hz, 1C), 129.09 (s, 2C), 128.56 (s, 1C), 124.32 (d, $^{4}J_{C,F}$ = 3.6 Hz, 1C), 123.63 (d, $^{2}J_{C,F}$ = 14.2 Hz, 1C), 120.60 (s, 1C), 119.70 (s, 1C), 115.42 (d, $^{2}J_{C,F}$ = 21.1 Hz, 1C), 115.08 (s, 2C), 63.79 (s, 1C).

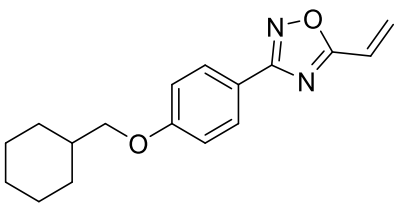


3-(4-((3-Fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole (9c). Compound **9c** was prepared according to general procedure 5 from *N*'-(acryloyloxy)-4-((3-fluorobenzyl)oxy)benzimidamide **8c** (168 mg, 0.50 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 96:4) afforded compound **9c** as a white solid (73 mg, 0.22 mmol, 44% yield). **^{1}H**

NMR (300 MHz, $CDCl_3$): δ 8.07-8.02 (m, 2H), 7.40-7.32 (m, 1H), 7.23-7.18 (m, 1H), 7.18-7.13 (m, 1H), 7.09-7.04 (m, 2H), 7.03-6.98 (m, 1H), 6.75 (dd, *J* = 17.7, 10.9 Hz, 1H), 6.58 (dd, *J* = 17.7, 1.1 Hz, 1H), 5.99 (dd, *J* = 10.9, 1.1 Hz, 1H), 5.13 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.24 (s, 1C), 168.28 (s, 1C), 162.99 (d, $^{1}J_{C,F}$ = 246.4 Hz, 1C), 160.78 (s, 1C), 139.06 (d, $^{3}J_{C,F}$ = 7.5 Hz, 1C), 130.21 (d, $^{3}J_{C,F}$ = 8.3 Hz, 1C), 129.10 (s, 2C), 128.56 (s, 1C), 122.73 (d, $^{4}J_{C,F}$ = 2.9 Hz, 1C), 120.60 (s, 1C), 119.74 (s, 1C), 115.10 (s, 2C), 114.83 (s, 1C), 114.23 (d, $^{2}J_{C,F}$ = 21.9 Hz, 1C), 69.16 (s, 1C).

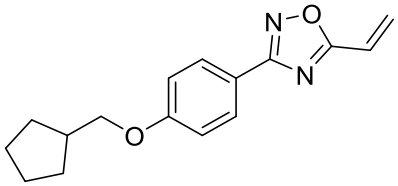


3-(4-((4-Fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole (9d). Compound **9d** was prepared according to general procedure 5 from *N'*-(acryloyloxy)-4-((4-fluorobenzyl)oxy)benzimidamide **8d** (500 mg, 1.60 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 96:4) afforded compound **9d** as a white solid (276 mg, 0,93 mmol, 58% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.07-8.02 (m, 2H), 7.46-7.38 (m, 2H), 7.13-7.07 (m, 2H), 7.06-7.03 (m, 2H), 6.76 (dd, *J* = 17.7, 10.9 Hz, 1H), 6.57 (dd, *J* = 17.7, 1.1 Hz, 1H), 5.99 (dd, *J* = 10.9, 1.1 Hz, 1H), 5.09 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 164.04 (s, 1C), 162.08 (s, 1C), 158.80 (s, 1C), 158.64 (d, $^{1}J_{C,F}$ = 296.4 Hz, 1C), 131.26 (s, 1C), 129.94 (d, $^{3}J_{C,F}$ = 8.2 Hz, 1C), 129.74 (d, $^{3}J_{C,F}$ = 3.9 Hz, 1C), 128.31 (s, 2C), 126.77 (s, 1C), 124.32 (d, $^{4}J_{C,F}$ = 3.6 Hz, 1C), 123.76 (s, 1C), 123.55 (d, $^{2}J_{C,F}$ = 14.2 Hz, 1C), 115.37 (d, $^{2}J_{C,F}$ = 21.1 Hz, 1C), 114.79 (s, 2C), 63.76 (s, 1C).

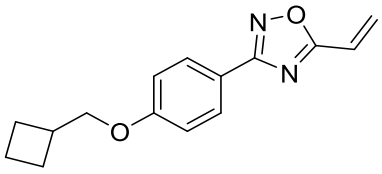


3-(4-(Cyclohexylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (9e). Compound **9e** was prepared according to general procedure 5 from *N*'-(acryloyloxy)-4-(cyclohexylmethoxy)benzimidamide **8e** (610 mg, 2.02 mmol, 1.0 eq.). Purification by column chromatography on silica gel

(cyclohexane/EtOAc 98:2) afforded compound **9e** as a white solid (518 mg, 1.82 mmol, 90% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.04-7.98 (m, 2H), 7.00-6.94 (m, 2H), 6.75 (dd, *J* = 17.7, 10.7 Hz, 1H), 6.56 (dd, *J* = 17.7, 0.8 Hz, 1H), 5.98 (dd, *J* = 10.7, 0.8 Hz, 1H), 3.81 (d, *J* = 6.2 Hz, 2H), 1.92-1.84 (m, 2H), 1.83-1.76 (m, 1H), 1.75-1.66 (m, 2H), 1.38-1.28 (m, 2H), 1.27-1.16 (m, 2H), 1.14-0.99 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.11 (s, 1C), 168.41 (s, 1C), 161.72 (s, 1C), 128.99 (s, 2C), 128.41 (s, 1C), 120.60 (s, 1C), 118.87 (s, 1C), 114.70 (s, 2C), 73.53 (s, 1C), 37.63 (s, 1C), 29.83 (s, 2C), 26.47 (s, 1C), 25.72 (s, 2C).

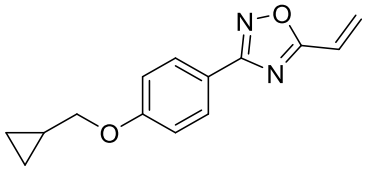


3-(4-(Cyclopentylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (9f). Compound **9f** was prepared according to general procedure 5 from *N*'-(acryloyloxy)-4-(cyclopentylmethoxy)benzimidamide **8f** (750 mg, 2.60 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **9f** as a white crystal (495 mg, 1.83 mmol, 71% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.04-7.99 (m, 2H), 7.02-6.95 (m, 2H), 6.75 (dd, *J* = 17.7, 10.9 Hz, 1H), 6.57 (dd, *J* = 17.7, 1.0 Hz, 1H), 5.98 (dd, *J* = 10.9, 1.0 Hz, 1H), 3.89 (d, *J* = 7.0 Hz, 2H), 2.46-2.30 (m, 1H), 1.92-1.79 (m, 2H), 1.71-1.63 (m, 2H), 1.63-1.55 (m, 2H), 1.45-1.32 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.12 (s, 1C), 168.41 (s, 1C), 161.69 (s, 1C), 128.94 (s, 2C), 128.41 (s, 1C), 120.62 (s, 1C), 118.91 (s, 1C), 114.74 (s, 2C), 72.28 (s, 1C), 39.00 (s, 1C), 29.42 (s, 2C), 25.36 (s, 2C).

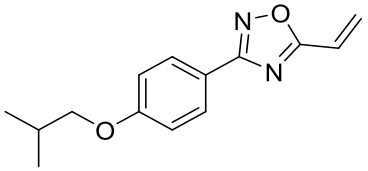


3-(4-(Cyclobutylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (9g). Compound **9g** was prepared according to general procedure 5 from *N*'-(acryloyloxy)-4-(cyclobutylmethoxy)benzimidamide **8g** (536 mg, 1.95 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **9g** as a colorless oil (425 mg, 1.66 mmol, 85% yield). **^{1}H NMR** (300 MHz,

$CDCl_3$): δ 7.97-7.92 (m, 2H), 6.91-6.84 (m, 2H), 6.64 (dd, *J* = 17.7, 1.1 Hz, 1H), 6.44 (dd, *J* = 17.7, 1.1 Hz, 1H), 5.82 (dd, *J* = 11.1, 1.1 Hz, 1H), 3.86-3.80 (m, 2H), 2.75-2.61 (m, 1H), 2.11-1.97 (m, 2H), 1.95-1.84 (m, 2H), 1.81-1.70 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.02 (s, 1C), 168.29 (s, 1C), 161.59 (s, 1C), 128.96 (s, 2C), 128.17 (s, 1C), 120.57 (s, 1C), 118.94 (s, 1C), 114.72 (s, 2C), 71.91 (s, 1C), 34.51 (s, 1C), 24.80 (s, 2C), 18.56 (s, 1C).

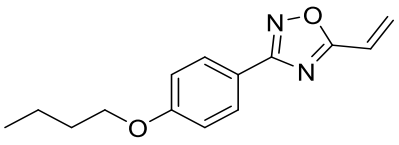


3-(4-(Cyclopropylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (9h). Compound **9h** was prepared according to general procedure 5 from *N*'-(acryloyloxy)-4-(cyclopropylmethoxy)benzimidamide **8h** (500 mg, 1.92 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9:1) afforded compound **9h** as a white solid (327 mg, 1.35 mmol, 70% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.05-7.99 (m, 2H), 7.01-6.96 (m, 2H), 6.75 (dd, *J* = 17.7, 10.9 Hz, 1H), 6.56 (dd, *J* = 17.7, 1.1 Hz, 1H), 5.98 (dd, *J* = 10.9, 1.1 Hz, 1H), 3.86 (d, *J* = 6.9 Hz, 2H), 1.36-1.23 (m, 1H), 0.70-0.63 (m, 2H), 0.41-0.34 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.15 (s, 1C), 168.38 (s, 1C), 161.38 (s, 1C), 128.98 (s, 2C), 128.46 (s, 1C), 120.61 (s, 1C), 119.07 (s, 1C), 114.79 (s, 2C), 72.81 (s, 1C), 10.14 (s, 1C), 3.20 (s, 2C).

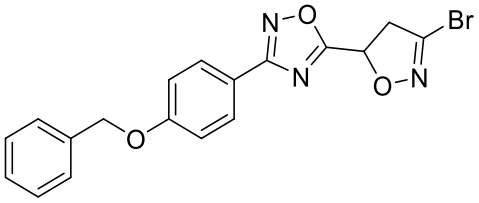


3-(4-Isobutoxyphenyl)-5-vinyl-1,2,4-oxadiazole (9i). Compound **9i** was prepared according to general procedure 5 from *N*'-(acryloyloxy)-4-isobutoxybenzimidamide **8i** (210 mg, 0.80 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 7:3) afforded compound **9i** as a white solid (100 mg, 0.41 mmol, 51% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.04-7.99 (m, 2H), 7.00-6.95 (m, 2H), 6.75 (dd, *J* = 17.7, 11.0 Hz, 1H), 6.56 (dd, *J* = 17.7, 0.8 Hz, 1H), 5.97 (dd, *J* = 11.0, 0.8 Hz, 1H), 3.77 (dd, *J* = 6.5, 0.5 Hz, 2H), 2.17-2.04 (m, 1H), 1.04 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (75

MHz, DMSO-d_6): δ 169.39 (s, 1C), 163.68 (s, 1C), 156.91 (s, 1C), 124.24 (s, 1C), 123.71 (s, 1C), 115.89 (s, 2C), 114.18 (s, 1C), 110.00 (s, 2C), 69.72 (s, 1C), 23.49 (s, 1C), 14.46 (s, 2C).

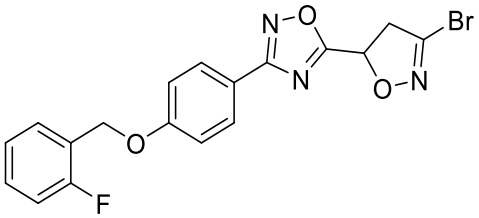


3-(4-Butoxyphenyl)-5-vinyl-1,2,4-oxadiazole (9l). Compound **9l** was prepared according to general procedure 5 from *N*'-(acryloyloxy)-4-butoxybenzimidamide **8l** (600 mg, 2.30 mmol, 1.0 eq.). Purification by column chromatography on silica gel (petroleum ether/EtOAc 98:2) afforded compound **9l** as a white solid (349 mg, 1.43 mmol, 62% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.05-8.00 (m, 2H), 7.01-6.95 (m, 2H), 6.76 (dd, *J* = 17.7, 10.9 Hz, 1H), 6.57 (dd, *J* = 17.7, 1.1 Hz, 1H), 5.98 (dd, *J* = 10.9, 1.1 Hz, 1H), 4.03 (t, *J* = 6.5 Hz, 2H), 1.86-1.75 (m, 2H), 1.58-1.44 (m, 2H), 0.99 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.11 (s, 1C), 168.40 (s, 1C), 161.55 (s, 1C), 128.99 (s, 2C), 128.37 (s, 1C), 120.62 (s, 1C), 118.95 (s, 1C), 114.66 (s, 2C), 67.77 (s, 1C), 31.19 (s, 1C), 19.22 (s, 1C), 13.83 (s, 1C).

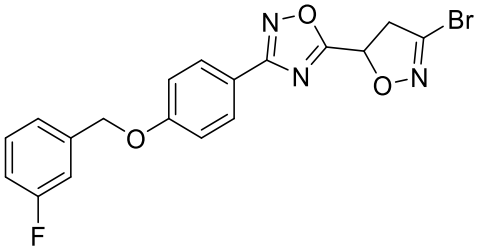


3-(4-(Benzyloxy)phenyl)-5-(3-bromo-4,5-dihydroisoxazol-5-yl)-1,2,4-oxadiazole (2a). Compound **2a** was prepared according to general procedure 6 from 3-(4-(benzyloxy)phenyl)-5-vinyl-1,2,4-oxadiazole **9a** (410 mg, 1.47 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9:1) afforded compound **2a** as a white solid (300 mg, 0.75 mmol, 51% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.05-7.99 (m, 2H), 7.47-7.42 (m, 2H), 7.42-7.37 (m, 2H), 7.36-7.31 (m, 1H), 7.10-7.04 (m, 2H), 5.86 (dd, *J* = 11.2, 6.9 Hz, 1H), 5.13 (s, 2H), 3.89 (dd, *J* = 17.2, 6.9 Hz, 1H), 3.74 (dd, *J* = 17.2, 11.2 Hz, 1H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.83 (s, 1C), 168.29 (s, 1C), 161.40 (s, 1C), 136.95 (s, 1C), 136.32 (s, 1C), 129.24 (s, 2C), 128.68 (s, 2C), 128.20 (s, 1C), 127.50 (s, 2C), 118.65 (s, 1C), 115.23 (s, 2C), 73.32 (s, 1C), 70.11 (s, 1C), 45.95 (s, 1C). **HRMS** (*m/z*): $[M + Na]^+$

calcd for $C_{18}H_{14}{}^{79}BrN_3NaO_3$ 422.0116; found, 422.0122; $[M + Na]^+$ calcd for $C_{18}H_{14}{}^{81}BrN_3NaO_3$ 424.0096; found, 424.0091. **HPLC** (Method B): t_R = 4.64 min (99.1% purity).

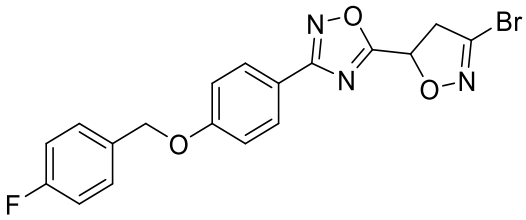


5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((2-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (2b). Compound **2b** was prepared according to general procedure 6 from 3-(4-((2-fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole **9b** (887 mg, 2.99 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc, from 95:5 to 9:1) afforded compound **2b** as a white solid (673 mg, 1.61 mmol, 54% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.06-8.00 (m, 2H), 7.54-7.47 (m, 1H), 7.38-7.30 (m, 1H), 7.21-7.16 (m, 1H), 7.14-7.10 (m, 1H), 7.10-7.05 (m, 2H), 5.86 (dd, J = 11.1, 7.1 Hz, 1H), 5.20 (s, 2H), 3.88 (dd, J = 17.4, 7.1 Hz, 1H), 3.74 (dd, J = 17.4, 11.1 Hz, 1H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.84 (s, 1C), 168.26 (s, 1C), 161.15 (s, 1C), 160.47 (d, $^1J_{C,F}$ = 247.0 Hz, 1C), 136.92 (s, 1C), 129.98 (d, $^3J_{C,F}$ = 8.3 Hz, 1C), 129.71 (d, $^3J_{C,F}$ = 4.0 Hz, 1C), 129.27 (s, 2C), 124.34 (d, $^4J_{C,F}$ = 3.5 Hz, 1C), 123.51 (d, $^2J_{C,F}$ = 14.1 Hz, 1C), 118.86 (s, 1C), 115.24 (d, $^2J_{C,F}$ = 21.0 Hz, 1C), 115.17 (s, 2C), 73.31 (s, 1C), 63.76 (s, 1C), 45.96 (s, 1C). **HRMS** (*m/z*): $[M + H]^+$ calcd for $C_{18}H_{13}{}^{79}BrFN_3NaO_3$ 440.0022; found, 440.0028; $[M + H]^+$ calcd for $C_{18}H_{14}{}^{81}BrFN_3O_3$ 442.0002; found, 442.0009. **HPLC** (Method B): t_R = 4.58 min (99.5% purity).

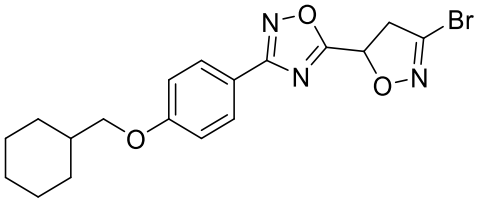


5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((3-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (2c). Compound **2c** was prepared according to general procedure 6 from 3-(4-((3-fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole **9c** (73 mg, 0.22 mmol, 1.0 eq.). Purification by column chromatography on

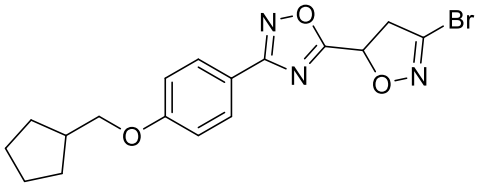
silica gel (cyclohexane/EtOAc 9:1) afforded compound **2c** as a white solid (79 mg, 0.19 mmol, 87% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.06-8.00 (m, 2H), 7.41-7.32 (m, 1H), 7.24-7.18 (m, 1H), 7.18-7.13 (m, 1H), 7.09-7.04 (m, 2H), 7.03-6.99 (m, 1H), 5.86 (dd, *J* = 11.2, 7.0 Hz, 1H), 5.13 (s, 2H), 3.89 (dd, *J* = 17.4, 7.0 Hz, 1H), 3.74 (dd, *J* = 17.4, 11.2 Hz, 1H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.87 (s, 1C), 168.23 (s, 1C), 163.00 (d, $^1J_{C,F}$ = 246.4 Hz, 1C), 161.07 (s, 1C), 138.90 (d, $^3J_{C,F}$ = 7.2 Hz, 1C), 136.96 (s, 1C), 130.26 (d, $^3J_{C,F}$ = 8.3 Hz, 1C), 129.29 (s, 2C), 122.74 (d, $^4J_{C,F}$ = 2.9 Hz, 1C), 118.91 (s, 1C), 115.20 (s, 2C), 114.92 (s, 1C), 114.25 (d, $^2J_{C,F}$ = 22.2 Hz, 1C), 73.31 (s, 1C), 69.23 (s, 1C), 45.96 (s, 1C). **HRMS** (*m/z*): $[M + H]^+$ calcd for $C_{18}H_{13}{}^{79}BrFN_3NaO_3$ 440.0022; found, 440.0026; $[M + H]^+$ calcd for $C_{18}H_{14}{}^{81}BrFN_3O_3$ 442.0002; found, 442.0007. **HPLC** (Method B): t_R = 4.56 min (99.6% purity).



5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((4-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (2d). Compound **2d** was prepared according to general procedure 6 from 3-(4-((4-fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole **9d** (271 mg, 0.91 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9:1) afforded compound **2d** as a white solid (276 mg, 0.66 mmol, 73% yield). **^{1}H NMR** (300 MHz, DMSO-d_6): δ 7.99-7.93 (m, 2H), 7.49-7.29 (m, 2H), 7.28-7.21 (m, 2H), 7.20-7.13 (m, 2H), 6.07 (dd, *J* = 10.3, 7.6 Hz, 1H), 5.23 (s, 2H), 3.93 (dd, *J* = 17.1, 7.6 Hz, 1H), 3.83 (dd, *J* = 17.1, 10.3 Hz, 1H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.86 (s, 1C), 168.25 (s, 1C), 162.61 (d, $^1J_{C,F}$ = 246.7 Hz, 1C), 161.23 (s, 1C), 136.94 (s, 1C), 132.07 (d, $^4J_{C,F}$ = 3.2 Hz, 1C), 129.40 (d, $^3J_{C,F}$ = 8.3 Hz, 2C), 129.27 (s, 2C), 118.80 (s, 1C), 115.62 (d, $^2J_{C,F}$ = 21.6 Hz, 2C), 115.19 (s, 2C), 73.31 (s, 1C), 69.43 (s, 1C), 45.95 (s, 1C). **HRMS** (*m/z*): $[M + H]^+$ calcd for $C_{18}H_{13}{}^{79}BrFN_3NaO_3$ 440.0022; found, 440.0026; $[M + H]^+$ calcd for $C_{18}H_{14}{}^{81}BrFN_3O_3$ 442.0002; found, 442.0007. **HPLC** (Method B): t_R = 4.65 min (98.8% purity).

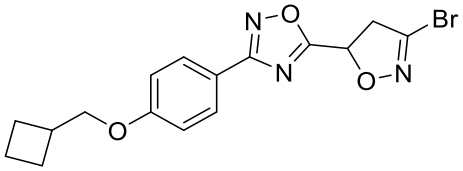


5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclohexylmethoxy)phenyl)-1,2,4-oxadiazole (2e). Compound **5e** was prepared according to general procedure 6 from 3-(4-(cyclohexylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole **9e** (480 mg, 1.69 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9:1) afforded compound **2e** as a white solid (587 mg, 1.44 mmol, 85% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.02-7.97 (m, 2H), 7.00-6.94 (m, 2H), 5.85 (dd, *J* = 11.2, 7.1 Hz, 1H), 3.88 (dd, *J* = 17.8, 7.1 Hz, 1H), 3.81 (d, *J* = 6.2 Hz, 2H), 3.73 (dd, *J* = 17.8, 11.2 Hz, 1H), 1.92-1.84 (m, 1H), 1.82-1.77 (m, 2H), 1.76-1.67 (m, 2H), 1.43-1.28 (m, 2H), 1.27-1.19 (m, 2H), 1.18-1.11 (m, 1H), 1.08-0.99 (m, 1H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.79 (s, 1C), 168.34 (s, 1C), 162.03 (s, 1C), 137.03 (s, 1C), 129.13 (s, 2C), 118.02 (s, 1C), 114.83 (s, 2C), 73.59 (s, 1C), 73.35 (s, 1C), 45.93 (s, 1C), 37.62 (s, 1C), 29.83 (s, 2C), 26.47 (s, 1C), 25.77 (s, 2C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{18}H_{20}{}^{79}BrN_3NaO_3$ 428.0586; found, 428.0595; $[M + Na]^+$ calcd for $C_{18}H_{20}{}^{81}BrN_3NaO_3$ 430.0565; found, 430.0577. **HPLC** (Method B): t_R = 9.08 min (98.7% purity).

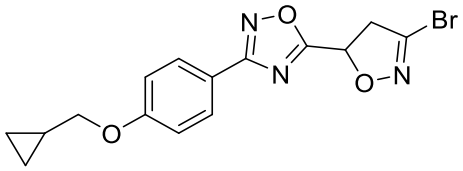


5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclopentylmethoxy)phenyl)-1,2,4-oxadiazole (2f). Compound **2f** was prepared according to general procedure 6 from 3-(4-((3-fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole **9f** (480 mg, 1.70 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 95:5) afforded compound **2f** as a white solid (649 mg, 1.65 mmol, 93% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.03-7.97 (m, 2H), 7.01-6.95 (m, 2H), 5.85 (dd, *J* = 11.3, 6.9 Hz, 1H), 3.83 (d, *J* = 6.5 Hz, 2H), 3.82 (dd, *J* = 17.4, 6.9 Hz, 1H), 3.74 (dd, *J* = 17.4, 11.3 Hz, 1H), 2.44-2.33 (m, 1H), 1.92-1.80 (m, 2H), 1.69-1.63 (m, 2H), 1.62-1.58 (m, 2H), 1.44-1.31 (m, 2H). **^{13}C**

NMR (75 MHz, DMSO-d_6): δ 176.49 (s, 1C), 167.92 (s, 1C), 161.96 (s, 1C), 139.29 (s, 1C), 129.25 (s, 2C), 118.11 (s, 1C), 115.58 (s, 2C), 73.73 (s, 1C), 72.27 (s, 1C), 45.96 (s, 1C), 38.89 (s, 1C), 29.39 (s, 2C), 25.36 (s, 2C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{17}H_{18}{}^{79}BrN_3NaO_3$ 414.0429; found, 414.0433; $[M + Na]^+$ calcd for $C_{17}H_{18}{}^{81}BrN_3NaO_3$ 416.0409; found, 416.0414. **HPLC** (Method B): t_R = 7.44 min (99.9% purity).

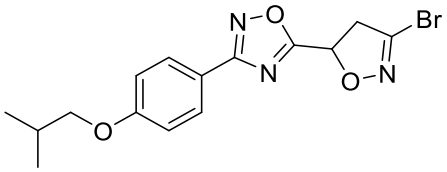


5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclobutylmethoxy)phenyl)-1,2,4-oxadiazole (2g). Compound **2g** was prepared according to general procedure 6 from 3-(4-(cyclobutylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole **9g** (400 mg, 1.56 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 8:2) afforded compound **2g** as a white solid (542 mg, 1.43 mmol, 92% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.99-7.93 (m, 2H), 6.98-6.91 (m, 2H), 5.81 (dd, *J* = 11.1, 7.1 Hz, 1H), 3.94 (d, *J* = 6.6 Hz, 2H), 3.85 (dd, *J* = 17.3, 7.1 Hz, 1H), 3.70 (dd, *J* = 17.3, 11.1 Hz, 1H), 2.82-2.69 (m, 1H), 2.12 (m, *J* = 9.3, 5.3 Hz, 2H), 2.02-1.88 (m, 2H), 1.87-1.76 (m, 2H). **^{13}C NMR** (75 MHz, DMSO-d_6): δ 176.45 (s, 1C), 167.85 (s, 1C), 161.89 (s, 1C), 139.24 (s, 1C), 129.19 (s, 2C), 118.10 (s, 1C), 115.54 (s, 2C), 73.67 (s, 1C), 72.06 (s, 1C), 45.91 (s, 1C), 34.24 (s, 1C), 24.70 (s, 2C), 18.48 (s, 1C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{16}H_{16}{}^{79}BrN_3NaO_3$ 400.0273; found, 400.0283; $[M + Na]^+$ calcd for $C_{16}H_{16}{}^{81}BrN_3NaO_3$ 402.0252; found, 402.0265. **HPLC** (Method B): t_R = 5.96 min (98.3% purity).

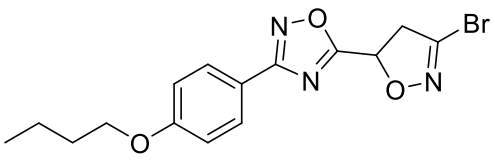


5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclopropylmethoxy)phenyl)-1,2,4-oxadiazole (2h). Compound **2h** was prepared according to general procedure 6 from 3-(4-(cyclopropylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole **9h** (300 mg, 1.24 mmol, 1.0 eq.). Purification by column chromatography on

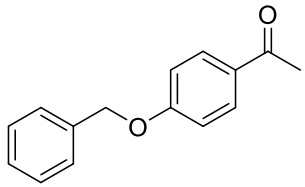
silica gel (cyclohexane/EtOAc 9:1) afforded compound **2h** as a white solid (390 mg, 1.07 mmol, 86% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.03-7.97 (m, 2H), 7.02-6.95 (m, 2H), 5.85 (dd, *J* = 11.3, 7.2 Hz, 1H), 3.89 (dd, *J* = 17.3, 7.2 Hz, 1H), 3.88 (d, *J* = 6.5 Hz, 2H), 3.73 (dd, *J* = 17.3, 11.3 Hz, 1H), 1.36-1.22 (m, 1H), 0.71-0.63 (m, 2H), 0.41-0.33 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.82 (s, 1C), 168.29 (s, 1C), 161.68 (s, 1C), 137.04 (s, 1C), 129.16 (s, 2C), 118.21 (s, 1C), 114.89 (s, 2C), 73.34 (s, 1C), 72.87 (s, 1C), 45.92 (s, 1C), 10.13 (s, 1C), 3.20 (s, 2C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{15}H_{14}{}^{79}BrN_3NaO_3$ 386.0116; found, 386.0117; $[M + Na]^+$ calcd for $C_{15}H_{1a}{}^{79}BrN_3NaO_3$ 388.0096; found, 388.0098. **HPLC** (Method B): t_R = 3.83 min (98.9% purity).



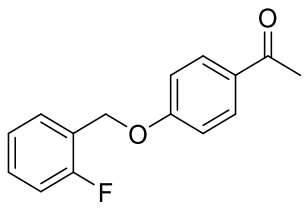
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-isobutoxyphenyl)-1,2,4-oxadiazole (2i). Compound **2i** was prepared according to general procedure 6 from 3-(4-isobutoxyphenyl)-5-vinyl-1,2,4-oxadiazole **9i** (100 mg, 0.41 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 96:4) afforded compound **2i** as a white solid (92 mg, 0.25 mmol, 61% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.02-7.97 (m, 2H), 7.01-6.95 (m, 2H), 5.85 (dd, *J* = 11.2, 7.1 Hz, 1H), 3.88 (dd, *J* = 17.4, 7.1 Hz, 1H), 3.79 (d, *J* = 6.6 Hz, 2H), 3.74 (dd, *J* = 17.4, 11.2 Hz, 1H), 2.18-2.04 (m, 1H), 1.04 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.76 (s, 1C), 168.36 (s, 1C), 161.98 (s, 1C), 136.95 (s, 1C), 129.20 (s, 2C), 118.08 (s, 1C), 114.85 (s, 2C), 74.51 (s, 1C), 73.35 (s, 1C), 45.95 (s, 1C), 28.23 (s, 1C), 19.21 (s, 2C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{15}H_{16}{}^{79}BrN_3NaO_3$ 388.0273; found, 388.0273; $[M + Na]^+$ calcd for $C_{15}H_{16}{}^{81}BrN_3NaO_3$ 390.0252; found, 390.0255. **HPLC** (Method B): t_R = 5.55 min (99.8% purity).



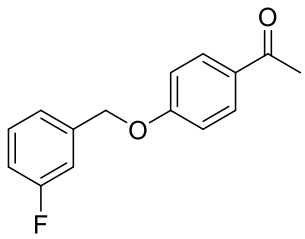
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-butoxyphenyl)-1,2,4-oxadiazole (2l). Compound **2l** was prepared according to general procedure 6 from 3-(4-butoxyphenyl)-5-vinyl-1,2,4-oxadiazole **9l** (331 mg, 1.36 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 96:4) afforded compound **2l** as a white solid (249 mg, 0.68 mmol, 50% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.01-7.95 (m, 2H), 6.98-6.93 (m, 2H), 5.84 (dd, *J* = 11.2, 7.1 Hz, 1H), 4.01 (t, *J* = 6.5 Hz, 2H), 3.86 (dd, *J* = 17.4, 7.1 Hz, 1H), 3.72 (dd, *J* = 17.4, 11.2 Hz, 1H), 1.83-1.73 (m, 2H), 1.56-1.43 (m, 2H), 0.98 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 174.80 (s, 1C), 168.33 (s, 1C), 161.86 (s, 1C), 137.02 (s, 1C), 129.15 (s, 2C), 118.10 (s, 1C), 114.82 (s, 2C), 73.35 (s, 1C), 67.87 (s, 1C), 45.93 (s, 1C), 31.17 (s, 1C), 19.20 (s, 1C), 13.83 (s, 1C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{15}H_{16}{}^{79}BrN_3NaO_3$ 388.0273; found, 388.0271; $[M + Na]^+$ calcd for $C_{15}H_{16}{}^{81}BrN_3NaO_3$ 390.0252; found, 390.0252. **HPLC** (Method B): t_R = 5.59 min (99.9% purity).



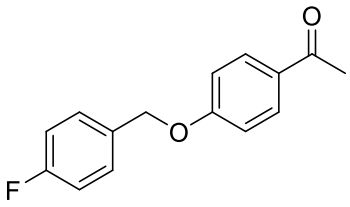
1-(4-(Benzyloxy)phenyl)ethan-1-one (11a). Compound **11a**[13] was prepared according to general procedure 7 from 1-(4-hydroxyphenyl)ethan-1-one **10** (1.19 g, 8.73 mmol, 1.0 eq.) and benzylbromide (1.14 mL, 9.60 mmol, 1.1 eq). Compound **11a** was obtained as a white powder (1.97 g, 8.73 mmol, quantitative yield) and used for the next step without further purification. **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.96-7.91 (m, 2H), 7.45-7.41 (m, 2H), 7.41-7.38 (m, 2H), 7.38-7.34 (m, 1H), 7.04-6.98 (m, 2H), 5.13 (s, 2H), 2.55 (s, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 196.73 (s, 1C), 162.63 (s, 1C), 136.21 (s, 1C), 130.65 (s, 2C), 130.52 (s, 1C), 128.74 (s, 2C), 128.25 (s, 1C), 127.47 (s, 2C), 114.60 (s, 2C), 70.12 (s, 1C), 26.35 (s, 1C).



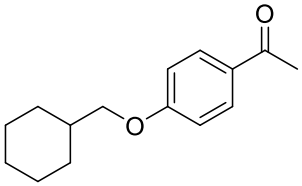
1-(4-((2-Fluorobenzyl)oxy)phenyl)ethan-1-one (11b). Compound **11b**[13] was prepared according to general procedure 7 from 1-(4-hydroxyphenyl)ethan-1-one **10** (2.00 g, 14.70 mmol, 1.0 eq.) and 1-(bromomethyl)-2-fluorobenzene (1.93 mL, 16.00 mmol, 1.1 eq). Compound **11b** was obtained as a white powder (3.42 g, 14.00 mmol, 95% yield) and used for the next step without further purification. **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.97-7.92 (m, 2H), 7.52-7.46 (m, 1H), 7.38-7.30 (m, 1H), 7.20-7.14 (m, 1H), 7.14-7.07 (m, 1H), 7.05-7.00 (m, 2H), 5.20 (s, 2H), 2.56 (s, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 196.67(s, 1C), 162.34 (s, 1C), 160.46 (d, $^1J_{C,F}$ = 247.3 Hz, 1C), 130.69 (s, 1C), 130.61 (s, 2C), 129.92 (d, $^3J_{C,F}$ = 8.3 Hz, 1C), 129.71 (d, $^3J_{C,F}$ = 3.7 Hz, 1C), 124.37 (d, $^4J_{C,F}$ = 3.7 Hz, 1C), 123.38 (d, $^2J_{C,F}$ = 14.1 Hz, 1C), 115.45 (d, $^2J_{C,F}$ = 21.0 Hz, 1C), 114.45 (s, 2C), 63.80 (d, $^4J_{C,F}$ = 4.6 Hz, 1C), 26.32 (s, 1C).



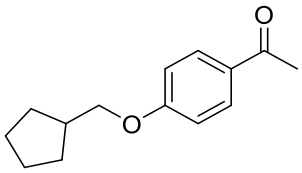
1-(4-((3-Fluorobenzyl)oxy)phenyl)ethan-1-one (11c). Compound **11c**[13] was prepared according to general procedure 7 from 1-(4-hydroxyphenyl)ethan-1-one **10** (2.00 g, 14.70 mmol, 1.0 eq.) and 1-(bromomethyl)-3-fluorobenzene (2.00 mL, 16.20 mmol, 1.1 eq). Compound **11c** was obtained as a white crystal (3.59 g, 14.70 mmol, quantitative yield) and used for the next step without further purification. **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.97-7.91 (m, 2H), 7.36 (td, *J* = 7.9, 5.7 Hz, 1H), 7.22-7.17 (m, 1H), 7.17-7.12 (m, 1H), 7.07-7.02 (m, 1H), 7.02-6.96 (m, 2H), 5.13 (s, 2H), 2.56 (s, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 196.67 (s, 1C), 162.97 (d, $^1J_{C,F}$ = 246.5 Hz, 1C), 162.26 (s, 1C), 138.81 (d, $^3J_{C,F}$ = 7.3 Hz, 1C), 130.72 (s, 1C), 130.62 (s, 2C), 130.27 (d, $^3J_{C,F}$ = 8.2 Hz, 1C), 122.73 (d, $^4J_{C,F}$ = 2.9 Hz, 1C), 115.05 (d, $^2J_{C,F}$ = 21.1 Hz, 1C), 114.51 (s, 2C), 114.20 (d, $^2J_{C,F}$ = 22.2 Hz, 1C), 69.22 (s, 1C), 26.32 (s, 1C).



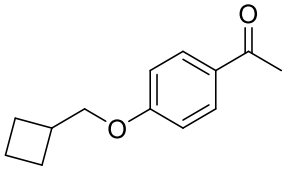
1-(4-((4-Fluorobenzyl)oxy)phenyl)ethan-1-one (11d). Compound **11d**[13] was prepared according to general procedure 7 from 1-(4-hydroxyphenyl)ethan-1-one **10** (2.00 g, 14.70 mmol, 1.0 eq.) and 1-(bromomethyl)-4-fluorobenzene (2.00 mL, 16.20 mmol, 1.1 eq). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9:1) afforded compound **11d** as a white crystal (3.58 g, 14.70 mmol, quantitative yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.97-7.92 (m, 2H), 7.44-7.38 (m, 2H), 7.13-7.05 (m, 2H), 7.03-6.96 (m, 2H), 5.09 (s, 2H), 2.56 (s, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 196.68 (s, 1C), 162.59 (d, $^{1}J_{C,F}$ = 246.7 Hz, 1C), 162.42 (s, 1C), 132.00 (d, $^{4}J_{C,F}$ = 3.2 Hz, 1C), 130.61 (s, 2C), 129.41 (s, 1C), 129.40 (d, $^{3}J_{C,F}$ = 8.1 Hz, 2C), 115.59 (d, $^{2}J_{C,F}$ = 21.6 Hz, 2C), 114.49 (s, 2C), 69.39 (s, 1C), 26.30 (s, 1C).



1-(4-(Cyclohexylmethoxy)phenyl)ethan-1-one (11e). Compound **11e** [14] was prepared according to general procedure 7 from 1-(4-hydroxyphenyl)ethan-1-one **10** (2.00 g, 14.70 mmol, 1.0 eq.) and 1-(bromomethyl)-4-cyclohexane (2.30 mL, 16.50 mmol, 1.1 eq). Purification by column chromatography on silica gel (cyclohexane/EtOAc 95:5) afforded compound **11e** as a white crystal (2.89 g, 12.40 mmol, 83% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.95-7.89 (m, 2H), 6.94-6.89 (m, 2H), 3.81 (d, J = 6.2 Hz, 2H), 2.55 (s, 3H), 1.91-1.83 (m, 1H), 1.82-1.76 (m, 2H), 1.75-1.67 (m, 2H), 1.39-1.32 (m, 1H), 1.31-1.24 (m, 1H), 1.23-1.12 (m, 2H), 1.11-0.99 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 196.67 (s, 1C), 163.27 (s, 1C), 139.29 (s, 1C), 130.56 (s, 2C), 114.14 (s, 2C), 73.61 (s, 1C), 37.57 (s, 1C), 29.82 (s, 2C), 26.43 (s, 1C), 26.27 (s, 1C), 25.75 (s, 2C).

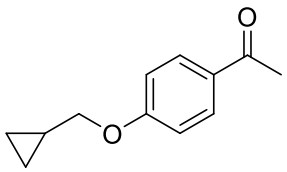


1-(4-(Cyclopentylmethoxy)phenyl)ethan-1-one (11f). To a cooled (0°C) solution of 1-(4-hydroxyphenyl)ethan-1-one **10** (2.00 g, 14.69 mmol, 1.0 eq.) in dry THF (40 mL) under nitrogen atmosphere, cyclopentanemethanol (1.47 g, 1.60 mL, 14.69 mmol, 1.0 eq.) and PPh3 (4.63 g, 17.62 mmol, 1.2 eq.) were added. The reaction mixture was stirred for 15 min at 0 °C, then DIAD (3.56 g, 3.50 mL, 17.62 mmol, 1.2 eq.) was added. The reaction was stirred at room temperature overnight. After completion of the reaction monitored by TLC, THF was removed under reduced pressure. EtOAc was added and the organic phase was washed with water (3x). The organic phase was dried over anhydrous Na_2SO_4, filtered and evaporated under reduced pressure. Purification by column chromatography on silica gel (cyclohexane/ethyl acetate 98:2) gave compound **11f** as a yellow oil (2.40 g, 11.00 mmol, 75% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.95-7.88 (m, 2H), 6.95-6.88 (m, 2H), 3.88 (d, 2H), 2.55 (s, 3H), 2.41-2.32 (m, 1H), 1.91-1.78 (m, 2H), 1.69-1.54 (m, 2H), 1.42-1.29 (m, 4H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 196.33 (s, 1C), 163.13 (s, 1C), 130.51 (s, 2C), 129.95 (s, 2C), 114.06 (s, 1C), 72.23 (s, 1C), 38.88 (s, 1C), 29.44 (s, 2C), 26.11 (s, 1C), 25.24 (s, 2C).

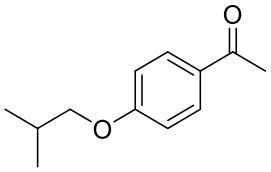


1-(4-(Cyclobutylmethoxy)phenyl)ethan-1-one (11g). Compound **11g** was prepared according to general procedure 7 from 1-(4-hydroxyphenyl)ethan-1-one **10** (2.17 g, 15.90 mmol, 1.0 eq.) and (bromomethyl)cyclobutane (2.00 mL, 17.50 mmol, 1.1 eq). Compound **11g** was obtained as a yellow oil (2.23 g, 10.92 mmol, 69% yield) and used for the next step without further purification. **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.95-7.88 (m, 2H), 6.95-6.89 (m, 2H), 3.99 (d, J = 6.6 Hz, 2H), 2.85-2.71 (m, 1H), 2.55 (s, 3H), 2.21-2.07 (m, 4H), 2.03-1.80 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 196.67 (s, 1C),

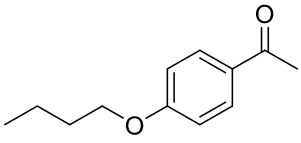
163.24 (s, 1C), 130.51 (s, 2C), 130.10 (s, 2C), 114.18 (s, 1C), 72.16 (s, 1C), 34.44 (s, 1C), 26.24 (s, 1C), 24.73 (s, 2C), 18.53 (s, 1C).



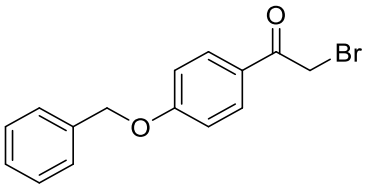
1-(4-(Cyclopropylmethoxy)phenyl)ethan-1-one (11h). Compound **11h**[15] was prepared according to general procedure 7 from 1-(4-hydroxyphenyl)ethan-1-one **10** (2.00 g, 14.70 mmol, 1.0 eq.) and (bromomethyl)cyclopropane (1.57 mL, 16.20 mmol, 1.1 eq). Compound **11h** was obtained as a yellow solid (2.02 g, 10.60 mmol, 72% yield) and used for the next step without further purification. **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.96-7.88 (m, 2H), 6.95-6.88 (m, 2H), 3.86 (d, *J* = 7.0 Hz, 2H), 2.54 (s, 3H), 1.35-1.22 (m, 1H), 0.70-0.61 (m, 2H), 0.40-0.33 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 196.62 (s, 1C), 162.93 (s, 1C), 130.59 (s, 2C), 130.11 (s, 2C), 114.26 (s, 1C), 72.88 (s, 1C), 26.27 (s, 1C), 10.05 (s, 1C), 3.27 (s, 2C).



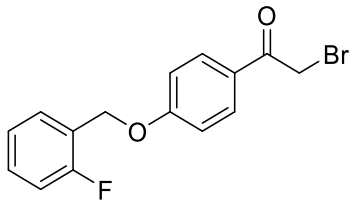
1-(4-Isobutoxyphenyl)ethan-1-one (11i). Compound **11i**[15] was prepared according to general procedure 7 from 1-(4-hydroxyphenyl)ethan-1-one **10** (2.00 g, 14.70 mmol, 1.0 eq.) and 1-bromo-2-methylpropane (1.80 mL, 16.17 mmol, 1.1 eq). Compound **11i** was obtained as a yellowish oil (1.79 g, 9.33 mmol, 63% yield) and used for the next step without further purification. **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.95-7.90 (m, 2H), 6.94-6.89 (m, 2H), 3.78 (d, *J* = 6.5 Hz, 2H), 2.55 (s, 3H), 2.15-2.04 (m, 1H), 1.04 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 196.46 (s, 1C), 163.11 (s, 1C), 130.45 (s, 2C), 129.96 (s, 2C), 114.03 (s, 1C), 74.40 (s, 1C), 28.11 (s, 1C), 26.15 (s, 1C), 19.08 (s, 2C).



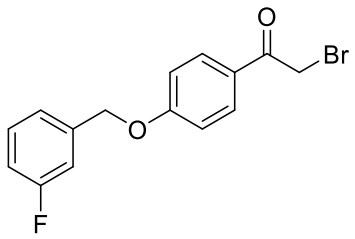
1-(4-Butoxyphenyl)ethan-1-one (11l). Compound **11l**[16] was prepared according to general procedure 7 from 1-(4-hydroxyphenyl)ethan-1-one **10** (1.06 g, 7.79 mmol, 1.0 eq.) and 1-bromobutane (0.90 mL, 8.57 mmol, 1.1 eq). Compound **11l** was obtained as a yellow solid (1.32 g, 6.87 mmol, 88% yield) and used for the next step without further purification. **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.94-7.89 (m, 2H), 6.94-6.88 (m, 2H), 4.02 (t, *J* = 6.5 Hz, 2H), 2.54 (s, 3H), 1.84-1.73 (m, 2H), 1.56-1.43 (m, 2H), 0.98 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 196.04 (s, 1C), 162.90 (s, 1C), 130.31 (s, 2C), 129.87 (s, 1C), 113.88 (s, 2C), 67.66 (s, 1C), 30.96 (s, 1C), 25.92 (s, 1C), 19.00 (s, 1C), 13.61 (s, 1C).



1-(4-(Benzyloxy)phenyl)-2-bromoethan-1-one (12a). To a stirred 0.5 M solution of 1-(4-(benzyloxy)phenyl)ethan-1-one **11a** (1.90 g, 9.87 mmol, 1.0 eq.) in dry EtOAc, $CuBr_2$ (2.43 g, 10.86 mmol, 1.1 eq.) was added. The reaction mixture was heated at reflux overnight. After completion of the reaction monitored by TLC, the suspension was allowed to cool down to room temperature and then filtered through celite. EtOAc was removed under reduced pressure. The crude was purified by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **12a** as a white solid (1.50 g, 5.53 mmol, 56% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.00-7.95 (m, 2H), 7.46-7.41 (m, 2H), 7.41-7.38 (m, 2H), 7.37-7.32 (m, 1H), 7.06-7.01 (m, 2H), 5.15 (s, 2H), 4.39 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 189.91 (s, 1C), 163.28 (s, 1C), 135.97 (s, 1C), 131.42 (s, 2C), 128.80 (s, 2C), 128.36 (s, 1C), 127.54 (s, 2C), 127.10 (s, 1C), 114.90 (s, 2C), 70.23 (s, 1C), 30.88 (s, 1C).

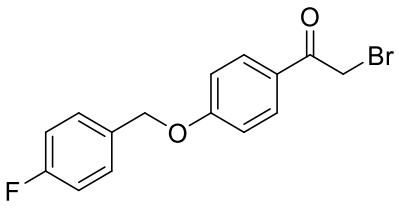


2-Bromo-1-(4-((2-fluorobenzyl)oxy)phenyl)ethan-1-one (12b). To a stirred 0.5 M solution of 1-(4-((2-fluorobenzyl)oxy)phenyl)ethan-1-one **11b** (3.00 g, 12.28 mmol, 1.0 eq.) in dry EtOAc, $CuBr_2$ (3.02 g, 13.51 mmol, 1.1 eq.) was added. The reaction mixture was heated at reflux overnight. After completion of the reaction monitored by TLC, the suspension was allowed to cool down to room temperature and then filtered through celite. EtOAc was removed under reduced pressure. The crude was purified by column chromatography on silica gel (cyclohexane/EtOAc 95:5) afforded compound **12b** as a yellow crystal (1.99 g, 6.14 mmol, 50% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.01-7.95 (m, 2H), 7.52-7.44 (m, 1H), 7.40-7.30 (m, 1H), 7.21-7.17 (m, 1H), 7.16-7.08 (m, 1H), 7.07-7.02 (m, 2H), 5.21 (s, 2H), 4.40 (d, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 189.89 (s, 1C), 162.99 (s, 1C), 160.48 (d, $^1J_{C,F}$ = 247.4 Hz, 1C), 131.38 (s, 2C), 130.19 (d, $^3J_{C,F}$ = 8.3 Hz, 1C), 129.76 (d, $^3J_{C,F}$ = 3.8 Hz, 1C), 127.28 (s, 1C), 124.41 (d, $^4J_{C,F}$ = 3.7 Hz, 1C), 123.14 (d, $^2J_{C,F}$ = 14.4 Hz, 1C), 115.51 (d, $^2J_{C,F}$ = 21.2 Hz, 1C), 114.81 (s, 2C), 63.94 (d, $^4J_{C,F}$ = 4.5 Hz, 1C), 30.78 (s, 1C).

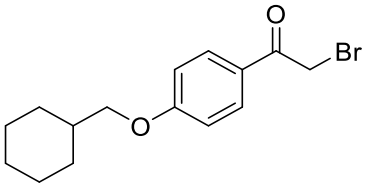


2-Bromo-1-(4-((3-fluorobenzyl)oxy)phenyl)ethan-1-one (12c). Compound **12c** was prepared according to general procedure 8 from 1-(4-((3-fluorobenzyl)oxy)phenyl)ethan-1-one **11c** (3.00 g, 12.30 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 95:5) afforded compound **12c** as a yellow crystal (1.14 g, 3.53 mmol, 29% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.01-7.95 (m, 2H), 7.41-7.33 (m, 1H), 7.22-7.16 (m, 1H), 7.14-7.06 (m, 2H), 7.05-7.00 (m, 2H), 5.14 (s, 2H), 4.47-4.34 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 189.88 (s, 1C), 162.96 (d, $^1J_{C,F}$ = 246.4 Hz, 1C), 162.91 (s, 1C), 138.56 (d, $^3J_{C,F}$ = 7.4 Hz, 1C), 131.40 (s, 2C), 130.37 (d, $^3J_{C,F}$ = 8.2 Hz,

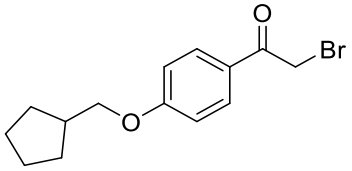
1C), 127.26 (s, 1C), 122.82 (d, $^{4}J_{C,F}$ = 2.9 Hz, 1C), 115.19 (d, $^{2}J_{C,F}$ = 21.0 Hz, 1C), 114.87 (s, 2C), 114.26 (d, $^{2}J_{C,F}$ = 22.2 Hz, 1C), 69.33 (s, 1C), 30.98 (s, 1C).



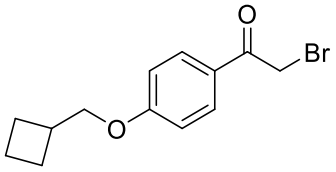
2-Bromo-1-(4-((4-fluorobenzyl)oxy)phenyl)ethan-1-one (12d). Compound **12d** was prepared according to general procedure 8 from 1-(4-((4-fluorobenzyl)oxy)phenyl)ethan-1-one **11d** (3.60 g, 14.74 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 95:5) afforded compound **12d** as a white crystal (2.78 g, 8.60 mmol, 58% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.01-7.95 (m, 2H), 7.45-7.37 (m, 2H), 7.13-7.06 (m, 2H), 7.05-6.99 (m, 2H), 5.10 (s, 2H), 4.40 (s, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 189.88 (s, 1C), 163.07 (s, 1C), 162.64 (d, $^{1}J_{C,F}$ = 247.0 Hz, 1C) 131.78 (d, $^{4}J_{C,F}$ = 3.2 Hz, 1C), 131.34 (s, 2C), 129.47 (d, $^{3}J_{C,F}$ = 8.4 Hz, 2C), 127.18 (s, 1C), 115.67 (d, $^{2}J_{C,F}$ = 21.6 Hz, 2C), 114.83 (s, 2C), 69.54 (s, 1C), 30.94 (s, 1C).



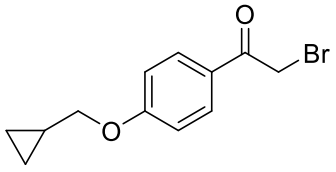
2-Bromo-1-(4-(cyclohexylmethoxy)phenyl)ethan-1-one (12e). Compound **12e** was prepared according to general procedure 8 from 1-(4-(cyclohexylmethoxy)phenyl)ethan-1-one **11e** (2.39 g, 10.00 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **12e** as a white solid (2.23 g, 7.16 mmol, 72% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.98-7.92 (m, 2H), 6.96-6.90 (m, 2H), 4.39 (s, 2H), 3.82 (d, *J* = 6.1 Hz, 2H), 1.91-1.83 (m, 1H), 1.82 – 1.75 (m, 2H), 1.74-1.66 (m, 2H), 1.38-1.30 (m, 2H), 1.29-1.24 (m, 1H), 1.23-1.16 (m, 1H), 1.15-1.00 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 189.89 (s, 1C), 163.95 (s, 1C), 131.35 (s, 2C), 126.58 (s, 1C), 114.47 (s, 2C), 73.75 (s, 1C), 37.54 (s, 1C), 30.78 (s, 1C), 29.77 (s, 2C), 26.42 (s, 1C), 25.73 (s, 2C).



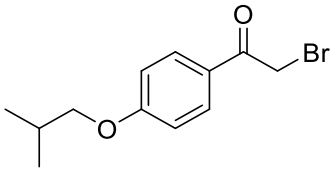
2-Bromo-1-(4-(cyclopentylmethoxy)phenyl)ethan-1-one (12f). Compound **12f** was prepared according to general procedure 8 from 1-(4-(cyclopentylmethoxy)phenyl)ethan-1-one **11f** (2.40 g, 11.00 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 99:1) afforded compound **12f** as a yellowish oil (1.74 g, 5.86 mmol, 53% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.98-7.92 (m, 2H), 6.97-6.92 (m, 2H), 4.40 (s, 2H), 3.91 (d, *J* = 7.0 Hz, 2H), 2.45-2.30 (m, 1H), 1.91-1.79 (m, 2H), 1.71-1.52 (m, 2H), 1.45-1.24 (m, 4H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 189.89 (s, 1C), 163.93 (s, 1C), 131.31 (s, 2C), 126.58 (s, 1C), 114.53 (s, 2C), 72.49 (s, 1C), 38.89 (s, 1C), 30.90 (s, 1C), 29.45 (s, 2C), 25.45 (s, 2C).



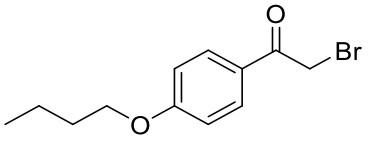
2-Bromo-1-(4-(cyclobutylmethoxy)phenyl)ethan-1-one (12g). Compound **12g** was prepared according to general procedure 8 from 1-(4-(cyclobutylmethoxy)phenyl)ethan-1-one **11g** (2.22 g, 10.85 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **12g** as a yellow oil (2.31 g, 8.16 mmol, 75% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.98-7.92 (m, 2H), 6.97-6.90 (m, 2H), 4.39 (s, 2H), 3.99 (d, *J* = 6.6 Hz, 2H), 2.85-2.72 (m, 1H), 2.22-2.09 (m, 4H), 2.05-1.80 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 189.90 (s, 1C), 163.90 (s, 1C), 131.30 (s, 2C), 126.64 (s, 1C), 114.55 (s, 2C), 72.27 (s, 1C), 34.36 (s, 1C), 30.78 (s, 1C), 24.80 (s, 2C), 18.54 (s, 1C).



2-Bromo-1-(4-(cyclopropylmethoxy)phenyl)ethan-1-one (12h). Compound **12h** was prepared according to general procedure 8 from 1-(4-(cyclopropylmethoxy)phenyl)ethan-1-one **11h** (1.52 g, 7.99 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 98:2) afforded compound **12h** as a yellow oil (1.14 g, 4.24 mmol, 53% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.98-7.92 (m, 2H), 6.97-6.91 (m, 2H), 4.39 (s, 2H), 3.88 (d, *J* = 7.0 Hz, 2H), 1.35-1.22 (m, 1H), 0.72-0.63 (m, 2H), 0.41-0.34 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 189.87 (s, 1C), 163.60 (s, 1C), 131.34 (s, 2C), 126.70 (s, 2C), 114.55 (s, 1C), 73.05 (s, 1C), 30.83 (s, 1C), 10.03 (s, 1C), 3.31(s, 2C).

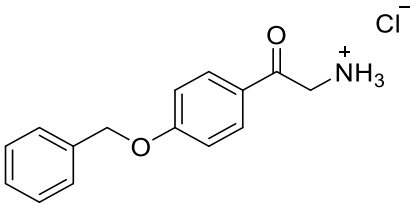


2-Bromo-1-(4-isobutoxyphenyl)ethan-1-one (12i). Compound **12i**[17] was prepared according to general procedure 8 from 1-(4-isobutoxyphenyl)ethan-1-one **11i** (1.77 g, 9.21 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 99:1) afforded compound **12i**as a yellow oil (1.73 g, 6.38 mmol, 69% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.99-7.92 (m, 2H), 6.98-6.92 (m, 2H), 4.40 (s, 2H), 3.80 (d, *J* = 6.5 Hz, 2H), 2.11 (dt, *J* = 13.3, 6.7 Hz, 1H), 1.03 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 189.83 (s, 1C), 163.84 (s, 1C), 131.29 (s, 2C), 126.59 (s, 1C), 114.49 (s, 2C), 74.61 (s, 1C), 31.02 (s, 1C), 28.16 (s, 1C), 19.16 (s, 2C).

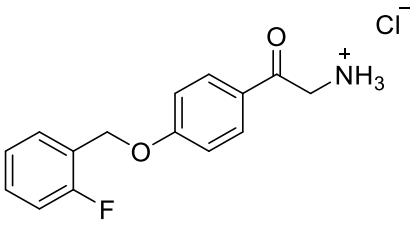


2-Bromo-1-(4-butoxyphenyl)ethan-1-one (12l). To a stirred 0.5 M solution of 1-(4-butoxyphenyl)ethan-1-one **11l** (1.32 g, 6.87 mmol, 1.0 eq.) in dry EtOAc, $CuBr_2$ (1.08 g, 7.56 mmol, 1.1 eq.) was added. The reaction mixture was heated at reflux overnight. After completion of the reaction monitored by TLC, the suspension was allowed to cool down to room temperature and then filtered through celite. EtOAc was removed under reduced pressure. Purification by column chromatography on silica gel (cyclohexane/EtOAc 99:1) afforded compound **12l**[18] as a yellow oil

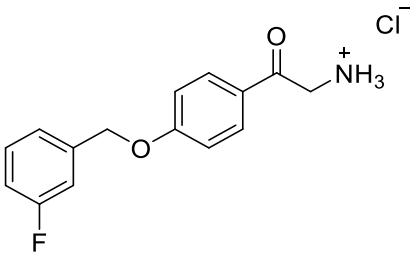
(455 mg, 1.68 mmol, 25% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.46-7.39 (m, 2H), 6.44-6.37 (m, 2H), 3.87-3.85 (m, 2H), 3.54-3.47 (m, 2H), 1.26 (p, *J* = 9.1 Hz, 2H), 1.04-0.91 (m, 2H), 0.49-0.41 (m, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 189.66 (s, 1C), 163.68 (s, 1C), 131.23 (s, 2C), 126.54 (s, 1C), 114.41 (s, 2C), 68.00 (s, 1C), 31.21 (s, 1C), 31.03 (s, 1C), 19.13 (s, 1C), 13.79 (s, 1C).



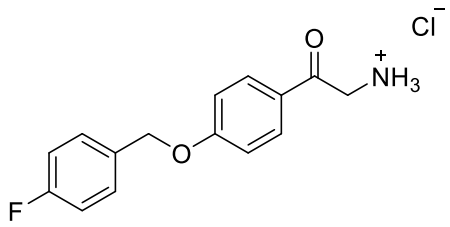
2-(4-(Benzyloxy)phenyl)-2-oxoethan-1-aminium chloride (13a). Compound **13a** was prepared according to general procedure 9 (step 1) from 1-(4-(benzyloxy)phenyl)-2-bromoethan-1-one **12a**(1.47 g, 4.81 mmol, 1.0 eq.). Compound **13a** was obtained as a yellow solid (1.34 g, 4.81 mmol, quantitative yield) and used for the next step without further purification.



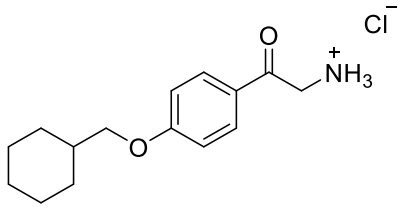
2-(4-((2-Fluorobenzyl)oxy)phenyl)-2-oxoethan-1-aminium chloride (13b). Compound **13b** was prepared according to general procedure 9 (step 1) from 2-bromo-1-(4-((2-fluorobenzyl)oxy)phenyl)ethan-1-one **12b** (1.62 g, 5.01 mmol, 1.0 eq.). Compound **13b** was obtained as a yellow solid (1.48 g, 5.01 mmol, quantitative yield) and used for the next step without further purification.



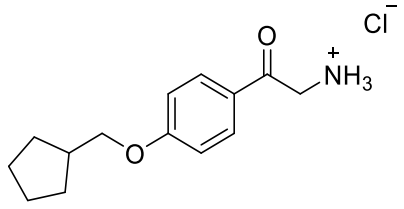
2-(4-((3-Fluorobenzyl)oxy)phenyl)-2-oxoethan-1-aminium chloride (13c). Compound **13c** was prepared according to general procedure 9 (step 1) from 2-bromo-1-(4-((3-fluorobenzyl)oxy)phenyl)ethan-1-one **12c** (1.14 g, 3.53 mmol, 1.0 eq.). Compound **13c** was obtained as a yellow solid (1.04 g, 3.53 mmol, quantitative yield) and used for the next step without further purification.



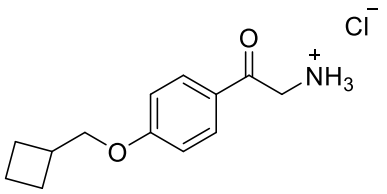
2-(4-((4-Fluorobenzyl)oxy)phenyl)-2-oxoethan-1-aminium chloride (13d). Compound **13d** was prepared according to general procedure 9 (step 1) from 2-bromo-1-(4-((4-fluorobenzyl)oxy)phenyl)ethan-1-one **12d** (2.78 g, 8.60 mmol, 1.0 eq.). Compound **13d** was obtained as a yellow solid (2.54 g, 8.60 mmol, quantitative yield) and used for the next step without further purification.



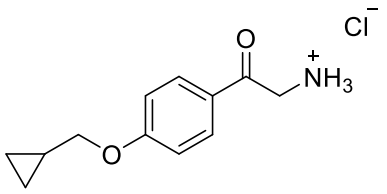
2-(4-(Cyclohexylmethoxy)phenyl)-2-oxoethan-1-aminium chloride (13e). Compound **13e** was prepared according to general procedure 9 (step 1) from 2-bromo-1-(4-(cyclohexylmethoxy)phenyl)ethan-1-one **12e** (1.70 g, 5.46 mmol, 1.0 eq.). Compound **13e** was obtained as a yellow solid (1.55 g, 5.46 mmol, quantitative yield) and used for the next step without further purification.



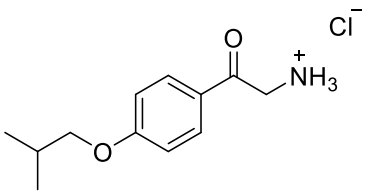
2-(4-(Cyclopentylmethoxy)phenyl)-2-oxoethan-1-aminium chloride (13f). Compound **13f** was prepared according to general procedure 9 (step 1) from 2-bromo-1-(4-(cyclopentylmethoxy)phenyl)ethan-1-one **12f** (1.74 g, 5.85 mmol, 1.0 eq.). Compound **13f** was obtained as an orange solid (1.58 g, 5.85 mmol, quantitative yield) and used for the next step without further purification.



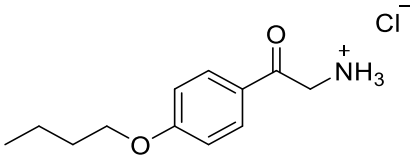
2-(4-(Cyclobutylmethoxy)phenyl)-2-oxoethan-1-aminium chloride (13g). Compound **13g** was prepared according to general procedure 9 (step 1) from 2-bromo-1-(4-(cyclobutylmethoxy)phenyl)ethan-1-one **12g** (2.23 g, 7.88 mmol, 1.0 eq.). Compound **13g** was obtained as an orange solid (2.02 g, 7.88 mmol, quantitative yield) and used for the next step without further purification.



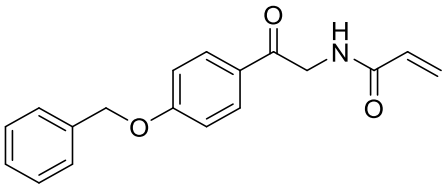
2-(4-(Cyclopropylmethoxy)phenyl)-2-oxoethan-1-aminium chloride (13h). Compound **13h** was prepared according to general procedure 9 (step 1) from 2-bromo-1-(4-(cyclopropylmethoxy)phenyl)ethan-1-one **12h** (1.14 g, 4.24 mmol, 1.0 eq.). Compound **13h** was obtained as a yellow solid (1.02 g, 4.24 mmol, quantitative yield) and used for the next step without further purification.



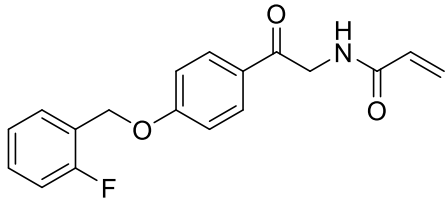
2-(4-Isobutoxyphenyl)-2-oxoethan-1-aminium chloride (13i). Compound **13i** was prepared according to general procedure 9 (step 1) from 2-bromo-1-(4-isobutoxyphenyl)ethan-1-one **12i** (625 mg, 2.31 mmol, 1.0 eq.). Compound **13i** was obtained as a yellow solid (563 mg, 2.31 mmol, quantitative yield) and used for the next step without further purification.



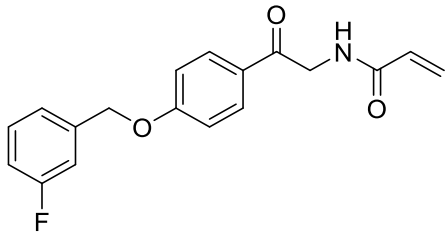
2-(4-Butoxyphenyl)-2-oxoethan-1-aminium chloride (13l). Compound **13l** was prepared according to general procedure 9 (step 1) from 2-bromo-1-(4-butoxyphenyl)ethan-1-one **12l** (455 mg, 1.68 mmol, 1.0 eq.). Compound **13l** was obtained as an orange solid (409 mg, 1.68 mmol, quantitative yield) and used for the next step without further purification.



***N*-(2-(4-(Benzyloxy)phenyl)-2-oxoethyl)acrylamide (14a).** Compound **14a** was prepared according to general procedure 9 (step 2) from compound **13a** (1.34 g, 4.81 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 5:5) afforded compound **14a** as a white solid (578 mg, 1.96 mmol, 41% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.00-7.94 (m, 2H), 7.45-7.41 (m, 2H), 7.39-7.36 (m, 2H), 7.35-7.31 (m, 1H), 7.07-7.02 (m, 2H), 6.76 (s, 1H), 6.36 (dd, *J* = 17.0, 1.9 Hz, 1H), 6.25 (dd, *J* = 17.0, 9.8 Hz, 1H), 5.70 (dd, *J* = 9.8, 1.9 Hz, 1H), 5.15 (s, 2H), 4.79 (d, *J* = 4.3 Hz, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 192.38 (s, 1C), 165.47 (s, 1C), 163.47 (s, 1C), 135.89 (s, 1C), 130.44 (s, 1C), 130.32 (s, 2C), 128.73 (s, 2C), 128.34 (s, 1C), 127.50 (s, 1C), 127.47 (s, 2C), 126.92 (s, 1C), 114.95 (s, 2C), 70.25 (s, 1C), 46.07 (s, 1C).

