Supporting information

Pd-Catalyzed Oxidative C-H Alkenylation for Synthesizing Arylvinyltriazole Nucleosides

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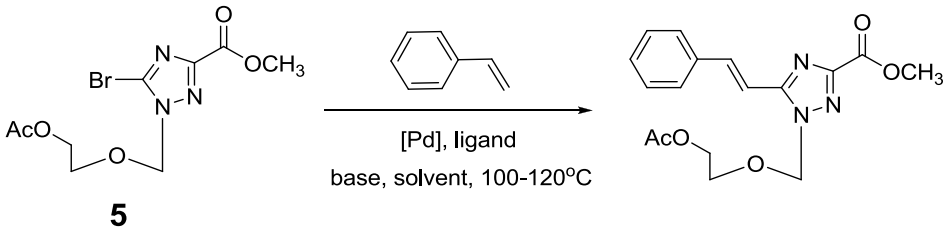
Table S1: Selection of Representative Conditions Tried for the Heck reaction of Triazole Nucleoside (**5**) with Styrene



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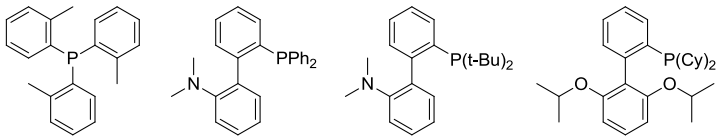

L1 L2 L3 L4

Entry	[Pd]	Ligand	Base	Solvent	Heating mode	Yield
1	$Pd(OAc)_2$	PPh_3	K_2CO_3	DMF	Oil-bath	0
2	$Pd(OAc)_2$	Xantphos	K_2CO_3	CH_3CN	Oil-bath	0
3	$Pd(OAc)_2$	BINAP	Et_3N	CH_3CN	Oil-bath	0
4	$Pd(OAc)_2$	Synphos	Et_3N	DMF	Oil-bath	0
5	$Pd(OAc)_2$	L1	Et_3N	DMF	Oil-bath	0
6	$Pd(OAc)_2$	L2	K_2CO_3	Toluene	Microwave	0
7	$Pd(OAc)_2$	L3	K_2CO_3	Toluene	Microwave	0
8	$Pd(OAc)_2$	L4	K_2CO_3	Toluene	Microwave	0
9	$Pd(OAc)_2$	dppe	Et_3N	DMF	Microwave	0
10	$Pd(OAc)_2$	Xantphos: BINAP=3:1	Et_3N	THF: CH_3CN=1:1	Microwave	0
11	$Pd(OAc)_2$	-	K_2CO_3 + TBAB	DMA	Microwave	0
12	$Pd(OAc)_2$	Xphos	Et_3N	Toluene	Microwave	0

13	$Pd(OAc)_2$	Xphos	Et_3N	DMF	Microwave	0
14	$Pd(OAc)_2$	Xphos	Et_3N	CH_3OH	Microwave	0
16	$Pd(OAc)_2$	Xphos	Et_3N	CH_3CN	Microwave	0
17	$Pd(OAc)_2$	Xphos	Et_3N	DMF/H_2O	Microwave	0
18	$Pd(OAc)_2$	L1	K_2CO_3	Toluene	Microwave	0
19	$Pd(OAc)_2$	L1	K_2CO_3	DMF	Microwave	0
20	$Pd(OAc)_2$	L1	K_2CO_3	Dioxane	Microwave	0
21	$Pd(OAc)_2$	PPh_3	K_2CO_3	DMF	Microwave	0
22	$Pd(OAc)_2$	PPh_3	K_2CO_3 + TBAB	DMF	Microwave	0
23	$Pd(OAc)_2$	BINAP	Et_3N	THF	Microwave	0
24	$Pd(OAc)_2$	BINAP	K_2CO_3	Toluene	Microwave	0
25	$Pd(PPh_3)_4$	-	Et_3N	DMF	Oil-bath	0
26	$Pd(PPh_3)_4$	-	Et_3N	DMF	Microwave	0
27	$Pd(PPh_3)_4$	-	Li_2CO_3	$Dioxane/H_2O$	Microwave	0

General: All the reactions were carried out using Schlenk tubes. All the chemicals were purchased from Sigma Aldrich or Alfa aesar and used directly without any purification. Triazole nucleosides **1-4**,[1,2] methyl 4-vinylbenzoate,[3] *N*-propyl-4-vinyl-benzamide,[4] 1-(benzyloxy)-4-vinylbenzene[5] and 4-nitrostyrene[6] were synthesized following the reported procedures. All the solvents used in the reactions were dried according to described methods and distilled before use except DMF. All the products were purified by flash chromatography on silica gel (Merck 200-300 mesh). ^{1}H NMR spectra were recorded at 250, 300 or 400 MHz and ^{13}C NMR spectra recorded at 62.5 or 100 MHz on Bruker Avance II 250, Bruker Avance III 300, Bruker Avance III 400 or JEOL ECS 400 spectrometers. Chemical shifts (δ) are expressed in parts per million (ppm) with the residual peak of $CHCl_3$ at 7.26 ppm or TMS at 0.00 as internal reference. The high resolution mass spectra (HRMS) were obtained with an electrospray ionization (ESI) using mass spectrometer QStar Elite (Applied Biosystems SCIEX). The exact mass measurement was done in triplicate with a double internal calibration. Analytical thin layer chromatographies (TLC) were performed using silica gel 60 F_{254} plates 0.2 mm thick with UV light (254 and 364 nm) as revelator.

General Procedure of Synthesis. Triazole **1-4** (0.20 mmol), alkene (0.80-2.0 mmol), $Pd(OAc)_2$ (0.040 mmol), AgOAc (0.80 mmol), PivOH (0.60 mmol) and AcOH (3.0 mL) were refluxed at 130 ^oC for 20 hours under air atmosphere. The solvent was then removed under reduced pressure and the crude residue was purified by flash chromatography on silica gel (eluent: Cyclohexane/EtOAc or CH_2Cl_2/CH_3OH) affording the desired products.

References

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(3) M. Schedler, D.-S. Wang and F. Glorius, *Angew. Chem. Int. Ed.*, 2013, **52**, 2585.

(4) G. Quelever, P. Kachidian, C. Melon, C. Garino, Y. Laras, N. Pietrancosta, M. Sheha and J. Louis Kraus, *Org. Biomol. Chem.*, 2005, **3**, 2450.

(5) Z.-H. Shan, J. Liu, L.-M. Xu, Y.-F. Tang, J.-H. Chen and Z. Yang, *Org. Lett.*, 2012, **14**, 3712.

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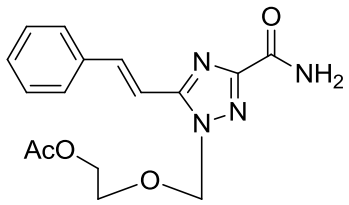
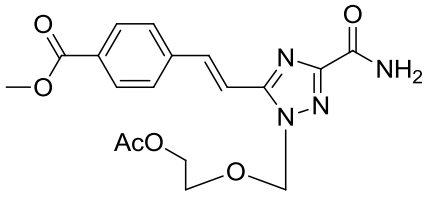
Characterization of Products

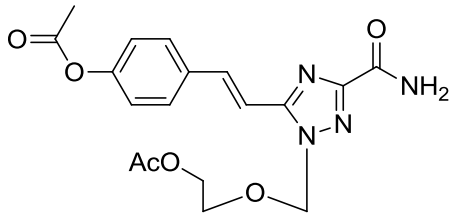


1a: The desired product was obtained using the general procedure starting from triazole **1** and styrene with a 72% yield (47.6 mg) as a white solid. A total of 46.2 mg (70%) of product was obtained starting from triazole **3** and styrene following the same procedure. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.86 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.60-7.56 (m, 2H, -ArH), 7.44-7.39 (m, 3H, -ArH), 7.07-7.00 (m, 2H, CH-vinyl + -C(O)NH), 6.09 (br s, 1H, -C(O)NH), 5.68 (s, 2H, -NCH_2O-), 4.20 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 3.81 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 1.99 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 161.3, 155.4, 155.0, 139.8, 135.0, 129.9, 129.0, 127.6, 110.2, 78.0, 67.6, 62.6, 20.7; HRMS: calcd. for $C_{16}H_{19}N_4O_4^+$ 331.1401, found 331.1399.

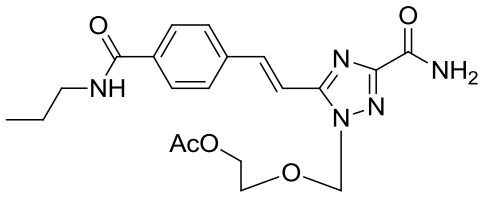


1b: The desired product was obtained using the general procedure starting from triazole **1** and methyl 4-vinylbenzoate with a 70% yield (54.4 mg) as a white solid. ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.07 (d, 2H, J = 8.4 Hz, -ArH), 7.89 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.64 (d, 2H, J = 8.0 Hz, -ArH), 7.13 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.07 (br s, 1H, -C(O)NH), 6.18 (br s, 1H, -C(O)NH), 5.71 (s, 2H,

-NCH_2O-), 4.20 (t, 2H, *J* = 4.6 Hz, -CH_2CH_2OAc), 3.93 (s, 3H, -OCH_3), 3.81 (t, 2H, *J* = 4.6 Hz, -CH_2CH_2OAc), 1.99 (s, 3H, -C(O)CH_3); ^{13}C NMR (100 MHz, $CDCl_3$): δ 170.7, 166.5, 160.9, 155.4, 154.5, 139.2, 138.4, 131.0, 130.2, 127.5, 112.4, 78.1, 67.7, 62.6, 52.3, 20.8; HRMS: calcd. for $C_{18}H_{21}N_4O_6^+$ 389.1456, found 389.1457.

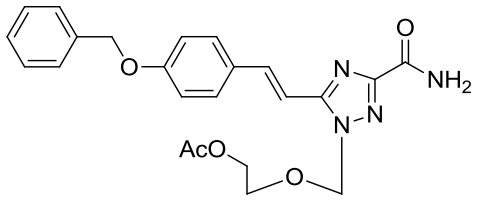


1c: The desired product was obtained using the general procedure starting from triazole **1** and 4-acetoxystyrene with a 60% yield (46.6 mg) as a yellow solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.85 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.59 (d, 2H, *J* = 8.8 Hz, -ArH), 7.15 (d, 2H, *J* = 8.8 Hz, -ArH), 7.05 (br s, 1H, -C(O)NH), 6.98 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 5.99 (br s, 1H, -C(O)NH), 5.68 (s, 2H, -NCH_2O-), 4.20 (t, 2H, *J* = 4.6 Hz, -CH_2CH_2OAc), 3.81 (t, 2H, *J* = 4.6 Hz, -CH_2CH_2OAc), 2.32 (s, 3H, -OC(O)CH_3), 2.00 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 169.2, 161.3, 155.3, 154.9, 151.8, 138.7, 132.7, 128.7, 122.2, 110.3, 78.0, 67.7, 62.6, 21.1, 20.8; HRMS: calcd. for $C_{18}H_{21}N_4O_6^+$ 389.1456, found 389.1457.

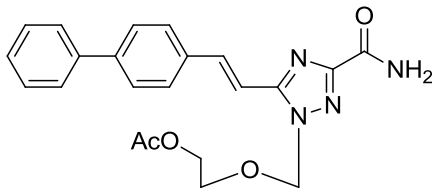


1d: The desired product was obtained using the general procedure starting from triazole **1** and *N*-propyl-4-vinylbenzamide with a 63% yield (52.3 mg) as a white solid.

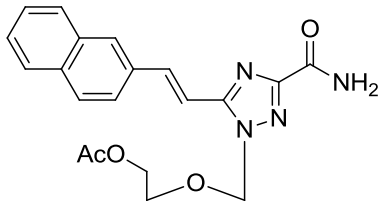
^{1}H NMR (400 MHz, $CDCl_3$): δ 7.87 (d, 1H, J_{trans} = 16.4 Hz, CH-vinyl), 7.80 (d, 2H, J = 8.4 Hz, -ArH), 7.62 (d, 2H, J = 8.0 Hz, -ArH), 7.10 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.06 (br s, 1H, -C(O)NH), 6.25 (t, 1H, J = 5.6 Hz, -C(O)N*H*CH_2-), 6.11 (br s, 1H, -C(O)NH), 5.69 (s, 2H, -NCH_2O-), 4.19 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 3.81 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 3.46-3.41 (m, 2H, -$NHCH_2$-), 1.99 (s, 3H, -$C(O)CH_3$), 1.70-1.61 (m, 2H, -$NHCH_2CH_2$-), 0.99 (t, 3H, J = 7.4 Hz, -CH_2CH_3): δ 170.7, 166.7, 160.9, 155.3, 154.5, 138.5, 137.6, 135.7, 127.6, 127.5, 111.7, 78.0, 67.7, 62.5, 41.8, 22.9, 20.7, 11.4; HRMS: calcd. for $C_{20}H_{26}N_5O_5^+$ 416.1928, found 416.1929.



1e: The desired product was obtained using the general procedure starting from triazole **1** and 1-(benzyloxy)-4-vinylbenzene with a 52% yield (45.4 mg) as an orange solid. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.80 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.52 (d, 2H, J = 8.4 Hz, phenyl-H), 7.44-7.32 (m, 5H, phenyl-H), 7.08 (br s, 1H, -C(O)NH), 7.00 (d, 2H, J = 8.4 Hz, phenyl-H), 6.88 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 6.31-6.23 (m, 1H, -C(O)NH), 5.66 (s, 2H, -NCH_2O-), 5.10 (s, 2H, $PhCH_2O$-), 4.19 (t, 2H, J = 4.4 Hz, -CH_2CH_2OAc), 3.80 (t, 2H, J = 4.4 Hz, -CH_2CH_2OAc), 1.99 (s, 3H, -$C(O)CH_3$); ^{13}C NMR (100 MHz, $CDCl_3$): δ 170.8, 161.2, 160.3, 155.4, 155.3, 139.4, 136.5, 129.2, 128.7, 128.2, 128.0, 127.5, 115.3, 107.8, 77.9, 70.1, 67.5, 62.7, 20.8; HRMS: calcd. for $C_{23}H_{25}N_4O_5^+$ 437.1819, found 437.1821.

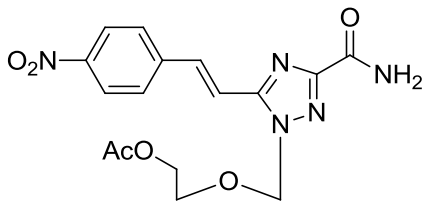


1f: The desired product was obtained using the general procedure starting from triazole **1** and 4-vinylbiphenyl with a 62% yield (50.4 mg) as a light yellow solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.92 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.66-7.61 (m, 6H, phenyl-H), 7.50-7.35 (m, 3H, phenyl-H), 7.11-7.04 (m, 2H, J_{trans} = 15.8 Hz, CH-vinyl + -C(O)NH), 5.84 (br s, 1H, -C(O)NH), 5.70 (s, 2H, -NCH_2O-), 4.22 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 3.83 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 2.01 (s, 3H, -$C(O)CH_3$); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.8, 161.3, 155.3, 155.1, 142.7, 140.1, 139.4, 134.0, 128.9, 128.1, 127.9, 127.6, 127.0, 110.0, 78.0, 67.6, 62.6, 20.8; HRMS: calcd. for $C_{22}H_{23}N_4O_4^+$ 407.1714, found 407.1713.

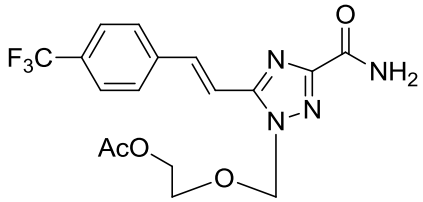


1g: The desired product was obtained using the general procedure starting from triazole **1** and 2-vinylnaphthalene with a 62% yield (47.2 mg) as a light yellow solid. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.04 (d, 1H, J_{trans} = 16.2 Hz, CH-vinyl), 7.97 (s, 1H, phenyl-H), 7.89-7.83 (m, 3H, phenyl-H), 7.76-7.73 (m, 1H, phenyl-H), 7.54-7.51 (m, 2H, phenyl-H), 7.15 (d, 1H, J_{trans} = 15.9 Hz, CH-vinyl), 7.09 (br s, 1H, -C(O)NH), 5.87 (br s, 1H, -C(O)NH), 5.73 (s, 2H, -NCH_2O-), 4.22 (t, 2H, J = 4.7 Hz,

-CH_2CH_2OAc), 3.84 (t, 2H, J = 4.7 Hz, -CH_2CH_2OAc), 2.00 (s, 3H, -C(O)CH_3); ^{13}C NMR (100 MHz, $CDCl_3$): δ 170.8, 161.3, 155.4, 155.1, 139.9, 134.0, 133.4, 132.4, 129.3, 128.8, 128.5, 127.8, 127.2, 126.8, 123.2, 110.2, 78.0, 67.7, 62.7, 20.8; HRMS: calcd. for $C_{20}H_{21}N_4O_4^+$ 381.1557, found 381.1555.

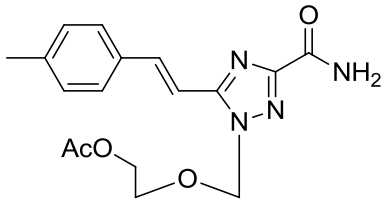


1h: The desired product was obtained using the general procedure starting from triazole **1** and 4-nitrostyrene with a 61% yield (45.8 mg) as a light yellow solid. ^{1}H NMR (400 MHz, DMSO-d_6): δ 8.30 (d, 2H, J = 8.4 Hz, -ArH), 8.06 (d, 2H, J = 8.8 Hz, -ArH), 7.89 (br s, 1H, -C(O)NH), 7.84 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.74-7.70 (m, 2H, CH-vinyl + -C(O)NH), 5.85 (s, 2H, -NCH_2O-), 4.11-4.09 (m, 2H, -CH_2CH_2OAc), 3.78-3.76 (m, 2H, -CH_2CH_2OAc), 1.94 (s, 3H, -C(O)CH_3); ^{13}C NMR (100 MHz, DMSO-d_6): δ 170.2, 160.3, 156.4, 153.5, 147.4, 141.7, 135.1 128.7, 124.0, 115.9, 76.9, 67.0, 62.7, 20.5; HRMS: calcd. for $C_{16}H_{18}N_5O_6^+$ 376.1252, found 376.1253.

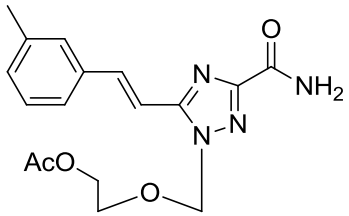


1i: The desired product was obtained using the general procedure starting from triazole **1** and 4-(trifluoromethyl)-styrene with a 57% yield (45.4 mg) as a white solid.

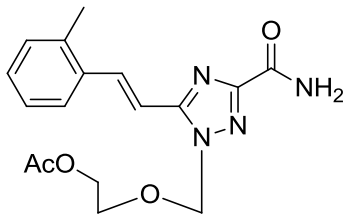
^{1}H NMR (250 MHz, $CDCl_3$): δ 7.90 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.68 (s, 4H, -ArH), 7.13 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.02 (br s, 1H, -C(O)NH), 5.82 (br s, 1H, -C(O)NH), 5.71 (s, 2H, -NCH_2O-), 4.21 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 3.82 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 2.00 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 161.1, 155.5, 154.4, 138.4, 138.0, 131.4 (q, J_{CF} = 32.5 Hz), 127.8, 125.9 (d, J_{CF} = 3.8 Hz), 123.8 (d, J_{CF} = 260.7 Hz), 112.6, 78.1, 67.8, 62.6, 20.7; HRMS: calcd. for $C_{17}H_{18}F_3N_4O_4^+$ 399.1275, found 399.1275.



1j: The desired product was obtained using the general procedure starting from triazole **1** and 4-methylstyrene with a 68% yield (46.8 mg) as a light yellow solid. A total of 41.3 mg (60%) of product was obtained starting from triazole **3** and 4-methylstyrene following the same procedure. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.83 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.47 (d, 2H, J = 7.8 Hz, -ArH), 7.21 (d, 2H, J = 8.0 Hz, -ArH), 7.06 (br s, 1H, -C(O)NH), 6.98 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 6.07 (br s, 1H, -C(O)NH), 5.67 (s, 2H, -NCH_2O-), 4.19 (t, 2H, J = 4.5 Hz, -CH_2CH_2OAc), 3.80 (t, 2H, J = 4.4 Hz, -CH_2CH_2OAc), 2.38 (s, 3H, -CH_3), 1.99 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 161.4, 155.4, 155.2, 140.2, 139.8, 132.3, 129.7, 127.6, 109.1, 77.9, 67.6, 62.6, 21.4, 20.7; HRMS: calcd. for $C_{17}H_{21}N_4O_4^+$ 345.1557, found 345.1556.

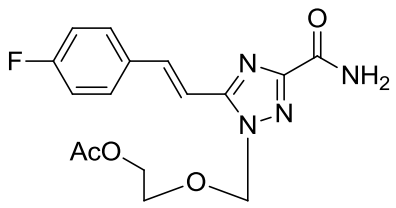


1k: The desired product was obtained using the general procedure starting from triazole **1** and 3-methylstyrene with a 67% yield (46.1 mg) as a white solid. A total of 46.8 mg (68%) of product was obtained starting from triazole **3** and 3-methylstyrene following the same procedure. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.84 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.39-7.37 (m, 2H, -ArH), 7.32 (d, 1H, J = 7.3 Hz, -ArH), 7.20 (d, 1H, J = 7.3 Hz, -ArH), 7.05-6.98 (m, 2H, CH-vinyl + -C(O)NH), 5.82 (br s, 1H, -C(O)NH), 5.69 (s, 2H, -NCH_2O-), 4.20 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 3.82 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 2.40 (s, 3H, -CH_3), 2.00 (s, 3H, -C(O)CH_3); ^{13}C NMR (100 MHz, $CDCl_3$): δ 170.9, 161.2, 155.4, 155.1, 140.2, 138.8, 135.0, 130.9, 129.0, 128.2, 125.0, 109.9, 78.0, 67.7, 62.7, 21.4, 20.9; HRMS: calcd. for $C_{17}H_{21}N_4O_4^+$ 345.1557, found 345.1556.

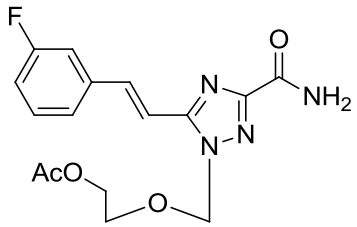


1l: The desired product was obtained using the general procedure starting from triazole **1** and 2-methylstyrene with a 67% yield (46.1 mg) as a white solid. A total of 41.3 mg (60%) of product was obtained starting from triazole **3** and 2-methylstyrene following the same procedure. ^{1}H NMR (250 MHz, $CDCl_3$): δ 8.11 (d, 1H, J_{trans} =

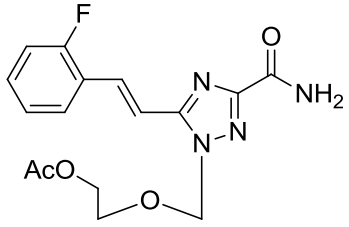
15.8 Hz, CH-vinyl), 7.63-7.60 (m, 1H, -ArH), 7.32-7.21 (m, 3H, -ArH), 7.06 (br s, 1H, -C(O)NH), 6.96 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 5.90 (br s, 1H, -C(O)NH), 5.68 (s, 2H, -NCH_2O-), 4.20 (t, 2H, J = 4.8 Hz, -CH_2CH_2OAc), 3.82 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 2.48 (s, 3H, -CH_3), 2.00 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 161.5, 155.4, 155.1, 137.5, 137.4, 134.1, 130.9, 129.7, 126.4, 125.8, 111.4, 78.0, 67.6, 62.7, 20.7, 19.9; HRMS: calcd. for $C_{17}H_{21}N_4O_4^+$ 345.1557, found 345.1556.



1m: The desired product was obtained using the general procedure starting from triazole **1** and 4-fluorostyrene with a 69% yield (48.1 mg) as a white solid. A total of 45.3 mg (65%) of product was obtained starting from triazole **3** and 4-fluorostyrene following the same procedure. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.83 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.60-7.54 (m, 2H, -ArH), 7.11 (t, 2H, J = 8.6 Hz, -ArH), 7.03 (br s, 1H, -C(O)NH), 6.95 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 5.98 (br s, 1H, -C(O)NH), 5.68 (s, 2H, -NCH_2O-), 4.20 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 3.81 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 2.00 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 163.6 (d, J_{CF} = 249.3 Hz), 161.4, 155.4, 154.9, 138.4, 131.3 (d, J_{CF} = 3.4 Hz), 129.4 (d, J_{CF} = 8.3 Hz), 116.1 (d, J_{CF} = 21.8 Hz), 110.0 (d, J_{CF} = 2.3 Hz), 77.9, 67.6, 62.6, 20.7; HRMS: calcd. for $C_{16}H_{18}FN_4O_4^+$ 349.1307, found 349.1307.

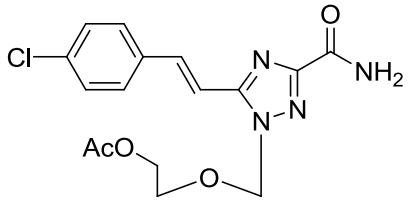


1n: The desired product was obtained using the general procedure starting from triazole **1** and 3-fluorostyrene with a 66% yield (46.0 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.83 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.43-7.30 (m, 3H, -ArH), 7.11-7.01 (m, 3H, -ArH + CH-vinyl + -C(O)NH), 5.89 (br s, 1H, -C(O)NH), 5.69 (s, 2H, -NCH_2O-), 4.20 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 3.81 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 2.00 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 163.1 (d, J_{CF} = 245.2 Hz), 161.3, 155.5, 154.6, 138.6 (d, J_{CF} = 2.6 Hz), 137.3 (d, J_{CF} = 7.7 Hz), 130.5 (d, J_{CF} = 8.3 Hz), 123.7 (d, J_{CF} = 2.8 Hz), 116.7 (d, J_{CF} = 21.3 Hz), 113.8 (d, J_{CF} = 21.9 Hz), 111.5, 78.0, 67.7, 62.6, 20.7; HRMS: calcd. for $C_{16}H_{18}FN_4O_4^+$ 349.1307, found 349.1312.

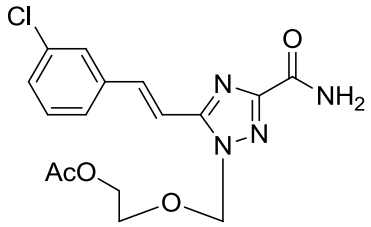


1o: The desired product was obtained using the general procedure starting from triazole **1** and 2-fluorostyrene with a 62% yield (43.2 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.96 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.62-7.56 (m, 1H, -ArH), 7.41-7.32 (m, 1H, -ArH), 7.23-7.07 (m, 4H, -ArH + CH-vinyl + -C(O)NH), 5.86 (br s, 1H, -C(O)NH), 5.69 (s, 2H, -NCH_2O-), 4.20 (t, 2H, J = 4.5 Hz,

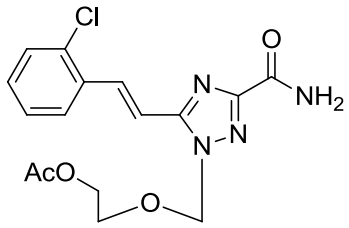
-CH_2CH_2OAc), 3.82 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 2.01 (s, 3H, -$C(O)CH_3$); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 161.2, 161.2 (d, J_{CF} = 251.6 Hz), 155.5, 154.9, 132.7 (d, J_{CF} = 1.8 Hz), 131.2 (d, J_{CF} = 8.6 Hz), 129.0 (d, J_{CF} = 2.9 Hz), 124.6 (d, J_{CF} = 3.6 Hz), 123.1 (d, J_{CF} = 11.5 Hz), 116.3 (d, J_{CF} = 21.8 Hz), 112.9 (d, J_{CF} = 8.0 Hz), 78.0, 67.6, 62.7, 20.7; HRMS: calcd. for $C_{16}H_{18}FN_4O_4^+$ 349.1307, found 349.1308.



