

AR reporter assay
LNCaP cells

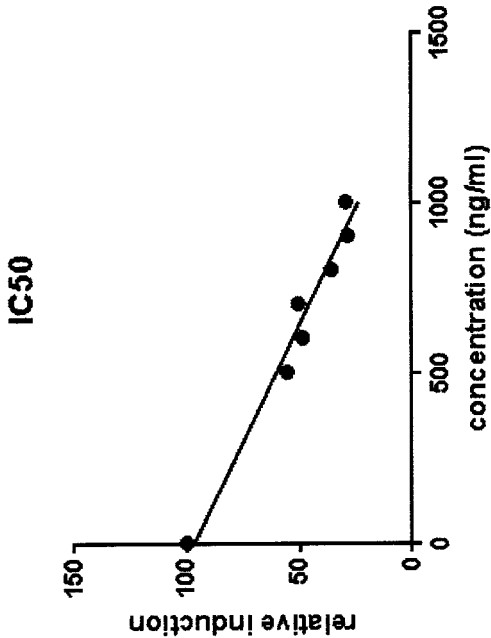


FIG. 1B

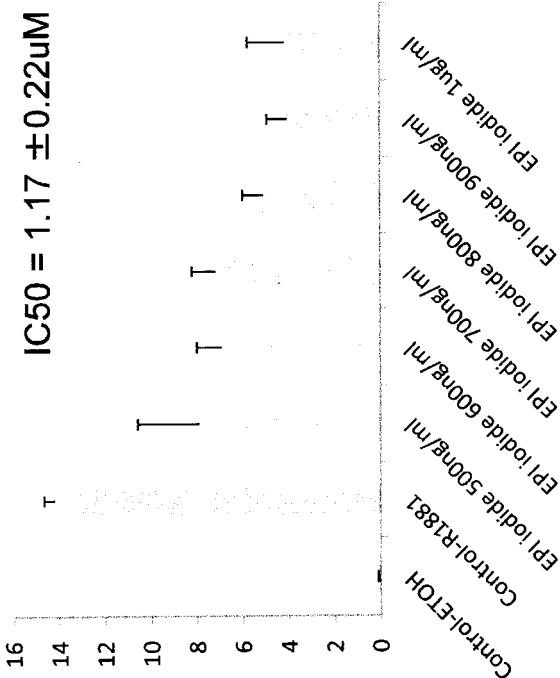


FIG. 1A

HR specificity by Luciferase assay
LNCaP cells

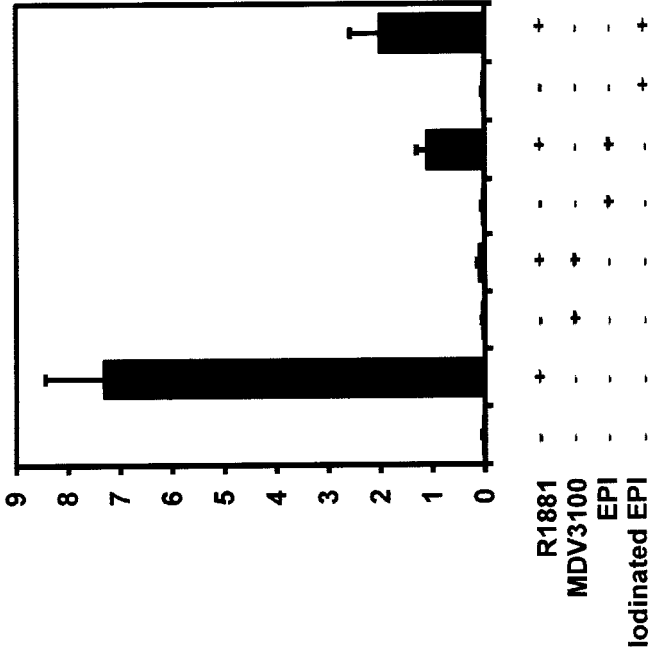


FIG. 2A

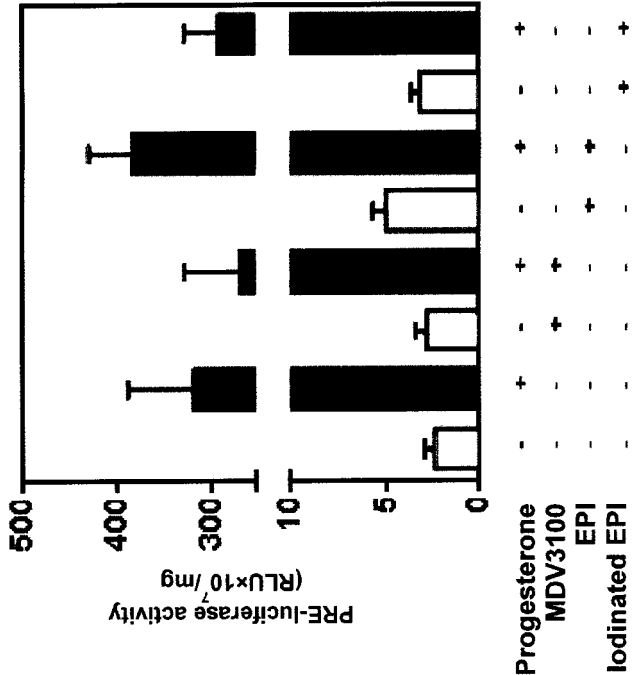


FIG. 2B

HR specificity by Luciferase assay
LNCaP cells

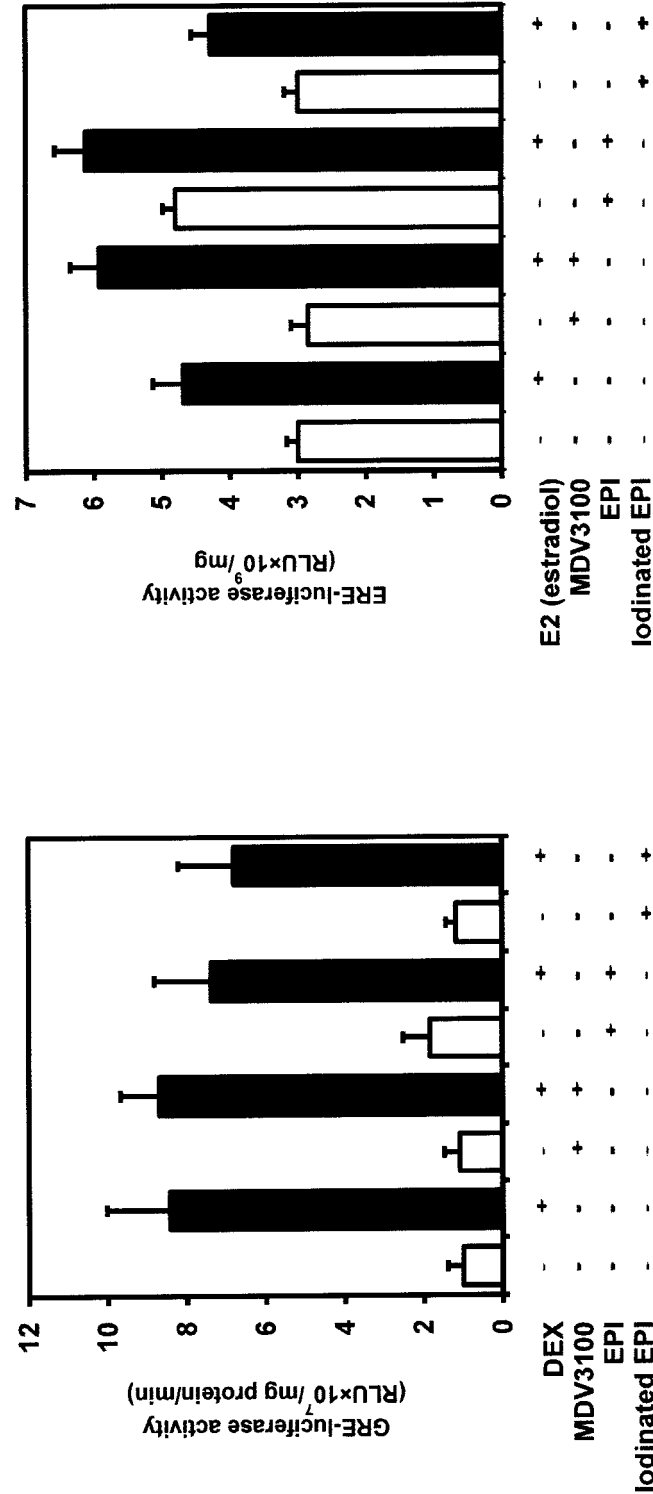


FIG. 2C

FIG. 2D

FIG.3A