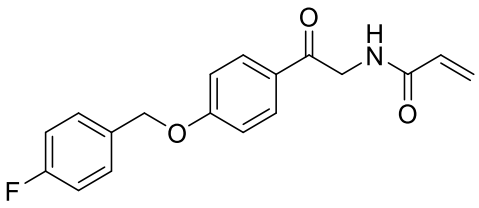


***N*-(2-(4-((2-Fluorobenzyl)oxy)phenyl)-2-oxoethyl)acrylamide (14b).** Compound **14b** was prepared according to general procedure 9 (step 2) from compound **13b** (1.48 g, 5.01 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 6:4) afforded compound **14b** as a white solid (1.02 g, 3.25 mmol, 65% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.01-7.95 (m, 2H), 7.51-7.45 (m, 1H), 7.38-7.30 (m, 1H), 7.20-7.15 (m, 1H), 7.15-7.10 (m, 1H), 7.09-7.03 (m, 2H), 6.72 (s, 1H), 6.35 (dd, *J* = 17.0, 1.9 Hz, 1H), 6.24 (dd, *J* = 17.0, 9.8 Hz, 1H), 5.71 (dd, *J* = 9.8, 1.9 Hz, 1H), 5.21 (s, 2H), 4.79 (d, *J* = 4.3 Hz, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 192.42 (s, 1C), 165.47 (s, 1C), 163.24 (s, 1C), 160.47(d, $^{1}J_{C,F}$ = 247.6 Hz, 1C), 130.43 (s, 1C), 130.34 (s, 2C), 130.12 (d, $^{3}J_{C,F}$ = 8.1 Hz, 1C), 129.70 (d, $^{3}J_{C,F}$ = 3.7 Hz, 1C), 127.68 (s, 1C), 126.92 (s, 1C), 124.39 (d, $^{4}J_{C,F}$ = 3.7 Hz, 1C), 123.10 (d, $^{2}J_{C,F}$ = 14.4 Hz, 1C), 115.51 (d, $^{2}J_{C,F}$ = 24.2 Hz, 1C), 114.89 (s, 2C), 63.94 (d, $^{4}J_{C,F}$ = 4.6 Hz, 1C), 46.08 (s, 1C).

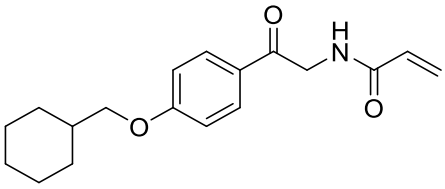


***N*-(2-(4-((3-Fluorobenzyl)oxy)phenyl)-2-oxoethyl)acrylamide (14c).** Compound **14c** was prepared according to general procedure 9 (step 2) from compound **13c** (1.04 g, 3.53 mmol, 1.0 eq.). Purification by column chromatography on silica gel (DCM/MeOH 98:2) afforded compound **14c** as a white solid (804 mg, 2.57 mmol, 73% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.01-7.96 (m, 2H), 7.41-7.33 (m, 1H), 7.22-7.18 (m, 1H), 7.17-7.12 (m, 1H), 7.08-7.05 (m, 1H), 7.04-7.01 (m, 2H), 6.72 (s, 1H), 6.36 (dd, *J* = 17.0, 1.8 Hz, 1H), 6.24 (dd, *J* = 17.0, 9.9 Hz, 1H), 5.72 (dd, *J* = 9.9, 1.8 Hz, 1H), 5.15 (s, 2H), 4.79 (d, *J* = 4.2 Hz, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 192.46 (s, 1C), 165.53 (s, 1C), 163.10 (s, 1C), 162.98 (d, $^{1}J_{C,F}$ = 246.7 Hz, 1C), 138.48 (d, $^{3}J_{C,F}$ = 7.4 Hz, 1C), 130.42 (s, 1C), 130.35 (s, 2C), 130.26

(s, 1C), 127.74 (s, 1C), 126.94 (s, 1C), 122.72 (d, $^{4}J_{C,F}$ = 2.9 Hz, 1C), 115.18 (d, $^{2}J_{C,F}$ = 21.1 Hz, 1C), 114.94 (s, 2C), 114.22 (d, $^{2}J_{C,F}$ = 22.2 Hz, 1C), 69.32 (s, 1C), 46.08 (s, 1C).

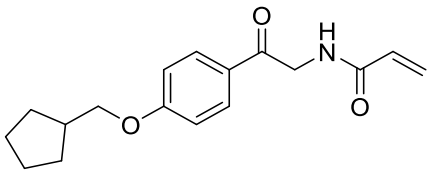


***N*-(2-(4-((4-Fluorobenzyl)oxy)phenyl)-2-oxoethyl)acrylamide (14d).** Compound **14d** was prepared according to general procedure 9 (step 2) from compound **13d** (2.54 g, 8.60 mmol, 1.0 eq.). Purification by column chromatography on silica gel (DCM/MeOH 98:2) afforded compound **14d**as a white solid (1.14 g, 3.63 mmol, 42% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.01-7.95 (m, 2H), 7.44-7.36 (m, 2H), 7.13-7.06 (m, 2H), 7.06-7.01 (m, 2H), 6.72 (s, 1H), 6.34 (dd, *J* = 17.0, 1.9 Hz, 1H), 6.29 (dd, *J* = 17.0, 9.8 Hz, 1H), 5.71 (dd, *J* = 9.8, 1.9 Hz, 1H), 5.11 (s, 2H), 4.79 (d, *J* = 4.2 Hz, 2H). **^{13}C NMR** (75 MHz, DMSO-d_6): δ 193.90 (s, 1C), 165.39 (s, 1C), 162.80 (s, 1C), 162.33 (d, $^{1}J_{C,F}$ = 243.9 Hz, 1C), 133.11 (d, $^{4}J_{C,F}$ = 2.9 Hz, 1C), 131.90 (s, 1C), 130.60 (s, 2C), 130.49 (s, 2C), 128.54 (s, 1C), 125.98 (s, 1C), 115.77 (d, $^{2}J_{C,F}$ = 21.5 Hz, 2C), 115.26 (s, 2C), 69.25 (s, 1C), 46.00 (s, 1C).

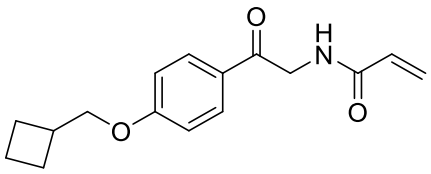


***N*-(2-(4-(2-Cyclohexylethyl)phenyl)-2-oxoethyl)acrylamide (14e).** Compound **14e** was prepared according to general procedure 9 (step 2) from compound **13e** (1.55 g, 5.46 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 7:3) afforded compound **14e** as a white solid (730 mg, 2.42 mmol, 44% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.98-7.92 (m, 2H), 6.97-6.91 (m, 2H), 6.77 (s, 1H), 6.35 (dd, *J* = 17.0, 2.0 Hz, 1H), 6.24 (dd, *J* = 17.0, 9.7 Hz, 1H), 5.70 (dd, *J* = 9.7, 2.0 Hz, 1H), 4.78 (d, *J* = 4.2 Hz, 2H), 3.82 (d, *J* = 6.1 Hz, 2H), 1.91-1.68 (m, 6H), 1.38-1.00 (m, 5H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 192.36 (s, 1C), 165.46 (s, 1C), 164.20 (s, 1C), 130.48 (s, 1C), 130.48

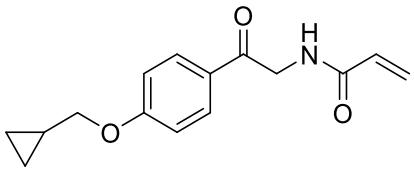
(s, 2C), 126.85 (s, 1C), 114.59 (s, 2C), 114.38 (s, 1C), 73.78 (s, 1C), 46.02 (s, 1C), 37.55 (s, 1C), 29.77 (s, 2C), 26.41 (s, 1C), 25.72 (s, 2C).



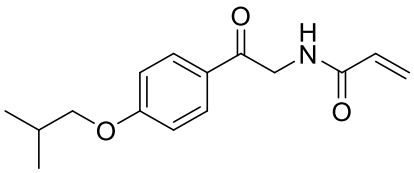
***N*-(2-(4-(Cyclopentylmethoxy)phenyl)-2-oxoethyl)acrylamide (14f).** Compound **14f** was prepared according to general procedure 9 (step 2) from compound **13f** (1.58 g, 5.85 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 7:3) afforded compound **14f** as a white solid (485 mg, 1.69 mmol, 29% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.98-7.92 (m, 2H), 6.99-6.92 (m, 2H), 6.74 (s, 1H), 6.35 (dd, *J* = 17.0, 1.9 Hz, 1H), 6.24 (dd, *J* = 17.0, 9.8 Hz, 1H), 5.71 (dd, *J* = 9.8, 1.9 Hz, 1H), 4.78 (d, *J* = 4.2 Hz, 2H), 3.91 (d, *J* = 7.0 Hz, 2H), 2.46 – 2.30 (m, 1H), 1.92-1.80 (m, 2H), 1.70-1.54 (m, 2H), 1.42-1.23 (m, 4H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 192.42 (s, 1C), 165.48 (s, 1C), 164.10 (s, 1C), 130.50 (s, 1C), 130.25 (s, 2C), 127.06 (s, 1C), 126.77 (s, 1C), 114.57 (s, 2C), 72.50 (s, 1C), 46.02 (s, 1C), 38.88 (s, 1C), 29.39 (s, 2C), 25.37 (s, 2C).



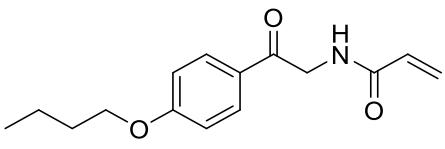
***N*-(2-(4-(Cyclobutylmethoxy)phenyl)-2-oxoethyl)acrylamide (14g).** Compound **14g** was prepared according to general procedure 9 (step 2) from compound **13g** (2.02 g, 7.88 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 7:3) afforded compound **14g** as a white solid (1.14 g, 4.17 mmol, 53% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.04-7.91 (m, 2H), 7.04-6.91 (m, 2H), 6.75 (s, 1H), 6.35 (dd, *J* = 17.0, 1.9 Hz, 1H), 6.24 (dd, *J* = 17.0, 9.8 Hz, 1H), 5.71 (dd, *J* = 9.8, 1.9 Hz, 1H), 4.79 (d, *J* = 4.2 Hz, 2H), 4.00 (d, *J* = 6.6 Hz, 2H), 2.85-2.72 (m, 1H), 2.23-2.07 (m, 4H), 2.06-1.80 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 192.46 (s, 1C), 165.51 (s, 1C), 164.06 (s, 1C), 130.49 (s, 1C), 130.25 (s, 2C), 127.09 (s, 1C), 126.81 (s, 1C), 114.56 (s, 2C), 72.25 (s, 1C), 46.03 (s, 1C), 34.33 (s, 1C), 24.75 (s, 2C), 18.52 (s, 1C).



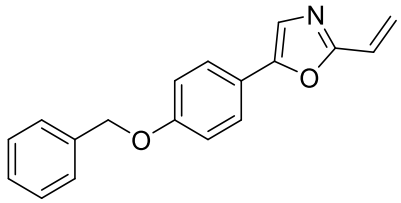
***N*-(2-(4-(Cyclopropylmethoxy)phenyl)-2-oxoethyl)acrylamide (14h).** Compound **14h** was prepared according to general procedure 9 (step 2) from compound **13h** (1.14 g, 4.24 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 7:3) afforded compound **14h** as a white solid (400 mg, 1.54 mmol, 36% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.99-7.93 (m, 2H), 6.99-6.93 (m, 2H), 6.73 (s, 1H), 6.36 (dd, *J* = 17.0, 1.9 Hz, 1H), 6.24 (dd, *J* = 17.0, 9.8 Hz, 1H), 5.71 (dd, *J* = 9.8, 1.9 Hz, 1H), 4.79 (d, *J* = 4.2 Hz, 2H), 3.89 (d, *J* = 7.0 Hz, 2H), 1.33-1.23 (m, 1H), 0.72-0.64 (m, 2H), 0.41-0.34 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 192.43 (s, 1C), 165.49 (s, 1C), 163.78 (s, 1C), 139.29 (s, 1C), 130.26 (s, 2C), 126.79 (s, 2C), 114.59 (s, 1C), 111.85 (s, 1C), 73.03 (s, 1C), 46.01 (s, 1C), 10.00 (s, 1C), 3.24 (s, 2C).



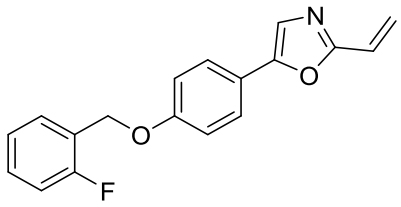
***N*-(2-(4-Isobutoxyphenyl)-2-oxoethyl)acrylamide (14i).** Compound **14i** was prepared according to general procedure 9 (step 2) from compound **13i** (563 mg, 2.31 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 6:4) afforded compound **14i** as a white solid (225 mg, 0.86 mmol, 37% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.98-7.94 (m, 2H), 6.98-6.94 (m, 2H), 6.74 (s, 1H), 6.36 (dd, *J* = 17.0, 1.8 Hz, 1H), 6.24 (dd, *J* = 17.0, 9.8 Hz, 1H), 5.71 (dd, *J* = 9.8, 1.8 Hz, 1H), 4.79 (d, *J* = 4.2 Hz, 2H), 3.80 (d, *J* = 6.5 Hz, 2H), 2.17-2.07 (m, 1H), 1.04 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 192.52 (s, 1C), 165.55 (s, 1C), 163.95 (s, 1C), 130.52 (s, 1C), 130.24 (s, 2C), 127.10 (s, 1C), 126.73 (s, 1C), 114.48 (s, 2C), 74.57 (s, 1C), 46.02 (s, 1C), 28.11 (s, 1C), 19.10 (s, 2C).



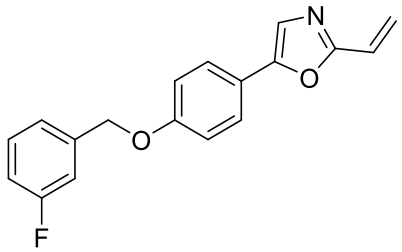
***N*-(2-(4-Butoxyphenyl)-2-oxoethyl)acrylamide (14l).** Compound **14l** was prepared according to general procedure 9 (step 2) from compound **13l** (409 mg, 1.68 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 6:4) afforded compound **14l** as a white solid (171 mg, 0.65 mmol, 39% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 8.01-7.91 (m, 2H), 7.00-6.91 (m, 2H), 6.74 (s, 1H), 6.35 (dd, *J* = 17.0, 1.9 Hz, 1H), 6.24 (dd, *J* = 17.0, 9.8 Hz, 1H), 5.71 (dd, *J* = 9.8, 1.9 Hz, 1H), 4.79 (d, *J* = 4.2 Hz, 2H), 4.04 (t, *J* = 6.5 Hz, 2H), 1.86-1.73 (m, 2H), 1.56-1.43 (m, 2H), 0.99 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 192.47 (s, 1C), 165.52 (s, 1C), 163.93 (s, 1C), 130.50 (s, 1C), 130.26 (s, 2C), 127.09 (s, 1C), 126.77 (s, 1C), 114.50 (s, 2C), 68.04 (s, 1C), 46.02 (s, 1C), 31.02 (s, 1C), 19.12 (s, 1C), 13.75 (s, 1C).



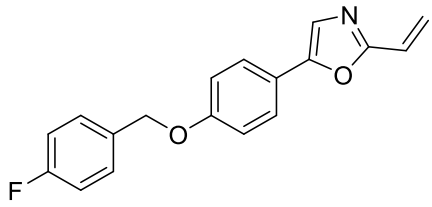
5-(4-(Benzyloxy)phenyl)-2-vinyloxazole (15a). Compound **15a** was prepared according to general procedure 10 (step 1) from *N*-(2-(4-(benzyloxy)phenyl)-2-oxoethyl)acrylamide **14a** (578 mg, 1.96 mmol, 1.0 eq.). Compound **15a** was obtained as a brown oil (543 mg, 1.96 mmol, quantitative yield) and used for the next step without further purification.



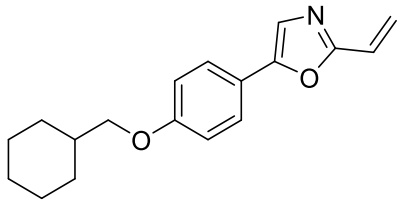
5-(4-((2-Fluorobenzyl)oxy)phenyl)-2-vinyloxazole (15b). Compound **15b** was prepared according to general procedure 10 (step 1) from *N*-(2-(4-((2-fluorobenzyl)oxy)phenyl)-2-oxoethyl)acrylamide **14b** (1.02 g, 3.25 mmol, 1.0 eq.). Compound **15b** was obtained as a brown oil (959 mg, 3.25 mmol, quantitative yield) and used for the next step without further purification.



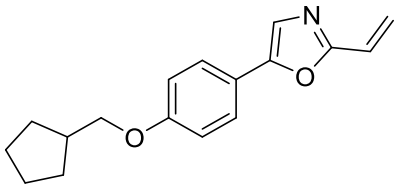
5-(4-((3-Fluorobenzyl)oxy)phenyl)-2-vinyloxazole (15c). Compound **15c** was prepared according to general procedure 10 (step 1) from *N*-(2-(4-((3-fluorobenzyl)oxy)phenyl)-2-oxoethyl)acrylamide **14c** (624 mg, 1.99 mmol, 1.0 eq.). Compound **15c** was obtained as a brown oil (587 mg, 1.99 mmol, quantitative yield) and used for the next step without further purification.



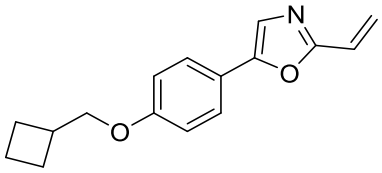
5-(4-((4-Fluorobenzyl)oxy)phenyl)-2-vinyloxazole (15d). Compound **15d** was prepared according to general procedure 10 (step 1) from *N*-(2-(4-((4-fluorobenzyl)oxy)phenyl)-2-oxoethyl)acrylamide **14d** (700 mg, 2.23 mmol, 1.0 eq.). Compound **15d** was obtained as a brown oil (658 mg, 2.23 mmol, quantitative yield) and used for the next step without further purification.



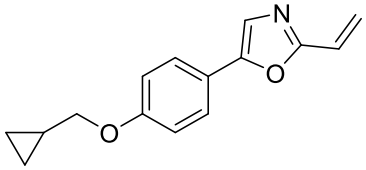
5-(4-(Cyclohexylmethoxy)phenyl)-2-vinyloxazole (15e). Compound **15e** was prepared according to general procedure 10 (step 1) from *N*-(2-(4-(2-cyclohexylethyl)phenyl)-2-oxoethyl)acrylamide **14e** (400 mg, 1.32 mmol, 1.0 eq.). Compound **15e** was obtained as a brown oil (374 mg, 1.32 mmol, quantitative yield) and used for the next step without further purification.



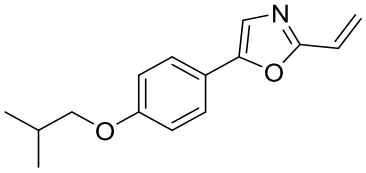
5-(4-(Cyclopentylmethoxy)phenyl)-2-vinyloxazole (15f). Compound **15f** was prepared according to general procedure 10 (step 1) from *N*-(2-(4-(cyclopentylmethoxy)phenyl)-2-oxoethyl)acrylamide **14f** (275 mg, 0.96 mmol, 1.0 eq.). Compound **15f** was obtained as a brown oil (258 mg, 0.96 mmol, quantitative yield) and used for the next step without further purification.



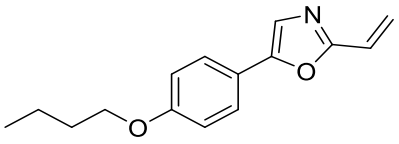
5-(4-(Cyclobutylmethoxy)phenyl)-2-vinyloxazole (15g). Compound **15g** was prepared according to general procedure 10 (step 1) from *N*-(2-(4-(cyclobutylmethoxy)phenyl)-2-oxoethyl)acrylamide **14g** (1.00 g, 3.66 mmol, 1.0 eq.). Compound **15g** was obtained as a brown oil (933 mg, 3.66 mmol, quantitative yield) and used for the next step without further purification.



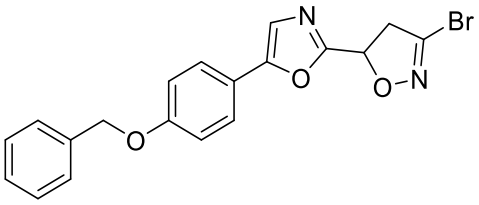
5-(4-(Cyclopropylmethoxy)phenyl)-2-vinyloxazole (15h). Compound **15h** was prepared according to general procedure 10 (step 1) from *N*-(2-(4-(cyclopropylmethoxy)phenyl)-2-oxoethyl)acrylamide **14h** (400 mg, 1.32 mmol, 1.0 eq.). Compound **15h** was obtained as a brown oil (318 mg, 1.32 mmol, quantitative yield) and used for the next step without further purification.



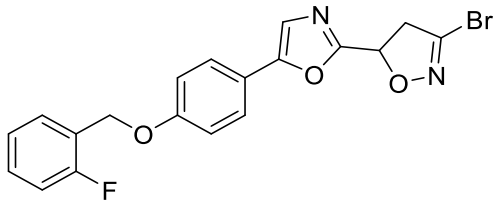
5-(4-Isobutoxyphenyl)-2-vinyloxazole (15i). Compound **15i** was prepared according to general procedure 10 (step 1) from *N*-(2-(4-isobutoxyphenyl)-2-oxoethyl)acrylamide **14i** (184 mg, 0.70 mmol, 1.0 eq.). Compound **15i** was obtained as a brown oil (170 mg, 0.70 mmol, quantitative yield) and used for the next step without further purification.



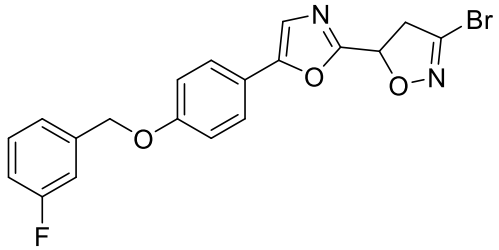
5-(4-Butoxyphenyl)-2-vinyloxazole (15l). Compound **15l** was prepared according to general procedure 10 (step 1) from *N*-(2-(4-butoxyphenyl)-2-oxoethyl)acrylamide **14l** (171 mg, 0.65 mmol, 1.0 eq.). Compound **15l** was obtained as a brown oil (158 mg, 0.65 mmol, quantitative yield) and used for the next step without further purification.



5-(5-(4-(Benzyloxy)phenyl)oxazol-2-yl)-3-bromo-4,5-dihydroisoxazole (3a). Compound **3a** was prepared according to general procedure 10 (step 2) from compound **15a** (543 mg, 1.96 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 6:4) afforded compound **3a** as a yellow solid (188 mg, 0.47 mmol, 24% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.61-7.55 (m, 2H), 7.47-7.42 (m, 2H), 7.42-7.37 (m, 2H), 7.37-7.33 (m, 1H), 7.20 (s, 1H), 7.06-7.00 (m, 2H), 5.74 (dd, *J* = 11.1, 7.6 Hz, 1H), 5.11 (s, 2H), 3.91 (dd, *J* = 17.3, 7.6 Hz, 1H), 3.59 (dd, *J* = 17.3, 11.1 Hz, 1H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 159.40 (s, 1C), 158.38 (s, 1C), 153.29 (s, 1C), 136.84 (s, 1C), 136.49 (s, 1C), 128.68 (s, 2C), 128.15 (s, 1C), 127.52 (s, 2C), 126.14 (s, 2C), 120.70 (s, 1C), 120.31 (s, 1C), 115.39 (s, 2C), 75.06 (s, 1C), 70.11 (s, 1C), 45.01 (s, 1C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{19}H_{15}{}^{79}BrN_2NaO_3$ 421.0164; found, 421.0161; $[M + Na]^+$ calcd for $C_{19}H_{15}{}^{81}BrN_2NaO_3$ 423.0143; found, 423.0143. **HPLC** (Method C): t_R = 6.61 min (99.1% purity).

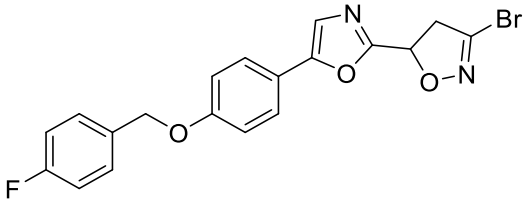


3-Bromo-5-(5-(4-((2-fluorobenzyl)oxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (3b). Compound **3b** was prepared according to general procedure 10 (step 2) from compound **15b** (959 mg, 3.25 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 8:2) afforded compound **3b** as a yellow solid (367 mg, 0.88 mmol, 27% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.61-7.57 (m, 2H), 7.54-7.47 (m, 1H), 7.37-7.28 (m, 1H), 7.20 (s, 1H), 7.18-7.14 (m, 1H), 7.13-7.07 (m, 1H), 7.06-7.02 (m, 2H), 5.74 (dd, *J* = 11.1, 7.6 Hz, 1H), 5.17 (s, 2H), 3.90 (dd, *J* = 17.3, 7.6 Hz, 1H), 3.60 (dd, *J* = 17.3, 11.1 Hz, 1H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 160.46 (d, $^1J_{C,F}$ = 247.0 Hz, 1C), 159.14 (s, 1C), 158.14 (s, 1C), 153.23 (s, 1C), 136.87 (s, 1C), 129.93 (d, $^3J_{C,F}$ = 8.3 Hz, 1C), 129.71 (d, $^3J_{C,F}$ = 4.0 Hz, 1C), 126.15 (s, 2C), 124.33 (d, $^4J_{C,F}$ = 3.5 Hz, 1C), 123.67 (d, $^2J_{C,F}$ = 14.1 Hz, 1C), 120.78 (s, 1C), 120.51 (s, 1C), 115.57 (s, 1C), 115.30 (s, 2C), 75.05 (s, 1C), 63.79 (d, $^4J_{C,F}$ = 4.6 Hz, 1C), 45.01 (s, 1C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{19}H_{14}{}^{79}BrFN_2NaO_3$ 439.0070; found, 439.0062; $[M + Na]^+$ calcd for $C_{19}H_{14}{}^{81}BrFN_2NaO_3$ 441.0049; found, 441.0043. **HPLC** (Method C): t_R = 6.59 min (96.5% purity).

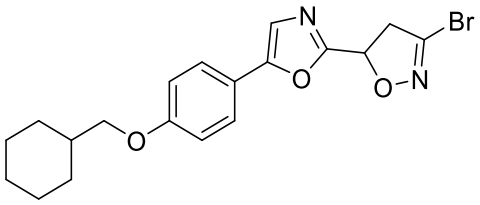


3-Bromo-5-(5-(4-((3-fluorobenzyl)oxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (3c). Compound **3c** was prepared according to general procedure 10 (step 2) from compound **15c** (587 mg, 1.99 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9:1), followed by preparative TLC (cyclohexane/EtOAc 9:1), afforded compound **3c** as a yellow solid (192 mg, 0.46 mmol, 23% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.61-7.57 (m, 2H), 7.41-7.37 (m, 1H), 7.36-7.32 (m, 1H), 7.27-7.24 (m, 1H), 7.21-7.14 (m, 1H), 7.08-7.04 (m, 1H), 7.04-6.99 (m, 2H), 5.75 (dd, *J* = 11.1,

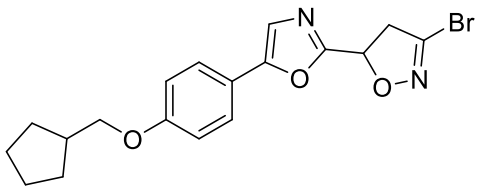
7.6 Hz, 1H), 5.11 (s, 2H), 3.91 (dd, J = 17.3, 7.6 Hz, 1H), 3.60 (dd, J = 17.3, 11.1 Hz, 1H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 163.02 (d, $^1J_{C,F}$ = 246.0 Hz, 1C), 159.09 (s, 1C), 158.45 (s, 1C), 153.21 (s, 1C), 139.12 (d, $^3J_{C,F}$ = 7.3 Hz, 1C), 136.80 (s, 1C), 130.22 (d, $^3J_{C,F}$ = 8.3 Hz, 1C), 126.18 (s, 2C), 122.70 (d, $^4J_{C,F}$ = 3.0 Hz, 1C), 120.83 (s, 1C), 120.59 (s, 1C), 115.36 (s, 2C), 115.00 (d, $^2J_{C,F}$ = 21.4 Hz, 1C), 114.23 (d, $^2J_{C,F}$ = 22.3 Hz, 1C), 75.06 (s, 1C), 69.27 (s, 1C), 45.03 (s, 1C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{19}H_{14}{}^{79}BrFN_2NaO_3$ 439.0070; found, 439.0069; $[M + Na]^+$ calcd for $C_{19}H_{14}{}^{81}BrFN_2NaO_3$ 441.0049; found, 441.0050. **HPLC** (Method C): t_R = 6.68 min (98.9% purity).



3-Bromo-5-(5-(4-((4-fluorobenzyl)oxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (3d). Compound **3d** was prepared according to general procedure 10 (step 2) from compound **15d** (658 mg, 2.23 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9:1), followed by preparative TLC (cyclohexane/EtOAc 9:1), afforded compound **15d** as a yellow solid (217 mg, 0.52 mmol, 23% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.61-7.56 (m, 2H), 7.45-7.38 (m, 2H), 7.20 (s, 1H), 7.12-7.05 (m, 2H), 7.04-6.98 (m, 2H), 5.75 (dd, J = 11.1, 7.6 Hz, 1H), 5.06 (s, 2H), 3.92 (dd, J = 17.3, 7.6 Hz, 1H), 3.60 (dd, J = 17.6, 11.1 Hz, 1H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 162.58 (d, $^1J_{C,F}$ = 246.4 Hz, 1C), 159.20 (s, 1C), 158.43 (s, 1C), 153.21 (s, 1C), 136.88 (s, 1C), 132.25 (d, $^4J_{C,F}$ = 3.2 Hz, 1C), 129.38 (d, $^3J_{C,F}$ = 8.2 Hz, 2C), 126.14 (s, 2C), 120.78 (s, 1C), 120.45 (s, 1C), 115.60 (s, $^2J_{C,F}$ = 21.6 Hz, 2C), 115.32 (s, 2C), 75.05 (s, 1C), 69.42 (s, 1C), 45.01 (s, 1C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{19}H_{14}{}^{79}BrFN_2NaO_3$ 439.0070; found, 439.0072; $[M + Na]^+$ calcd for $C_{19}H_{14}{}^{81}BrFN_2NaO_3$ 441.0049; found, 441.0054. **HPLC** (Method C): t_R = 6.64 min (97.9% purity).

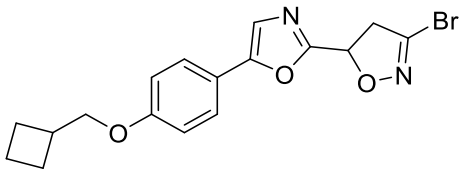


3-Bromo-5-(5-(4-(cyclohexylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (3e). Compound **3e** was prepared according to general procedure 10 (step 2) from compound **15e** (374 mg, 1.32 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9.8:0.2) afforded compound **3e** as a brown solid (170 mg, 0.42 mmol, 32% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.58-7.53 (m, 2H), 7.18 (s, 1H), 6.95-6.91 (m, 2H), 5.74 (dd, *J* = 11.1, 7.6 Hz, 1H), 3.92 (dd, *J* = 17.2, 7.6 Hz, 1H), 3.78 (d, *J* = 6.2 Hz, 2H), 3.59 (dd, *J* = 17.2, 11.1 Hz, 1H), 1.92-1.83 (m, 1H), 1.82-1.78 (m, 2H), 1.76-1.67 (m, 2H), 1.40-1.31 (m, 1H), 1.29-1.24 (m, 1H), 1.23-1.16 (m, 2H), 1.12-1.00 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 160.04 (s, 1C), 158.25 (s, 1C), 153.46 (s, 1C), 136.81 (s, 1C), 126.05 (s, 2C), 120.49 (s, 1C), 119.74 (s, 1C), 114.95 (s, 2C), 75.08 (s, 1C), 73.60 (s, 1C), 45.01 (s, 1C), 37.66 (s, 1C), 29.86 (s, 2C), 26.48 (s, 1C), 25.81 (s, 2C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{19}H_{21}{}^{79}BrN_2NaO_3$ 427.0633; found, 427.0632; $[M + Na]^+$ calcd for $C_{19}H_{21}{}^{81}BrN_2NaO_3$ 429.0613; found, 429.0614. **HPLC** (Method B): t_R = 8.23 min (95.1% purity).

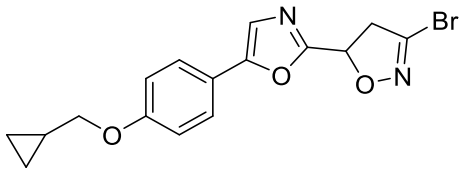


3-Bromo-5-(5-(4-(cyclopentylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (3f). Compound **3f** was prepared according to general procedure 10 (step 2) from compound **15f** (258 mg, 0.96 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9:1), followed by preparative TLC (cyclohexane/EtOAc 9:1), afforded compound **3f** as a yellow solid (133 mg, 0.34 mmol, 35% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.59-7.53 (m, 2H), 7.19 (s, 1H), 6.97-6.92 (m, 2H), 5.75 (dd, *J* = 11.1, 7.6 Hz, 1H), 3.93 (dd, *J* = 17.3, 7.6 Hz, 1H), 3.87 (d, 2H), 3.60 (dd, *J* = 17.3, 11.1 Hz, 1H), 2.43-2.31 (m, 1H), 1.91-1.79 (m, 2H), 1.69-1.54 (m, 2H), 1.44-1.37 (m, 2H), 1.36-1.30 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 160.02 (s, 1C), 158.28 (s, 1C), 153.45 (s, 1C), 136.79 (s, 1C), 126.04 (s, 2C), 120.52 (s, 1C), 119.82 (s, 1C), 115.01 (s, 2C), 75.08 (s, 1C), 72.37 (s, 1C), 45.01 (s, 1C), 39.05 (s, 1C), 29.46 (s, 2C), 25.41 (s, 2C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{18}H_{19}{}^{79}BrN_2NaO_3$

413.0477; found, 413.0471; $[M + Na]^+$ calcd for $C_{18}H_{19}{}^{81}BrN_2NaO_3$ 415.0456; found, 415.0450. **HPLC** (Method C): t_R = 8.12 min (99.98% purity).

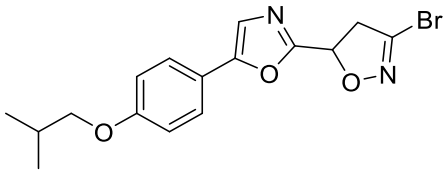


3-Bromo-5-(5-(4-(cyclobutylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (3g). Compound **3g** was prepared according to general procedure 10 (step 2) from compound **15g** (933 mg, 3.66 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9:1), followed by preparative TLC (cyclohexane/EtOAc 9:1), afforded compound **3g** as a yellow solid (456 mg, 1.21 mmol, 33% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.59-7.54 (m, 2H), 7.19 (s, 1H), 6.97-6.92 (m, 2H), 5.75 (dd, *J* = 11.1, 7.7 Hz, 1H), 3.96 (d, *J* = 6.6 Hz, 2H), 3.90 (dd, *J* = 17.3, 7.7 Hz, 1H), 3.60 (dd, *J* = 17.3, 11.1 Hz, 1H), 2.84-2.73 (m, 1H), 2.20-2.09 (m, 2H), 2.04-1.83 (m, 4H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 159.98 (s, 1C), 158.27 (s, 1C), 153.42 (s, 1C), 136.85 (s, 1C), 126.04 (s, 2C), 120.52 (s, 1C), 119.83 (s, 1C), 115.00 (s, 2C), 75.08 (s, 1C), 72.17 (s, 1C), 45.00 (s, 1C), 34.54 (s, 1C), 24.85 (s, 2C), 18.58 (s, 1C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{17}H_{17}{}^{79}BrN_2NaO_3$ 399.0320; found, 399.0320; $[M + Na]^+$ calcd for $C_{18}H_{14}{}^{81}BrFN_3NaO_3$ 401.0300; found, 401.0301. **HPLC** (Method C): t_R = 7.30 min (97.5% purity).

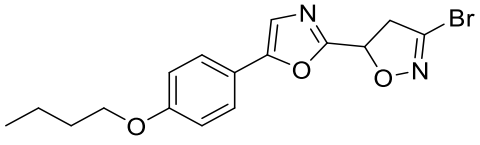


3-Bromo-5-(5-(4-(cyclopropylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (3h). Compound **3h** was prepared according to general procedure 10 (step 2) from compound **15h** (318 mg, 1.32 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9.8:0.2) afforded compound **3h** as a brown solid (145 mg, 0.40 mmol, 30% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.60-7.53 (m, 2H), 7.19 (s, 1H), 6.98-6.92 (m, 2H), 5.75 (dd, *J* = 11.1, 7.6 Hz, 1H), 3.91 (dd, *J* = 17.3, 7.6 Hz, 1H), 3.84 (d, *J* = 7.0 Hz, 2H), 3.60 (dd, *J* = 17.3, 11.1 Hz, 1H), 1.37-1.19 (m, 1H), 0.71-0.62 (m,

2H), 0.41-0.33 (m, 2H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 159.69 (s, 1C), 158.30 (s, 1C), 153.38 (s, 1C), 136.84 (s, 1C), 126.12 (s, 2C), 120.57 (s, 1C), 119.94 (s, 1C), 115.02 (s, 2C), 75.07 (s, 1C), 73.22 (s, 1C), 45.01 (s, 1C), 10.17 (s, 1C), 3.21 (s, 2C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{16}H_{15}{}^{79}BrN_2NaO_3$ 385.0164; found, 385.0158; $[M + Na]^+$ calcd for $C_{16}H_{15}{}^{81}BrN_2NaO_3$ 387.0143; found, 387.0138. **HPLC** (Method C): t_R = 5.66 min (98.1% purity).



3-Bromo-5-(5-(4-isobutoxyphenyl)oxazol-2-yl)-4,5-dihydroisoxazole (3i). Compound **3i** was prepared according to general procedure 10 (step 2) from compound **15i** (170 mg, 0.70 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 9:1), followed by preparative TLC (cyclohexane/EtOAc 9:1), afforded compound **3i** as a yellow solid (69 mg, 0.19 mmol, 27% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.59-7.55 (m, 2H), 7.19 (s, 1H), 6.97-6.92 (m, 2H), 5.75 (dd, *J* = 11.1, 7.6 Hz, 1H), 3.92 (dd, *J* = 17.2, 7.6 Hz, 1H), 3.76 (d, *J* = 6.5 Hz, 2H), 3.60 (dd, *J* = 17.2, 11.1 Hz, 1H), 2.15-2.03 (m, 1H), 1.04 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (75 MHz, $CDCl_3$): δ 159.96 (s, 1C), 158.25(s, 1C), 153.45 (s, 1C), 136.84 (s, 1C), 126.08 (s, 2C), 120.51 (s, 1C), 119.79 (s, 1C), 114.96, (s, 2C), 75.08, (s, 1C), 74.51 (s, 1C), 45.01 (s, 1C), 28.25 (s, 1C), 19.22 (s, 2C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{16}H_{17}{}^{79}BrN_2NaO_3$ 387.0330; found, 387.0332; $[M + Na]^+$ calcd for $C_{16}H_{17}{}^{81}BrN_2NaO_3$ 389.0300; found, 389.0304. **HPLC** (Method C): t_R = 7.11 min (98.1% purity).

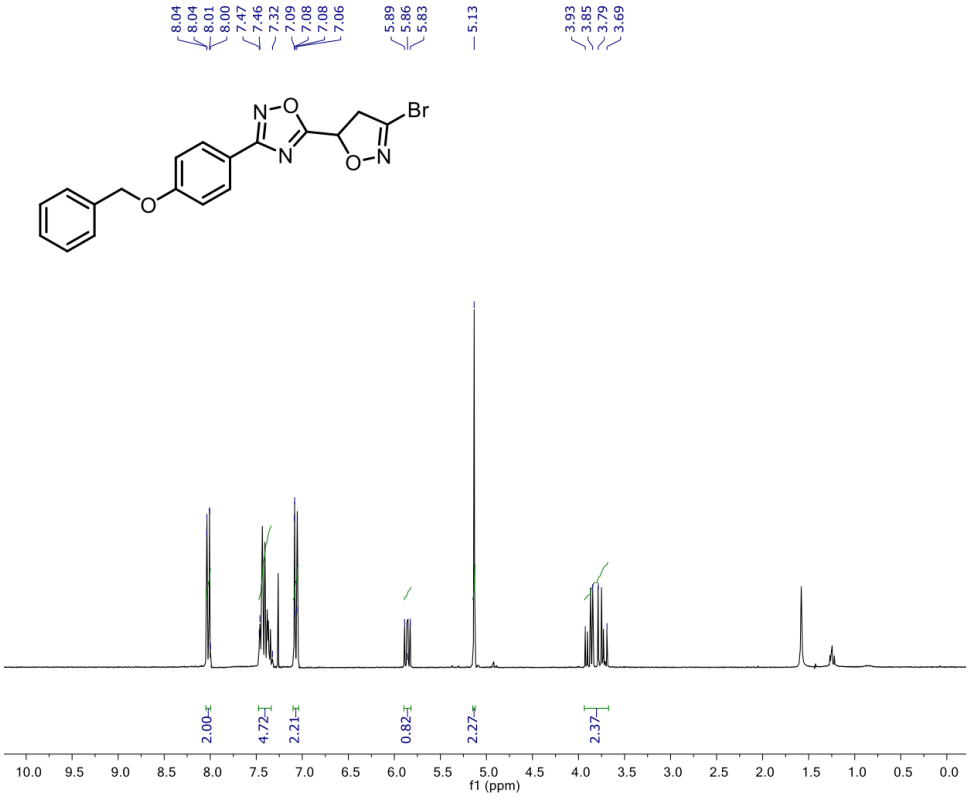


3-Bromo-5-(5-(4-butoxyphenyl)oxazol-2-yl)-4,5-dihydroisoxazole (3l). Compound **3l** was prepared according to general procedure 10 (step 2) from compound **15l** (158 mg, 0.65 mmol, 1.0 eq.). Purification by column chromatography on silica gel (cyclohexane/EtOAc 85:15), followed by preparative TLC (cyclohexane/EtOAc 9:1), afforded compound as a yellow solid (66 mg, 0.18 mmol,

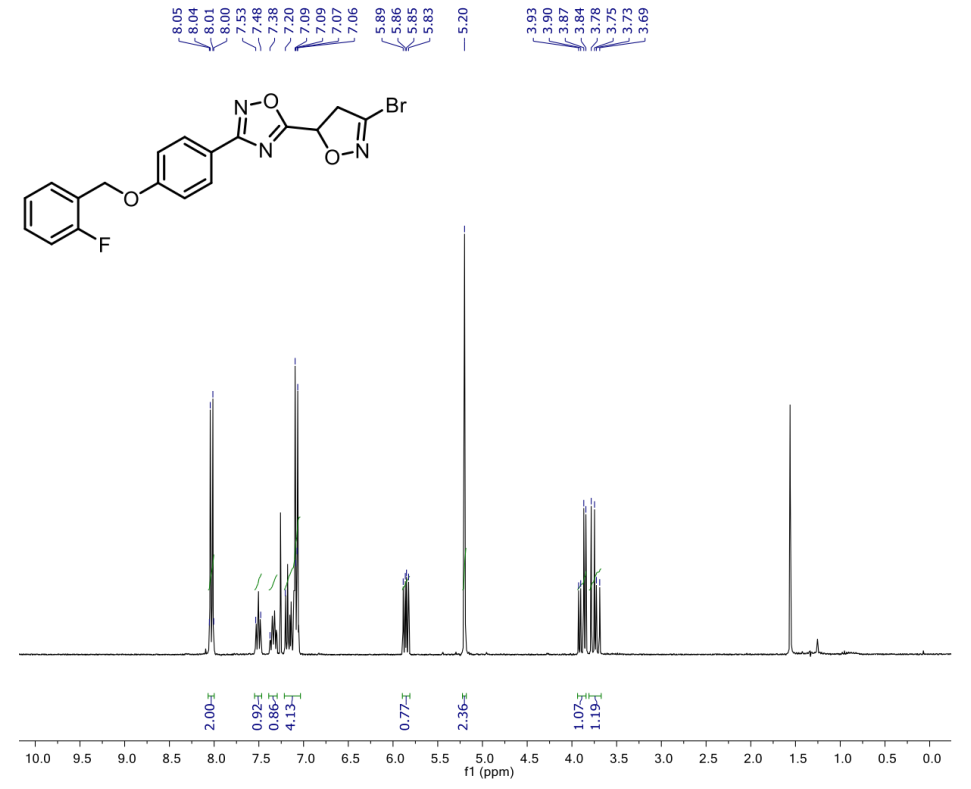
28% yield). **^{1}H NMR** (300 MHz, $CDCl_3$): δ 7.59-7.54 (m, 2H), 7.19 (s, 1H), 6.97-6.91 (m, 2H), 5.75 (dd, *J* = 11.1, 7.7 Hz, 1H), 4.00 (t, *J* = 6.5 Hz, 2H), 3.91 (dd, *J* = 17.2, 7.7 Hz, 1H), 3.60 (dd, *J* = 17.3, 11.1 Hz, 1H), 1.84-1.73 (m, 2H), 1.54-1.44 (m, 2H), 0.99 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (75 MHz, MeOD): δ 159.99 (s, 1C), 159.19 (s, 1C), 153.37 (s, 1C), 137.29 (s, 1C), 125.73 (s, 2C), 119.97 (s, 1C), 119.65 (s, 1C), 114.66 (s, 2C), 74.92 (s, 1C), 67.46 (s, 1C), 44.38 (s, 1C), 31.03 (s, 1C), 18.86 (s, 1C), 12.75 (s, 1C). **HRMS** (*m/z*): $[M + Na]^+$ calcd for $C_{16}H_{17}{}^{79}BrN_2NaO_3$ 387.0320; found, 387.0320; $[M + Na]^+$ calcd for $C_{16}H_{17}{}^{81}BrN_2NaO_3$ 389.0300; found, 389.0302. **HPLC** (Method C): t_R = 7.10 min (97.2% purity).

[1]H NMR Spectra

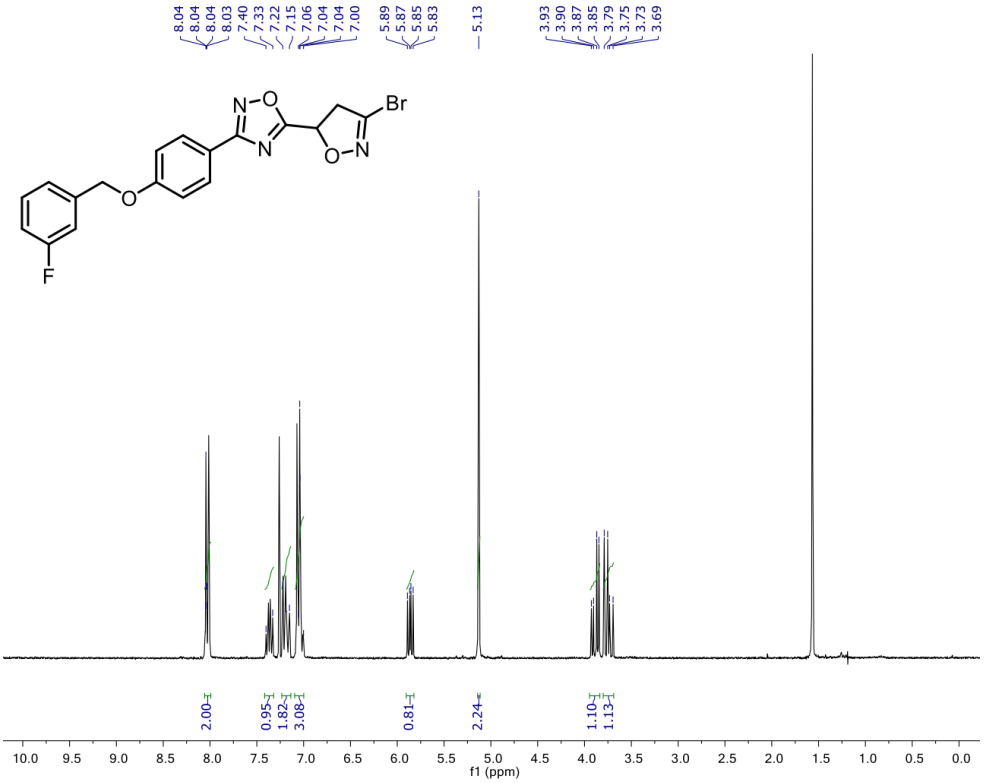
3-(4-(Benzyloxy)phenyl)-5-(3-bromo-4,5-dihydroisoxazol-5-yl)-1,2,4-oxadiazole (**2a**):



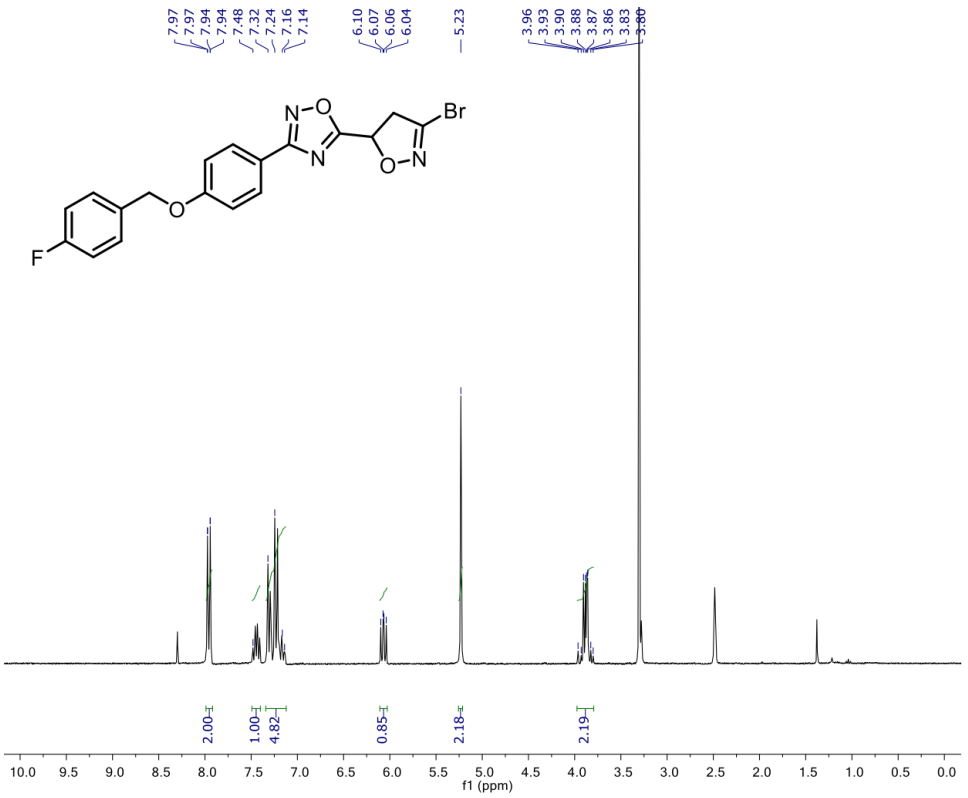
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((2-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (**2b**):



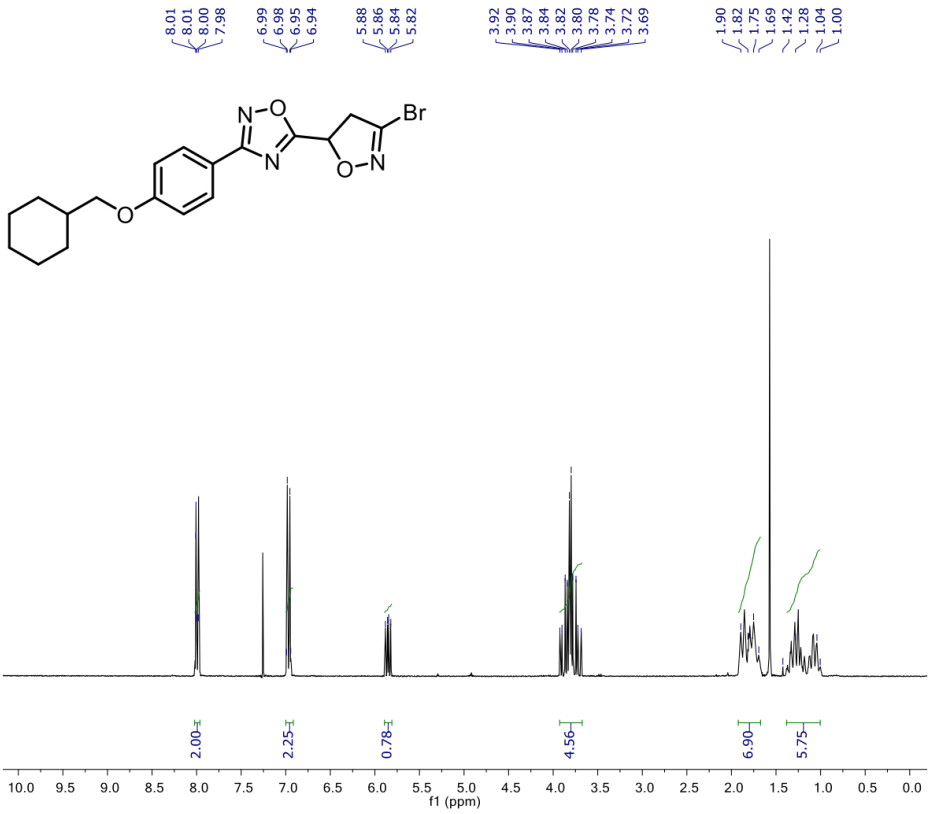
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((3-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (**2c**):



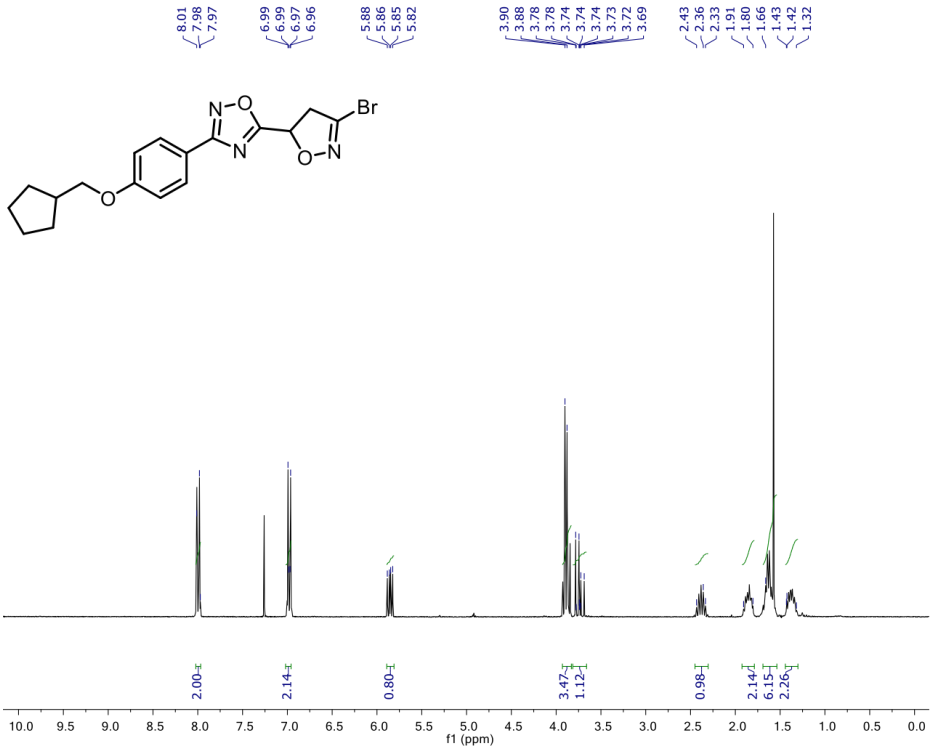
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((4-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (**2d**):



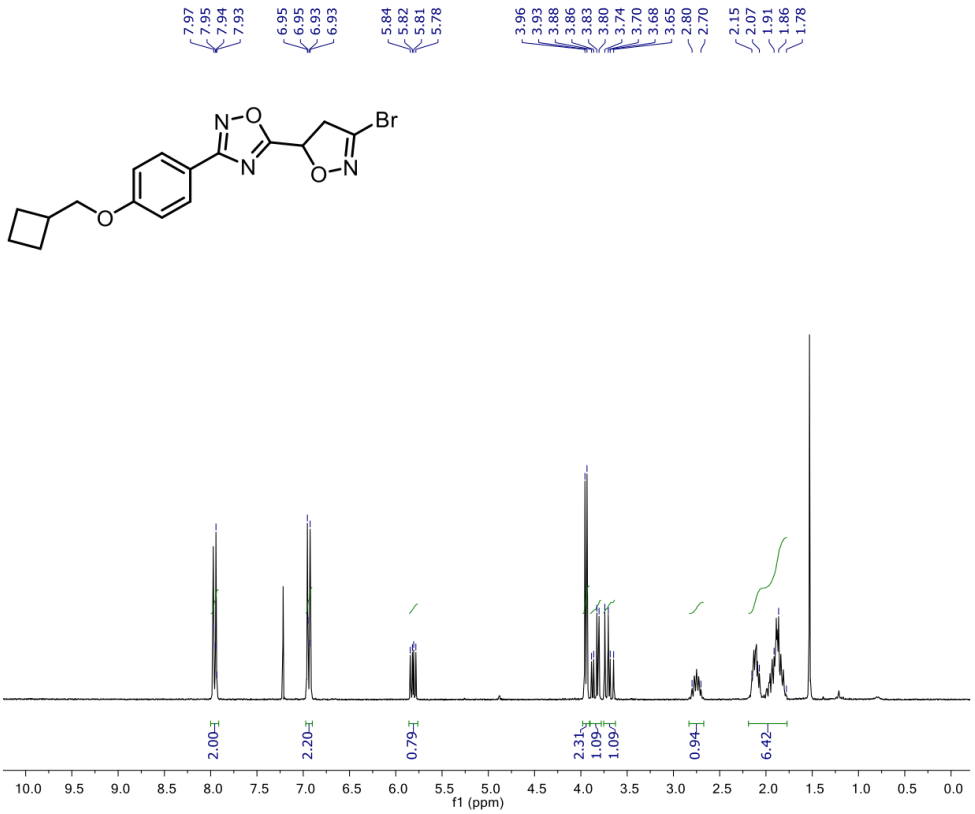
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclohexylmethoxy)phenyl)-1,2,4-oxadiazole (**2e**):



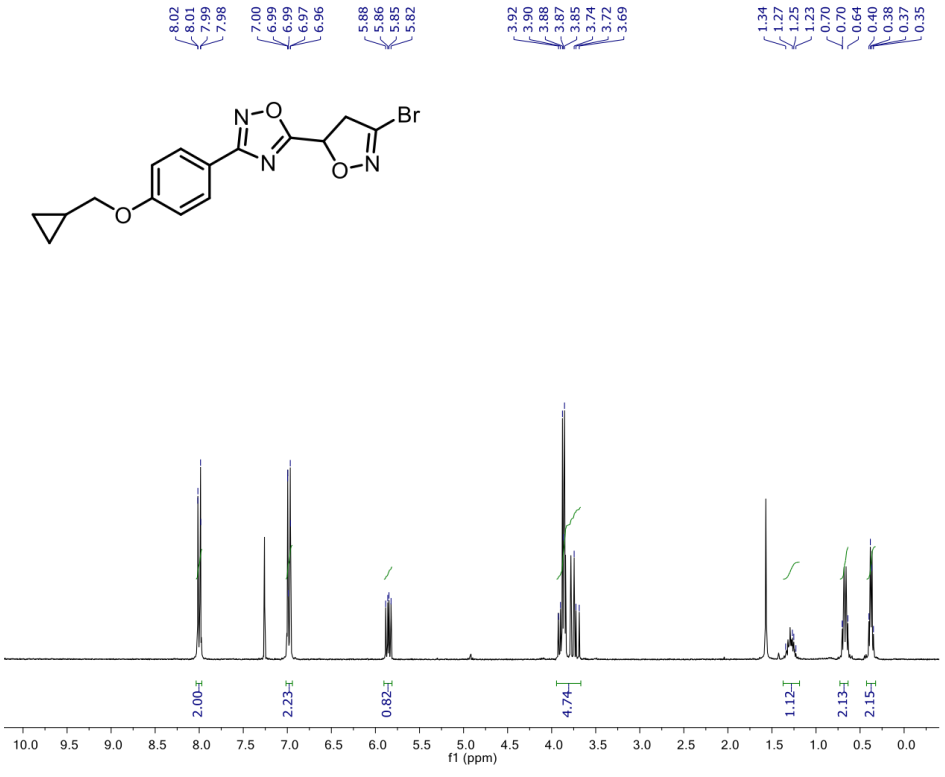
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclopentylmethoxy)phenyl)-1,2,4-oxadiazole (**2f**):



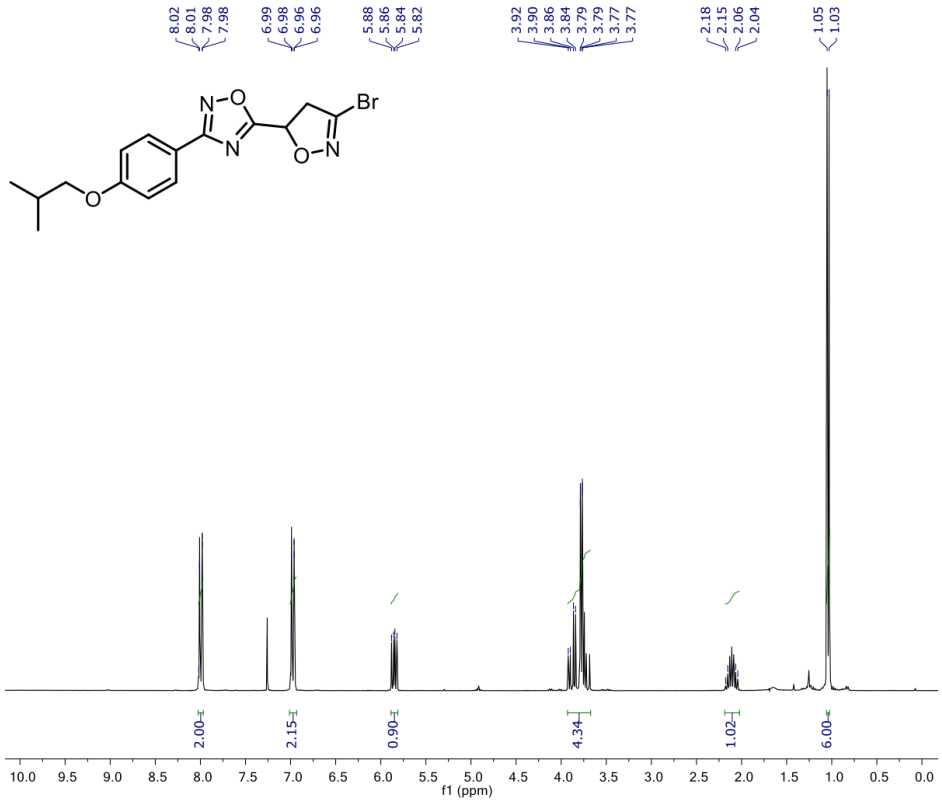
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclobutylmethoxy)phenyl)-1,2,4-oxadiazole (**2g**):



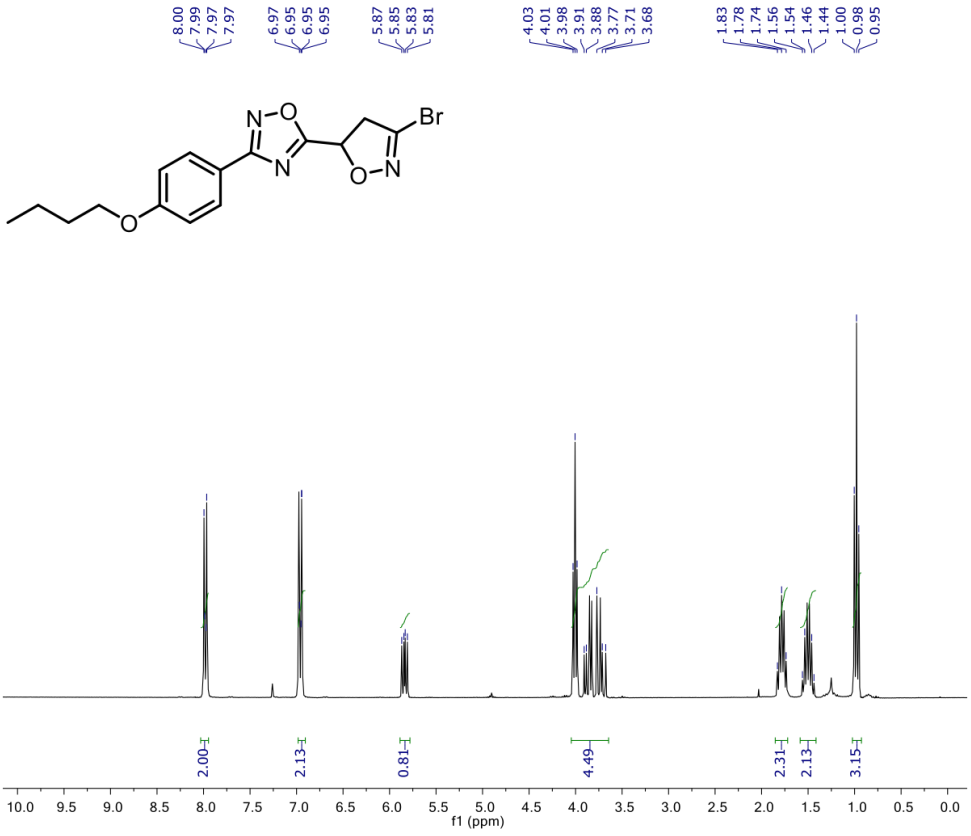
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclopropylmethoxy)phenyl)-1,2,4-oxadiazole (**2h**):



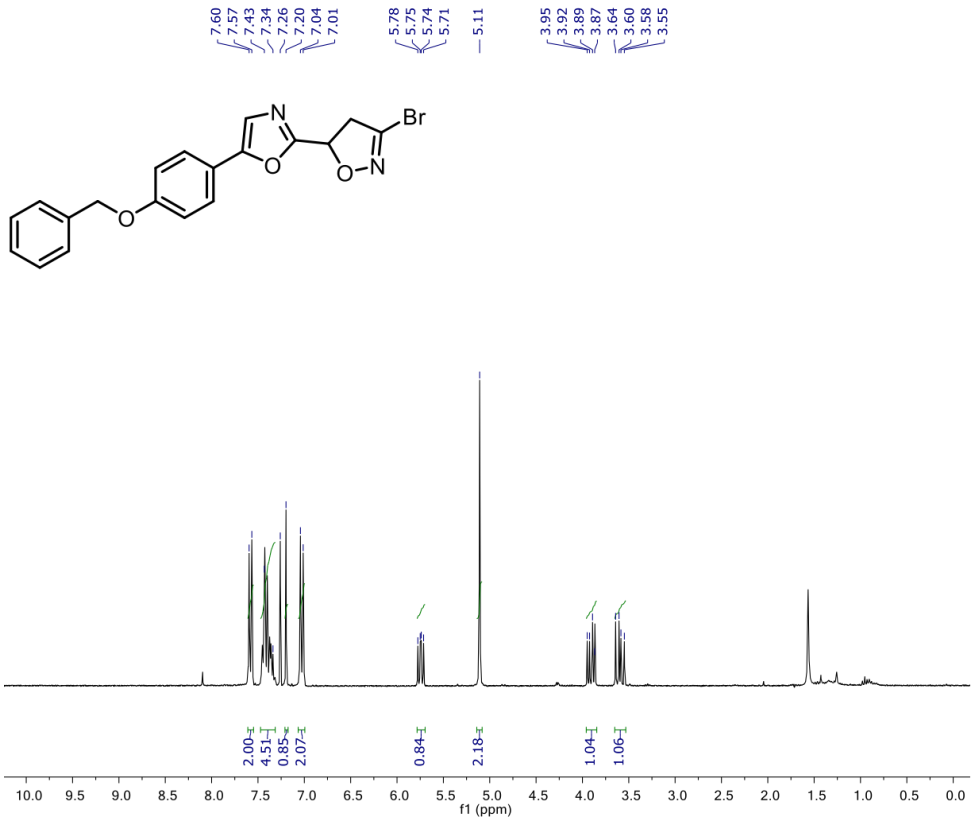
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-isobutoxyphenyl)-1,2,4-oxadiazole (**2i**):