1p: The desired product was obtained using the general procedure starting from triazole **1** and 4-chlorostyrene with a 65% yield (47.4 mg) as a white solid. A total of 45.2 mg (62%) of product was obtained starting from triazole **3** and 4-chlorostyrene following the same procedure. ^1H NMR (250 MHz, $CDCl_3$): δ 7.82 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.51 (d, 2H, J = 8.5 Hz, -ArH), 7.38 (d, 2H, J = 8.5 Hz, -ArH), 7.04-6.98 (m, 2H, CH-vinyl + -C(O)NH), 6.03 (br s, 1H, -C(O)NH), 5.68 (s, 2H, -NCH_2O-), 4.20 (t, 2H, J = 4.8 Hz, -CH_2CH_2OAc), 3.81 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 1.99 (s, 3H, -$C(O)CH_3$); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 161.3, 155.4, 154.7. 138.3, 135.7, 133.5, 129.2, 128.8, 110.7, 78.0, 67.7, 62.6, 20.7; HRMS: calcd. for $C_{16}H_{18}ClN_4O_4^+$ 365.1011, found 365.1012.

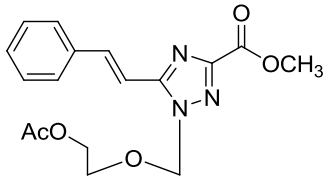


1q: The desired product was obtained using the general procedure starting from triazole **1** and 3-chlorostyrene with a 63% yield (46.0 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.81 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.57 (s, 1H, -ArH), 7.46-7.42 (m, 1H, -ArH), 7.36-7.34 (m, 2H, -ArH), 7.07-7.01 (m, 2H, CH-vinyl + -C(O)NH), 5.91 (br s, 1H, -C(O)NH), 5.69 (s, 2H, -NCH_2O-), 4.20 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 3.82 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 2.01 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 161.3, 155.5, 154.5, 138.1, 136.8, 135.0, 130.2, 129.7, 127.2, 126.0, 111.6, 78.0, 67.7, 62.6, 20.7; HRMS: calcd. for $C_{16}H_{18}ClN_4O_4^+$ 365.1011, found 365.1010.

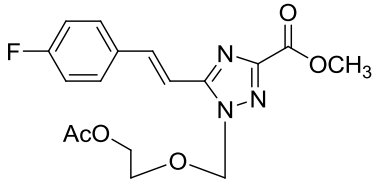


1r: The desired product was obtained using the general procedure starting from triazole **1** and 2-chlorostyrene with a 52% yield (37.9 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 8.22 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.71-7.68 (m, 1H, -ArH), 7.47-7.43 (m, 1H, -ArH), 7.35-7.30 (m, 2H, -ArH), 7.09-7.02 (m, 2H, CH-vinyl + -C(O)NH), 5.88 (br s, 1H, -C(O)NH), 5.70 (s, 2H, -NCH_2O-), 4.20 (t, 2H, J = 4.5 Hz, -CH_2CH_2OAc), 3.82 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 2.00 (s, 3H,

-C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 161.1, 155.5, 154.5, 135.6, 134.6, 133.3, 130.7, 130.3, 127.2, 113.0, 78.1, 67.7, 62.6, 20.7; HRMS: calcd. for $C_{16}H_{18}ClN_4O_4^+$ 365.1011, found 365.1011.

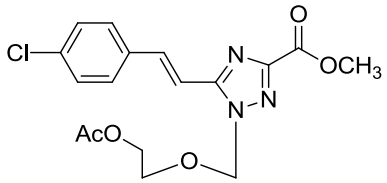


2a: The desired product was obtained using the general procedure starting from triazole **2** and styrene with a 70% yield (48.3 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.96 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.60-7.56 (m, 2H, -ArH), 7.44-7.38 (m, 3H, -ArH), 7.05 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 5.71 (s, 2H, -NCH_2O-), 4.20 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 4.04 (s, 3H, -OCH_3), 3.80 (t, 2H, J = 4.5 Hz, -CH_2CH_2OAc), 1.98 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 160.3, 155.5, 153.5, 140.2, 135.0, 130.0, 129.0, 127.6, 109.9, 78.1, 67.6, 62.6, 53.0, 20.7; HRMS: calcd. for $C_{17}H_{20}N_3O_5^+$ 346.1397, found 346.1397.

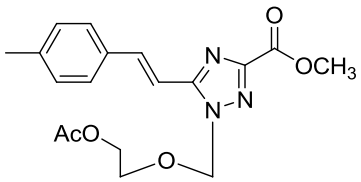


2b: The desired product was obtained using the general procedure starting from triazole **2** and 4-fluorostyrene with a 61% yield (44.3 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.90 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.58-7.52 (m, 2H, -ArH), 7.08 (t, 2H, J = 8.6 Hz, -ArH), 6.96 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 5.69 (s,

2H, -NCH_2O-), 4.18 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 4.02 (s, 3H, -OCH_3), 3.78 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 1.97 (s, 3H, -$C(O)CH_3$); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.6, 163.6 (d, J_{CF} = 249.4 Hz), 160.2, 155.2, 153.4, 138.8, 131.1 (d, J_{CF} = 3.4 Hz), 129.4 (d, J_{CF} = 8.4 Hz), 116.0 (d, J_{CF} = 21.9 Hz), 109.5 (d, J_{CF} = 2.4 Hz), 78.0, 67.6, 62.5, 52.9, 20.7; HRMS: calcd. for $C_{17}H_{19}FN_3O_5^+$ 364.1303, found 364.1303.

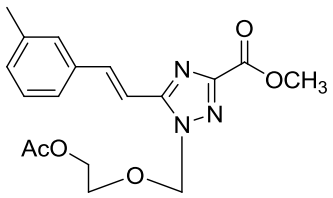


2c: The desired product was obtained using the general procedure starting from triazole **2** and 4-chlorostyrene with a 64% yield (48.6 mg) as a white solid. ^1H NMR (250 MHz, $CDCl_3$): δ 7.90 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.51 (d, 2H, J = 8.5 Hz, -ArH), 7.37 (d, 2H, J = 8.5 Hz, -ArH), 7.02 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 5.70 (s, 2H, -NCH_2O-), 4.19 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 4.03 (s, 3H, -OCH_3), 3.79 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 1.98 (s, 3H, -$C(O)CH_3$); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.6, 160.2, 155.2, 153.6. 138.7, 135.8, 133.4, 129.2, 128.8, 110.4, 78.1, 67.7, 62.5, 53.0, 20.7; HRMS: calcd. for $C_{17}H_{19}ClN_3O_5^+$ 380.1008, found 380.1007.

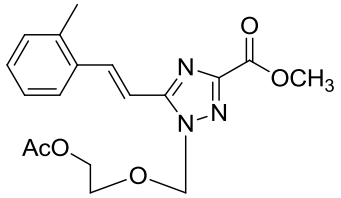


2d: The desired product was obtained using the general procedure starting from

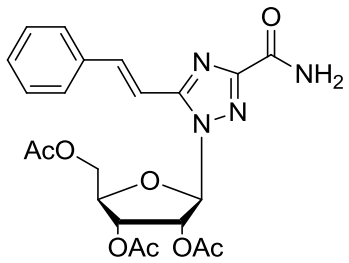
triazole **2** and 4-methylstyrene with a 65% yield (46.7 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.93 (d, 1H, J_{trans} = 16.3 Hz, CH-vinyl), 7.47 (d, 2H, J = 8.0 Hz, -ArH), 7.21 (d, 2H, J = 7.8 Hz, -ArH), 6.99 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 5.69 (s, 2H, -NCH_2O-), 4.19 (t, 2H, J = 4.5 Hz, -CH_2CH_2OAc), 4.03 (s, 3H, -OCH_3), 3.79 (t, 2H, J = 4.5 Hz, -CH_2CH_2OAc), 2.38 (s, 3H, -CH_3), 1.98 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 160.3, 155.7, 153.5, 140.3, 140.2, 132.2, 129.7, 127.6, 108.8, 78.0, 67.6, 62.6, 52.9, 21.4, 20.7; HRMS: calcd. for $C_{18}H_{22}N_3O_5^+$ 360.1554, found 360.1554.



2e: The desired product was obtained starting from triazole **2** and 3-methylstyrene with a 66% yield (47.4 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.93 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.39-7.36 (m, 2H, -ArH), 7.31 (d, 1H, J = 7.5 Hz, -ArH), 7.19 (d, 1H, J = 7.5 Hz, -ArH), 7.03 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 5.71 (s, 2H, -NCH_2O-), 4.20 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 4.03 (s, 3H, -OCH_3), 3.80 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 2.39 (s, 3H, -CH_3), 1.99 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.7, 160.3, 155.5, 153.5, 140.4, 138.6, 134.9, 130.8, 128.9, 128.1, 124.9, 109.6, 78.1, 67.6, 62.6, 52.9, 21.4, 20.7; HRMS: calcd. for $C_{18}H_{22}N_3O_5^+$ 360.1554, found 360.1554.

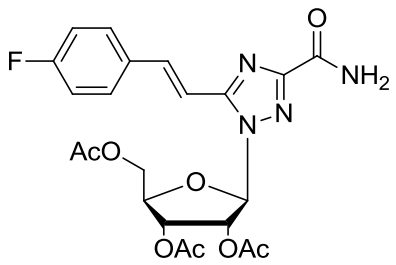


2f: The desired product was obtained using the general procedure starting from triazole **2** and 2-methylstyrene with a 54% yield (38.8 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 8.17 (d, 1H, J_{trans} = 15.8 Hz, CH-vinyl), 7.63-7.59 (m, 1H, -ArH), 7.29-7.24 (m, 3H, -ArH), 6.97 (d, 1H, J_{trans} = 15.8 Hz, CH-vinyl), 5.70 (s, 2H, -NCH_2O-), 4.20 (t, 2H, J = 4.5 Hz, -CH_2CH_2OAc), 4.04 (s, 3H, -OCH_3), 3.81 (t, 2H, J = 4.6 Hz, -CH_2CH_2OAc), 2.47 (s, 3H, -CH_3), 1.99 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.5, 160.1, 155.4, 153.3, 137.7, 137.3, 133.9, 130.7, 129.5, 126.2, 125.7, 111.2, 78.0, 67.4, 62.4, 52.8, 20.5, 19.8; HRMS: calcd. for $C_{18}H_{22}N_3O_5^+$ 360.1554, found 360.1554.

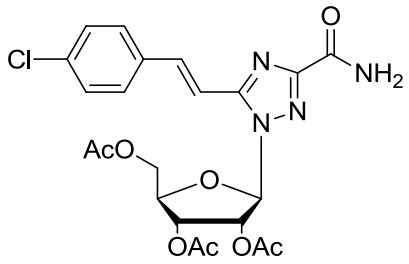


4a: The desired product was obtained using the general procedure starting from triazole **4** and styrene with a 56% yield (52.9 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.92 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 7.58-7.54 (m, 2H, -ArH), 7.41-7.36 (m, 3H, -ArH), 7.02 (br s, 1H, -C(O)NH), 6.95 (d, 1H, J_{trans} = 15.8 Hz, CH-vinyl), 6.25 (br s, 1H, -C(O)NH), 6.11 (d, 1H, J = 3.3 Hz, H-1'), 6.00-5.96 (m, 1H, H-2'), 5.71 (t, 1H, J = 5.5 Hz , H-3'), 4.49-4.39 (m, 2H, H-4' + H-5'), 4.21-4.14

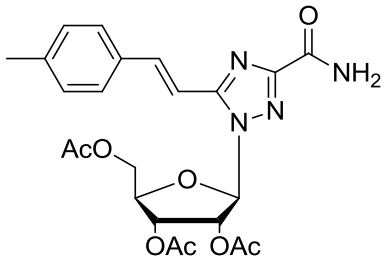
(m, 1H, H-5'), 2.12 (s, 6H, -C(O)CH_3), 2.02 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.6, 169.5, 169.3, 160.7, 155.9, 140.7, 135.0, 130.0, 129.0, 127.7, 109.5, 87.9, 81.0, 74.2, 71.0, 62.8, 20.6, 20.5; HRMS: calcd. for $C_{22}H_{25}N_4O_8^+$ 473.1667, found 473.1669.



4b: The desired product was obtained using the general procedure starting from triazole **4** and 4-fluorostyrene with a 57% yield (55.9 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.88 (d, 1H, J_{trans} = 15.8 Hz, CH-vinyl), 7.57-7.52 (m, 2H, -ArH), 7.11-7.02 (m, 3H, -ArH + -C(O)NH), 6.87 (d, 1H, J_{trans} = 15.8 Hz, CH-vinyl), 6.21 (br s, 1H, -C(O)NH), 6.10 (s, 1H, H-1'), 5.98-5.97 (m, 1H, H-2'), 5.71 (t, 1H, J = 5.1 Hz , H-3'), 4.40-4.39 (m, 2H, H-4' + H-5'), 4.20-4.14 (m, 1H, H-5'), 2.12 (s, 6H, -C(O)CH_3), 2,01 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.5, 169.5, 169.4, 163.7 (d, J_{CF} = 249.4 Hz), 160.7, 155.9, 155.5, 139.4, 131.3 (d, J_{CF} = 3.3 Hz), 129.5 (d, J_{CF} = 8.3 Hz), 116.1 (d, J_{CF} = 21.8 Hz), 109.3 (d, J_{CF} = 2.2 Hz), 87.9, 80.9, 74.2, 70.9, 62.8, 20.6, 20.5; HRMS: calcd. for $C_{22}H_{24}FN_4O_8^+$ 491.1573, found 491.1570.

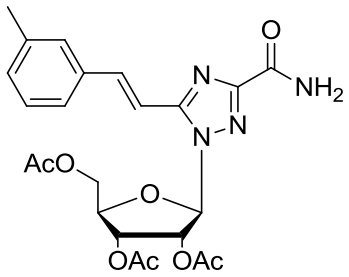


4c: The desired product was obtained using the general procedure starting from triazole **4** and 4-chlorostyrene with a 56% yield (56.8 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.89 (d, 1H, J_{trans} = 15.8 Hz, CH-vinyl), 7.51 (d, 2H, J = 8.5 Hz, -ArH), 7.38 (d, 2H, J = 8.5 Hz, -ArH), 6.96-6.90 (m, 2H, CH-vinyl + -C(O)NH), 6.10 (d, 1H, J = 3.0 Hz, H-1'), 6.00-5.97 (m, 1H, H-2'), 5.72 (t, 2H, J = 5.5 Hz, H-3' + -C(O)NH), 4.50-4.40 (m, 2H, H-4' + H-5'), 4.23-4.16 (m, 1H, H-5'), 2.14 (m, 6H, -C(O)CH_3), 2.03 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.5, 169.5, 169.4, 160.6, 155.9, 155.4, 139.2, 135.8, 133.5, 129.2, 128.8, 110.1, 87.9, 81.0, 74.2, 70.9, 62.8, 20.6, 20.5; HRMS: calcd. for $C_{22}H_{24}ClN_4O_8^+$ 507.1277, found 507.1273.

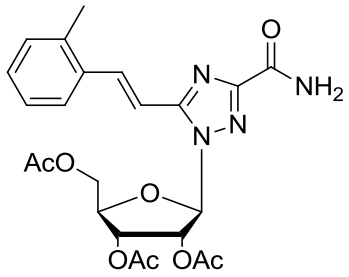


4d: The desired product was obtained using the general procedure starting from triazole **4** and 4-methylstyrene with a 55% yield (53.5 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.90 (d, 1H, J_{trans} = 15.8 Hz, CH-vinyl), 7.47 (d, 2H, J = 8.0 Hz, -ArH), 7.21 (d, 2H, J = 8.0 Hz, -ArH), 6.99 (br s, 1H, -C(O)NH), 6.90 (d, 1H, J_{trans} = 16.0 Hz, CH-vinyl), 6.11 (d, 1H, J = 3.0 Hz, H-1'), 6.01-5.97 (m, 1H, H-2'), 5.87 (br s, 1H, -C(O)NH), 5.73 (t, 1H, J = 5.5 Hz , H-3'), 4.49-4.40 (m, 2H, H-4' + H-5'),

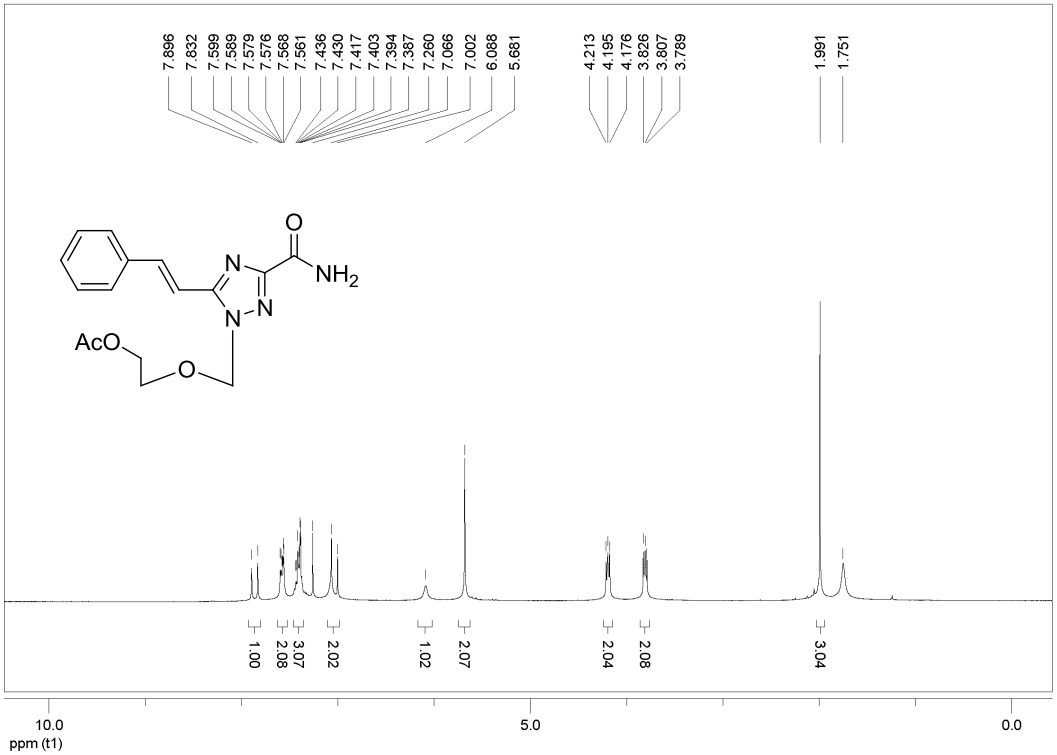
4.22-4.15 (m, 1H, H-5'), 2.38 (s, 3H, -CH_3), 2.13 (s, 6H, -C(O)CH_3), 2.04 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.6, 169.5, 169.3, 160.9, 155.9, 140.7, 140.3, 132.2, 129.7, 127.6, 108.4, 87.8, 80.9, 74.2, 71.0, 62.8, 21.4, 20.6, 20.5; HRMS: calcd. for $C_{23}H_{27}N_4O_8^+$ 487.1823, found 487.1824.



4e: The desired product was obtained using the general procedure starting from triazole **4** and 3-methylstyrene with a 60% yield (58.4 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 7.90 (d, 1H, J_{trans} = 15.8 Hz, CH-vinyl), 7.38-7.27 (m, 3H, -ArH), 7.19 (d, 1H, J = 7.3 Hz, -ArH), 7.04 (br s, 1H, -C(O)NH), 6.95 (d, 1H, J_{trans} = 15.8 Hz, CH-vinyl), 6.23 (br s, 1H, -C(O)NH), 6.13 (d, 1H, J = 3.0 Hz, H-1'), 6.02-5.99 (m, 1H, H-2'), 5.73 (t, 1H, J = 5.4 Hz , H-3'), 4.50-4.40 (m, 2H, H-4' + H-5'), 4.22-4.15 (m, 1H, H-5'), 2.39 (s, 3H, -CH_3), 2.14 (s, 6H, -C(O)CH_3), 2.03 (s, 3H, -C(O)CH_3); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.6, 169.5, 169.3, 160.8, 155.9, 155.7, 140.9, 138.6, 134.9, 130.8, 128.8, 128.3, 124.9, 109.3, 87.9, 81.0, 74.2, 71.0, 62.8, 21.4, 20.6, 20.5; HRMS: calcd. for $C_{23}H_{27}N_4O_8^+$ 487.1823, found 487.1825.



