

Intravenous Delivery of Targeted Liposomes to Amyloid- β Plaques in APP/PSEN1 Transgenic Mice

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Current Data Parameters
NAME KI4_72
EXPNO 1
PROCNO 1

F2 - Acquisition Parameters
Date_ 20110119
Time 11.52
INSTRUM spect
PROBHD 5 mm TXI 1H/D-
PULPROG zg30
ID 65536
SOLVENT CDCl3
NS 16
DS 2
SWH 10330.578 Hz
FIDRES 0.157632 Hz
AQ 3.1720407 sec
RG 16
DW 48.400 usec
DE 6.00 usec
TE 301.2 K
D1 1.00000000 sec
TD0 1

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NUC1 1H
P1 8.65 usec
PL1 -2.00 dB
SFO1 500.1330885 MHz

F2 - Processing parameters
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WIM KM
SSB 0
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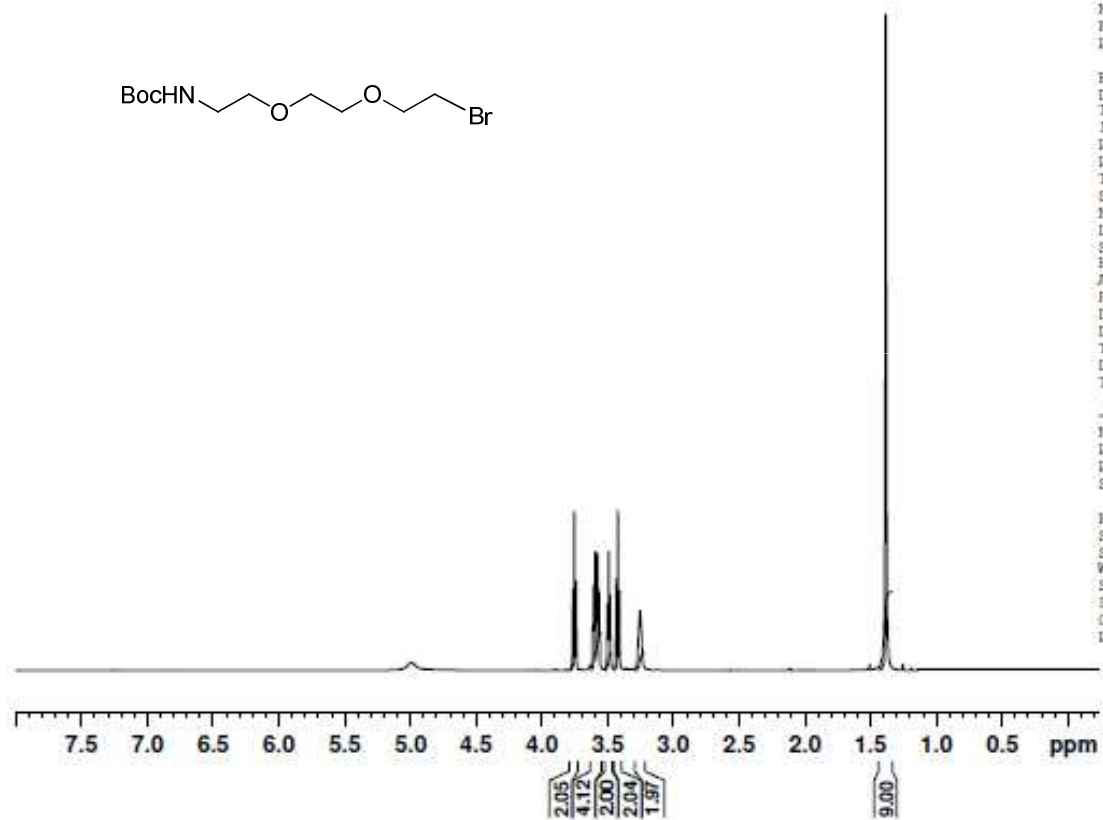


Figure S1. ¹H NMR of compound 3

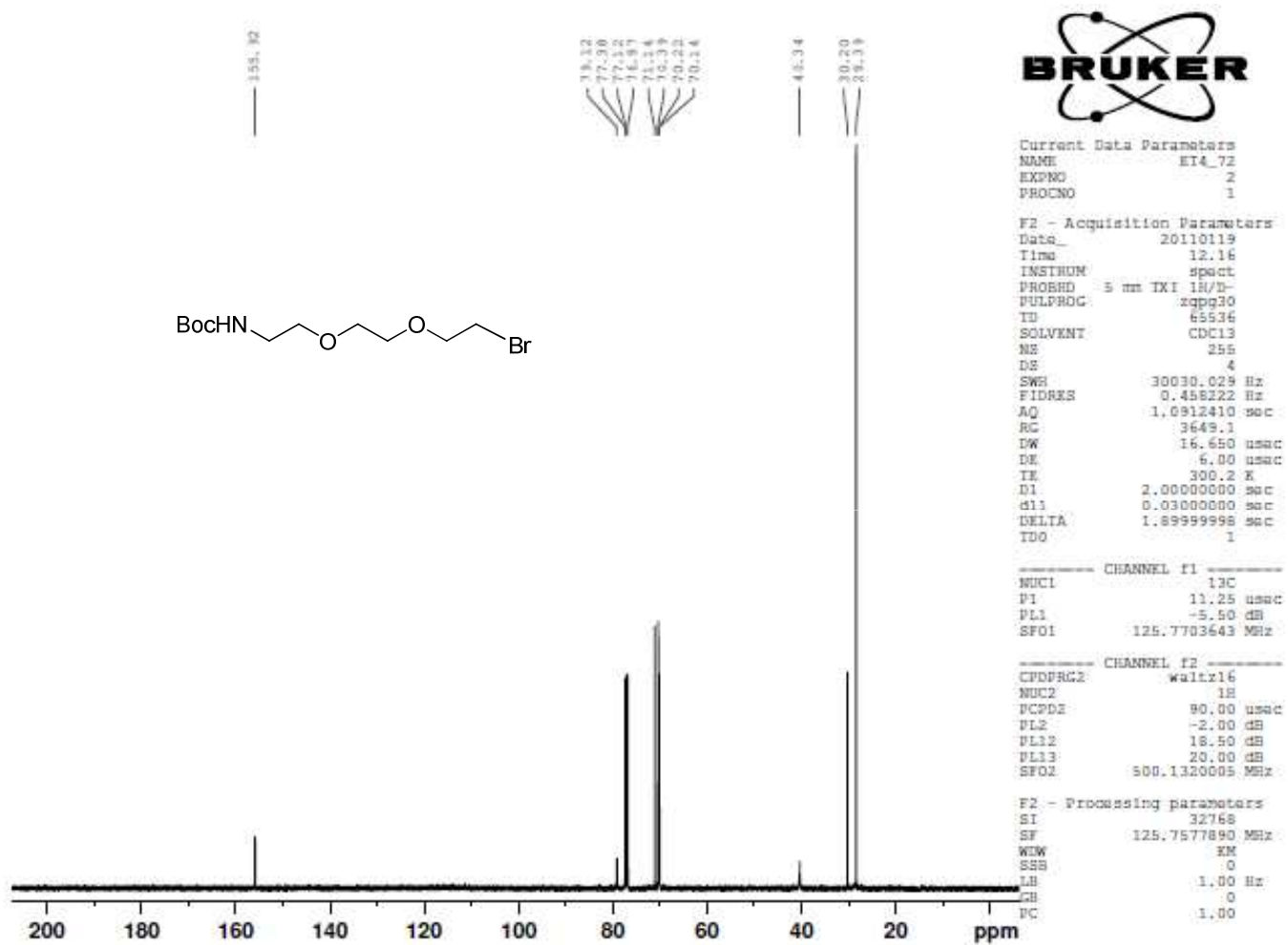


Figure S2. ^{13}C NMR of compound 3



Current Data Parameters
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EXPNO 1
PROCNO 1

F2 - Acquisition Parameters
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Time 10.49
INSTRUM spect
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PULPROG zg30
TD 65536
SOLVENT CDCl3
NS 16
DS 2
SWH 10330.578 Hz
FIDRES 0.157632 Hz
AQ 3.1720407 sec
RG 64
DW 48.400 usec
DE 7.50 usec
TE 300.2 K
D1 1.0000000 sec
TD0 1

----- CHANNEL f1 -----
NUC1 1H
P1 8.75 usec
PL1 -2.00 dB
SFO1 500.1330885 MHz

F2 - Processing parameters
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SF 500.1300131 MHz
WDW EM
SSB 0
LB 0.30 Hz
GB 0
PC 1.00