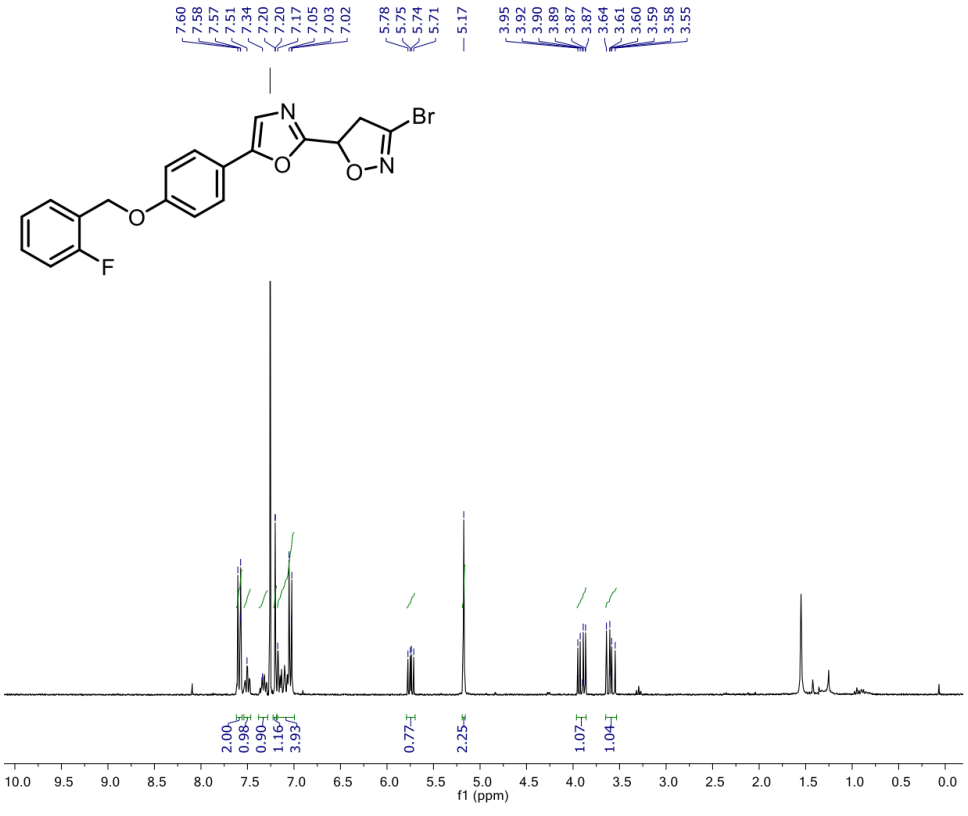
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-butoxyphenyl)-1,2,4-oxadiazole (**2l**):



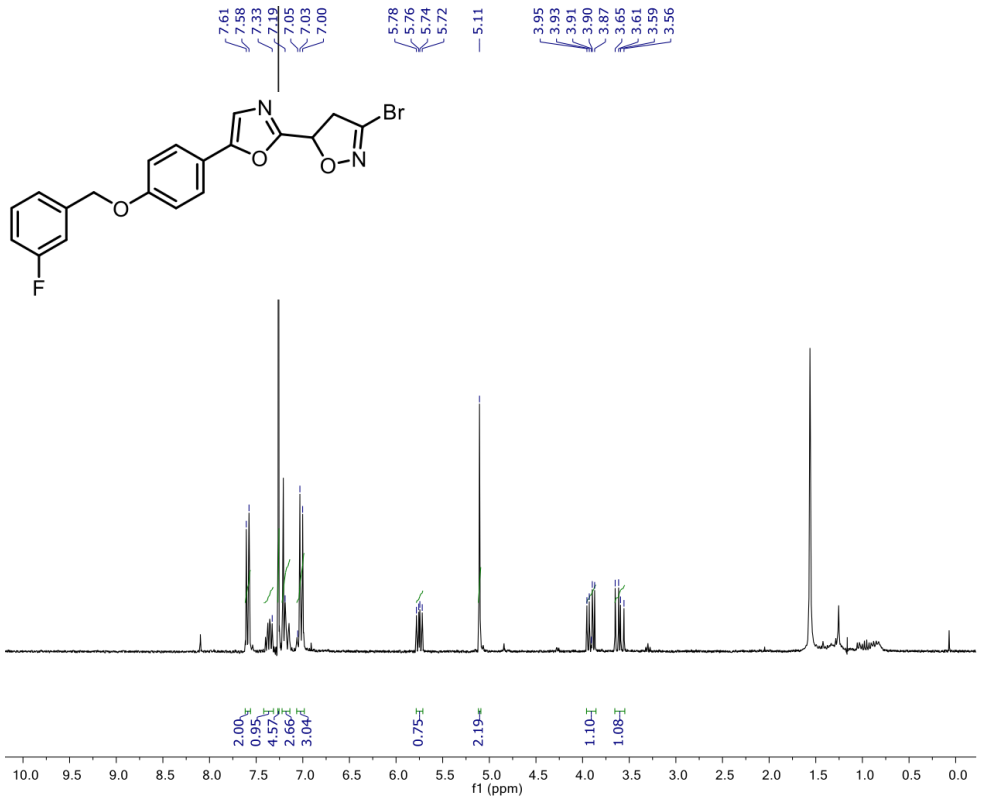
5-(5-(4-(Benzyloxy)phenyl)oxazol-2-yl)-3-bromo-4,5-dihydroisoxazole (**3a**):



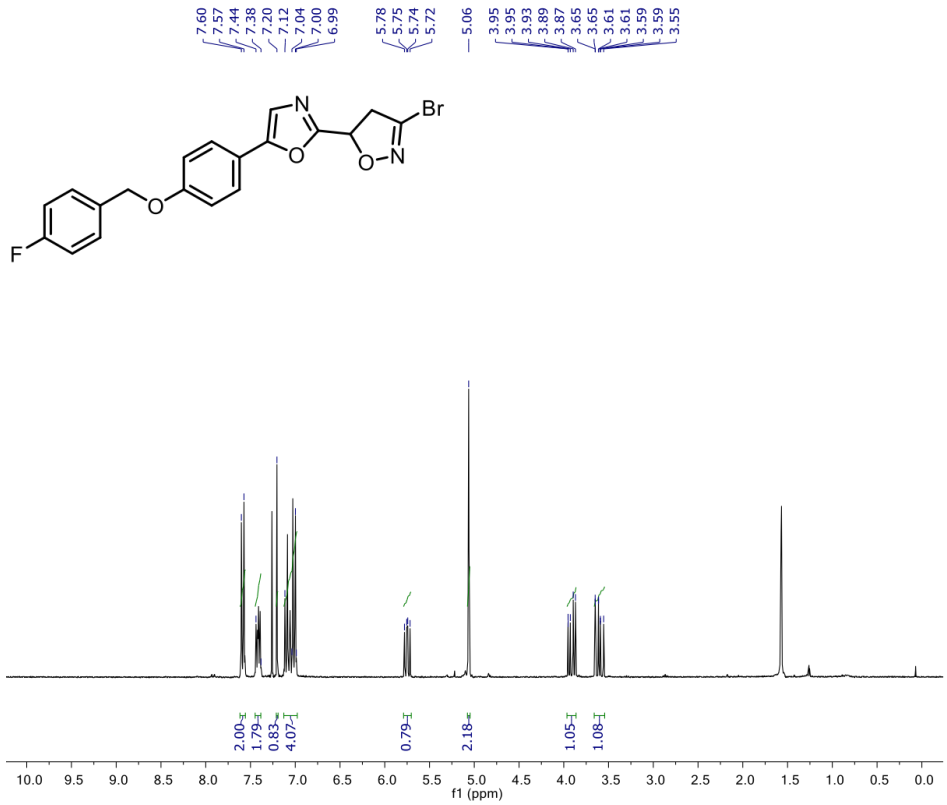
3-Bromo-5-(5-(4-((2-fluorobenzyl)oxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3b**):



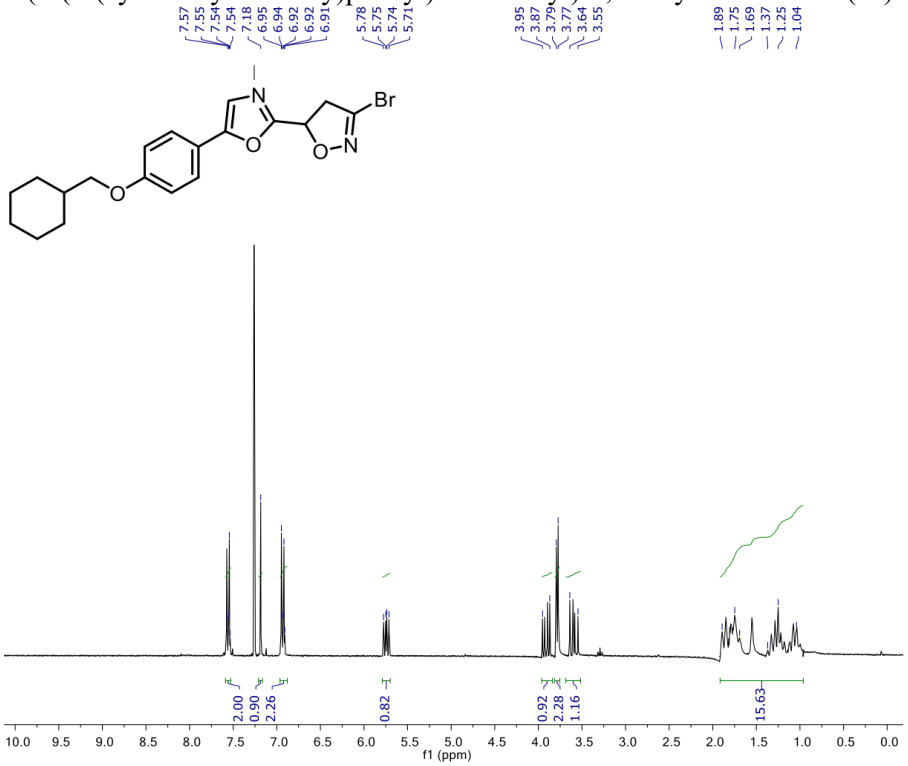
3-Bromo-5-(5-(4-((3-fluorobenzyl)oxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3c**):



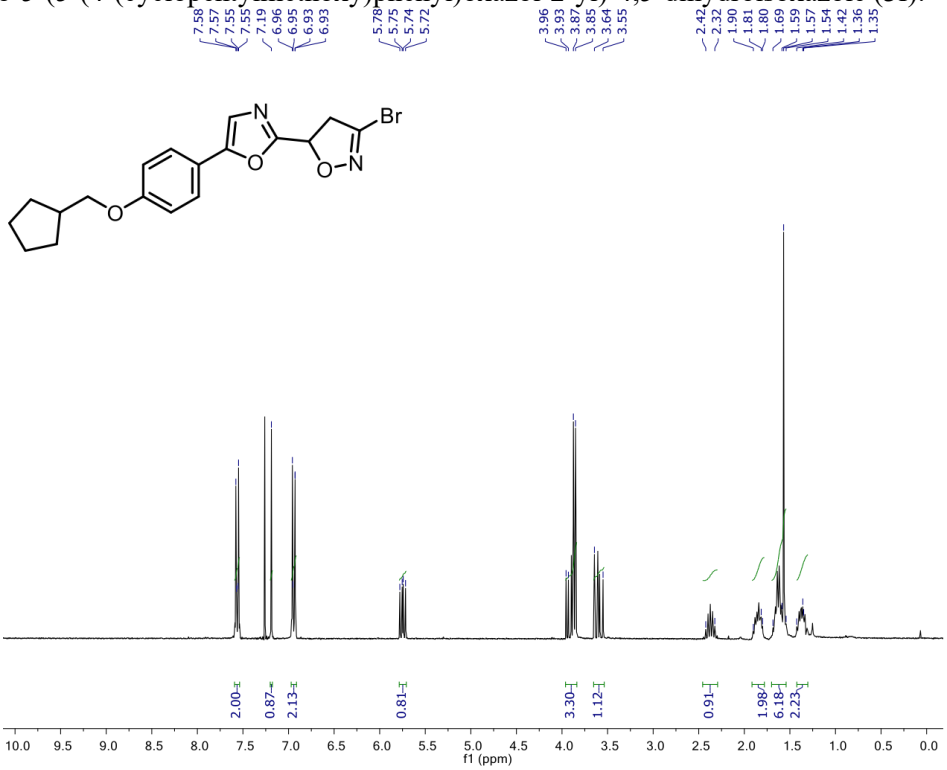
3-Bromo-5-(5-(4-((4-fluorobenzyl)oxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3d**):



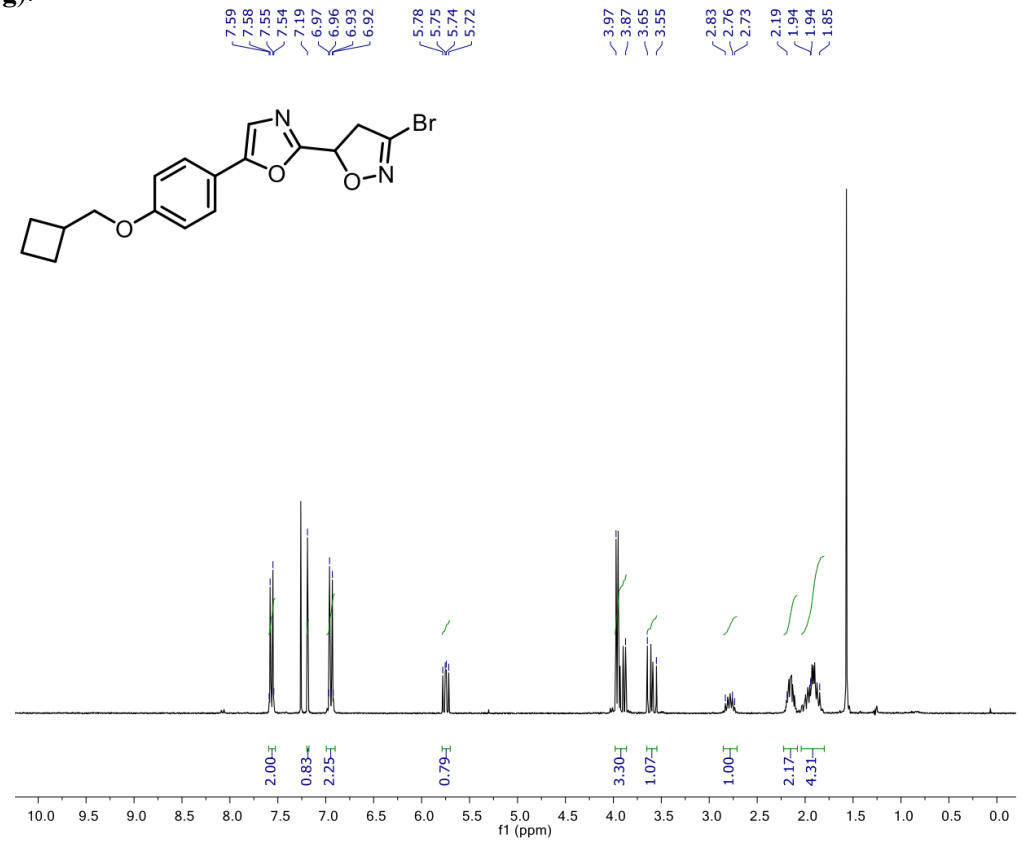
3-Bromo-5-(5-(4-(cyclohexylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3e**):



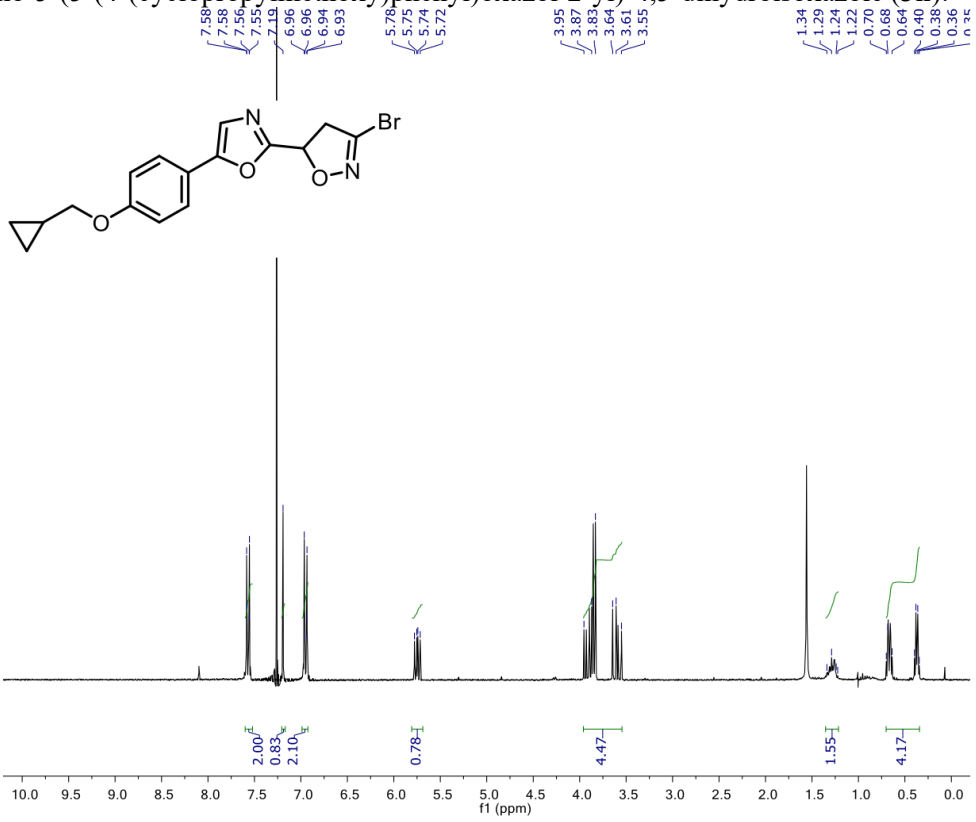
3-Bromo-5-(5-(4-(cyclopentylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3f**):



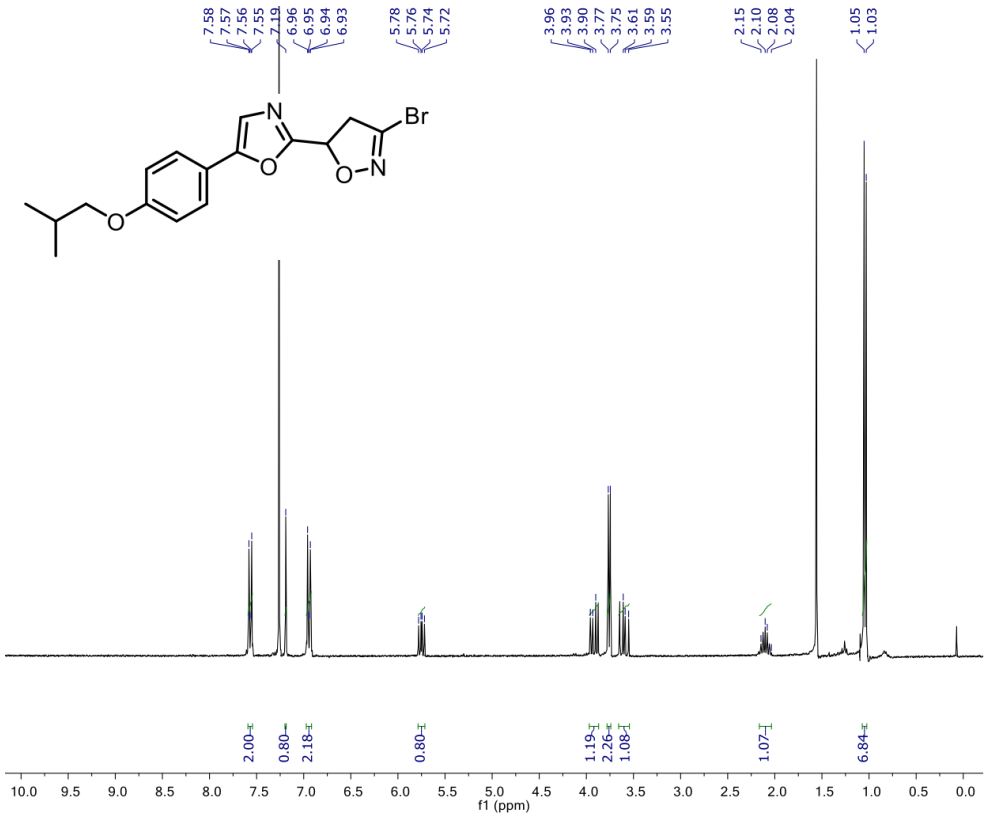
3-Bromo-5-(5-(4-(cyclobutylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3g**):



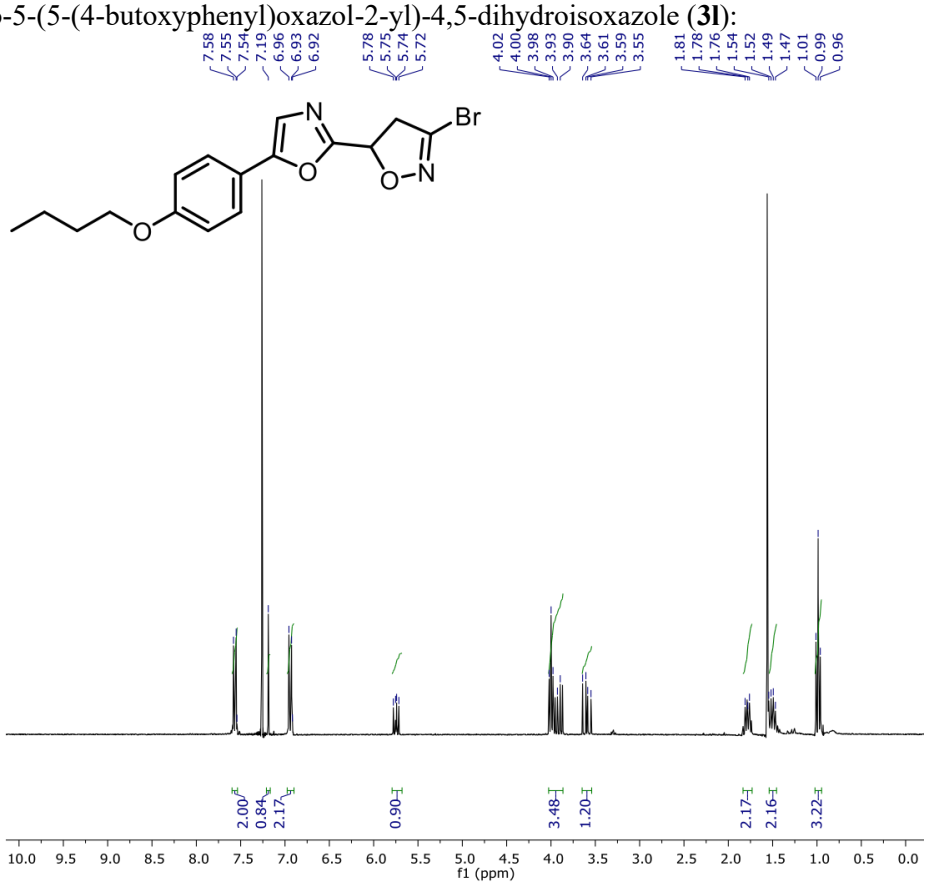
3-Bromo-5-(5-(4-(cyclopropylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3h**):



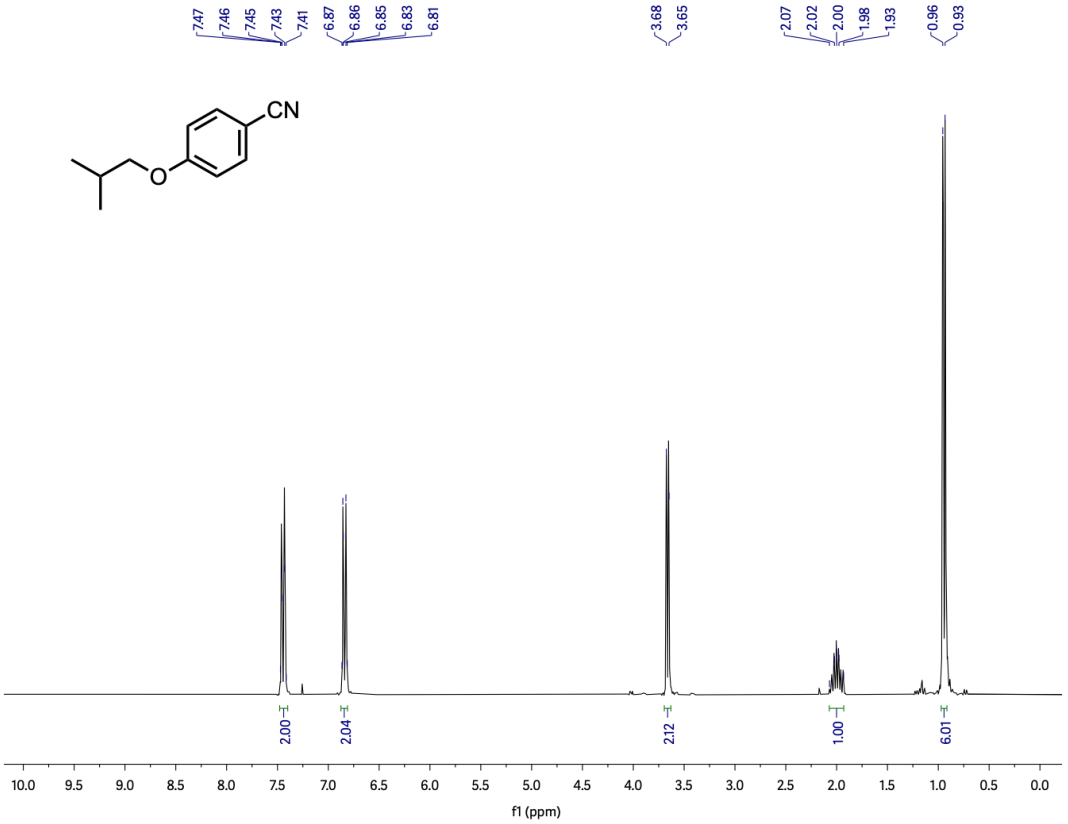
3-Bromo-5-(5-(4-isobutoxyphenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3i**):



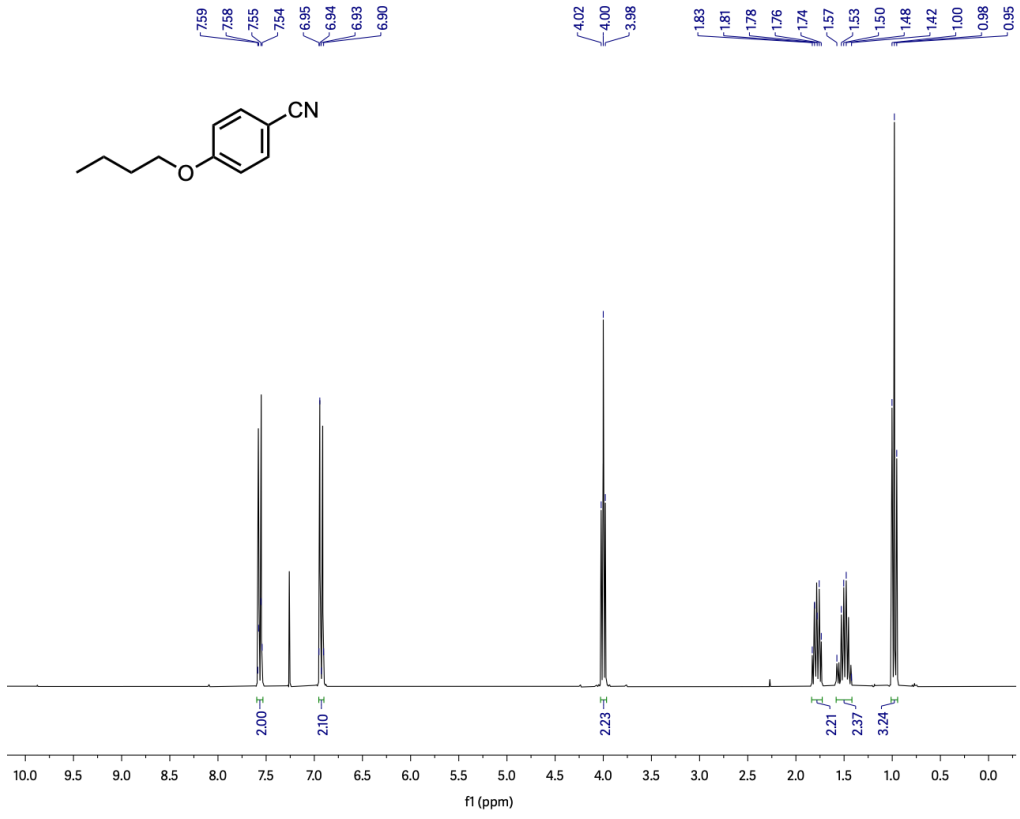
3-Bromo-5-(5-(4-butoxyphenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3l**):



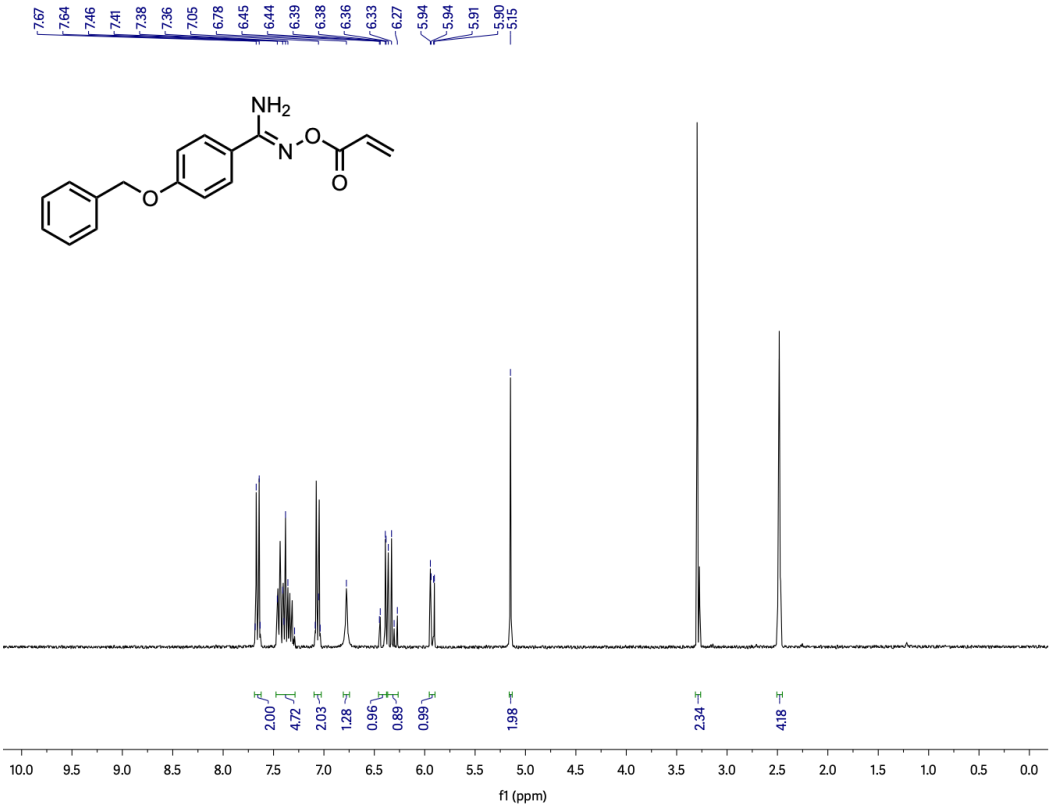
4-Isobutoxybenzonitrile (**6i**):



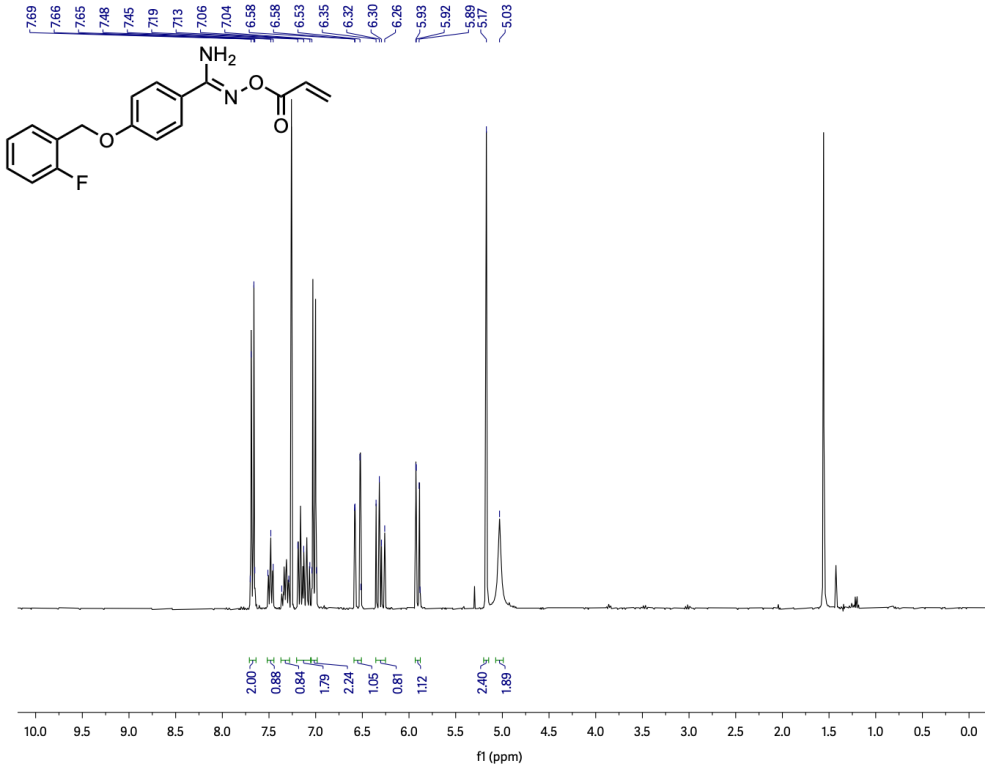
4-Butoxybenzonitrile (**6l**):



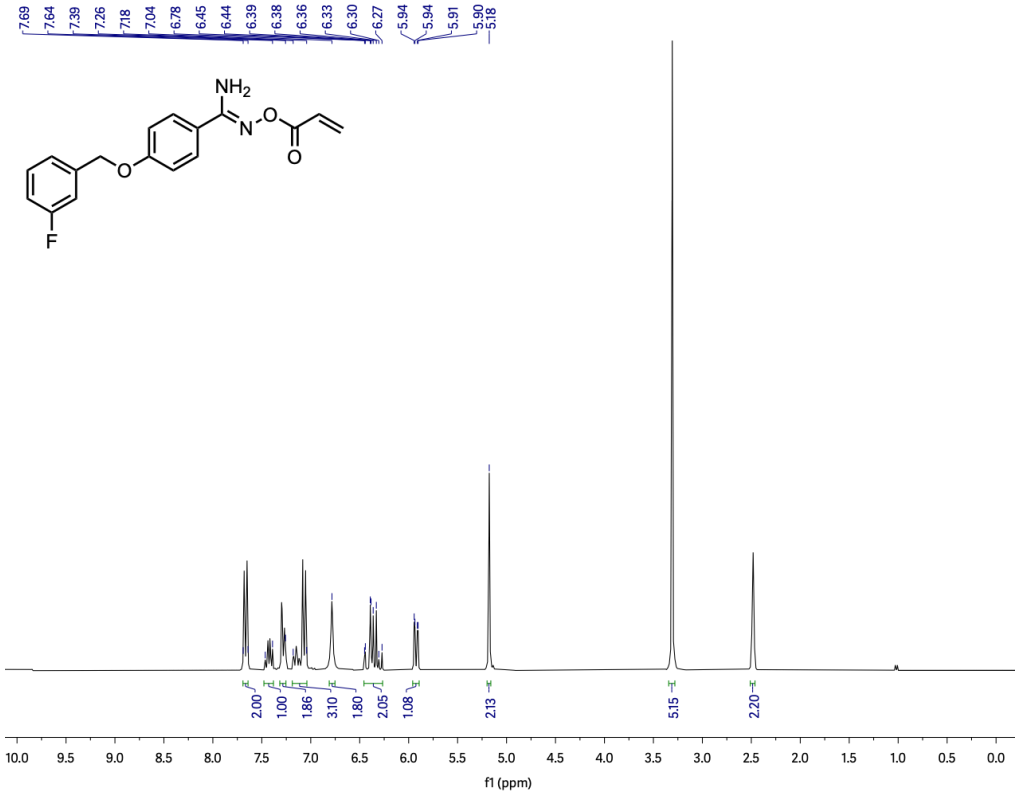
N'-(Acryloyloxy)-4-(benzyloxy)benzimidamide (**8a**):



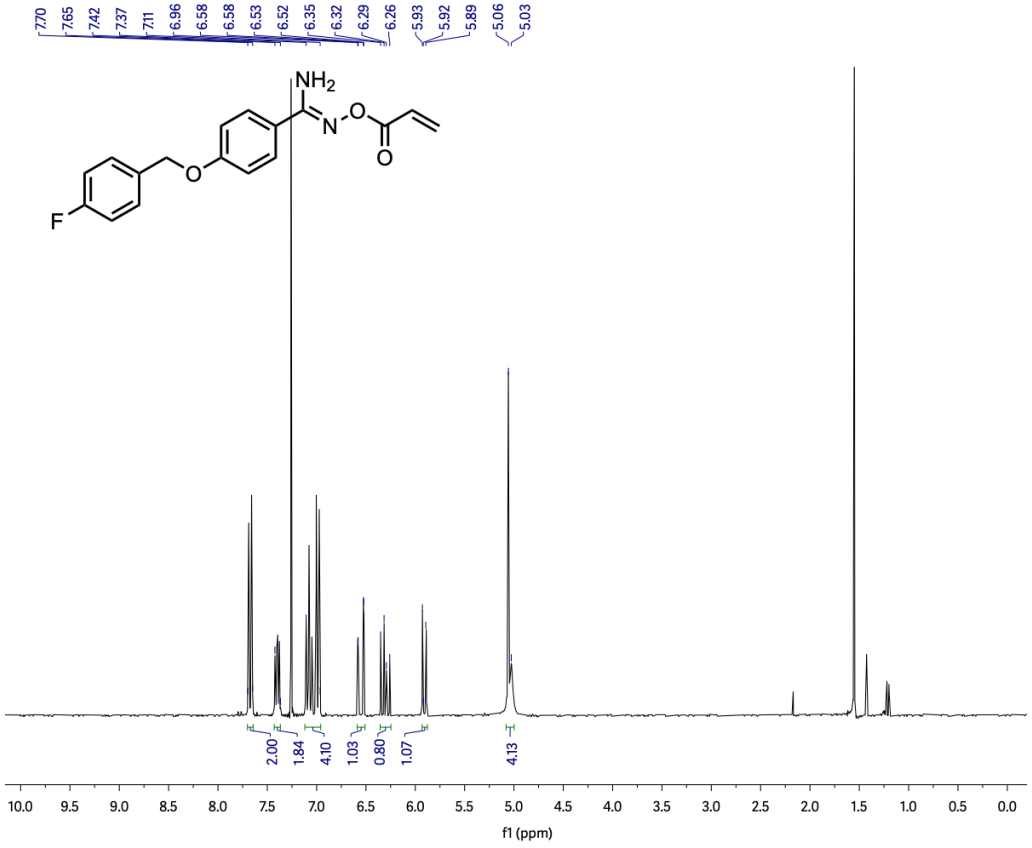
N'-(Acryloyloxy)-4-((2-fluorobenzyl)oxy)benzimidamide **(8b)**:



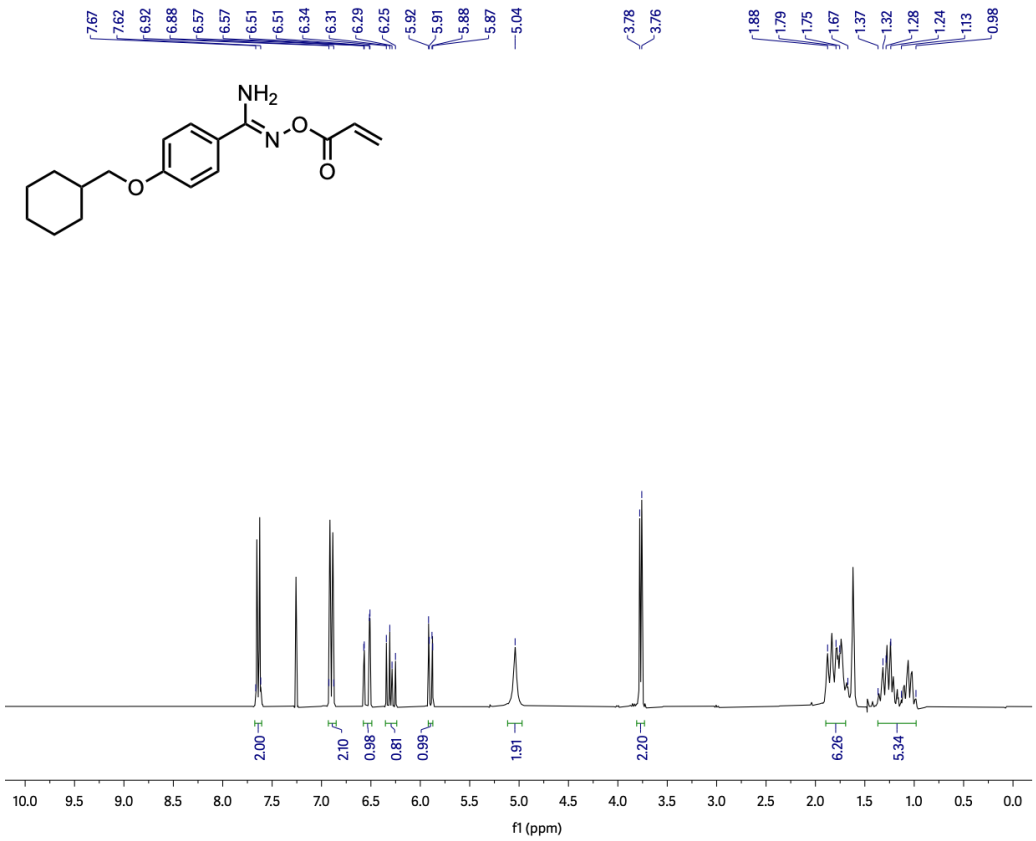
N'-(Acryloyloxy)-4-((3-fluorobenzyl)oxy)benzimidamide (**8c**):



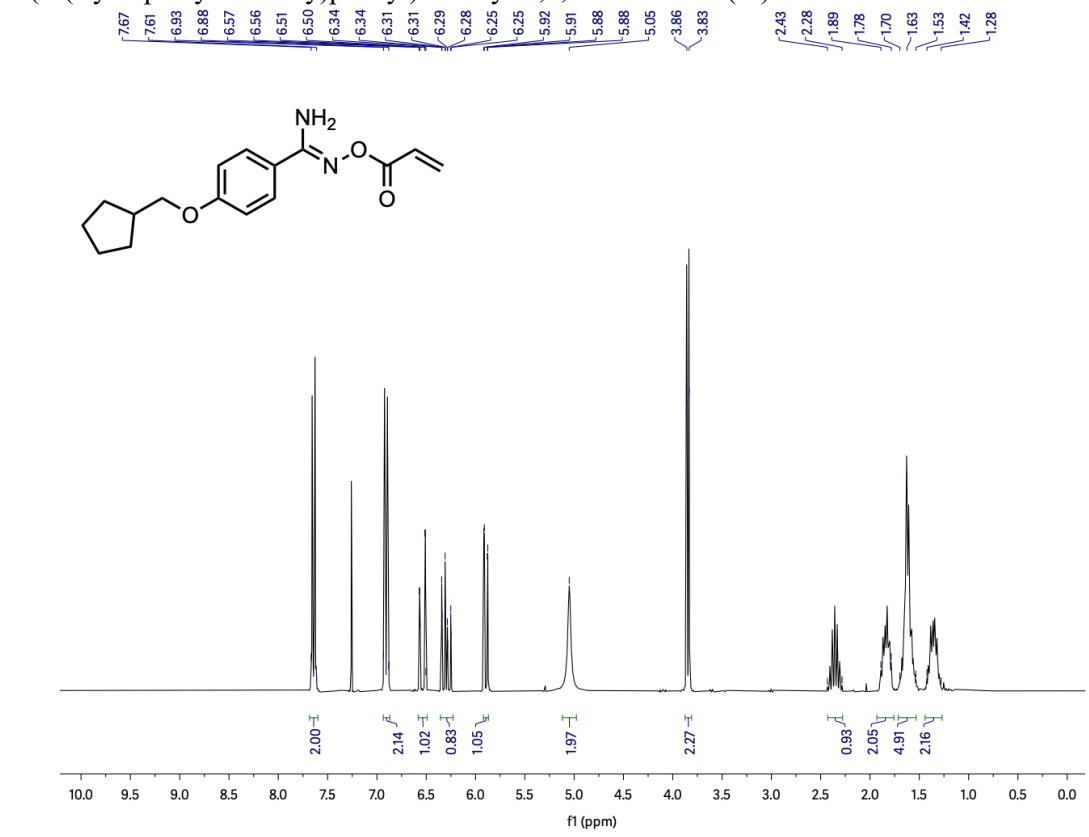
N'-(Acryloyloxy)-4-((4-fluorobenzyl)oxy)benzimidamide (**8d**):



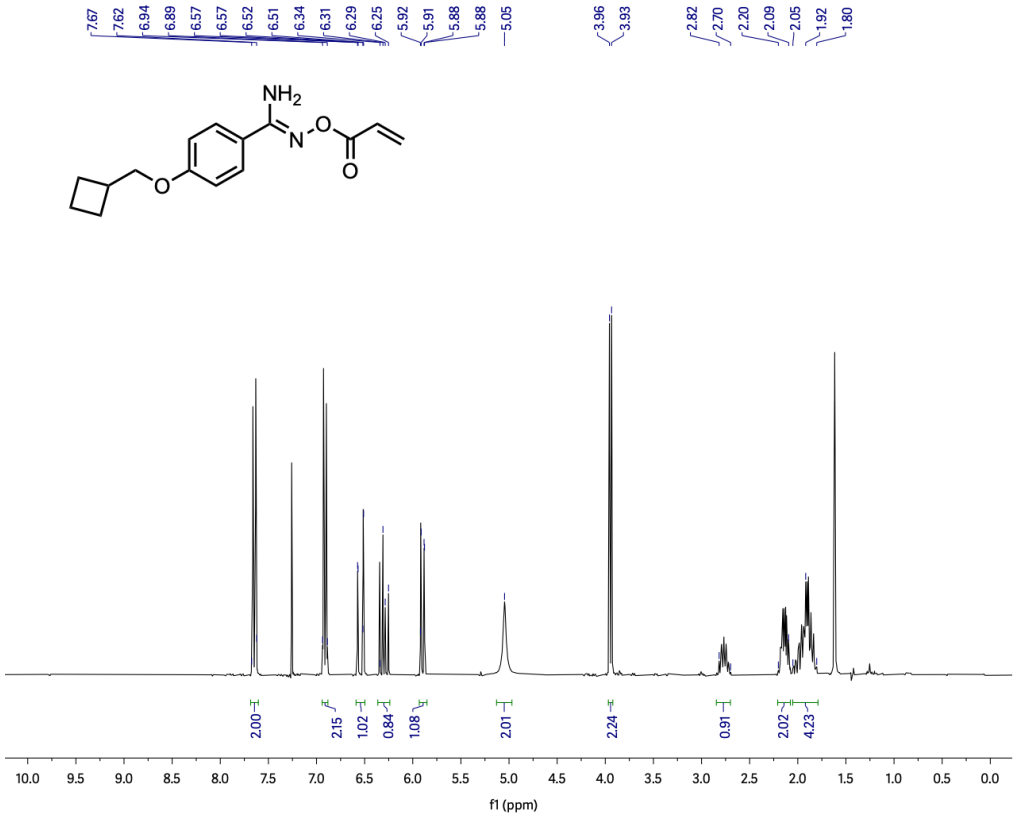
3-(4-(Cyclohexylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**8e**):



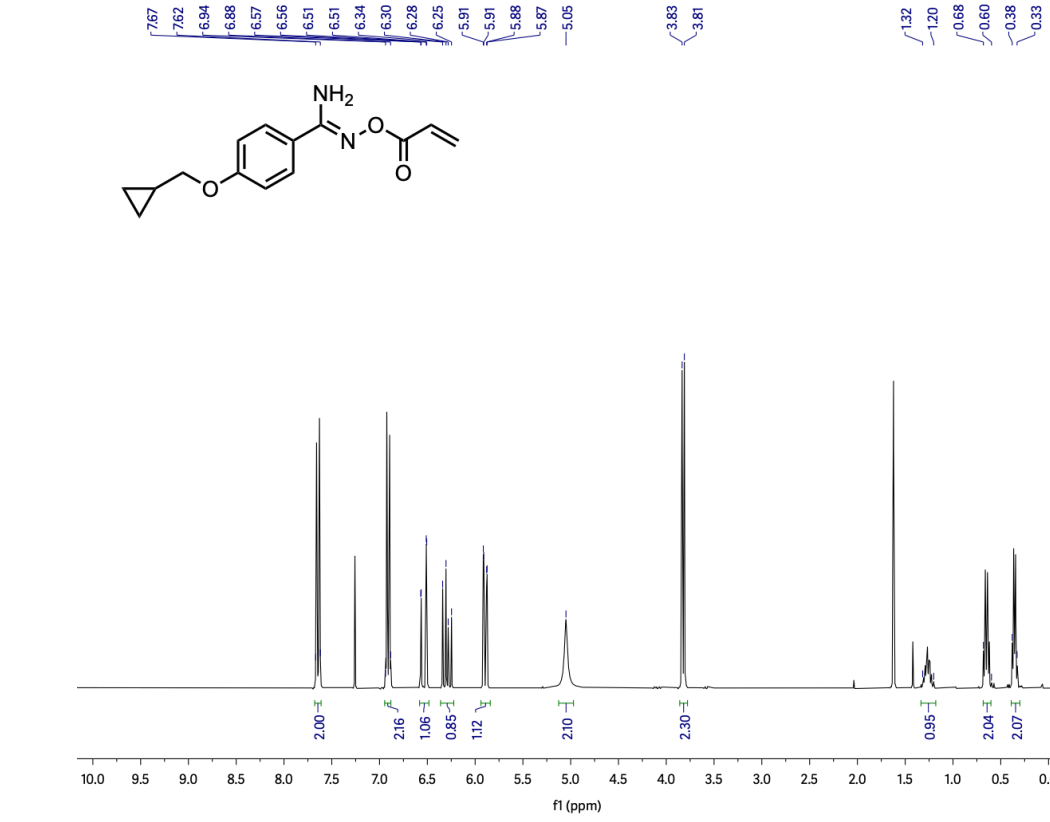
3-(4-(Cyclopentylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**8f**):



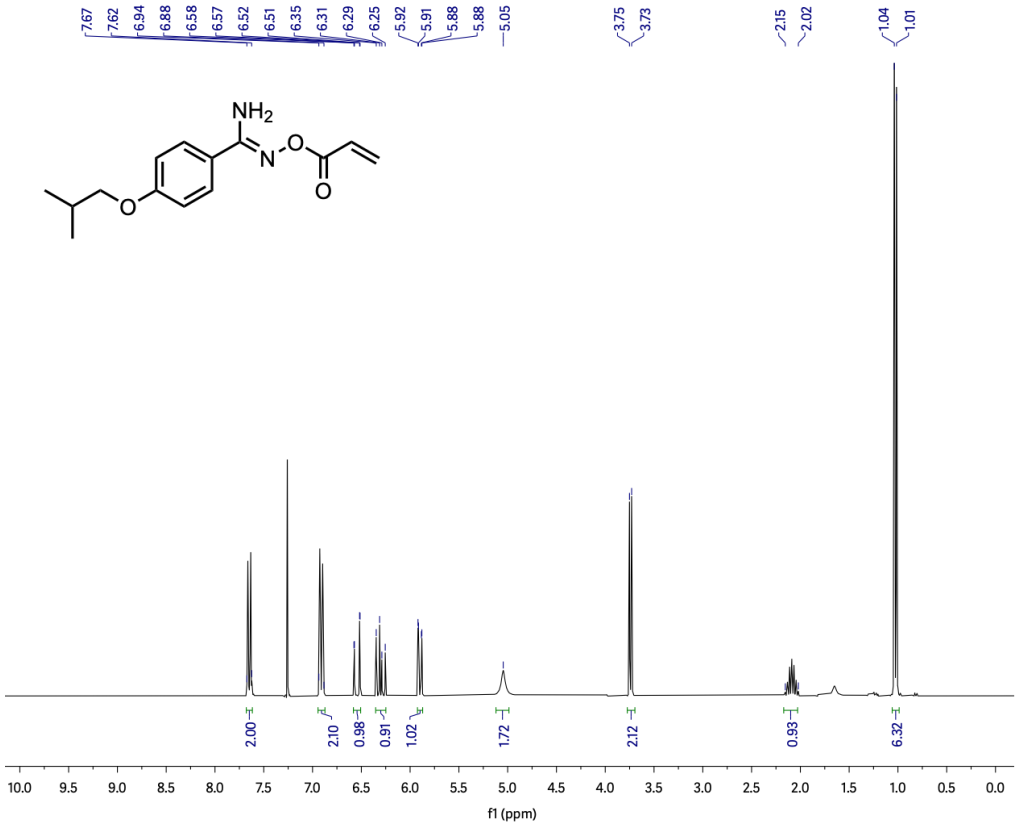
3-(4-(Cyclobutylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**8g**):



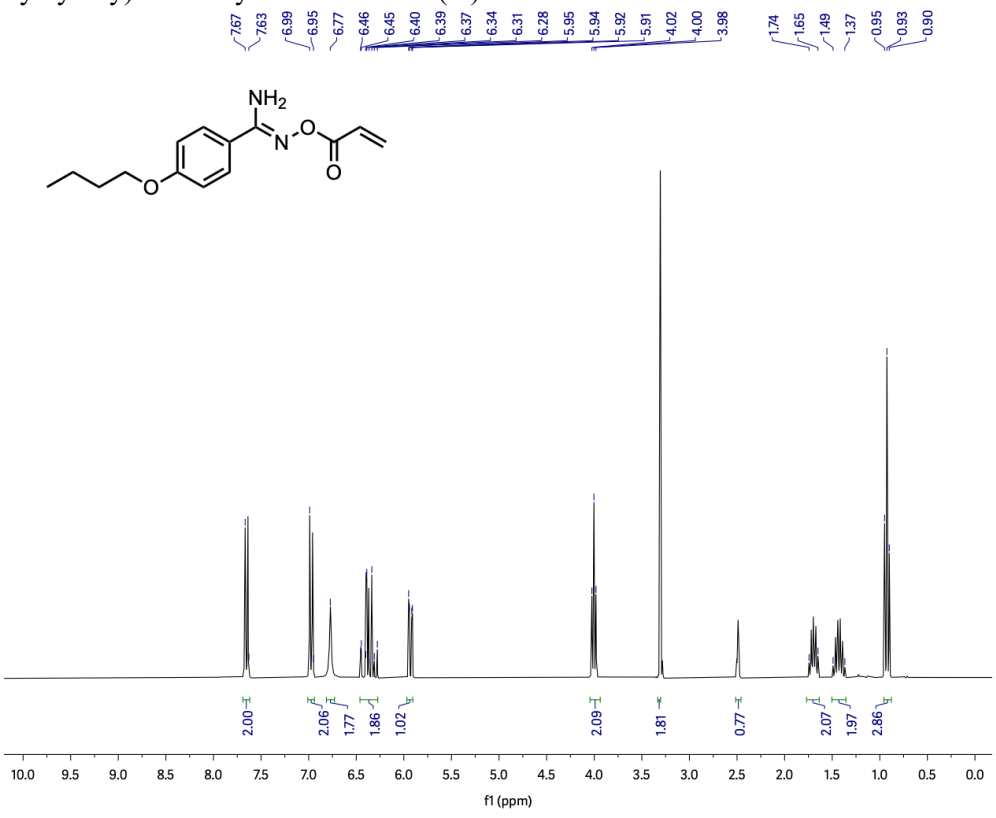
3-(4-(Cyclopropylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**8h**):



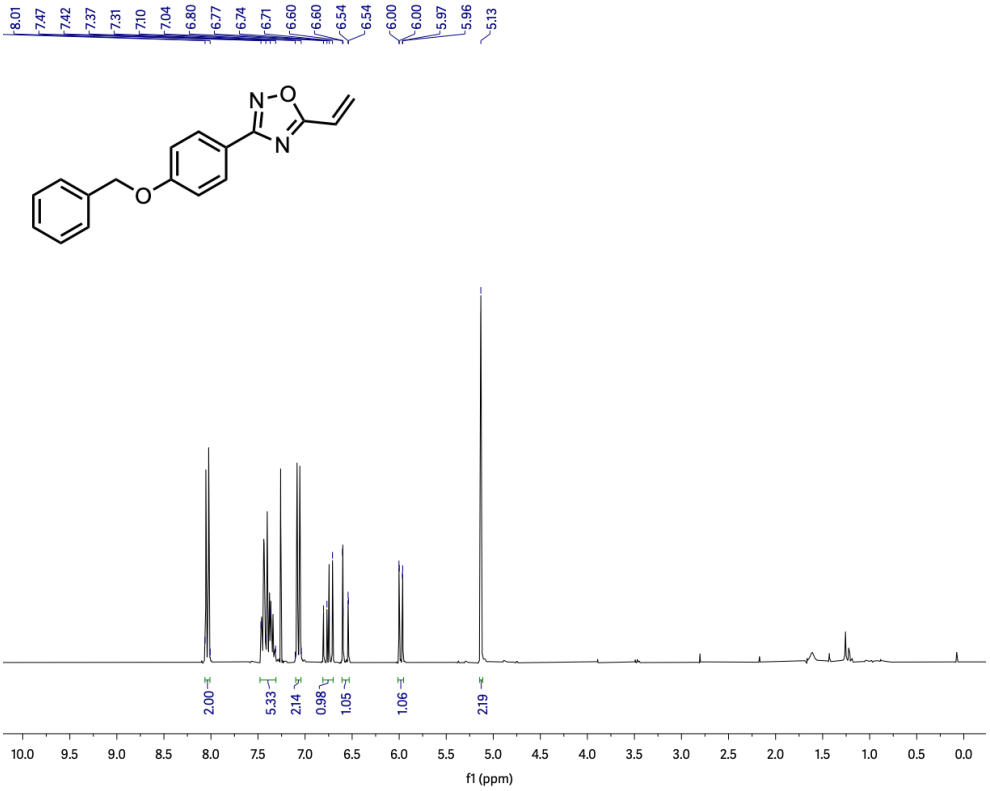
N′-(Acryloyloxy)-4-isobutoxybenzimidamide (**8i**):



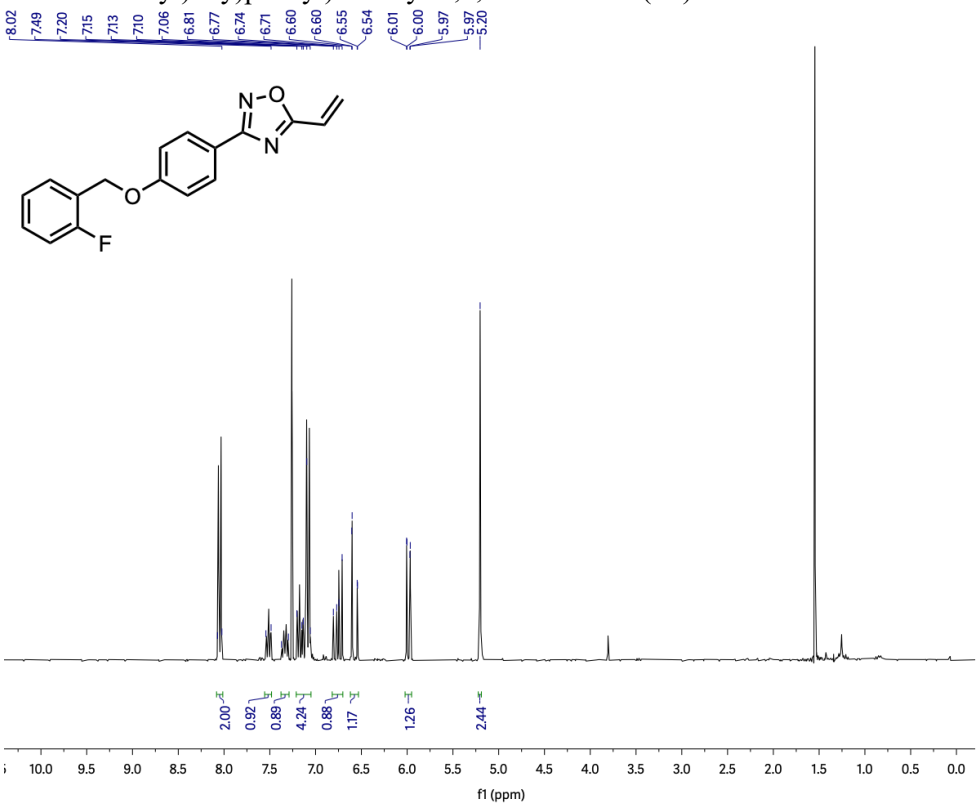
N′-(Acryloyloxy)-4-butoxybenzimidamide (**8l**):



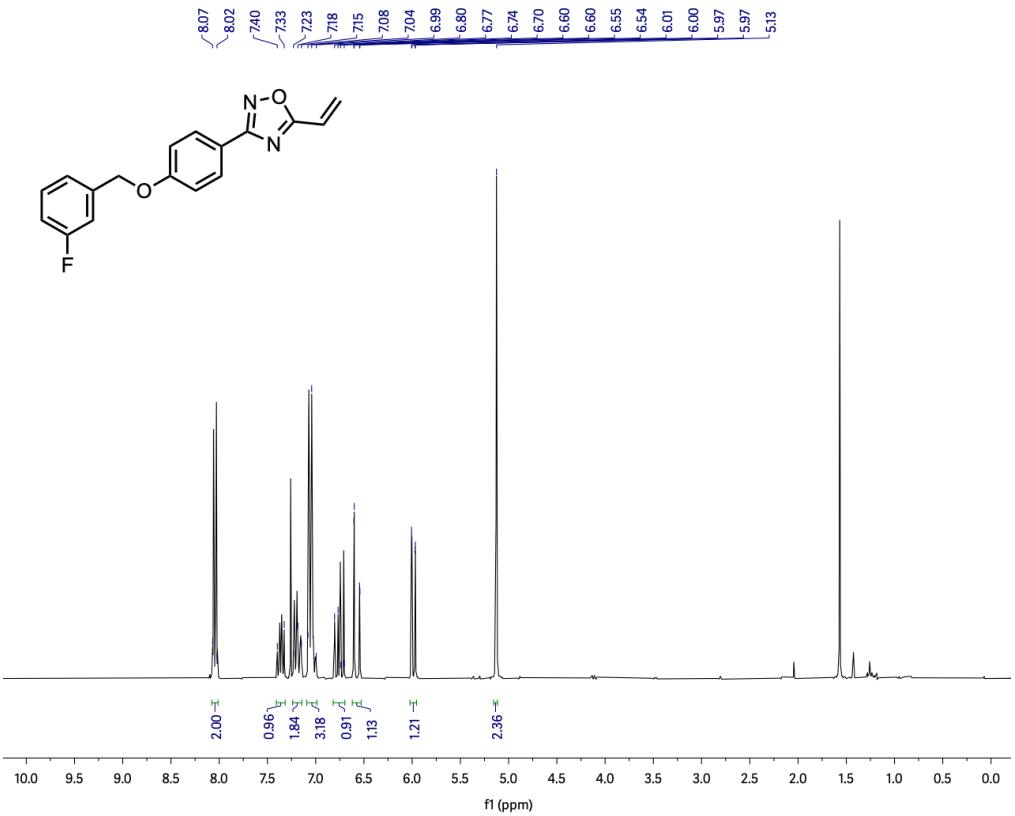
3-(4-(Benzyloxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9a**):



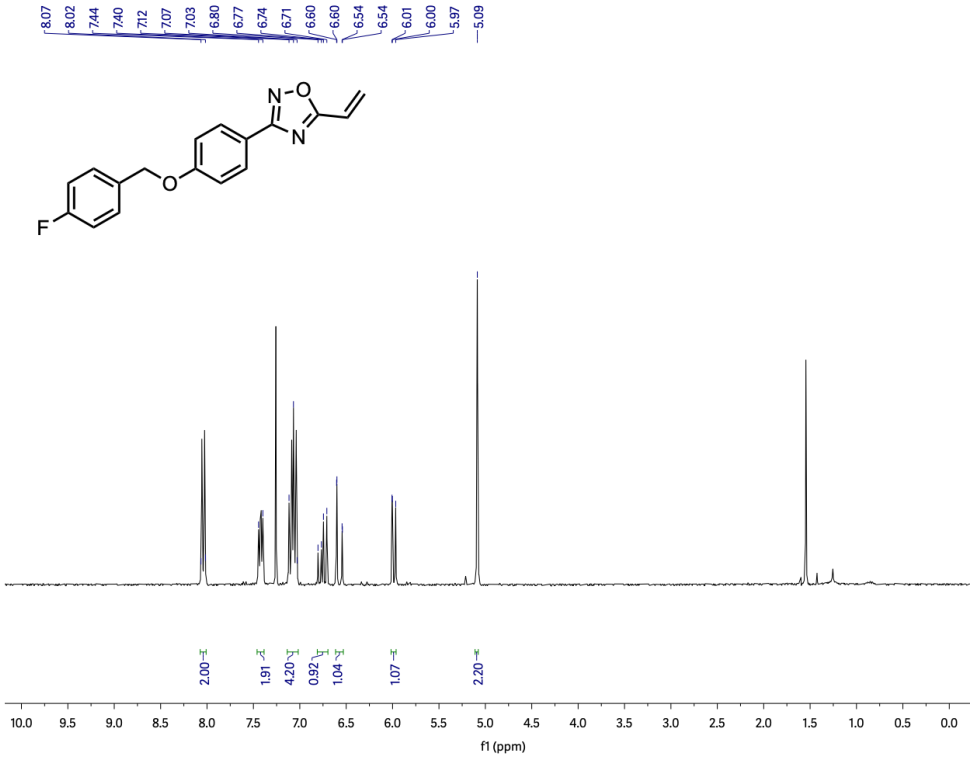
3-(4-((2-Fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9b**):



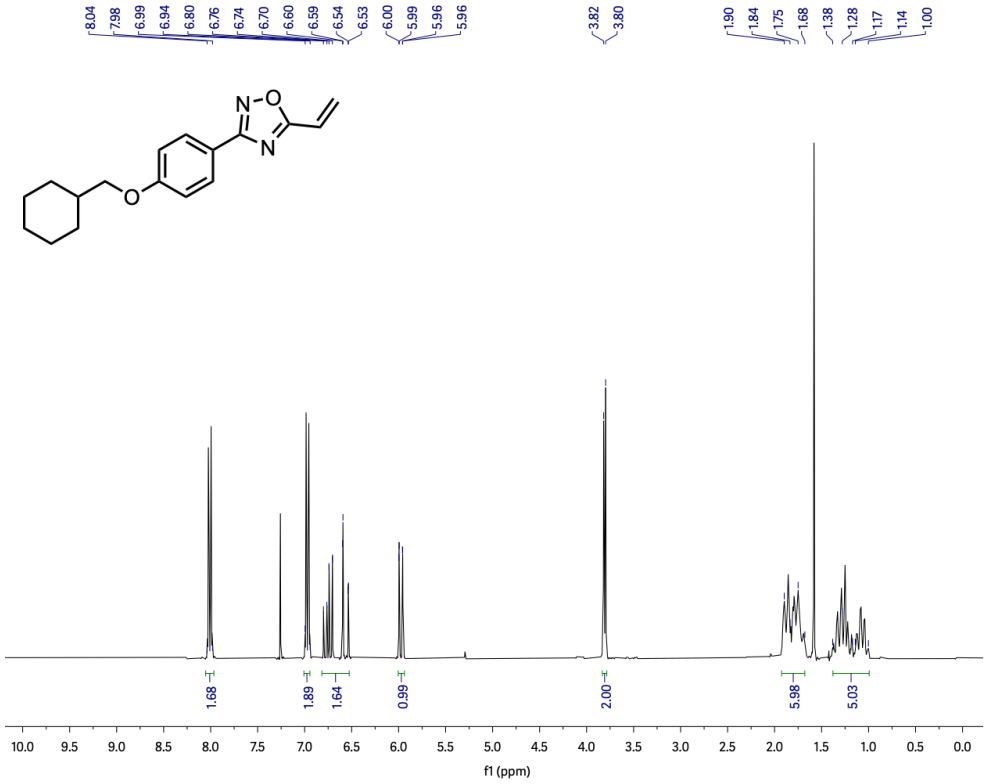
3-(4-((3-Fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9c**):