4f: The desired product was obtained using the general procedure starting from triazole **4** and 2-methylstyrene with a 53% yield (51.6 mg) as a white solid. ^{1}H NMR (250 MHz, $CDCl_3$): δ 8.14 (d, 1H, J_{trans} = 15.8 Hz, CH-vinyl), 7.62-7.59 (m, 1H, -ArH), 7.28-7.19 (m, 3H, -ArH), 7.04 (br s, 1H, -C(O)NH), 6.87 (d, 1H, J_{trans} = 15.8 Hz, CH-vinyl), 6.11 (d, 2H, *J* = 3.3 Hz, -C(O)NH + H-1'), 6.00-5.97 (m, 1H, H-2'), 5.72 (t, 1H, *J* = 5.4 Hz , H-3'), 4.48-4.40 (m, 2H, H-4' + H-5'), 4.22-4.15 (m, 1H, H-5'), 2.46 (s, 3H, $-CH_3$), 2.13 (s, 6H, $-C(O)CH_3$), 2,03 (s, 3H, $-C(O)CH_3$); ^{13}C NMR (62.5 MHz, $CDCl_3$): δ 170.6, 169.5, 169.3, 160.7, 155.9, 155.7, 138.5, 137.6, 134.2, 130.9, 129.8, 126.3, 125.9, 110.9, 87.9, 81.0, 74.2, 71.0, 62.8, 20.6, 20.5, 19.9; HRMS: calcd. for $C_{23}H_{27}N_4O_8^+$ 487.1823, found 487.1825.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7.561
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7.430
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7.403
7.394
7.387
7.260
7.066
7.002
6.088
5.681
4.213
4.195
4.176
3.826
3.807
3.789
1.991
1.751
O
NH2
N
N
N
AcO
O
1.00
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3.07
2.02
1.02
2.07
2.04
2.08
3.04
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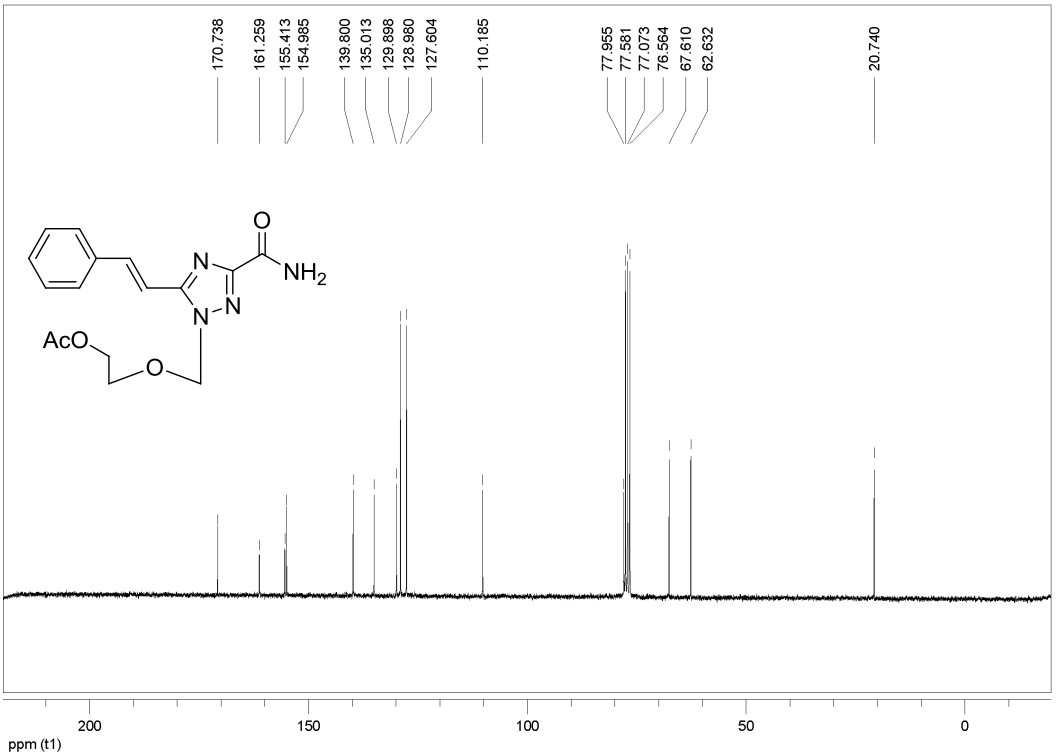
0.0
ppm (t1)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77.073
76.564
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O
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100
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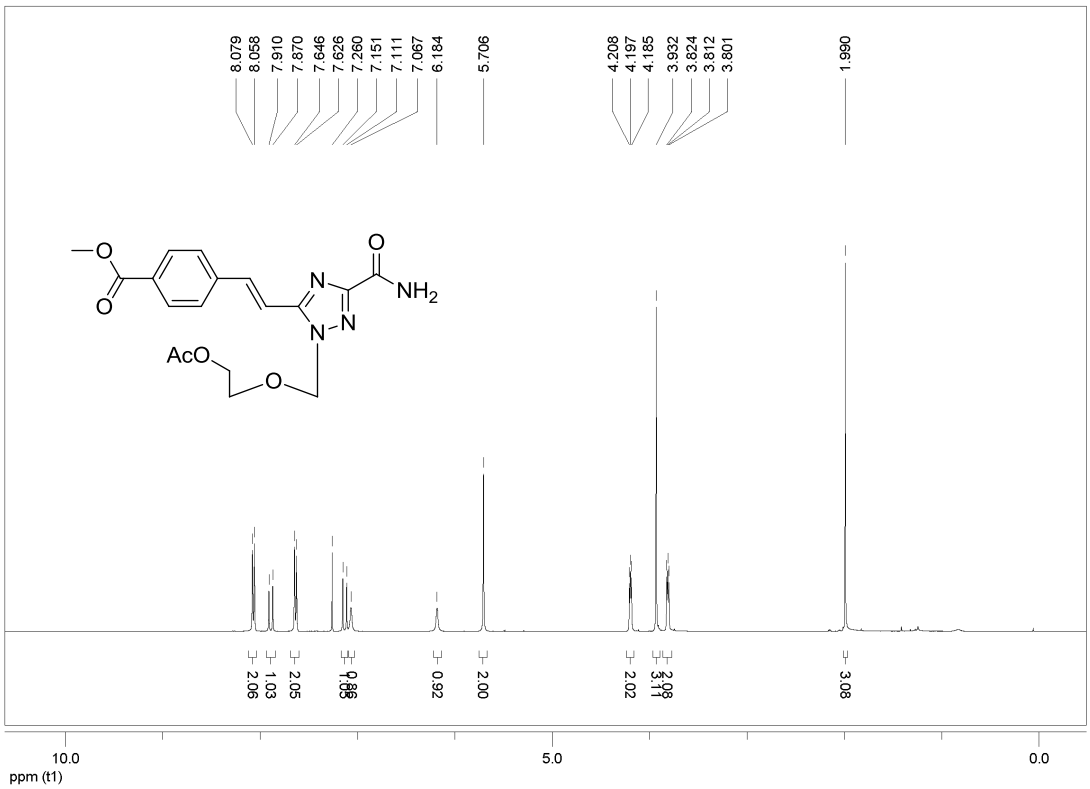
0
ppm (t1)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7.151
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4.208
4.197
4.185
3.932
3.824
3.812
3.801
1.990
O
O
N
O
NH2
N
N
AcO
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2.05
0.92
2.00
2.02
3.08
10.0
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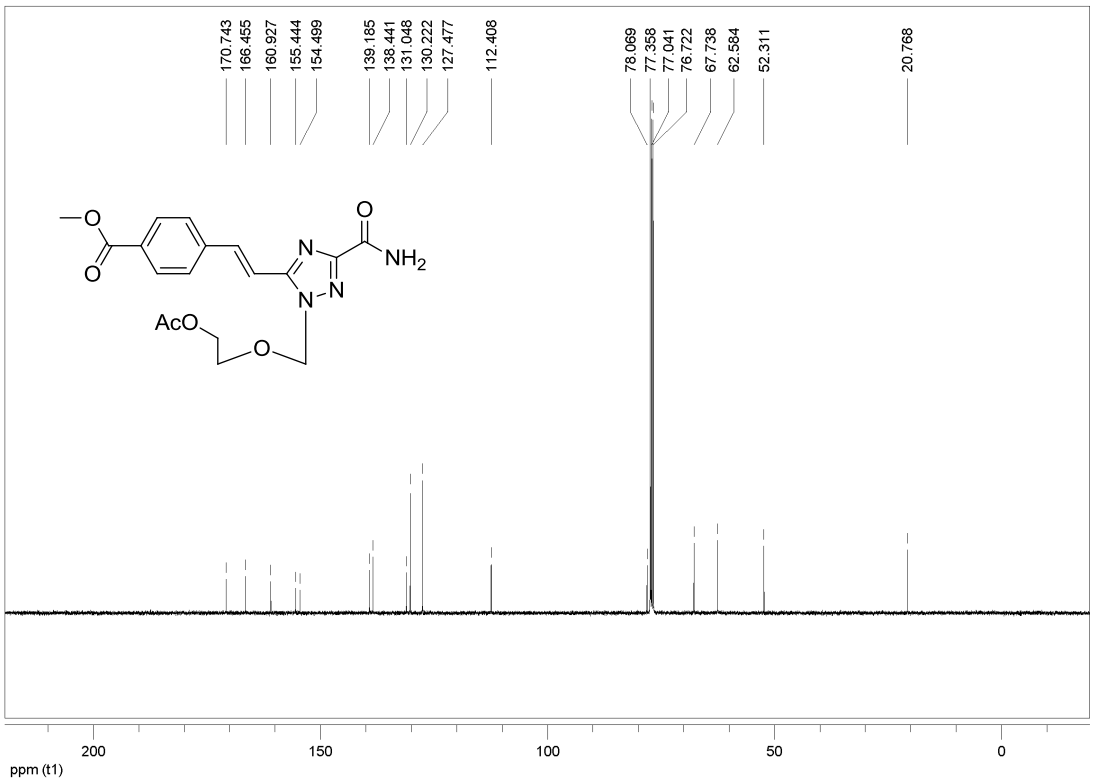
0.0
ppm (t1)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78.069
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77.041
76.722
67.738
62.584
52.311
20.768
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O
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O
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N
N
AcO
O
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100
50
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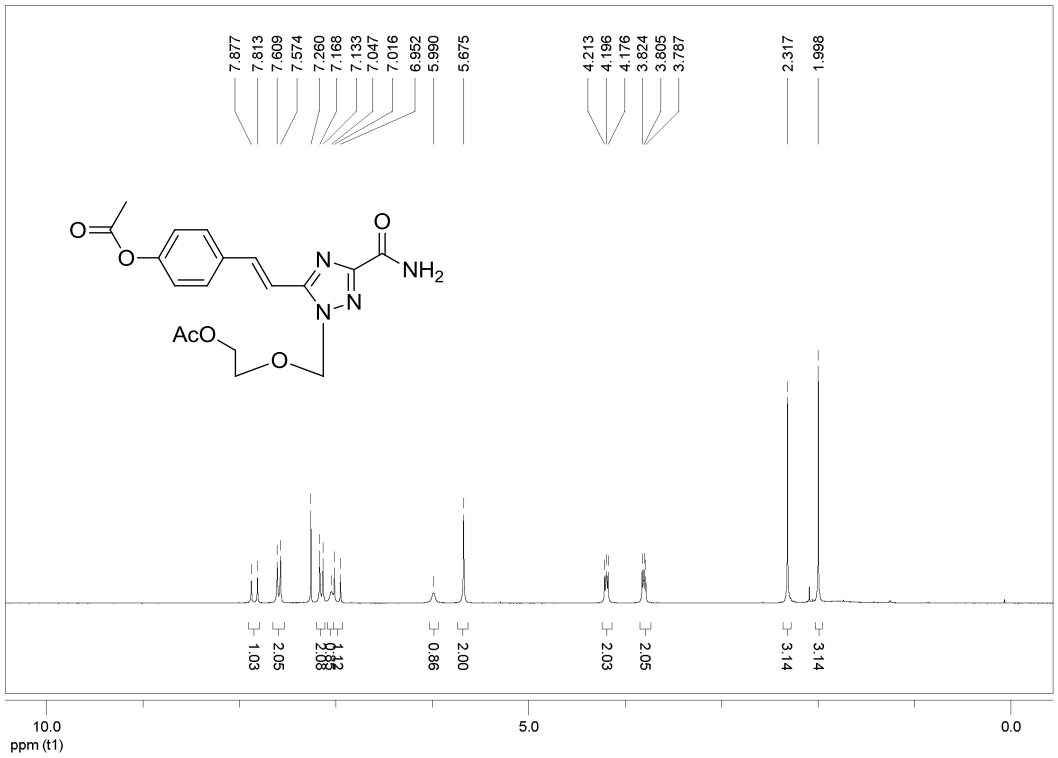
ppm (t1)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7.813
7.609
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7.260
7.168
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7.047
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4.213
4.196
4.176
3.824
3.805
3.787
2.317
1.998
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2.05
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2.05
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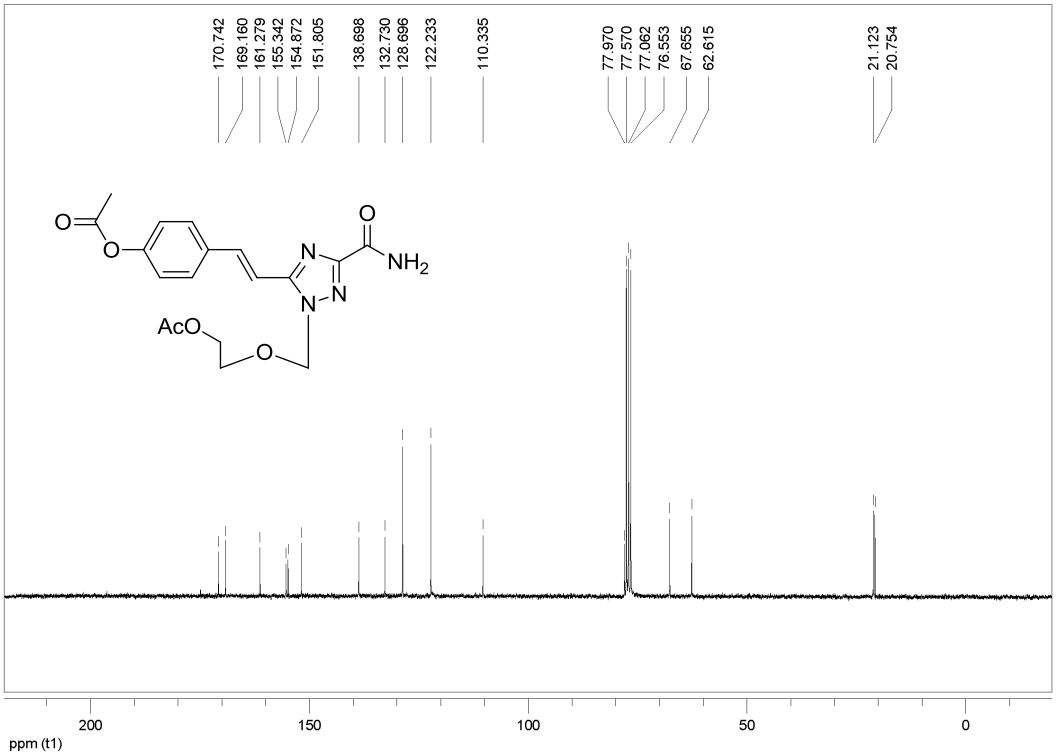
ppm (t1)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67.655
62.615
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150
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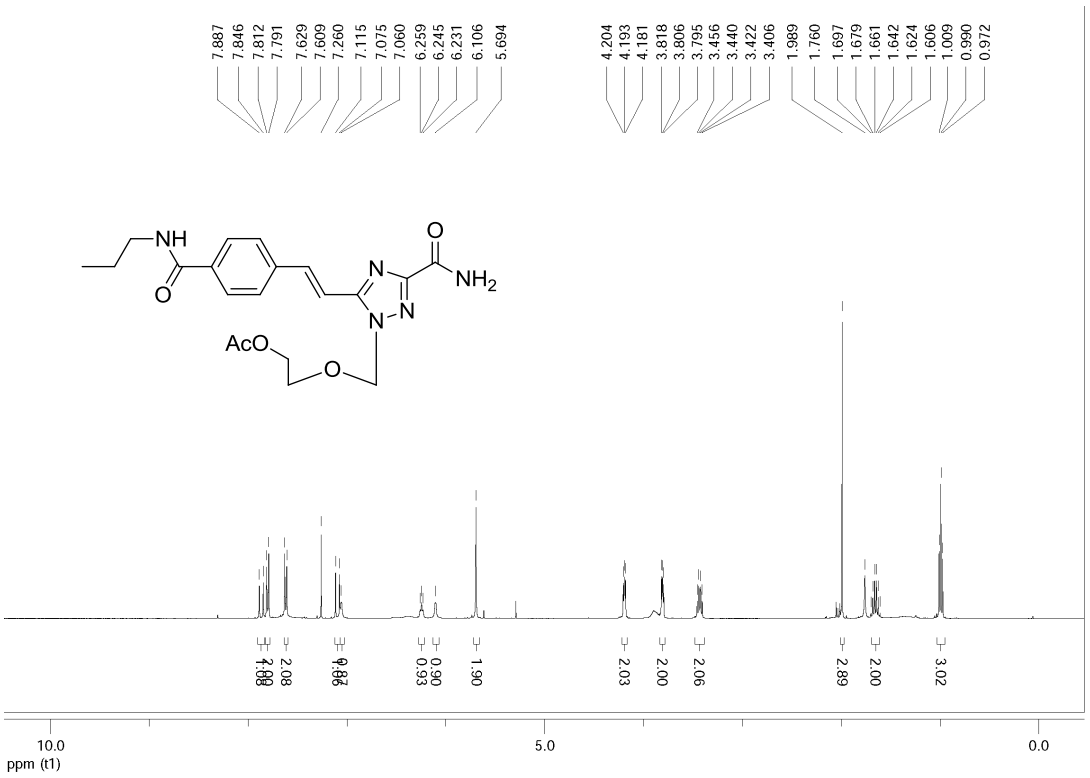
50
0
ppm (t1)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6.231
6.106
5.694
4.204
4.193
4.181
3.818
3.806
3.795
3.456
3.440
3.422
3.406
1.989
1.760
1.697
1.679
1.661
1.642
1.624
1.606
1.009
0.990
0.972
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O
N
O
NH2
N
N
AcO
O
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1.87
0.90
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10.0
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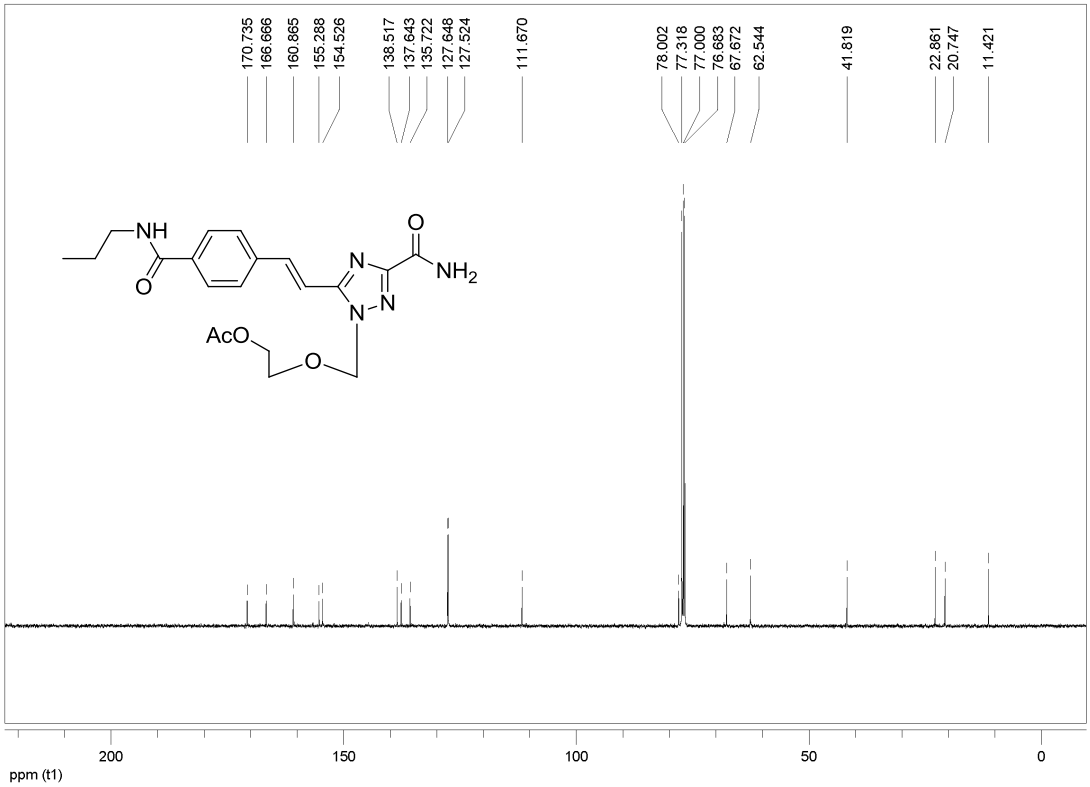
0.0
ppm (t1)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135.722
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22.861
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N
O
NH2
N
N
AcO
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50
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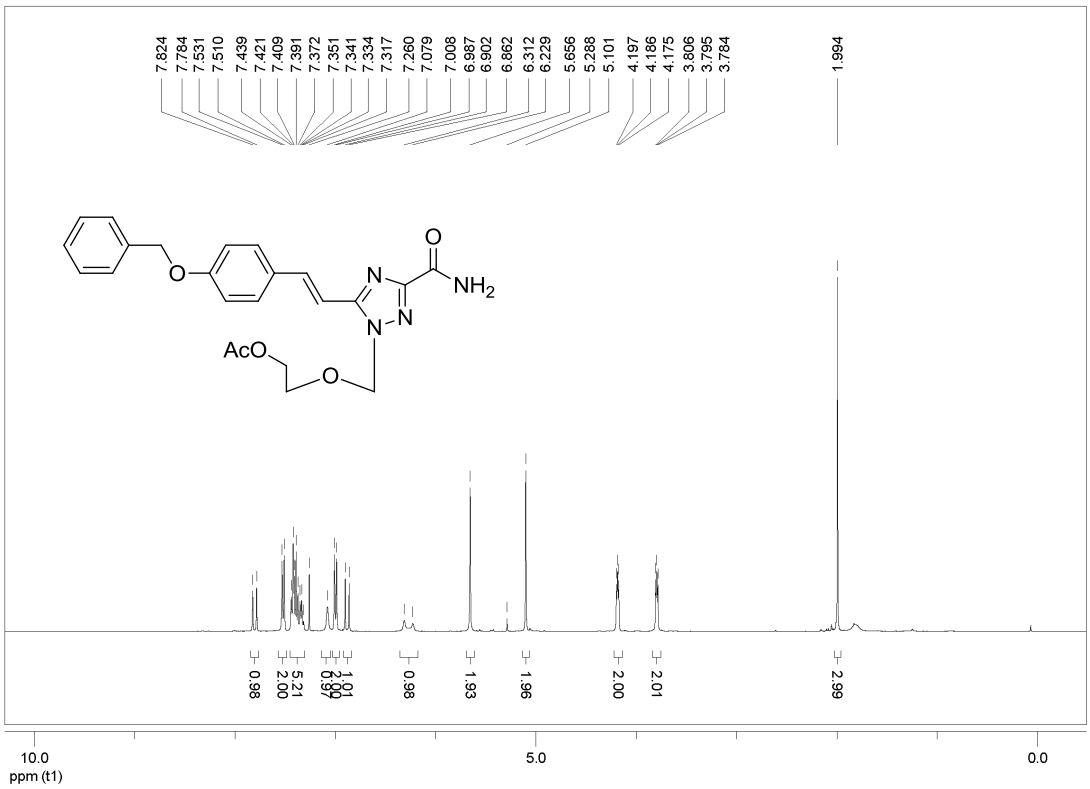
ppm (t1)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7.439
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7.351
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7.334
7.317
7.260
7.079
7.008
6.987
6.902
6.862
6.312
6.229
5.656
5.288
5.101
4.197
4.186
4.175
3.806
3.795
3.784
1.994
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N
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AcO
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2.09
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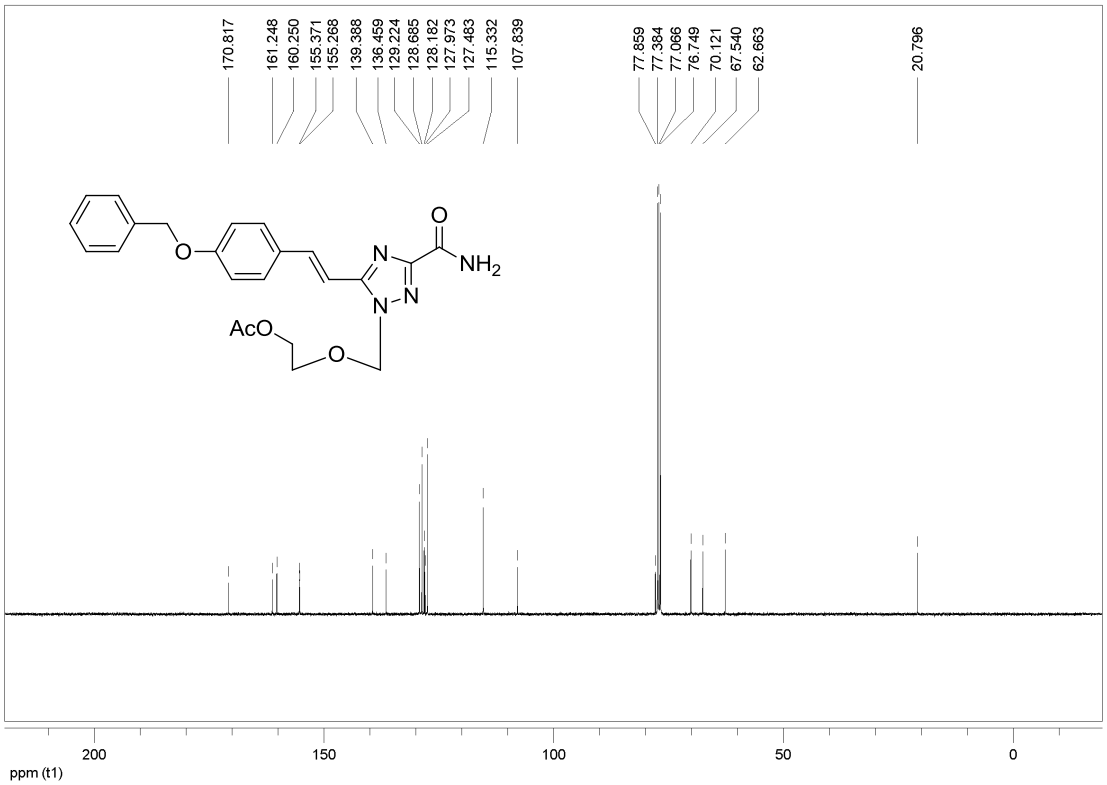
ppm (t1)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107.839
77.859
77.384
77.066
76.749
70.121
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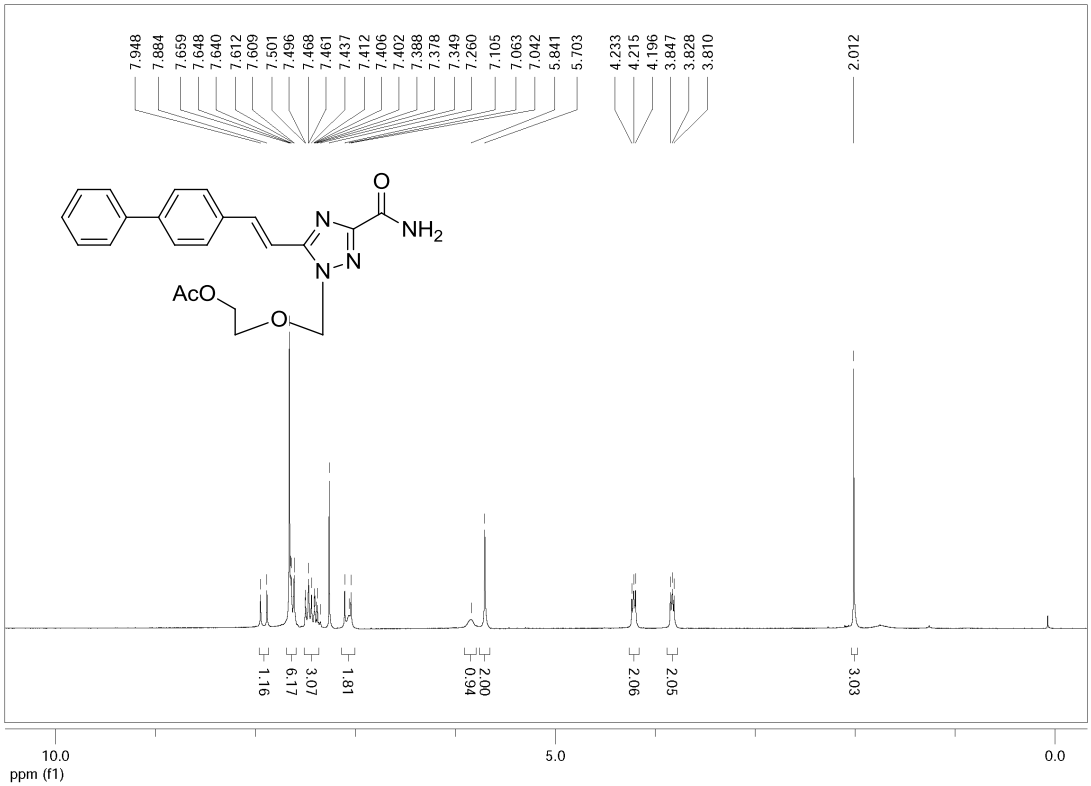
50
0
ppm (t1)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7.412
7.406
7.402
7.388
7.378
7.349
7.260
7.105
7.063
7.042
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5.703
4.233
4.215
4.196
3.847
3.828
3.810
2.012
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AcO
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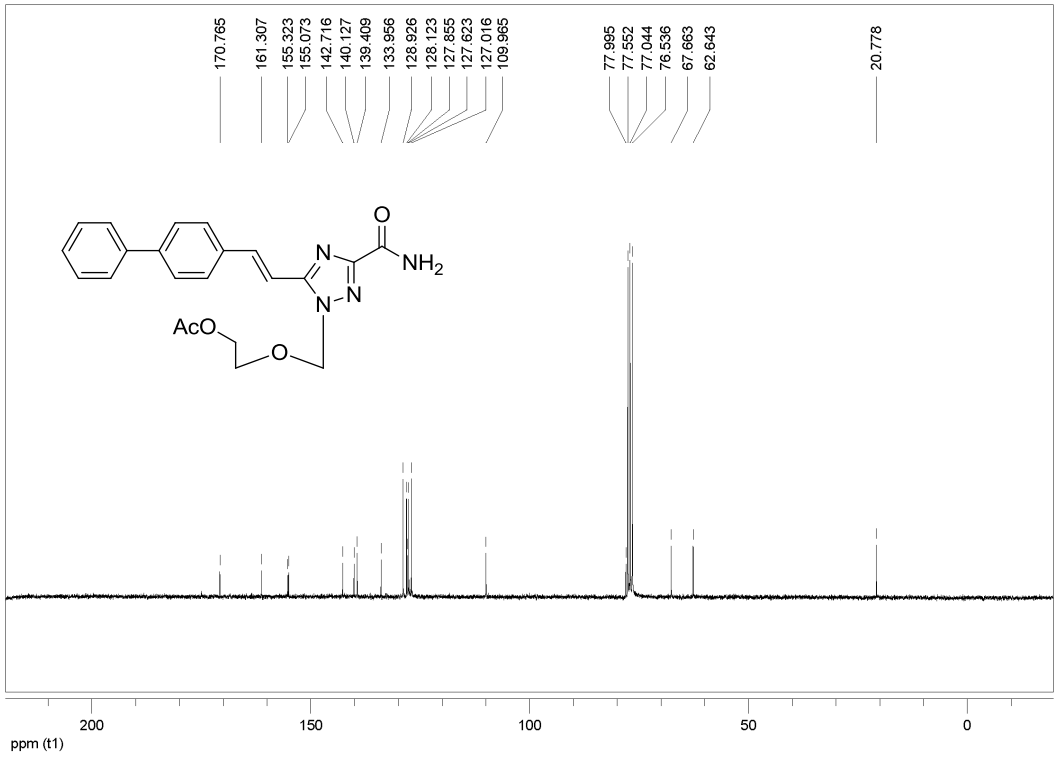
5.0
0.0
ppm (f1)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127.623
127.016
109.965
77.995
77.552
77.044
76.536
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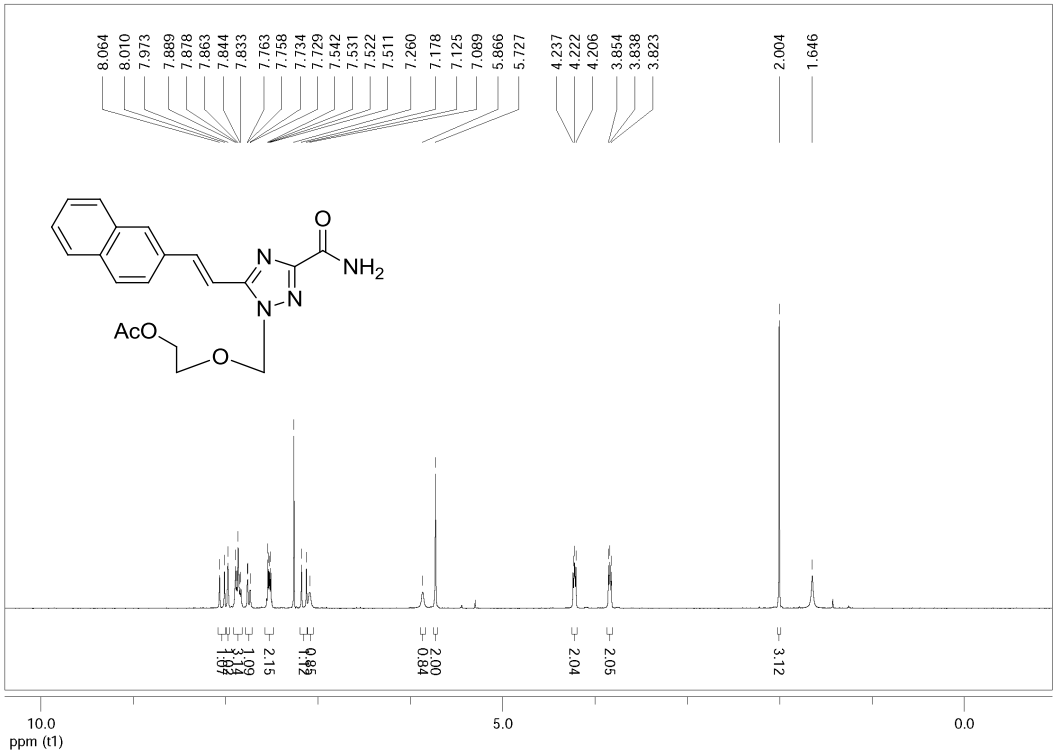
ppm (t1)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4.206
3.854
3.838
3.823
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1.646
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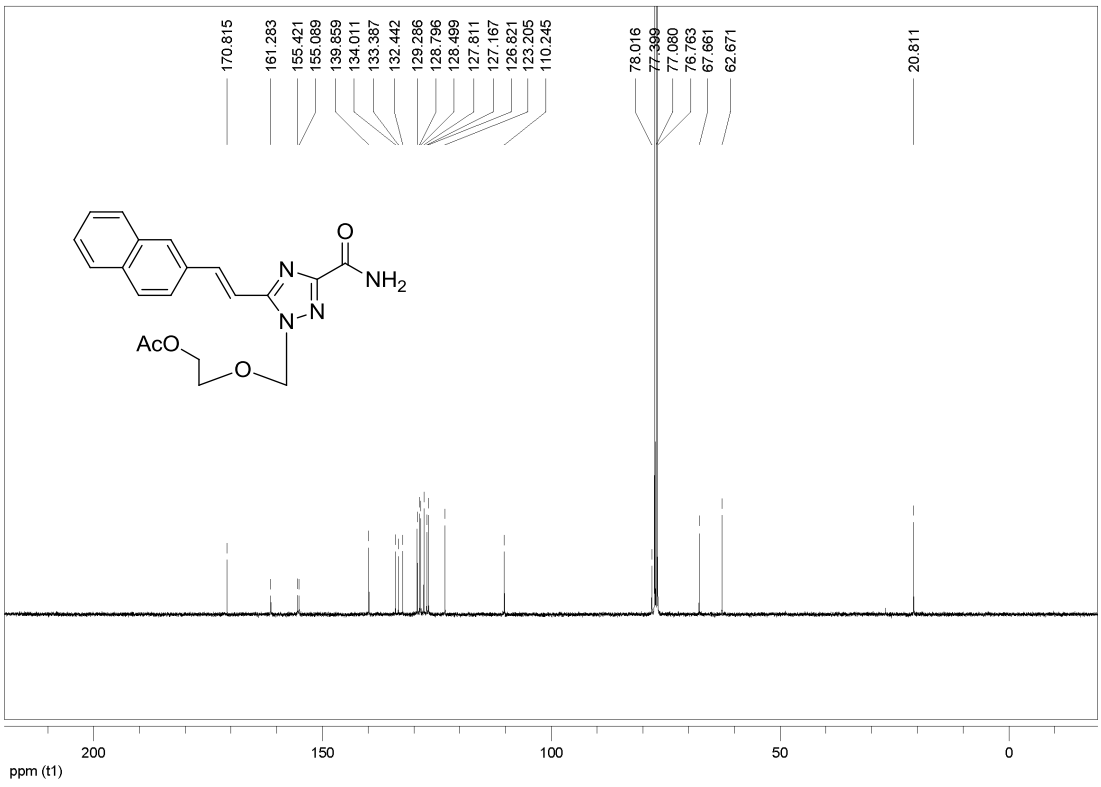
NH2
N
N
N
AcO
O
2.15
0.84
2.00
2.04
2.05
3.12
10.0
5.0
0.0
ppm (t1)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155.421
155.089
139.859
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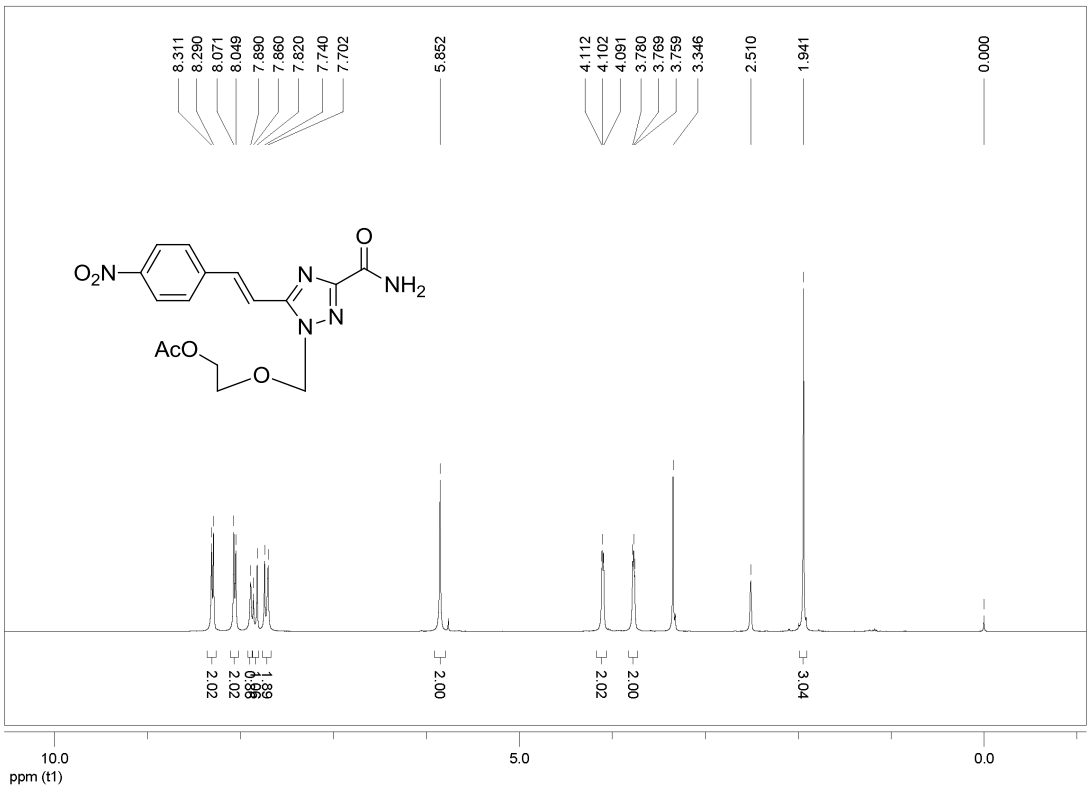
133.387
132.442
129.286
128.796
128.499
127.811
127.167
126.821
123.205
110.245
78.016
77.399
77.080
76.763
67.661
62.671
20.811
O
NH2
N
N
N
AcO
O
200
150
100
50
0
ppm (t1)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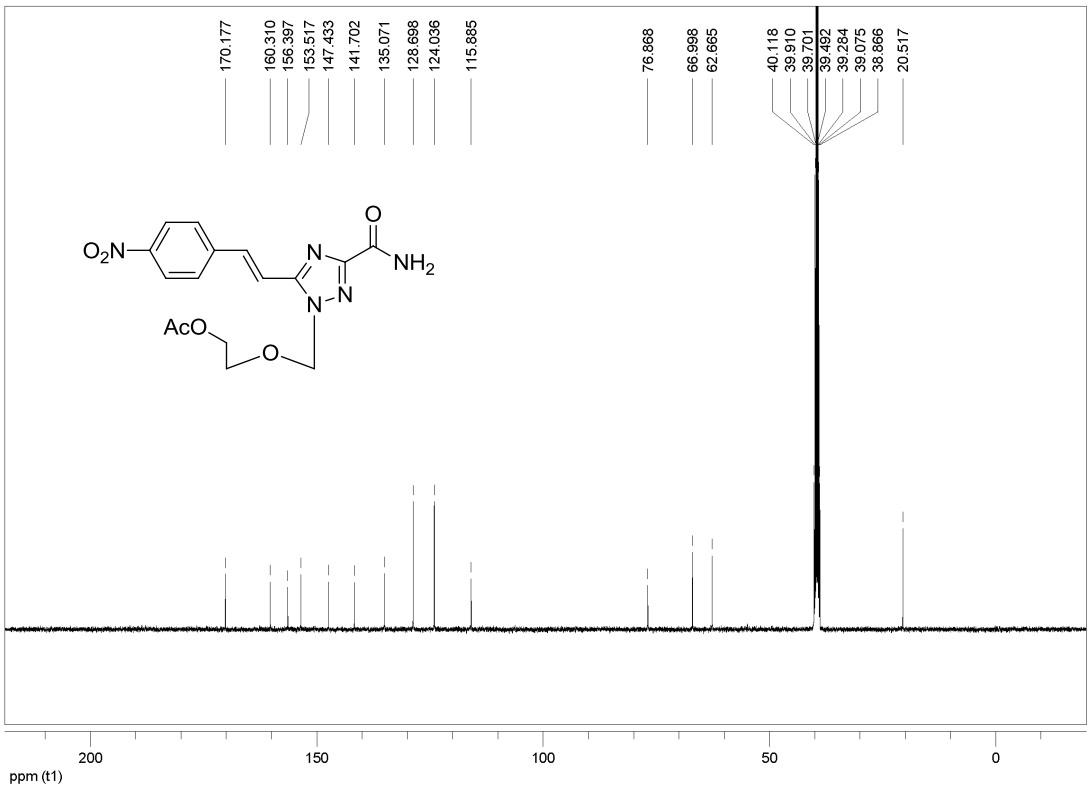
8.290
8.071
8.049
7.890
7.860
7.820
7.740
7.702
5.852
4.112
4.102
4.091
3.780
3.769
3.759
3.346
2.510
1.941
0.000
O2N
O
NH2
N
N
N
AcO
O
2.02
2.02
1.89
2.00
2.02
2.00
3.04
10.0
5.0
0.0
ppm (t1)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160.310
156.397
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147.433
141.702
135.071
128.698
124.036
115.885
76.868
66.998
62.665
40.118
39.910
39.701
39.492
39.284
39.075
38.866
20.517
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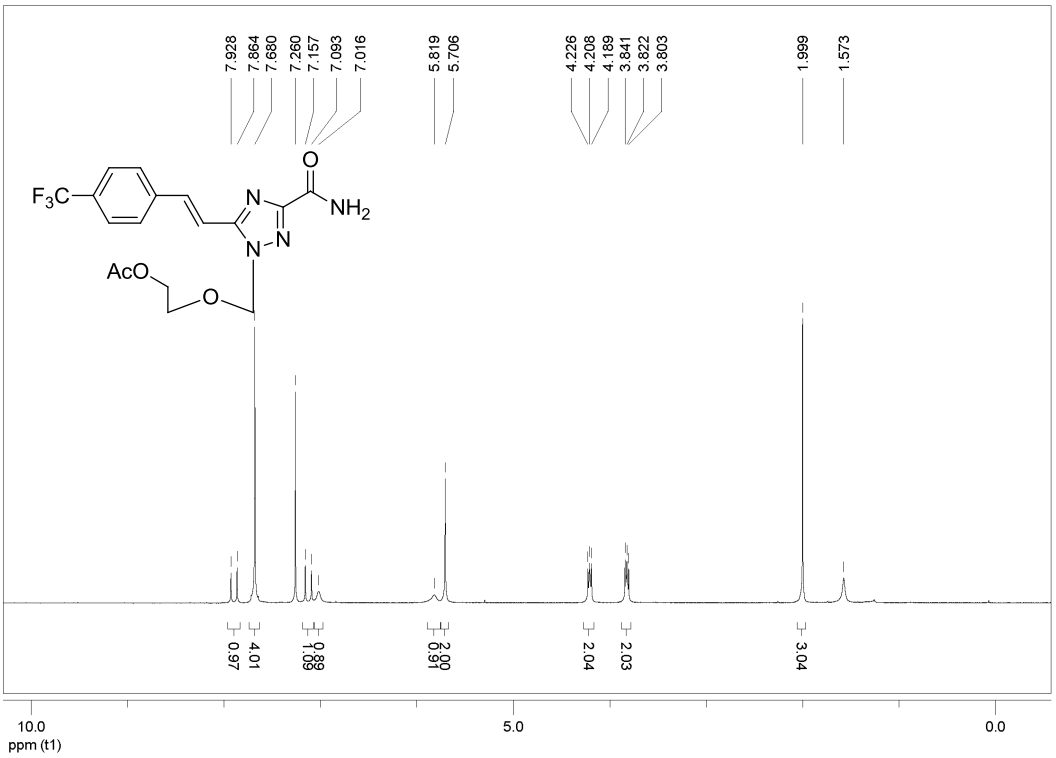
O
NH2
N
N
N
AcO
O
200
150
100
50
0
ppm (t1)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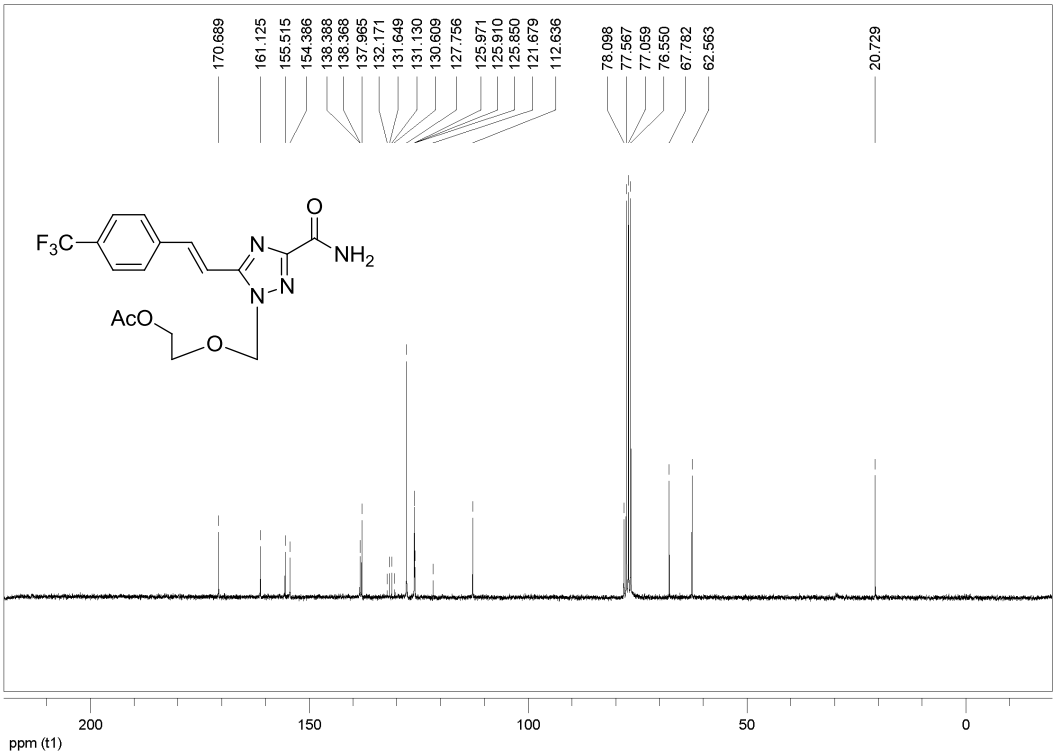
7.680
7.260
7.157
7.093
7.016
5.819
5.706
4.226
4.208
4.189
3.841
3.822
3.803
1.999
1.573
F3C
O
NH2
N
N
N
AcO
O
0.97
4.01
1.09
0.89
2.00
0.91
2.04
2.03
3.04
10.0
5.0
0.0
ppm (t1)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155.515
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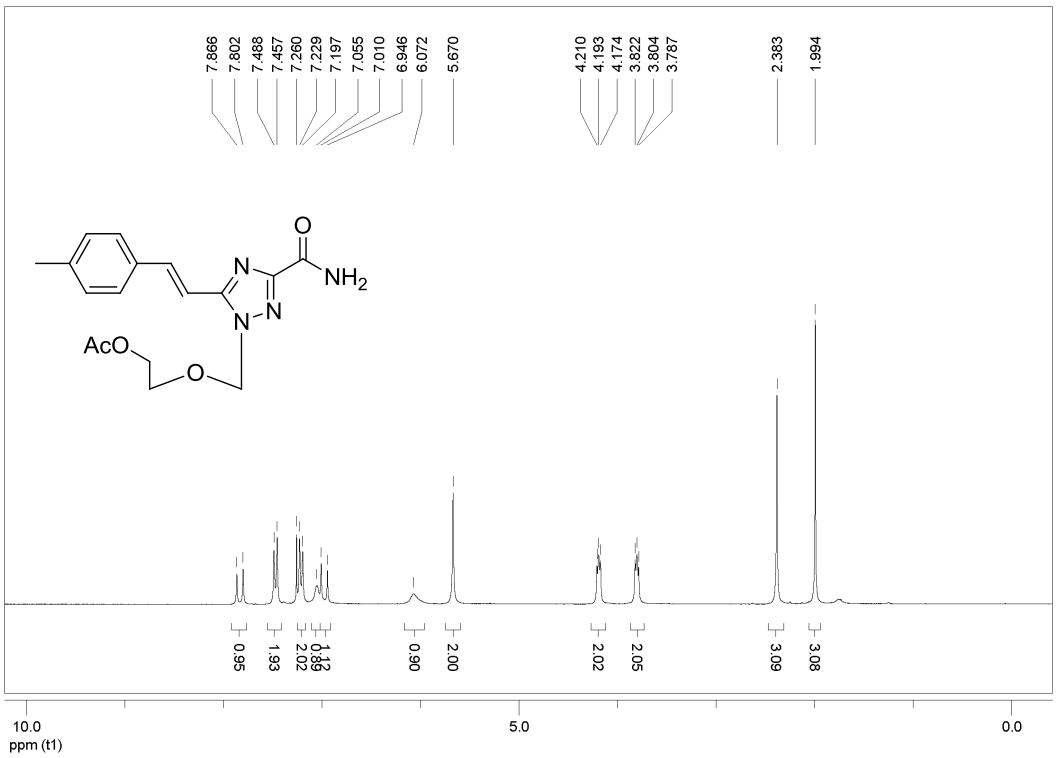
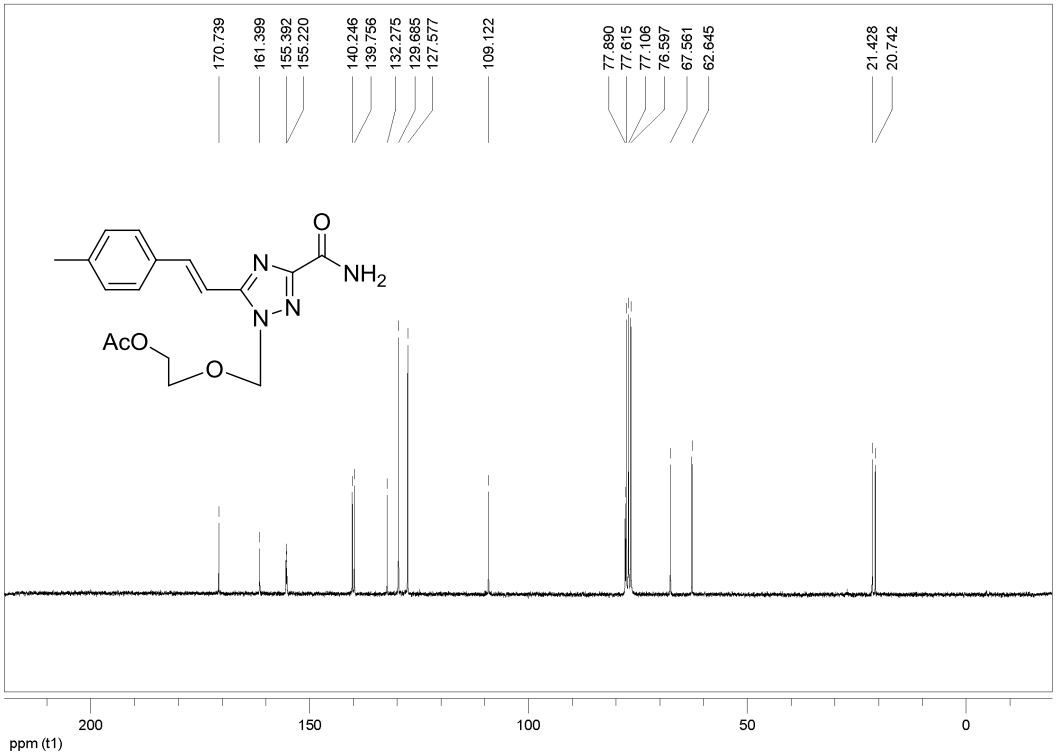
137.965
132.171
131.649
131.130
130.609
127.756
125.971
125.910
125.850
121.679
112.636
78.098
77.567
77.059
76.550
67.782
62.563
20.729
F3C
O
NH2
N
N
N
AcO
O
200
150
100
50
0
ppm (t1)