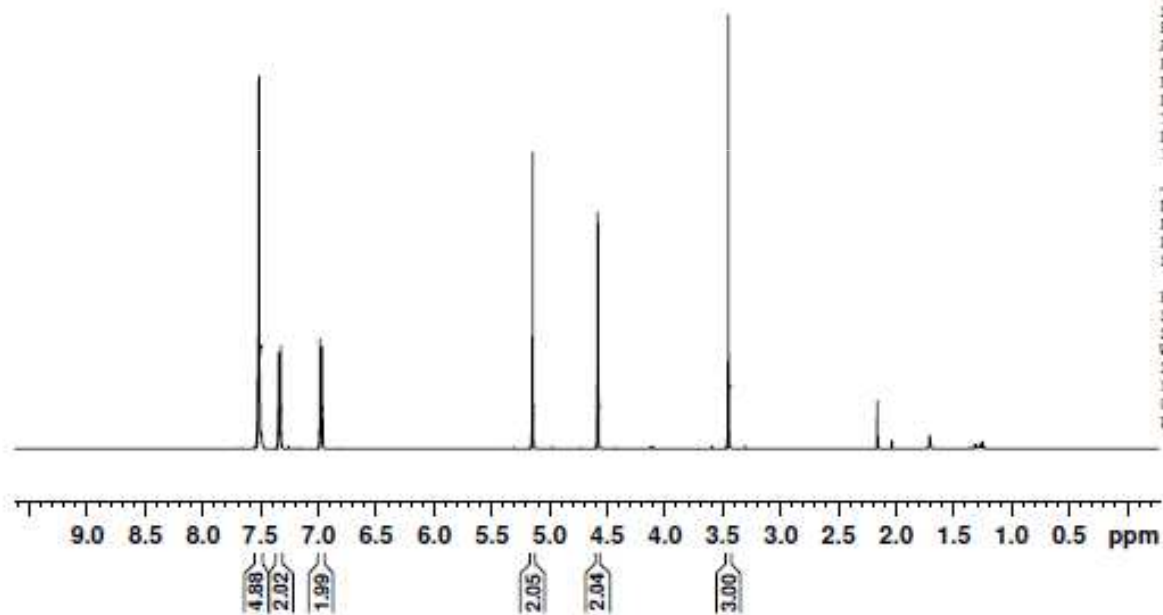
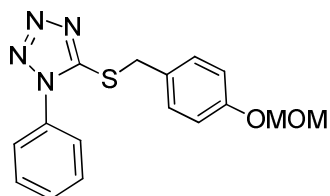


Figure S3. ¹H NMR of compound 6

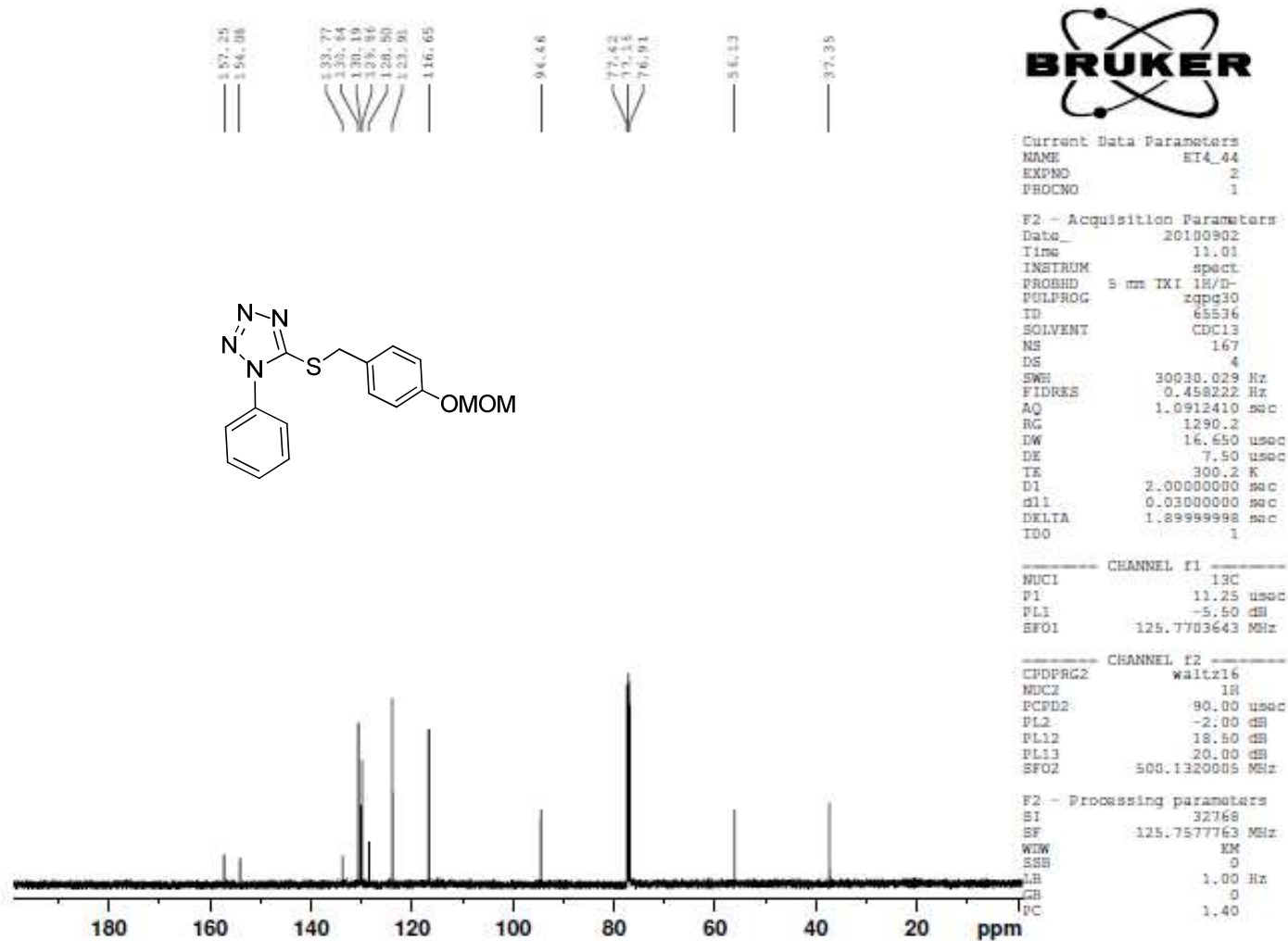


Figure S4. ¹³C NMR of compound 6

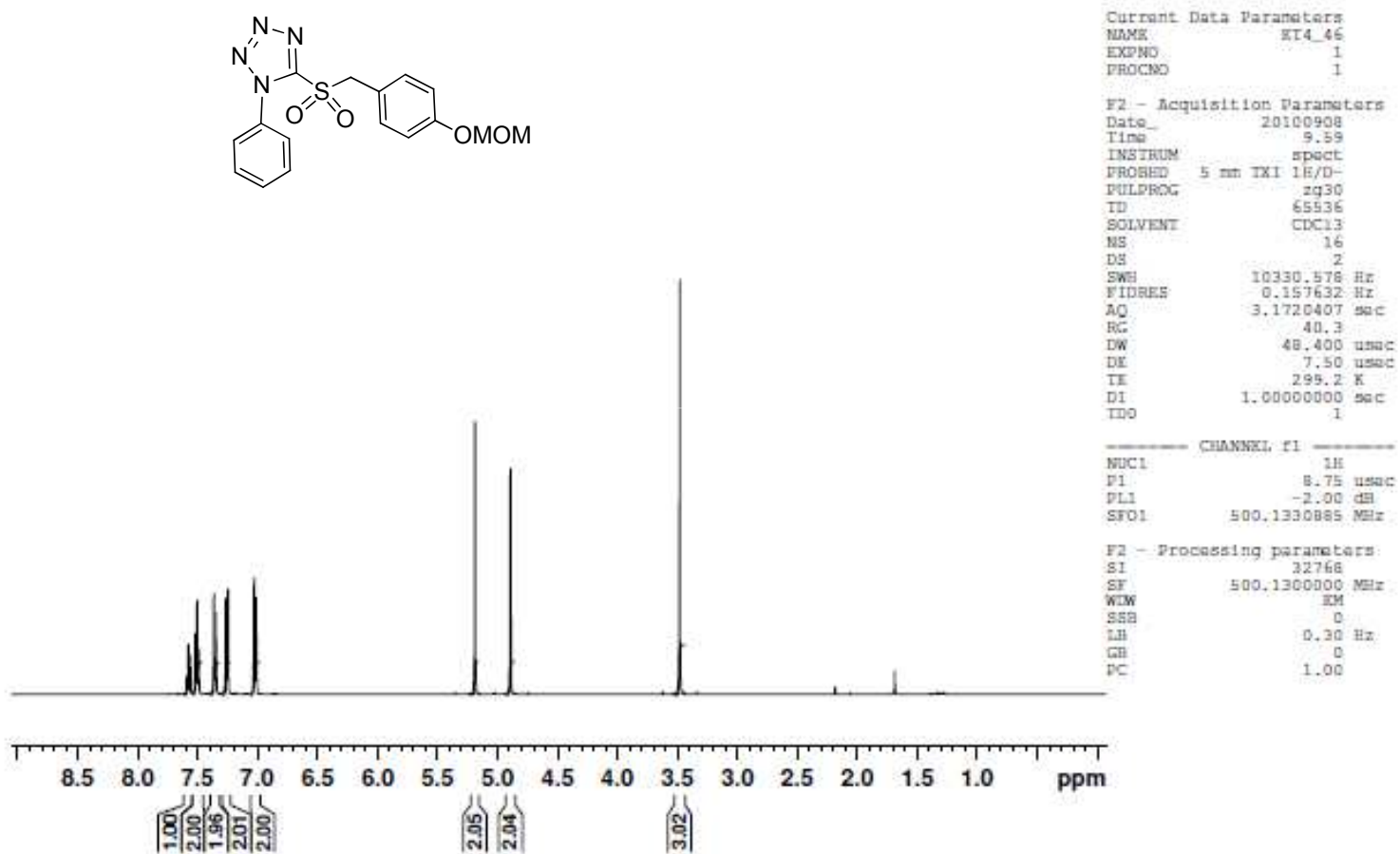
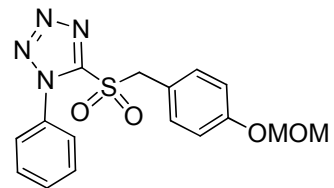