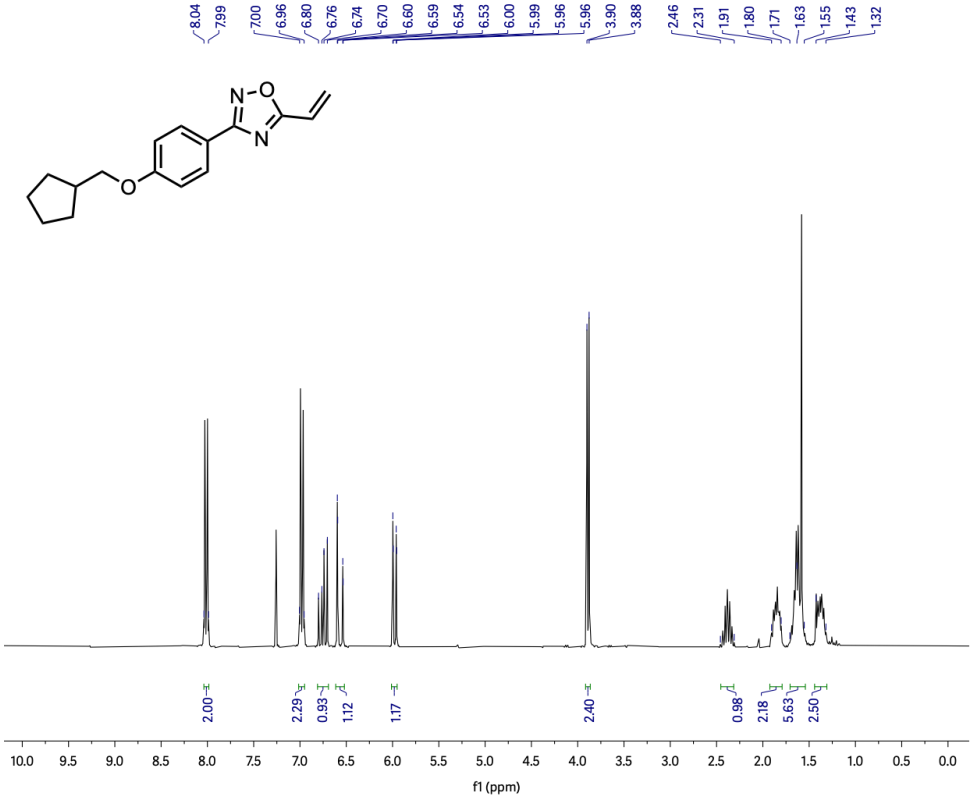
3-(4-((4-Fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9d**):



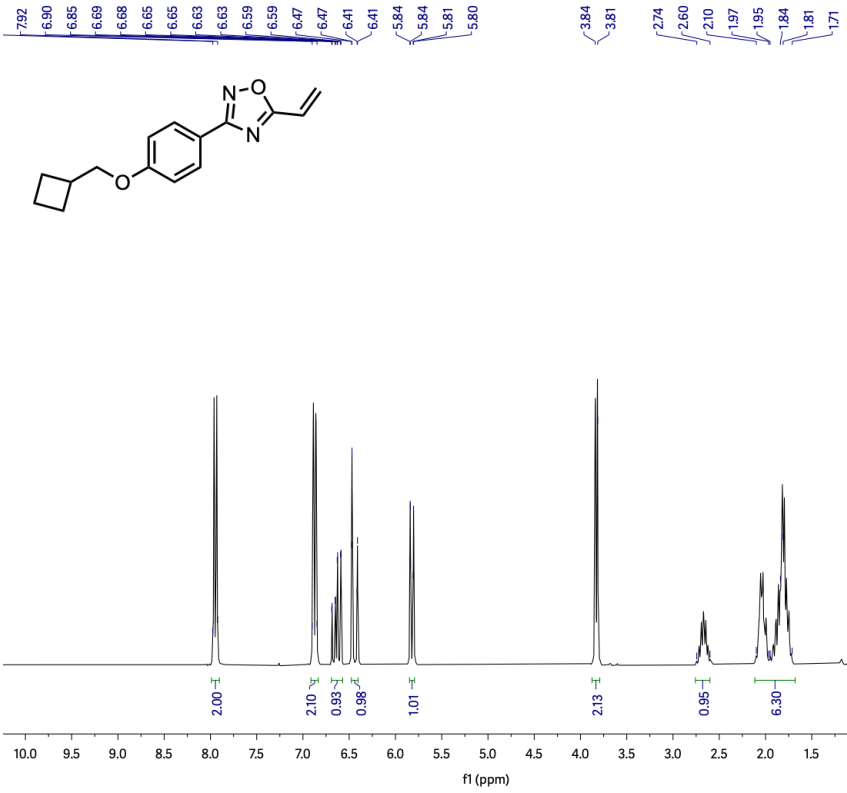
3-(4-(Cyclohexylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9e**):



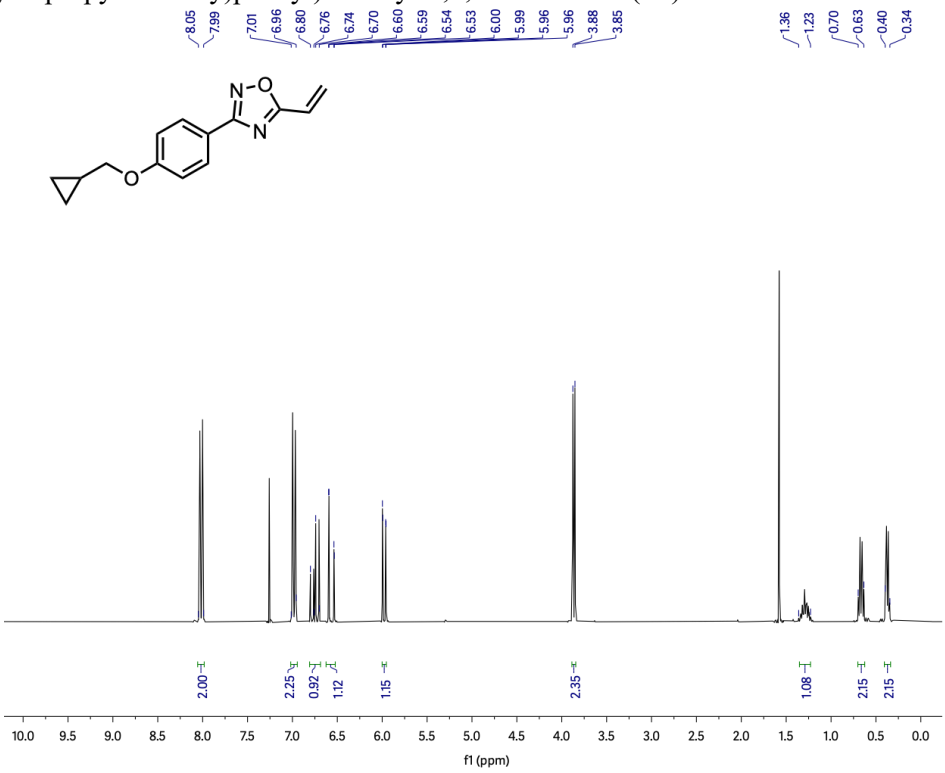
3-(4-(Cyclopentylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9f**):



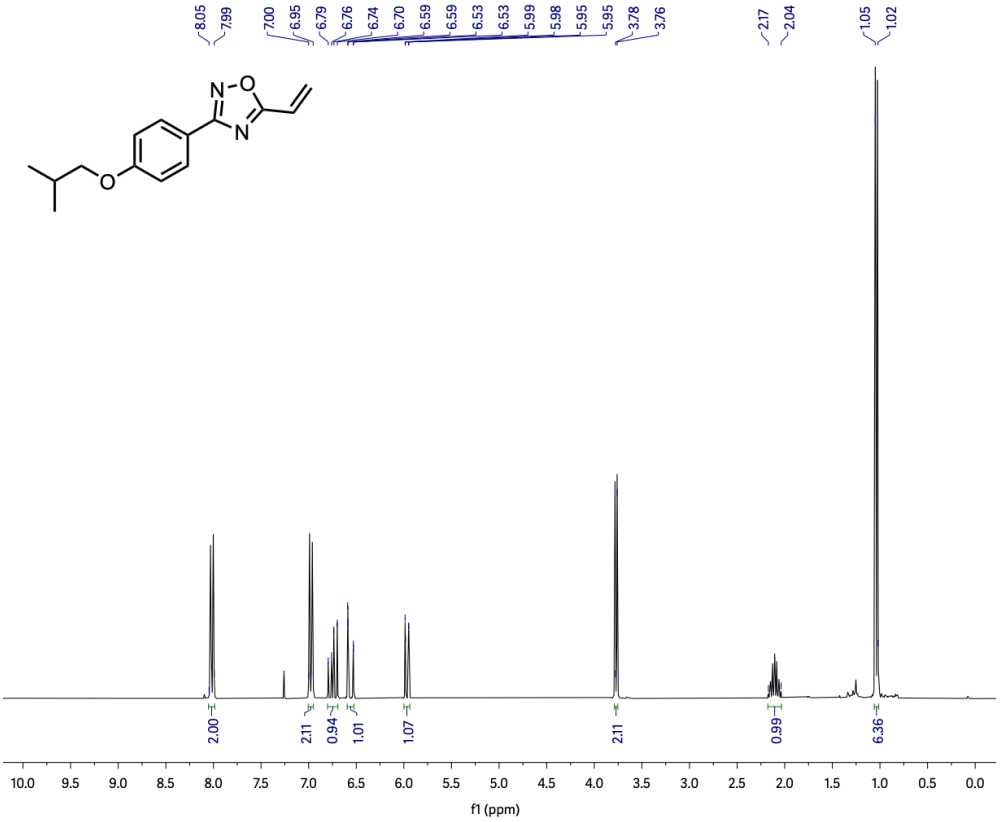
3-(4-(Cyclobutylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9g**):



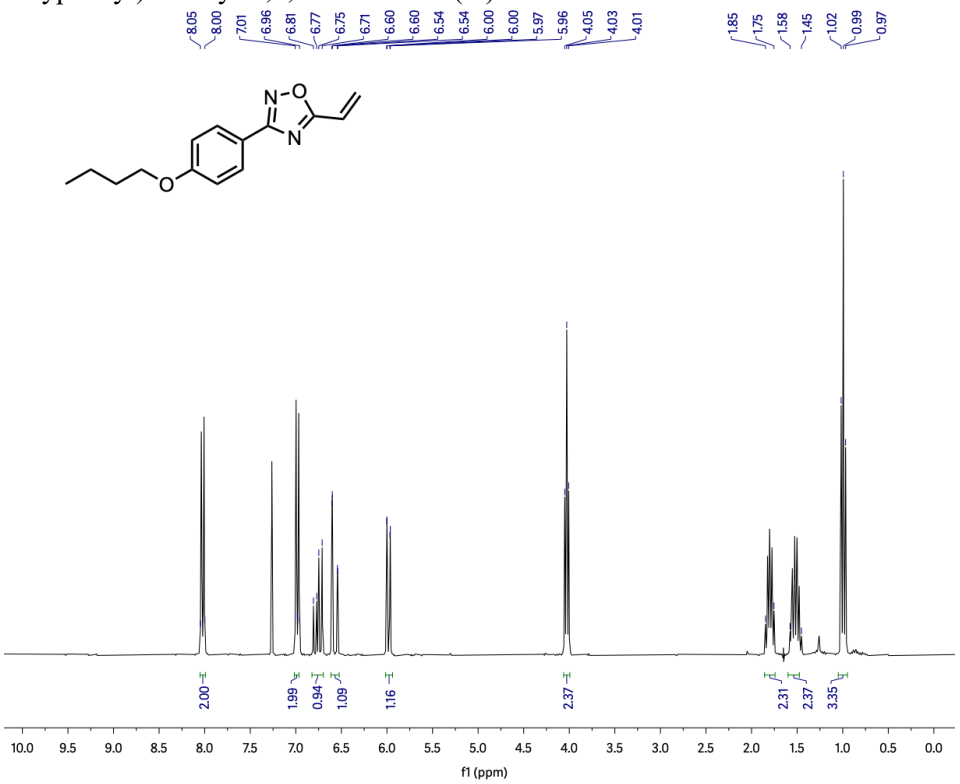
3-(4-(Cyclopropylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9h**):



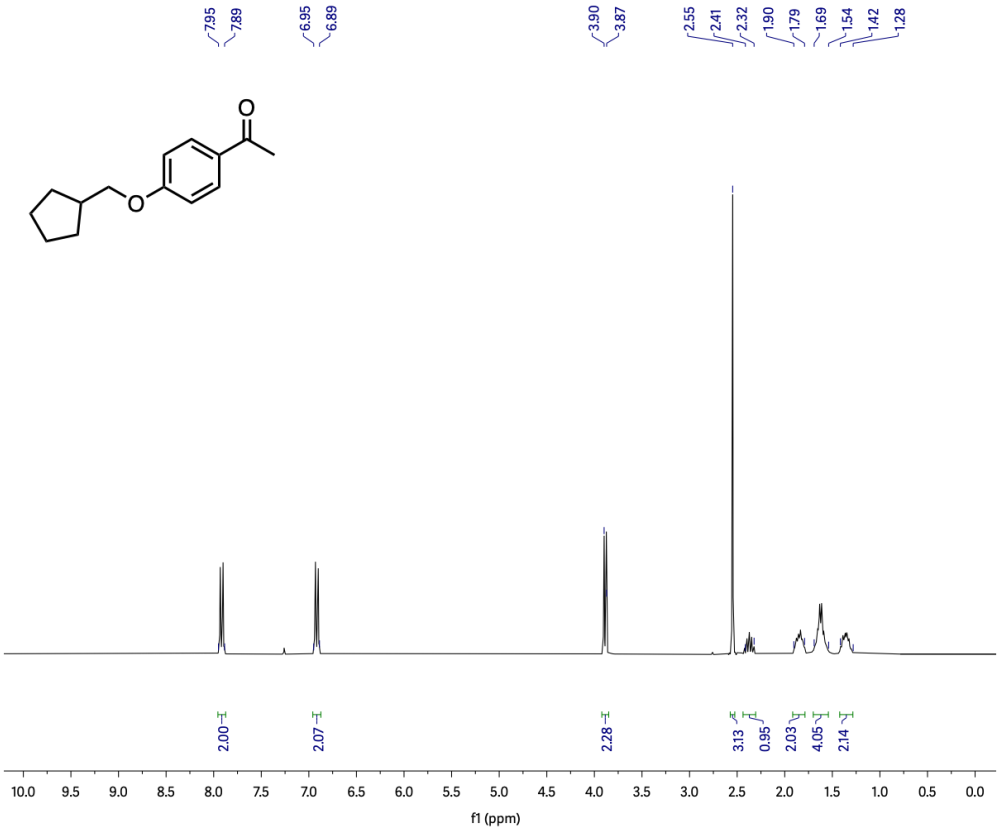
3-(4-Isobutoxyphenyl)-5-vinyl-1,2,4-oxadiazole (**9i**):



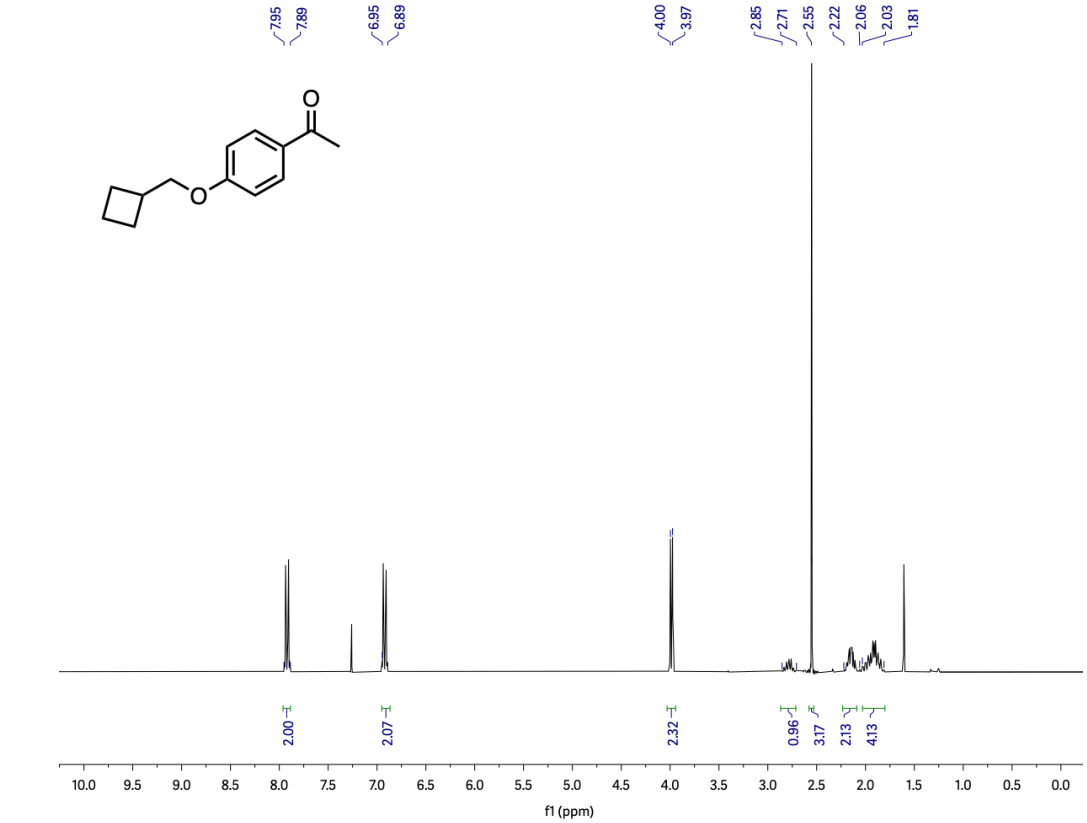
3-(4-Butoxyphenyl)-5-vinyl-1,2,4-oxadiazole (**9l**):



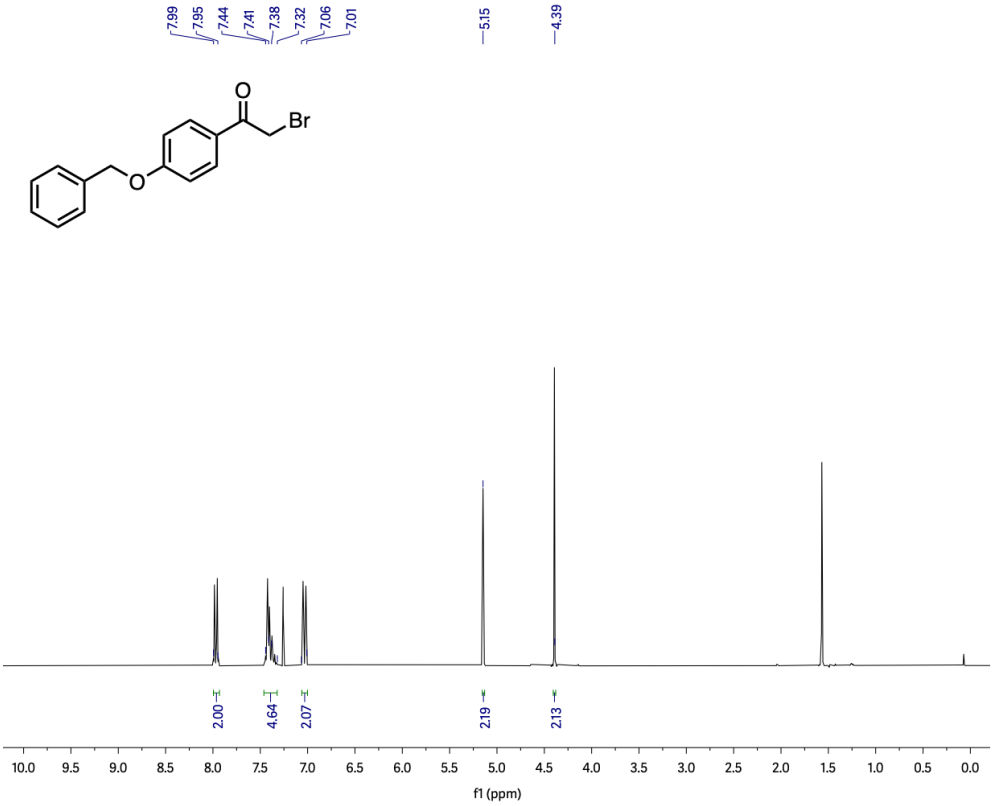
1-(4-(Cyclopentylmethoxy)phenyl)ethan-1-one (**11f**):



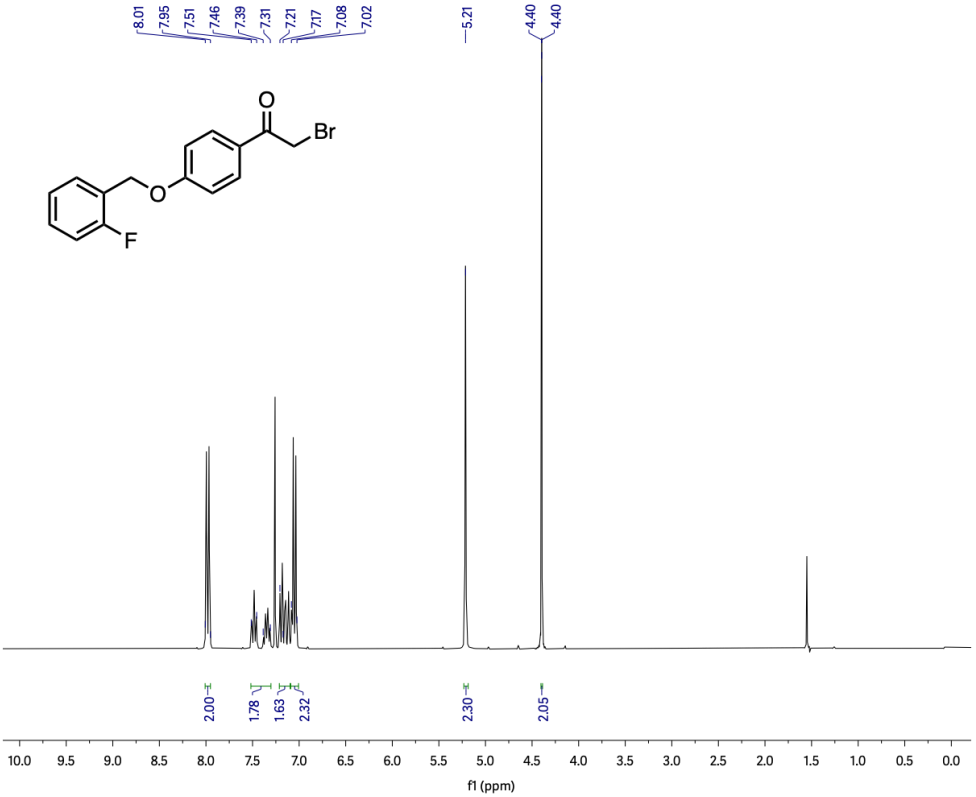
1-(4-(Cyclobutylmethoxy)phenyl)ethan-1-one (**11g**):



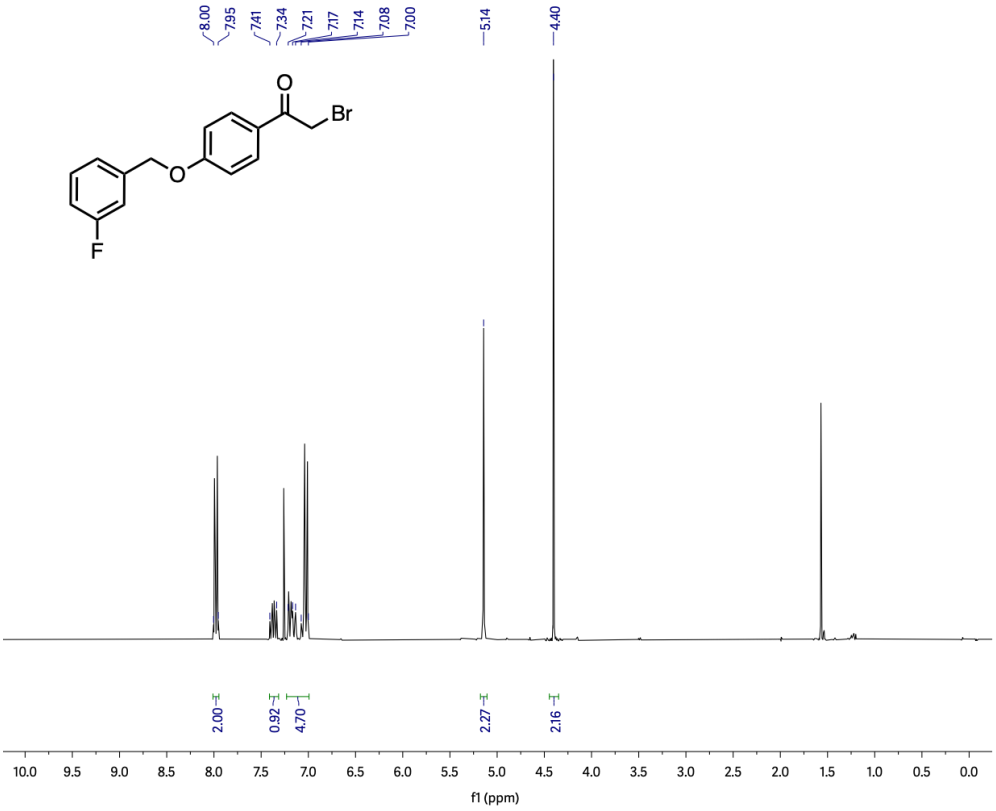
1-(4-(Benzyloxy)phenyl)-2-bromoethan-1-one (**12a**):



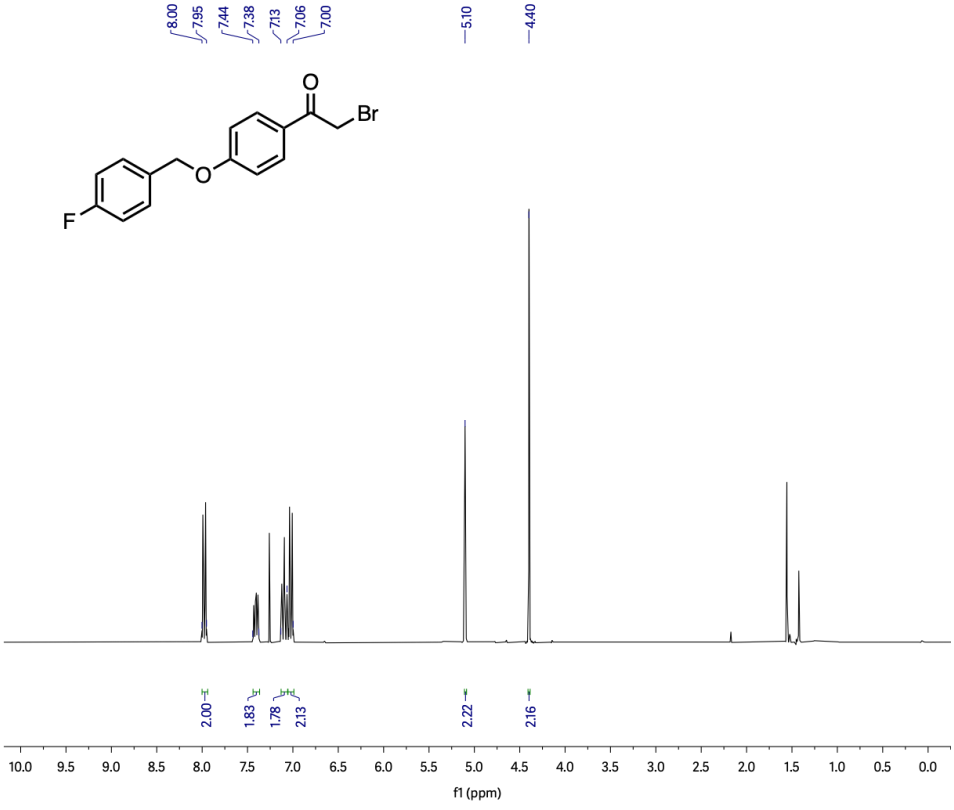
2-Bromo-1-(4-((2-fluorobenzyl)oxy)phenyl)ethan-1-one (**12b**):



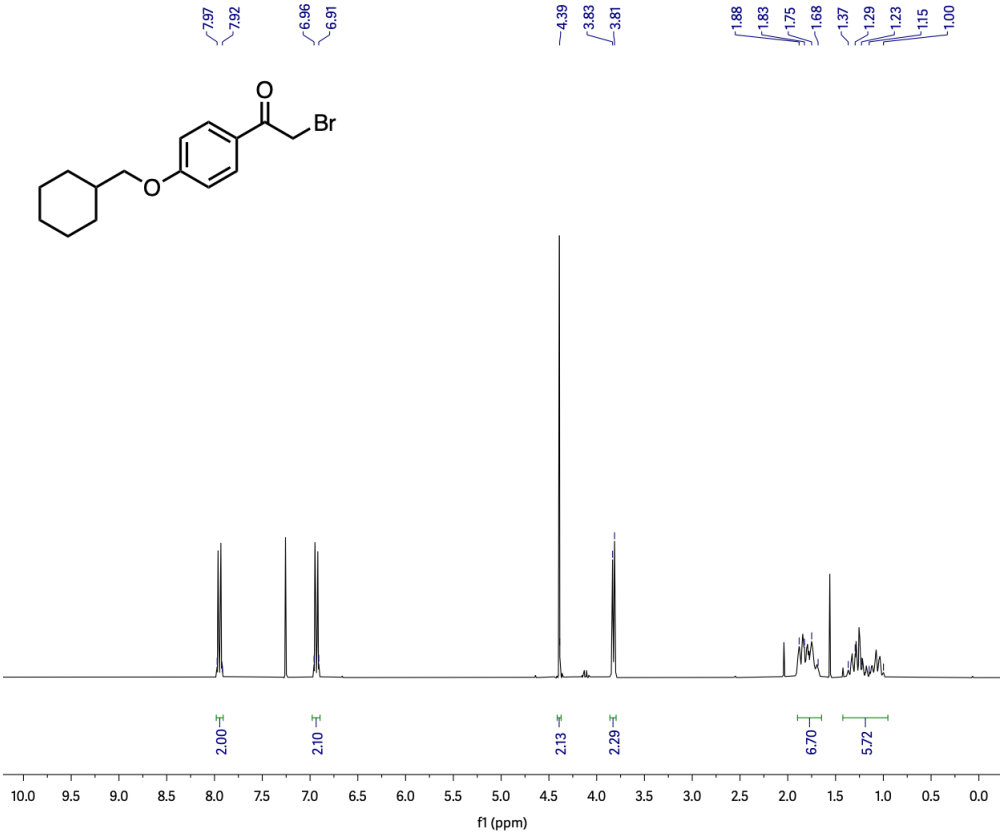
2-Bromo-1-(4-((3-fluorobenzyl)oxy)phenyl)ethan-1-one (**12c**):



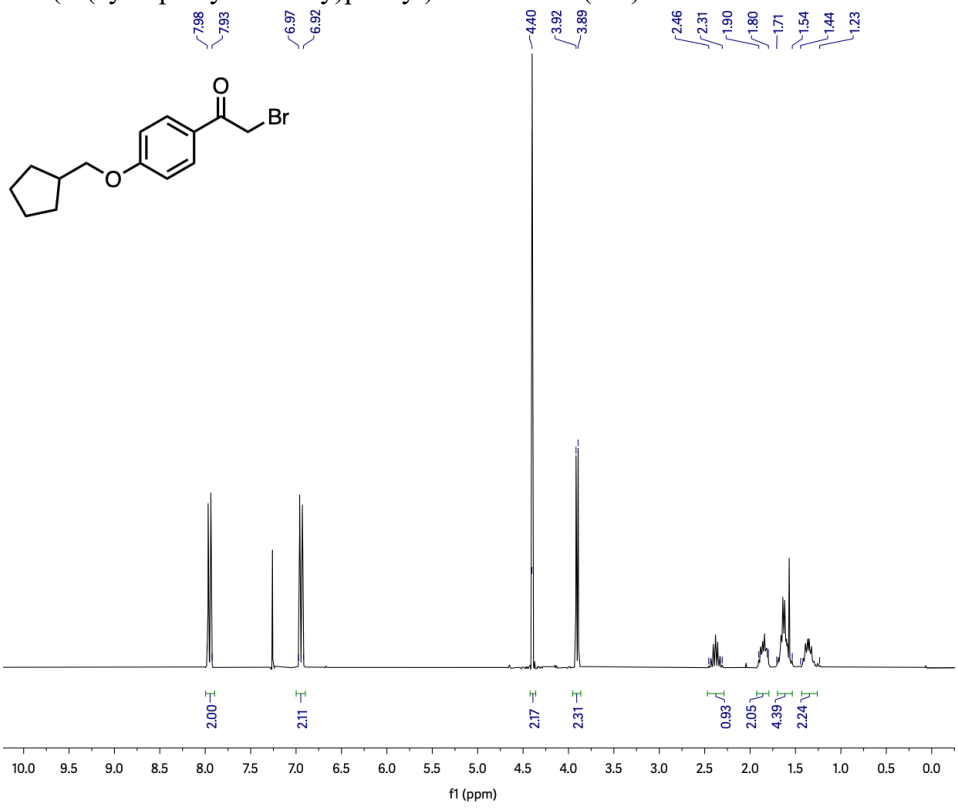
2-Bromo-1-(4-((4-fluorobenzyl)oxy)phenyl)ethan-1-one (**12d**):



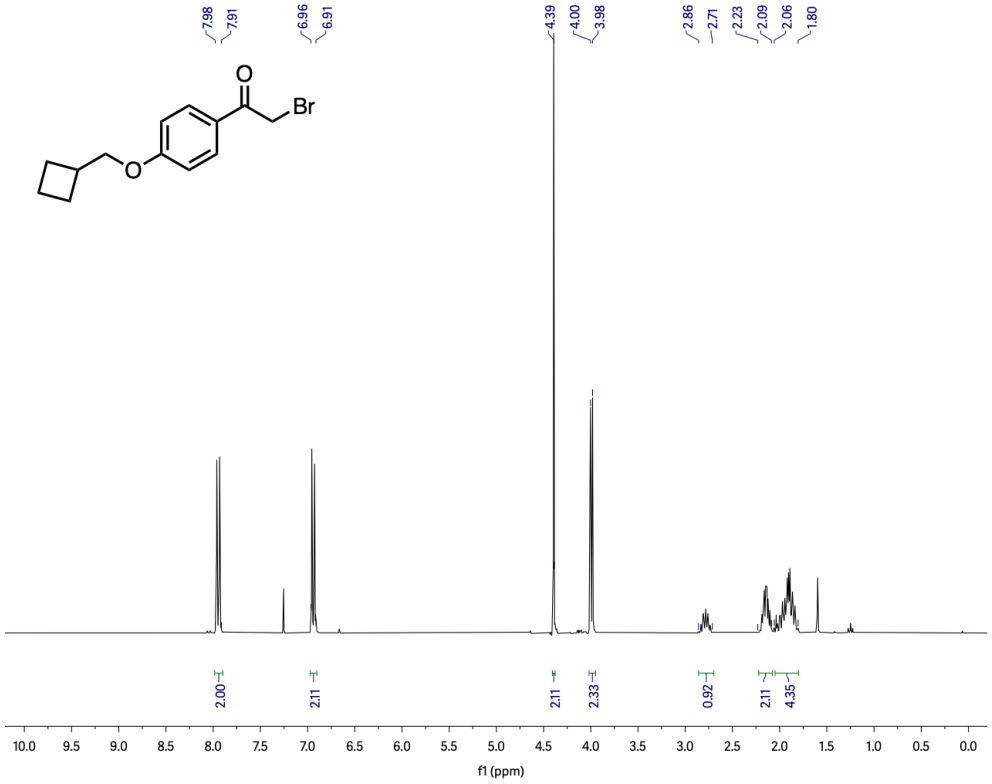
2-Bromo-1-(4-(cyclohexylmethoxy)phenyl)ethan-1-one (**12e**):



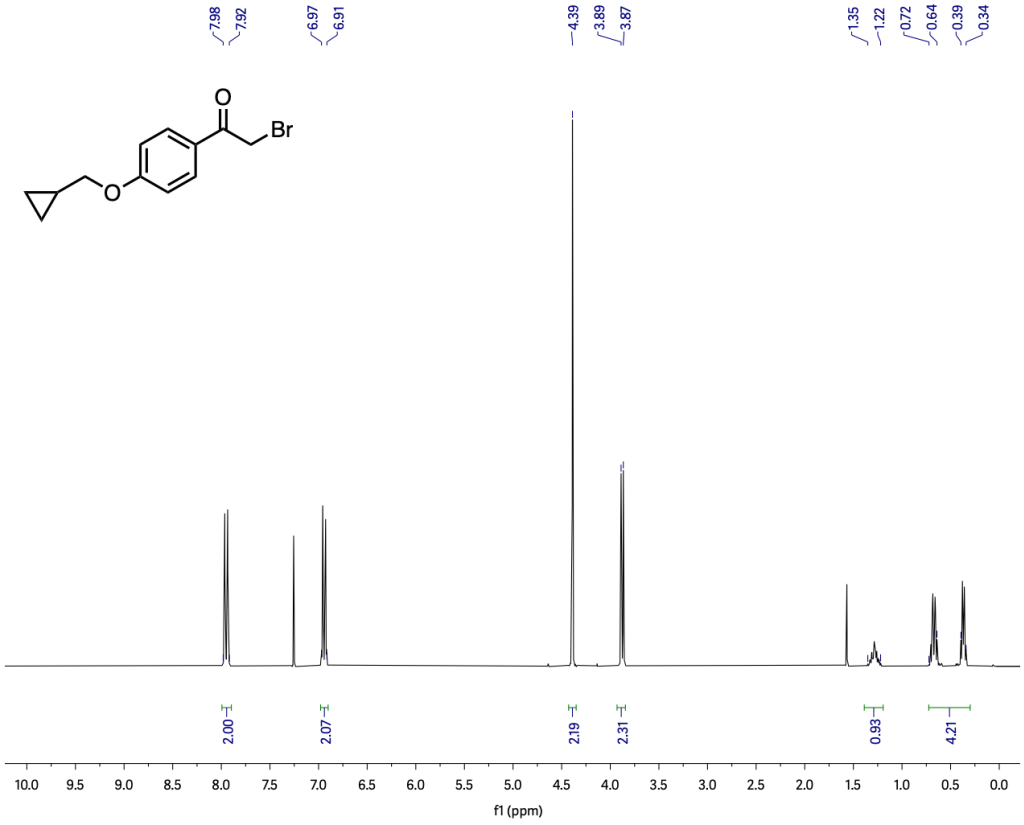
2-Bromo-1-(4-(cyclopentylmethoxy)phenyl)ethan-1-one (**12f**):



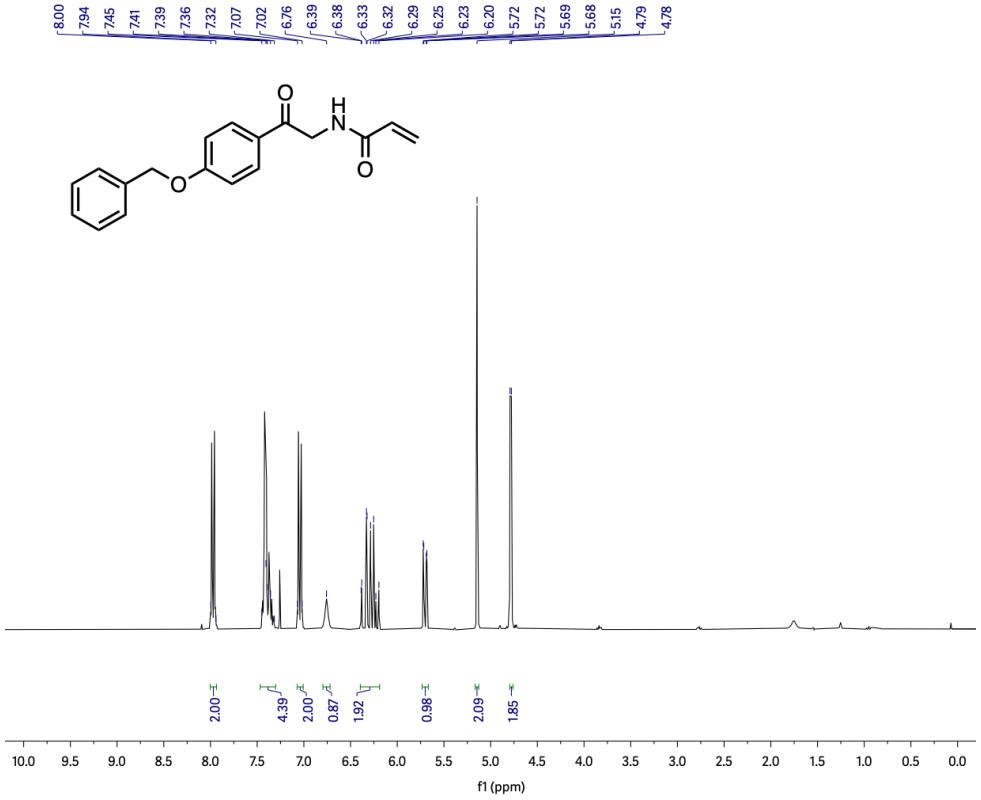
2-Bromo-1-(4-(cyclobutylmethoxy)phenyl)ethan-1-one (**12g**):



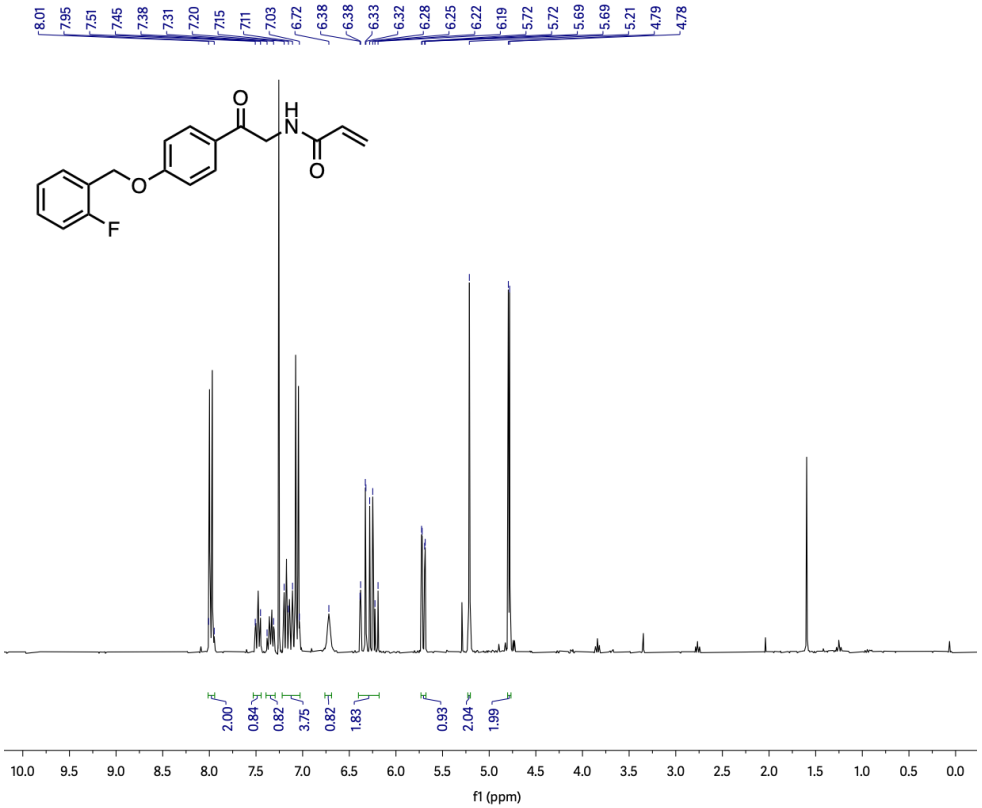
2-Bromo-1-(4-(cyclopropylmethoxy)phenyl)ethan-1-one (**12h**):



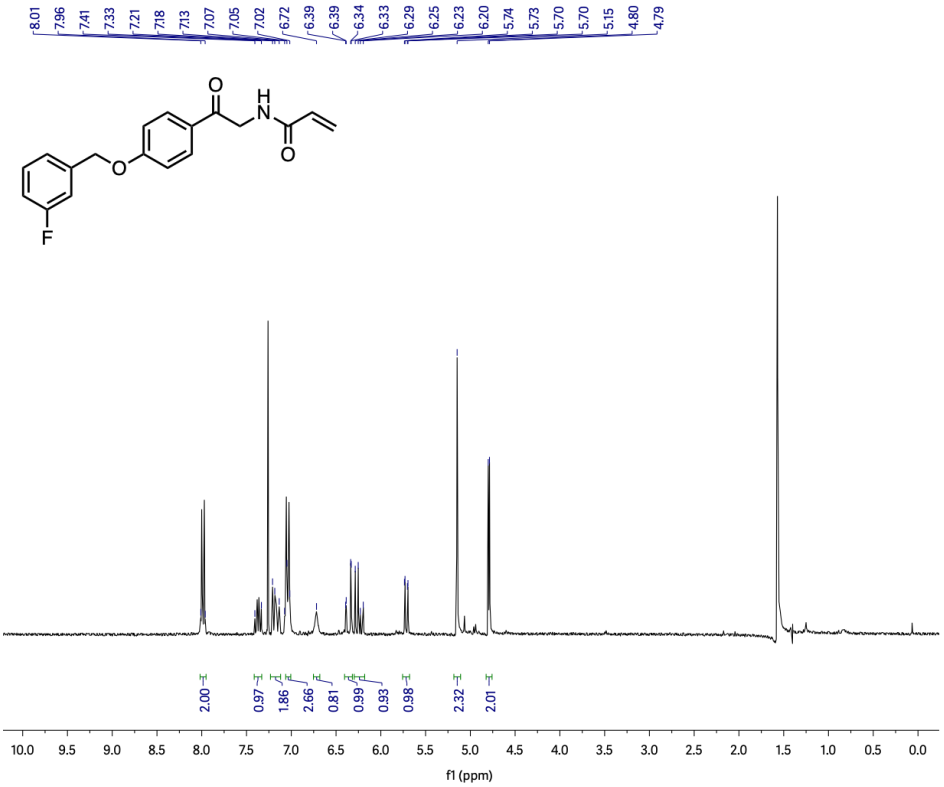
N-(2-(4-(Benzyloxy)phenyl)-2-oxoethyl)acrylamide (**14a**):



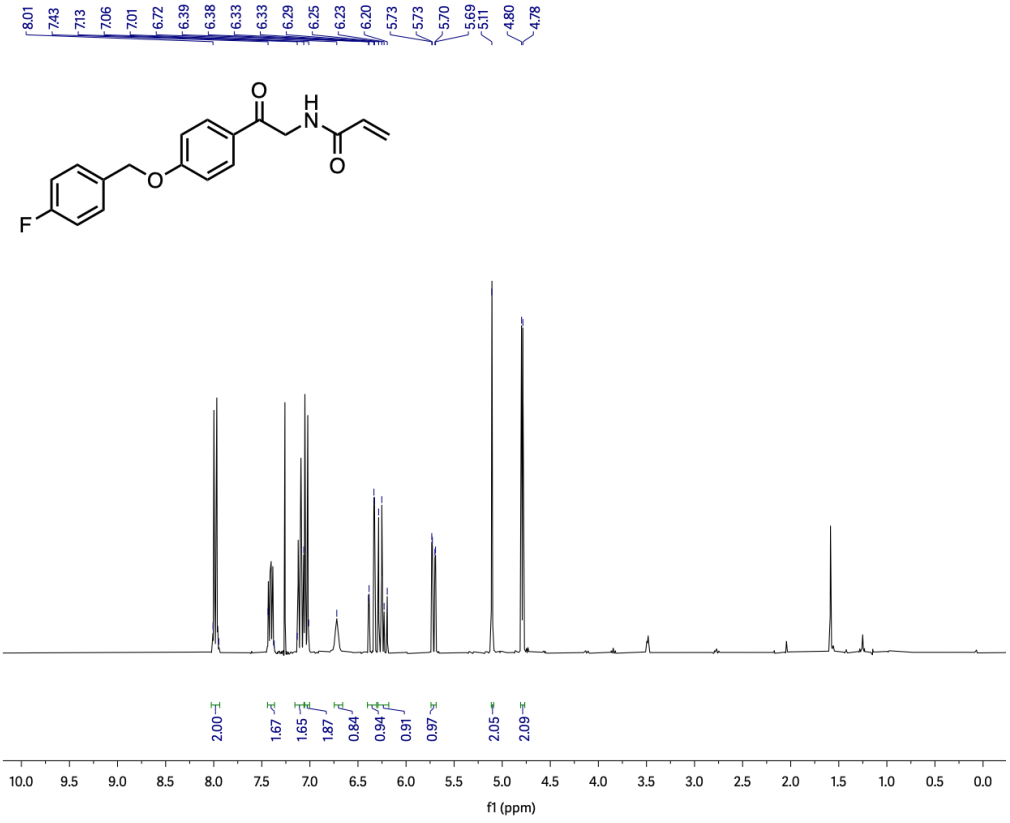
N-(2-(4-((2-Fluorobenzyl)oxy)phenyl)-2-oxoethyl)acrylamide (**14b**):



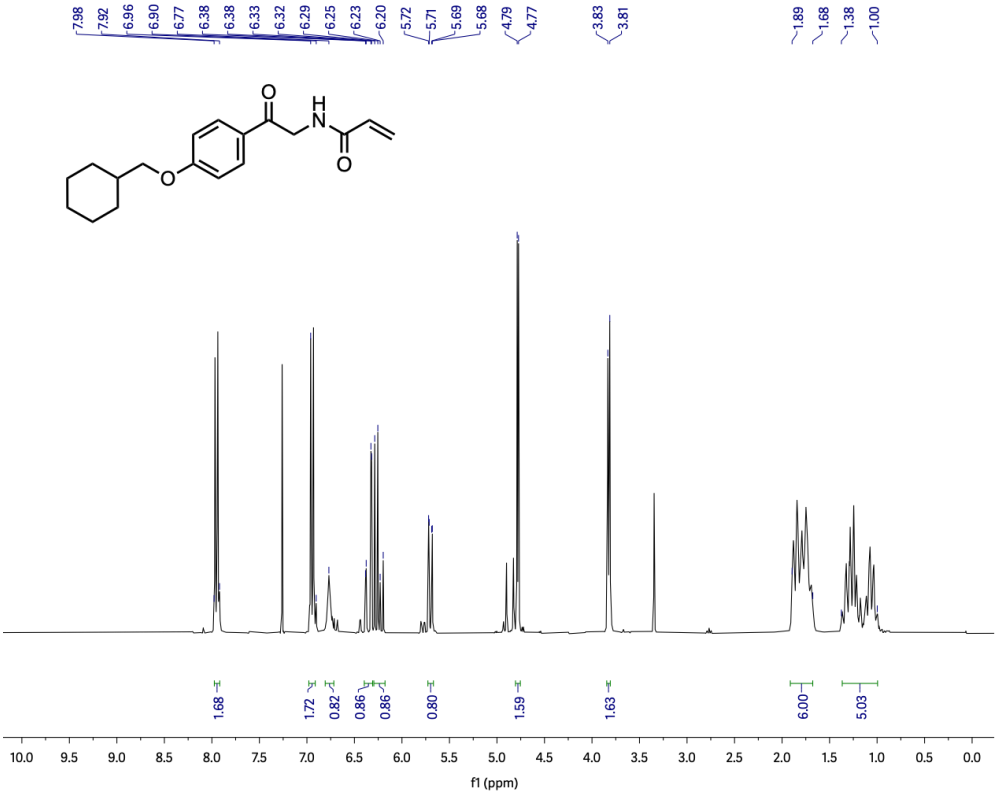
N-(2-(4-((3-Fluorobenzyl)oxy)phenyl)-2-oxoethyl)acrylamide (**14c**):



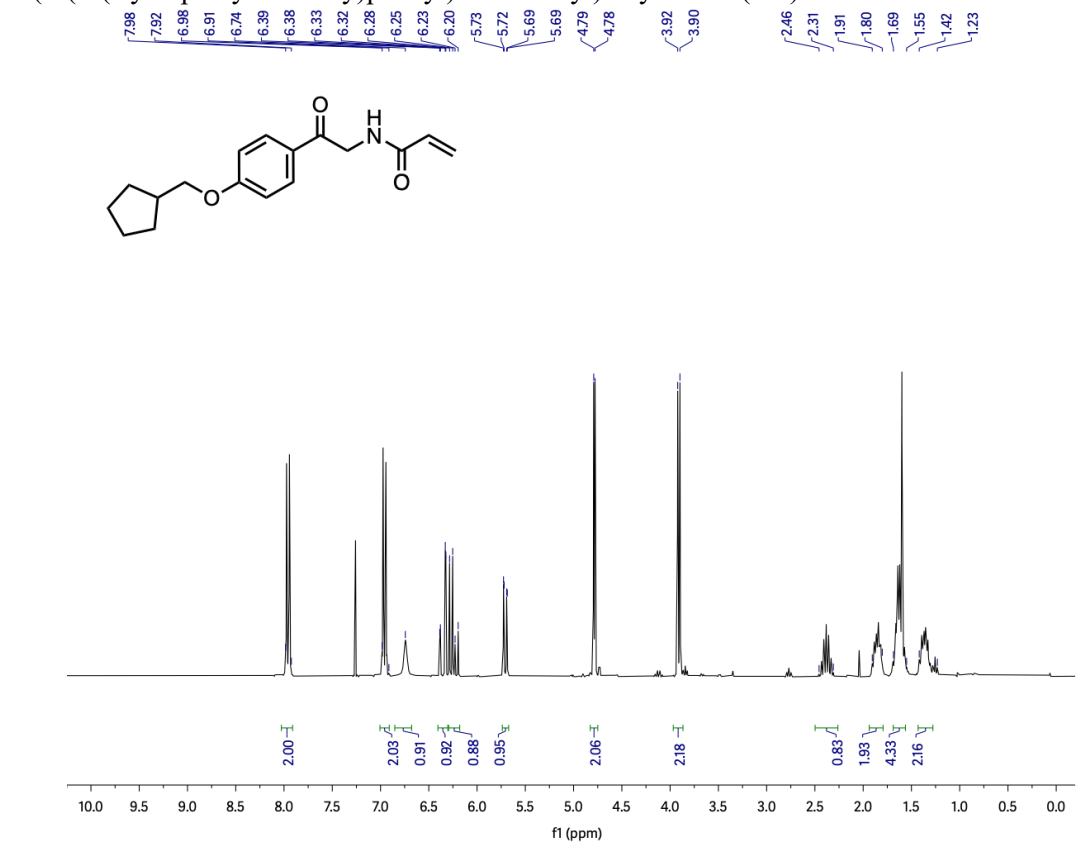
N-(2-(4-((4-Fluorobenzyl)oxy)phenyl)-2-oxoethyl)acrylamide (**14d**):



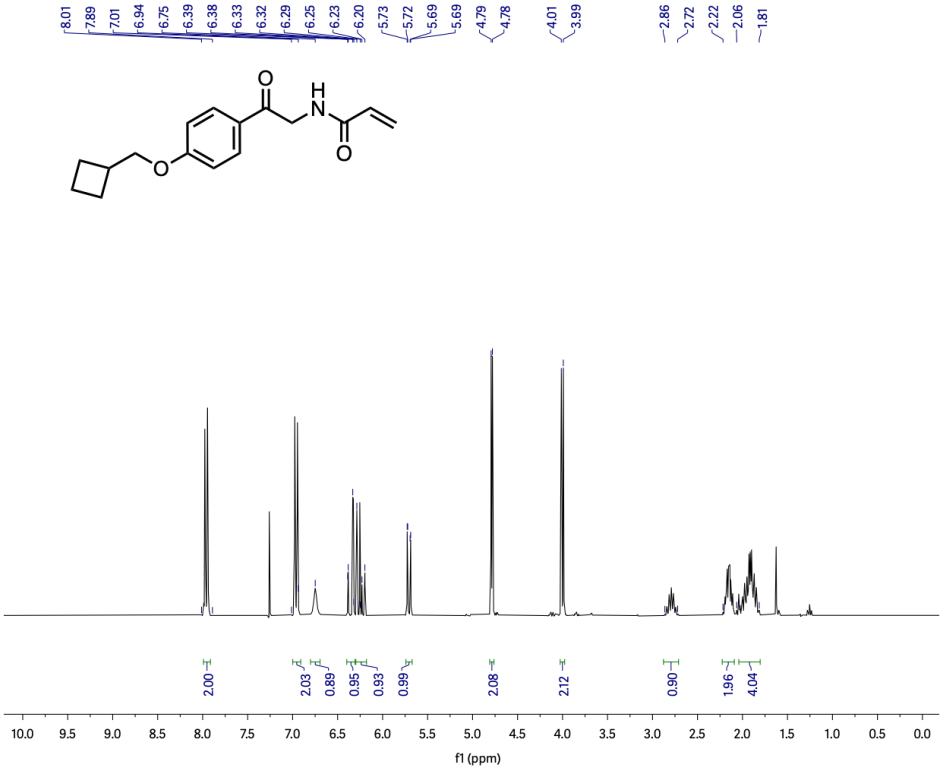
N-(2-(4-(2-Cyclohexylethyl)phenyl)-2-oxoethyl)acrylamide (**14e**):



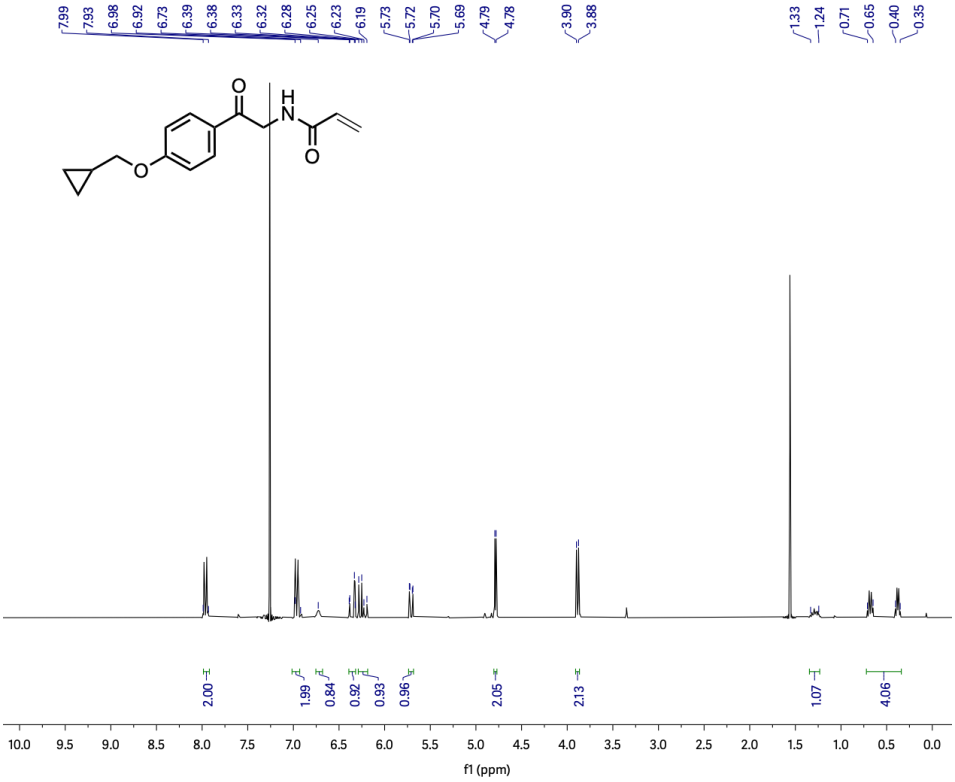
N-(2-(4-(Cyclopentylmethoxy)phenyl)-2-oxoethyl)acrylamide (**14f**):



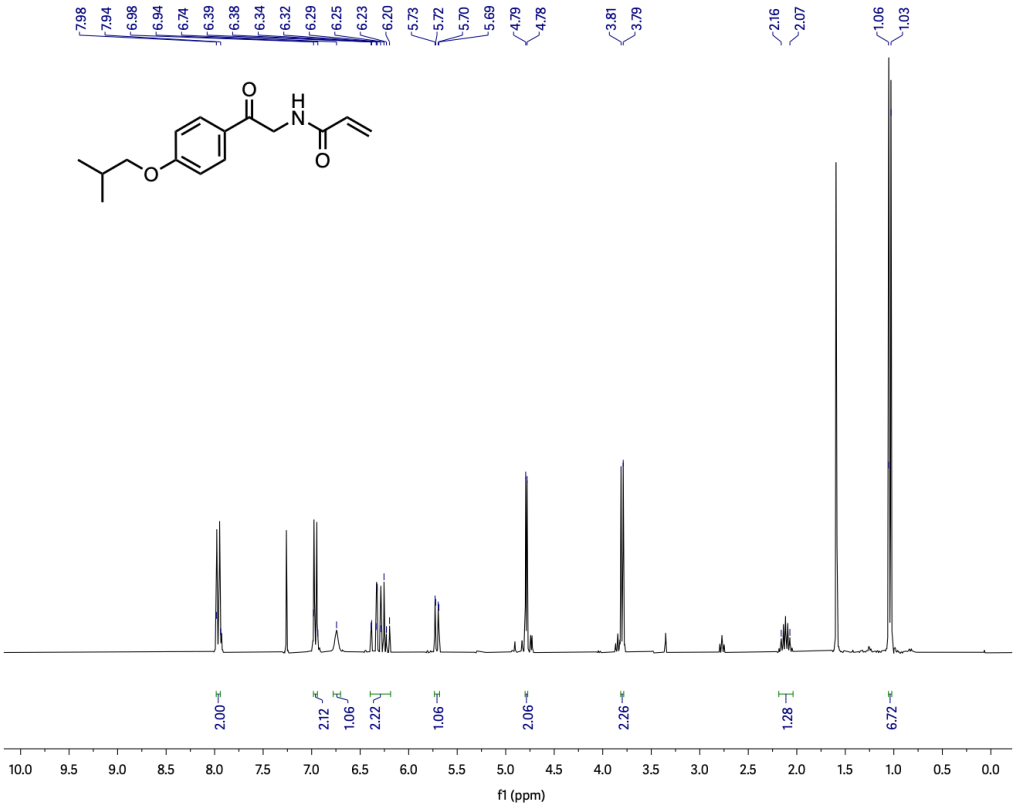
N-(2-(4-(Cyclobutylmethoxy)phenyl)-2-oxoethyl)acrylamide (**14g**):



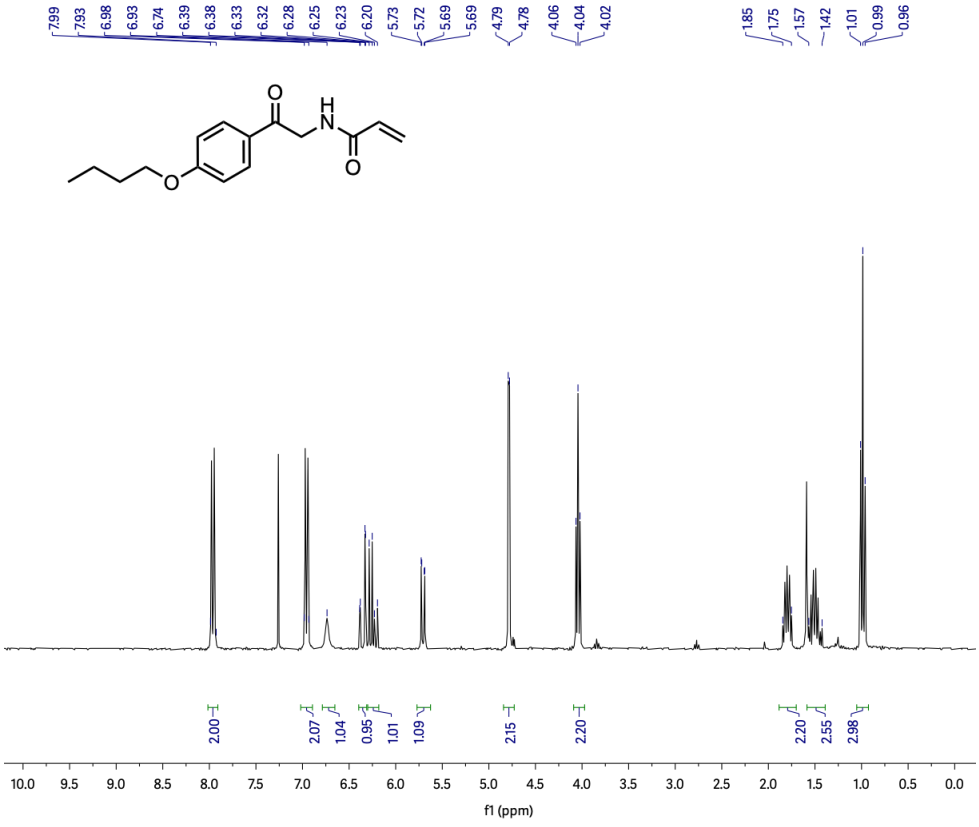
N-(2-(4-(Cyclopropylmethoxy)phenyl)-2-oxoethyl)acrylamide (**14h**):



N-(2-(4-Isobutoxyphenyl)-2-oxoethyl)acrylamide (**14i**):

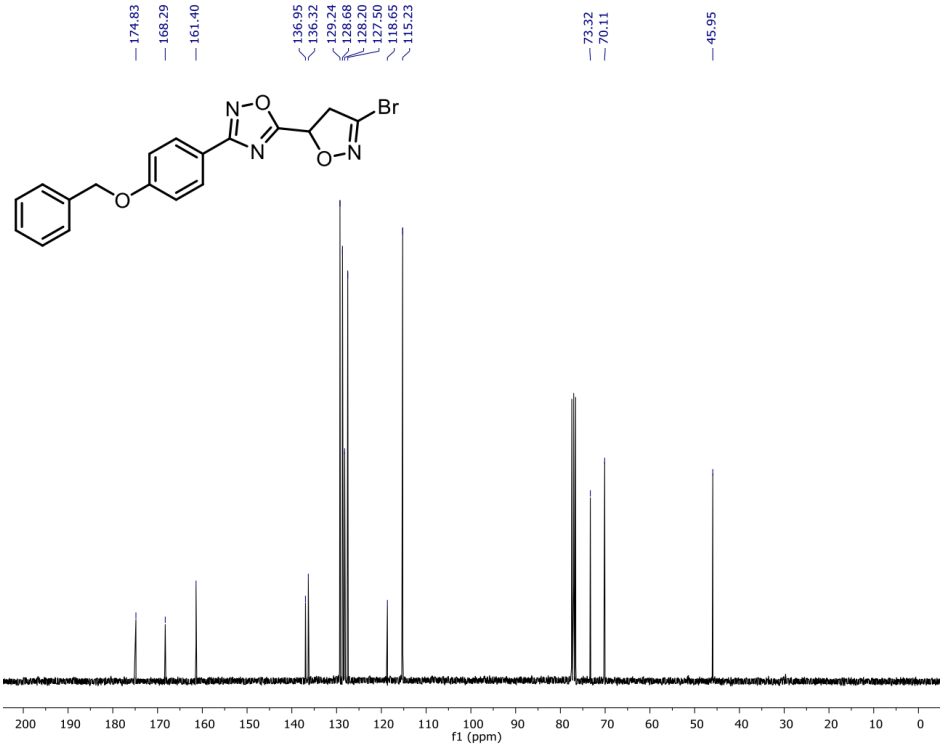


N-(2-(4-Butoxyphenyl)-2-oxoethyl)acrylamide (**14l**):