7.866
7.802
7.488
7.457
7.260
7.229
7.197
7.055
7.010
6.946
6.072
5.670
4.210
4.193
4.174
3.822
3.804
3.787
2.383
1.994
O
NH2
N
N
N
AcO
O
0.95
1.93
2.02
0.88
1.12
0.90
2.00
2.02
2.05
3.09
3.08
10.0
5.0
0.0
ppm (t1)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155.392
155.220
140.246
139.756
132.275
129.685
127.577
109.122
77.890
77.615
77.106
76.597
67.561
62.645
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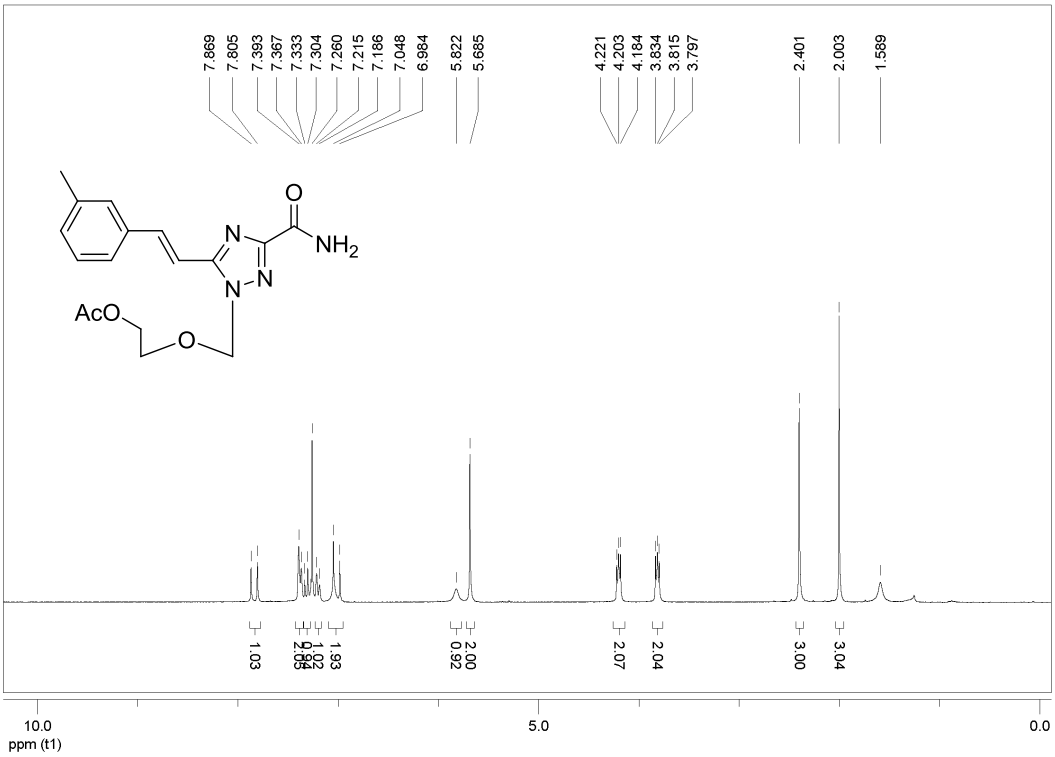
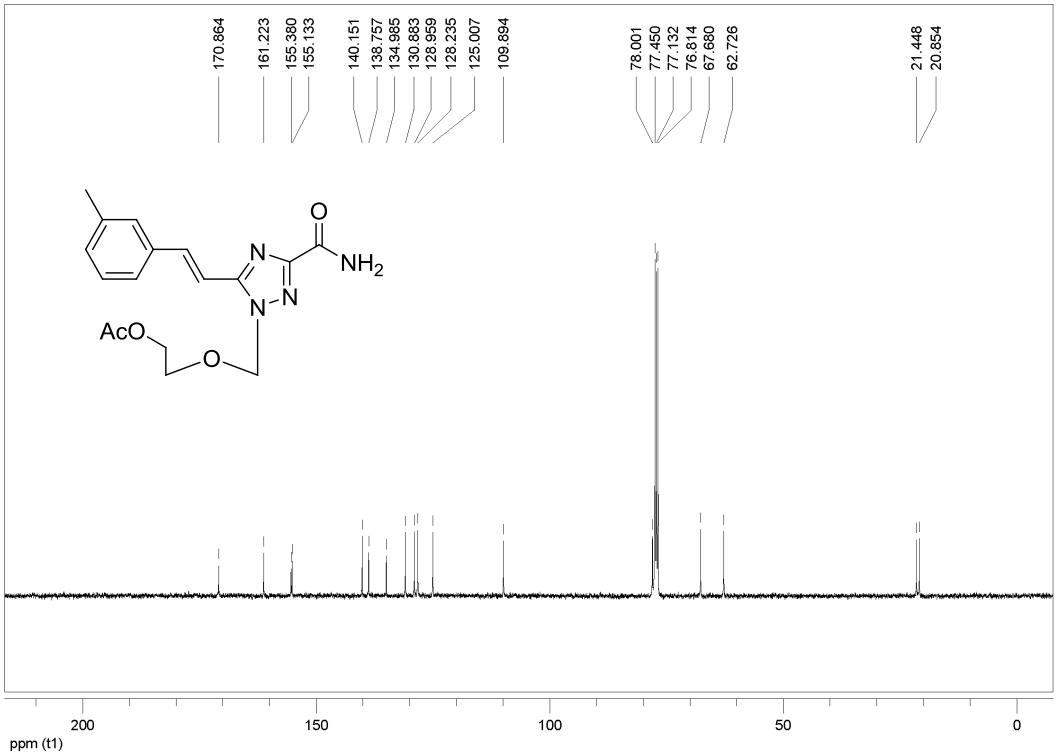
20.742
O
NH2
N
N
N
AcO
O
200
150
100
50
0
ppm (t1)


7.869
7.805
7.393
7.367
7.333
7.304
7.260
7.215
7.186
7.048
6.984
5.822
5.685
4.221
4.203
4.184
3.834
3.815
3.797
2.401
2.003
1.589
O
NH2
N
N
N
AcO
O
1.03
2.00
0.92
2.07
2.04
3.00
3.04
10.0
5.0
0.0
ppm (t1)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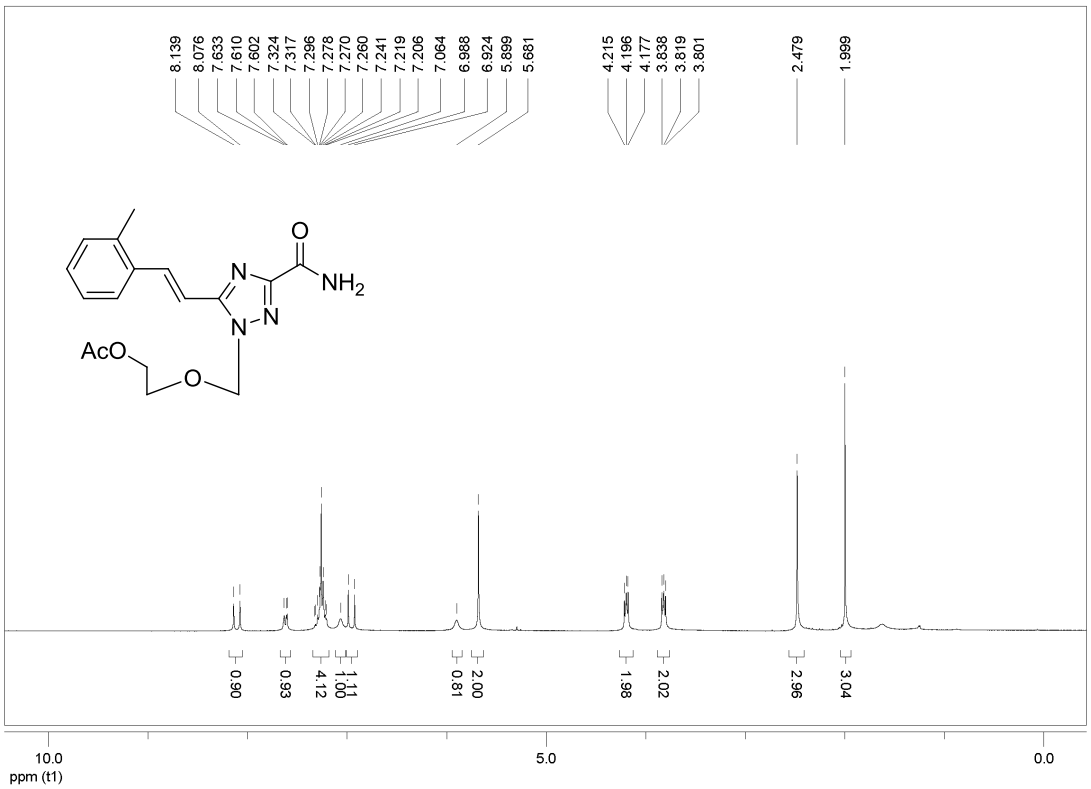
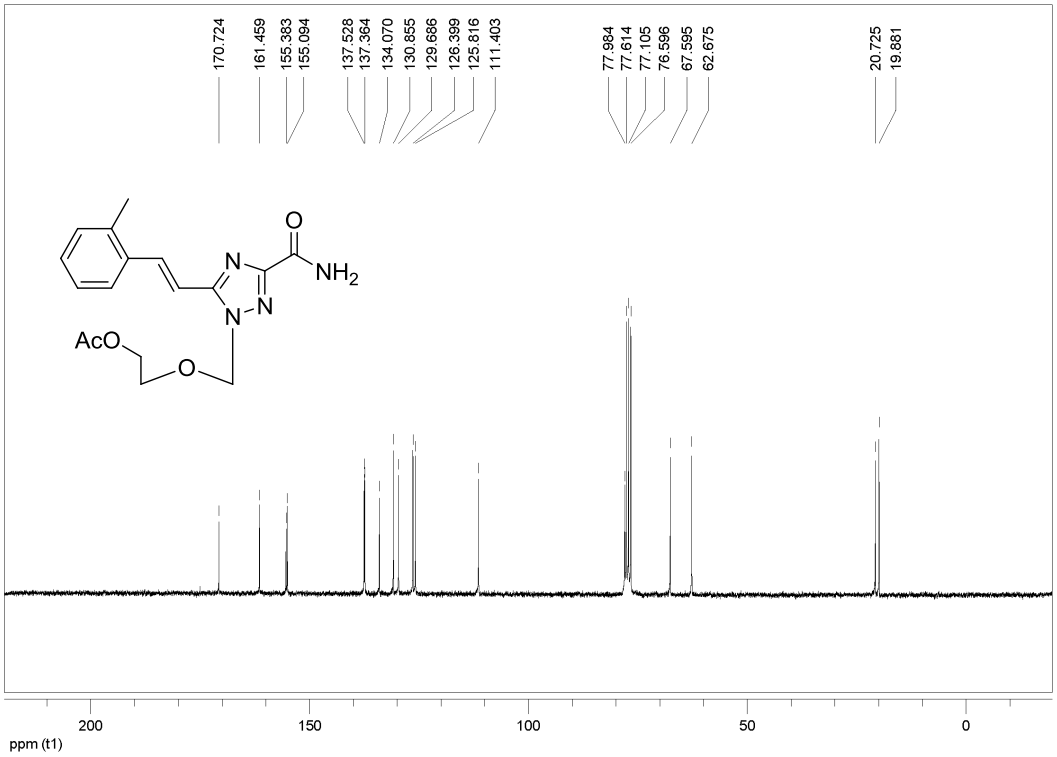
140.151
138.757
134.985
130.883
128.959
128.235
125.007
109.894
78.001
77.450
77.132
76.814
67.680
62.726
21.448
20.854
O
NH2
N
N
N
AcO
O
200
150
100
50
0
ppm (t1)