Figure S5. ¹H NMR of compound 7

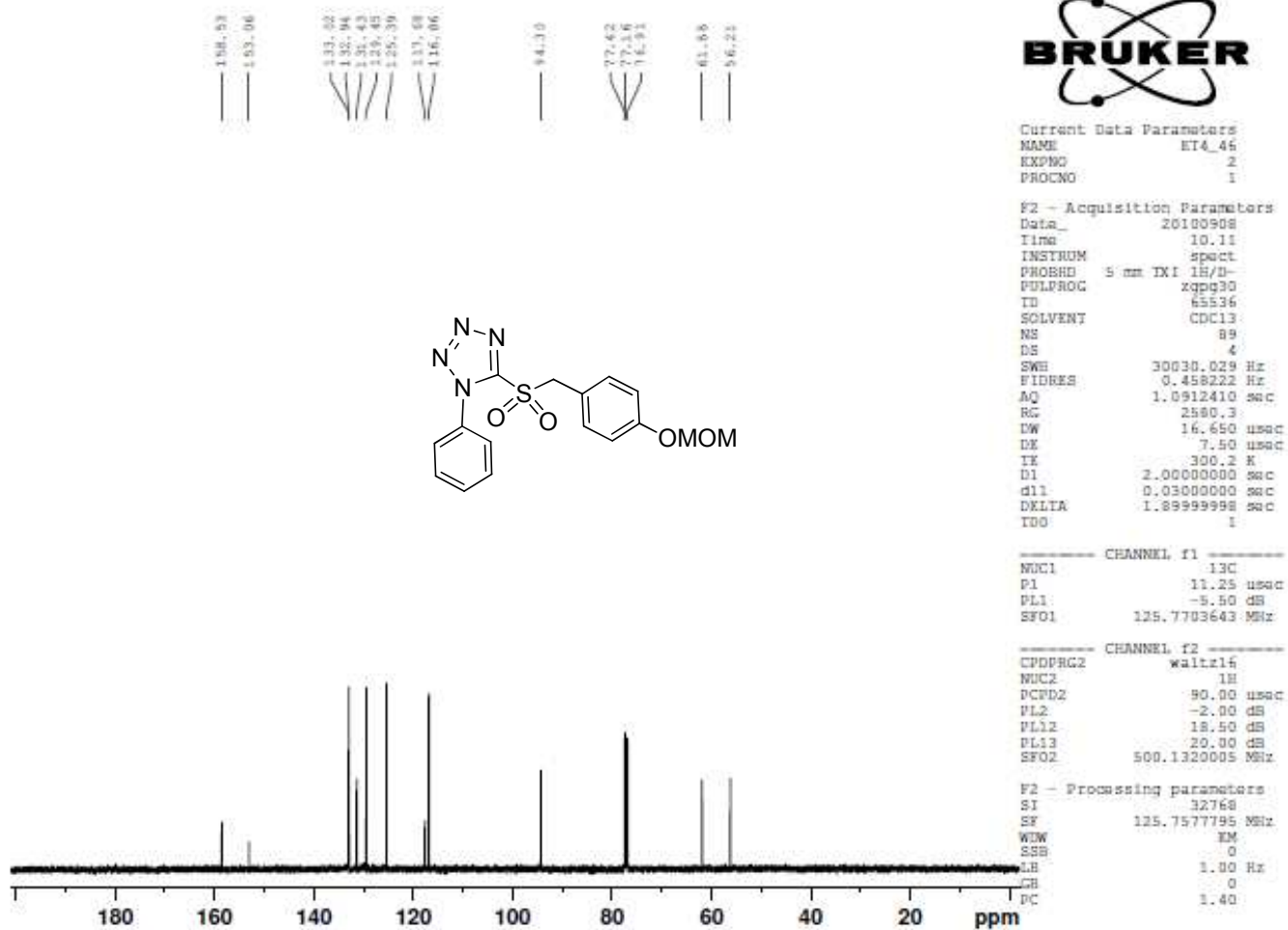


Figure S6. ¹³C NMR of compound 7



Current Data Parameters
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EXPNO 1
PROCNO 1

F2 - Acquisition Parameters
Date_ 20100714
Time 11.57
INSTRUM spect
PROBHD 5 mm QNP 1H/19
PULPROG zg30
TD 65536
SOLVENT DMSO
NS 3
DS 2
SWH 6172.839 Hz
FIDRES 0.094190 Hz
AQ 5.3084660 sec
RG 161.3
DW 81.000 usec
DE 6.00 usec
TE 298.2 K
D1 1.00000000 sec
TD0 1

CHANNEL f1
NUC1 1H
P1 10.25 usec
PL1 -1.00 dB
SFO1 300.1318534 MHz

F2 - Processing parameters
SI 32768
SF 300.1300000 MHz
WDW EM
SSB 0
LB 0.30 Hz
GB 0
PC 1.00

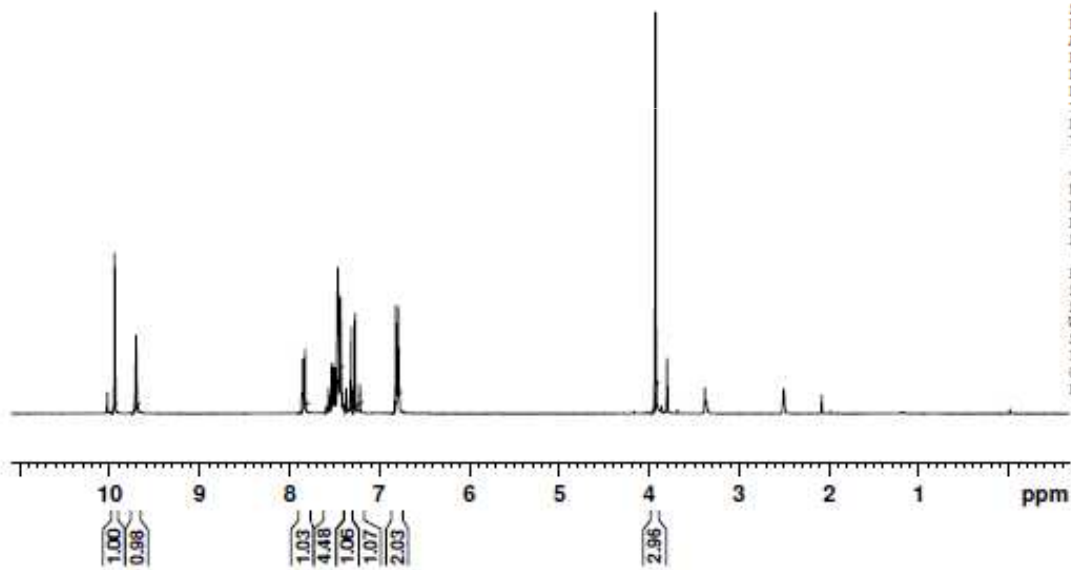
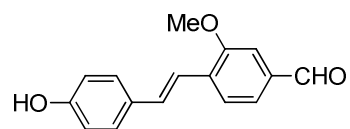