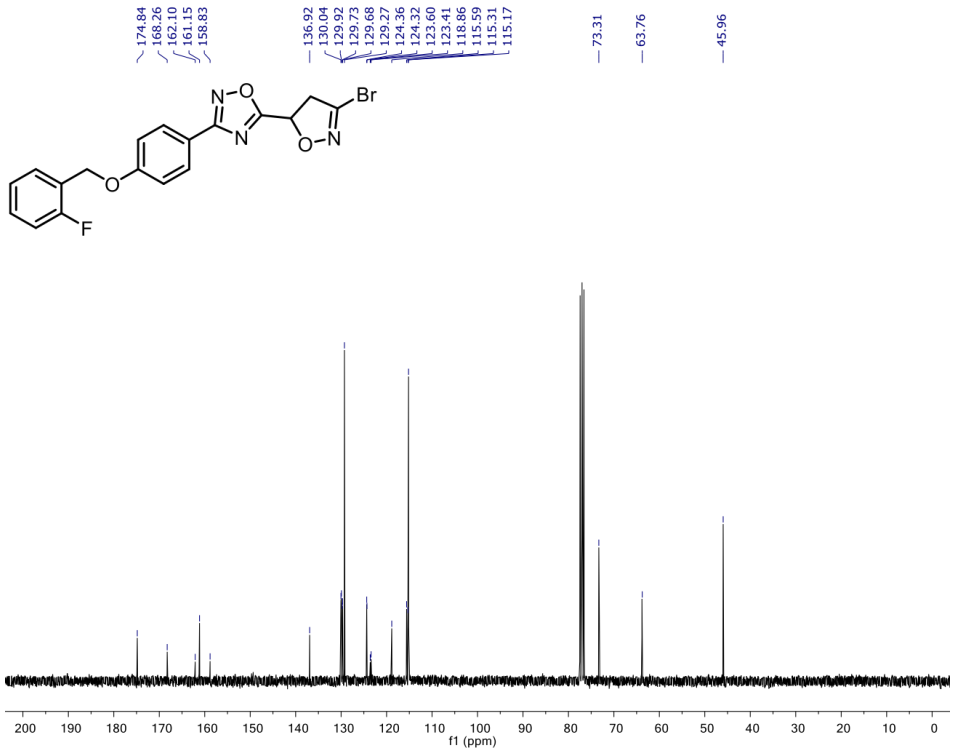


^{13}C NMR Spectra

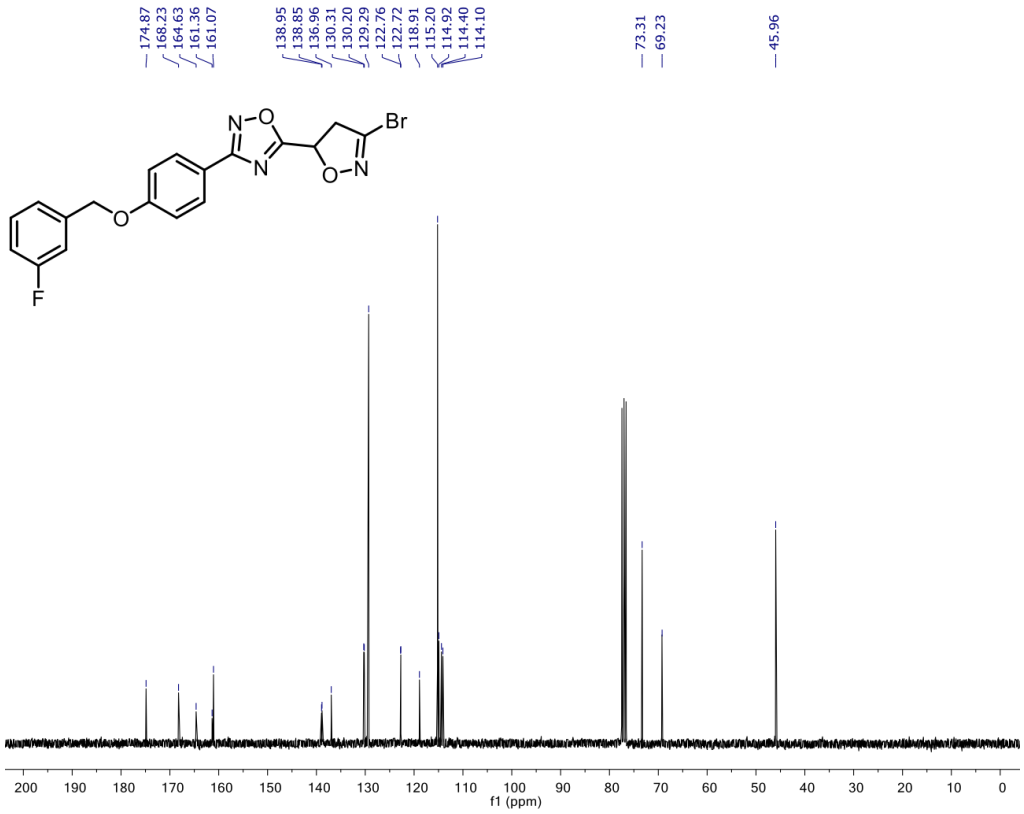
3-(4-(Benzyloxy)phenyl)-5-(3-bromo-4,5-dihydroisoxazol-5-yl)-1,2,4-oxadiazole (**2a**):



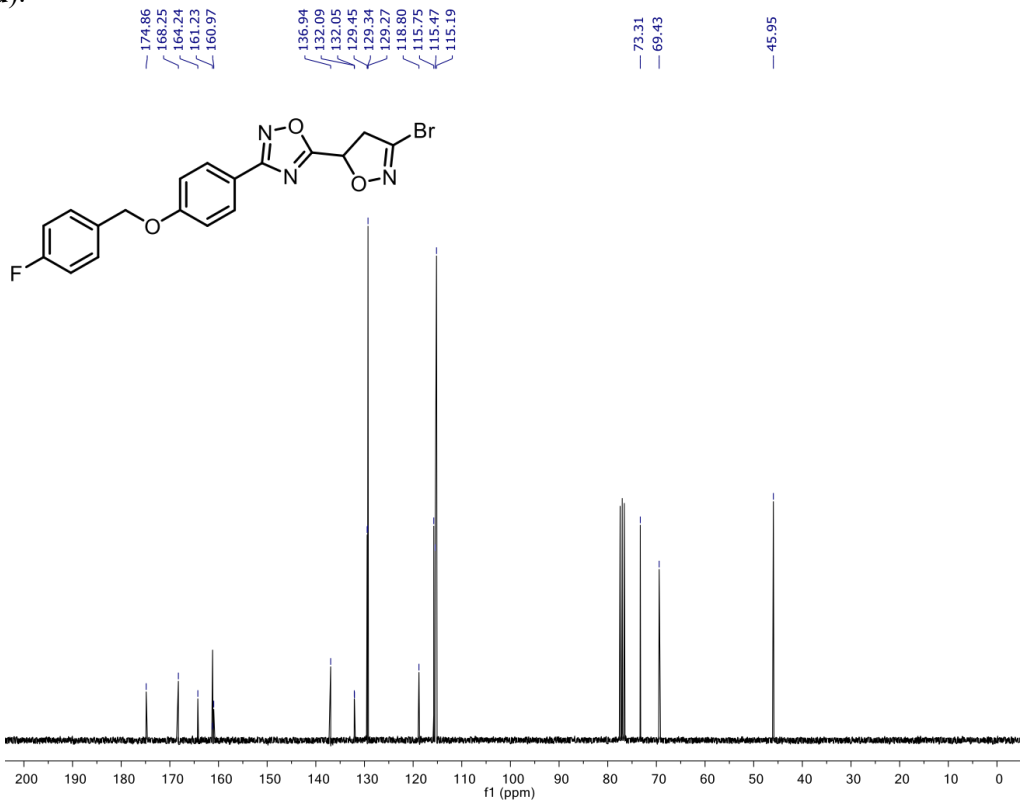
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((2-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (**2b**):



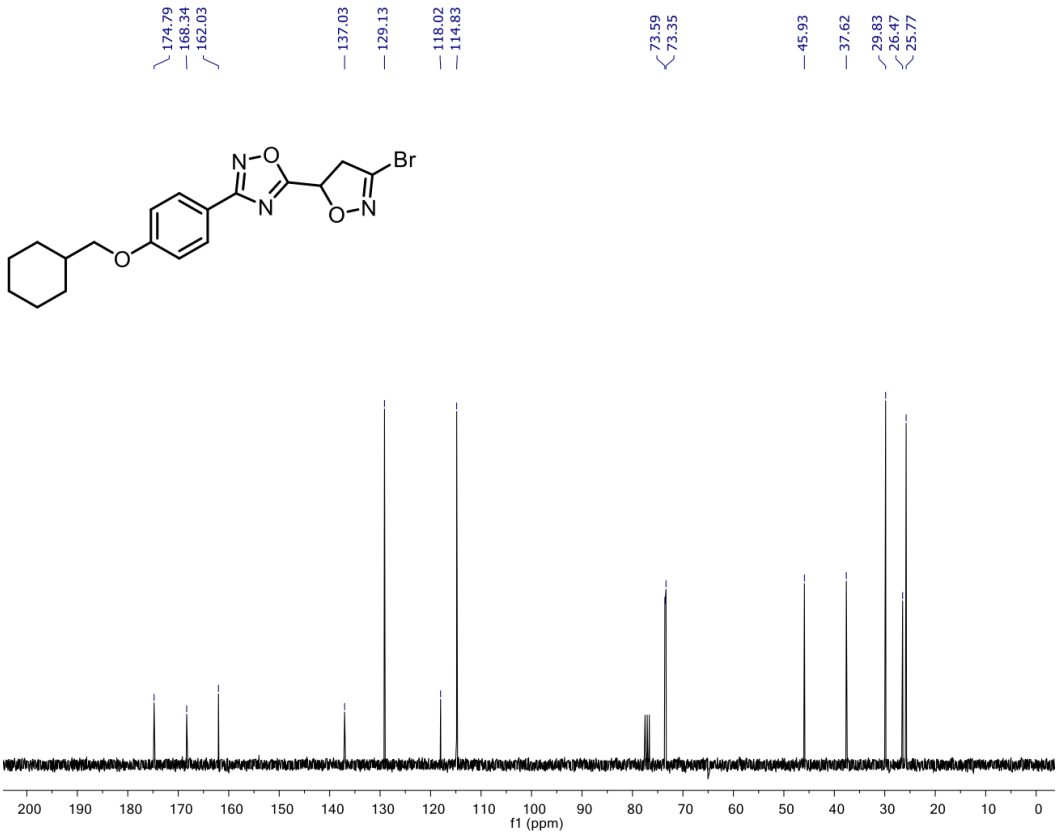
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((3-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (**2c**):



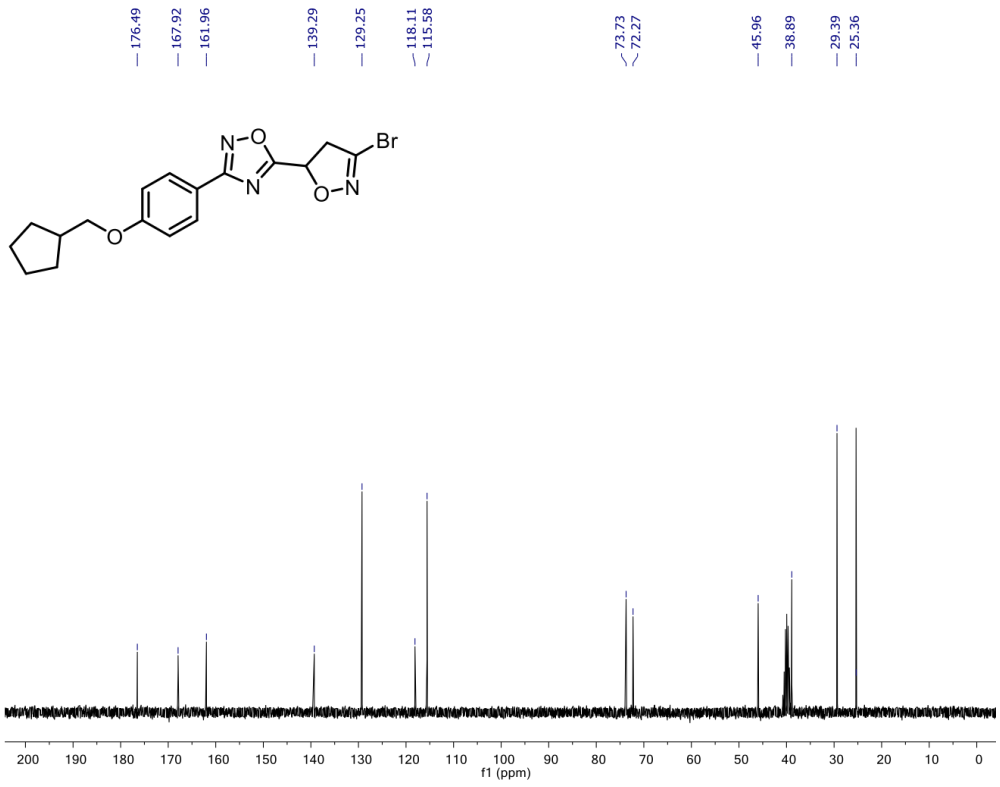
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((4-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (**2d**):



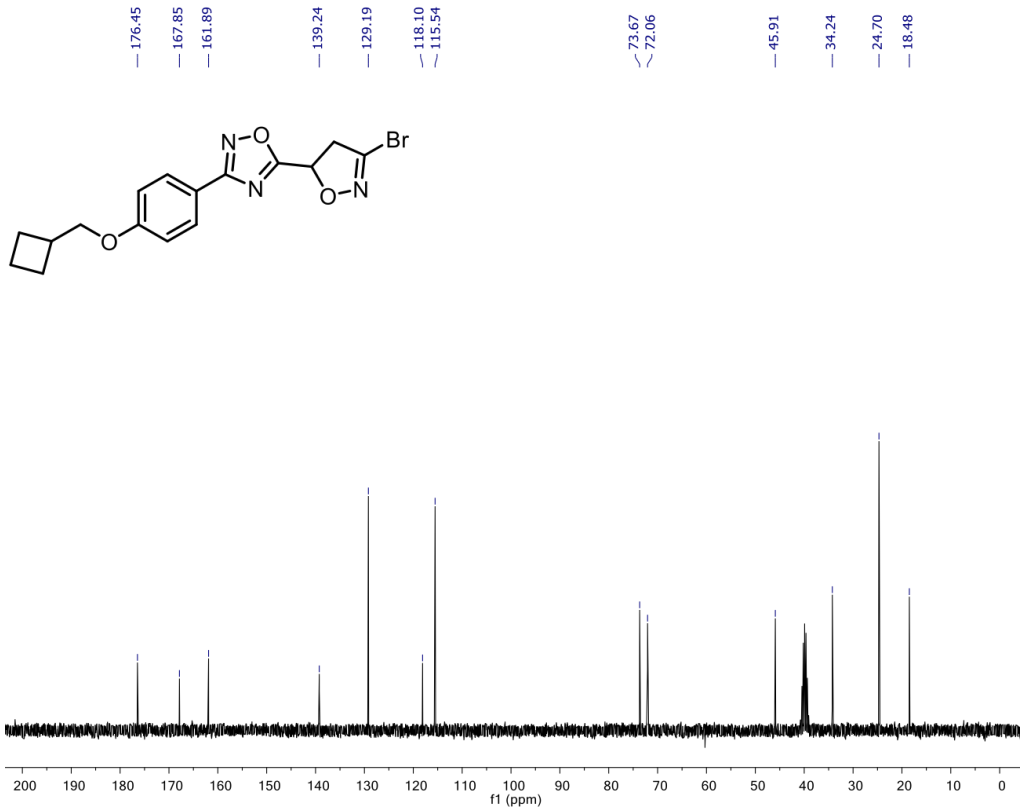
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclohexylmethoxy)phenyl)-1,2,4-oxadiazole (**2e**):



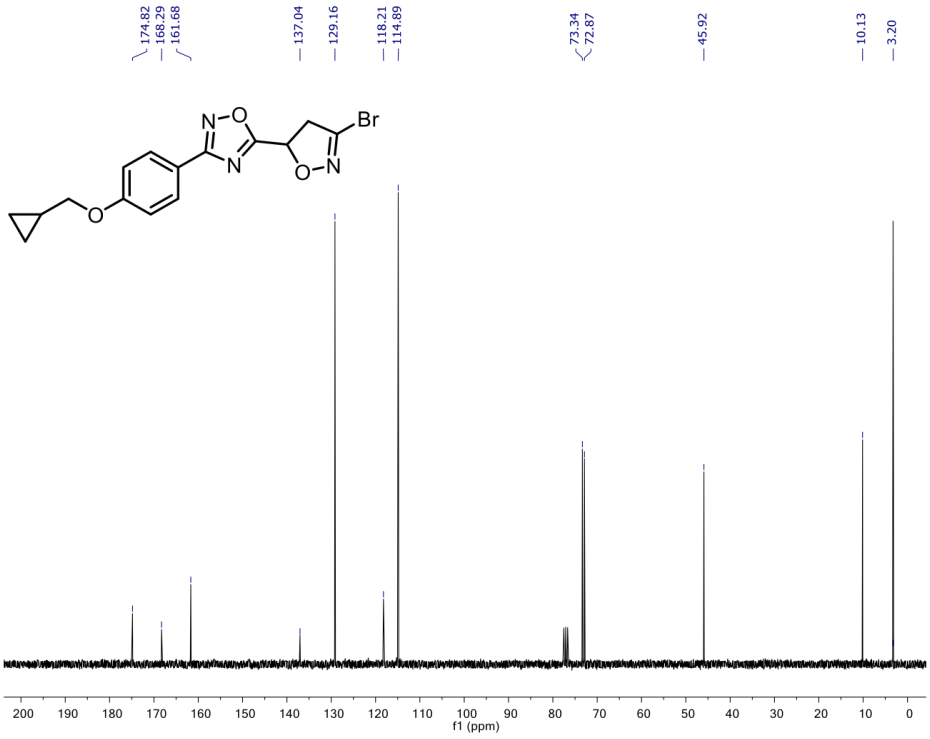
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclopentylmethoxy)phenyl)-1,2,4-oxadiazole (**2f**):



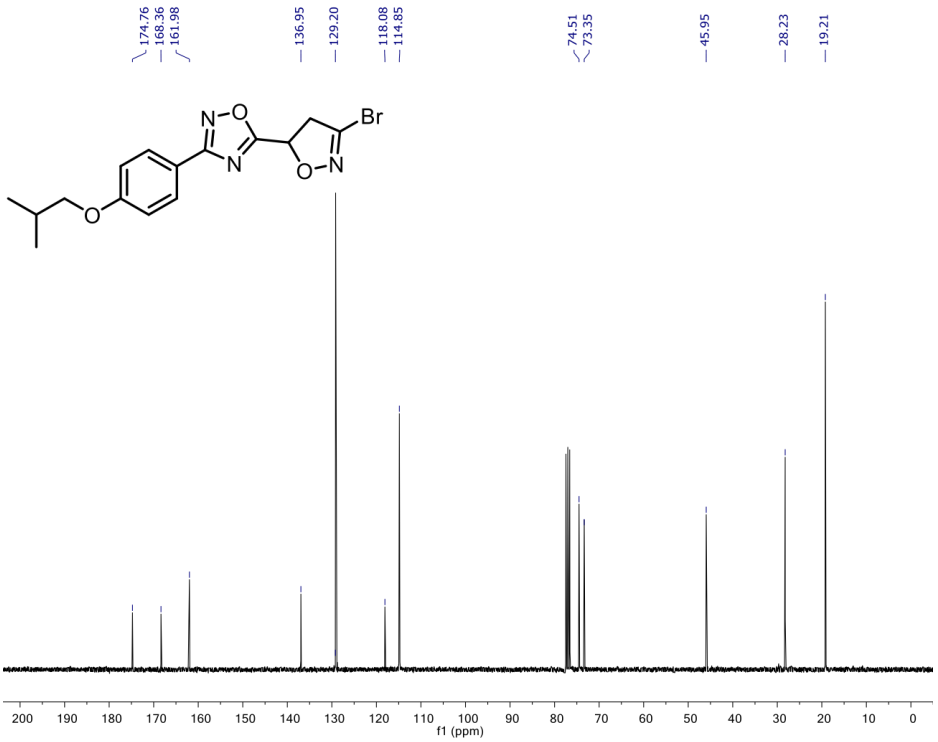
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclobutylmethoxy)phenyl)-1,2,4-oxadiazole (**2g**):



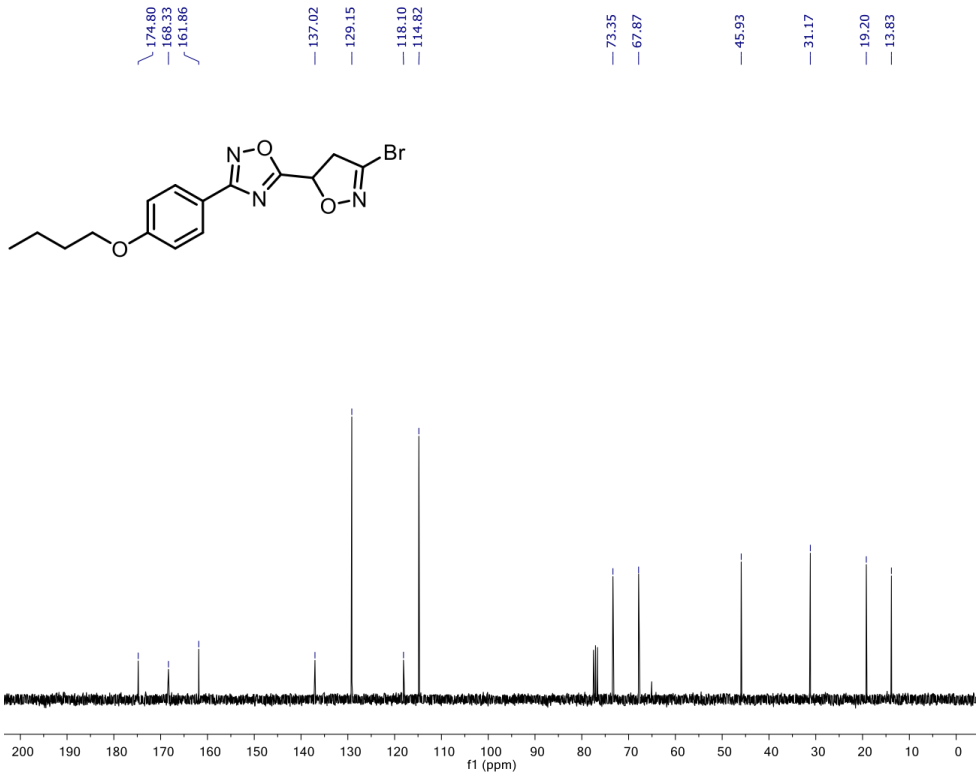
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclopropylmethoxy)phenyl)-1,2,4-oxadiazole (**2h**):



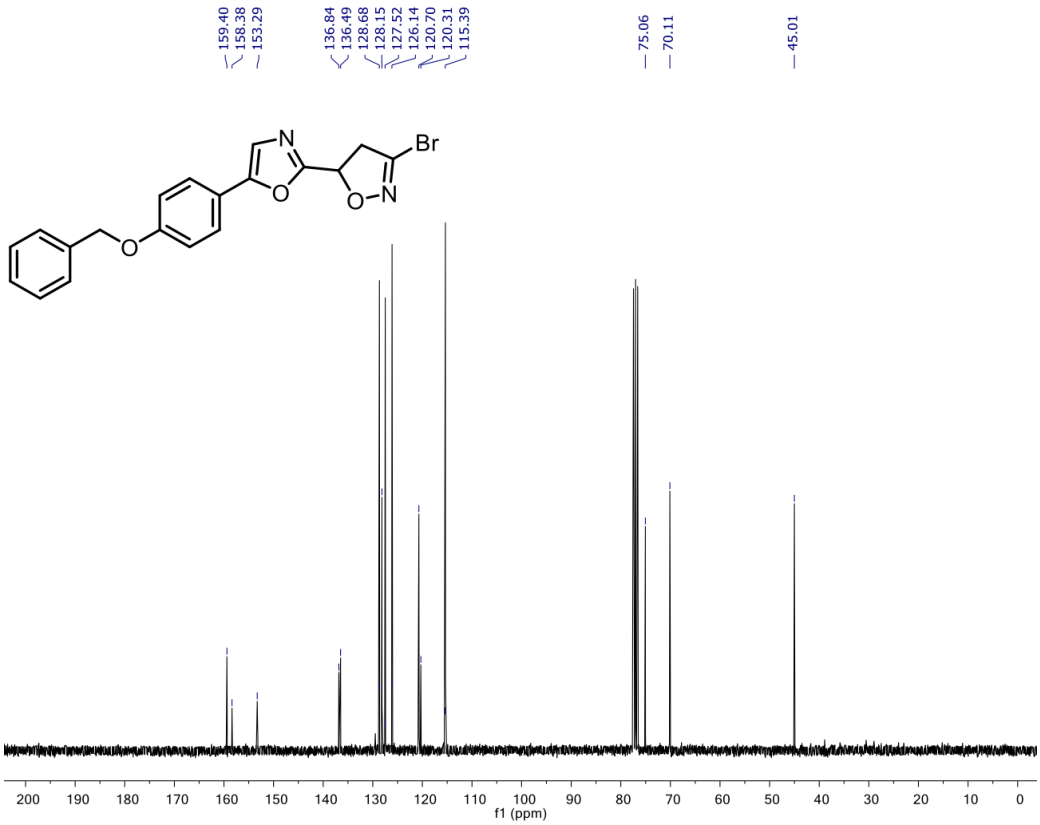
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-isobutoxyphenyl)-1,2,4-oxadiazole (**2i**):



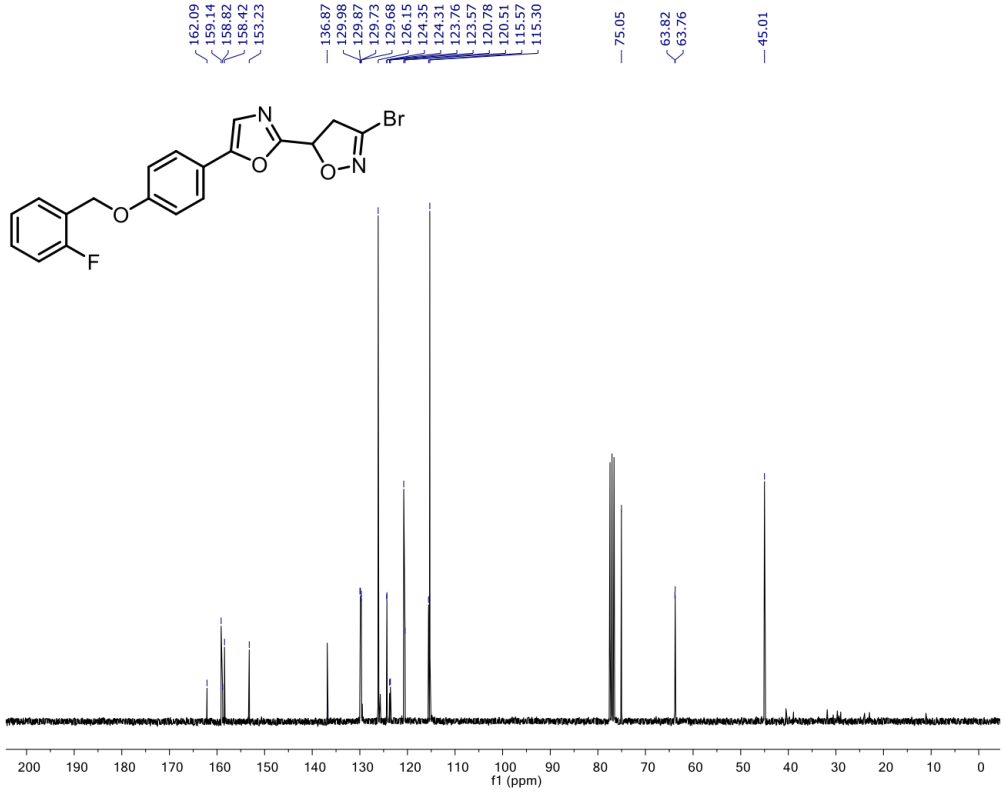
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-butoxyphenyl)-1,2,4-oxadiazole (**2l**):



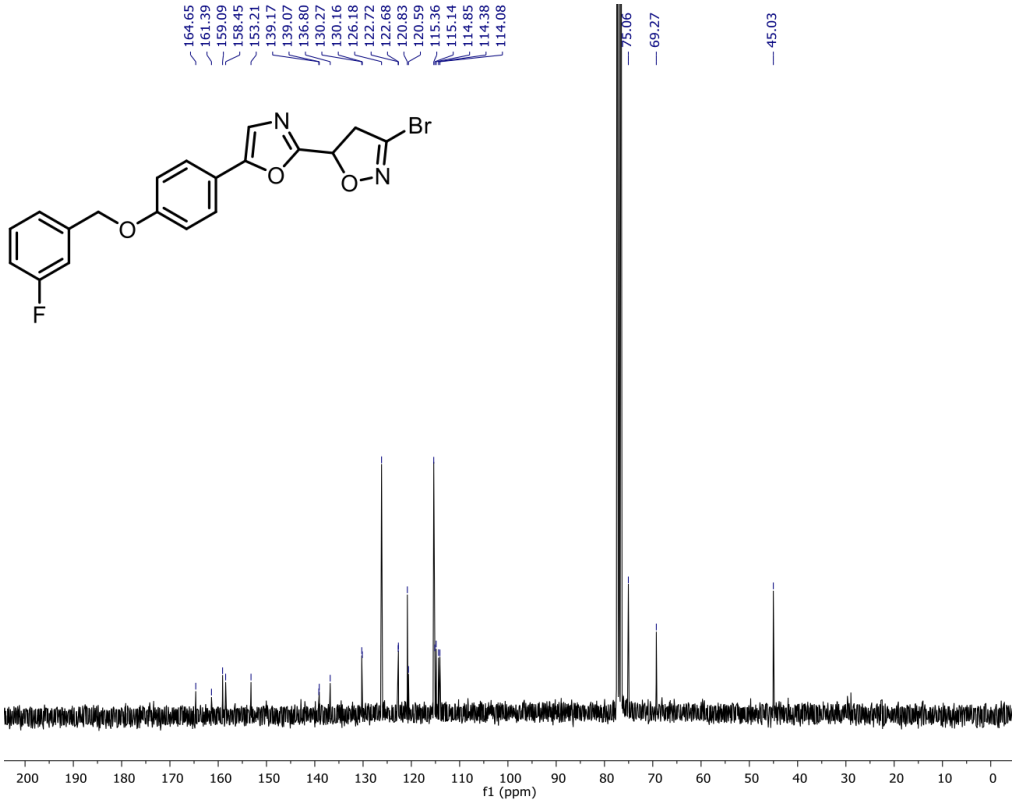
5-(5-(4-(Benzyloxy)phenyl)oxazol-2-yl)-3-bromo-4,5-dihydroisoxazole (**3a**):



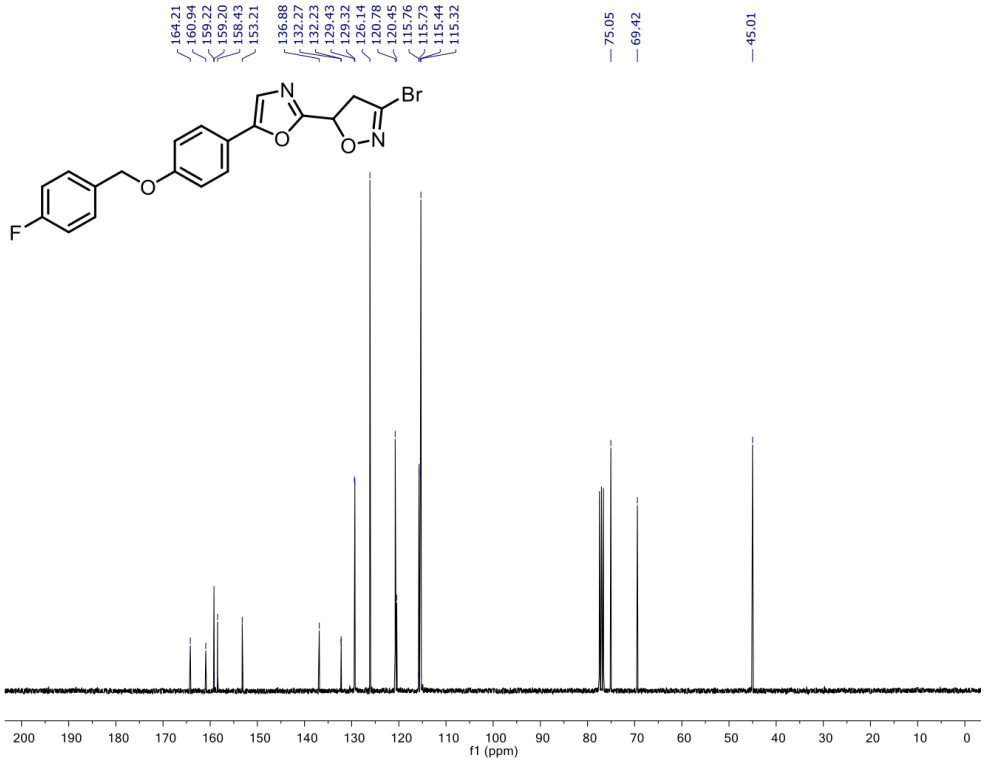
3-Bromo-5-(5-(4-((2-fluorobenzyl)oxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3b**):



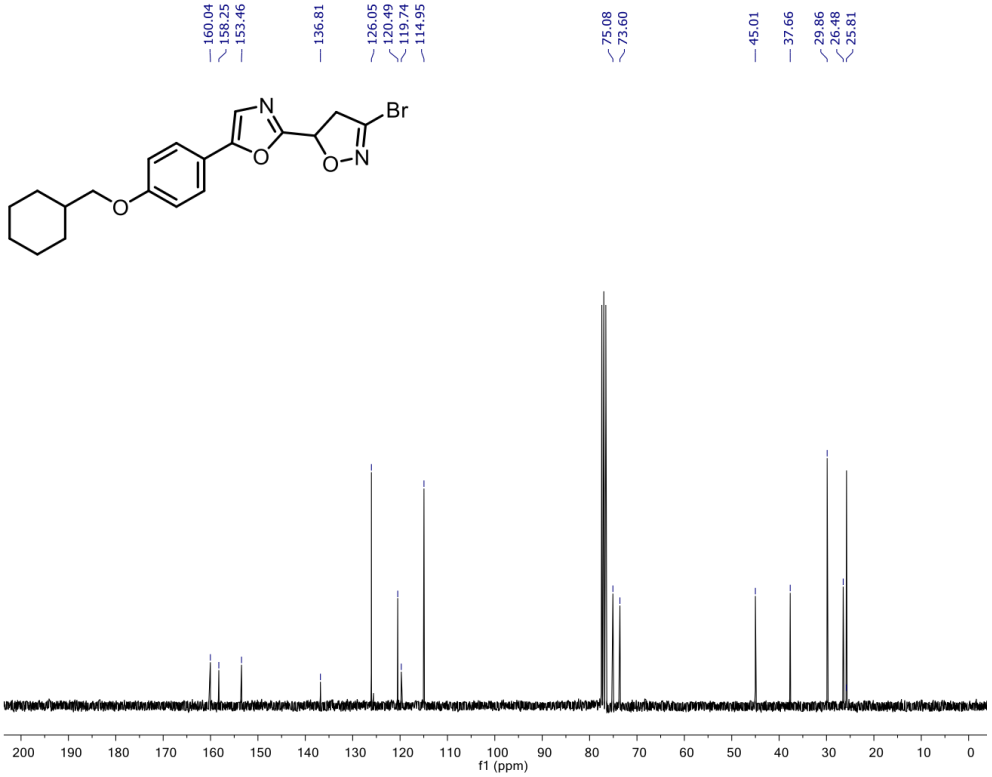
3-Bromo-5-(5-(4-((3-fluorobenzyl)oxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3c**):



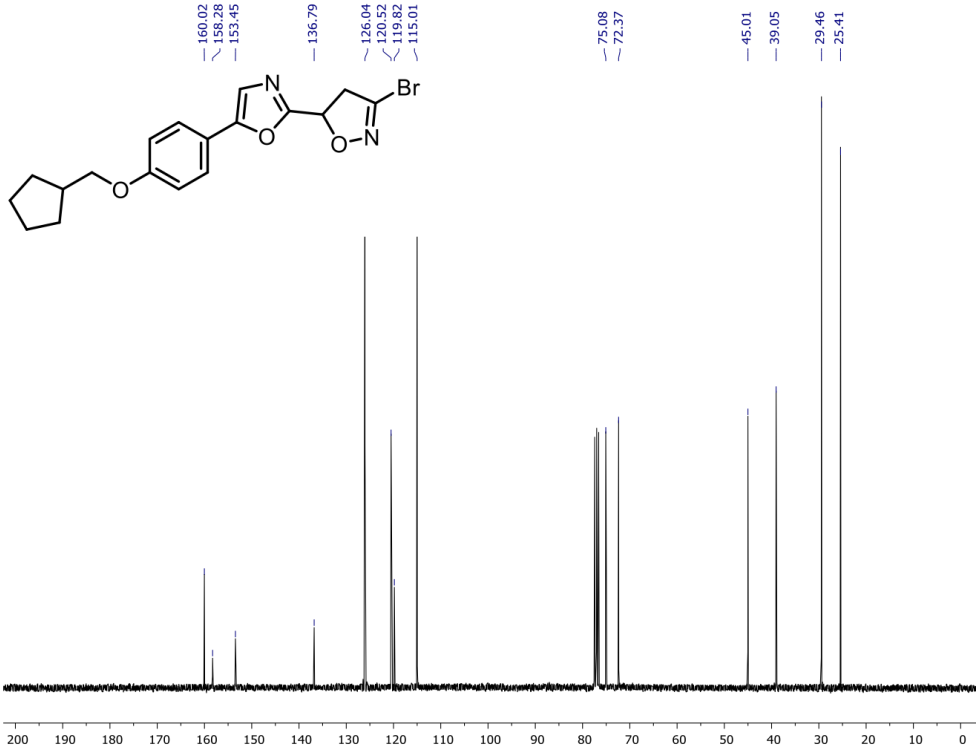
3-Bromo-5-(5-(4-((4-fluorobenzyl)oxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3d**):



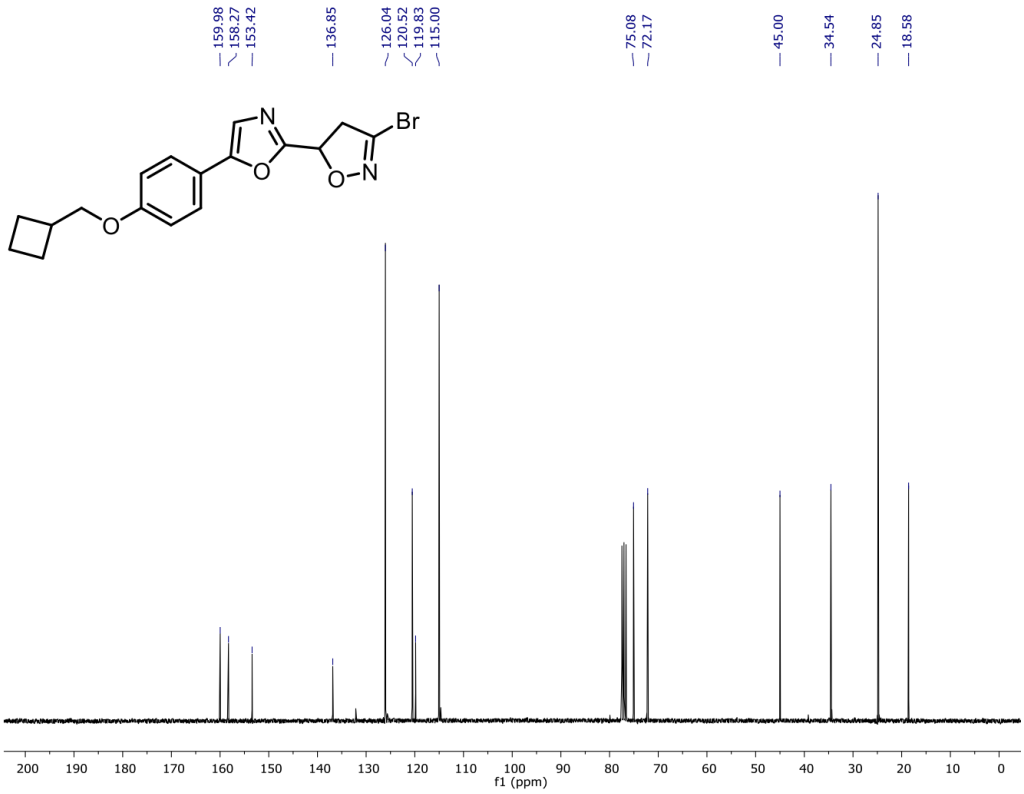
3-Bromo-5-(5-(4-(cyclohexylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3e**):



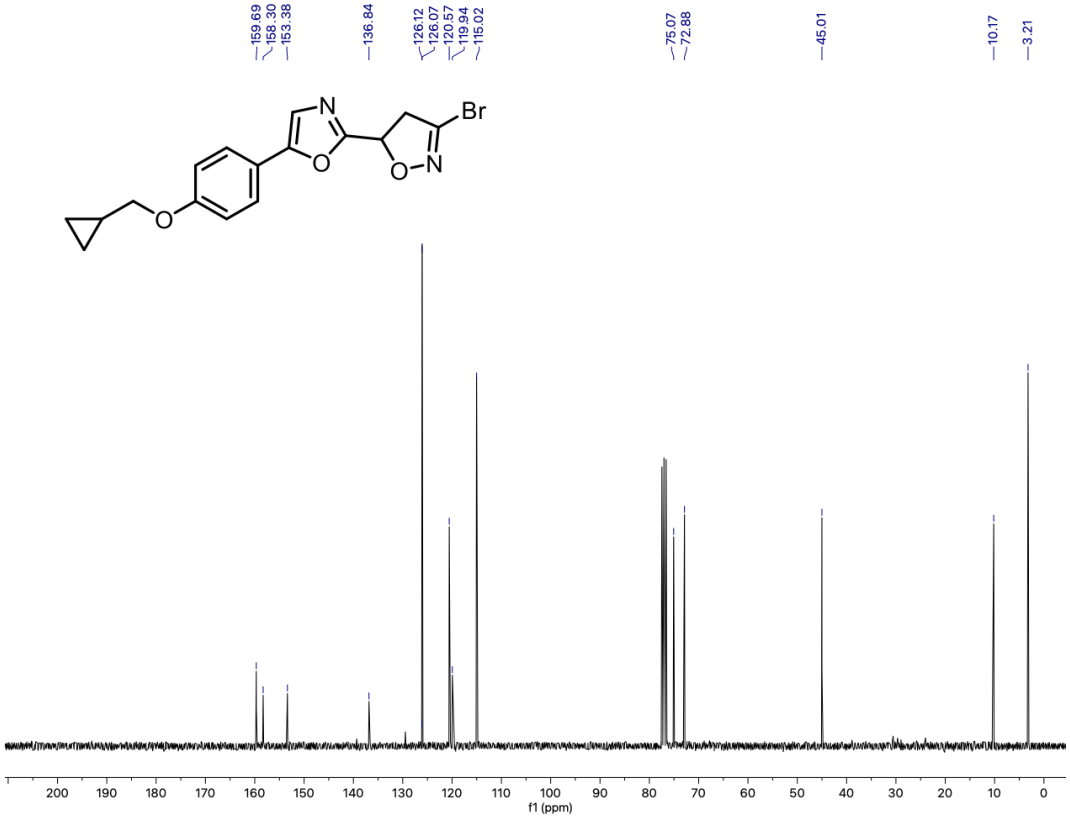
3-Bromo-5-(5-(4-(cyclopentylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3f**):



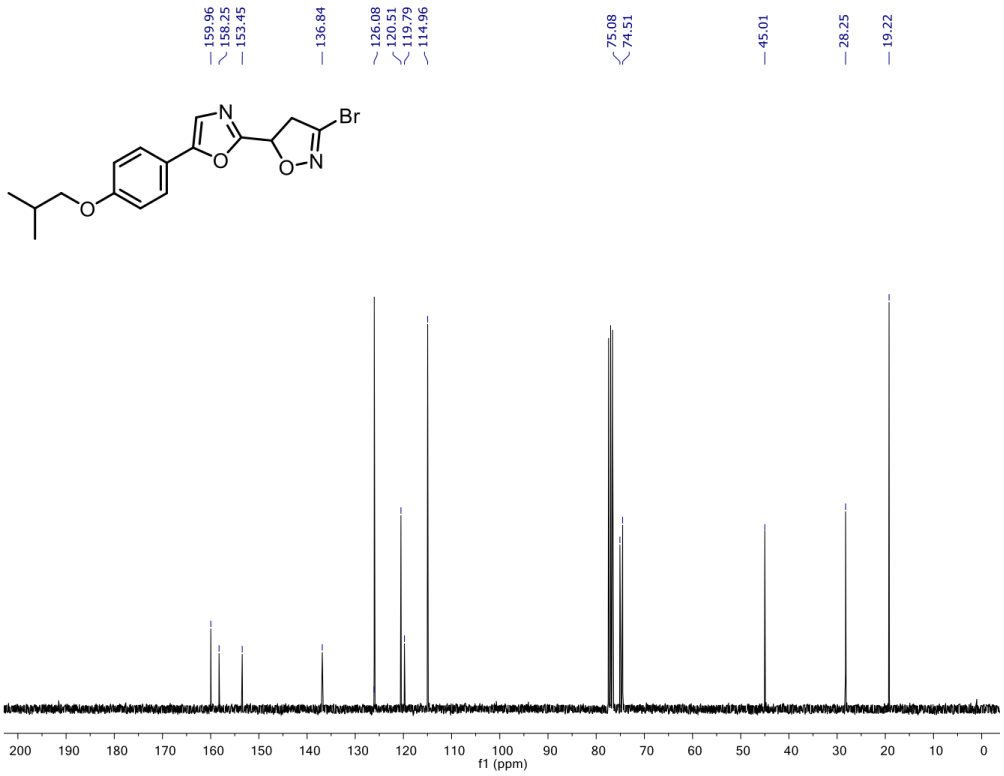
3-Bromo-5-(5-(4-(cyclobutylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3g**):



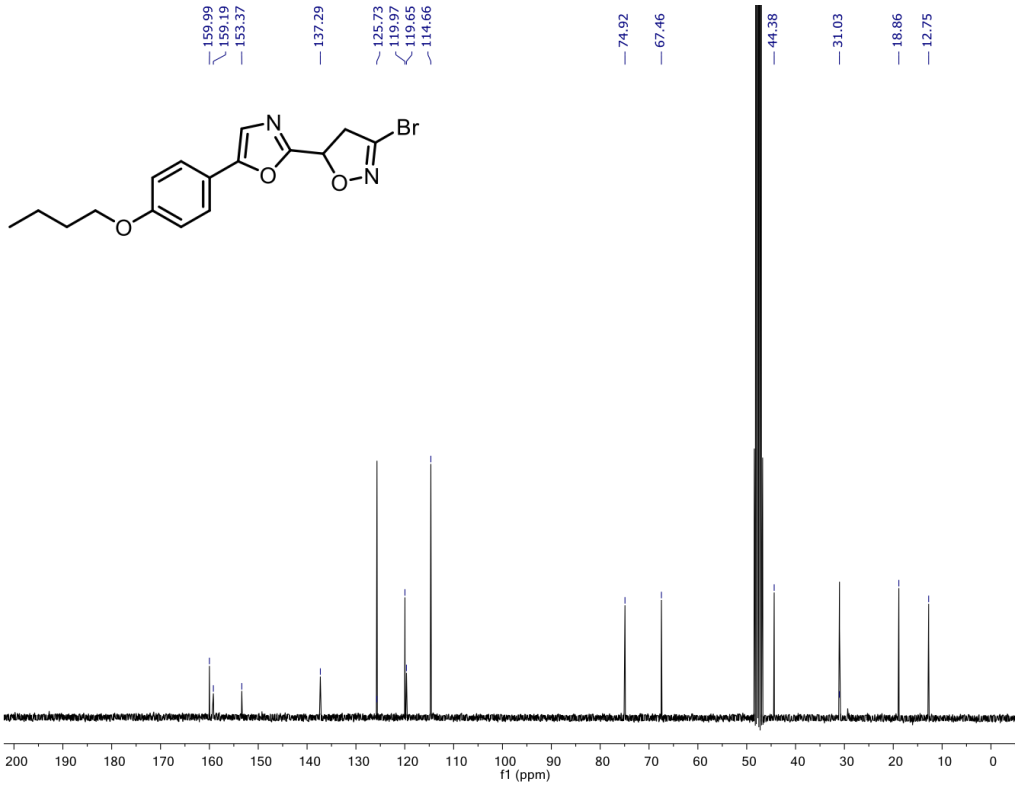
3-Bromo-5-(5-(4-(cyclopropylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3h**):



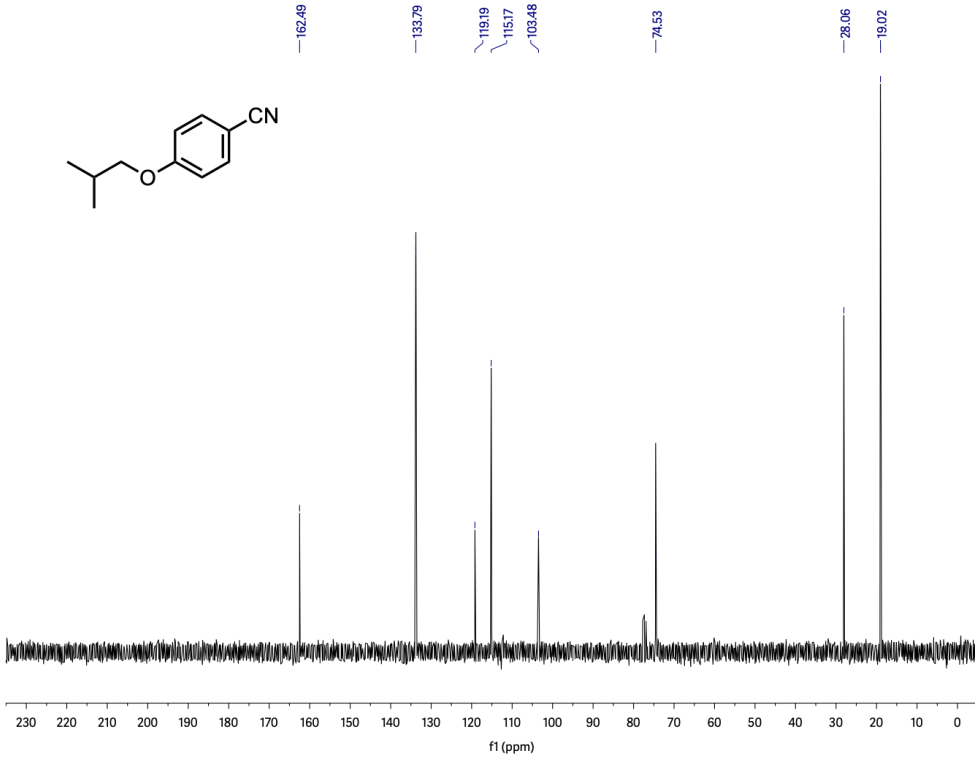
3-Bromo-5-(5-(4-isobutoxyphenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3i**):



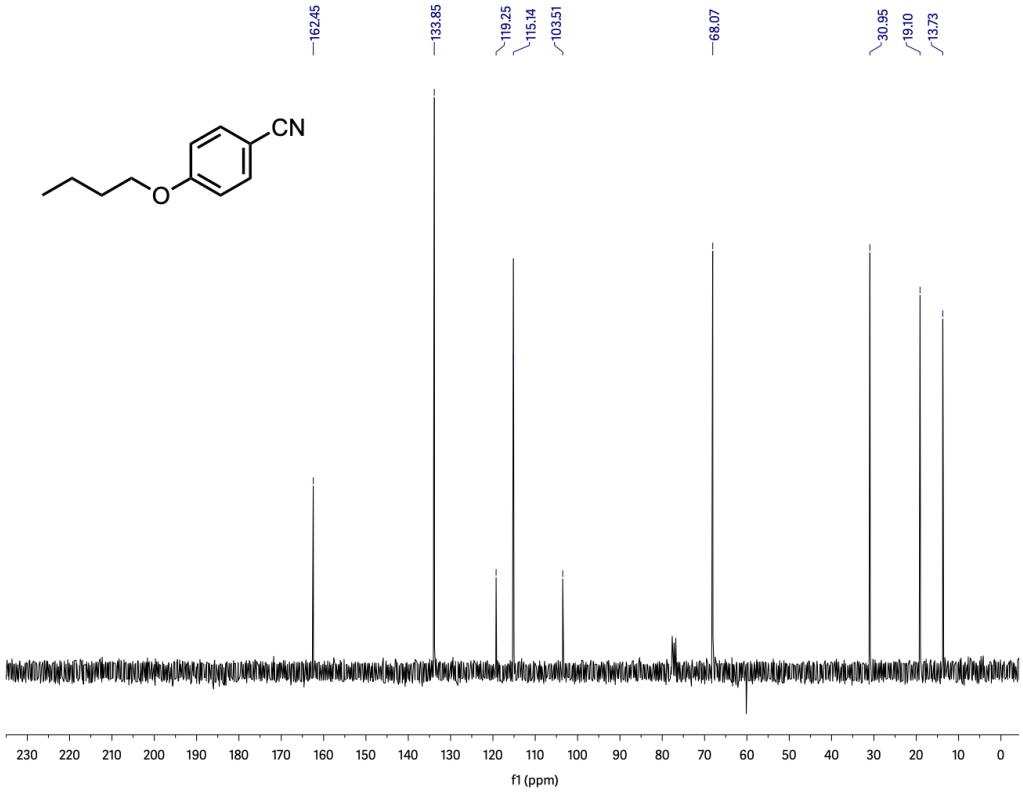
3-Bromo-5-(5-(4-butoxyphenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3l**):



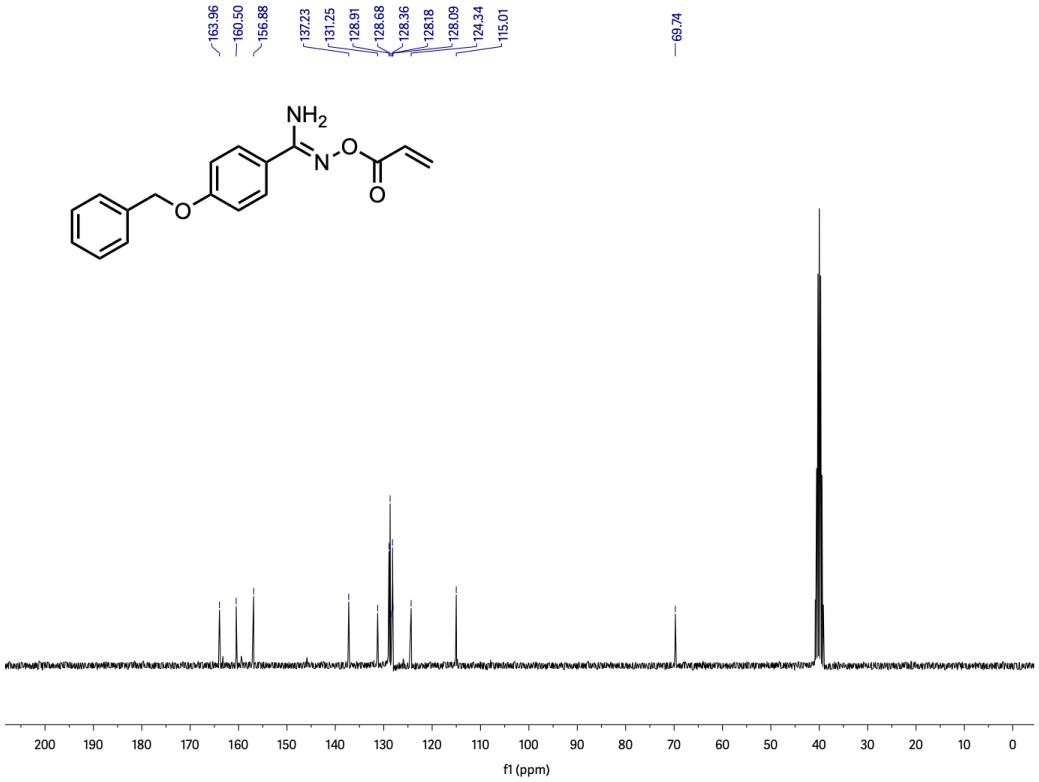
4-Isobutoxybenzonitrile (**6i**):



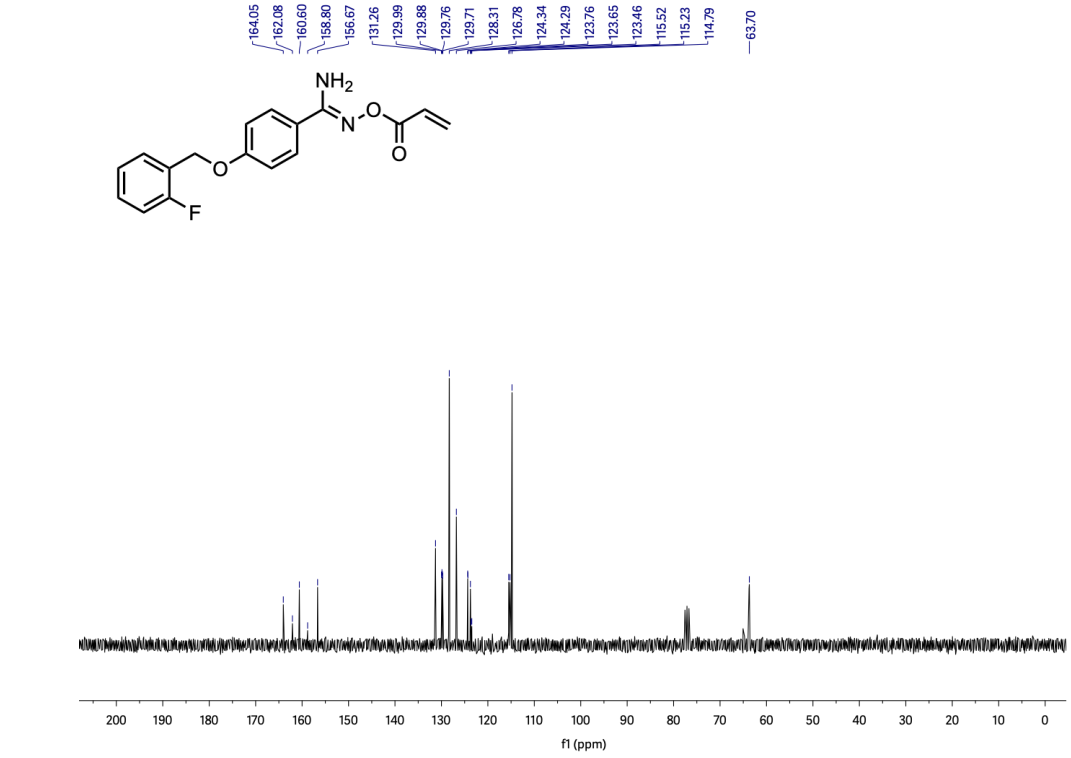
4-Butoxybenzonitrile (**6l**):



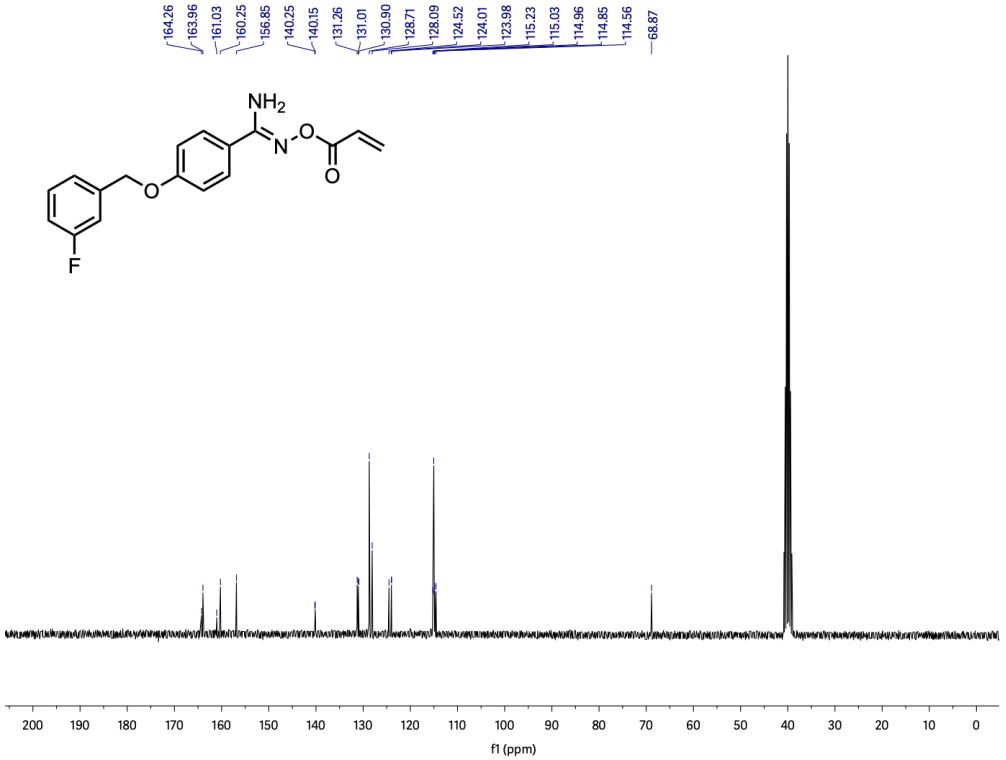
N'-(Acryloyloxy)-4-(benzyloxy)benzimidamide (**8a**):



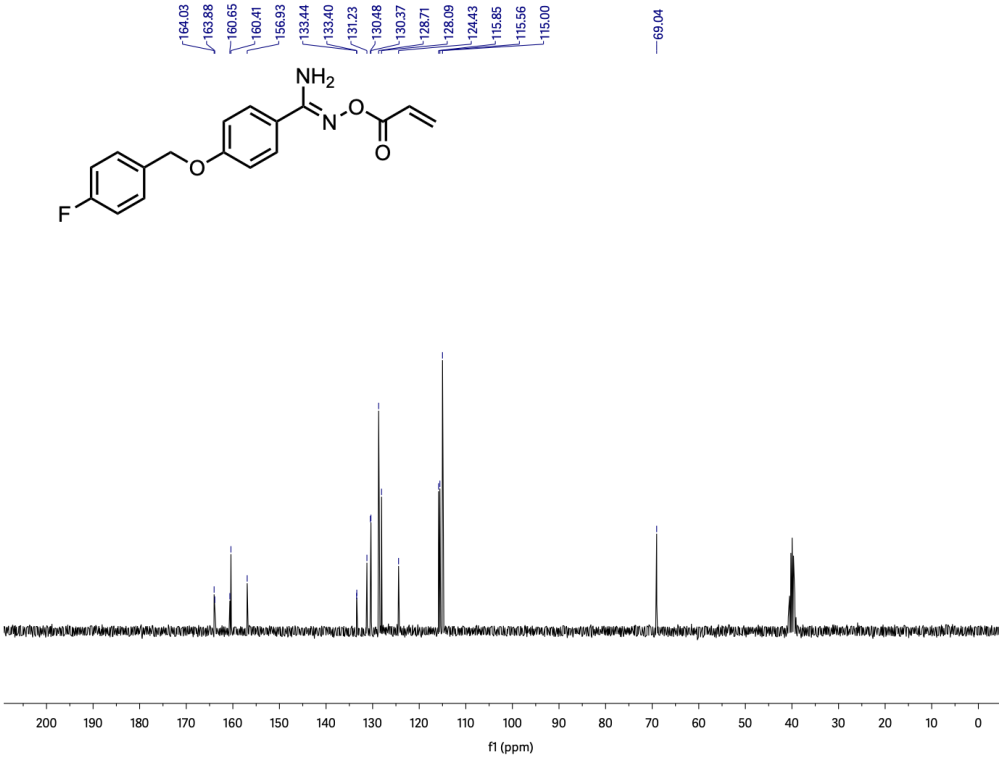
N'-(Acryloyloxy)-4-((2-fluorobenzyl)oxy)benzimidamide (**8b**):



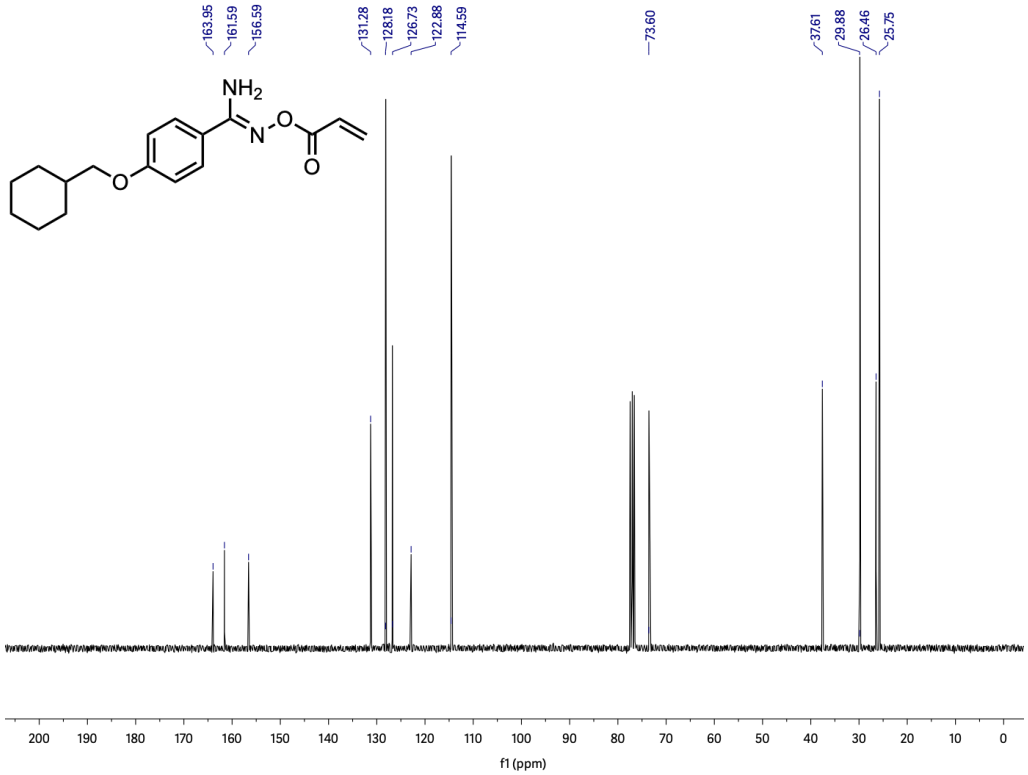
N'-(Acryloyloxy)-4-((3-fluorobenzyl)oxy)benzimidamide (**8c**):



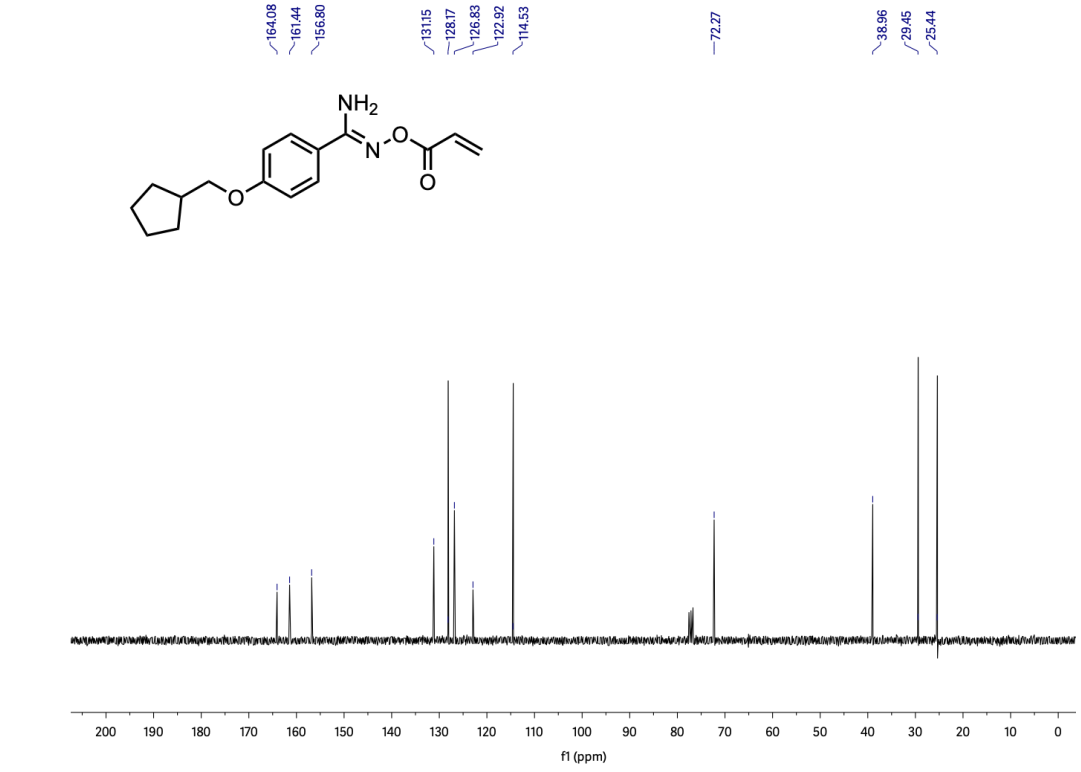
N'-(Acryloyloxy)-4-((4-fluorobenzyl)oxy)benzimidamide (**8d**):