8.139
8.076
7.633
7.610
7.602
7.324
7.317
7.296
7.278
7.270
7.260
7.241
7.219
7.206
7.064
6.988
6.924
5.899
5.681
4.215
4.196
4.177
3.838
3.819
3.801
2.479
1.999
O
NH2
N
N
N
AcO
O
0.90
0.93
4.12
1.11
1.00
0.81
2.00
1.98
2.02
2.96
3.04
10.0
5.0
0.0
ppm (t1)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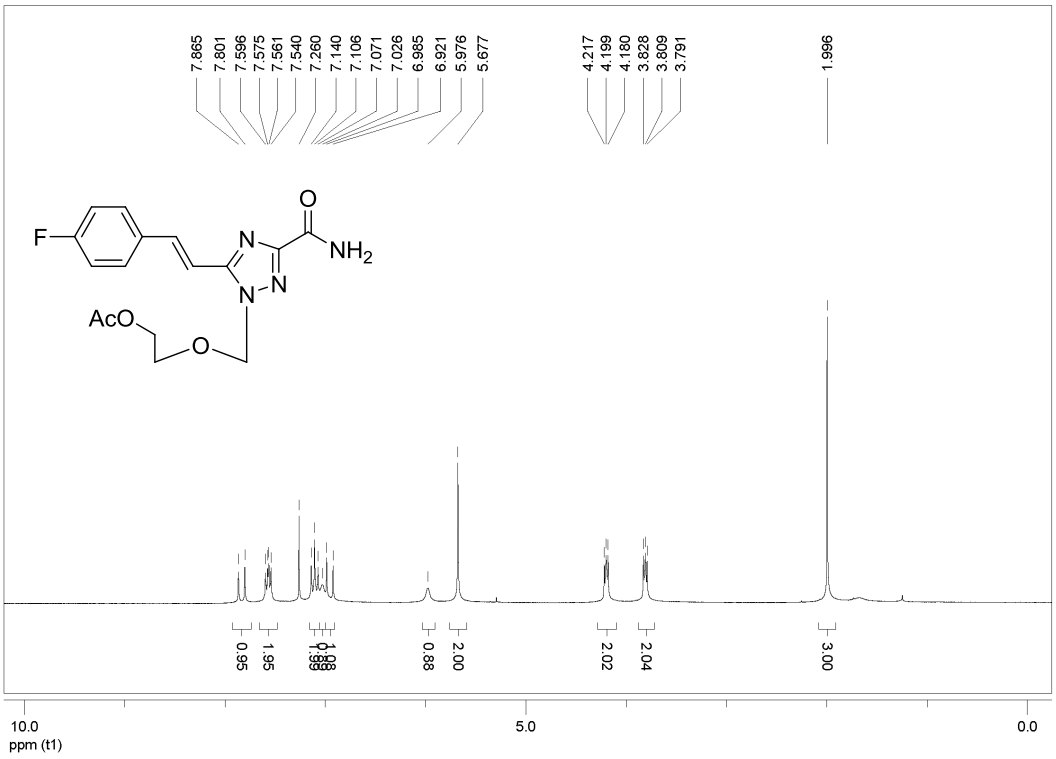
155.383
155.094
137.528
137.364
134.070
130.855
129.686
126.399
125.816
111.403
77.984
77.614
77.105
76.596
67.595
62.675
20.725
19.881
O
NH2
N
N
N
AcO
O
200
150
100
50
0
ppm (t1)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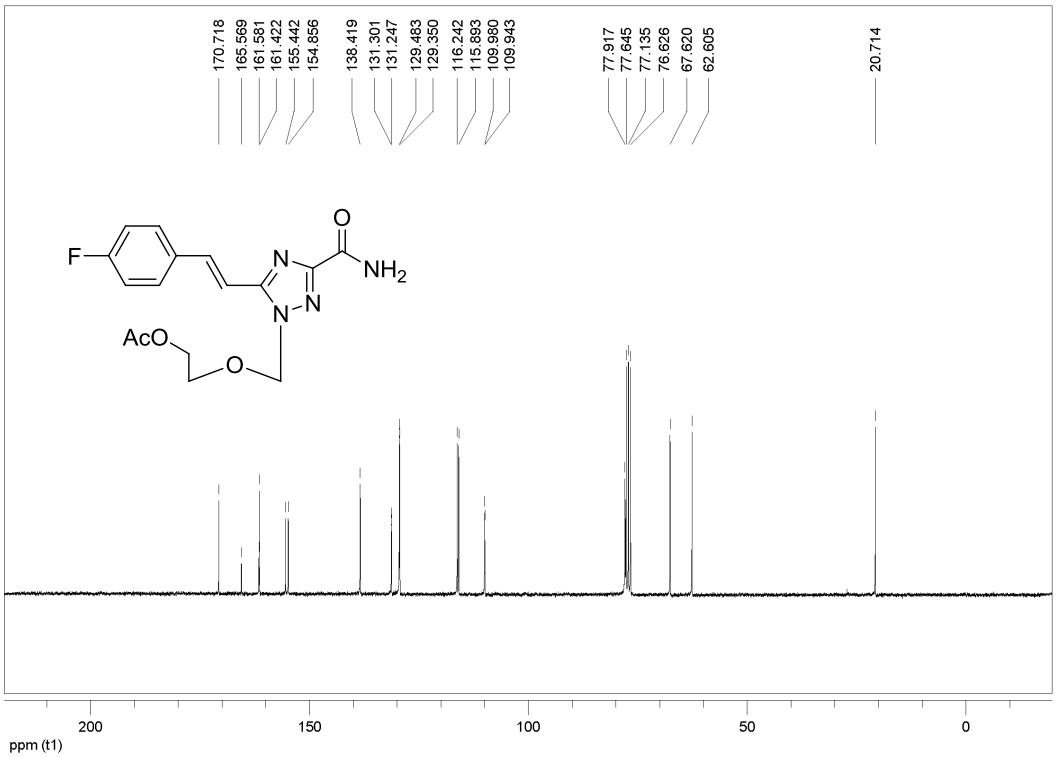
7.801
7.596
7.575
7.561
7.540
7.260
7.140
7.106
7.071
7.026
6.985
6.921
5.976
5.677
4.217
4.199
4.180
3.828
3.809
3.791
1.996
F
O
N
NH2
N
N
AcO
O
0.95
1.95
0.88
2.00
2.02
2.04
3.00
10.0
5.0
0.0
ppm (t1)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161.581
161.422
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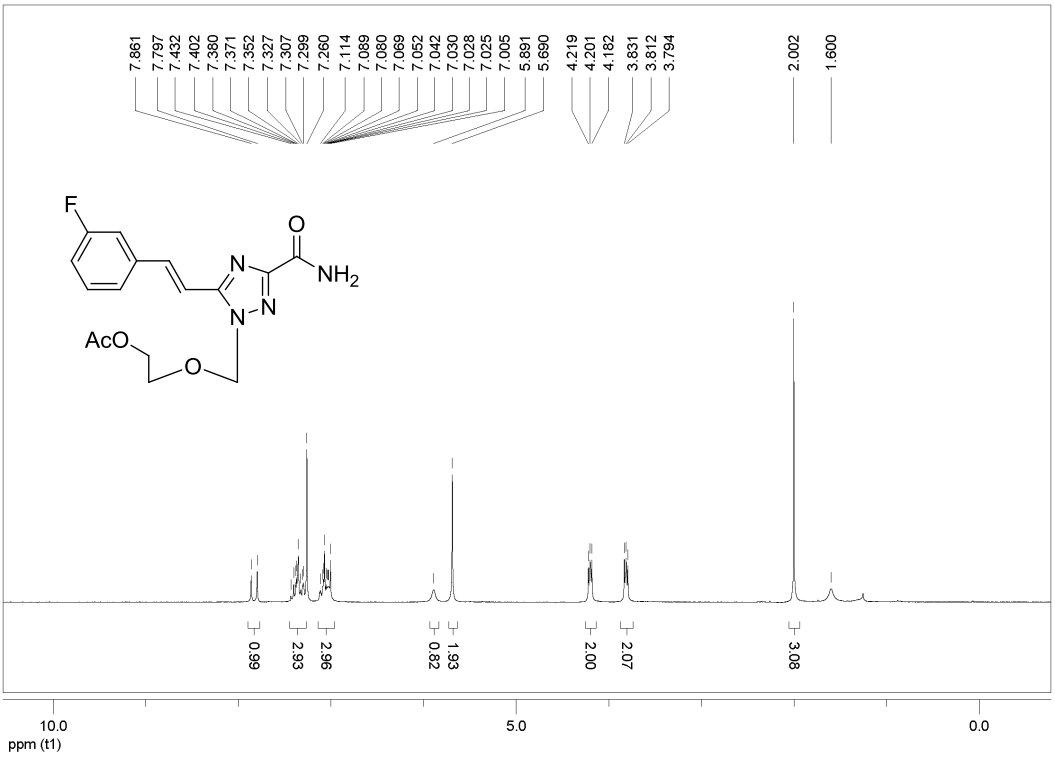
154.856
138.419
131.301
131.247
129.483
129.350
116.242
115.893
109.980
109.943
77.917
77.645
77.135
76.626
67.620
62.605
20.714
F
O
N
NH2
N
N
AcO
O
200
150
100
50
0
ppm (t1)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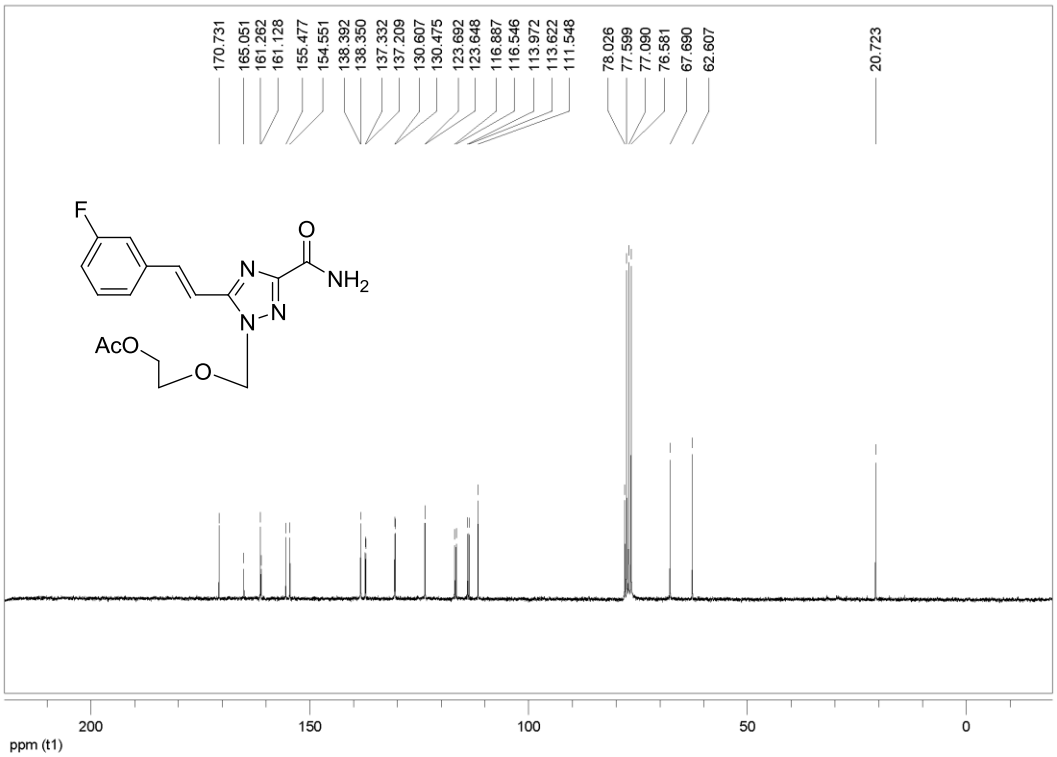
7.402
7.380
7.371
7.352
7.327
7.307
7.299
7.260
7.114
7.089
7.080
7.069
7.052
7.042
7.030
7.028
7.025
7.005
5.891
5.690
4.219
4.201
4.182
3.831
3.812
3.794
2.002
1.600
F
O
NH2
N
N
N
AcO
O
0.99
2.93
2.96
0.82
1.93
2.00
2.07
3.08
10.0
5.0
0.0
ppm (t1)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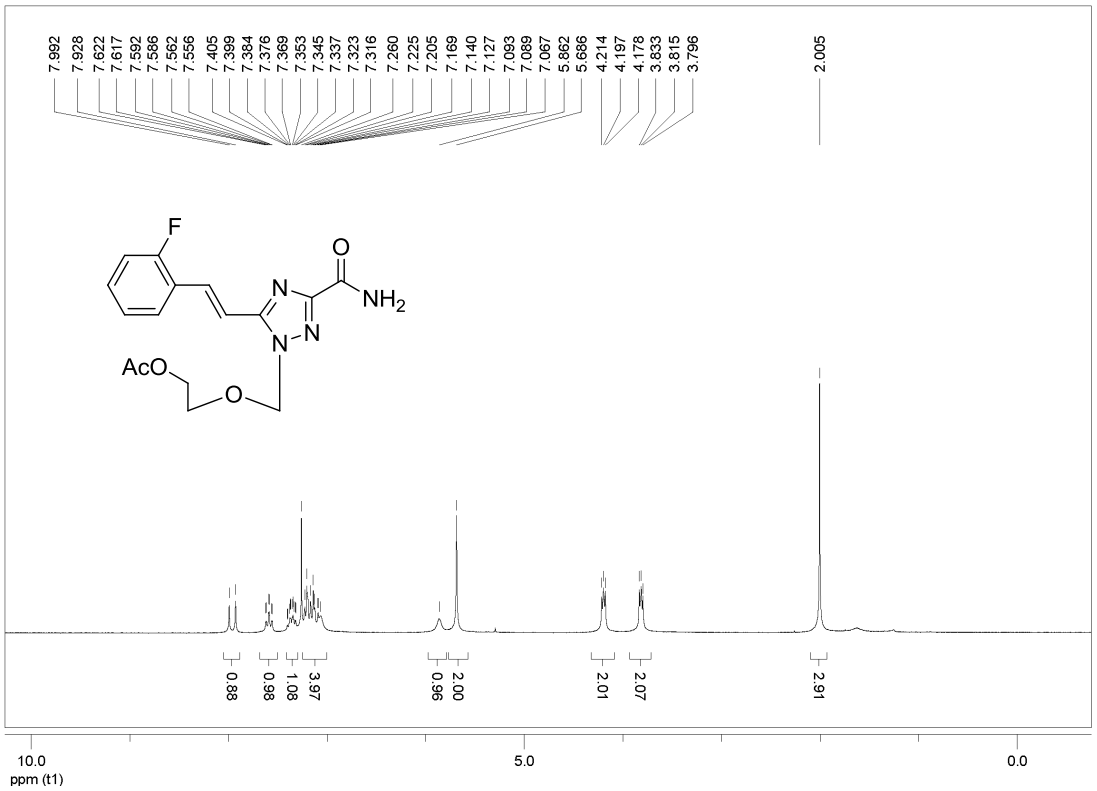
161.262
161.128
155.477
154.551
138.392
138.350
137.332
137.209
130.607
130.475
123.692
123.648
116.887
116.546
113.972
113.622
111.548
78.026
77.599
77.090
76.581
67.690
62.607
20.723
F
O
NH2
N
N
N
AcO
O
200
150
100
50
0
ppm (t1)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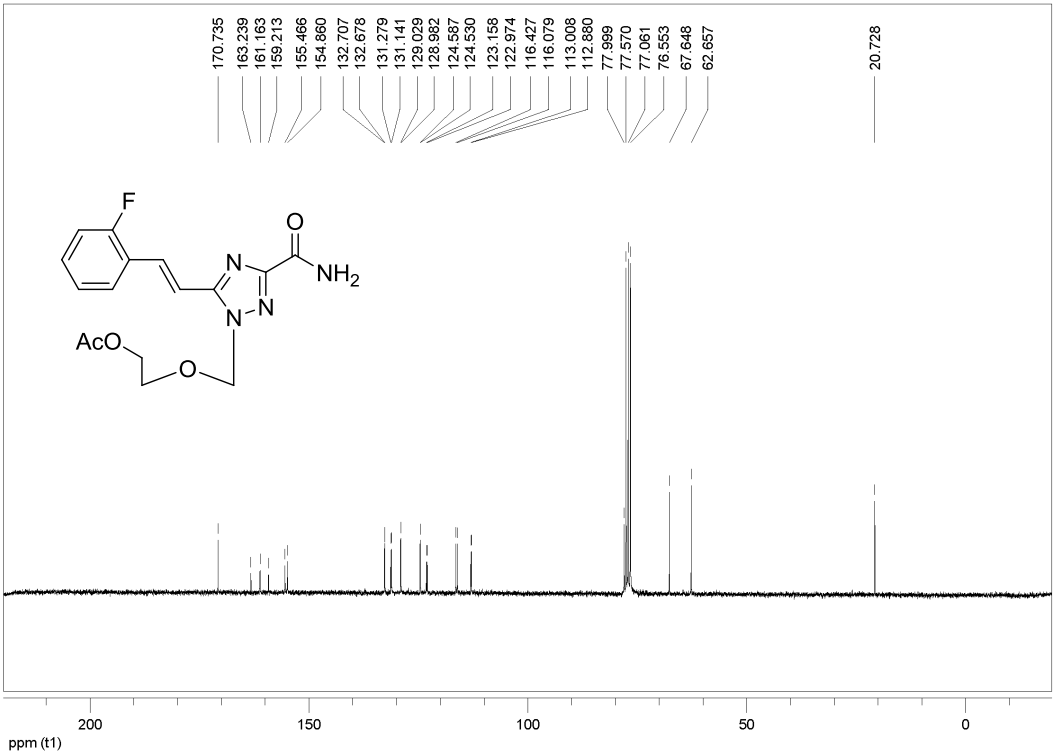
7.622
7.617
7.592
7.586
7.562
7.556
7.405
7.399
7.384
7.376
7.369
7.353
7.345
7.337
7.323
7.316
7.260
7.225
7.205
7.169
7.140
7.127
7.093
7.089
7.067
5.862
5.686
4.214
4.197
4.178
3.833
3.815
3.796
2.005
F
O
NH2
N
N
N
AcO
O
0.88
0.98
1.08
3.97
0.96
2.00
2.01
2.07
2.91
10.0
ppm (t1)
5.0
0.0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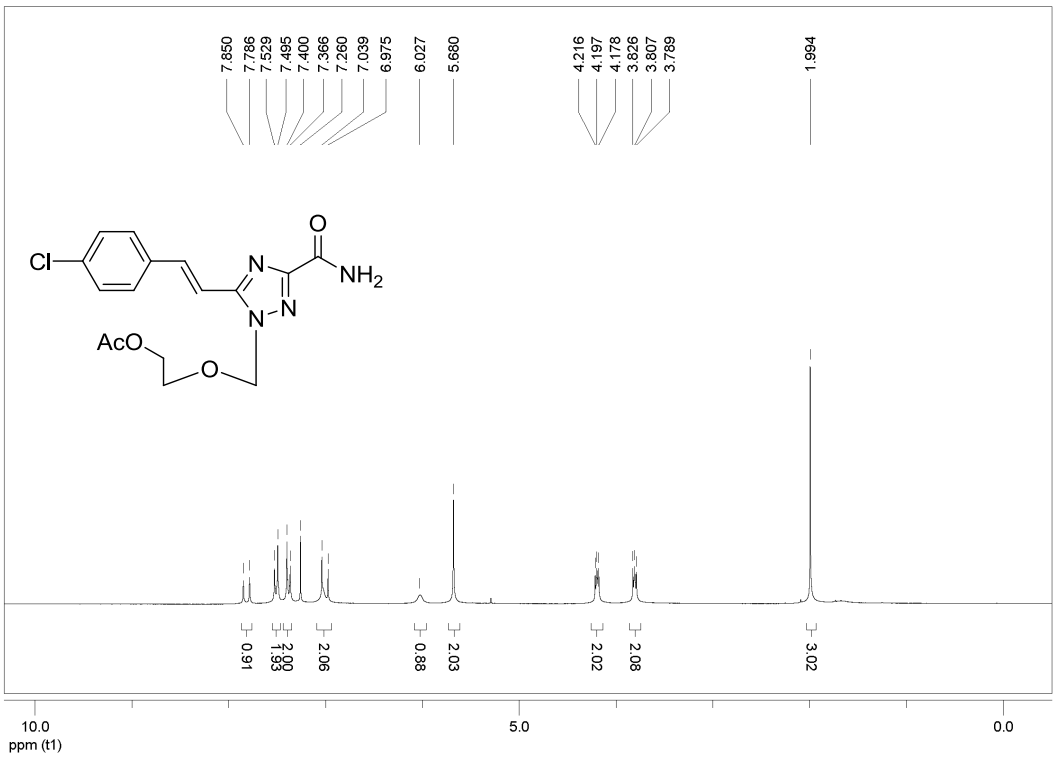
163.239
161.163
159.213
155.466
154.860
132.707
132.678
131.279
131.141
129.029
128.982
124.587
124.530
123.158
122.974
116.427
116.079
113.008
112.880
77.999
77.570
77.061
76.553
67.648
62.657
20.728
F
O
NH2
N
N
N
AcO
O
200
150
100
50
0
ppm (t1)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7.529
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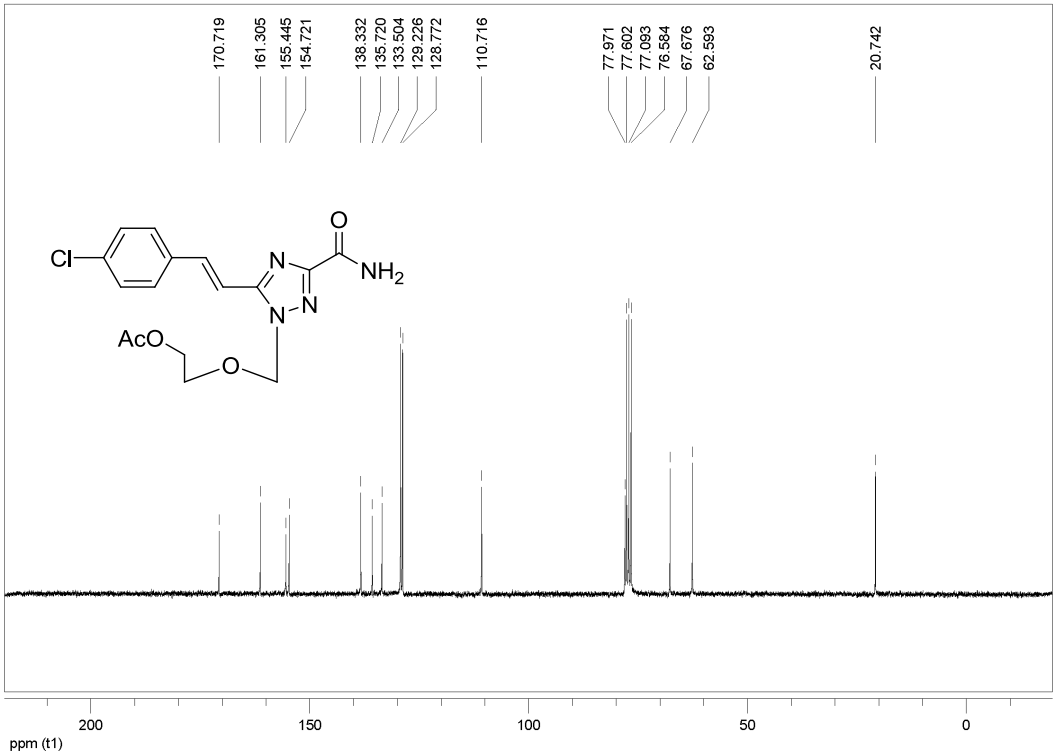
7.400
7.366
7.260
7.039
6.975
6.027
5.680
4.216
4.197
4.178
3.826
3.807
3.789
1.994
Cl
O
N
NH2
N
N
AcO
O
0.91
1.93
2.00
2.06
0.88
2.03
2.02
2.08
3.02
10.0
5.0
0.0
ppm (t1)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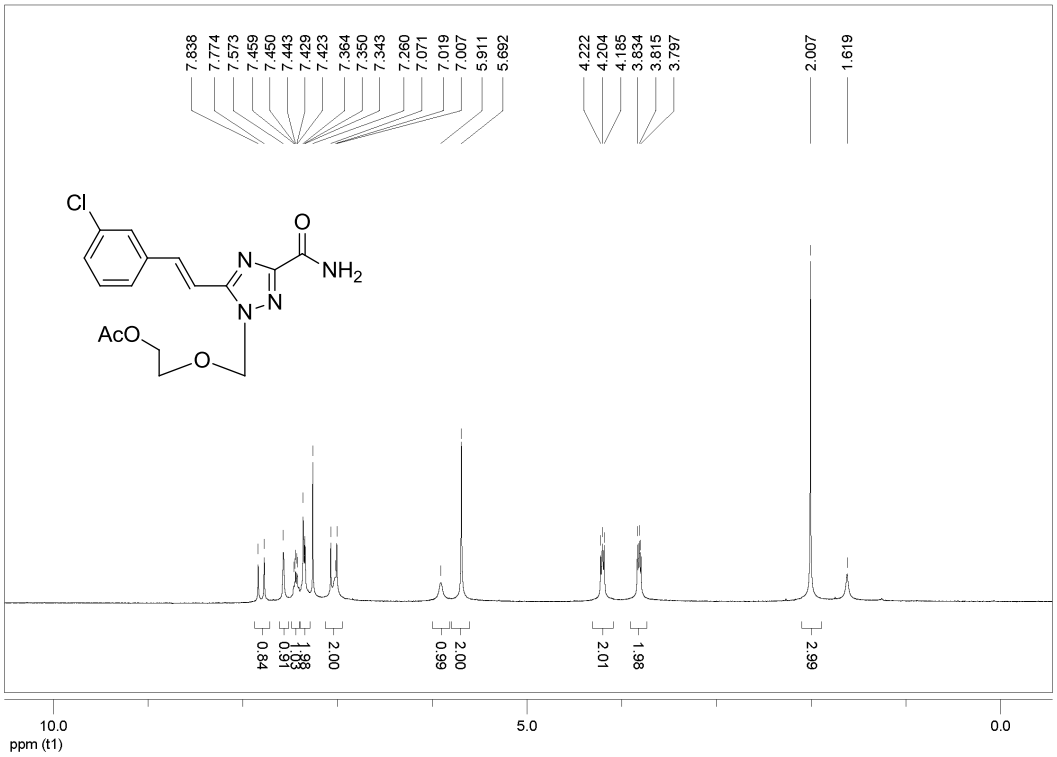
155.445
154.721