Figure S7. ¹H NMR of compound 10

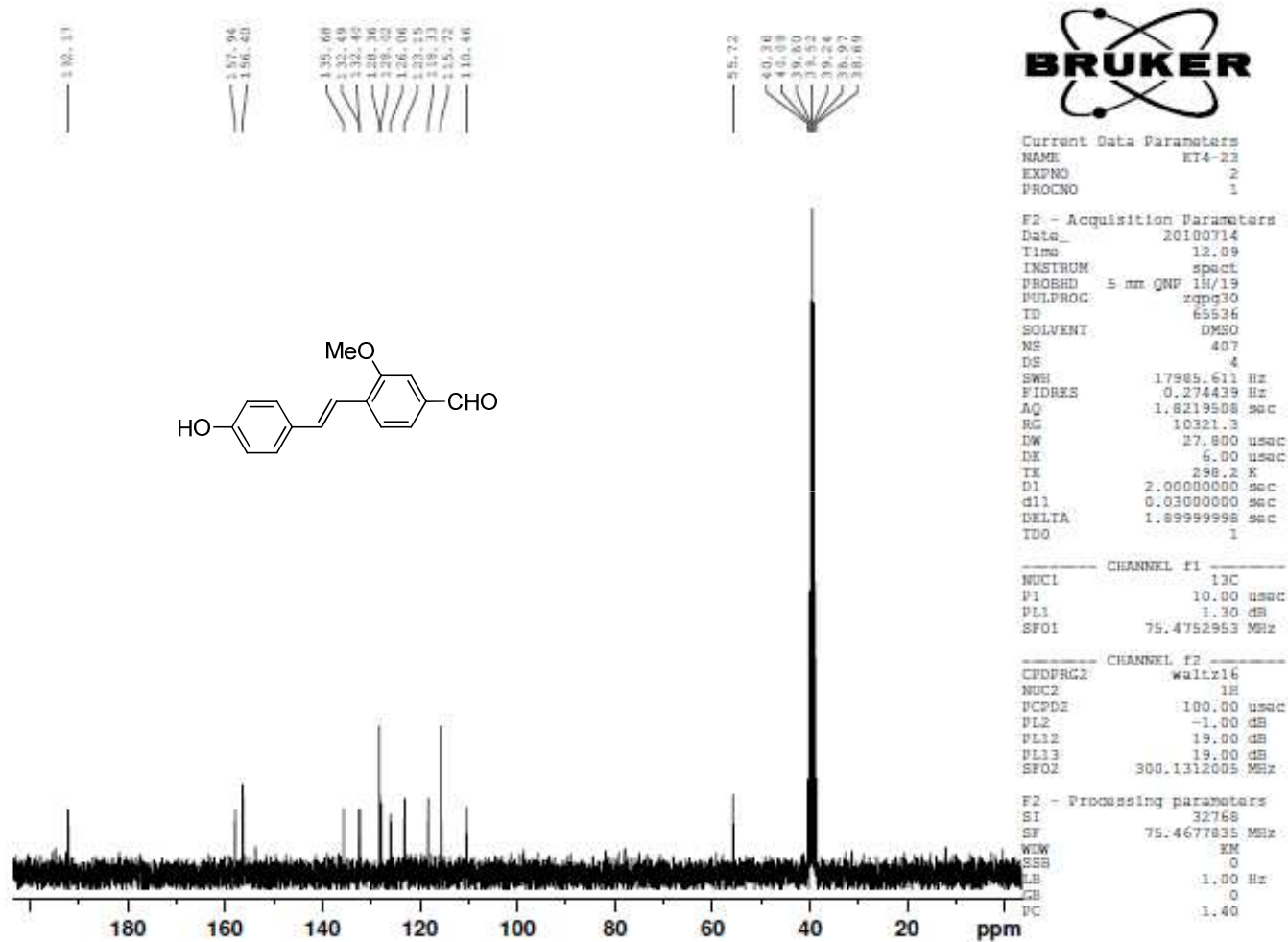


Figure S8. ^{13}C NMR of compound 10

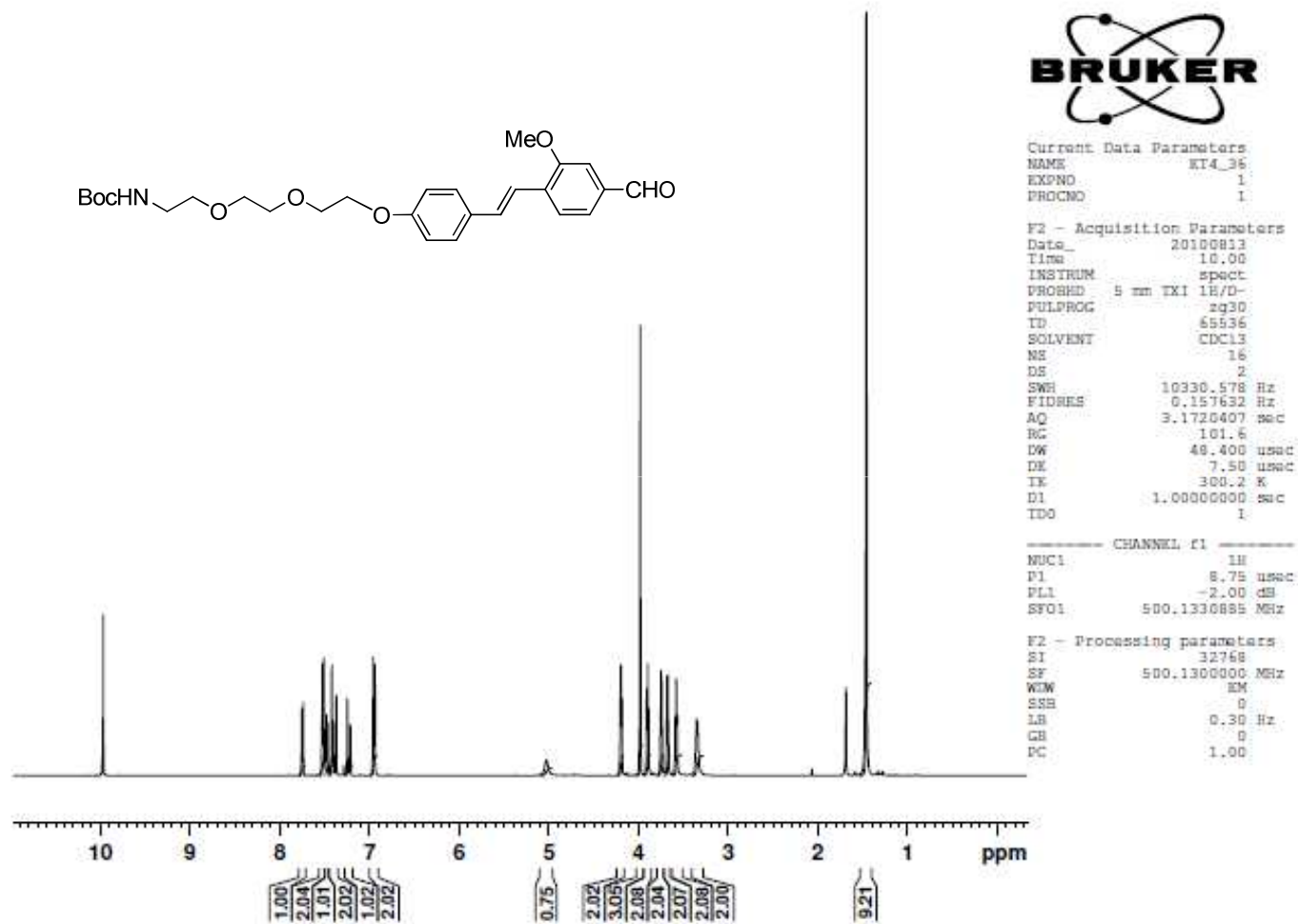


Figure S9. ^1H NMR of compound **11**

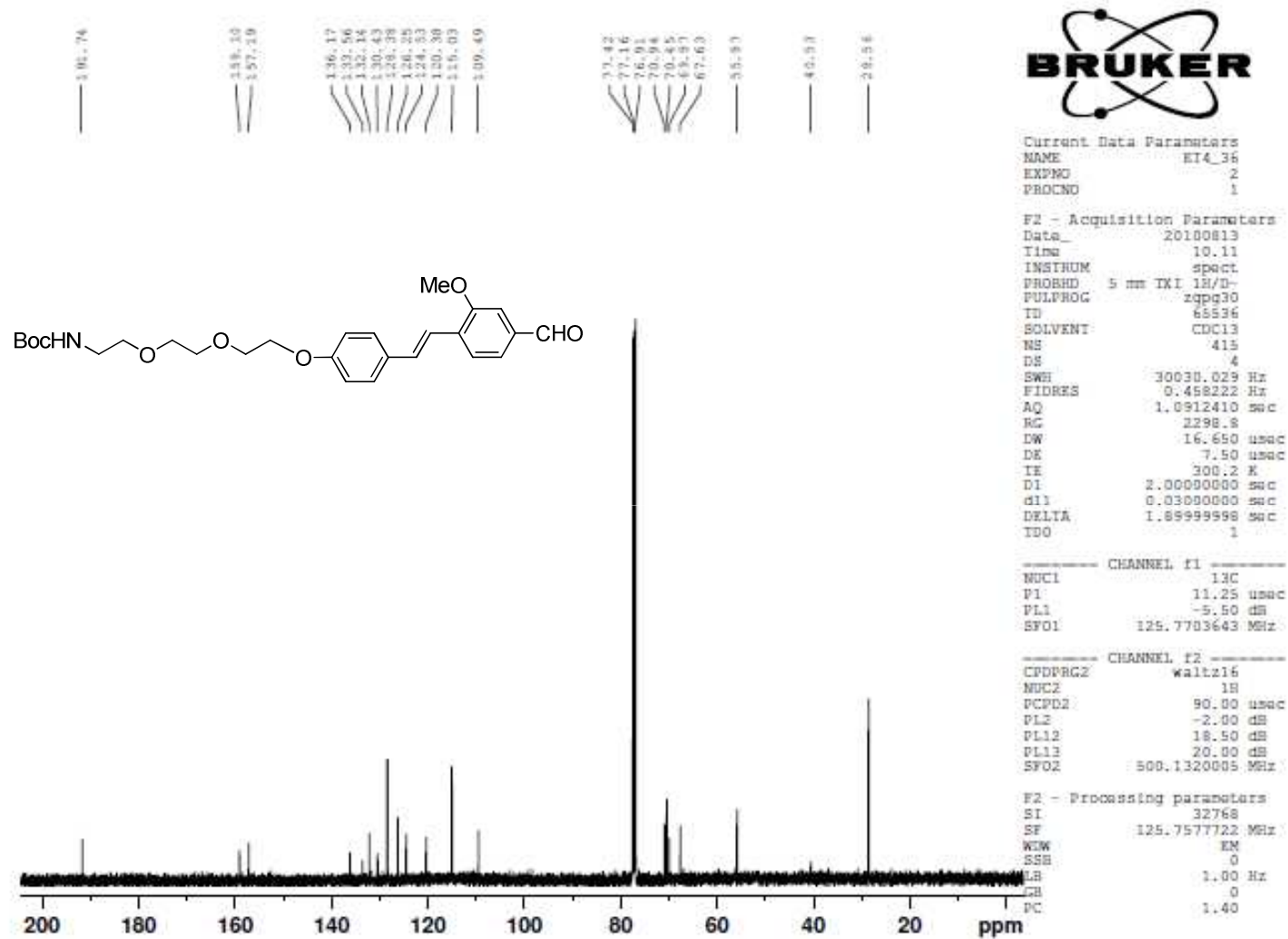
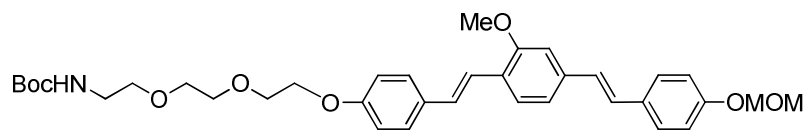


Figure S10. ¹³C NMR of compound 11



Current Data Parameters
 NAME ET4_80
 EXPNO 1
 PROCNO 1

F2 - Acquisition Parameters
 Date_ 20101102
 Time 13.06
 INSTRUM spect
 PROBHD 5 mm TXI 1H/D-
 PULPROG zg30
 TD 65536
 SOLVENT Acetone
 NS 16
 DS 2
 SWH 10330.578 Hz
 FIDRES 0.157632 Hz
 AQ 3.1720407 sec
 RG 50.8
 DW 48.400 usec
 DE 7.50 usec
 TE 299.2 K
 D1 1.00000000 sec
 TD0 1

===== CHANNEL f1 =====
 NUC1 1H
 P1 8.75 usec
 PL1 -2.00 dB
 SFO1 500.1330885 MHz

F2 - Processing parameters
 SI 32768
 SF 500.1300094 MHz
 WDW EM
 SSB 0
 LB 0.30 Hz
 GB 0
 PC 1.00