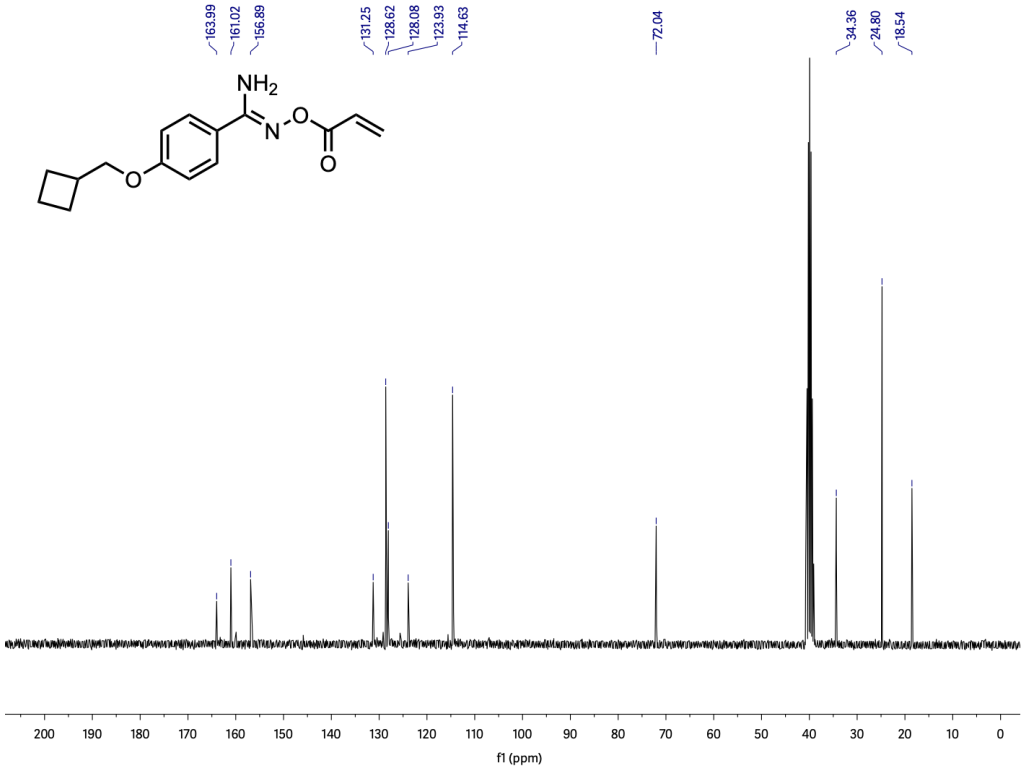
3-(4-(Cyclohexylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**8e**):



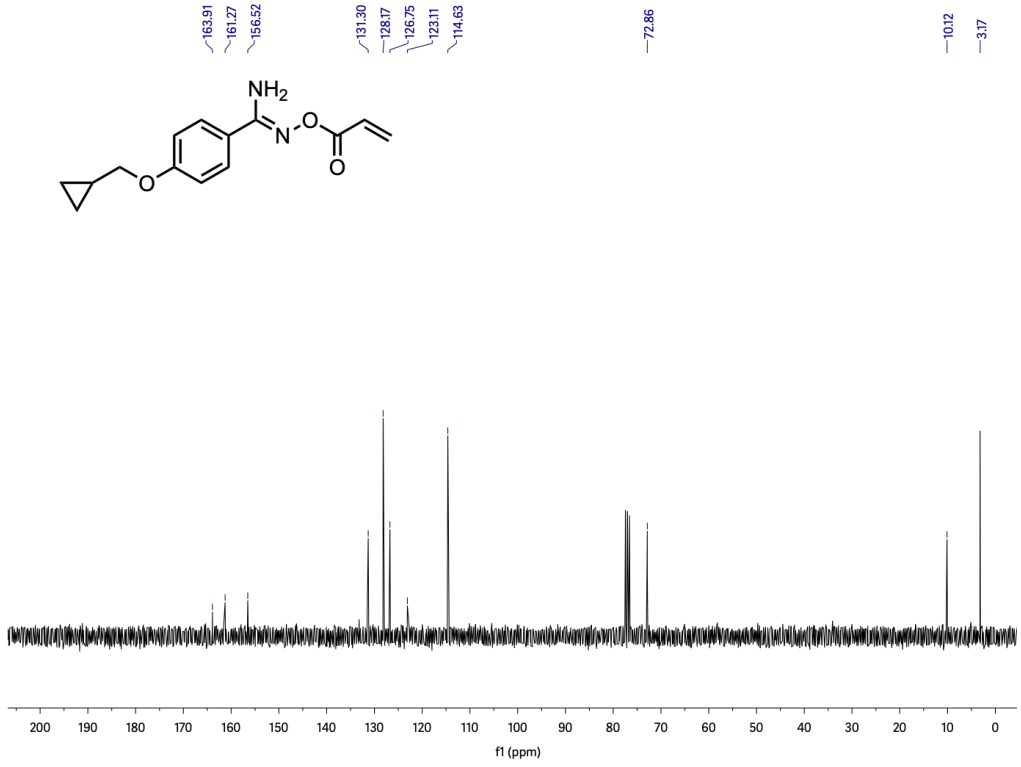
3-(4-(Cyclopentylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**8f**):



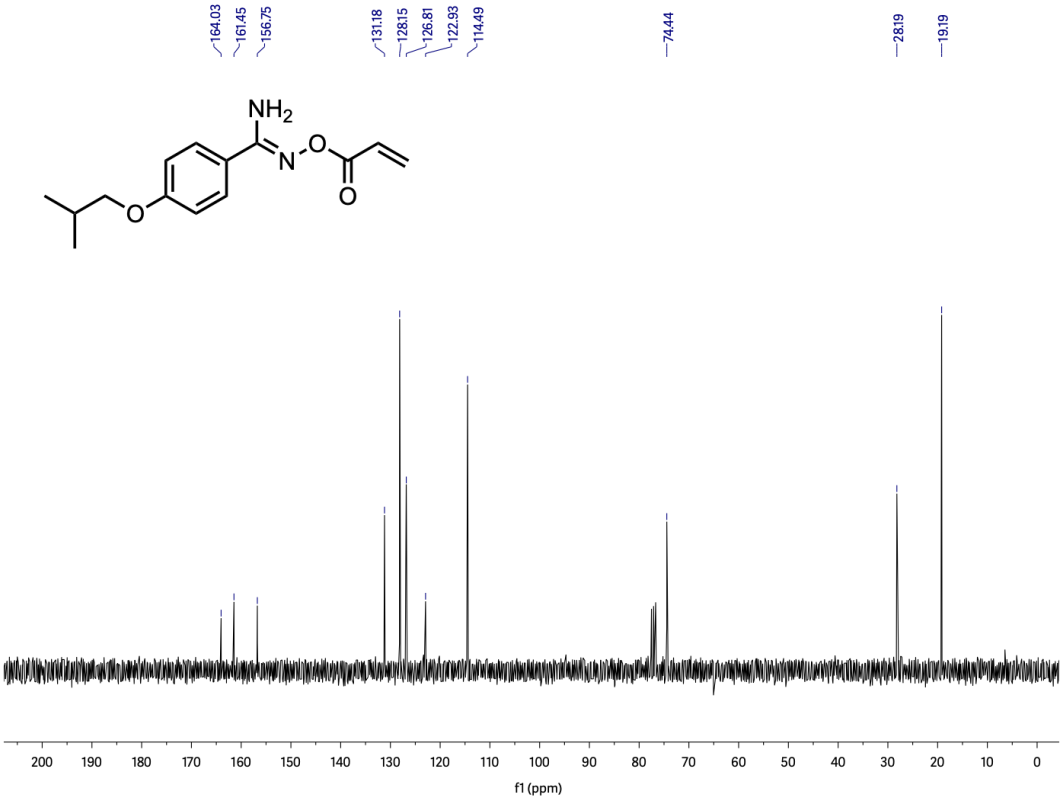
3-(4-(Cyclobutylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**8g**):



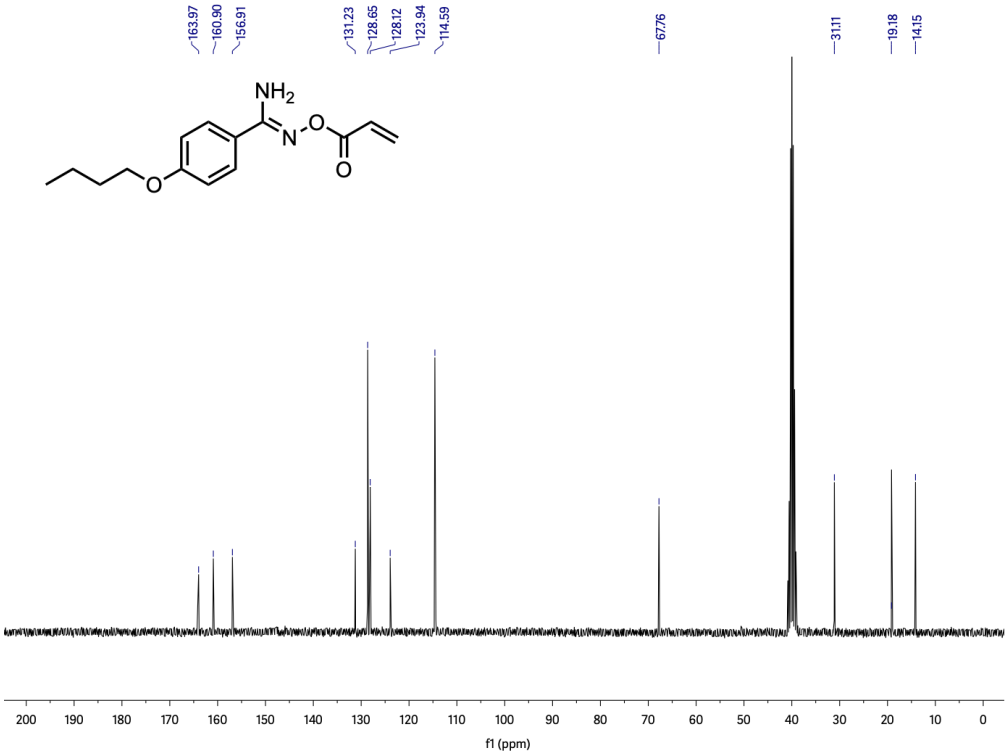
3-(4-(Cyclopropylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**8h**):



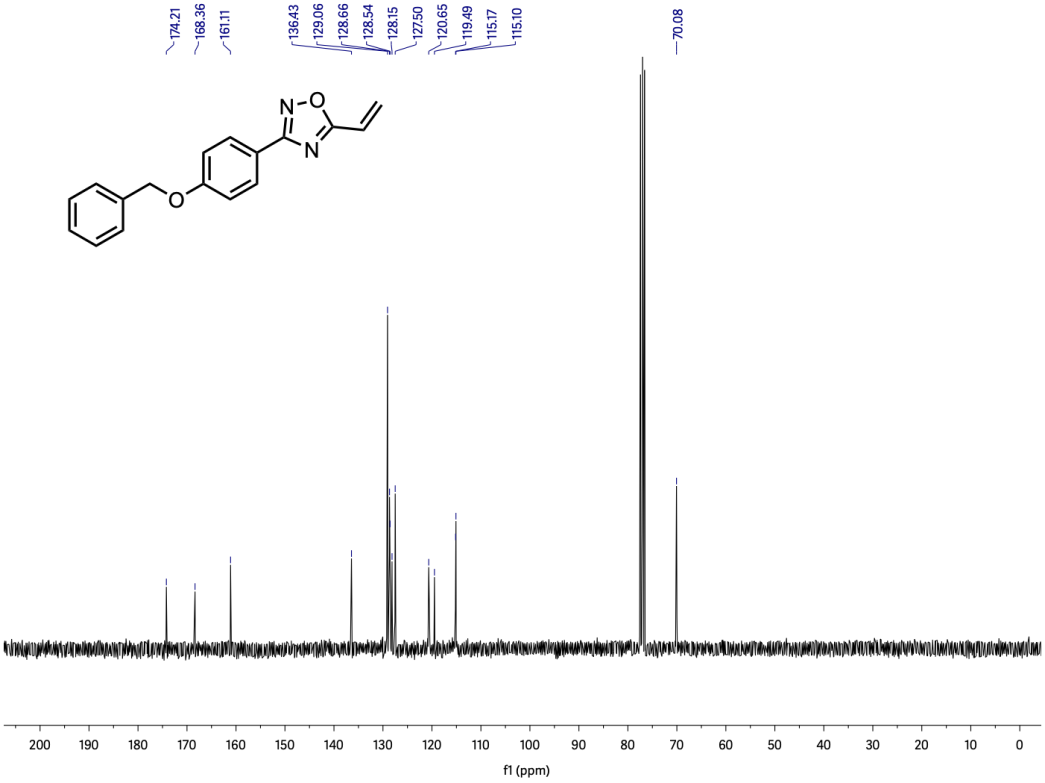
N′-(Acryloyloxy)-4-isobutoxybenzimidamide (**8i**):



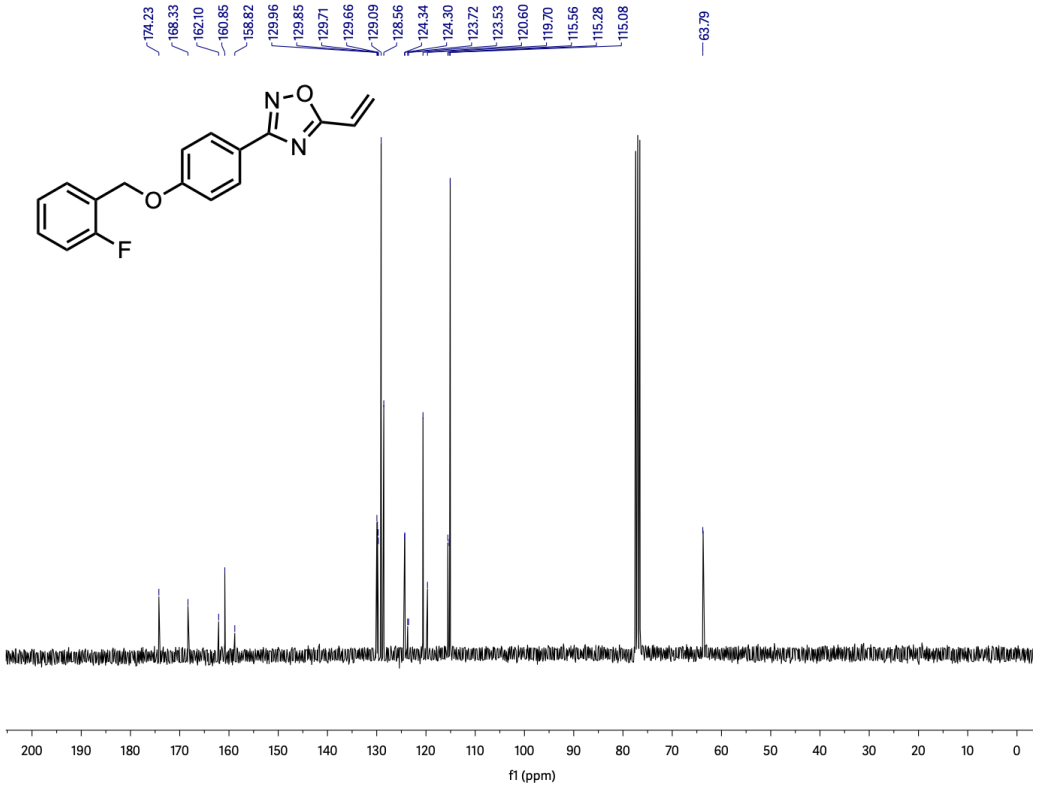
N′-(Acryloyloxy)-4-butoxybenzimidamide (**8l**):



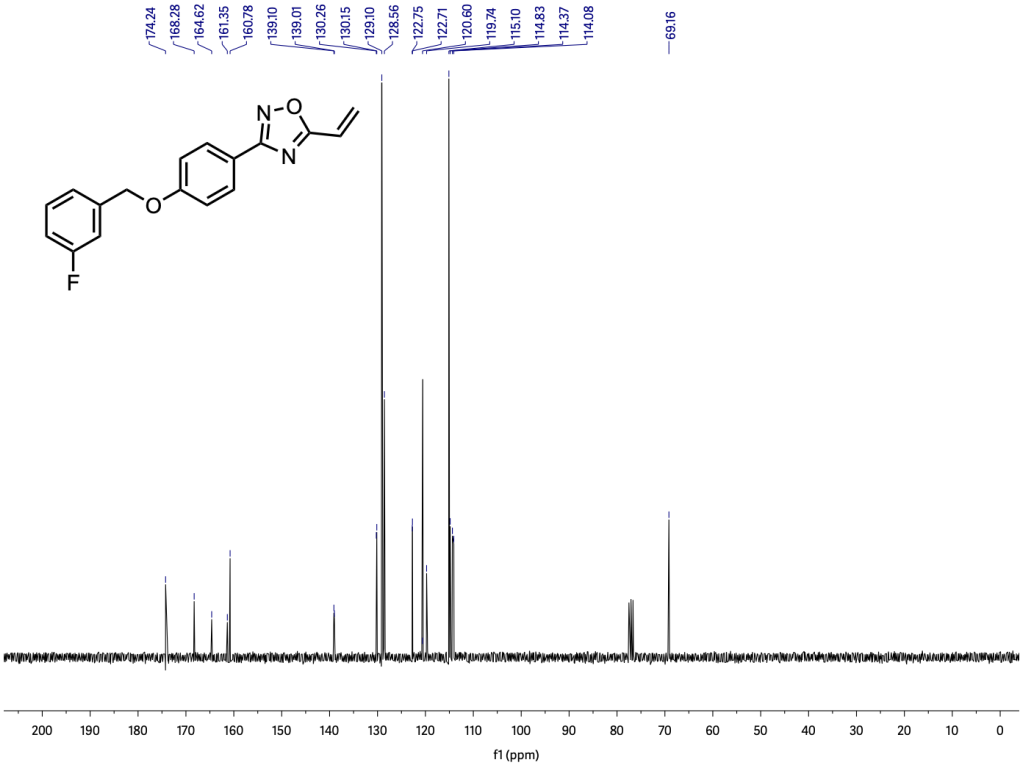
3-(4-(Benzyloxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9a**):



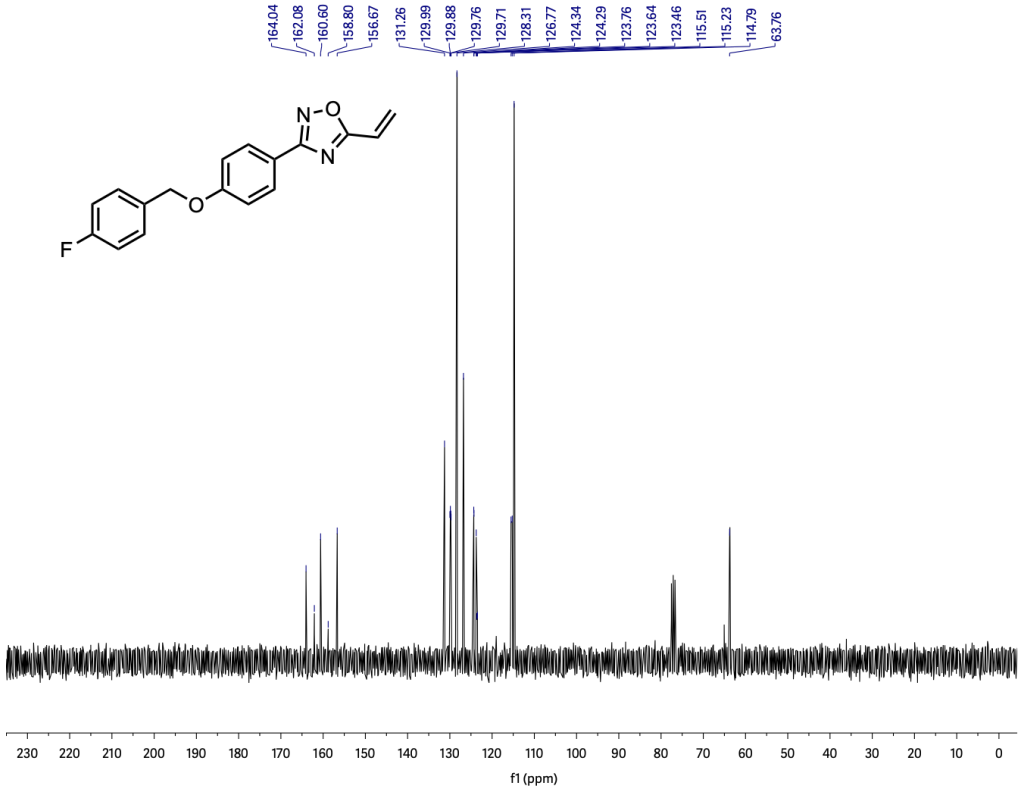
3-(4-((2-Fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9b**):



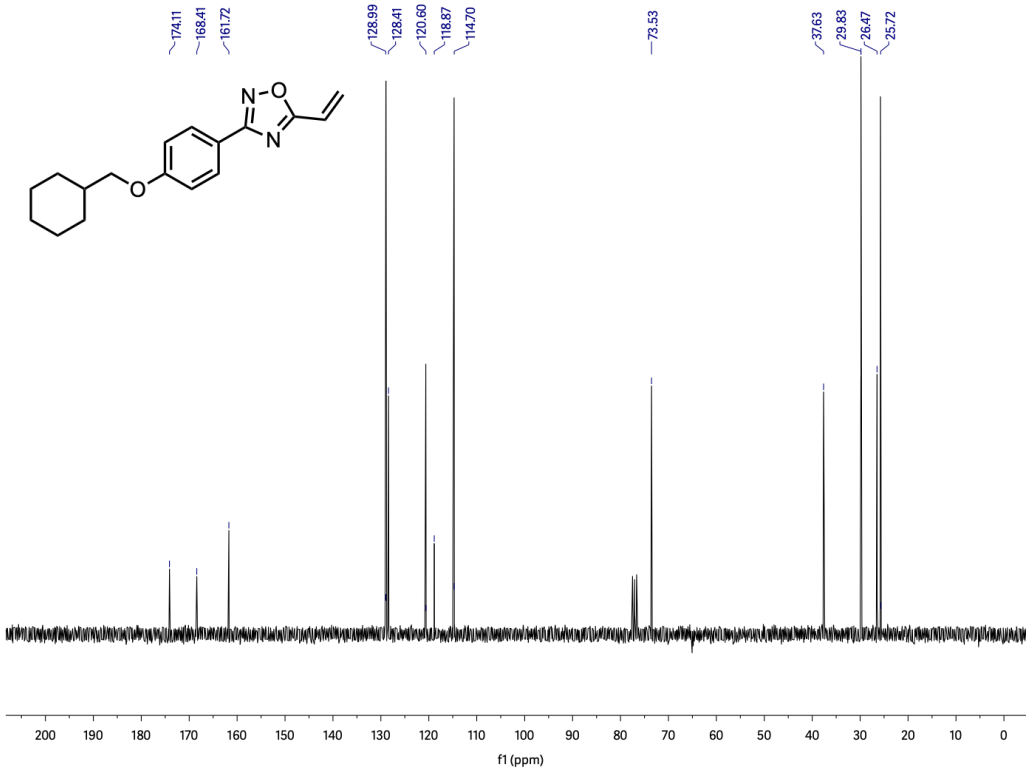
3-(4-((3-Fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9c**):



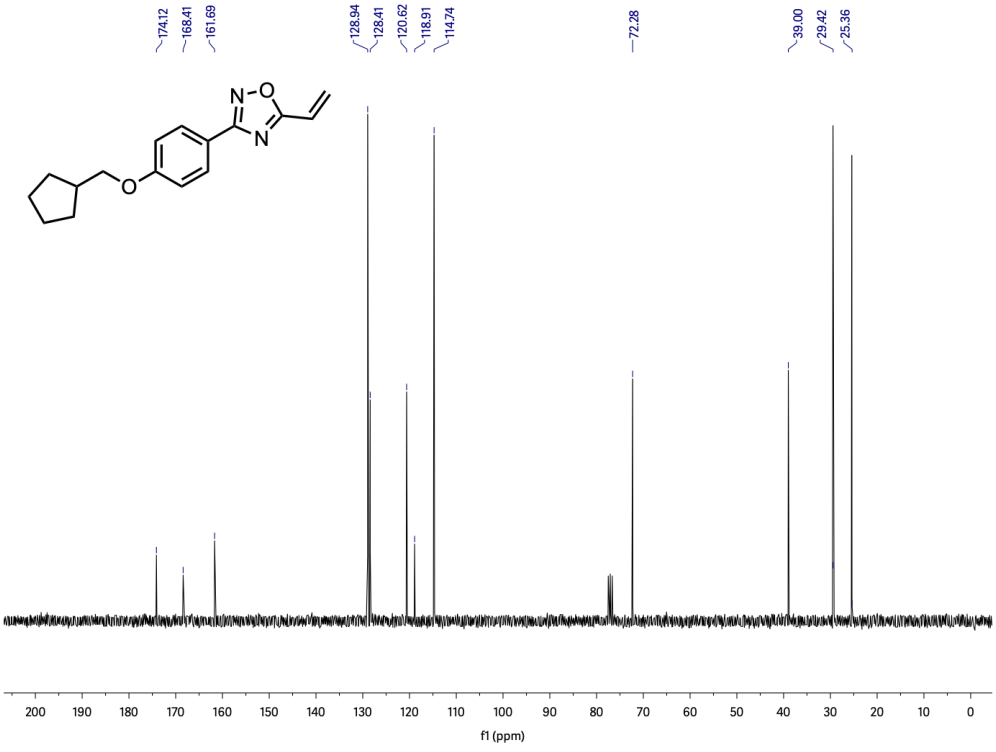
3-(4-((4-Fluorobenzyl)oxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9d**):



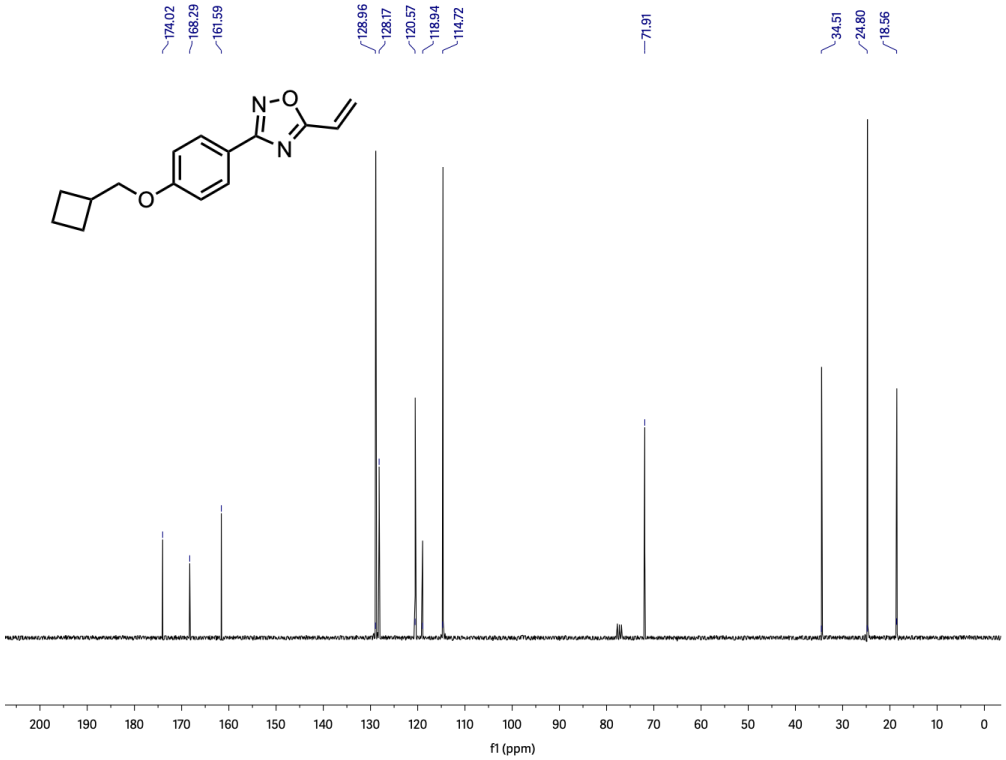
3-(4-(Cyclohexylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9e**):



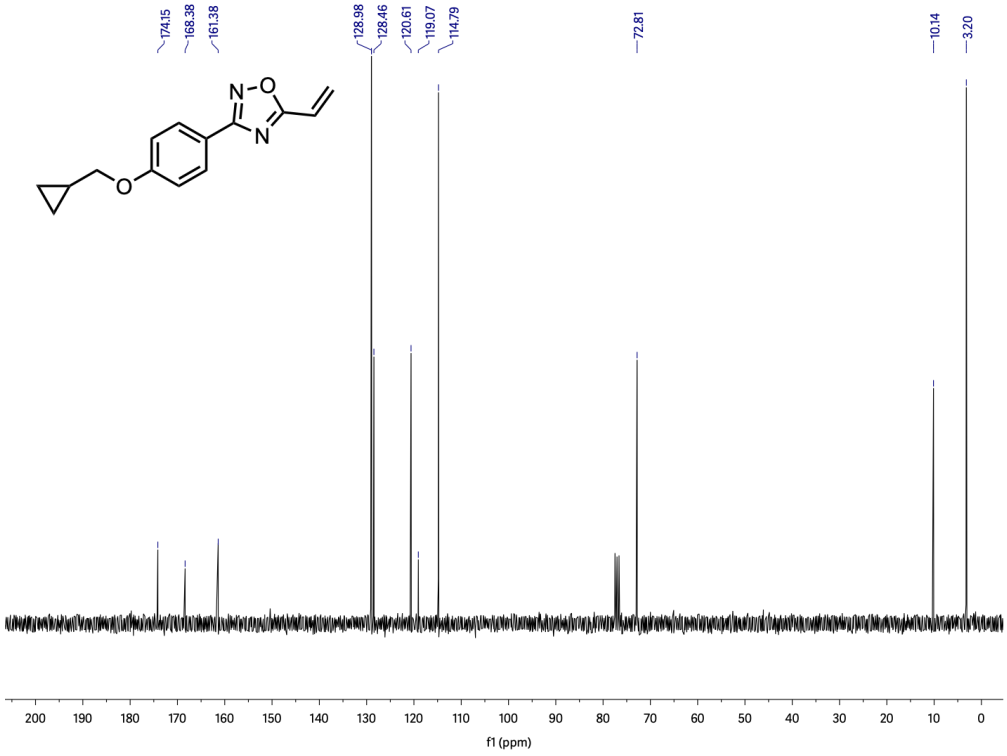
3-(4-(Cyclopentylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9f**):



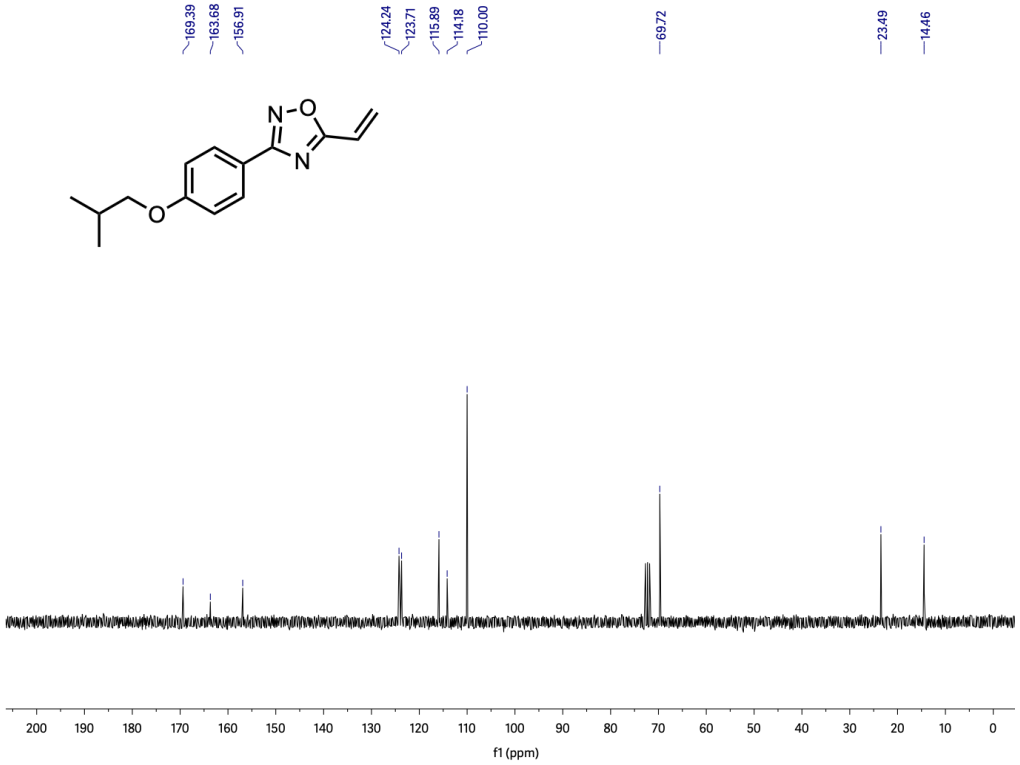
3-(4-(Cyclobutylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9g**):



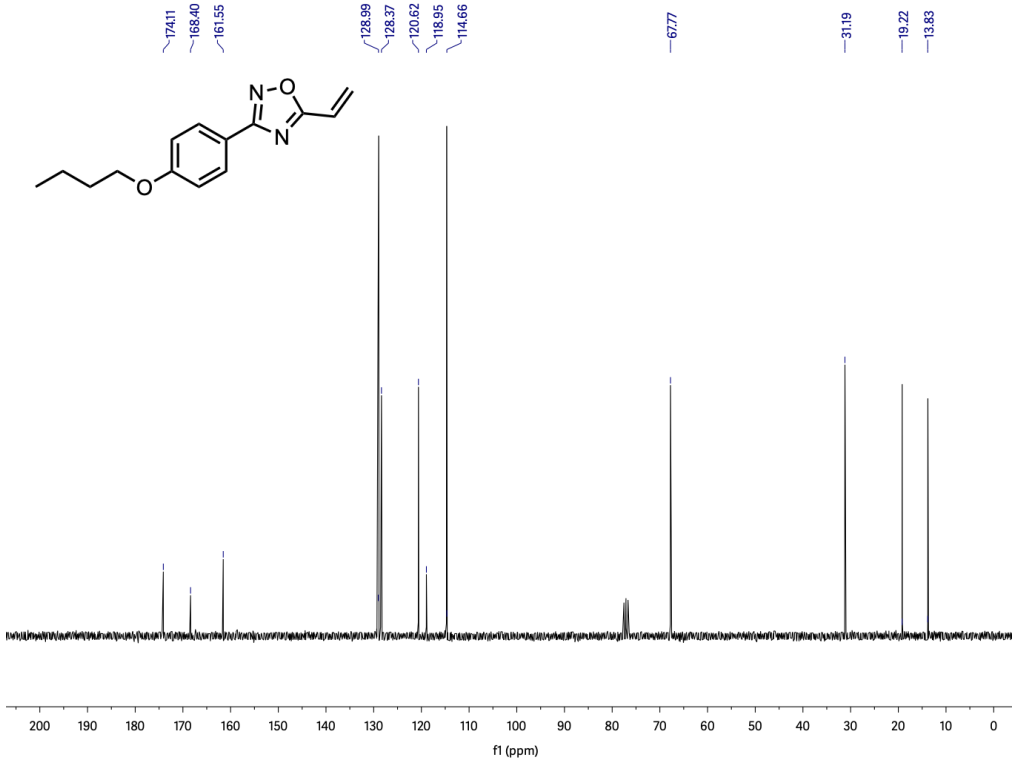
3-(4-(Cyclopropylmethoxy)phenyl)-5-vinyl-1,2,4-oxadiazole (**9h**):



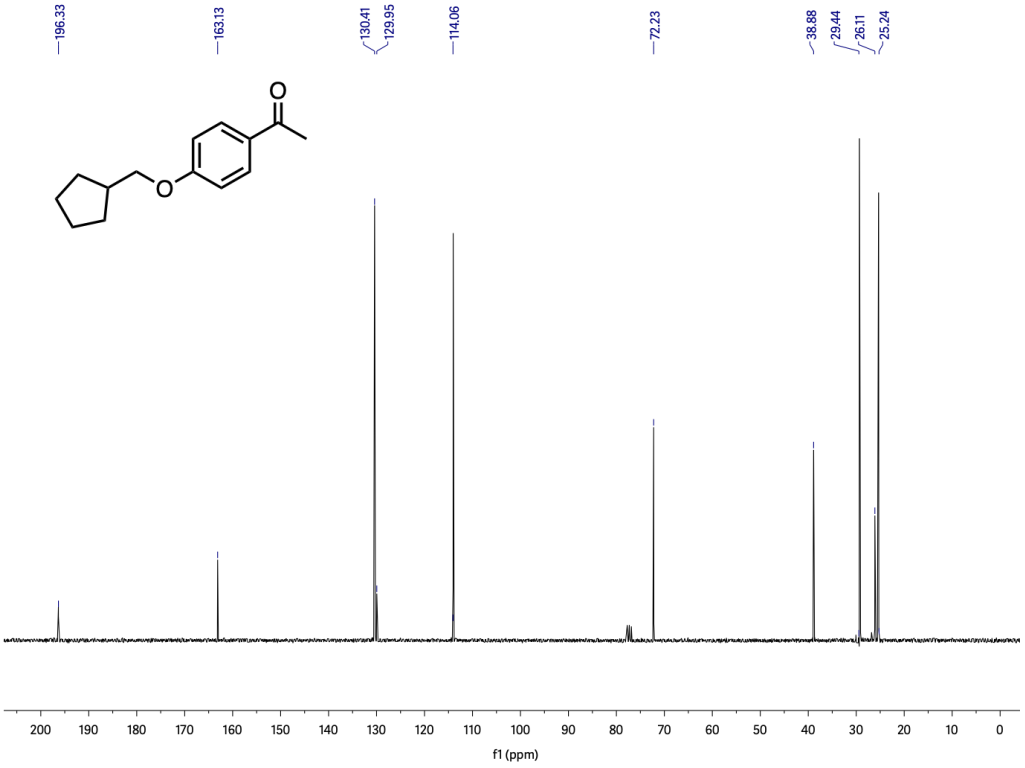
3-(4-Isobutoxyphenyl)-5-vinyl-1,2,4-oxadiazole (**9i**):



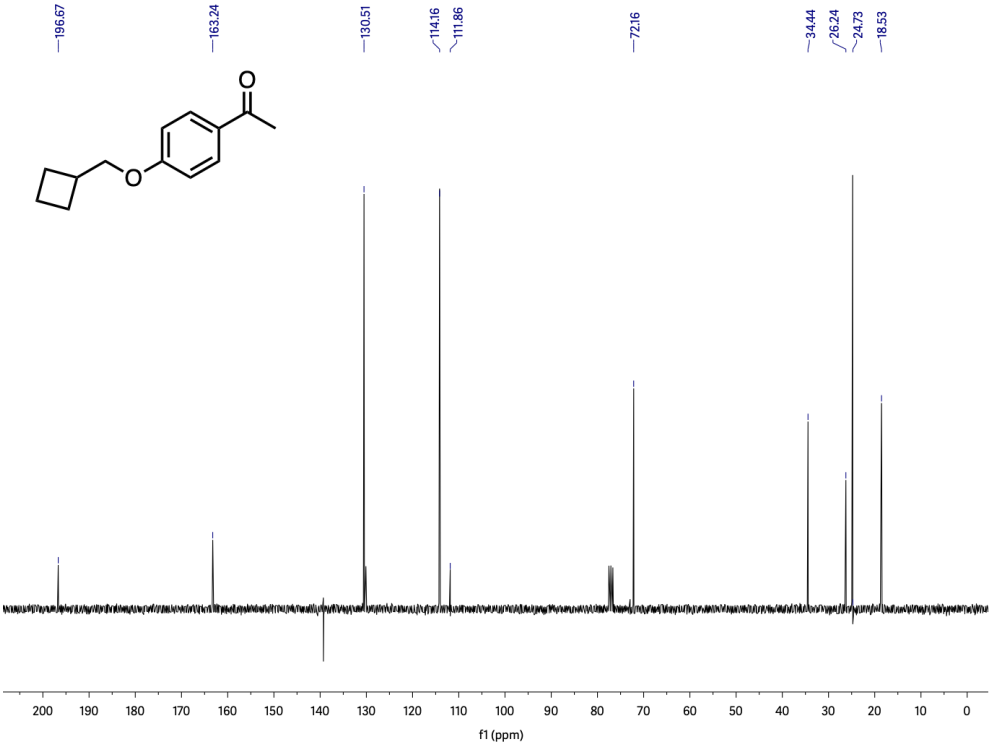
3-(4-Butoxyphenyl)-5-vinyl-1,2,4-oxadiazole (**9l**):



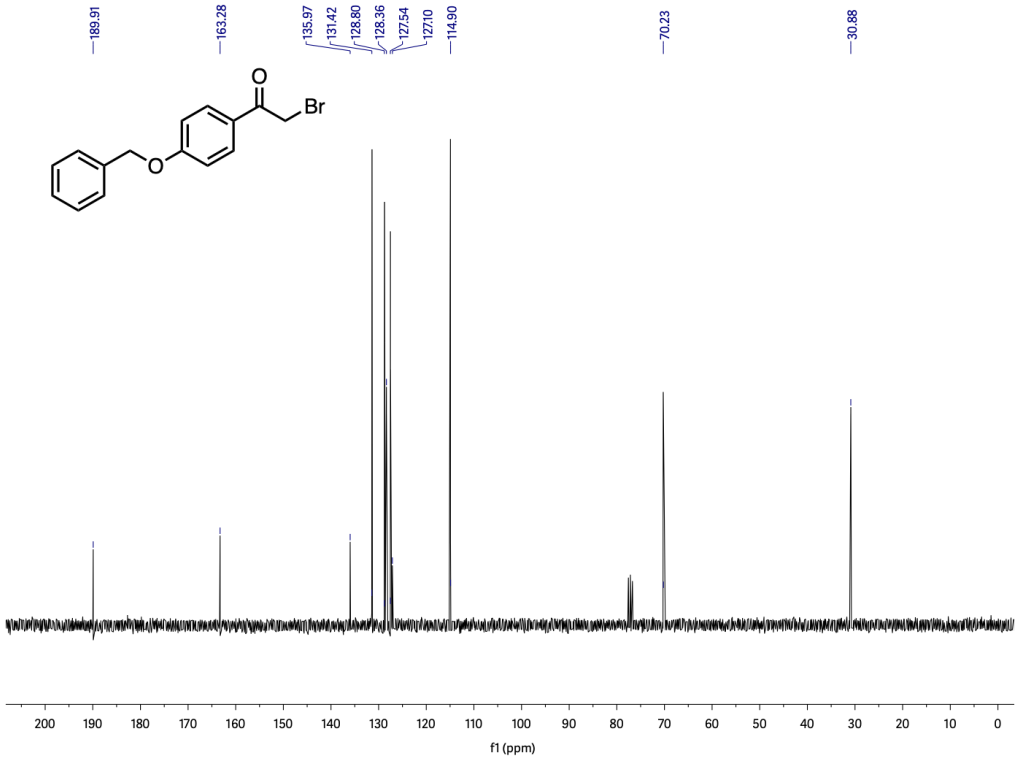
1-(4-(Cyclopentylmethoxy)phenyl)ethan-1-one (**11f**):



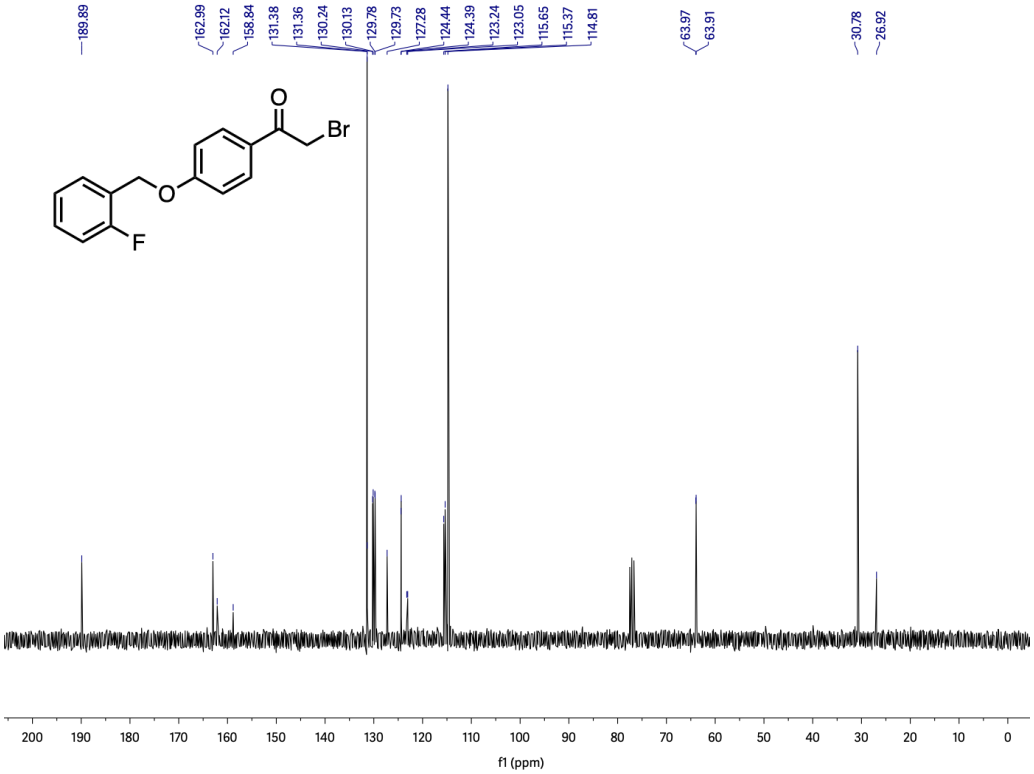
1-(4-(Cyclobutylmethoxy)phenyl)ethan-1-one (**11g**):



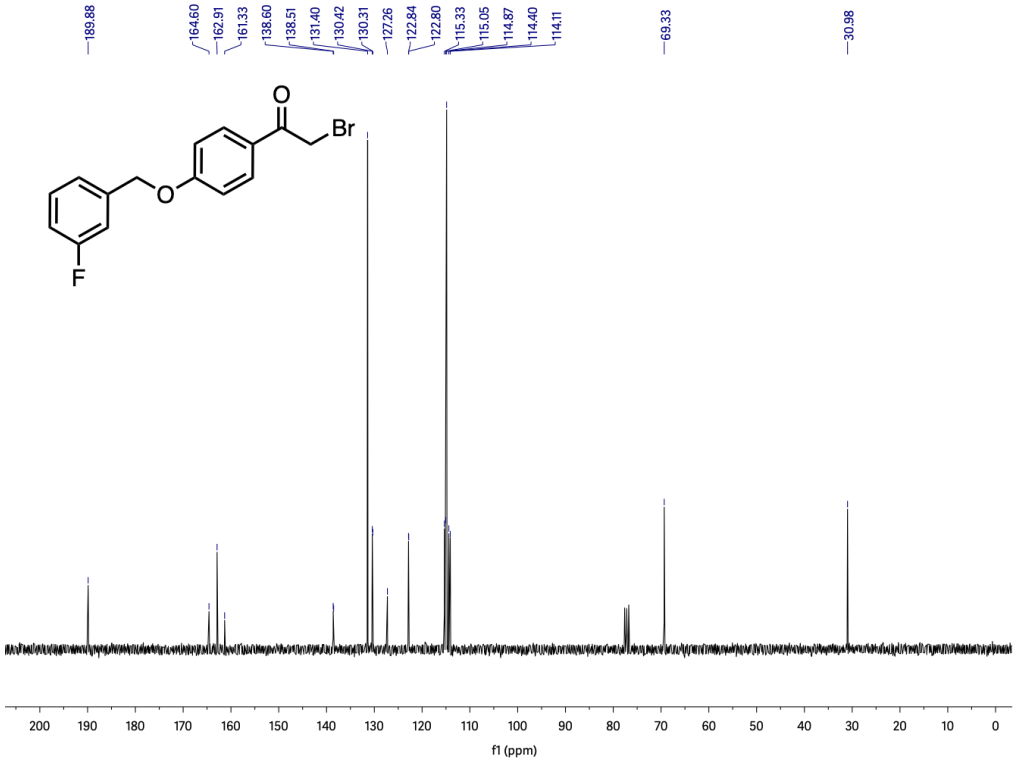
1-(4-(Benzyloxy)phenyl)-2-bromoethan-1-one (**12a**):



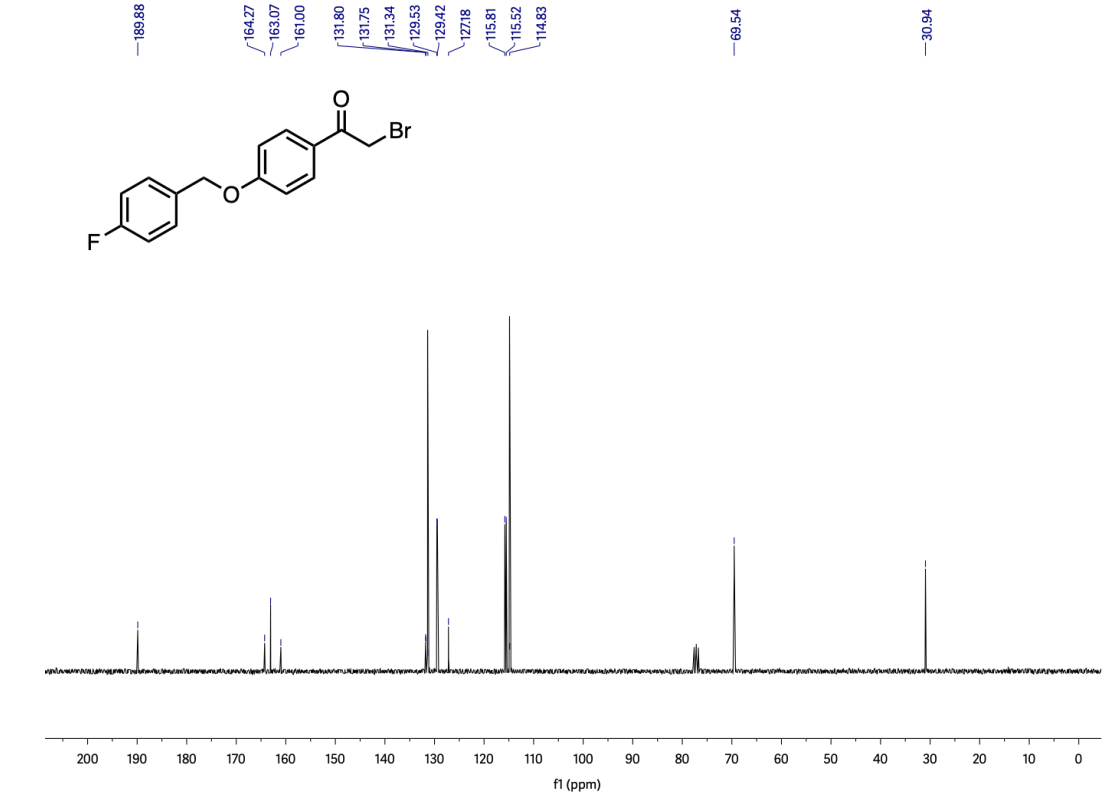
2-Bromo-1-(4-((2-fluorobenzyl)oxy)phenyl)ethan-1-one (**12b**):



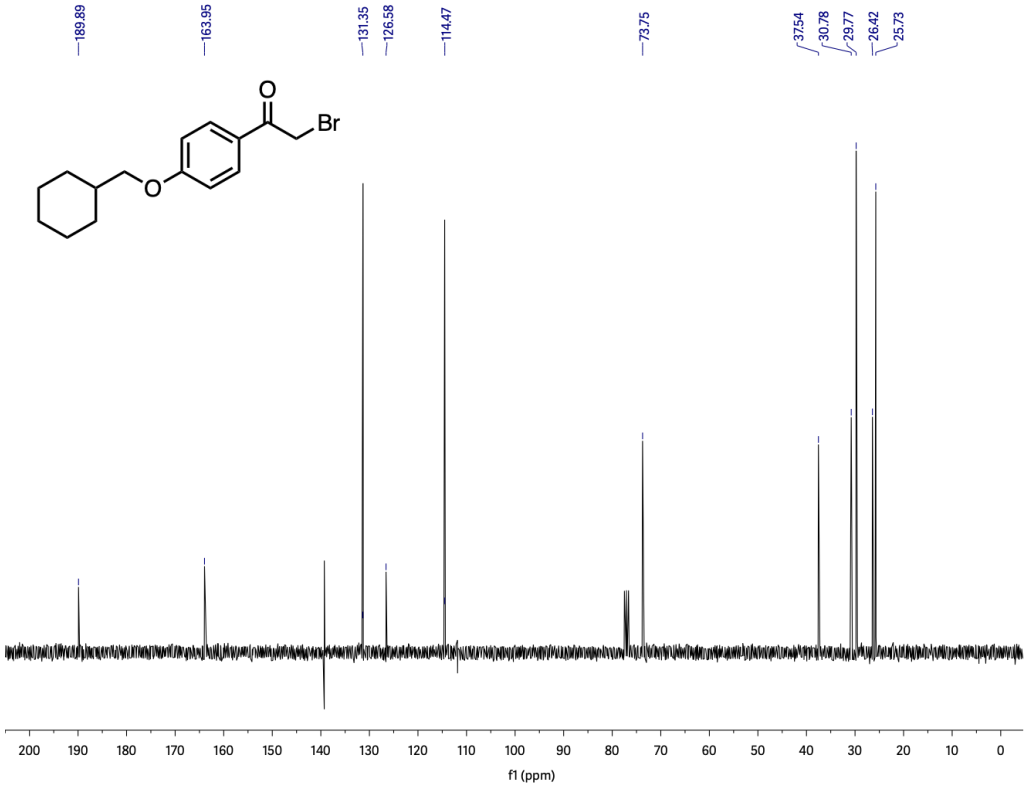
2-Bromo-1-(4-((3-fluorobenzyl)oxy)phenyl)ethan-1-one (**12c**):



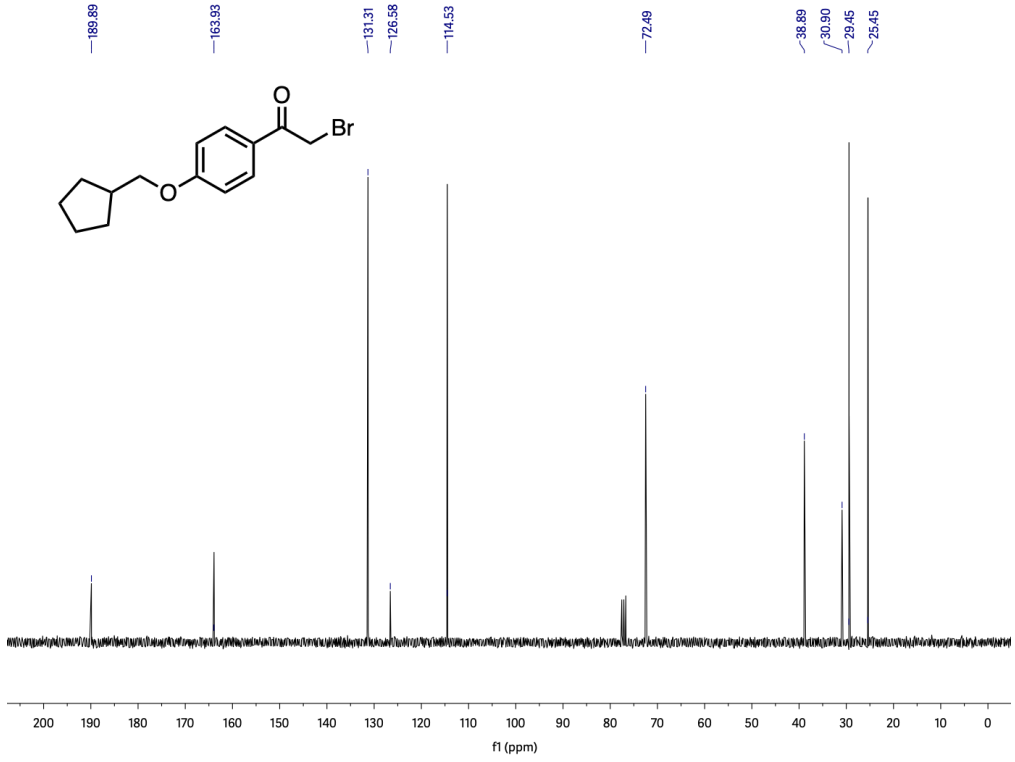
2-Bromo-1-(4-((4-fluorobenzyl)oxy)phenyl)ethan-1-one (**12d**):



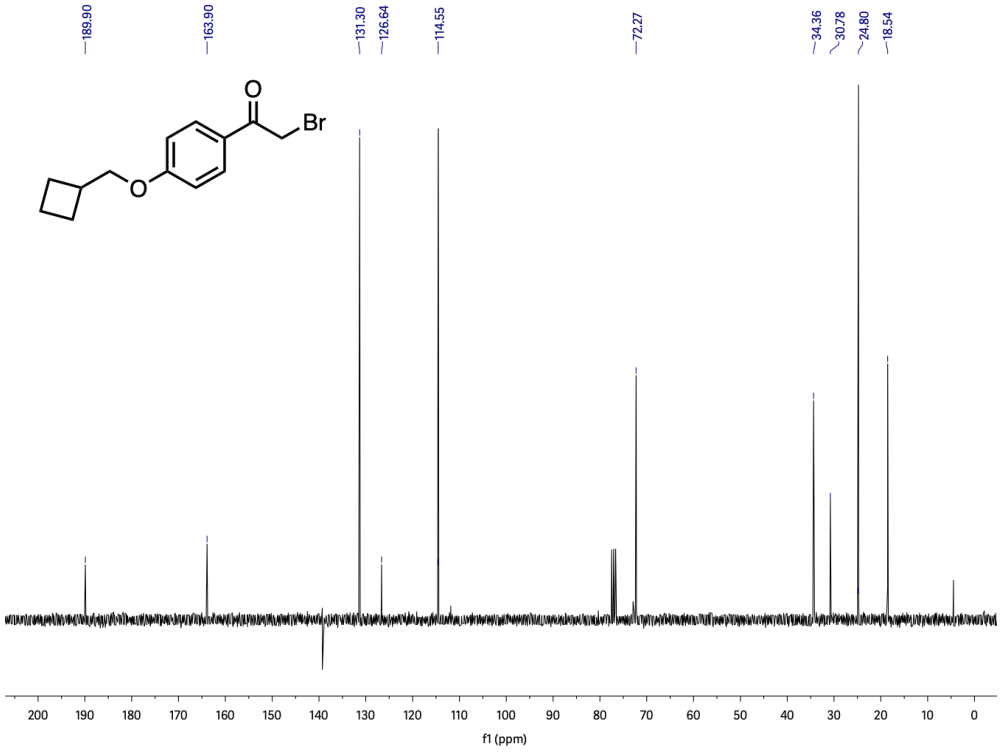
2-Bromo-1-(4-(cyclohexylmethoxy)phenyl)ethan-1-one (**12e**):



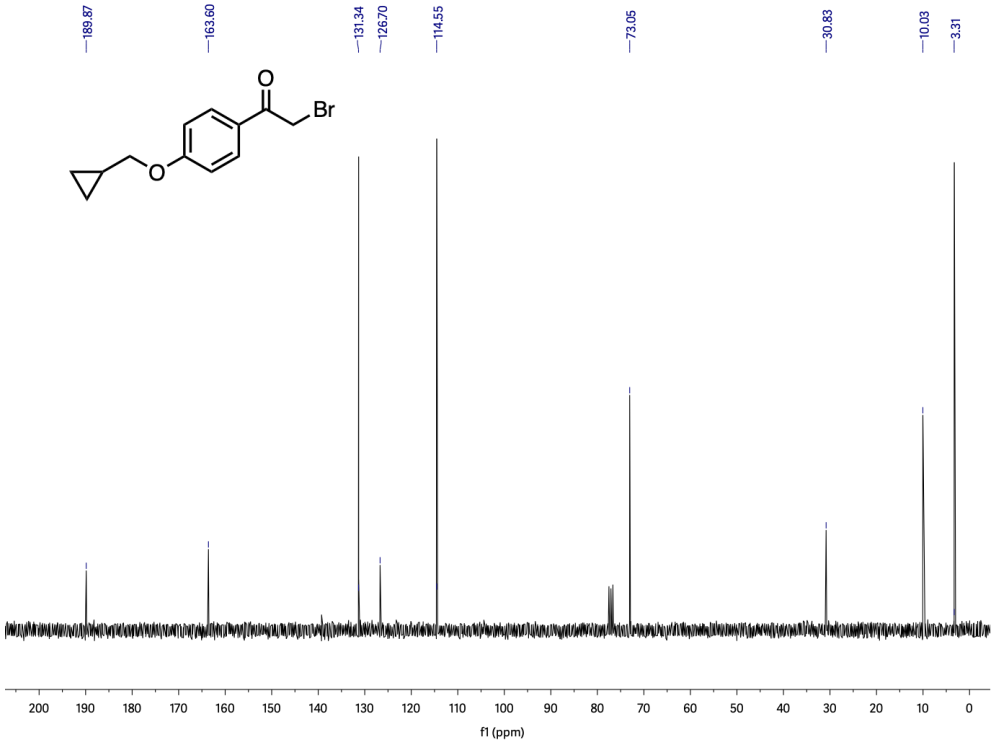
2-Bromo-1-(4-(cyclopentylmethoxy)phenyl)ethan-1-one (**12f**):



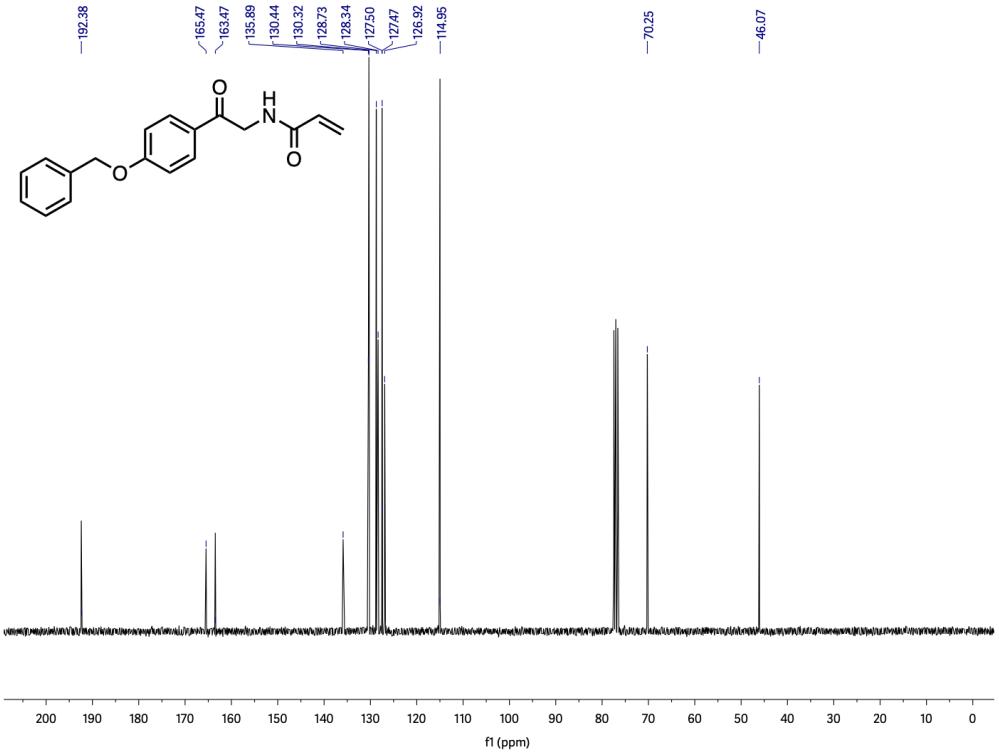
2-Bromo-1-(4-(cyclobutylmethoxy)phenyl)ethan-1-one (**12g**):



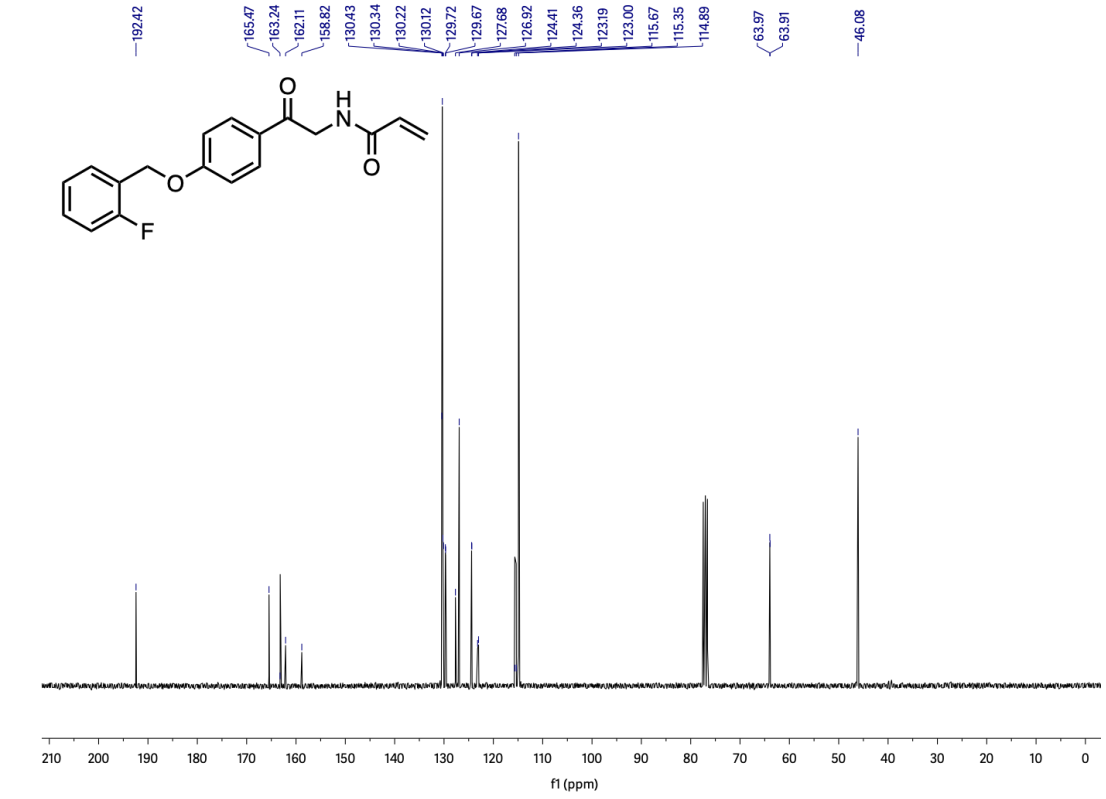
2-Bromo-1-(4-(cyclopropylmethoxy)phenyl)ethan-1-one (**12h**):



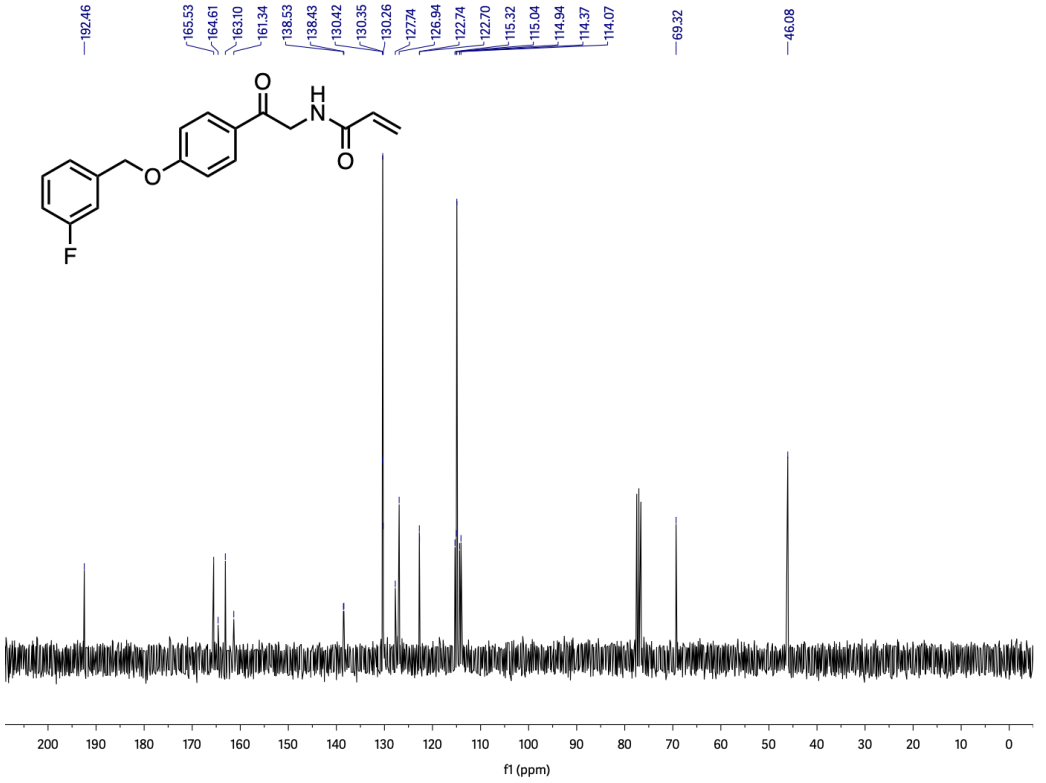
N-(2-(4-(Benzyloxy)phenyl)-2-oxoethyl)acrylamide (**14a**):