Compound iii-1, ¹H NMR

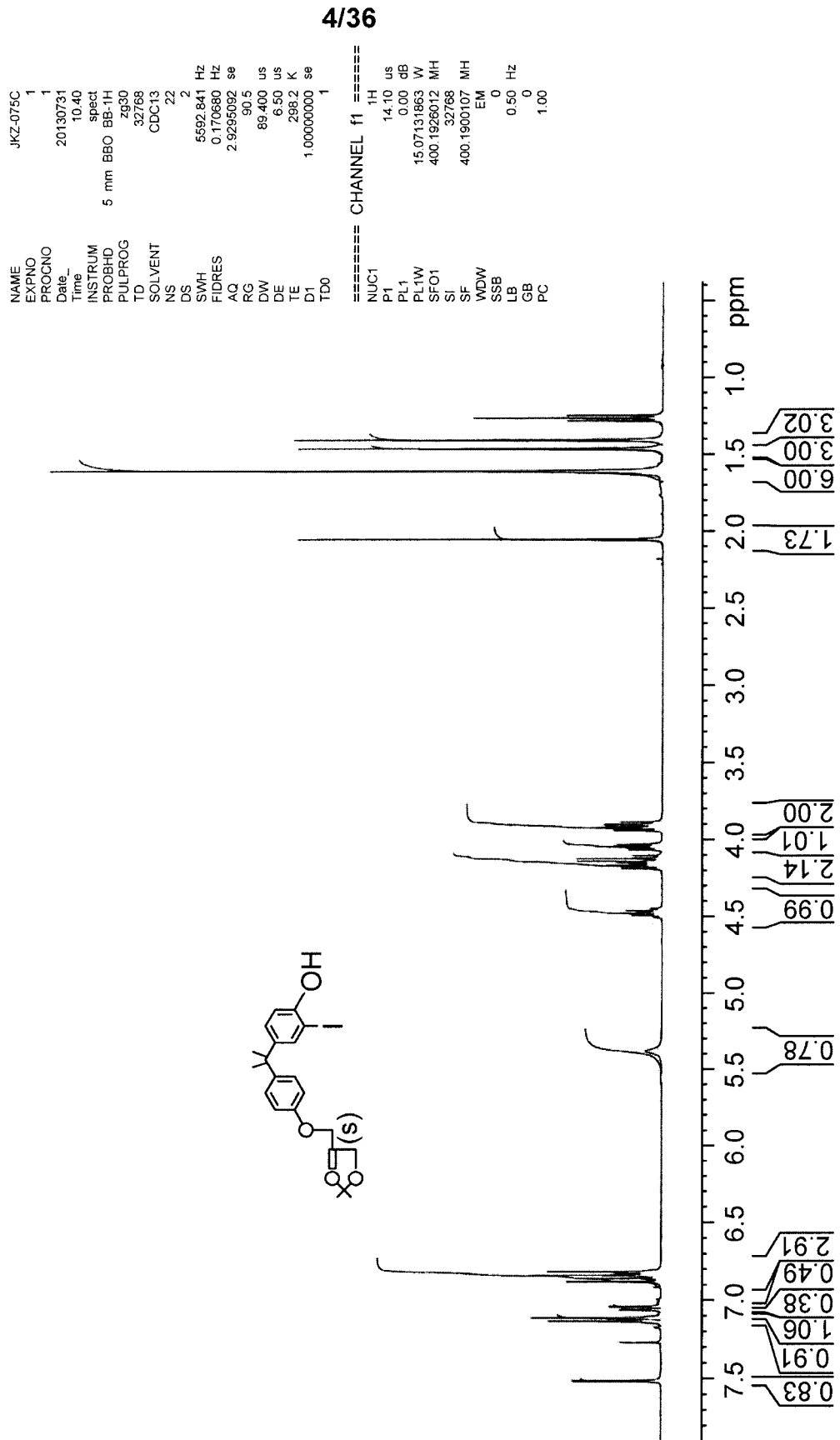


FIG. 3B

Compound iii-L, ¹³C NMR

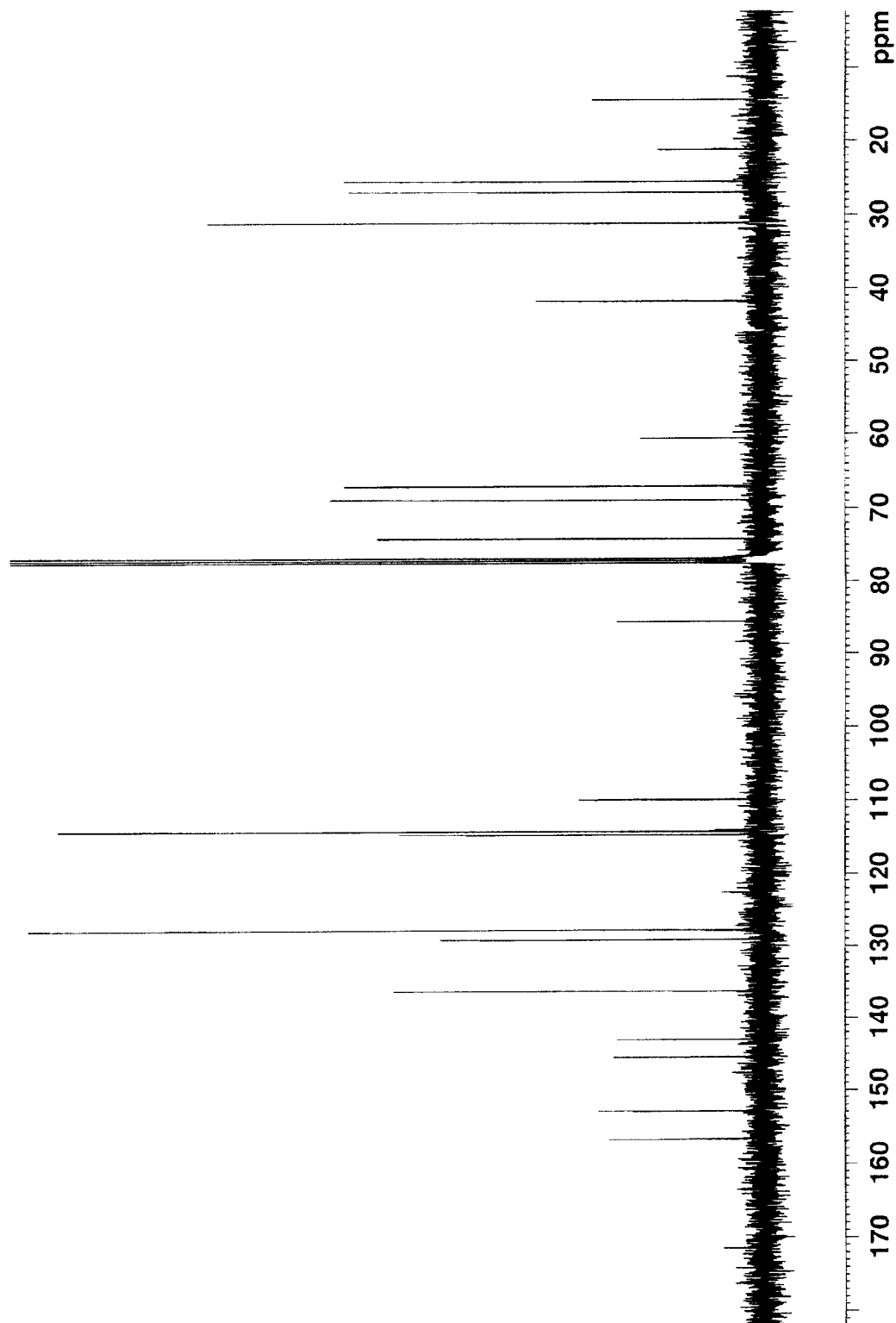
¹³C

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EXPNO          2
PROCNO         1
Date_          20130731
Time           10.45
INSTRUM        5 mm BBO BB-1H
PROBHD         zgpg30
PULPROG        zgpg30
TD             32768
SOLVENT        CDCl3
NS             128
DS             2
SWH            25125.629 MHz
FIDRES         0.7668773 Hz
AQ             0.6521332 sec
RG            28008
DW            19.900 us
DE            16.00 us
TE            298.4 K
D1            1.00000000 sec
D11           0.03000000 sec
TD0           1
===== CHANNEL f1 =====
NUC1           13C
P1            7.70 us
PL1           -3.00 dB
PL1W          71.66977692 W
SF01          100.6379188 MHz

===== CHANNEL f2 =====
CPDPRG2        waltz16
NUC2           1H
PCPD2          90.00 us
PL2            0.00 dB
PL3           16.04 dB
PL13          20.00 dB
PL12          20.00 dB
PL2W          15.07131863 W
PL12W         0.37510353 W
PL13W         0.15071319 W
SF02          400.1916008 MHz
SI            32768
SF            100.6278439 MHz
WDW            EM
SSB            0
LB            1.00 Hz
GB            0
PC            1.00
  