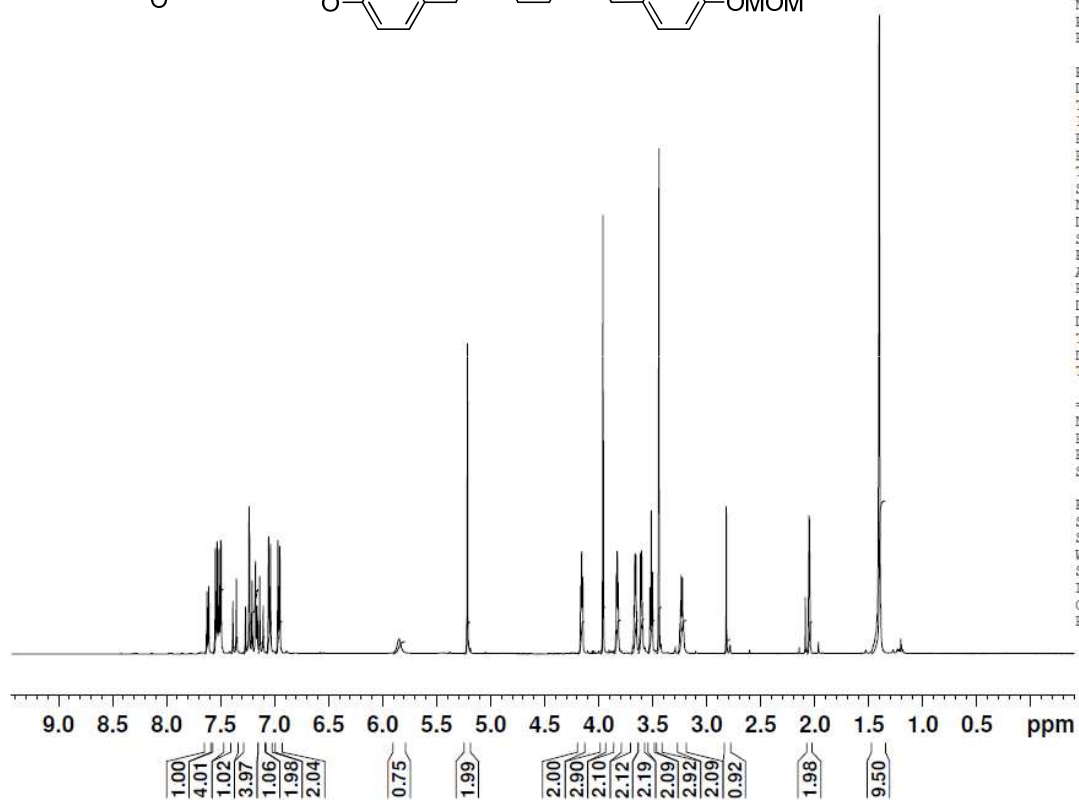


Figure S11. ¹H NMR of compound 12

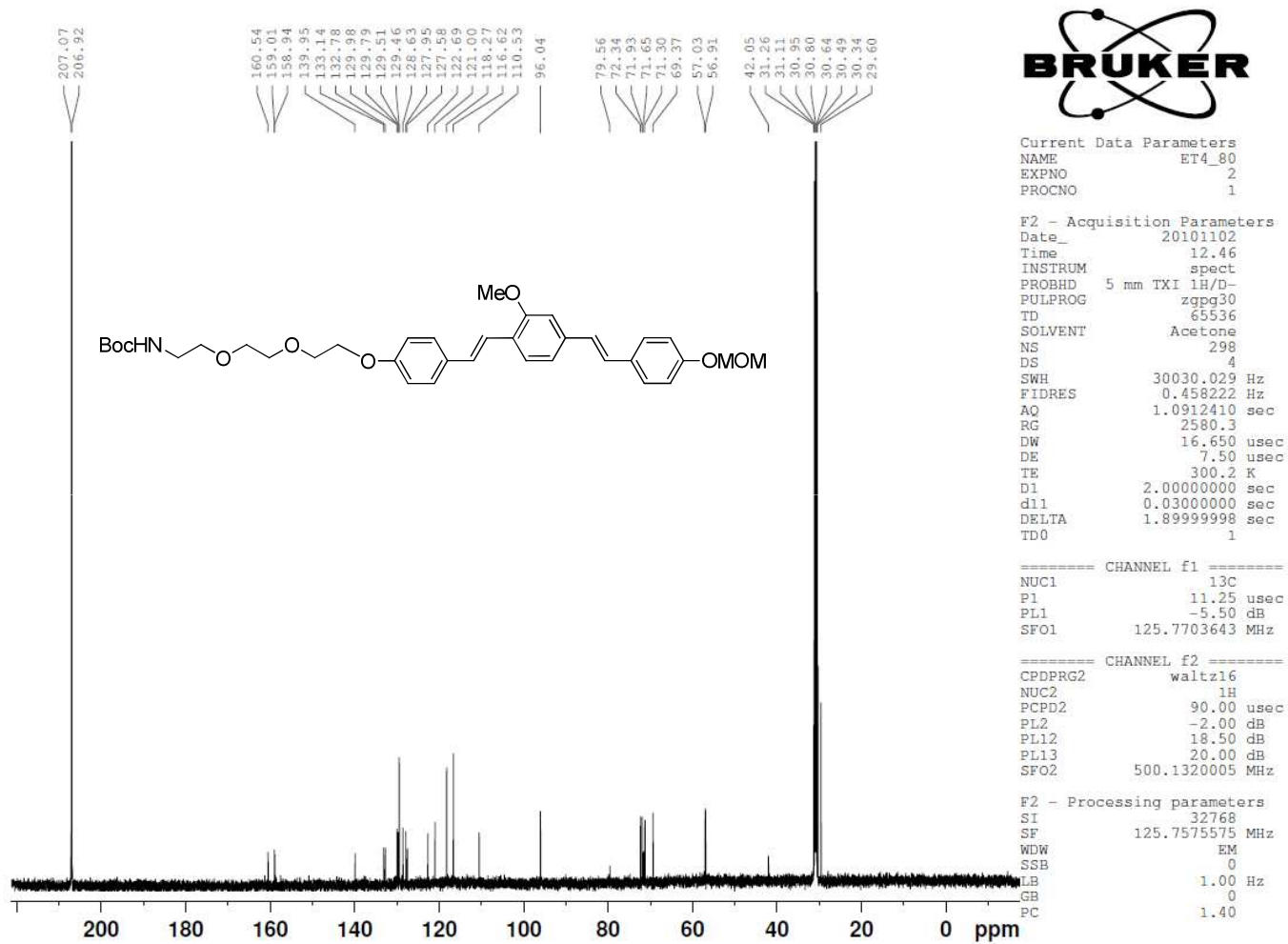
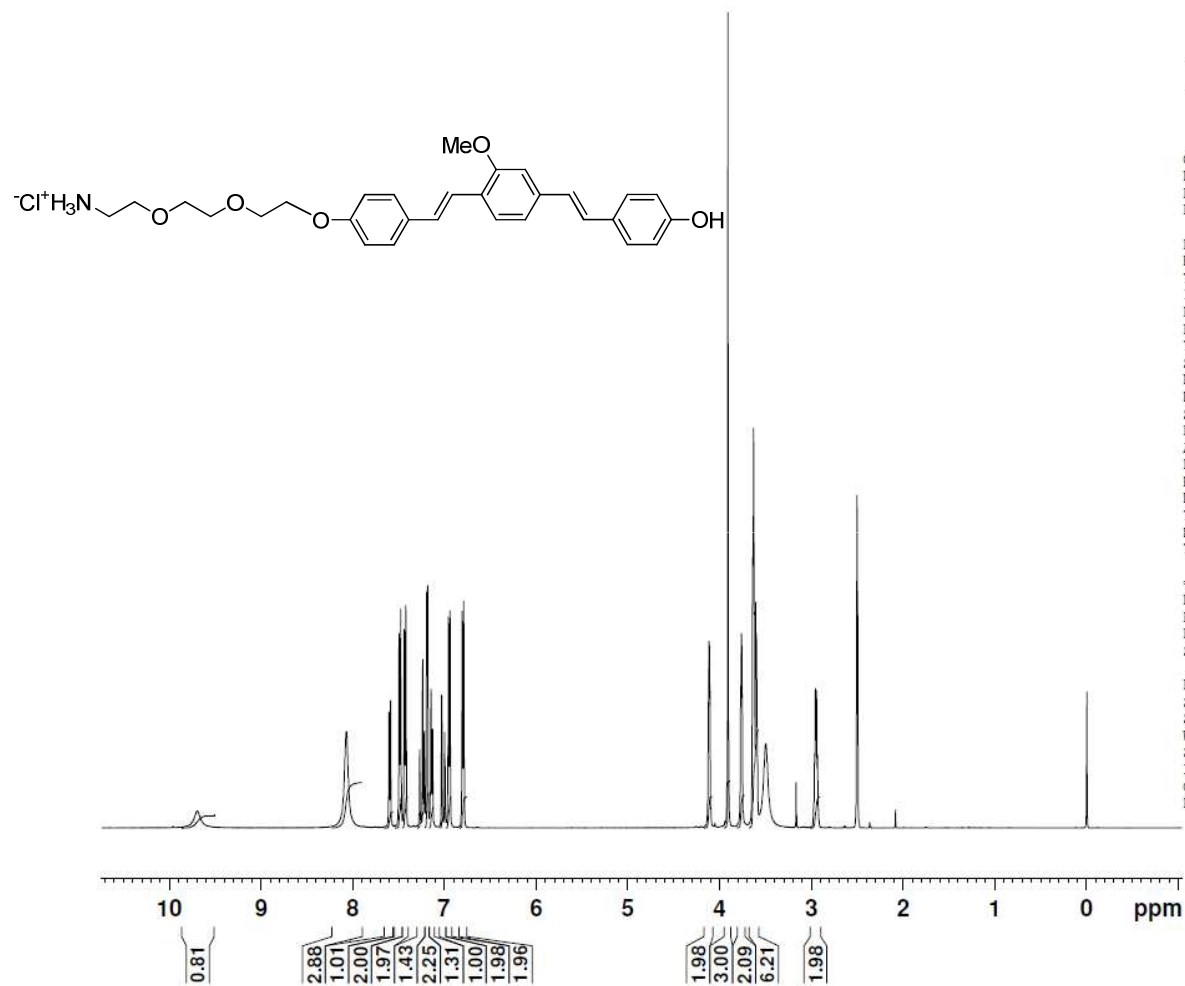


Figure S12. ^{13}C NMR of compound 12



Current Data Parameters
 NAME ET4_82
 EXPNO 1
 PROCNO 1

F2 - Acquisition Parameters
 Date_ 20101102
 Time 11.40
 INSTRUM spect
 PROBHD 5 mm TXI 1H/D-
 PULPROG zg30
 TD 65536
 SOLVENT DMSO
 NS 16
 DS 2
 SWH 10330.578 Hz
 FIDRES 0.157632 Hz
 AQ 3.1720407 sec
 RG 128
 DW 48.400 usec
 DE 7.50 usec
 TE 299.2 K
 D1 1.00000000 sec
 TD0 1

===== CHANNEL f1 =====
 NUC1 1H
 P1 8.75 usec
 PL1 -2.00 dB
 SFO1 500.1330885 MHz

F2 - Processing parameters
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 SF 500.1300049 MHz
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 PC 1.00