138.332
135.720
133.504
129.226
128.772
110.716
77.971
77.602
77.093
76.584
67.676
62.593
20.742
Cl
O
N
NH2
N
N
AcO
O
200
150
100
50
0
ppm (t1)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7.774
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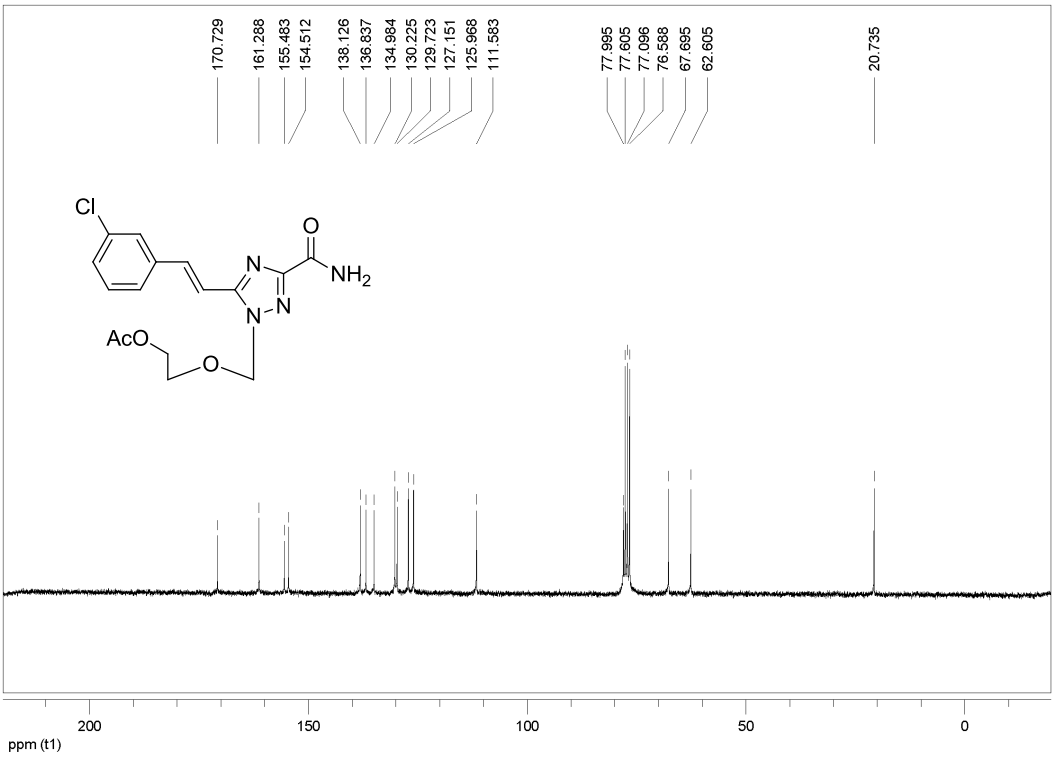
7.443
7.429
7.423
7.364
7.350
7.343
7.260
7.071
7.019
7.007
5.911
5.692
4.222
4.204
4.185
3.834
3.815
3.797
2.007
1.619
Cl
O
NH2
N
N
N
AcO
O
0.84
0.91
2.00
0.96
2.00
2.01
1.98
2.99
10.0
5.0
0.0
ppm (t1)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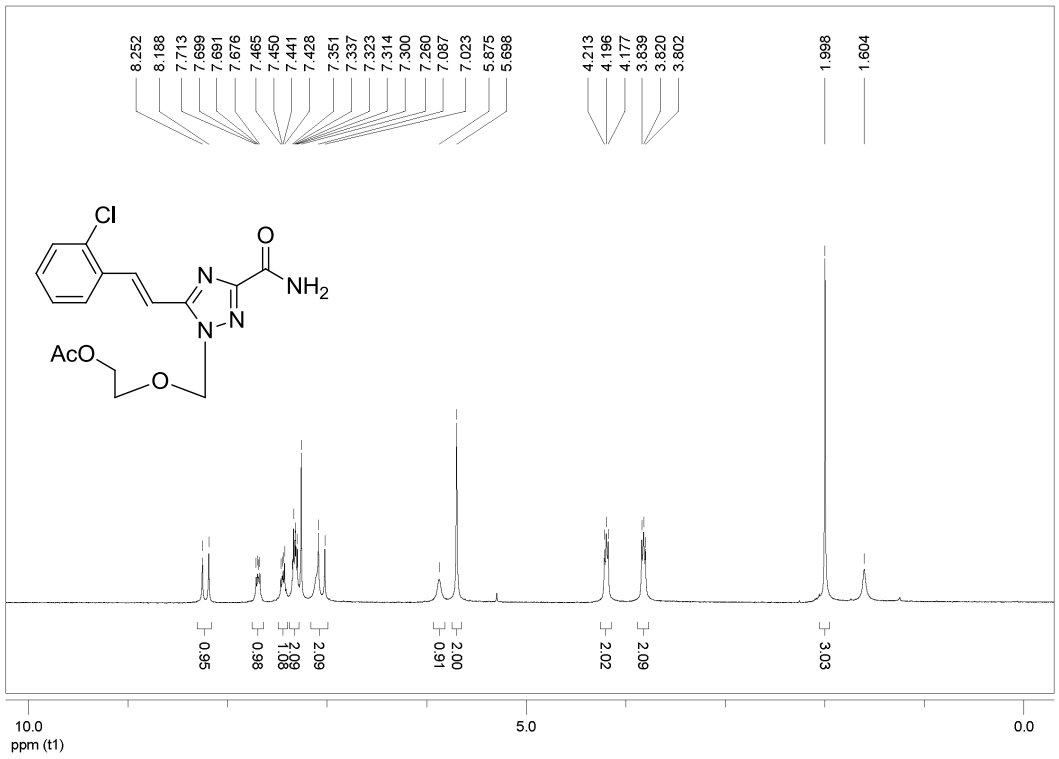
155.483
154.512
138.126
136.837
134.984
130.225
129.723
127.151
125.968
111.583
77.995
77.605
77.096
76.588
67.695
62.605
20.735
Cl
O
NH2
N
N
N
AcO
O
200
150
100
50
0
ppm (t1)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7.691
7.676
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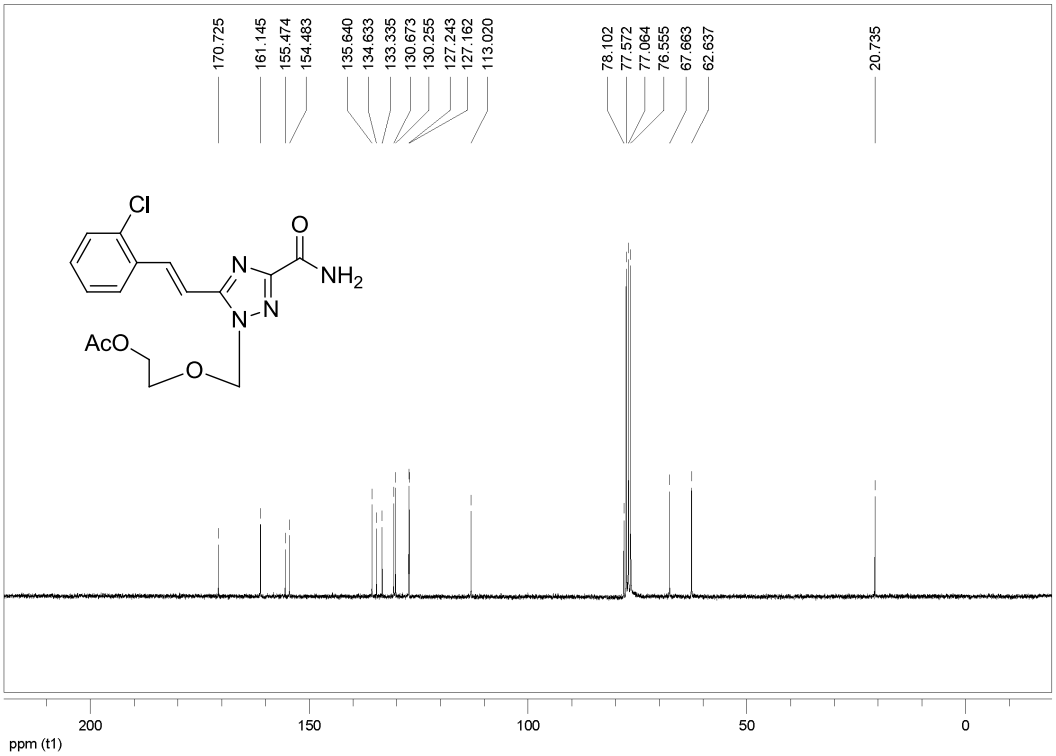
7.450
7.441
7.428
7.351
7.337
7.323
7.314
7.300
7.260
7.087
7.023
5.875
5.698
4.213
4.196
4.177
3.839
3.820
3.802
1.998
1.604
Cl
O
NH2
N
N
N
AcO
O
0.95
0.98
1.18
2.09
2.09
0.91
2.00
2.02
2.08
3.03
10.0
5.0
0.0
ppm (t1)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155.474
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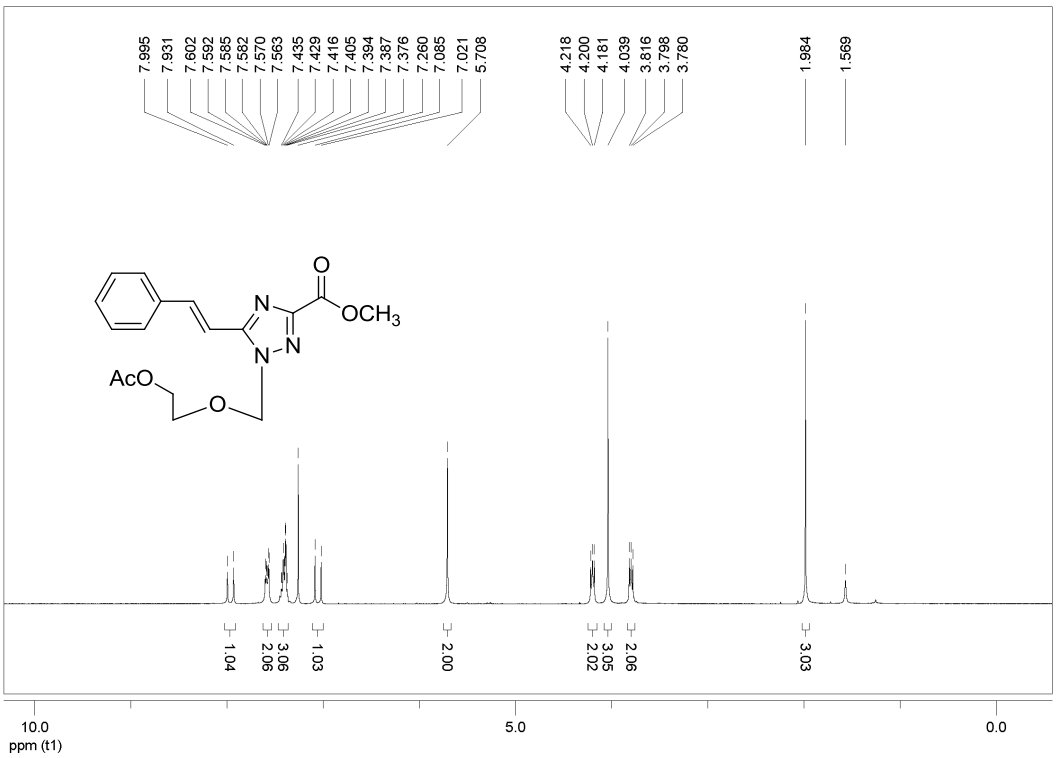
135.640
134.633
133.335
130.673
130.255
127.243
127.162
113.020
78.102
77.572
77.064
76.555
67.663
62.637
20.735
Cl
O
NH2
N
N
N
AcO
O
200
150
100
50
0
ppm (t1)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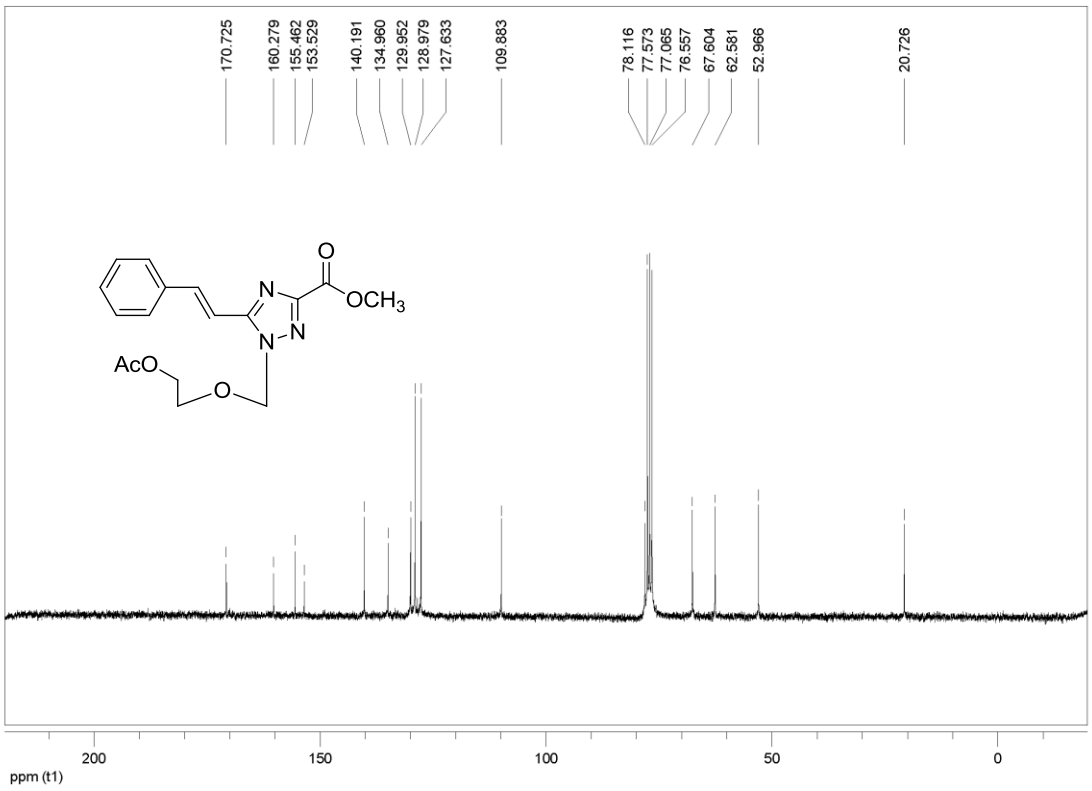
7.563
7.435
7.429
7.416
7.405
7.394
7.387
7.376
7.260
7.085
7.021
5.708
4.218
4.200
4.181
4.039
3.816
3.798
3.780
1.984
1.569
O
OCH3
N
N
N
AcO
O
1.04
2.06
3.06
1.03
2.00
2.02
3.05
2.06
3.03
10.0
5.0
0.0
ppm (t1)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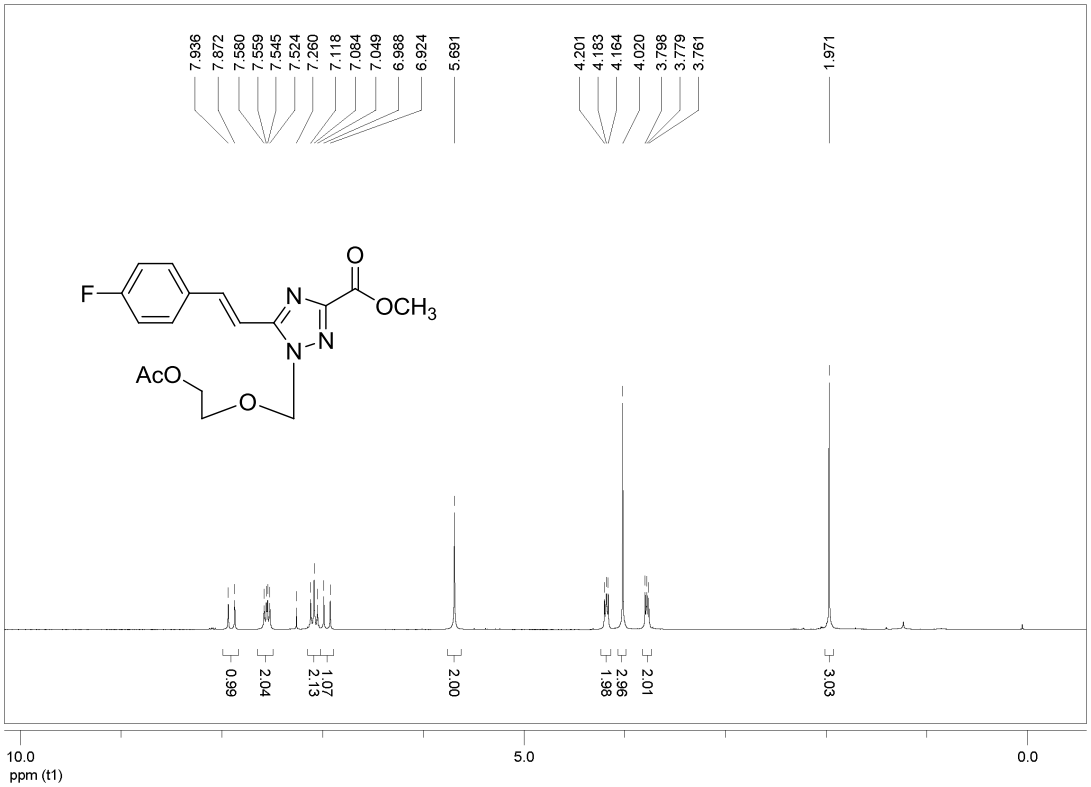
128.979
127.633
109.883
78.116
77.573
77.065
76.557
67.604
62.581
52.966
20.726
O
OCH3
N
N
N
AcO
O
200
150
100
50
0
ppm (t1)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7.260
7.118
7.084
7.049
6.988
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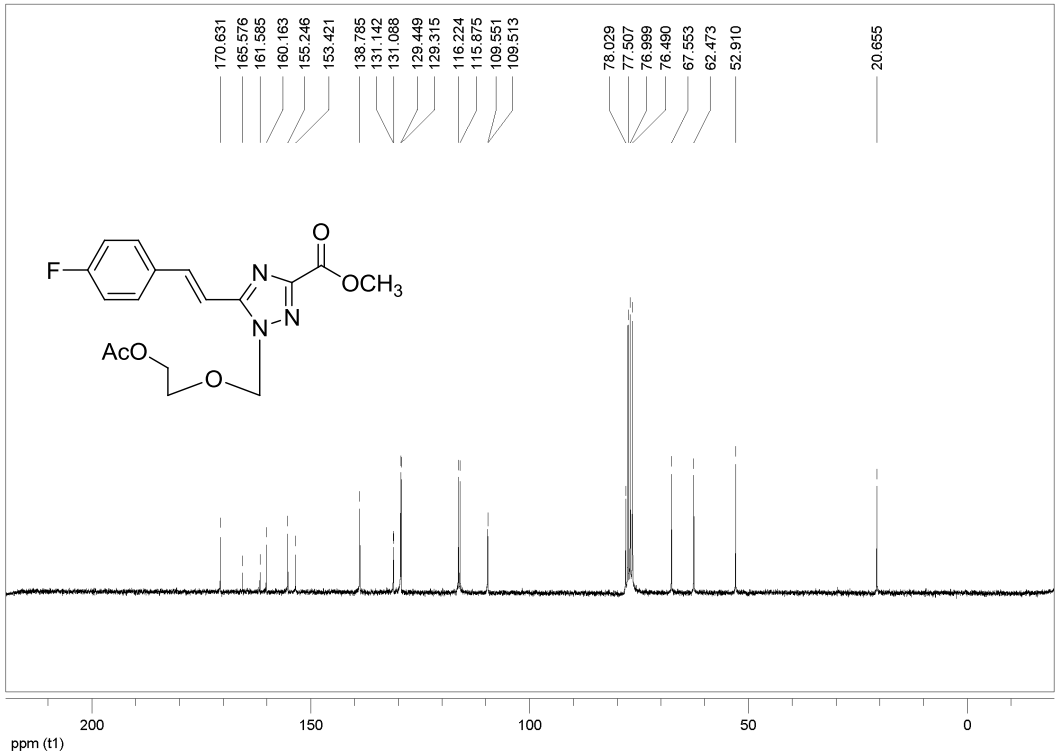
5.691
4.201
4.183
4.164
4.020
3.798
3.779
3.761
1.971
F
O
N
N
N
OCH3
AcO
O
0.99
2.04
1.07
2.13
2.00
1.98
2.96
2.01
3.03
10.0
5.0
0.0
ppm (t1)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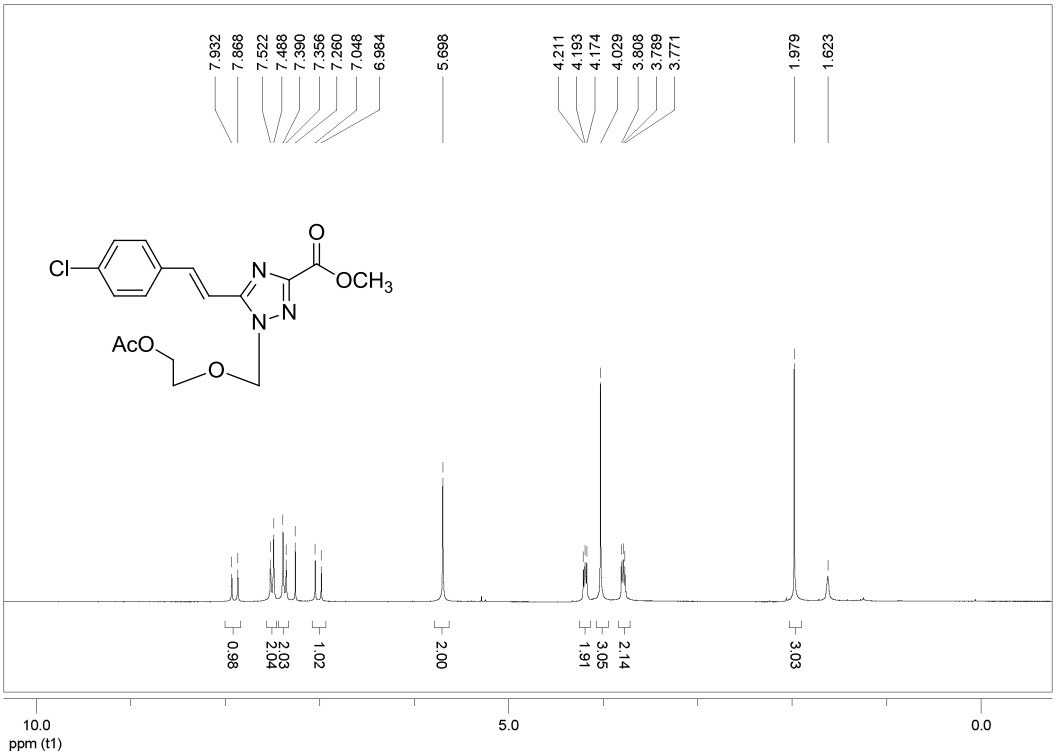
165.576
161.585
160.163
155.246
153.421
138.785
131.142
131.088
129.449
129.315
116.224
115.875
109.551
109.513
78.029
77.507
76.999
76.490
67.553
62.473
52.910
20.655
F
O
N
N
N
OCH3
AcO
O
200
150
100
50
0
ppm (t1)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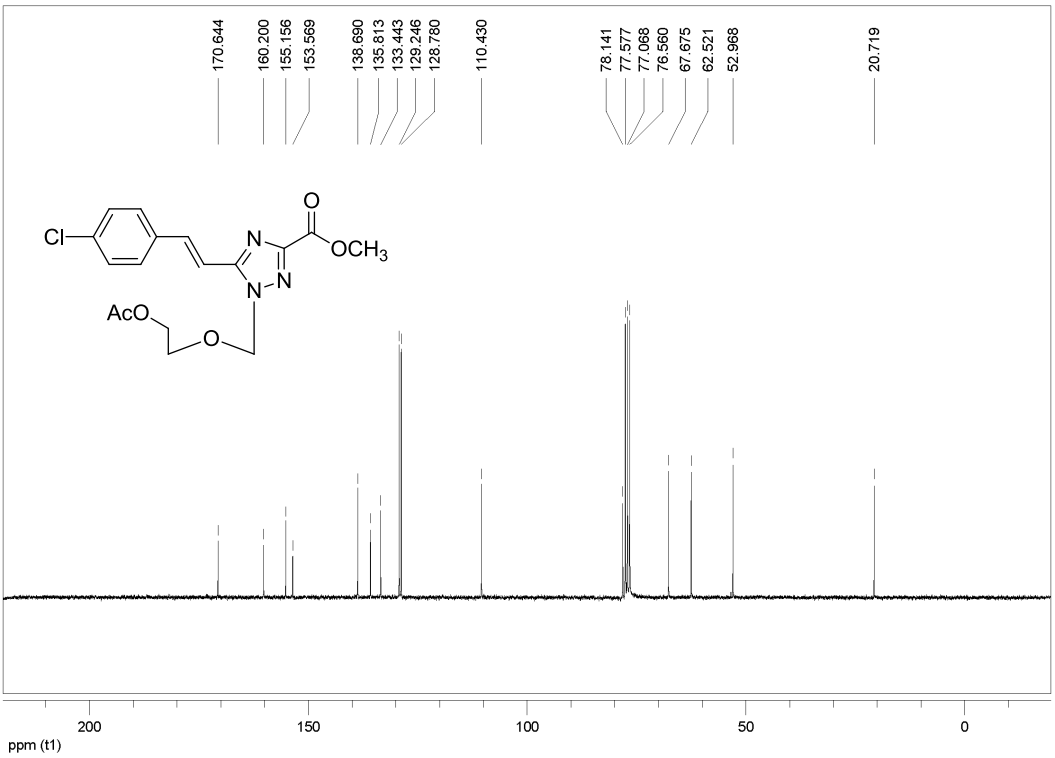
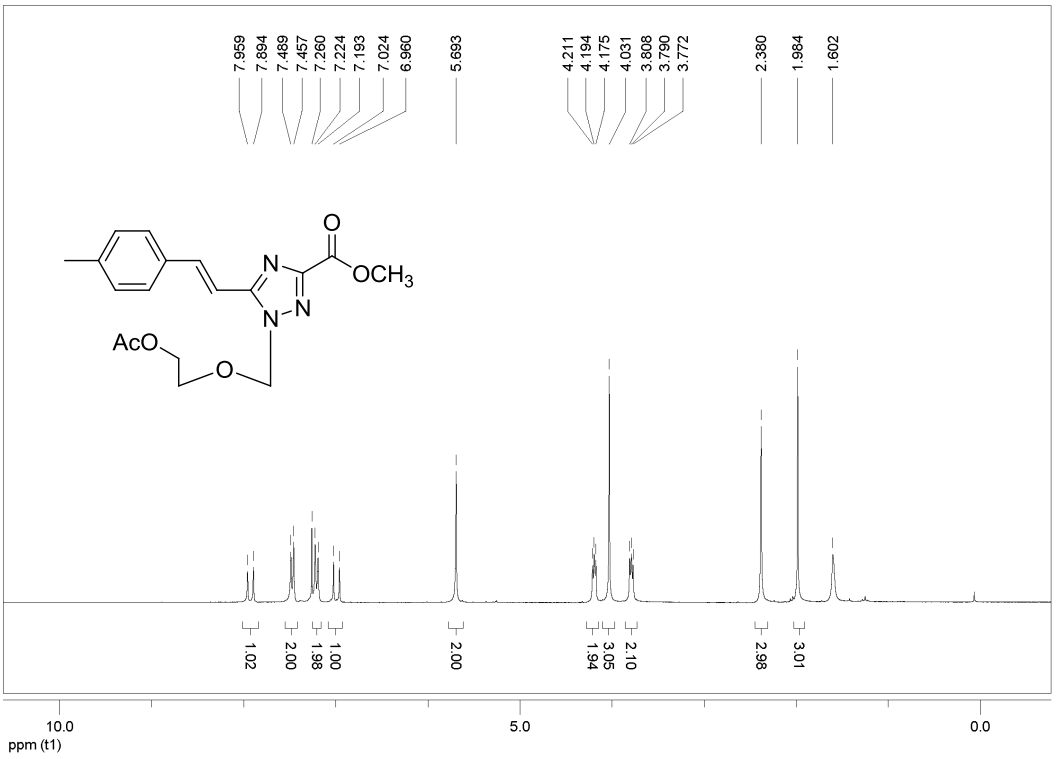
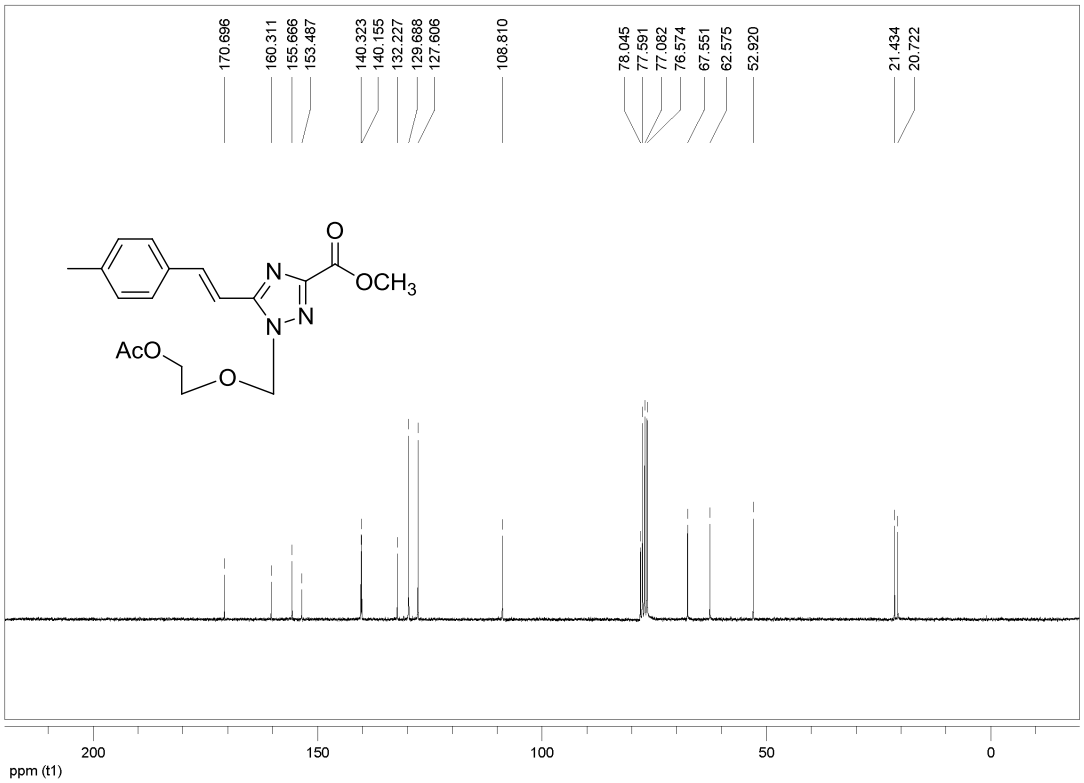
7.868
7.522
7.488
7.390
7.356
7.260
7.048
6.984
5.698
4.211
4.193
4.174
4.029
3.808
3.789
3.771
1.979
1.623
Cl
N
O
OCH3
N
N
AcO
O
0.98
2.03
2.04
1.02
2.00
1.91
3.05
2.14
3.03
10.0
5.0
0.0
ppm (t1)