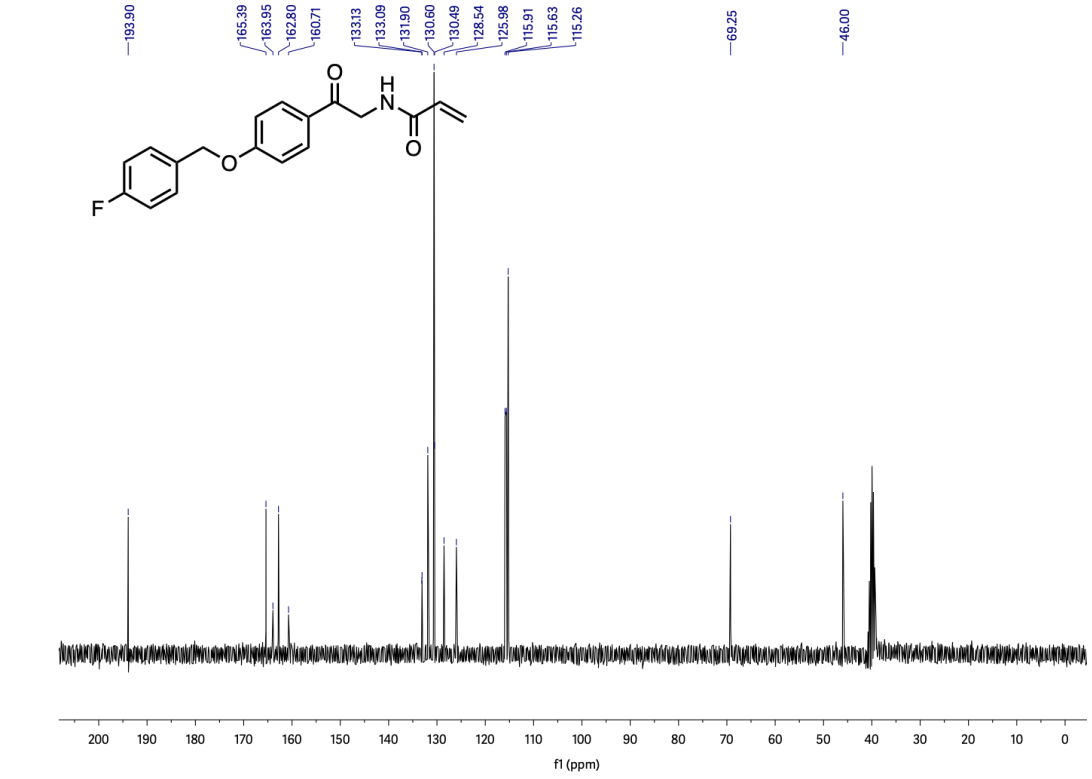
N-(2-(4-((2-Fluorobenzyl)oxy)phenyl)-2-oxoethyl)acrylamide (**14b**):



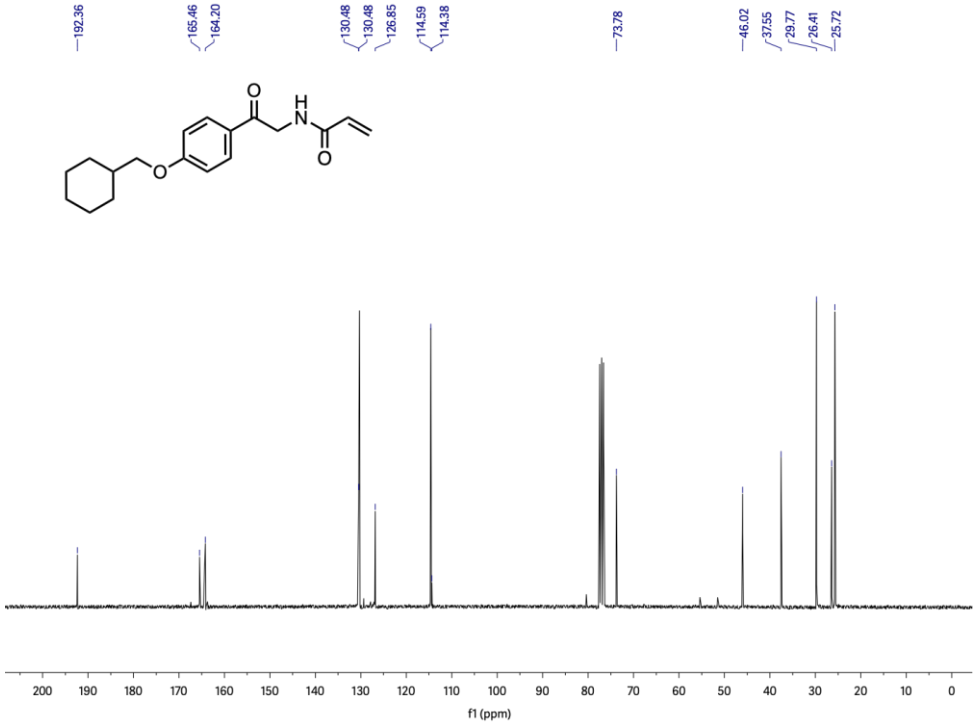
N-(2-(4-((3-Fluorobenzyl)oxy)phenyl)-2-oxoethyl)acrylamide (**14c**):



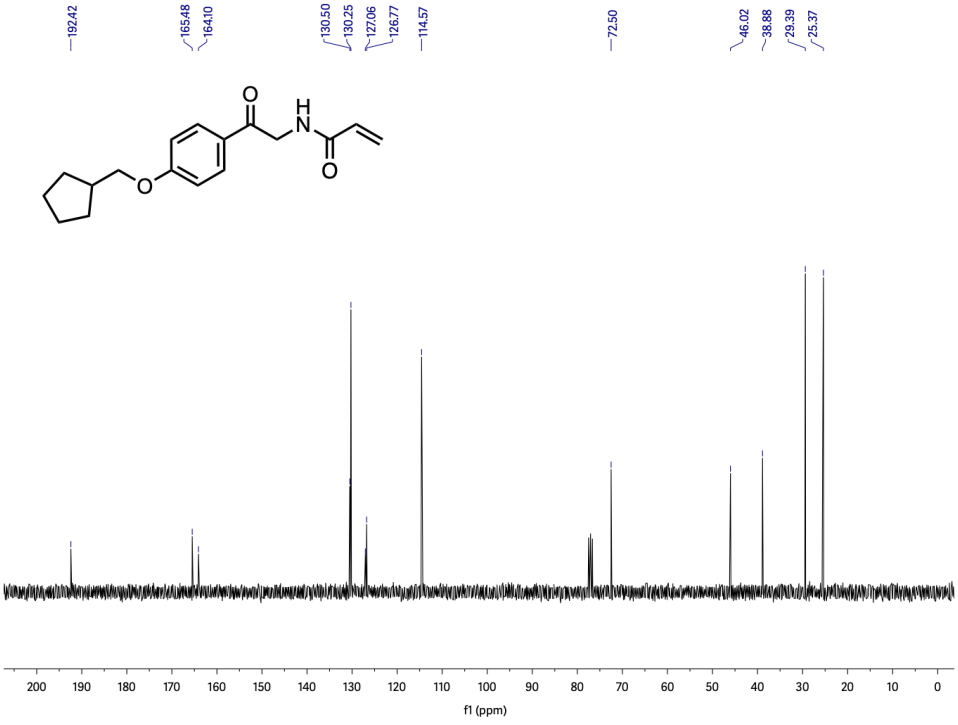
N-(2-(4-((4-Fluorobenzyl)oxy)phenyl)-2-oxoethyl)acrylamide (**14d**):



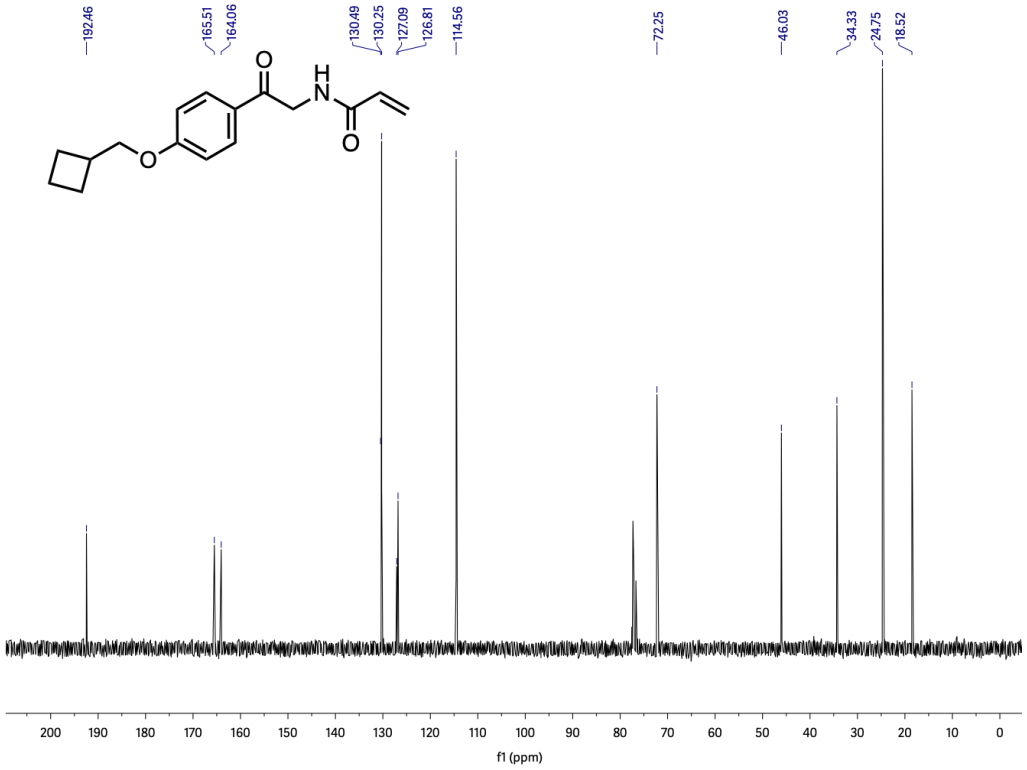
N-(2-(4-(2-Cyclohexylethyl)phenyl)-2-oxoethyl)acrylamide (**14e**):



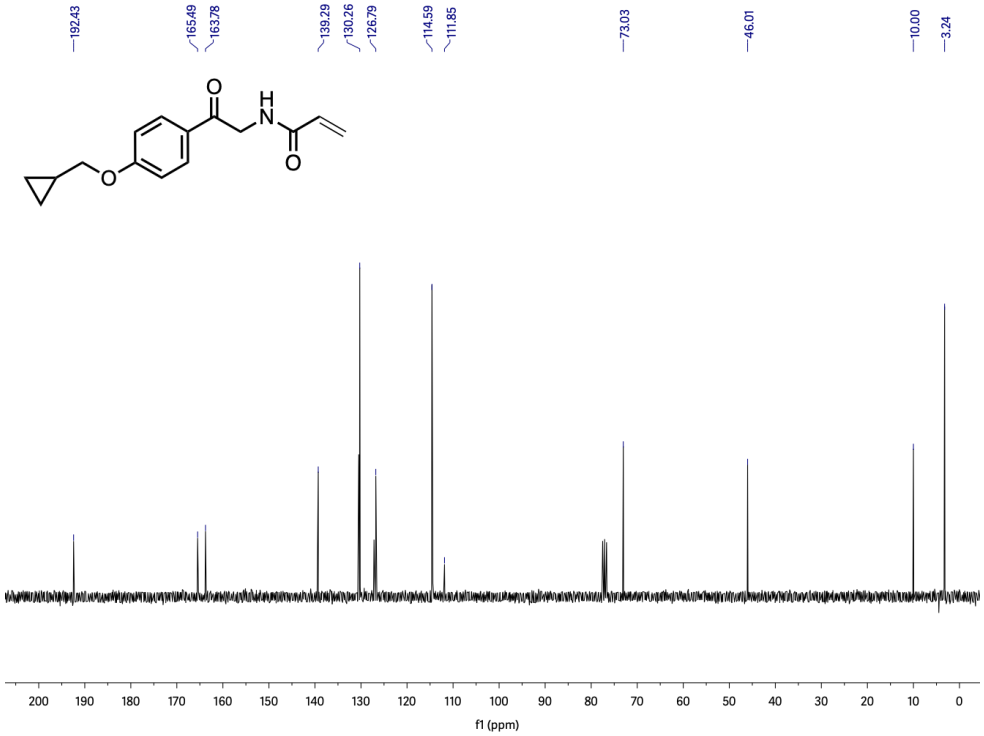
N-(2-(4-(Cyclopentylmethoxy)phenyl)-2-oxoethyl)acrylamide (**14f**):



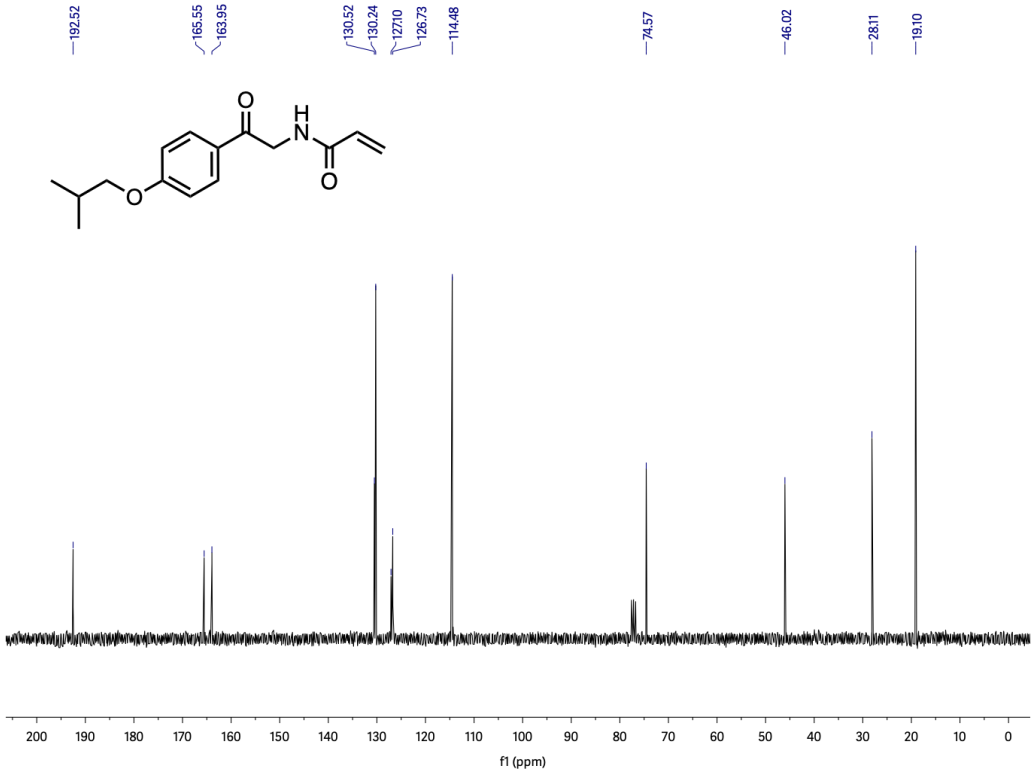
N-(2-(4-(Cyclobutylmethoxy)phenyl)-2-oxoethyl)acrylamide (**14g**):



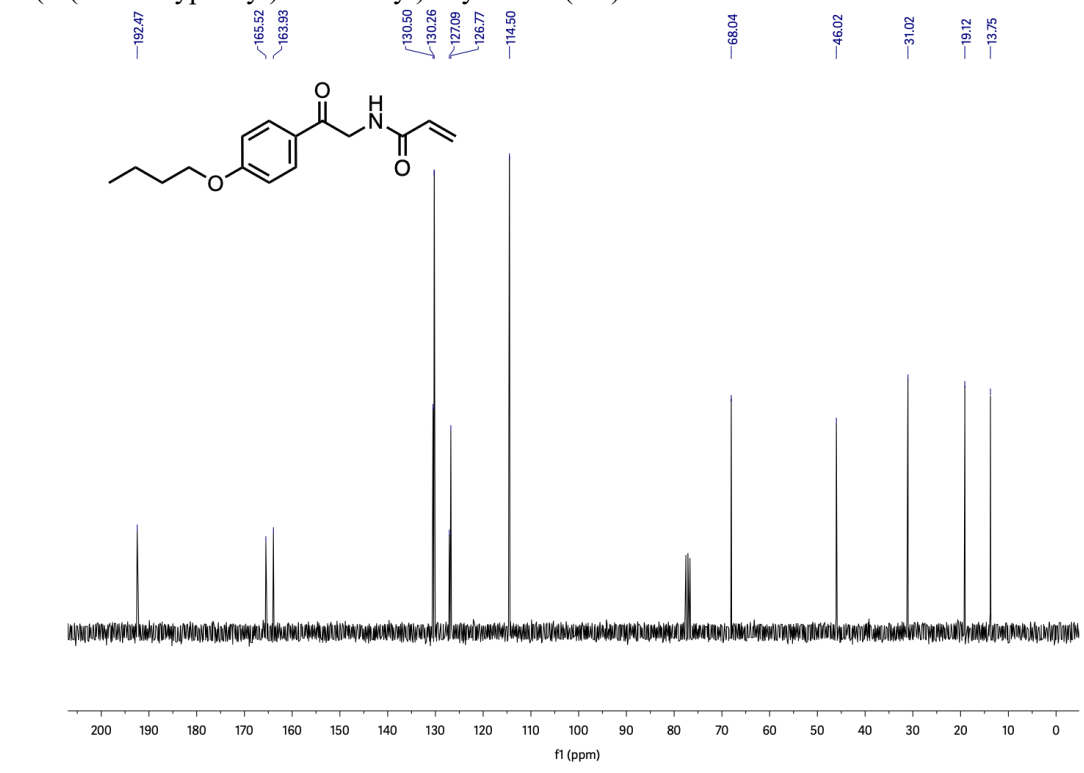
N-(2-(4-(Cyclopropylmethoxy)phenyl)-2-oxoethyl)acrylamide (**14h**):



N-(2-(4-Isobutoxyphenyl)-2-oxoethyl)acrylamide (**14i**):

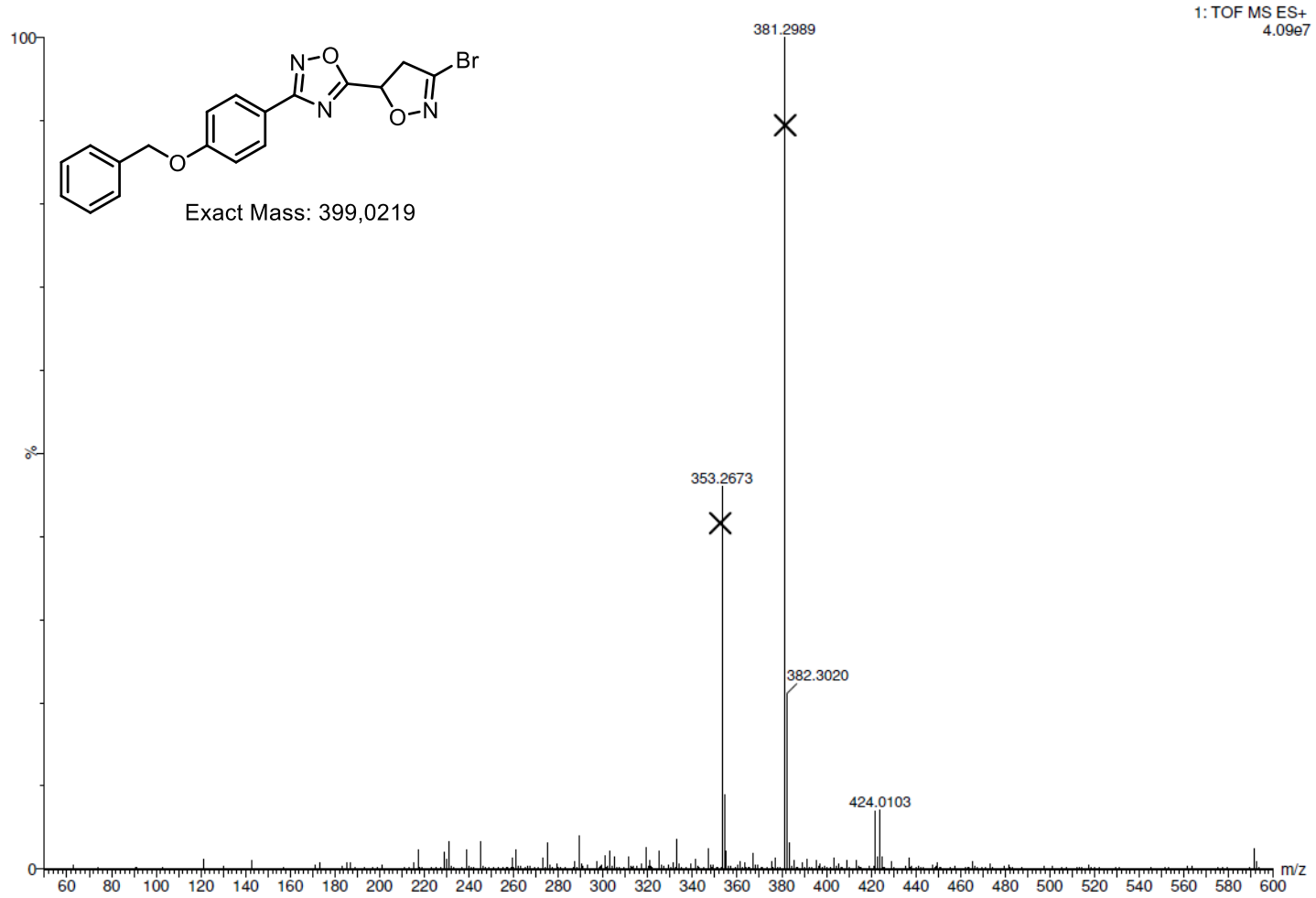


N-(2-(4-Butoxyphenyl)-2-oxoethyl)acrylamide (**14l**):



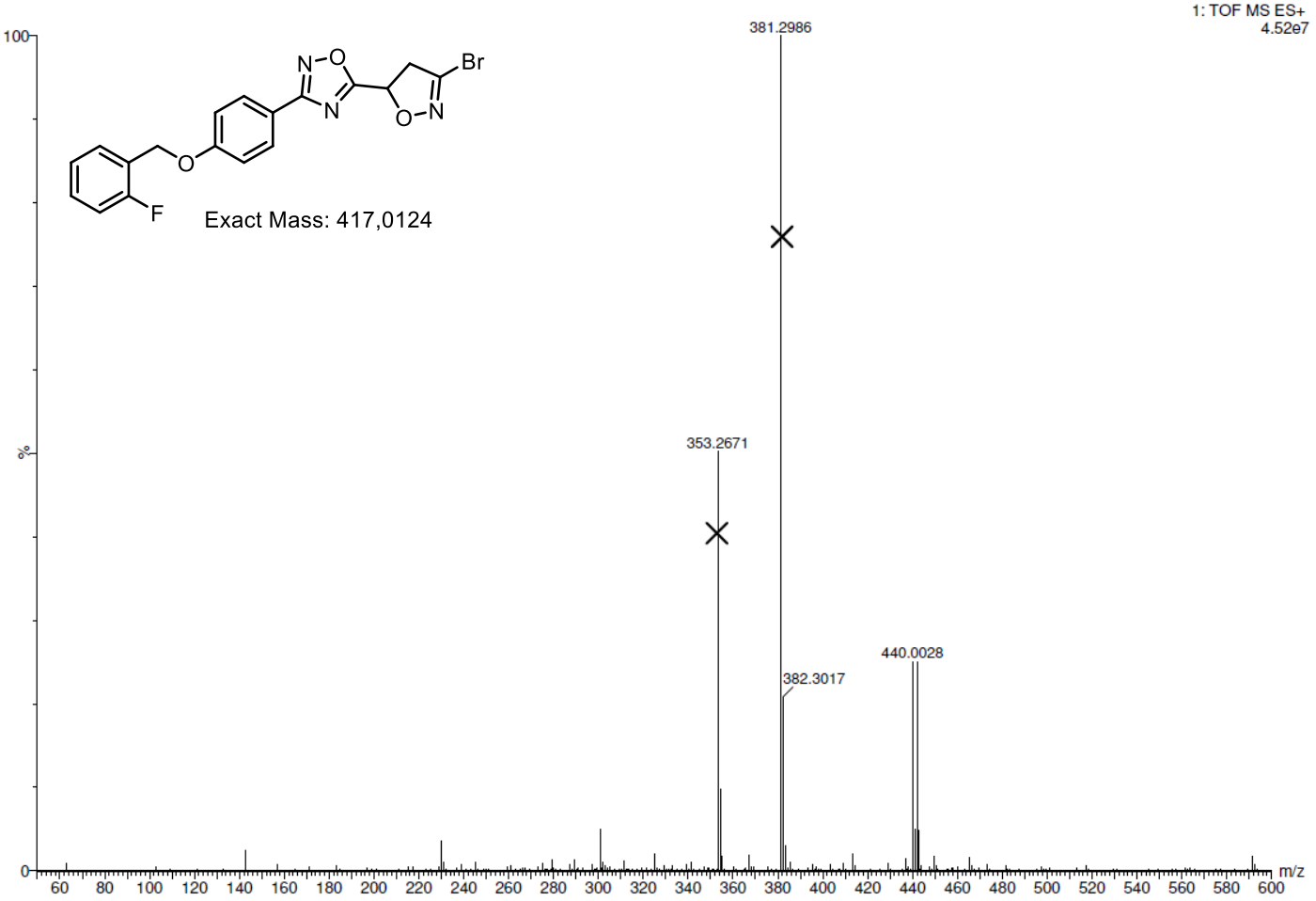
HRMS Spectra

3-(4-(Benzyloxy)phenyl)-5-(3-bromo-4,5-dihydroisoxazol-5-yl)-1,2,4-oxadiazole (**2a**):



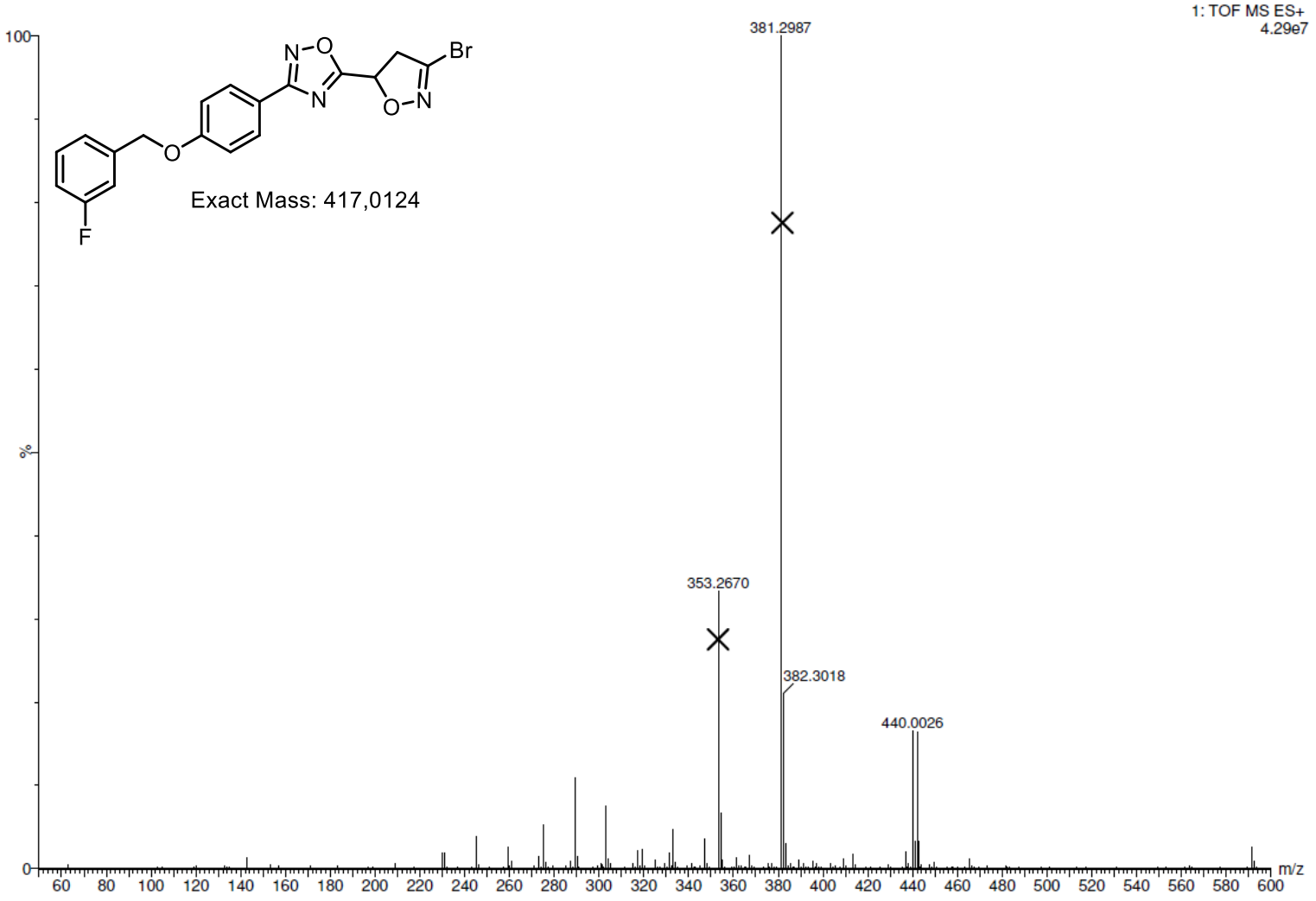
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((2-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (**2b**):



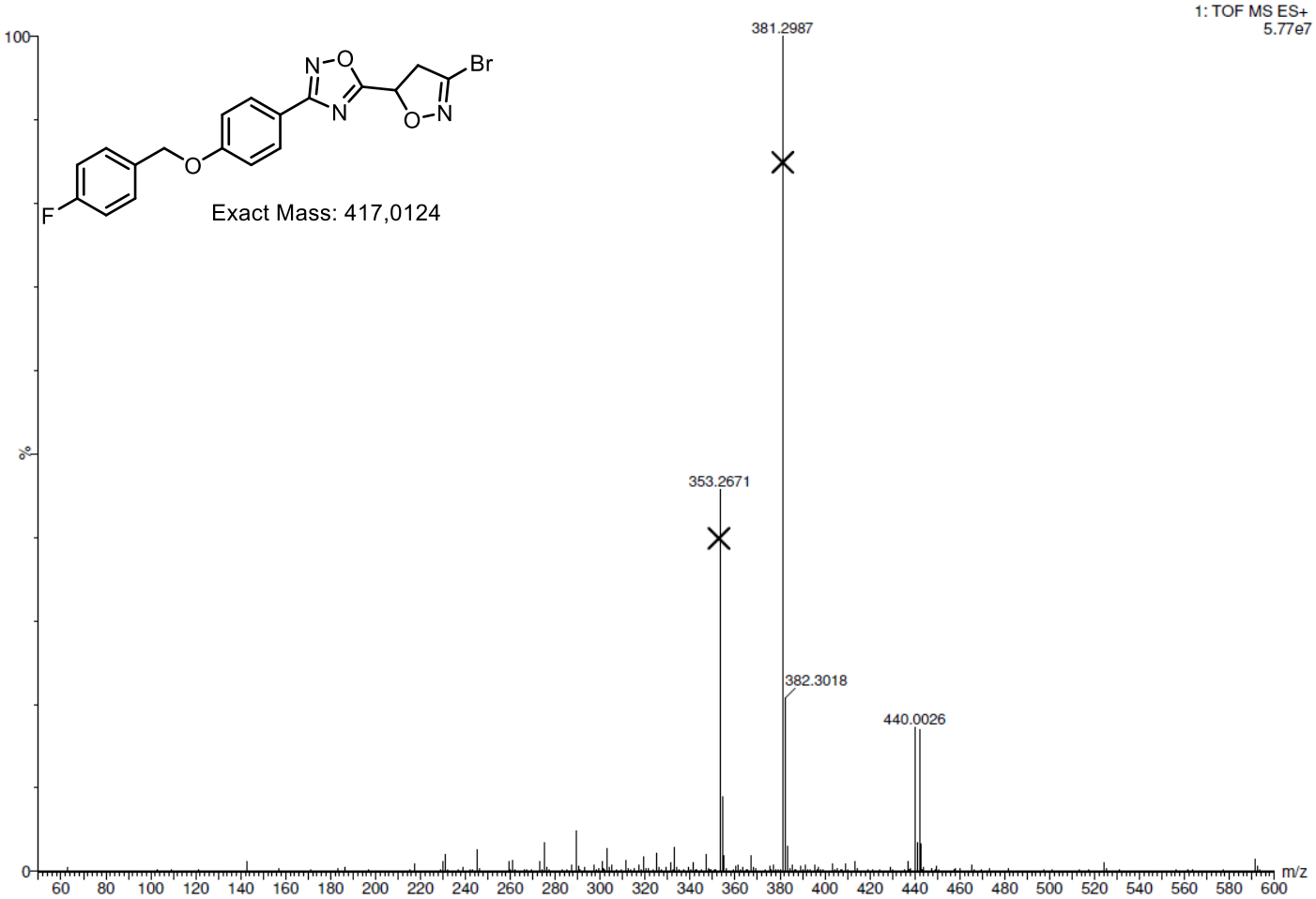
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((3-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (**2c**):



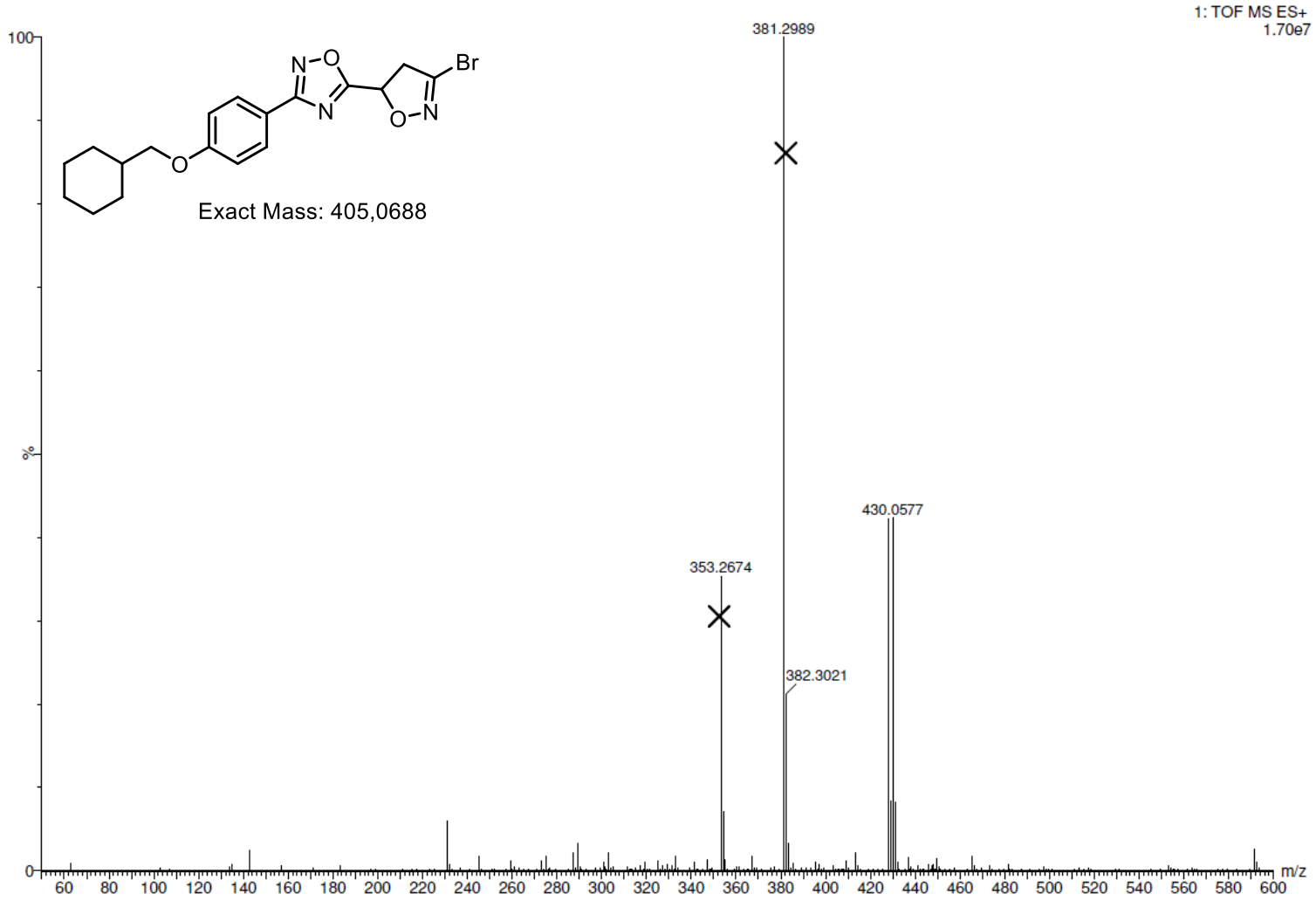
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((4-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (**2d**):



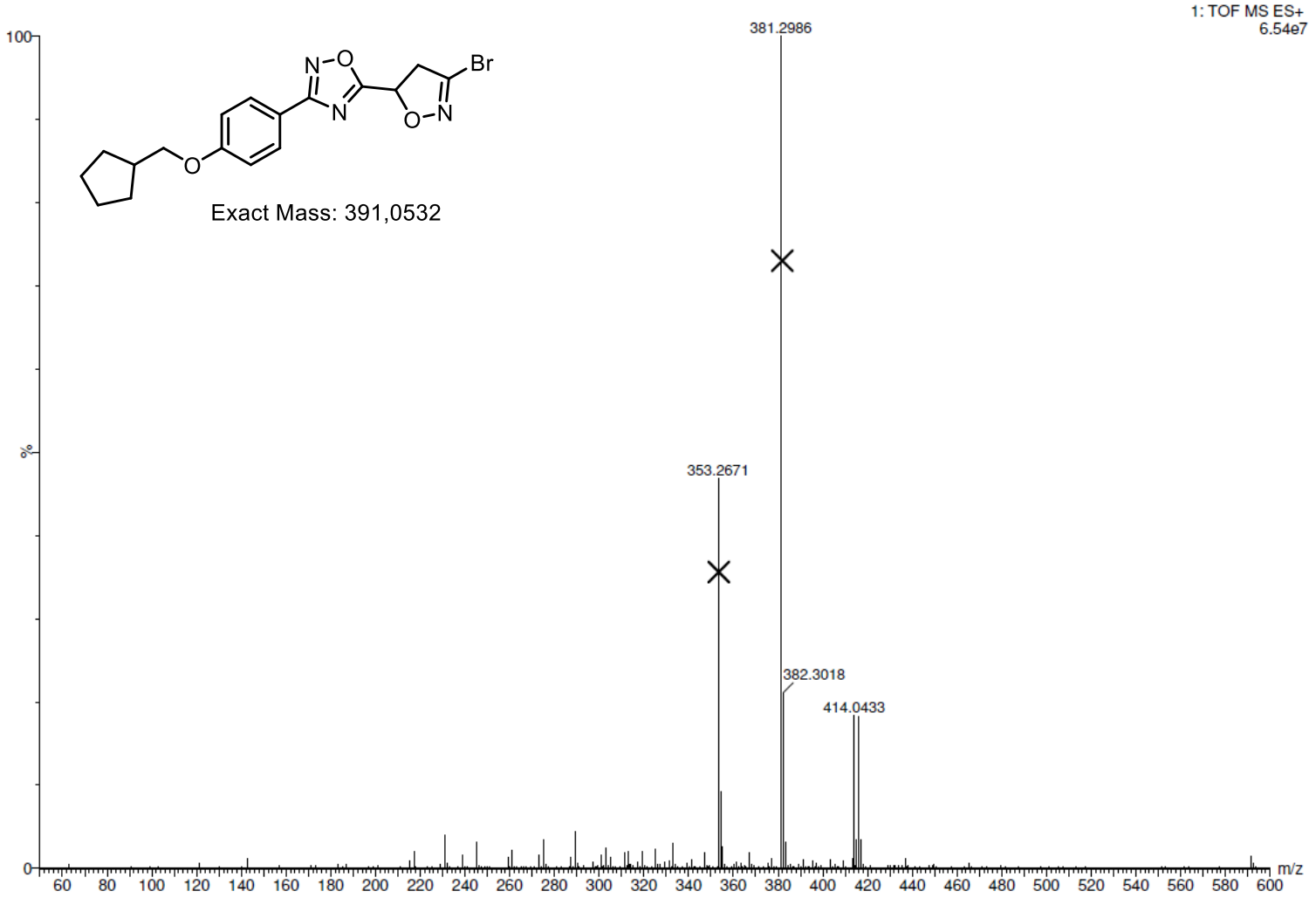
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclohexylmethoxy)phenyl)-1,2,4-oxadiazole (**2e**):



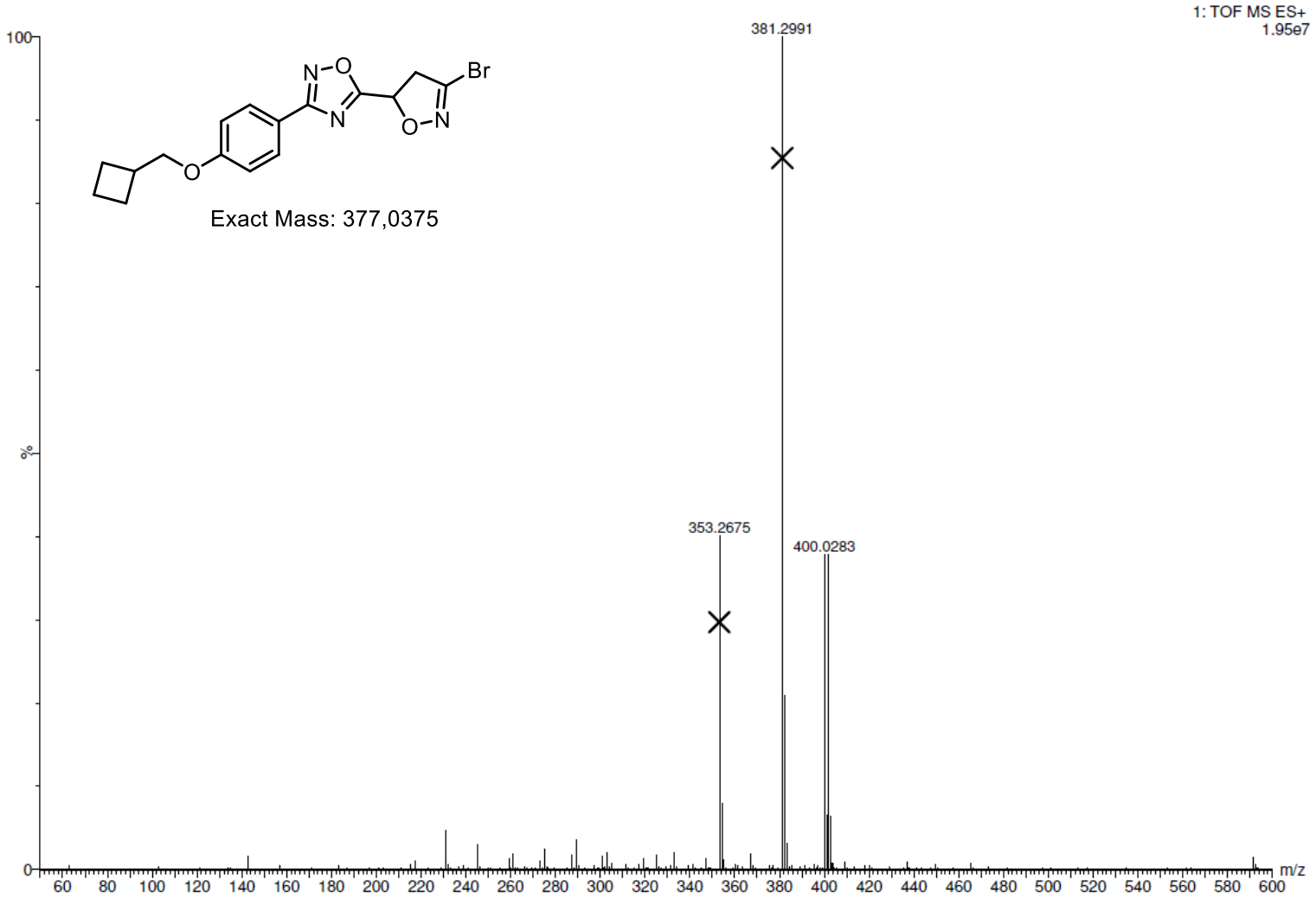
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclopentylmethoxy)phenyl)-1,2,4-oxadiazole (**2f**):



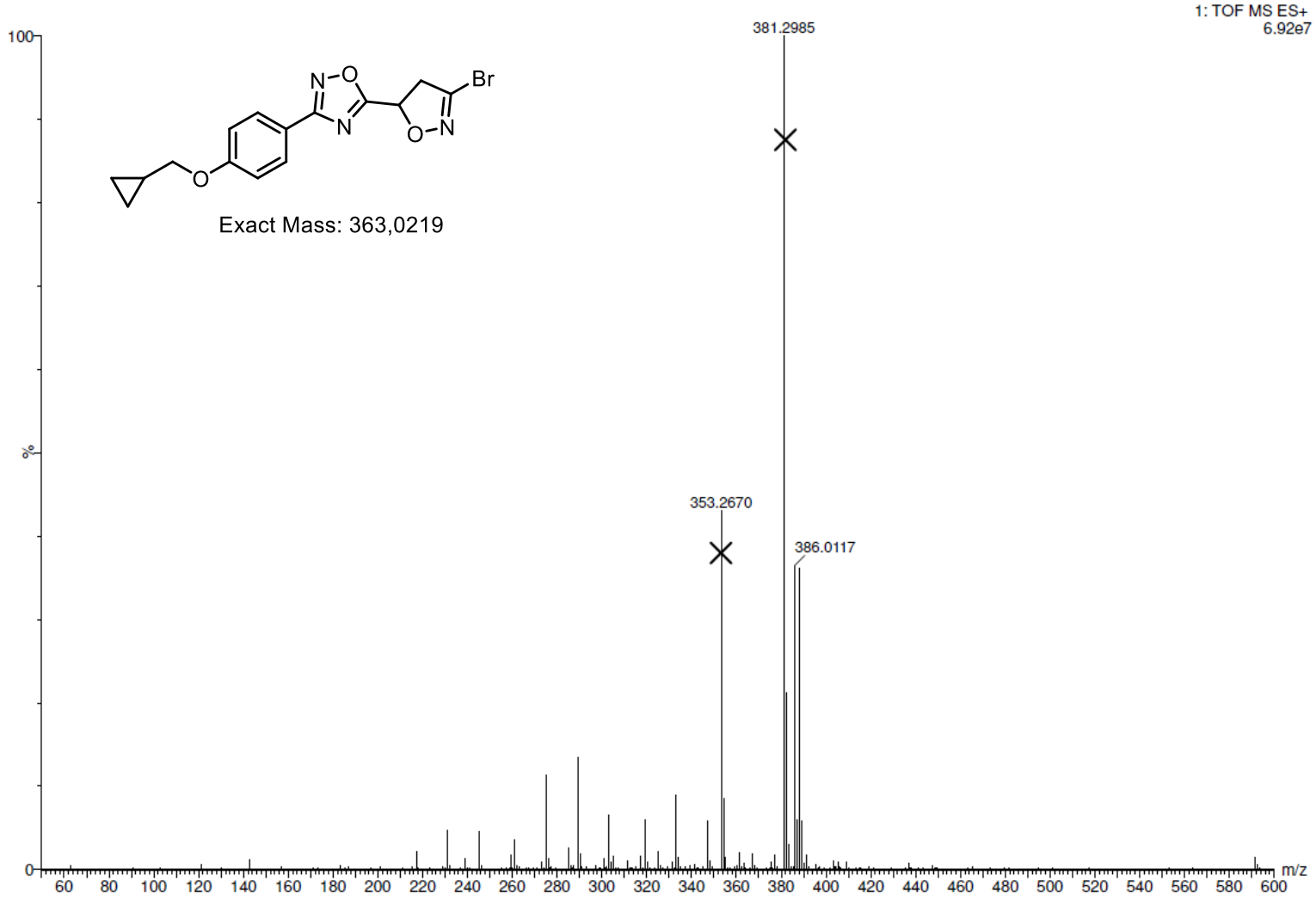
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclobutylmethoxy)phenyl)-1,2,4-oxadiazole (**2g**):



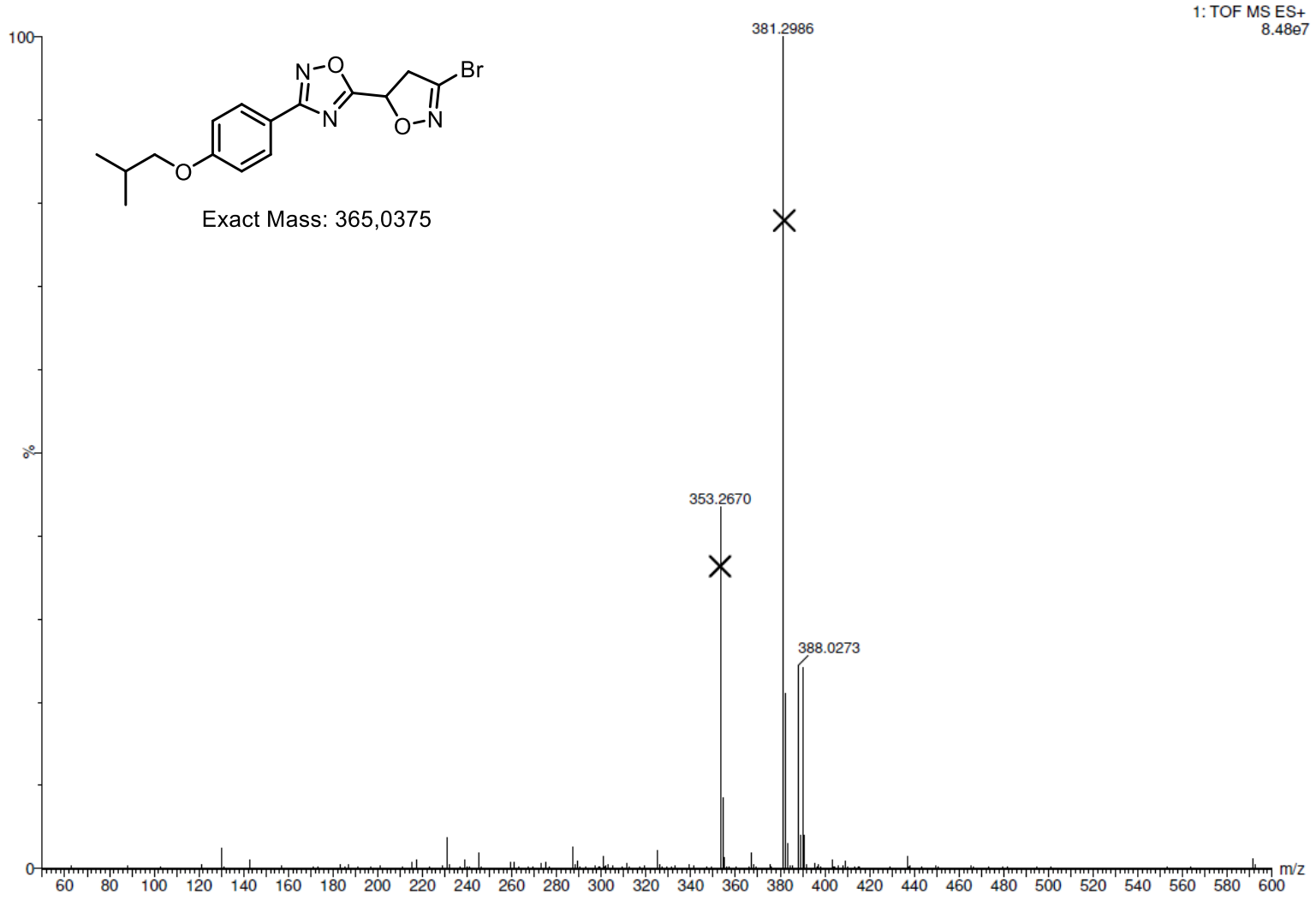
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclopropylmethoxy)phenyl)-1,2,4-oxadiazole (**2h**):



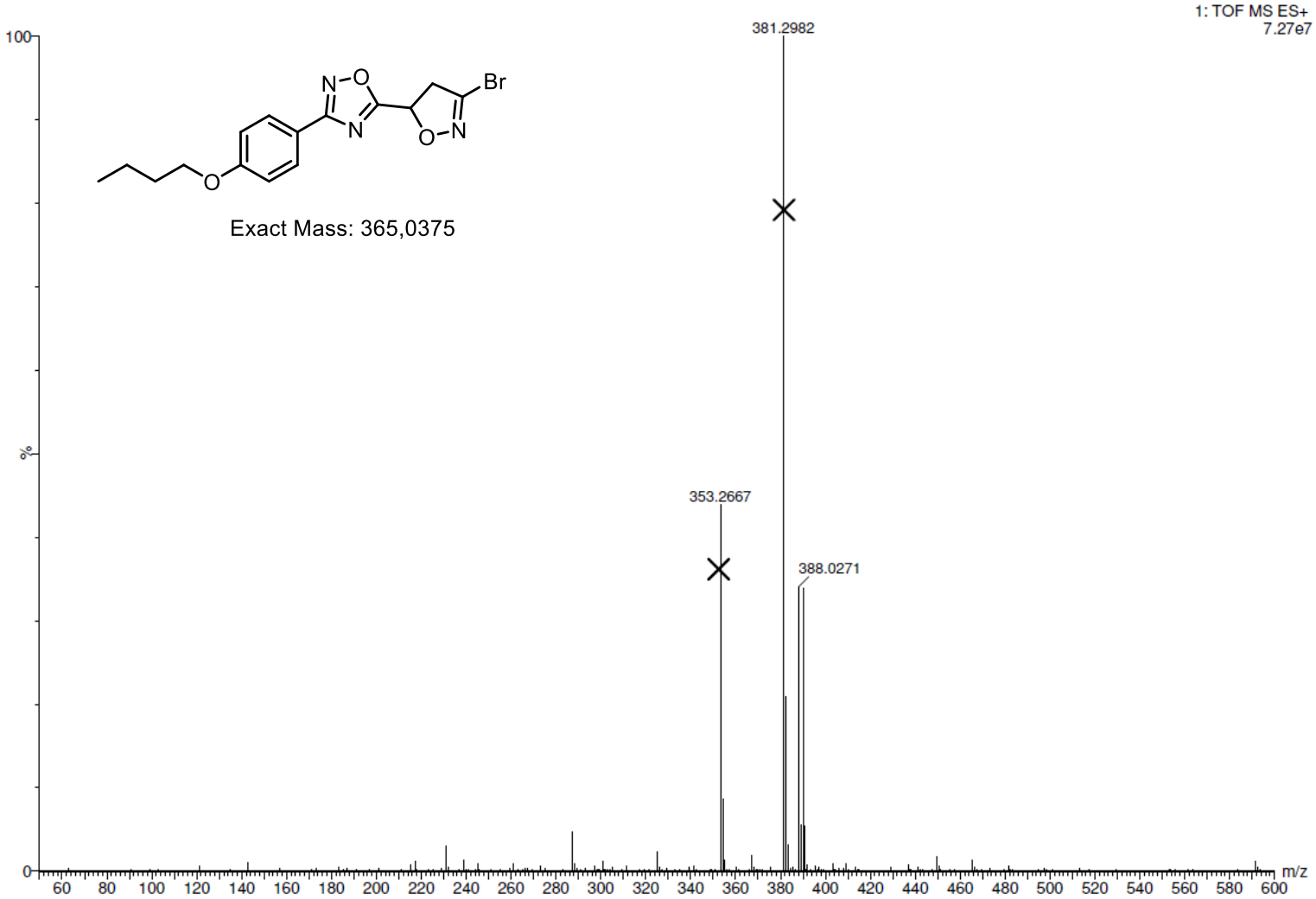
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-isobutoxyphenyl)-1,2,4-oxadiazole (**2i**):



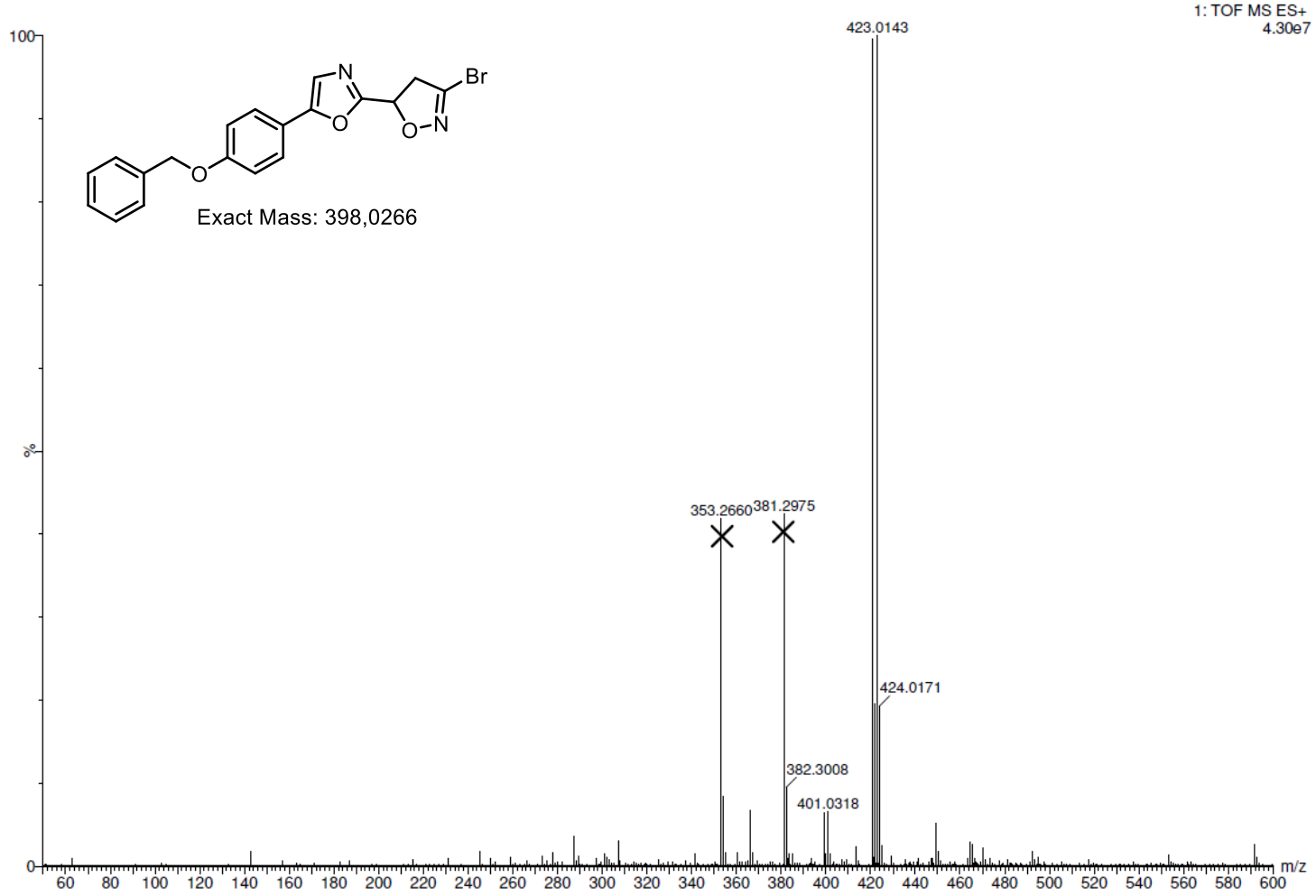
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-butoxyphenyl)-1,2,4-oxadiazole (**2l**):



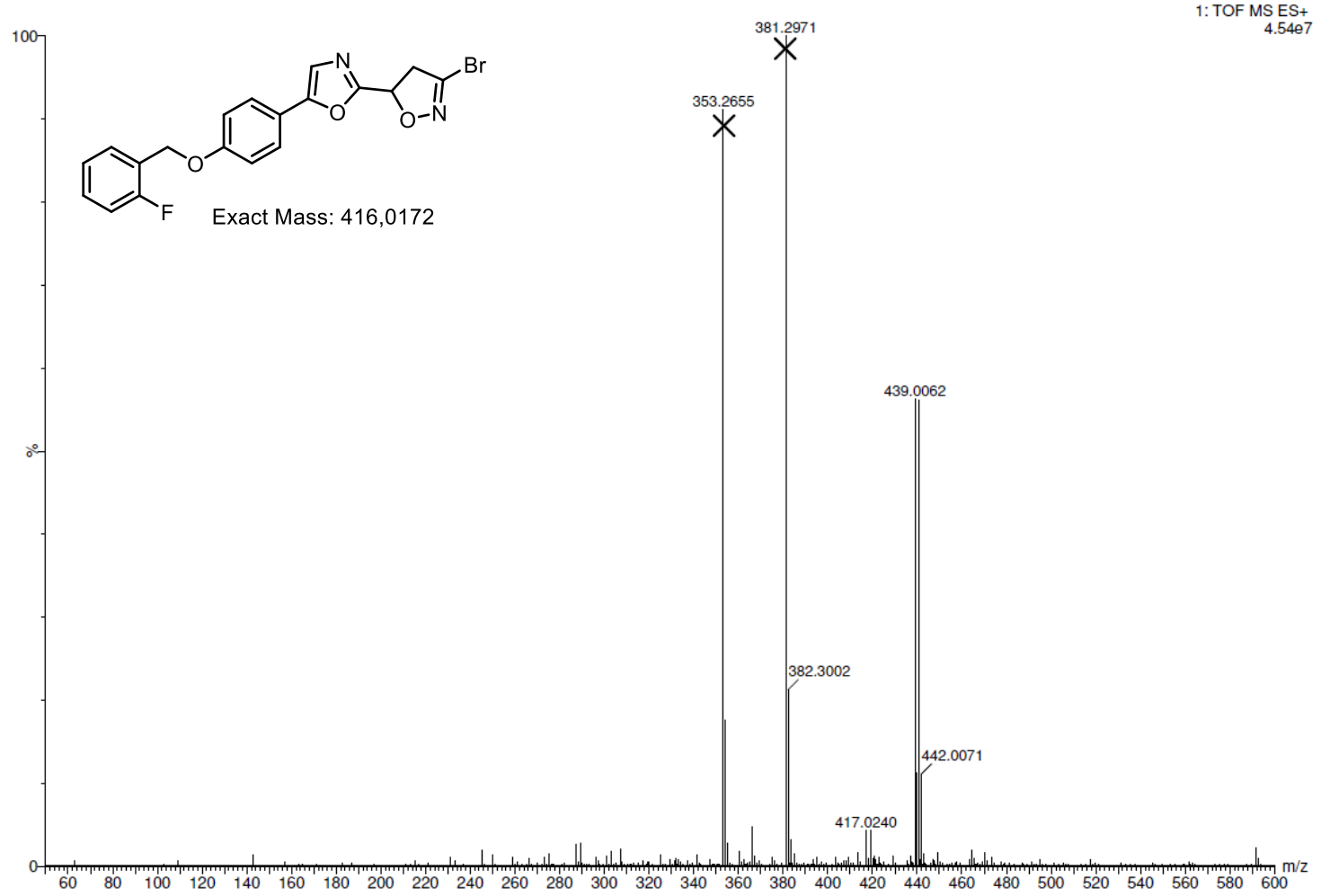
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-isobutoxyphenyl)-1,2,4-oxadiazole (**3a**):



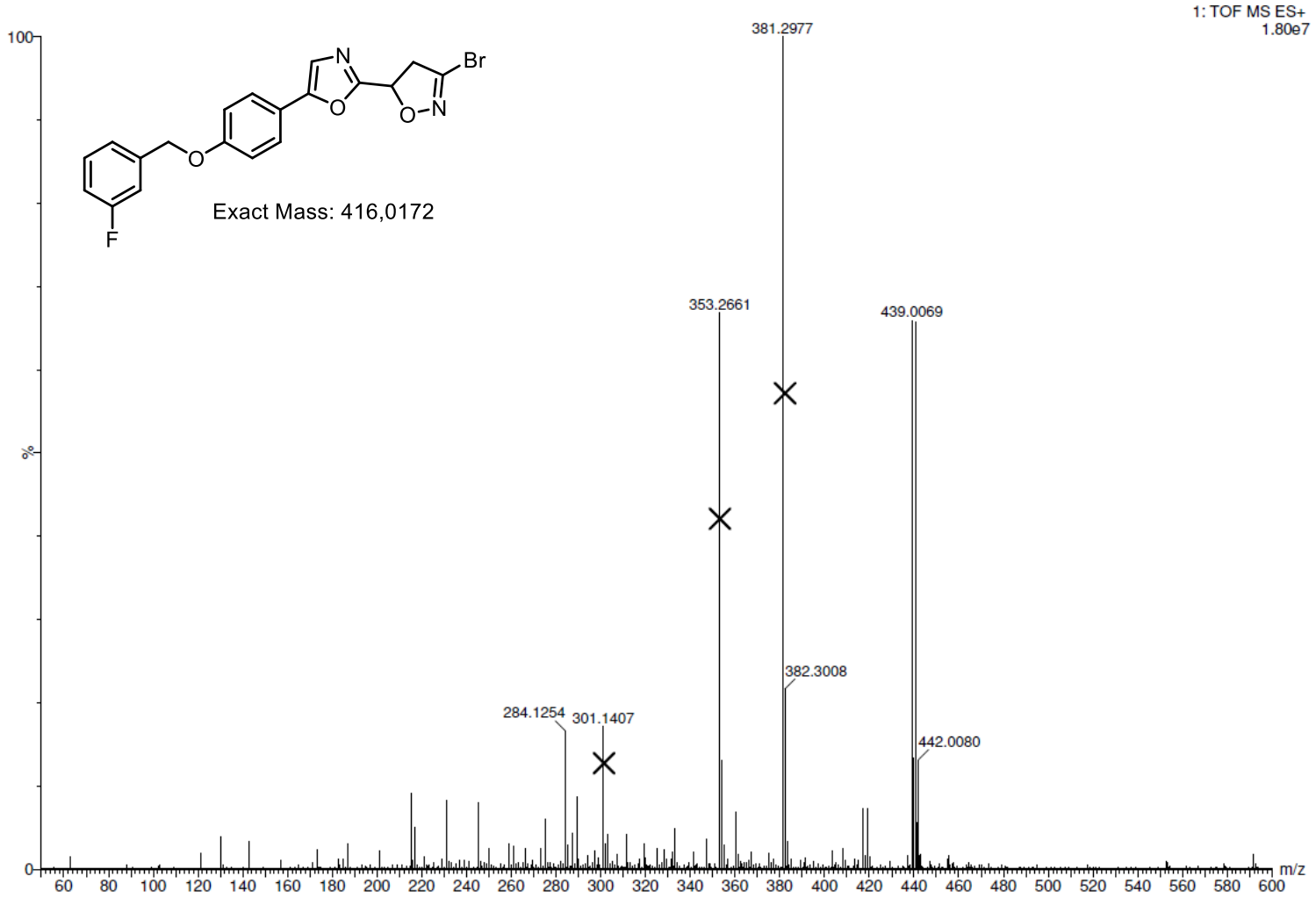
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-butoxyphenyl)-1,2,4-oxadiazole (**3b**):