Figure S13. ^1H NMR of compound 13

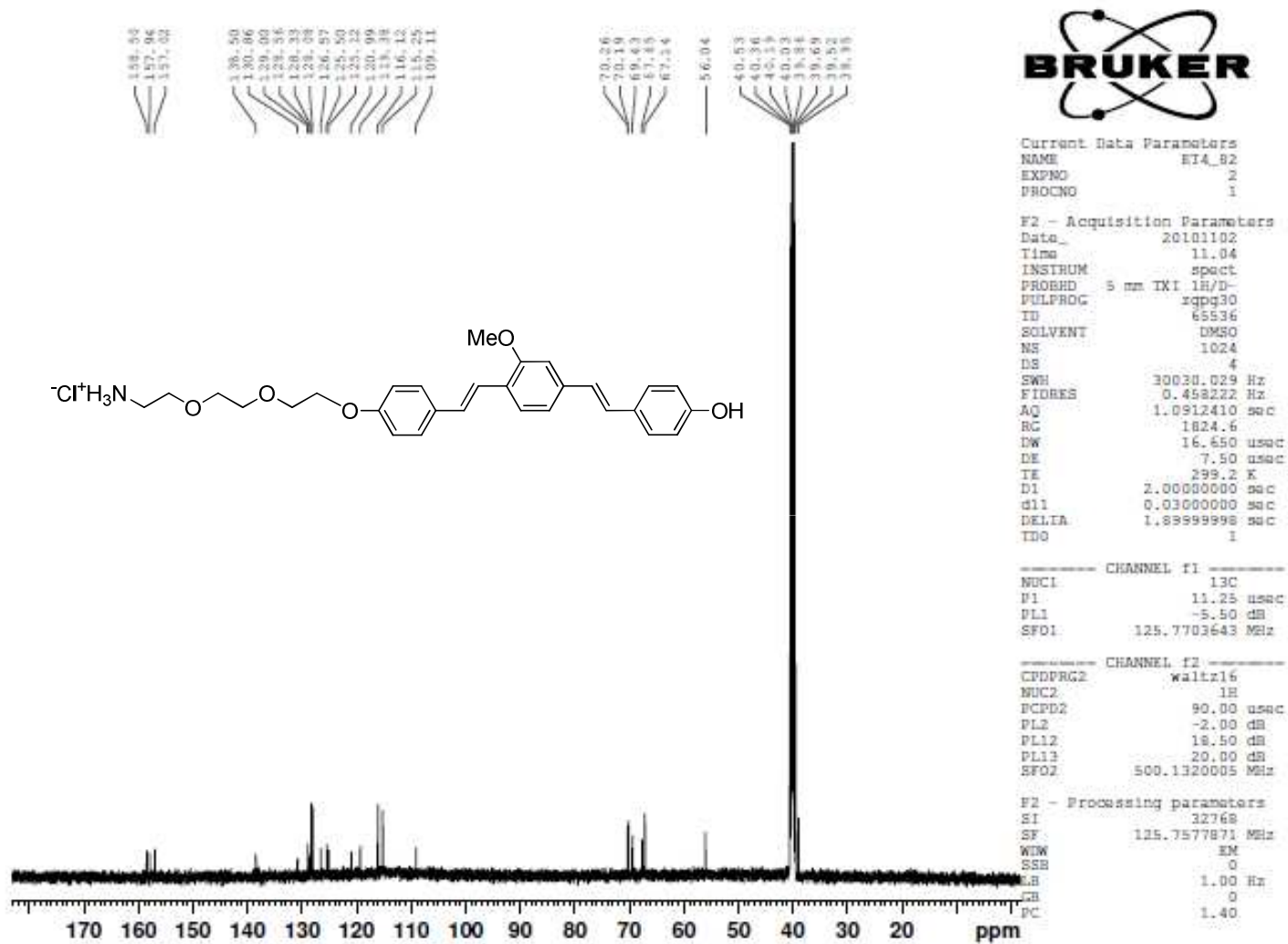
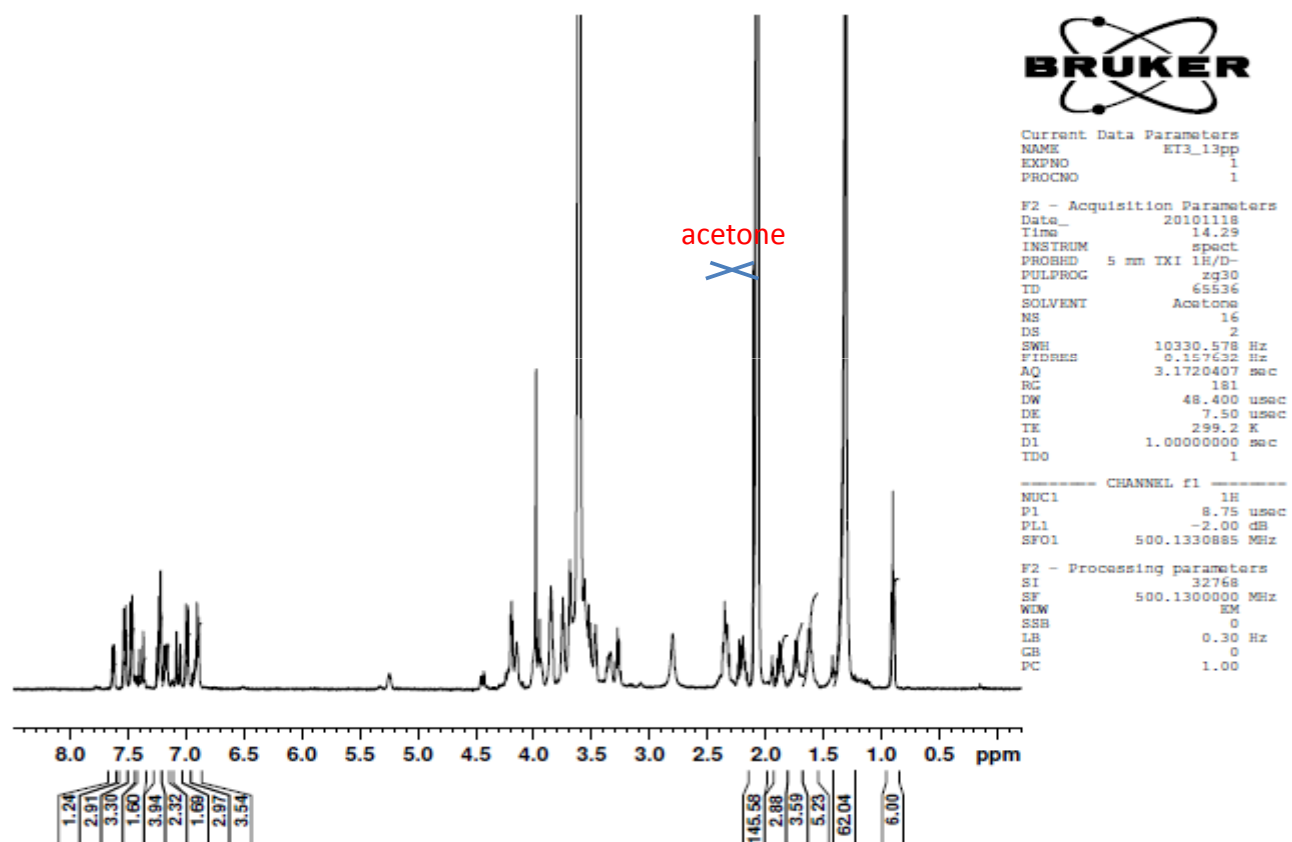
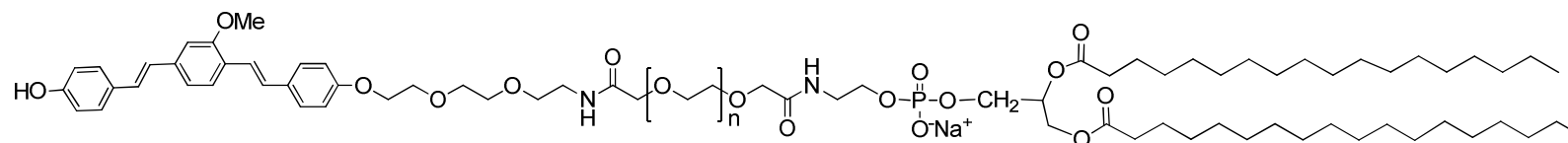


Figure S14. ^{13}C NMR of compound 13



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Current Data Parameters
NAME      ET3_13pp
EXPNO     1
PROCNO    1

F2 - Acquisition Parameters
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Time      14.29
INSTRUM   spect
PROBHD    5 mm TXI 1H/D-
PULPROG   zg30
ID         65536
SOLVENT   Acetone
NS         16
DS         2
SWH        10330.578 Hz
FIDRES     0.157632 Hz
AQ         3.1720407 sec
RG         181
DW         48.400 usec
DE         7.50 usec
TE         299.2 K
D1         1.00000000 sec
TD         1

----- CHANNEL f1 -----
NUC1       1H
P1         8.75 usec
PL1        -2.00 dB
SFO1       500.1330885 MHz

F2 - Processing parameters
SI         32768
SF         500.1300000 MHz
WDW        EM
SSB        0
LB         0.30 Hz
GB         0
PC         1.00

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Figure S15. ^1H NMR of DSPE-PEG₃₄₀₀-MeXO4 (1)