170.644
160.200
155.156
153.569
138.690
135.813
133.443
129.246
128.780
110.430
78.141
77.577
77.068
76.560
67.675
62.521
52.968
20.719
Cl
N
O
OCH3
N
N
AcO
O
200
150
100
50
0
ppm (t1)


7.959
7.894
7.489
7.457
7.260
7.224
7.193
7.024
6.960
5.693
4.211
4.194
4.175
4.031
3.808
3.790
3.772
2.380
1.984
1.602
O
N
OCH3
N
N
AcO
O
1.02
2.00
1.98
1.00
2.00
1.64
3.05
2.10
2.98
3.01
10.0
5.0
0.0
ppm (t1)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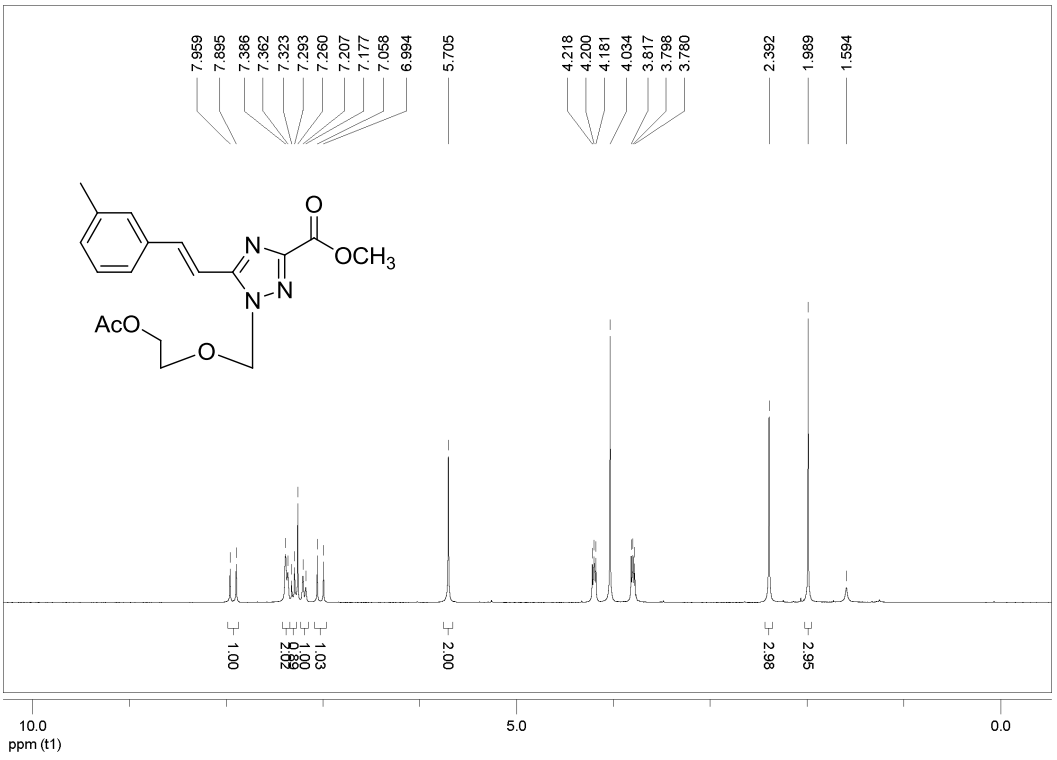
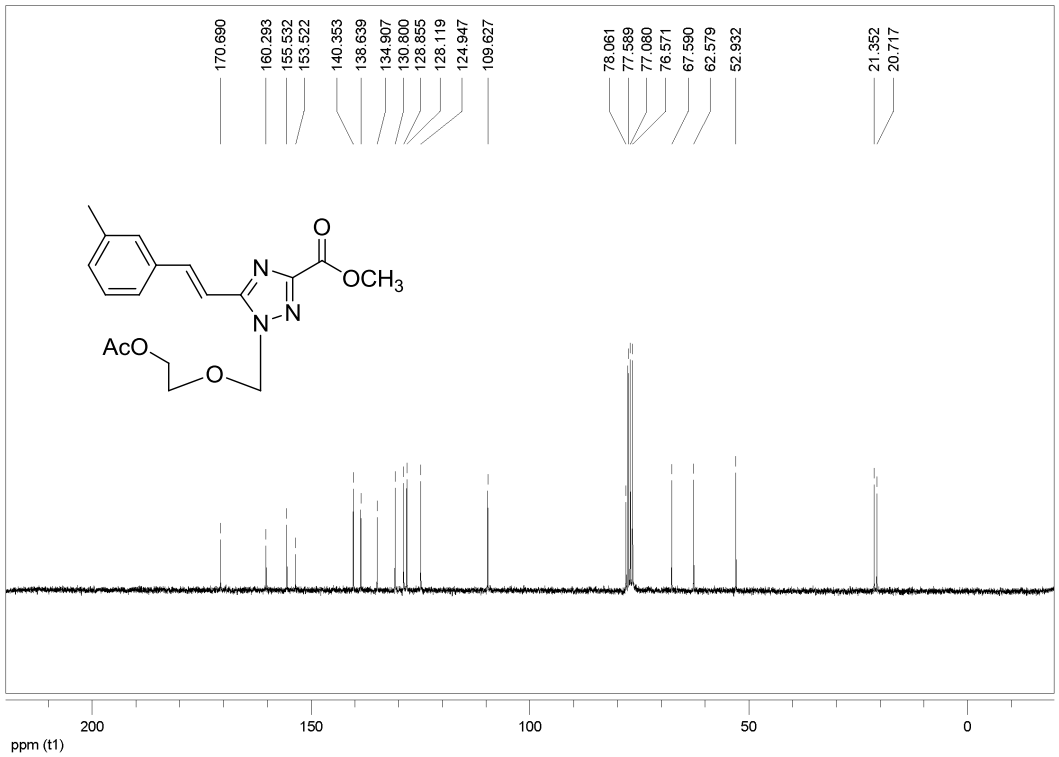
160.311
155.666
153.487
140.323
140.155
132.227
129.688
127.606
108.810
78.045
77.591
77.082
76.574
67.551
62.575
52.920
21.434
20.722
O
N
OCH3
N
N
AcO
O
200
150
100
50
0
ppm (t1)


7.959
7.895
7.386
7.362
7.323
7.293
7.260
7.207
7.177
7.058
6.994
5.705
4.218
4.200
4.181
4.034
3.817
3.798
3.780
2.392
1.989
1.594
O
N
OCH3
N
N
AcO
O
1.00
2.00
2.98
2.95
10.0
5.0
0.0
ppm (t1)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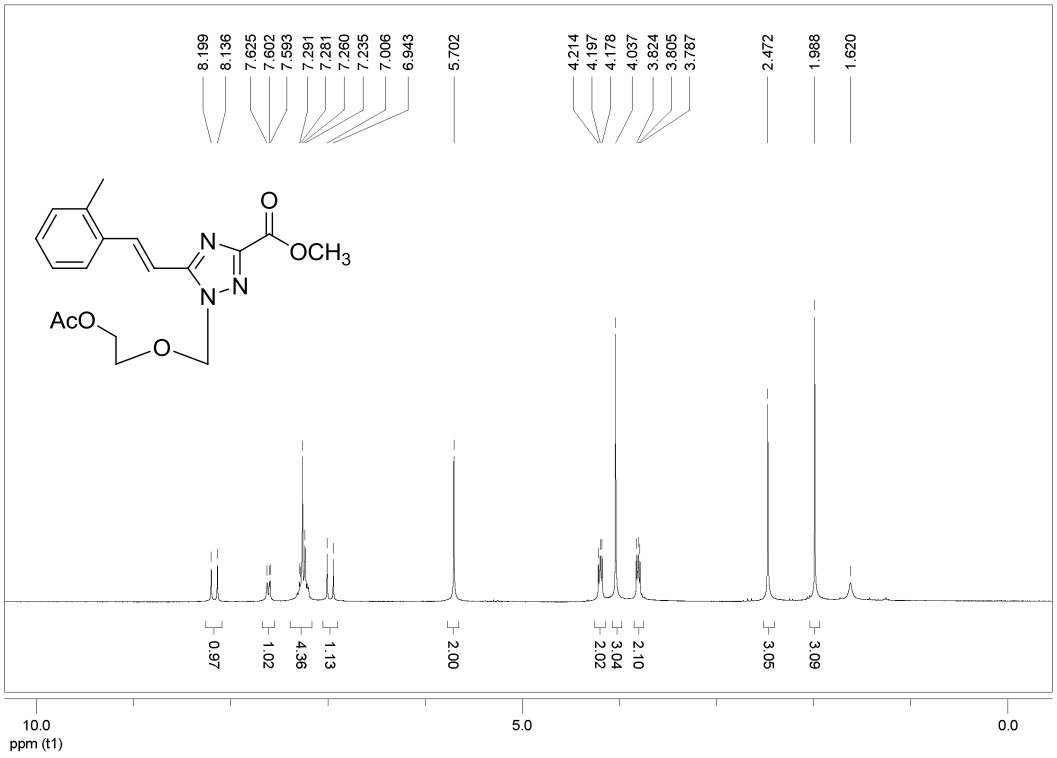
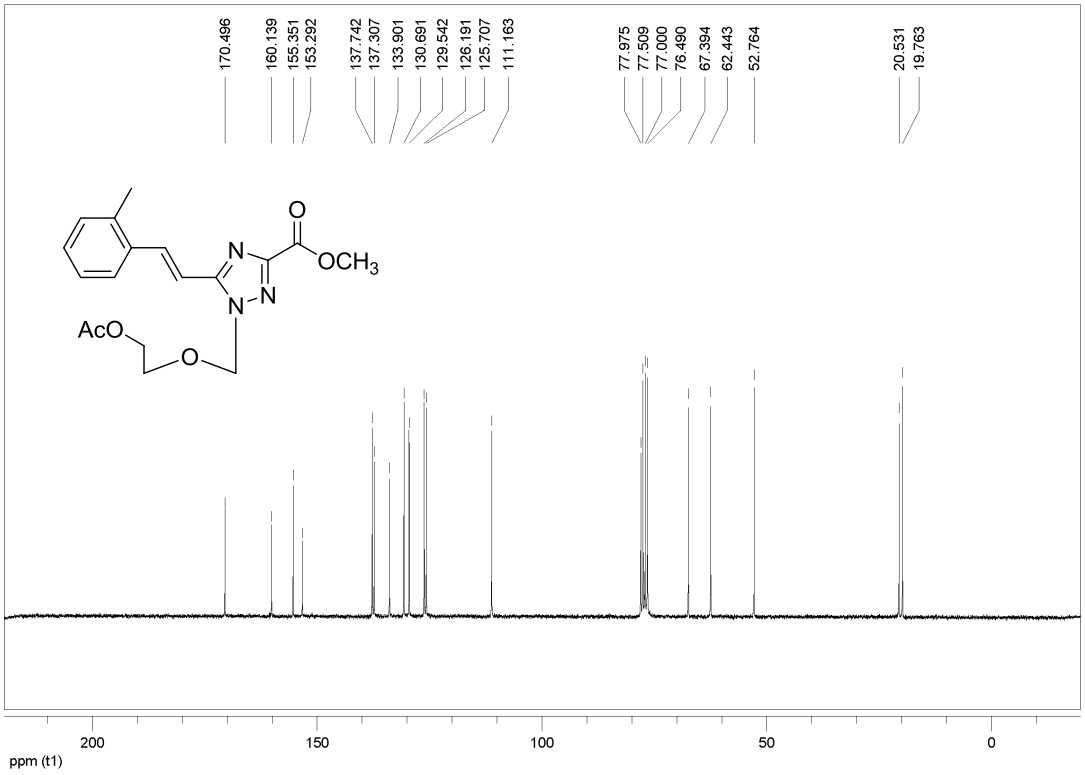
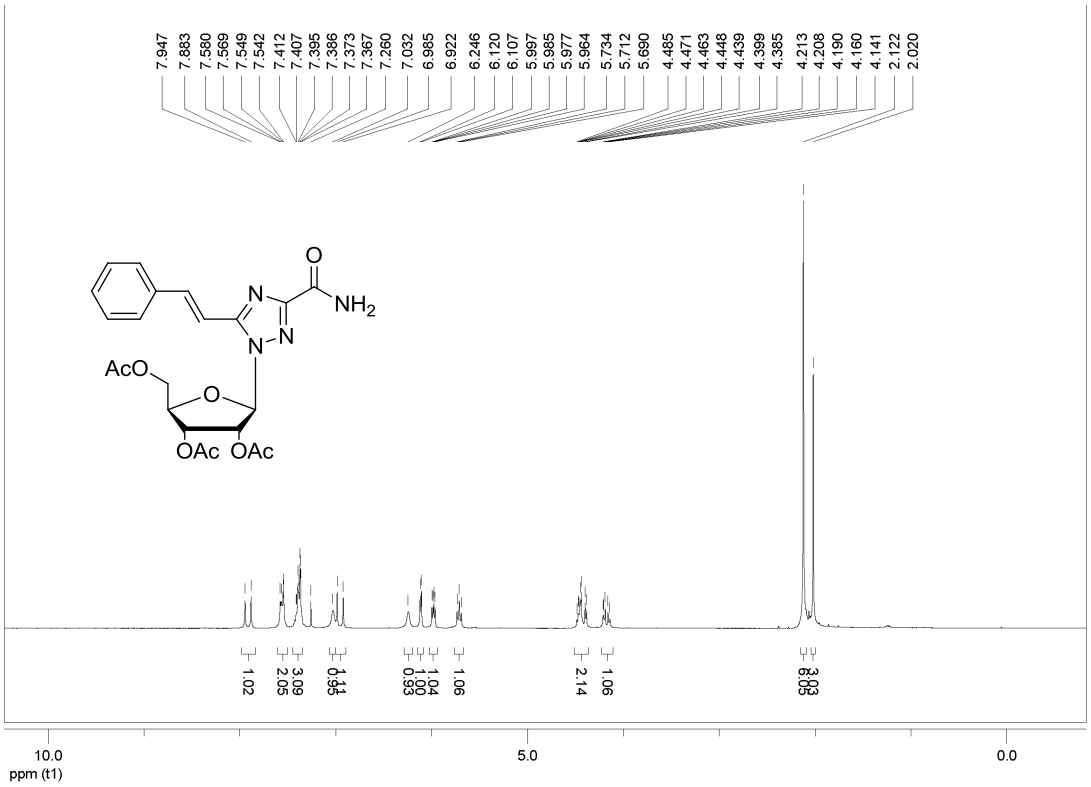
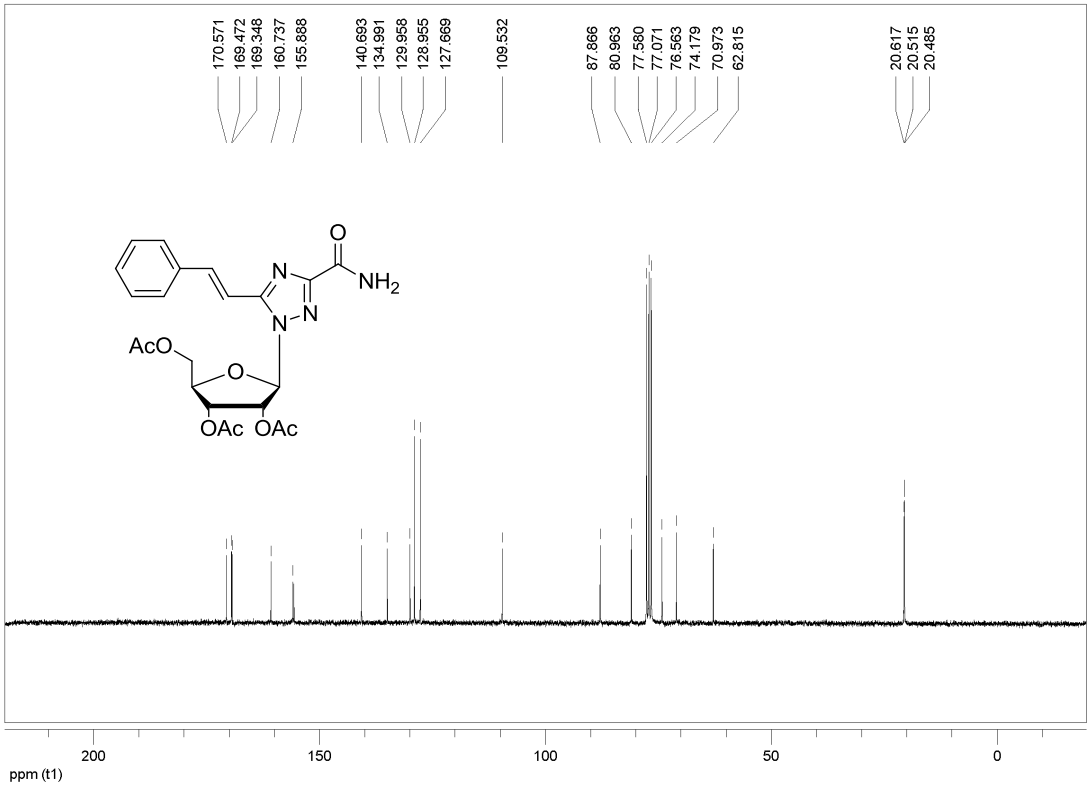
160.293
155.532
153.522
140.353
138.639
134.907
130.800
128.855
128.119
124.947
109.627
78.061
77.589
77.080
76.571
67.590
62.579
52.932
21.352
20.717
O
N
OCH3
N
N
AcO
O
200
150
100
50
0
ppm (t1)


8.199
8.136
7.625
7.602
7.593
7.291
7.281
7.260
7.235
7.006
6.943
5.702
4.214
4.197
4.178
4.037
3.824
3.805
3.787
2.472
1.988
1.620
O
N
OCH3
N
N
AcO
O
0.67
1.02
4.36
1.13
2.00
2.02
3.04
2.10
3.05
3.09
10.0
5.0
0.0
ppm (t1)


170.496
160.139
155.351
153.292
137.742
137.307
133.901
130.691
129.542
126.191
125.707
111.163
77.975
77.509
77.000
76.490
67.394
62.443
52.764
20.531
19.763
O
N
OCH3
N
N
AcO
O
200
150
100
50
0
ppm (t1)


7.947
7.883
7.580
7.569
7.549
7.542
7.412
7.407
7.395
7.386
7.373
7.367
7.260
7.032
6.985
6.922
6.246
6.120
6.107
5.997
5.985
5.977
5.964
5.734
5.712
5.690
4.485
4.471
4.463
4.448
4.439
4.399
4.385
4.213
4.208
4.190
4.160
4.141
2.122
2.020
O
NH2
N
N
N
AcO
O
OAc OAc
1.02
2.05
3.09
0.65
1.04
1.06
2.14
1.06
10.0
5.0
0.0
ppm (t1)


170.571
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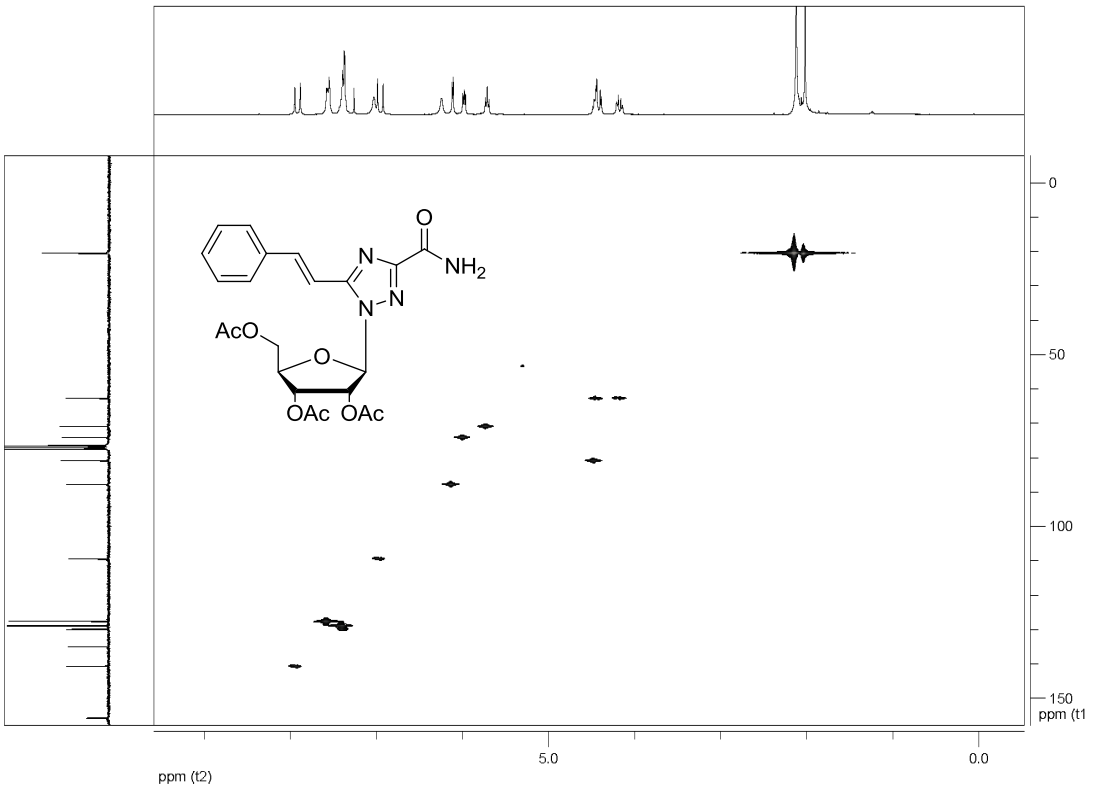
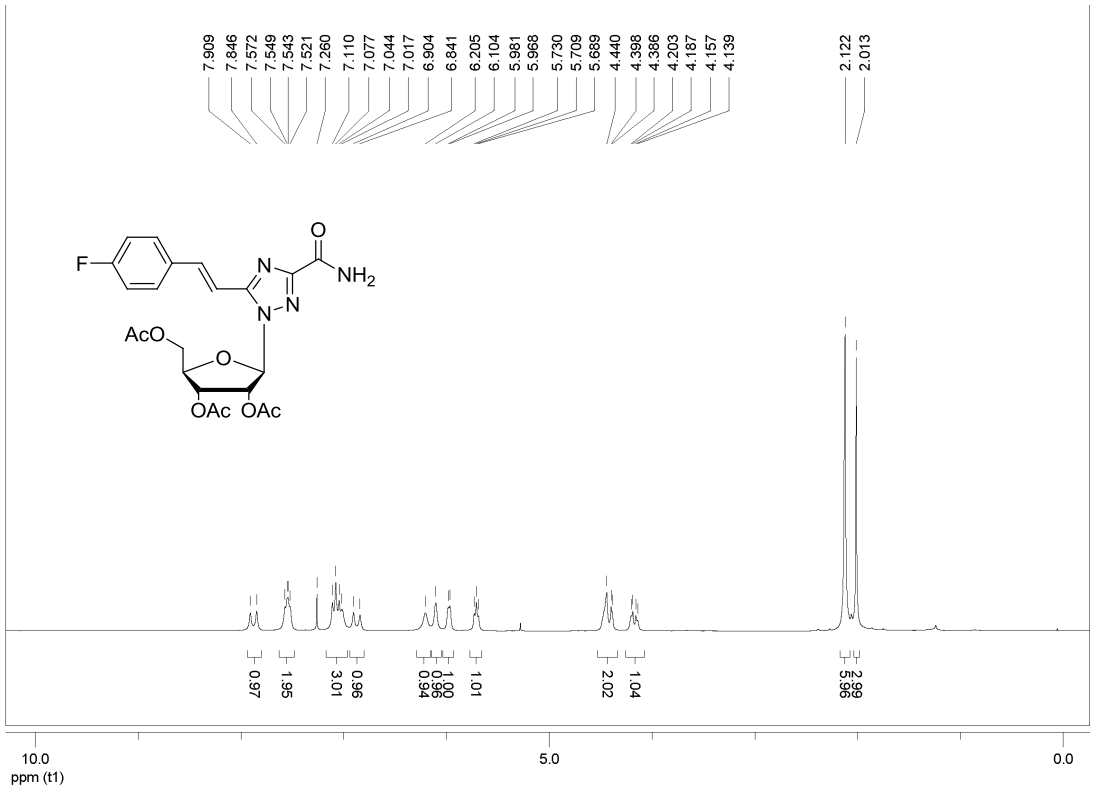
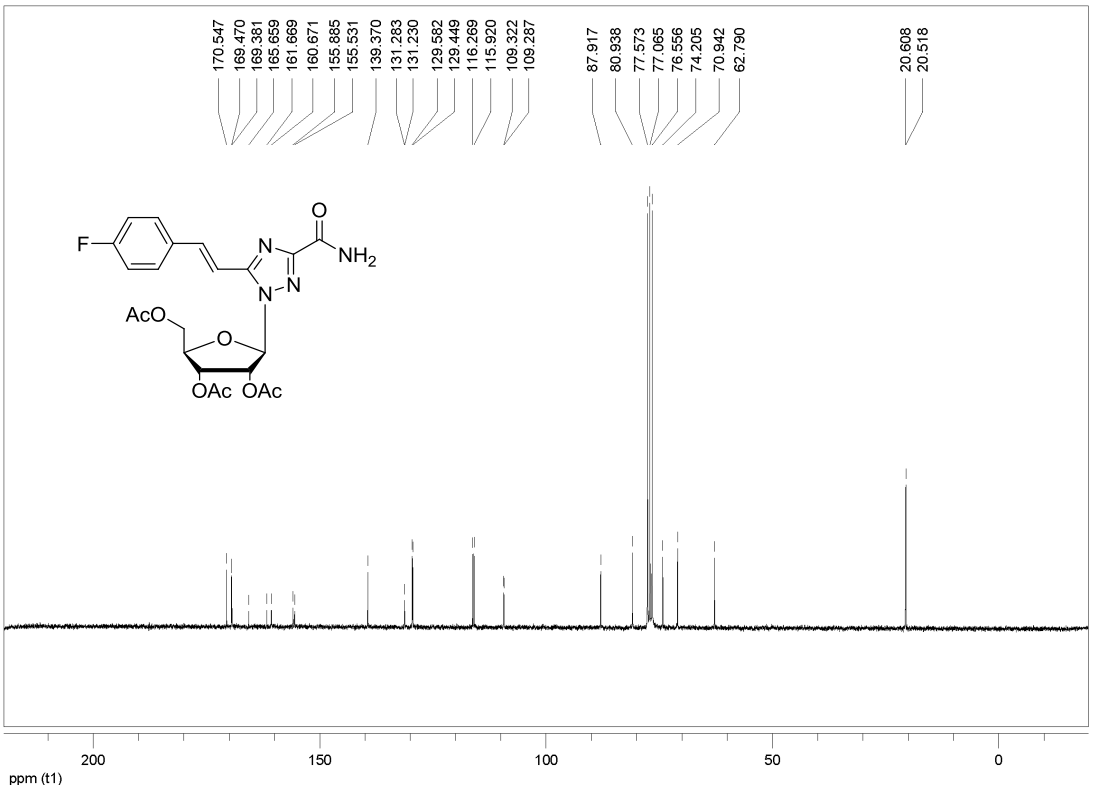
169.348
160.737
155.888
140.693
134.991
129.958
128.955
127.669
109.532
87.866
80.963
77.580
77.071
76.563
74.179
70.973
62.815
20.617
20.515
20.485
O
NH2
N
N
N
AcO
O
OAc OAc
200
150
100
50
0
ppm (t1)