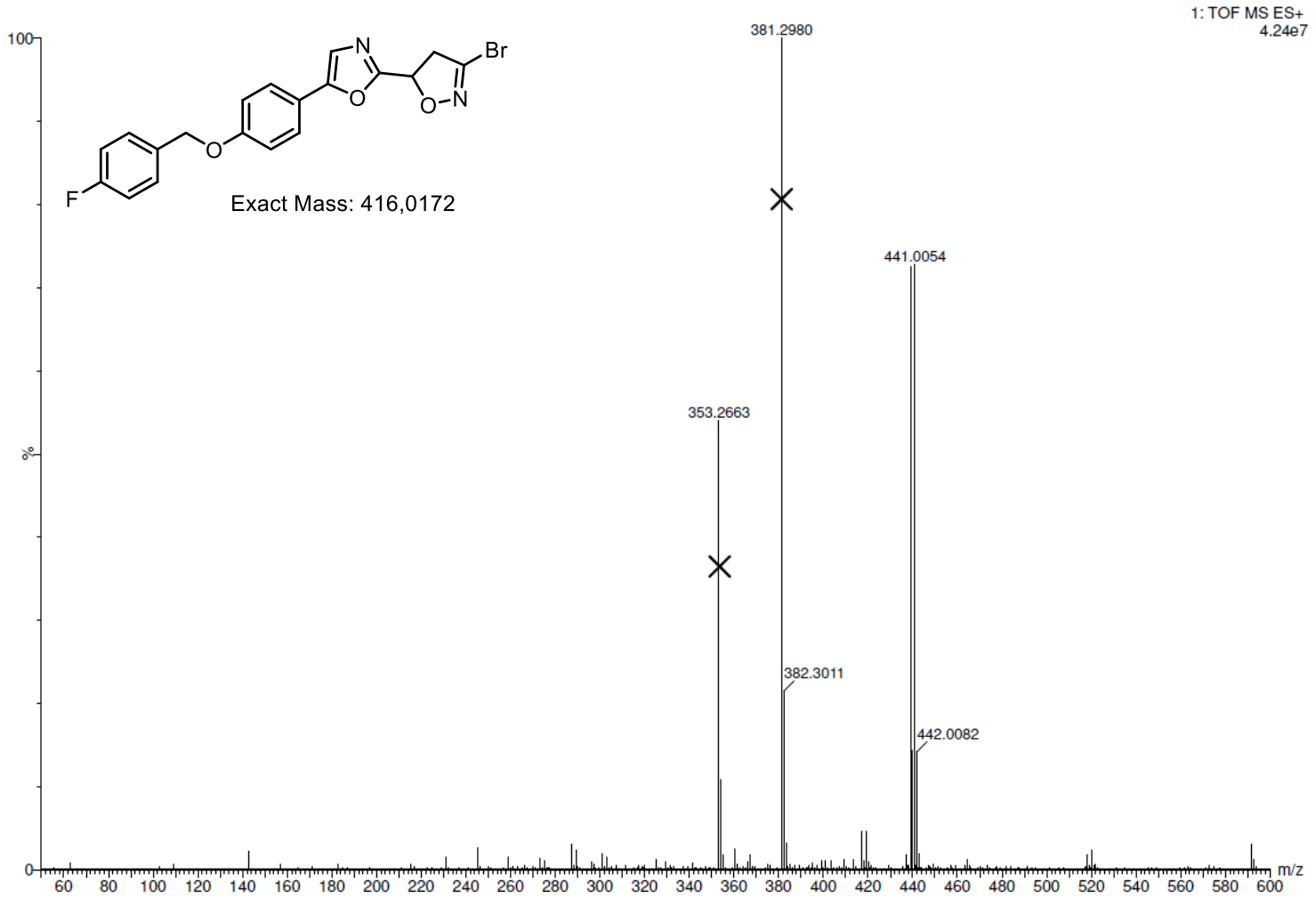
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-isobutoxyphenyl)-1,2,4-oxadiazole (**3c**):



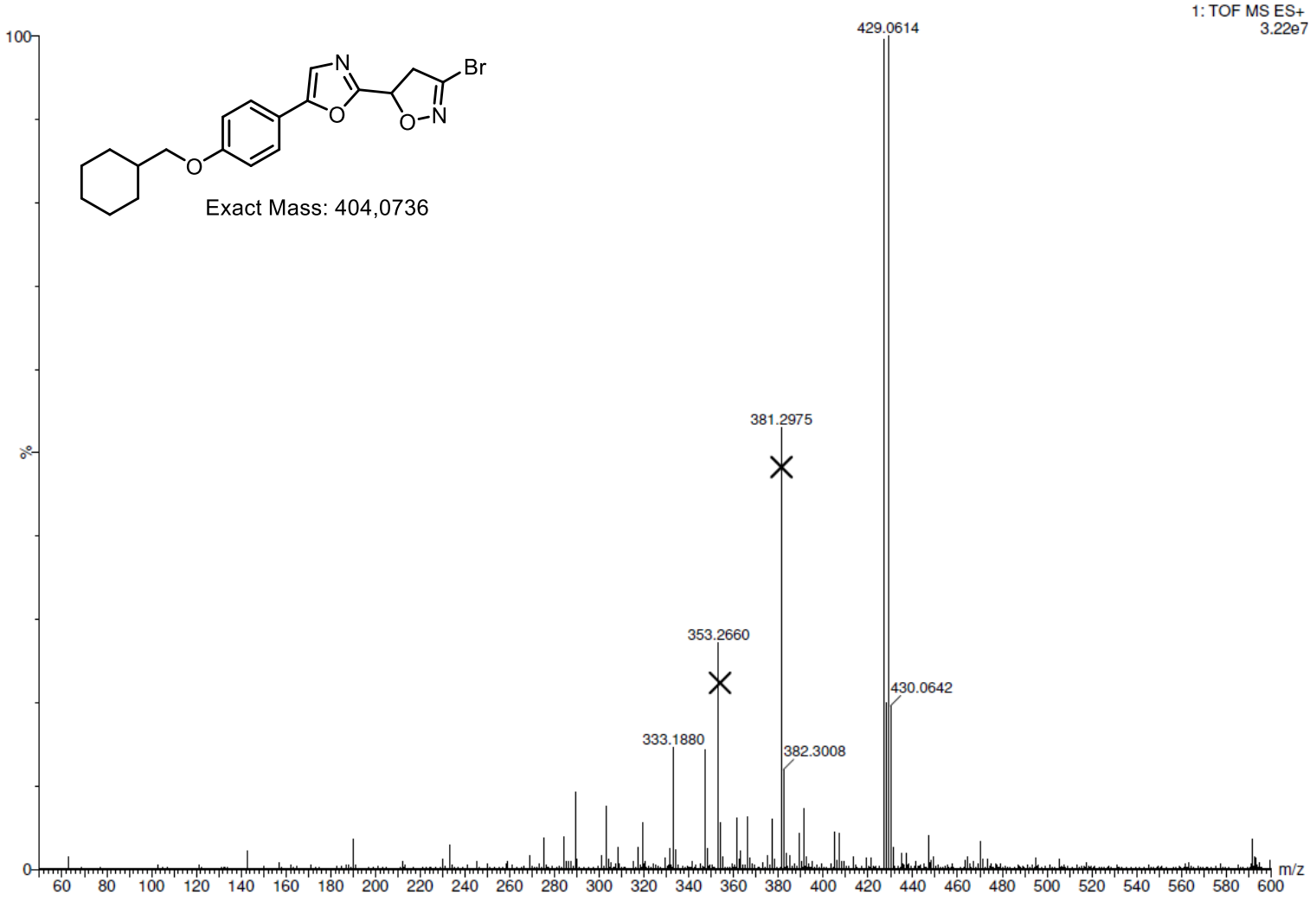
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-butoxyphenyl)-1,2,4-oxadiazole (**3d**):



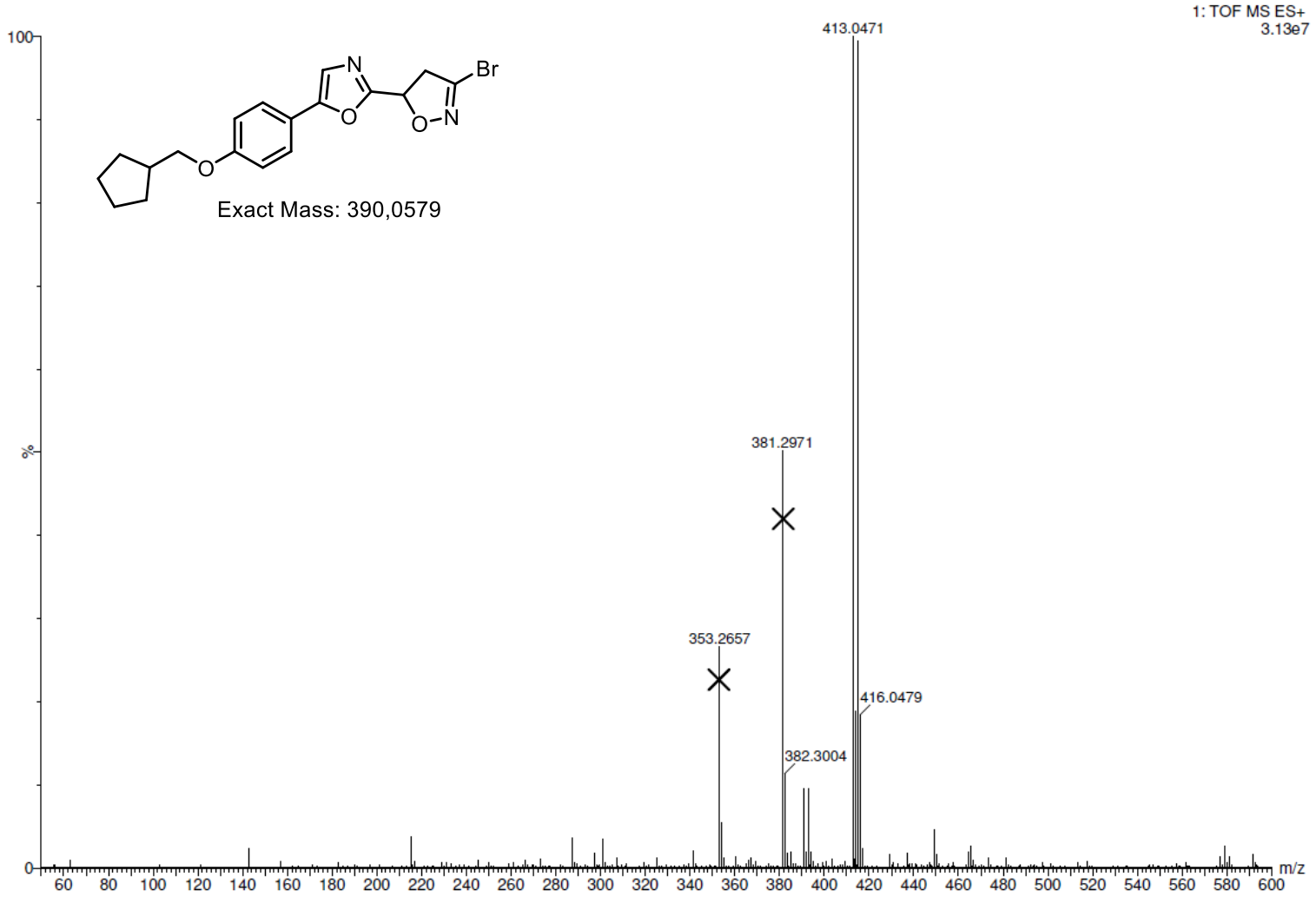
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-isobutoxyphenyl)-1,2,4-oxadiazole (**3e**):



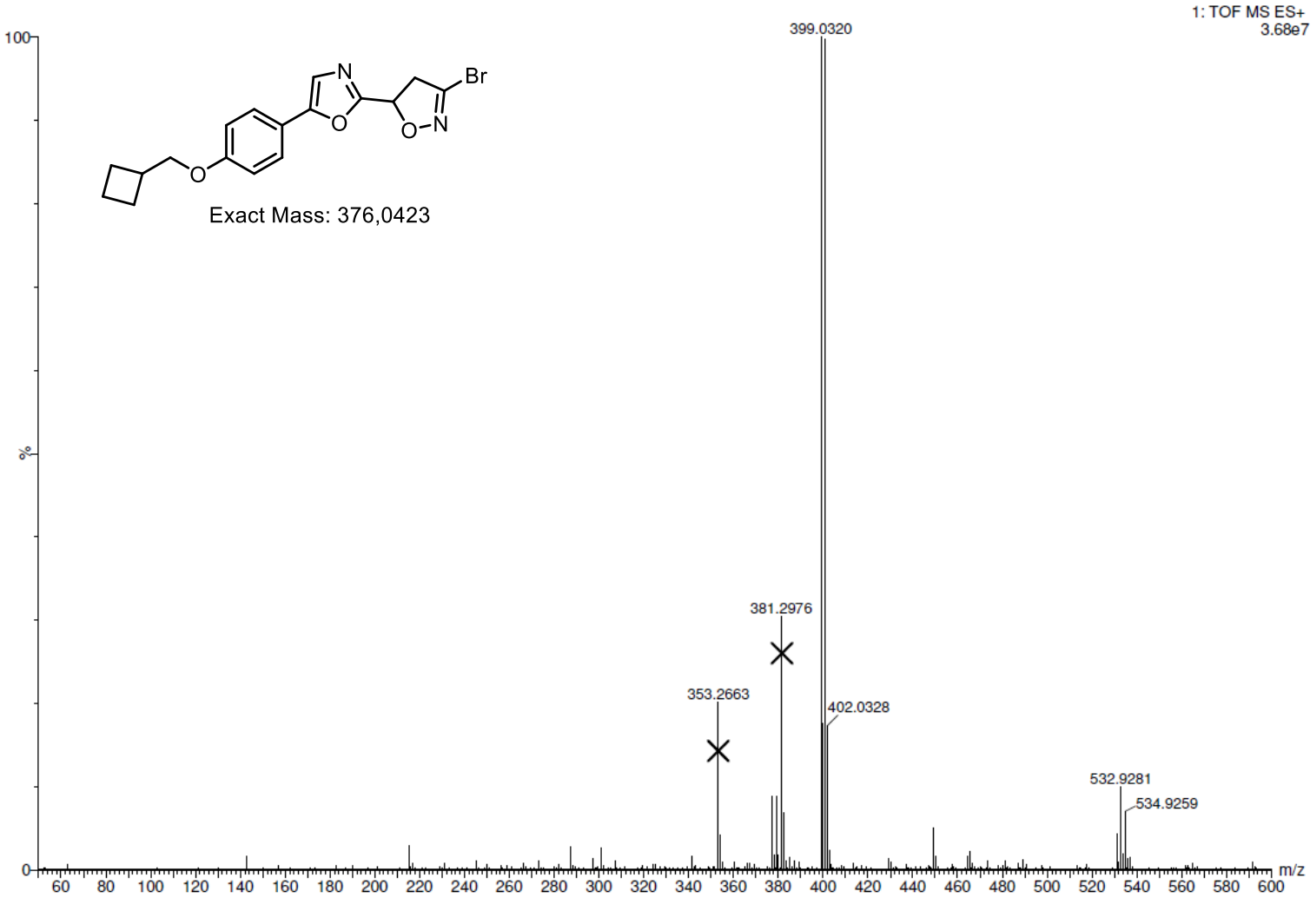
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-butoxyphenyl)-1,2,4-oxadiazole (**3f**):



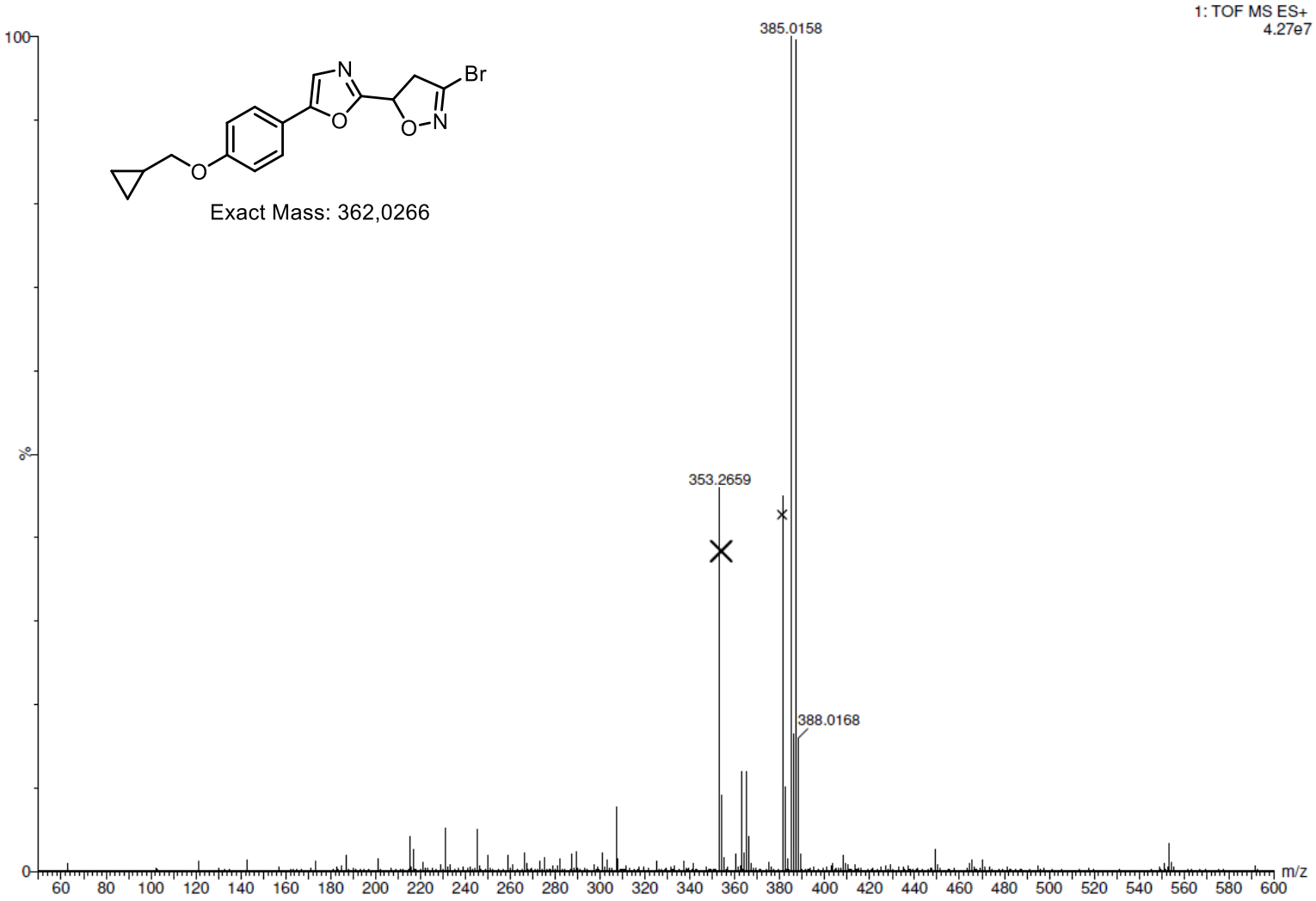
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-isobutoxyphenyl)-1,2,4-oxadiazole (**3g**):



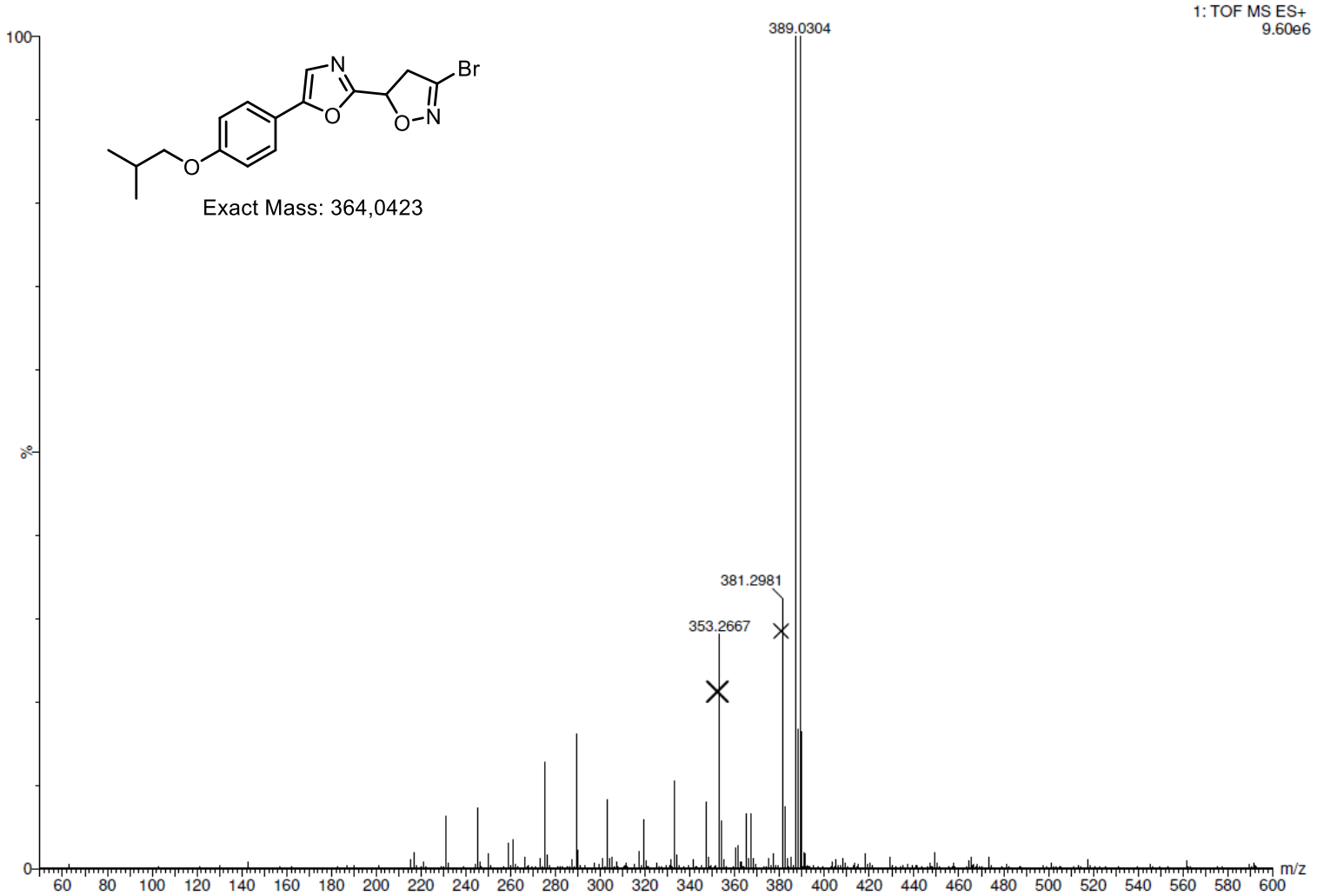
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-butoxyphenyl)-1,2,4-oxadiazole (**3h**):



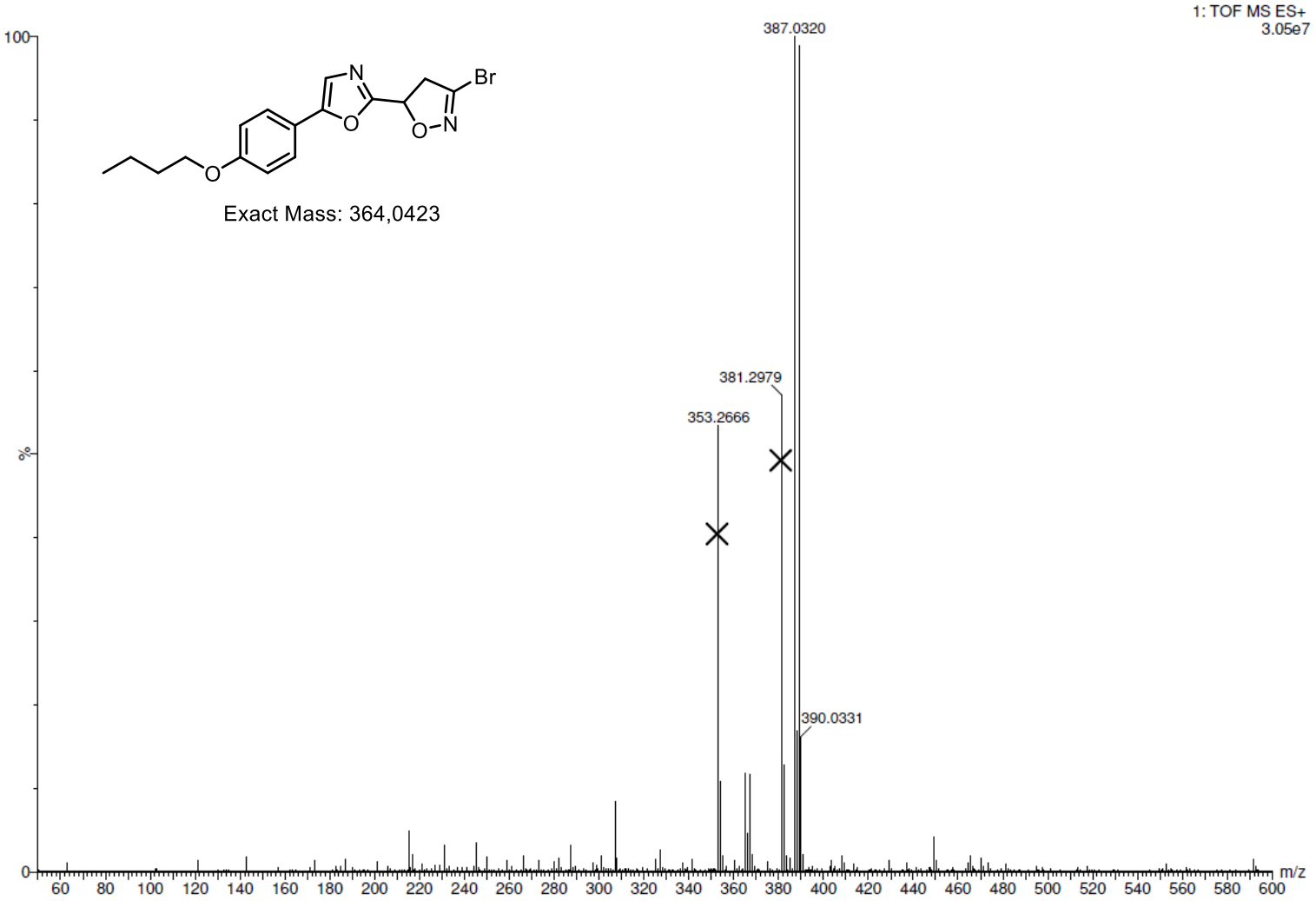
Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-isobutoxyphenyl)-1,2,4-oxadiazole (**3i**):



Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

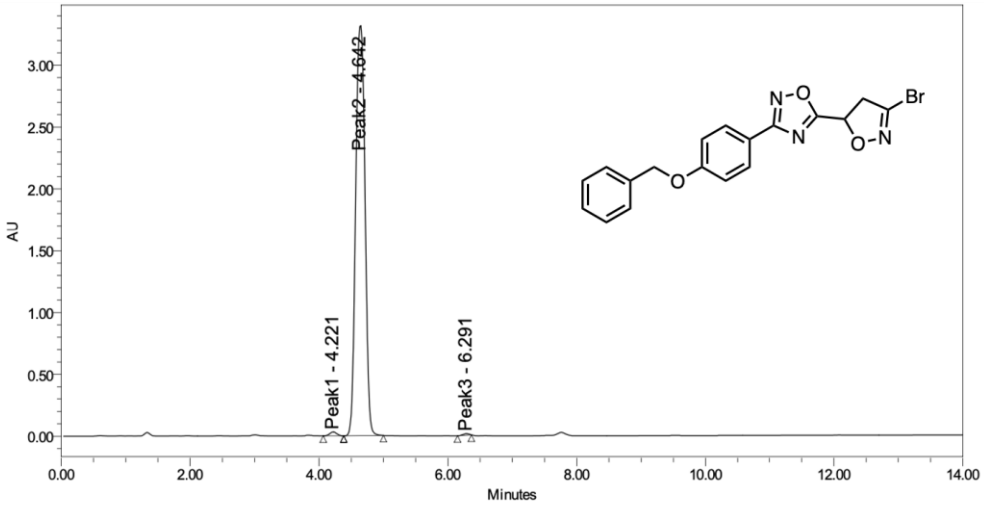
5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-butoxyphenyl)-1,2,4-oxadiazole (**3l**):



Crossed peaks are the interferences and contaminants observed in mass spectrometry, as described in Ref. [19].

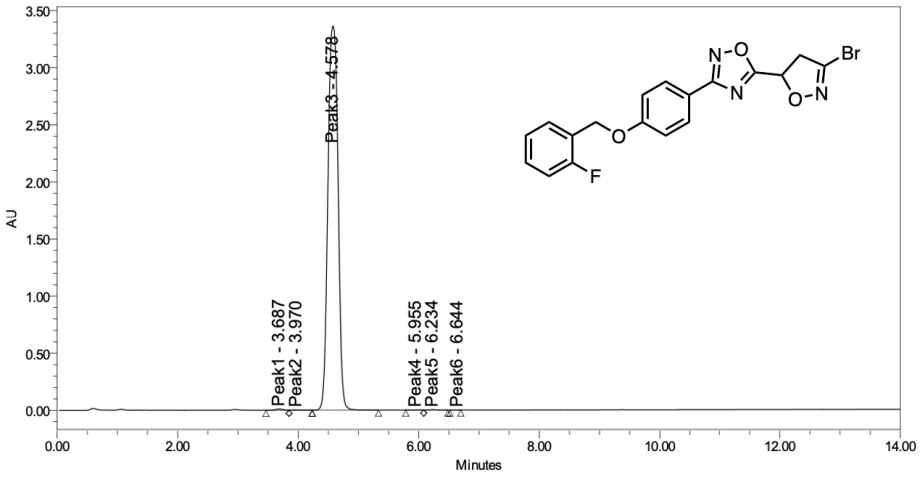
HPLC Chromatograms

3-(4-(Benzyloxy)phenyl)-5-(3-bromo-4,5-dihydroisoxazol-5-yl)-1,2,4-oxadiazole (**2a**):



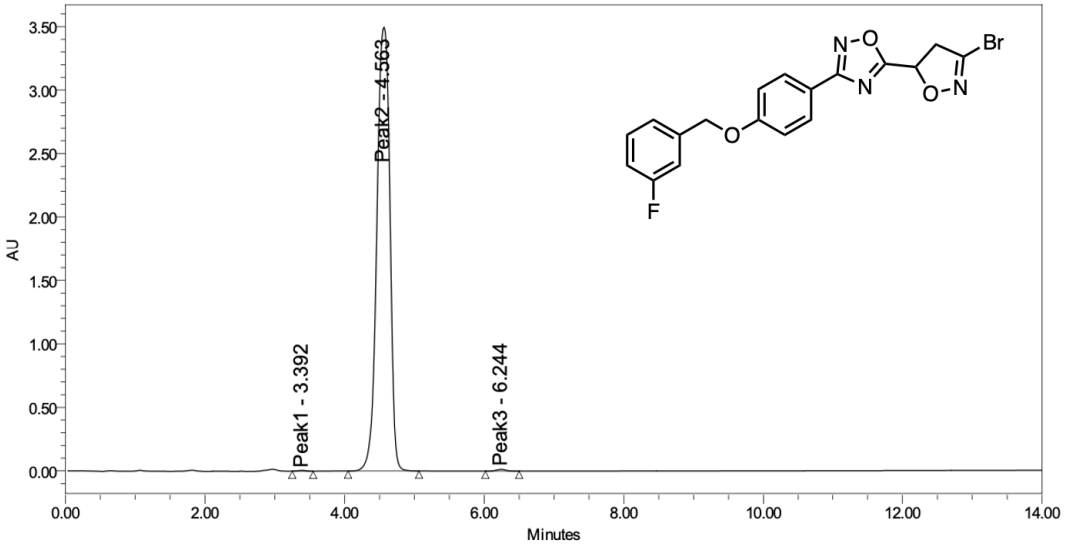
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	4.221	235765	0.70	31297	0.93
2	Peak2	4.642	33197044	99.06	3332666	98.71
3	Peak3	6.291	79182	0.24	12164	0.36

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((2-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (**2b**):



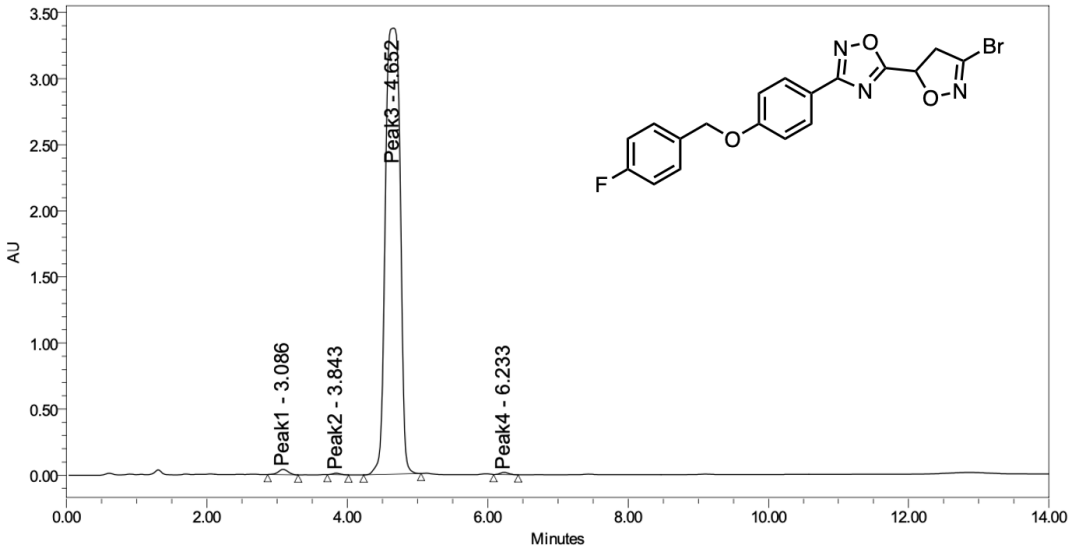
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	3.687	102694	0.26	11341	0.33
2	Peak2	3.970	30298	0.08	2916	0.09
3	Peak3	4.578	39068324	99.56	3370384	99.44
4	Peak4	5.955	10393	0.03	1178	0.03
5	Peak5	6.234	29559	0.08	3222	0.10
6	Peak6	6.644	1614	0.00	261	0.01

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((3-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (**2c**):



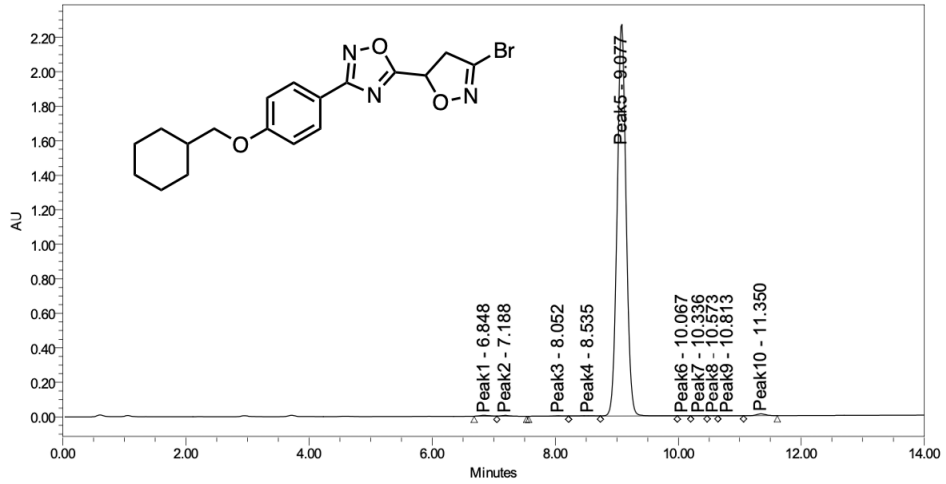
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	3.392	49608	0.11	5654	0.16
2	Peak2	4.563	45085209	99.59	3501789	99.45
3	Peak3	6.244	133772	0.30	13630	0.39

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-((4-fluorobenzyl)oxy)phenyl)-1,2,4-oxadiazole (**2d**):



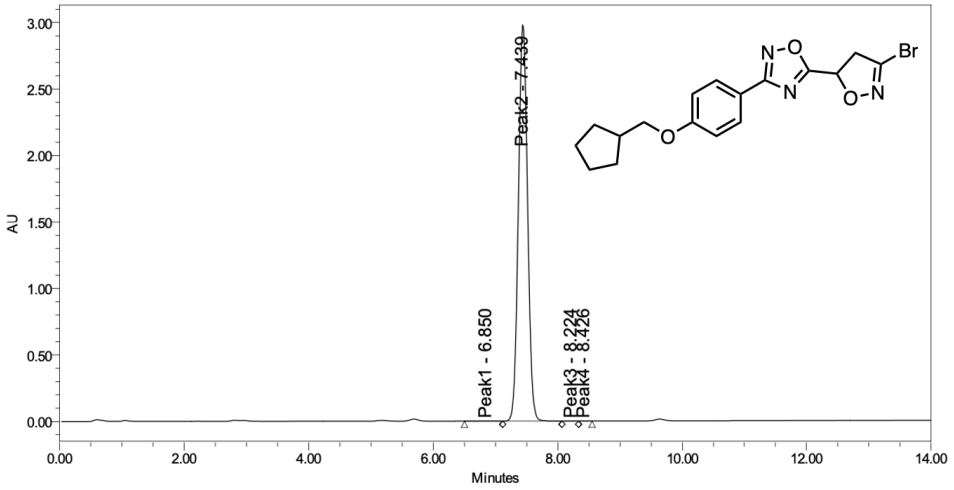
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	3.086	390605	0.79	39928	1.16
2	Peak2	3.843	78704	0.16	10601	0.31
3	Peak3	4.652	49041180	98.79	3374411	98.06
4	Peak4	6.233	133478	0.27	16069	0.47

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclohexylmethoxy)phenyl)-1,2,4-oxadiazole (**2e**):



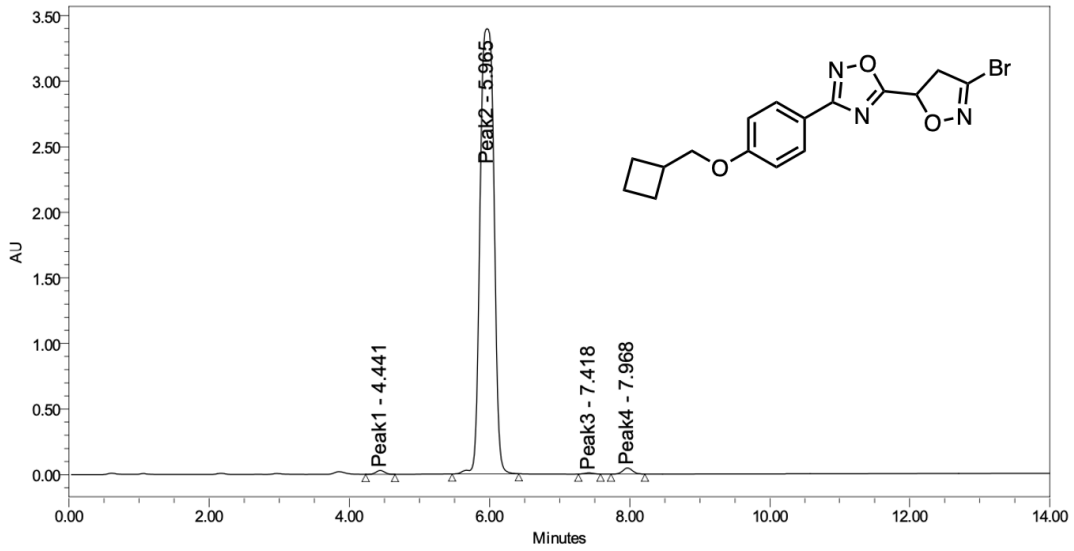
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	6.848	60557	0.26	4790	0.21
2	Peak2	7.188	45530	0.20	4695	0.20
3	Peak3	8.052	30277	0.13	2086	0.09
4	Peak4	8.535	43120	0.18	1642	0.07
5	Peak5	9.077	23025434	98.73	2276454	98.94
6	Peak6	10.067	4117	0.02	351	0.02
7	Peak7	10.336	3956	0.02	342	0.01
8	Peak8	10.573	967	0.00	104	0.00

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclopentylmethoxy)phenyl)-1,2,4-oxadiazole (**2f**):



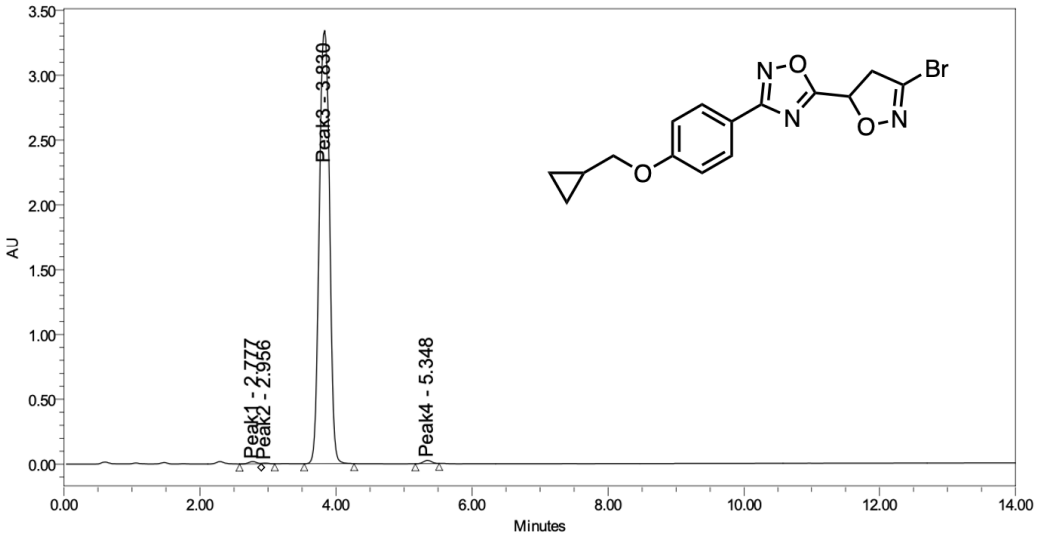
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	6.850	17504	0.06	834	0.03
2	Peak2	7.439	30346406	99.93	2987983	99.96
3	Peak3	8.224	2262	0.01	177	0.01
4	Peak4	8.426	1135	0.00	137	0.00

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclobutylmethoxy)phenyl)-1,2,4-oxadiazole (**2g**):



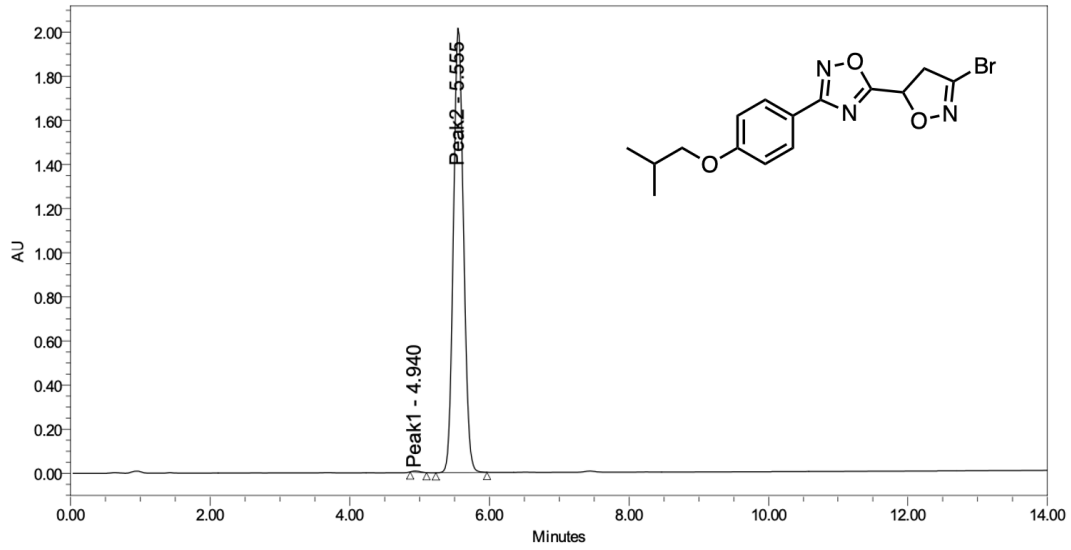
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	4.441	251221	0.53	29428	0.85
2	Peak2	5.965	46514371	98.35	3396402	97.57
3	Peak3	7.418	82004	0.17	9257	0.27
4	Peak4	7.968	449092	0.95	46064	1.32

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-(cyclopropylmethoxy)phenyl)-1,2,4-oxadiazole (**2h**):



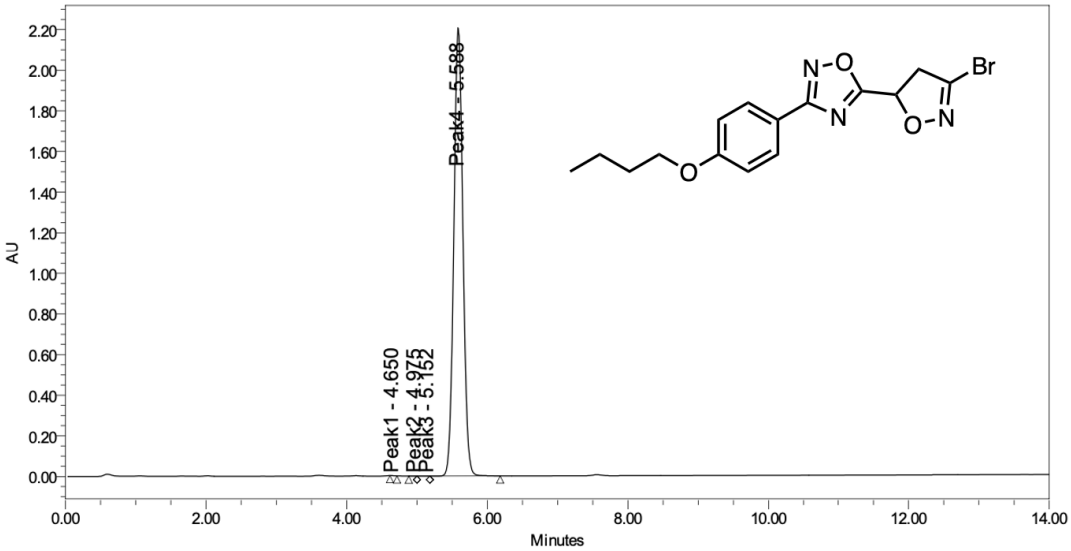
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	2.777	142980	0.40	17717	0.52
2	Peak2	2.956	30803	0.09	4851	0.14
3	Peak3	3.830	34968472	98.91	3346669	98.59
4	Peak4	5.348	211885	0.60	25450	0.75

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-isobutoxyphenyl)-1,2,4-oxadiazole (**2i**):



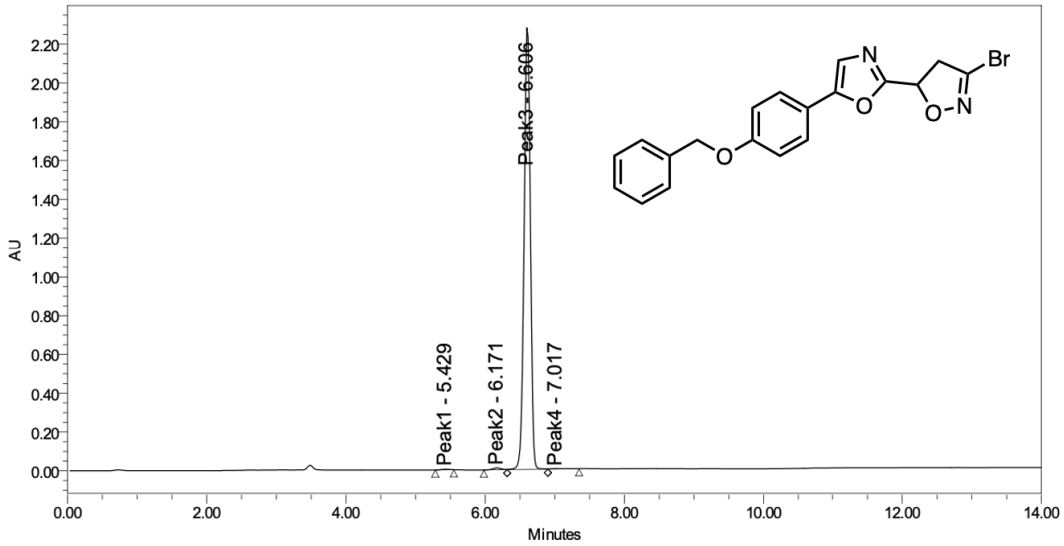
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	4.940	36717	0.18	5228	0.26
2	Peak2	5.555	20150496	99.82	2017178	99.74

5-(3-Bromo-4,5-dihydroisoxazol-5-yl)-3-(4-butoxyphenyl)-1,2,4-oxadiazole (**2l**):



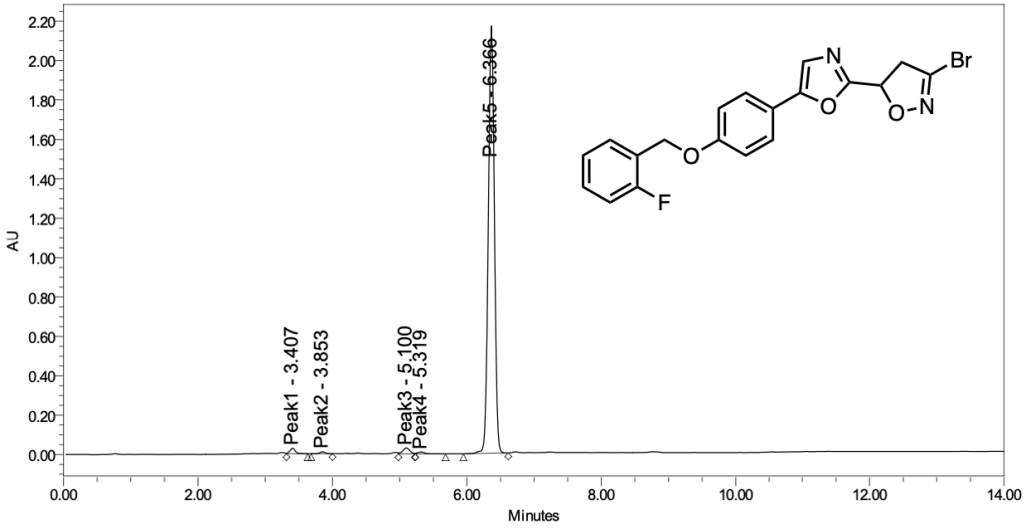
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	4.650	646	0.00	215	0.01
2	Peak2	4.975	220	0.00	44	0.00
3	Peak3	5.152	1160	0.01	182	0.01
4	Peak4	5.588	19699154	99.99	2208686	99.98

5-(5-(4-(Benzyloxy)phenyl)oxazol-2-yl)-3-bromo-4,5-dihydroisoxazole (**3a**):



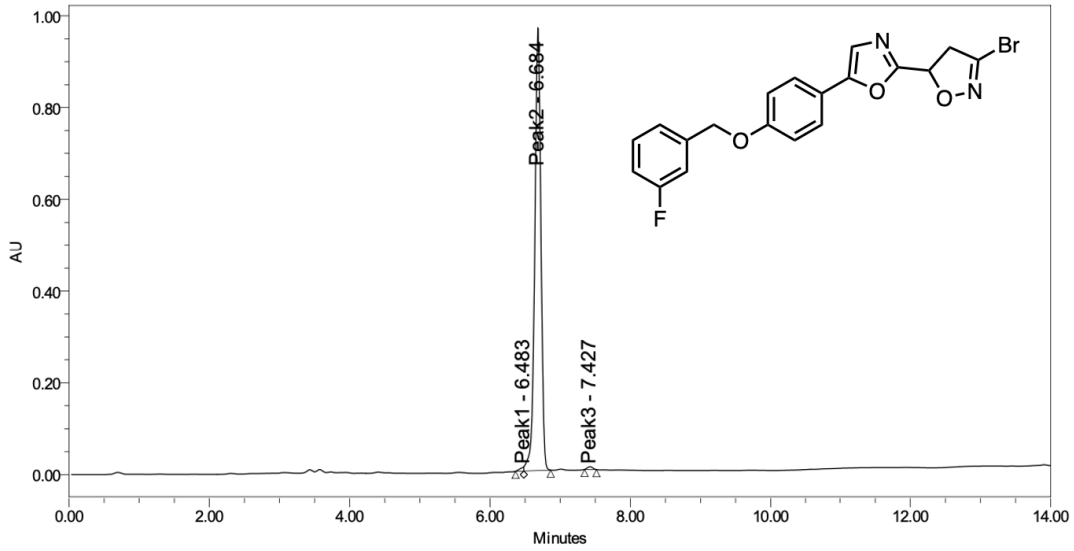
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	5.429	23493	0.16	3227	0.14
2	Peak2	6.171	82526	0.57	10076	0.44
3	Peak3	6.606	14234570	99.08	2282037	99.33
4	Peak4	7.017	26826	0.19	1985	0.09

3-Bromo-5-(5-(4-((2-fluorobenzyl)oxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3b**):



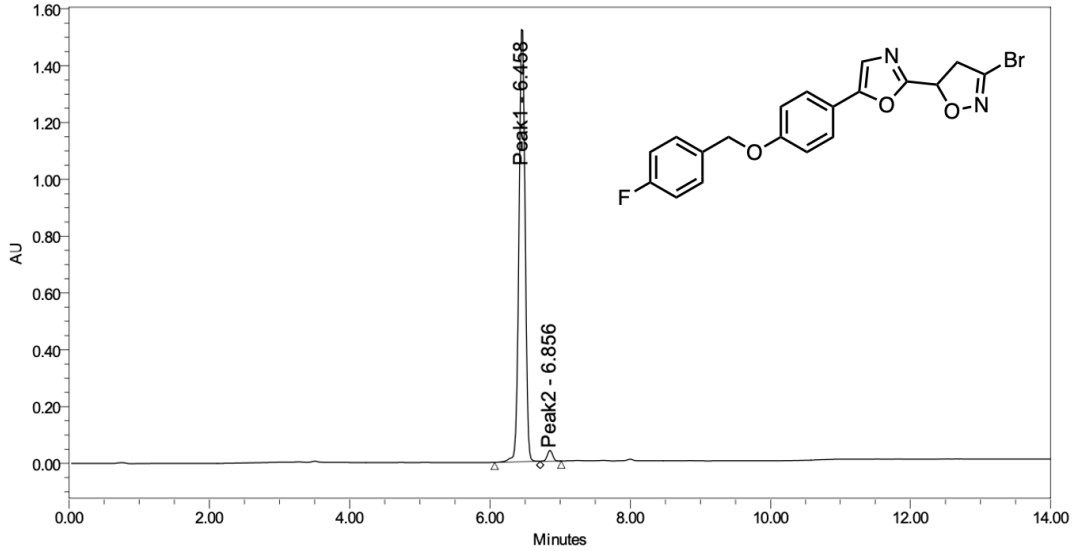
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	3.407	154248	1.10	27107	1.21
2	Peak2	3.853	56497	0.40	9630	0.43
3	Peak3	5.100	216845	1.54	29993	1.34
4	Peak4	5.319	67826	0.48	9351	0.42
5	Peak5	6.366	13571540	96.48	2157080	96.59

3-Bromo-5-(5-(4-((3-fluorobenzyl)oxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3c**):



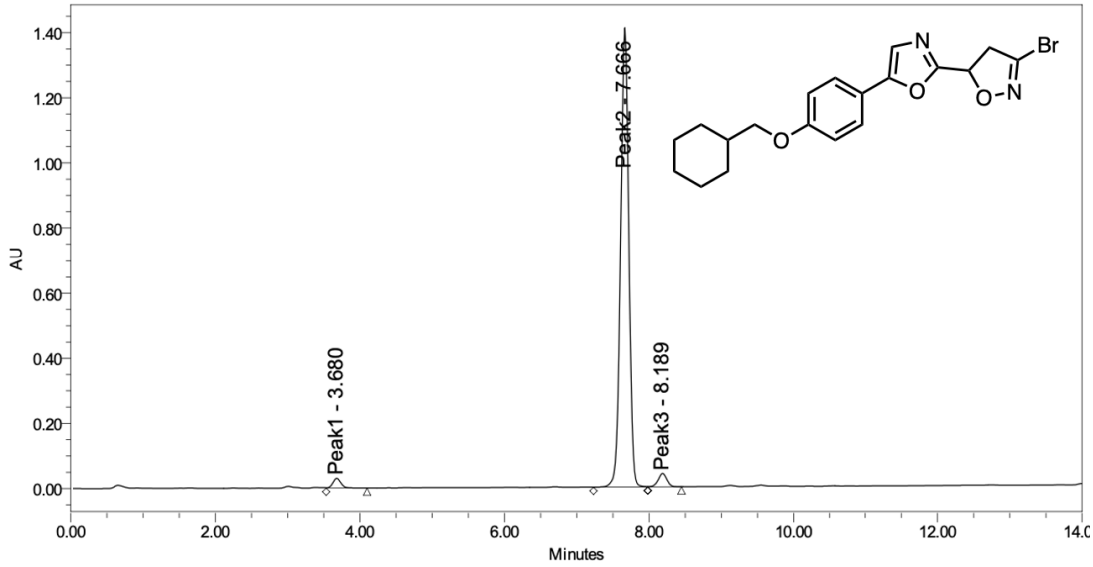
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	6.483	31796	0.53	9363	0.96
2	Peak2	6.684	5920431	98.94	958734	98.42
3	Peak3	7.427	31759	0.53	6021	0.62

3-Bromo-5-(5-(4-((4-fluorobenzyl)oxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3d**):



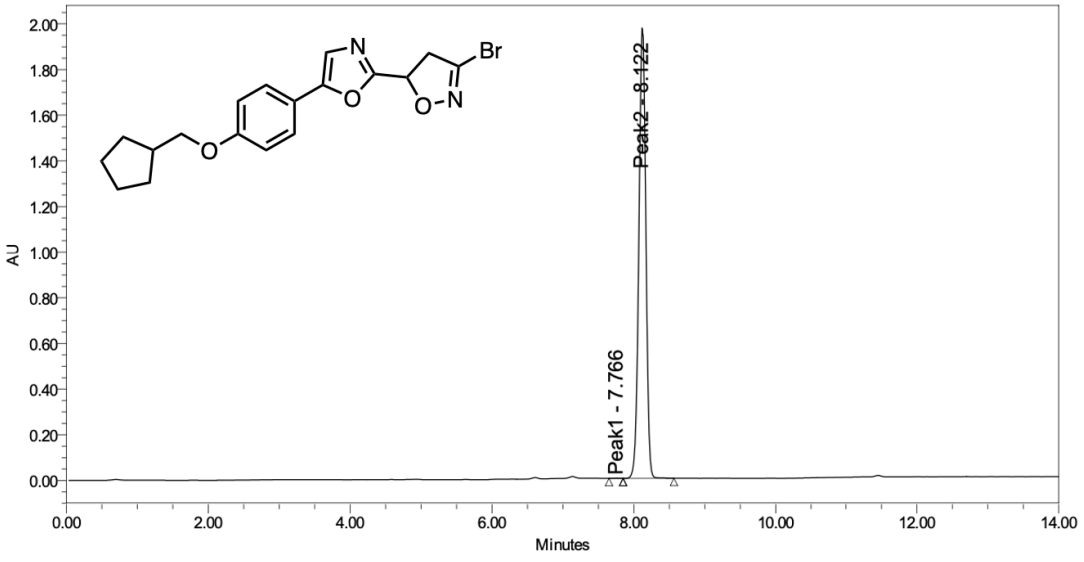
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	6.458	9242806	97.86	1534439	97.61
2	Peak2	6.856	201981	2.14	37634	2.39

3-Bromo-5-(5-(4-(cyclohexylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3e**):



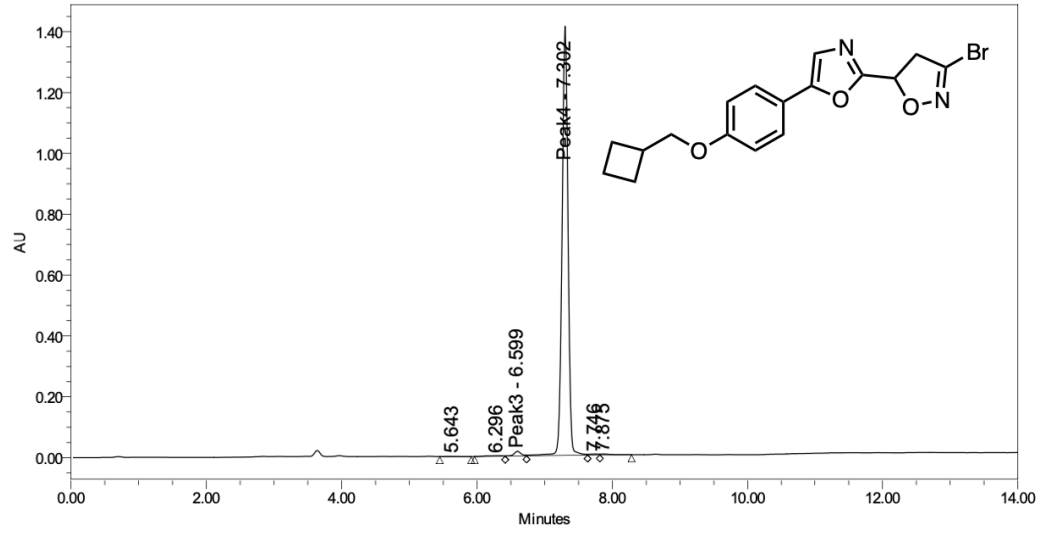
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	3.680	230118	1.98	29931	2.03
2	Peak2	7.666	11074543	95.10	1405913	95.20
3	Peak3	8.189	341021	2.93	40984	2.78

3-Bromo-5-(5-(4-(cyclopentylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3f**):



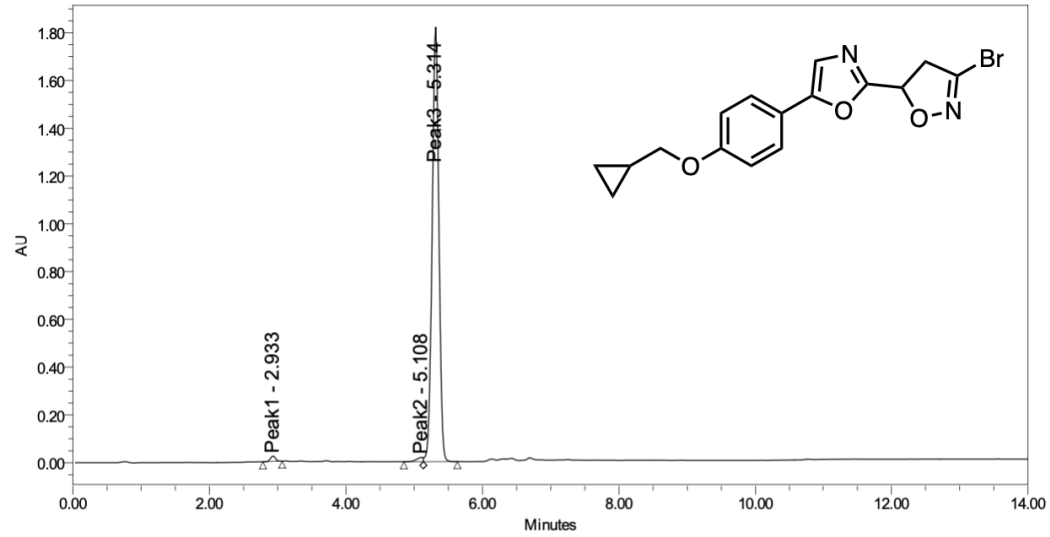
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	7.766	2716	0.02	499	0.03
2	Peak2	8.122	13455771	99.98	1975597	99.97

3-Bromo-5-(5-(4-(cyclobutylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3g**):



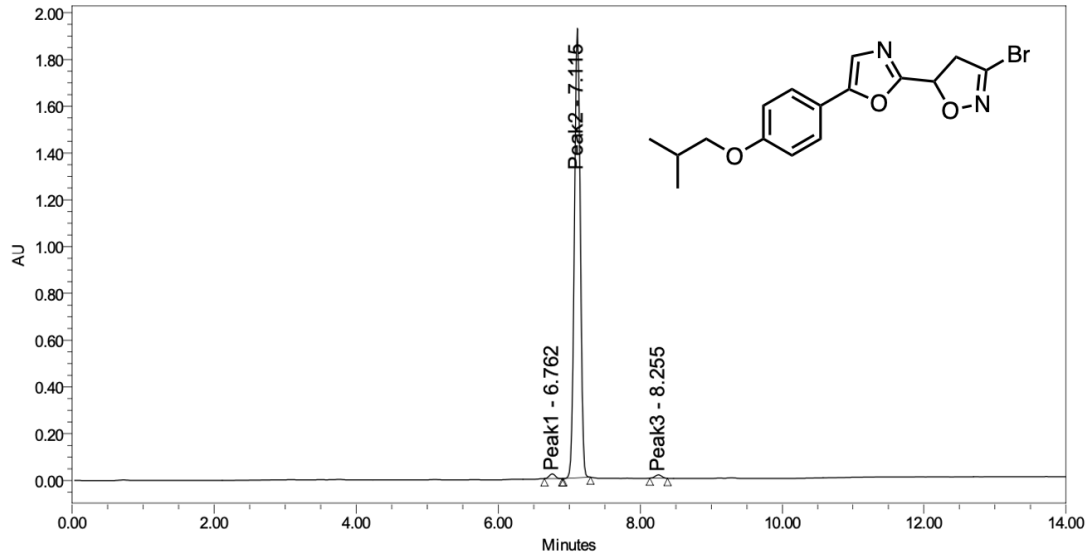
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1		5.643	4579	0.05	533	0.04
2		6.296	31764	0.36	1710	0.12
3	Peak3	6.599	113840	1.29	15454	1.08
4	Peak4	7.302	8599158	97.48	1402157	98.30
5		7.746	34345	0.39	3353	0.24
6		7.875	37919	0.43	3245	0.23

3-Bromo-5-(5-(4-(cyclopropylmethoxy)phenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3h**):



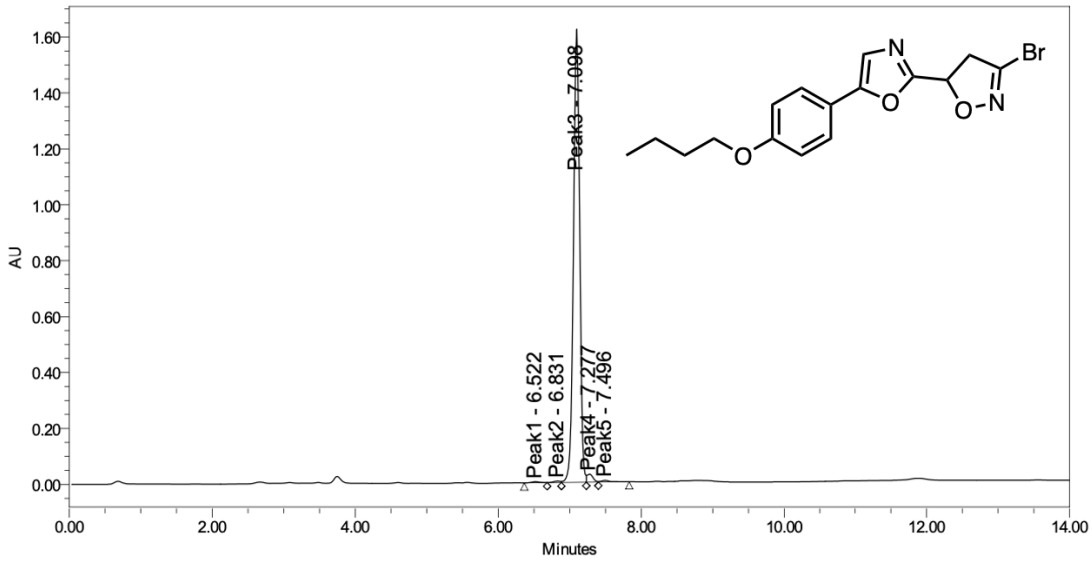
	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	2.933	119053	0.93	22814	1.23
2	Peak2	5.108	118090	0.92	18069	0.97
3	Peak3	5.314	12537466	98.14	1813838	97.80

3-Bromo-5-(5-(4-isobutoxyphenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3i**):



	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	6.762	116666	1.03	20281	1.04
2	Peak2	7.115	11099330	98.12	1908857	98.21
3	Peak3	8.255	95553	0.84	14517	0.75

3-Bromo-5-(5-(4-butoxyphenyl)oxazol-2-yl)-4,5-dihydroisoxazole (**3l**).



	Peak Name	RT (min)	Area (µV*sec)	% Area	Height (µV)	% Height
1	Peak1	6.522	30407	0.30	3781	0.23
2	Peak2	6.831	34431	0.34	5131	0.31
3	Peak3	7.098	9777422	97.19	1610132	97.37
4	Peak4	7.277	168031	1.67	28740	1.74
5	Peak5	7.496	49486	0.49	5770	0.35

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