D:\Data\2010\Dec 2010\M120110\16096 ET3-1310_O10\1

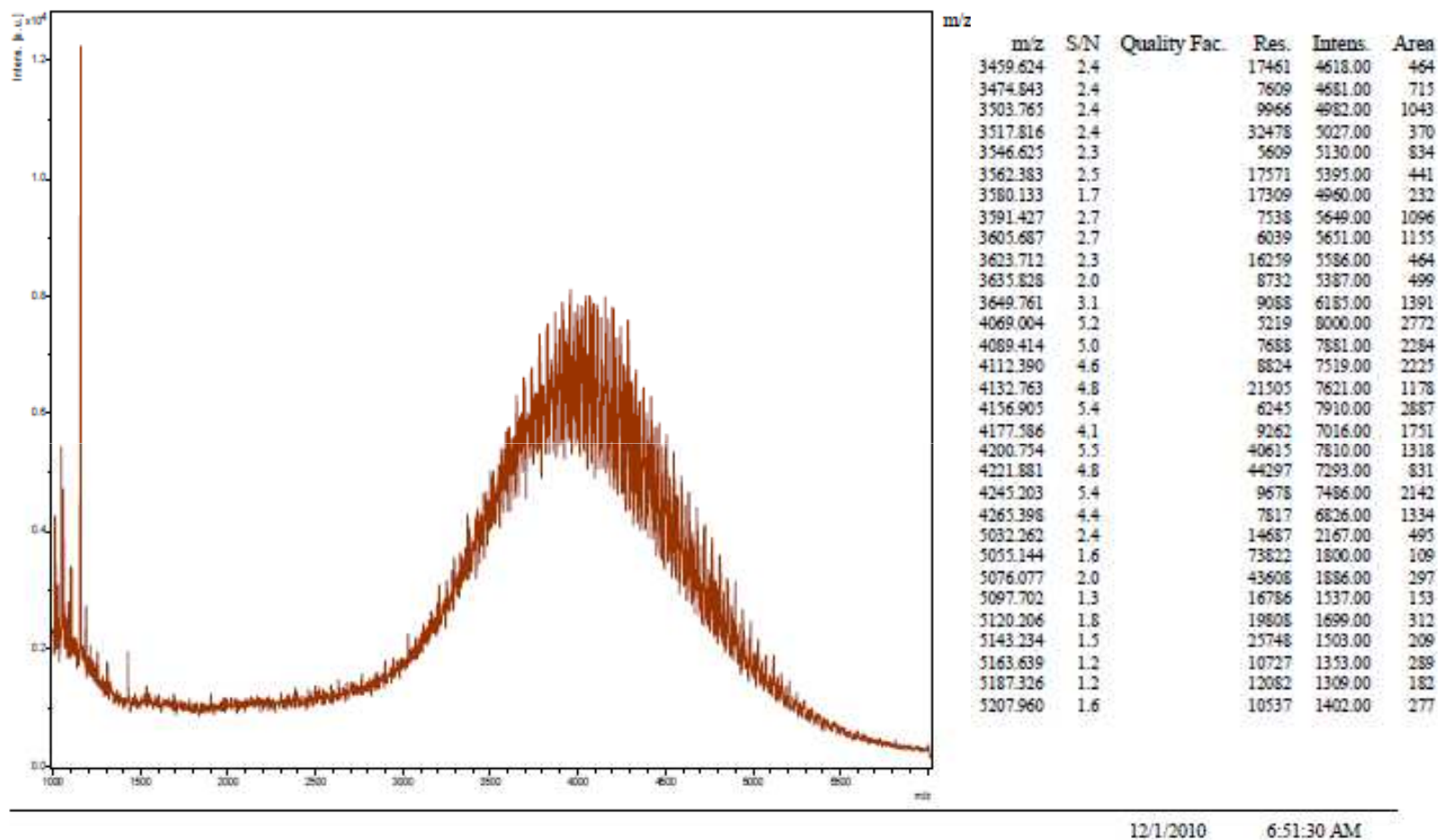


Figure S16. MALDI spectrum of DSPE-PEG₃₄₀₀-MeXO4 (1)

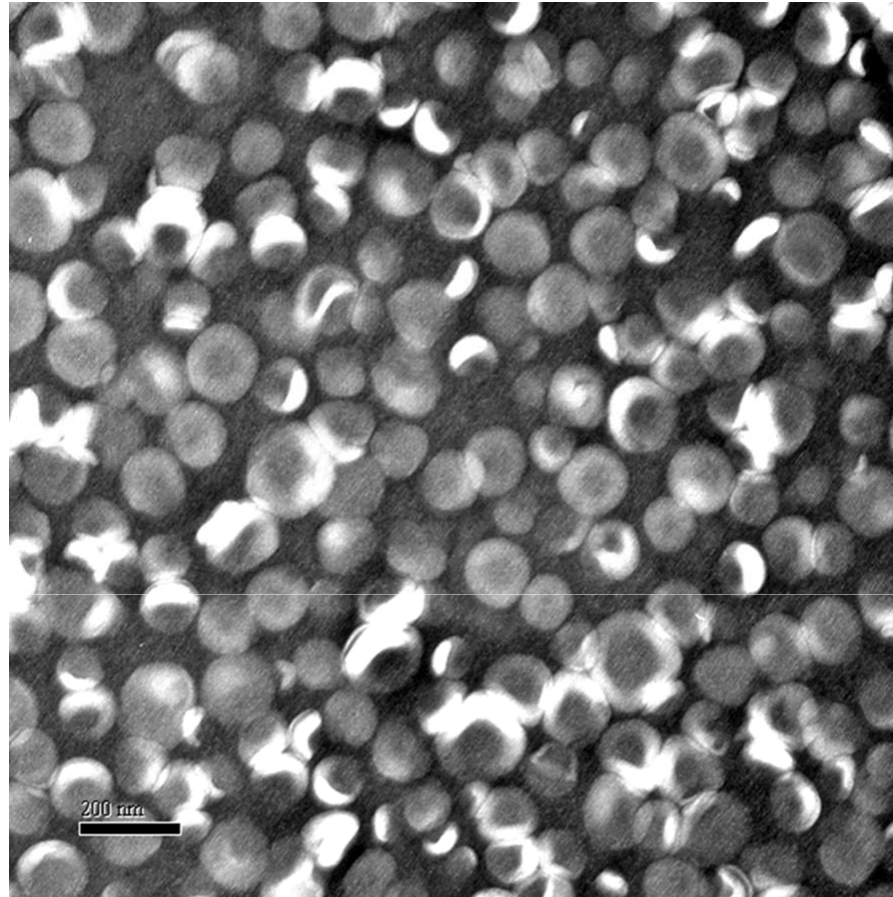


Figure S17. Negative Stain TEM of targeted liposomes. Grid with sample was incubated with Uranyl Acetate for 2 minutes 3 times, followed by imaging at 80kV. Exposure time was 0.9 seconds, effective magnification 12000x.

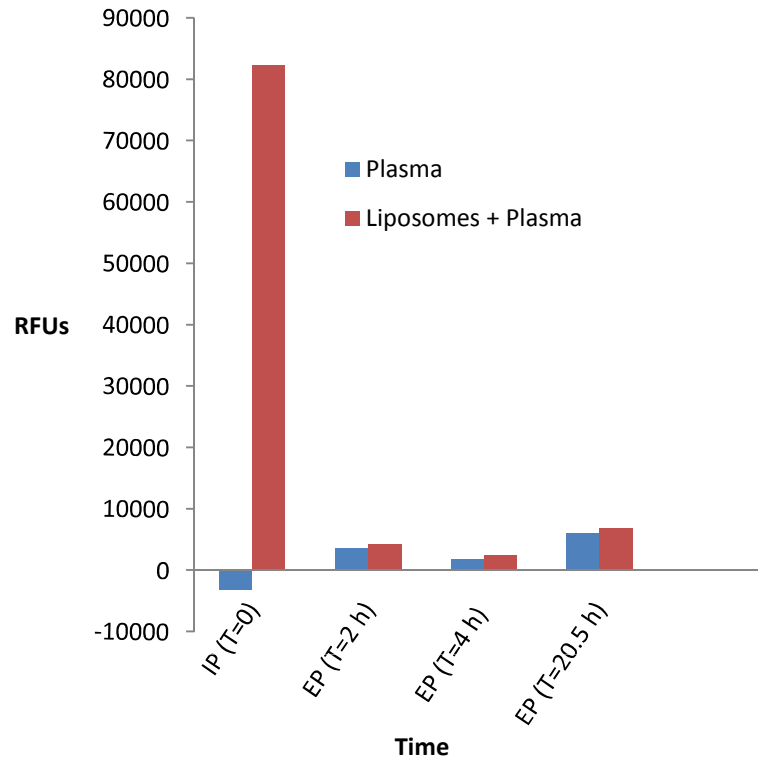


Figure S18. Liposome stability-Plasma leak test results: To evaluate the stability of the particles in plasma, 300 μL of the targeted liposomal preparation was added to 1 mL of bovine plasma in histidine/saline buffer (10 mM, pH 7.4) and incubated at 37 $^{\circ}\text{C}$ for 90 min. This mixture (internal phase, IP) was placed in a dialysis cassette (100,000 MWCU) and dialyzed against 100 mL of buffer (external phase, EP). 1 mL plasma was subjected to the same protocol to serve as control. At the start of the dialysis 3 μL each of the internal phase of both test and plasma (control) were diluted to 300 μL in ethanol and the fluorescence of the resulting mixture measured at 450 nm (IP, T=0). The fluorescence of 300 μL samples taken from the external phase of both test and control were measured as 2 h, 4 h, and 20.5 h time points (EP, T=2 h; EP, T=4 h; EP, T=20.5 h) respectively. As can be seen from the data above, the integrity of the liposomes remains intact during the course of the experiment as disintegration of the particles would have resulted in a spike in fluorescence in the external phase.