O
NH2
N
N
N
AcO
O
OAc OAc
0
50
100
150
ppm (t1
5.0
0.0
ppm (t2)


7.909
7.846
7.572
7.549
7.543
7.521
7.260
7.110
7.077
7.044
7.017
6.904
6.841
6.205
6.104
5.981
5.968
5.730
5.709
5.689
4.440
4.398
4.386
4.203
4.187
4.157
4.139
2.122
2.013
F
O
NH2
N
N
N
AcO
O
OAc OAc
0.97
1.95
3.01
0.96
0.94
0.96
1.00
1.01
2.02
1.04
5.96
6.00
10.0
5.0
0.0
ppm (t1)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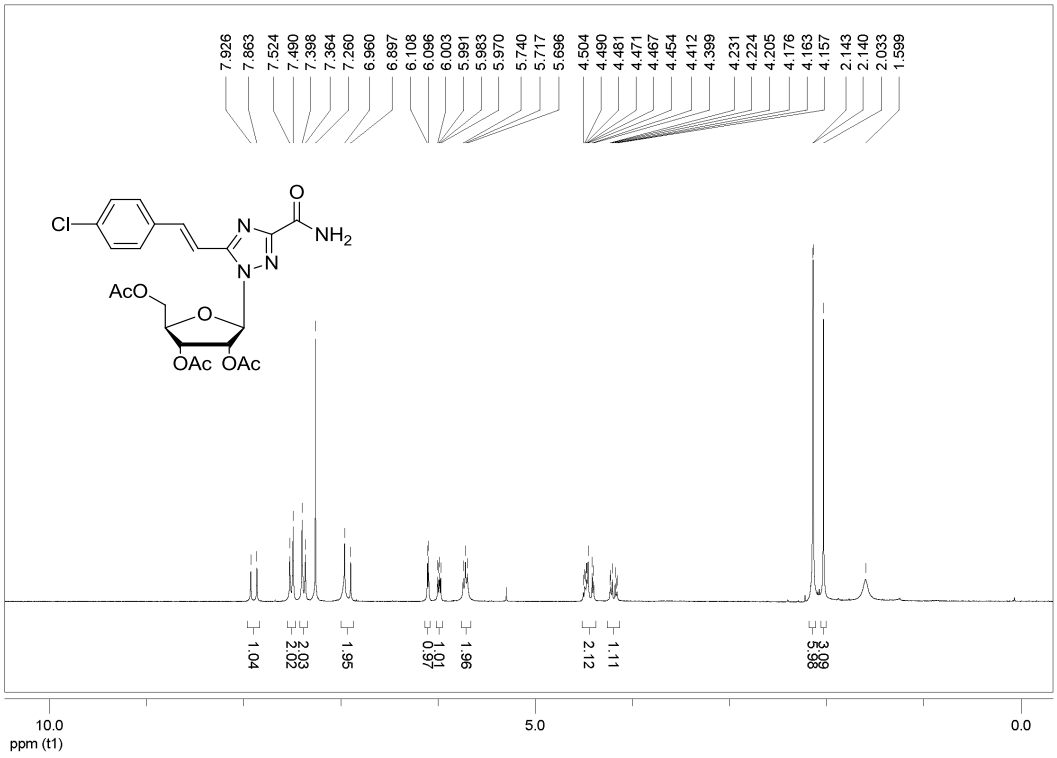
169.470
169.381
165.659
161.669
160.671
155.885
155.531
139.370
131.283
131.230
129.582
129.449
116.269
115.920
109.322
109.287
87.917
80.938
77.573
77.065
76.556
74.205
70.942
62.790
20.608
20.518
F
O
NH2
N
N
N
AcO
O
OAc OAc
200
150
100
50
0
ppm (t1)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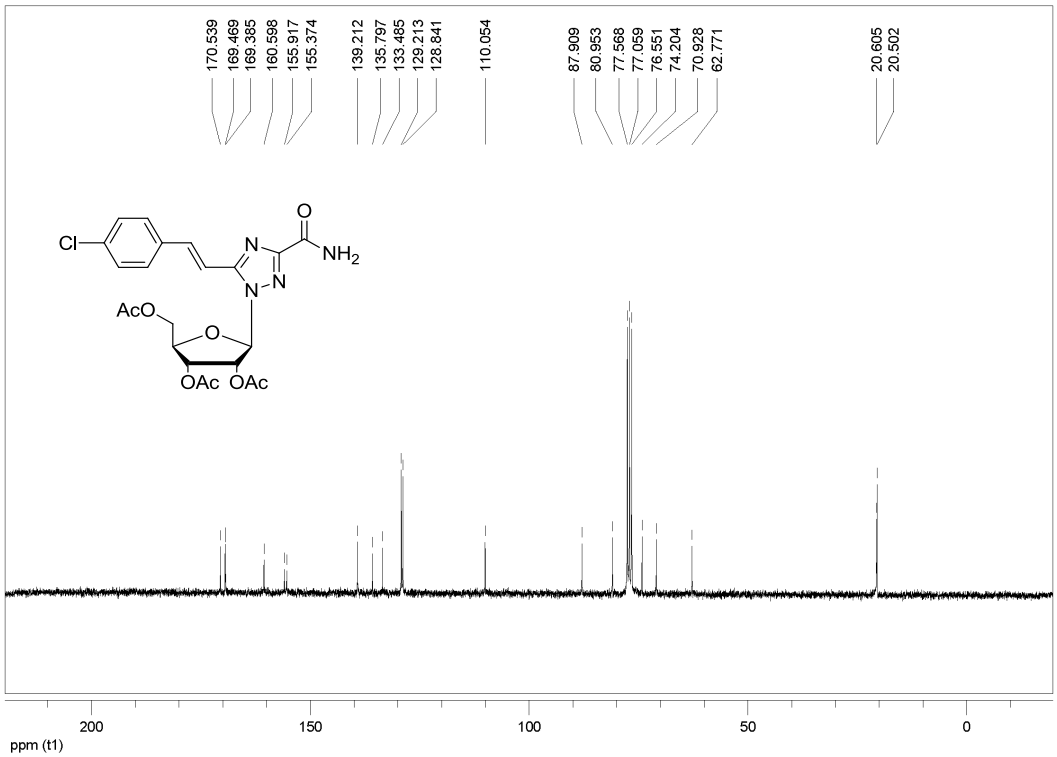
7.863
7.524
7.490
7.398
7.364
7.260
6.960
6.897
6.108
6.096
6.003
5.991
5.983
5.970
5.740
5.717
5.696
4.504
4.490
4.481
4.471
4.467
4.454
4.412
4.399
4.231
4.224
4.205
4.176
4.163
4.157
2.143
2.140
2.033
1.599
Cl
N
O
NH2
N
N
AcO
O
OAc OAc
1.04
2.03
2.02
1.95
1.01
0.97
1.96
2.12
1.11
3.09
3.08
10.0
5.0
0.0
ppm (t1)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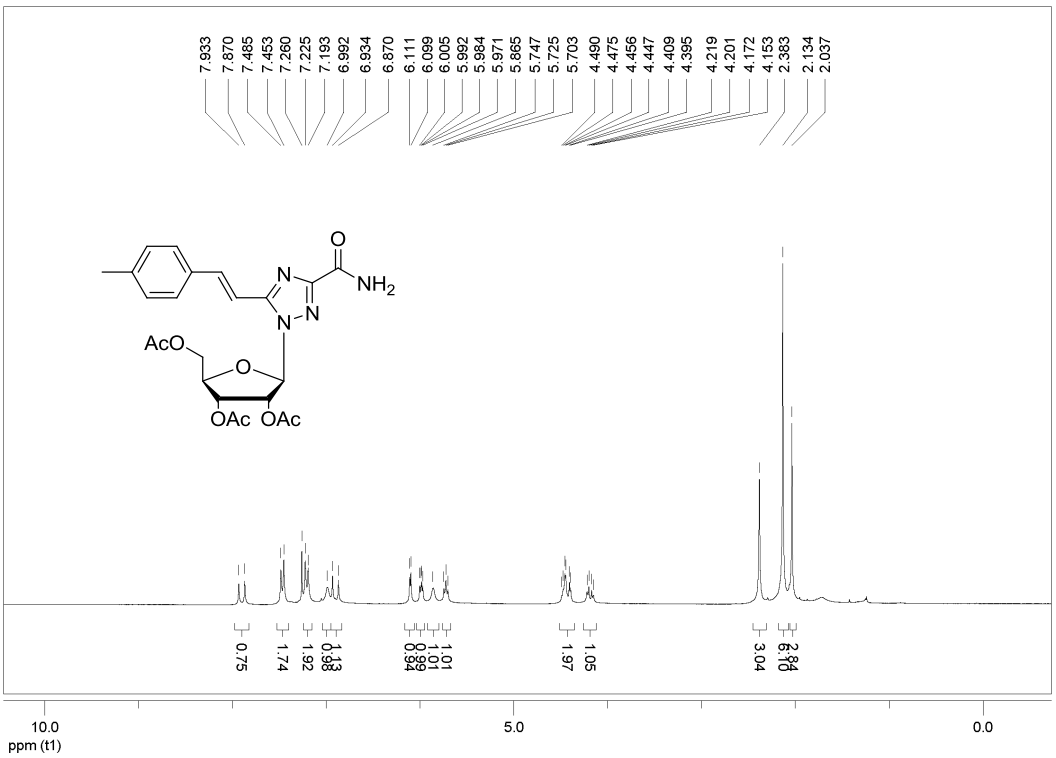
169.469
169.385
160.598
155.917
155.374
139.212
135.797
133.485
129.213
128.841
110.054
87.909
80.953
77.568
77.059
76.551
74.204
70.928
62.771
20.605
20.502
Cl
N
O
NH2
N
N
AcO
O
OAc OAc
200
150
100
50
0
ppm (t1)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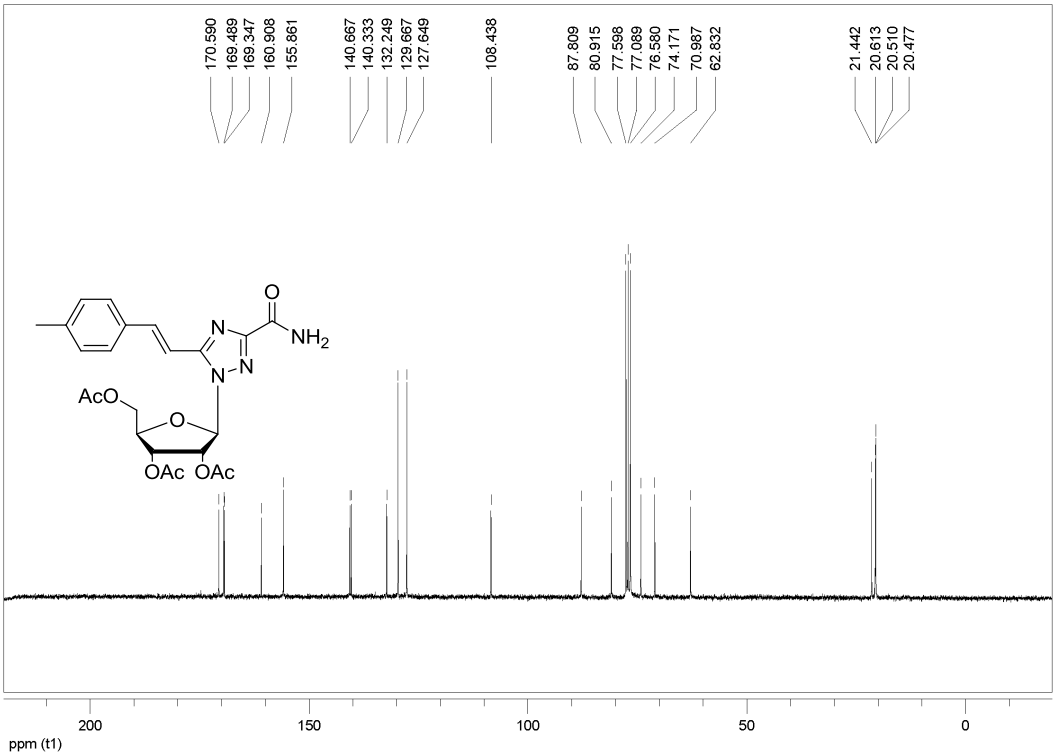
7.485
7.453
7.260
7.225
7.193
6.992
6.934
6.870
6.111
6.099
6.005
5.992
5.984
5.971
5.865
5.747
5.725
5.703
4.490
4.475
4.456
4.447
4.409
4.395
4.219
4.201
4.172
4.153
2.383
2.134
2.037
O
NH2
N
N
N
AcO
O
OAc OAc
0.75
1.74
1.92
0.98
0.89
0.89
1.01
1.01
1.01
1.97
1.95
3.04
2.84
6.10
10.0
5.0
0.0
ppm (t1)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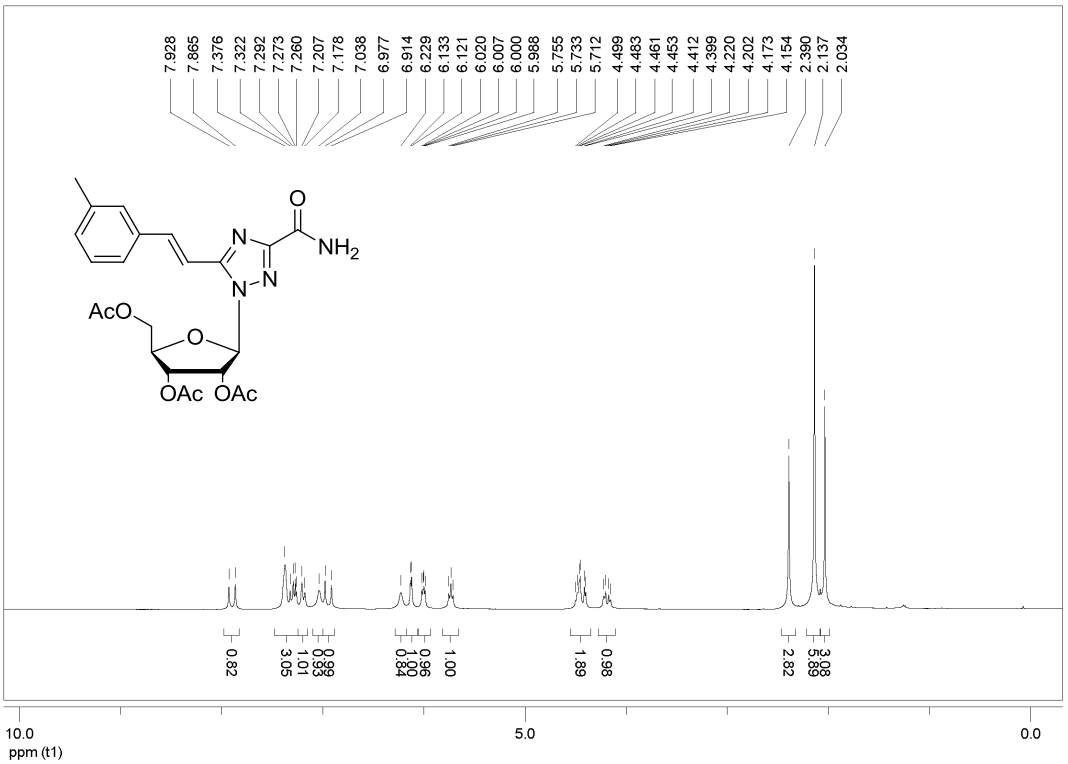
169.489
169.347
160.908
155.861
140.667
140.333
132.249
129.667
127.649
108.438
87.809
80.915
77.598
77.089
76.580
74.171
70.987
62.832
21.442
20.613
20.510
20.477
O
NH2
N
N
N
AcO
O
OAc OAc
200
150
100
50
0
ppm (t1)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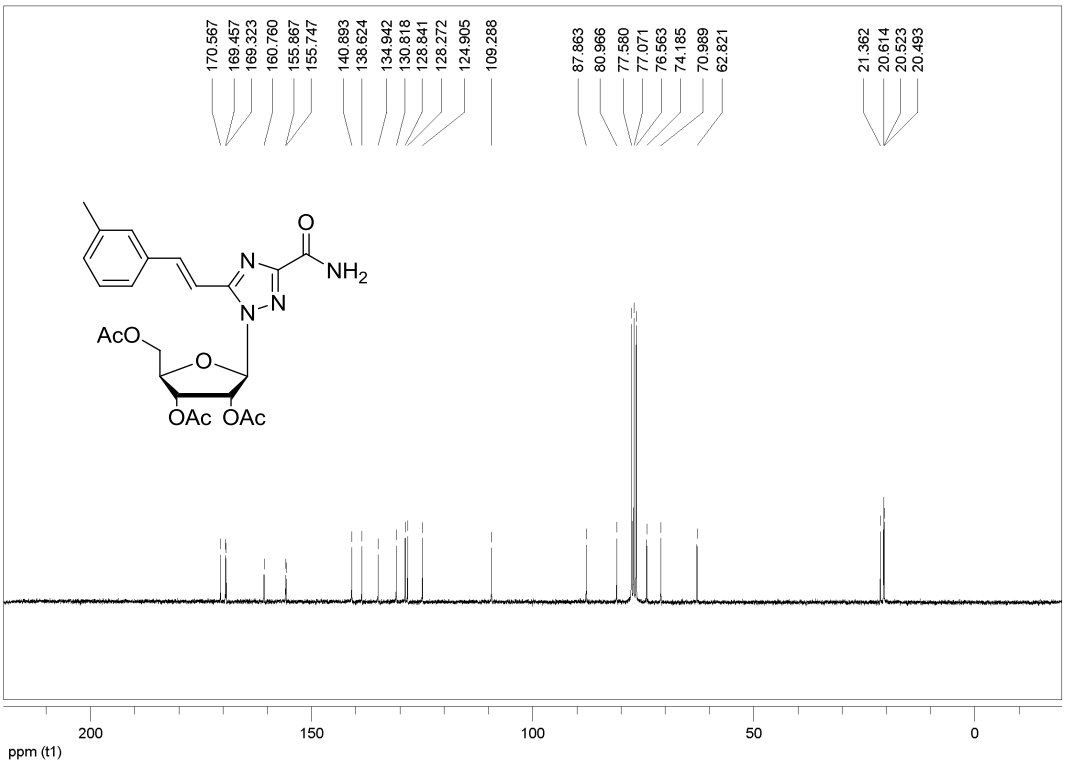
7.376
7.322
7.292
7.273
7.260
7.207
7.178
7.038
6.977
6.914
6.229
6.133
6.121
6.020
6.007
6.000
5.988
5.755
5.733
5.712
4.499
4.483
4.461
4.453
4.412
4.399
4.220
4.202
4.173
4.154
2.390
2.137
2.034
O
NH2
N
N
N
AcO
O
OAc OAc
0.82
3.06
1.01
0.93
0.89
0.84
0.96
1.00
1.89
0.98
2.82
5.89
3.08
10.0
5.0
0.0
ppm (t1)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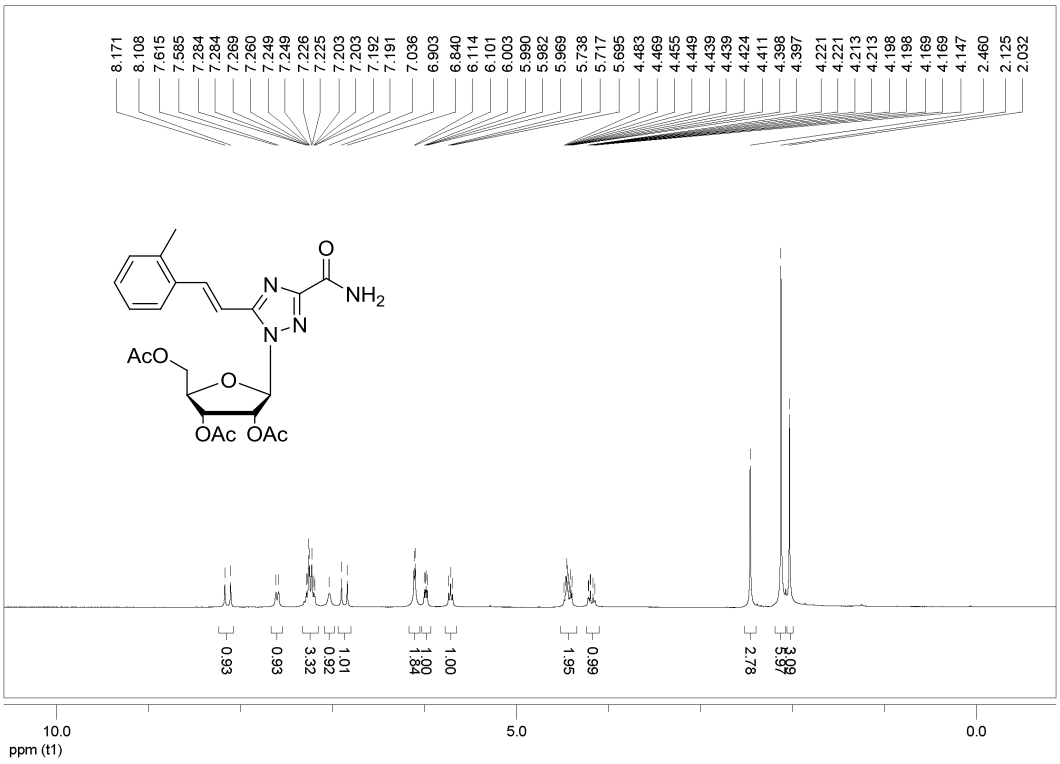
169.457
169.323
160.760
155.867
155.747
140.893
138.624
134.942
130.818
128.841
128.272
124.905
109.288
87.863
80.966
77.580
77.071
76.563
74.185
70.989
62.821
21.362
20.614
20.523
20.493
O
NH2
N
N
N
AcO
O
OAc OAc
200
150
100
50
0
ppm (t1)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7.284
7.284
7.269
7.260
7.249
7.249
7.226
7.225
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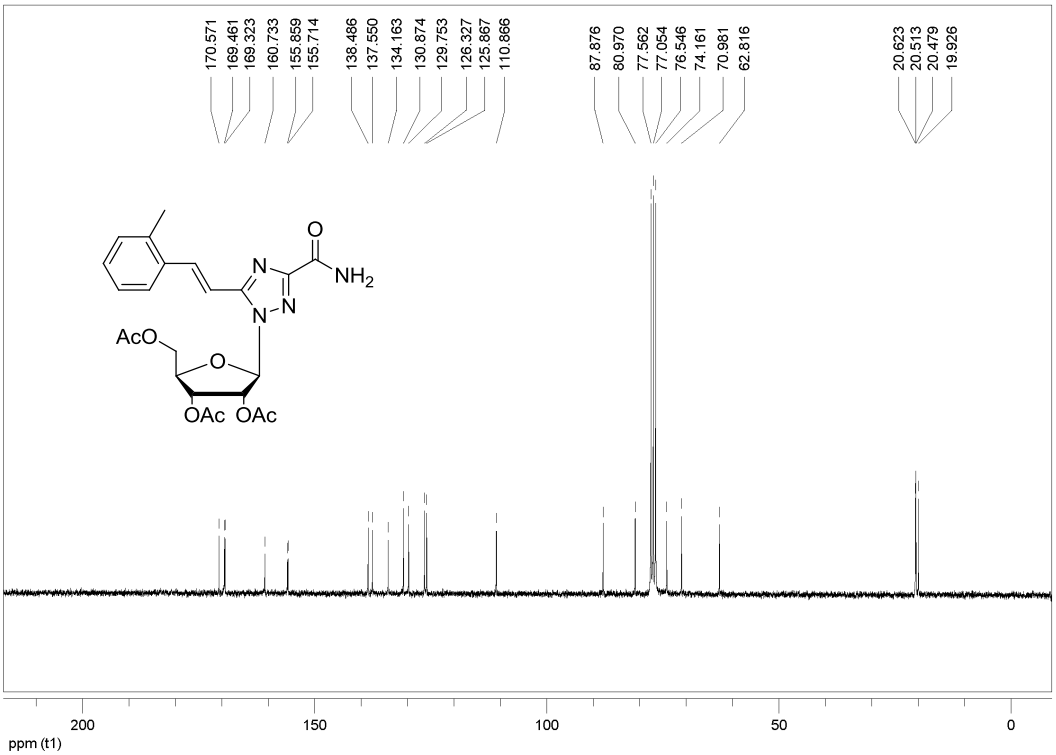
7.203
7.192
7.191
7.036
6.903
6.840
6.114
6.101
6.003
5.990
5.982
5.969
5.738
5.717
5.695
4.483
4.469
4.455
4.449
4.439
4.439
4.424
4.411
4.398
4.397
4.221
4.221
4.213
4.213
4.198
4.198
4.169
4.169
4.147
2.460
2.125
2.032
O
NH2
N
N
N
AcO
O
OAc OAc
0.93
0.93
3.32
0.92
1.01
1.84
1.00
1.00
1.95
0.99
2.78
3.09
3.07
10.0
5.0
0.0
ppm (t1)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169.461
169.323
160.733
155.859
155.714
138.486
137.550
134.163
130.874
129.753
126.327
125.867
110.866
87.876
80.970
77.562
77.054
76.546
74.161
70.981
62.816
20.623
20.513
20.479
19.926
O
NH2
N
N
N
AcO
O
OAc OAc
200
150
100
50
0
ppm (t1)