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PCT/CA2014/000685



File: Andersen97-1

Sample: 1

Date: 31-Jul-2013

Time: 13:00:06

Group Name: Andersen

Method: C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.o

User Sample ID: JKZ-075C

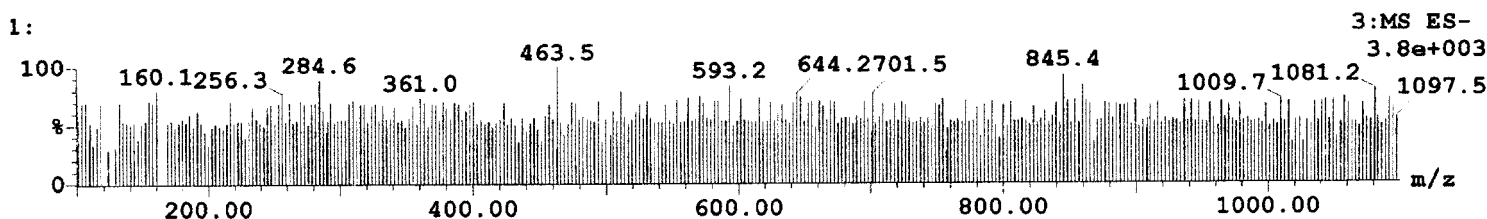
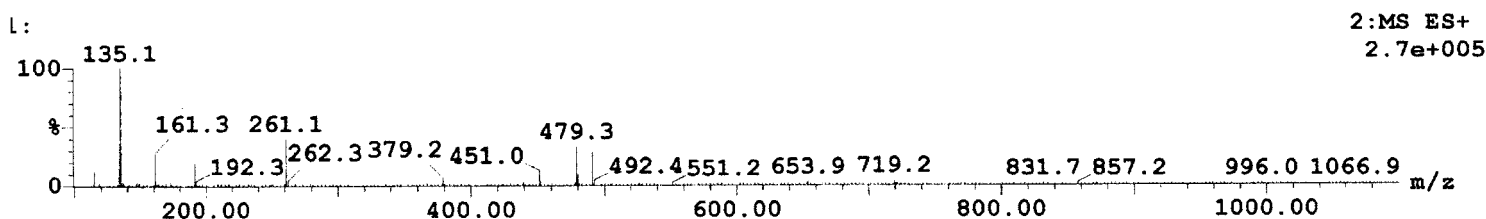
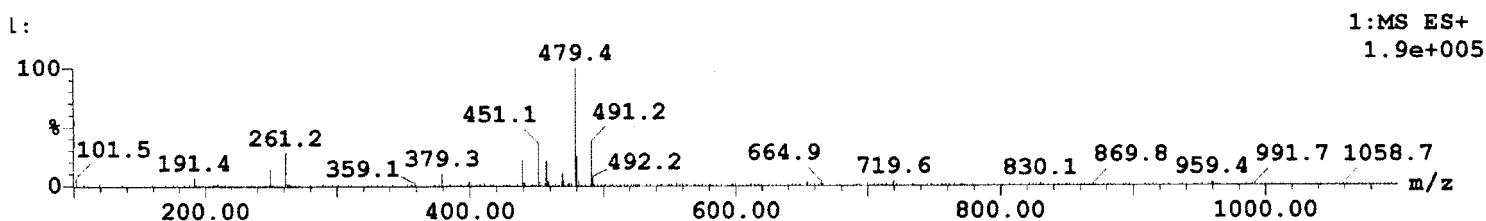
OAMS#: Andersen97-1

Vial: 1:24

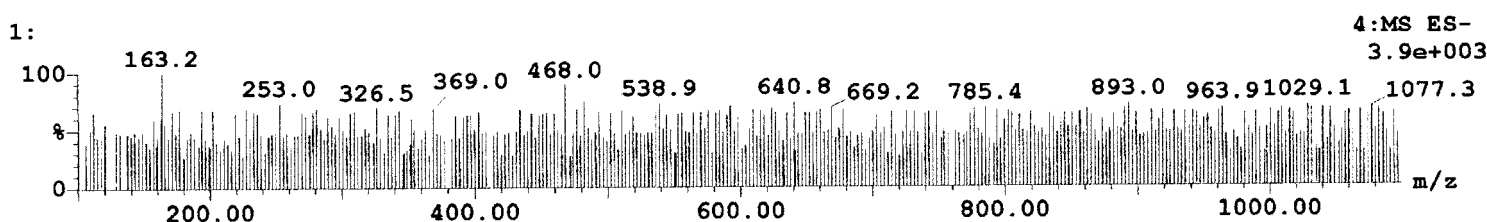
Printed: Wed Jul 31 13:08:16 2013

Sample Report:

Sample 1 Instrument Method C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.o



No elements were found.



No elements were found.

Sample 2 Instrument Method C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.o

FIG. 3C

Compound iii-I, MS

Compound iii-Br, ¹H NMR

FIG.4A

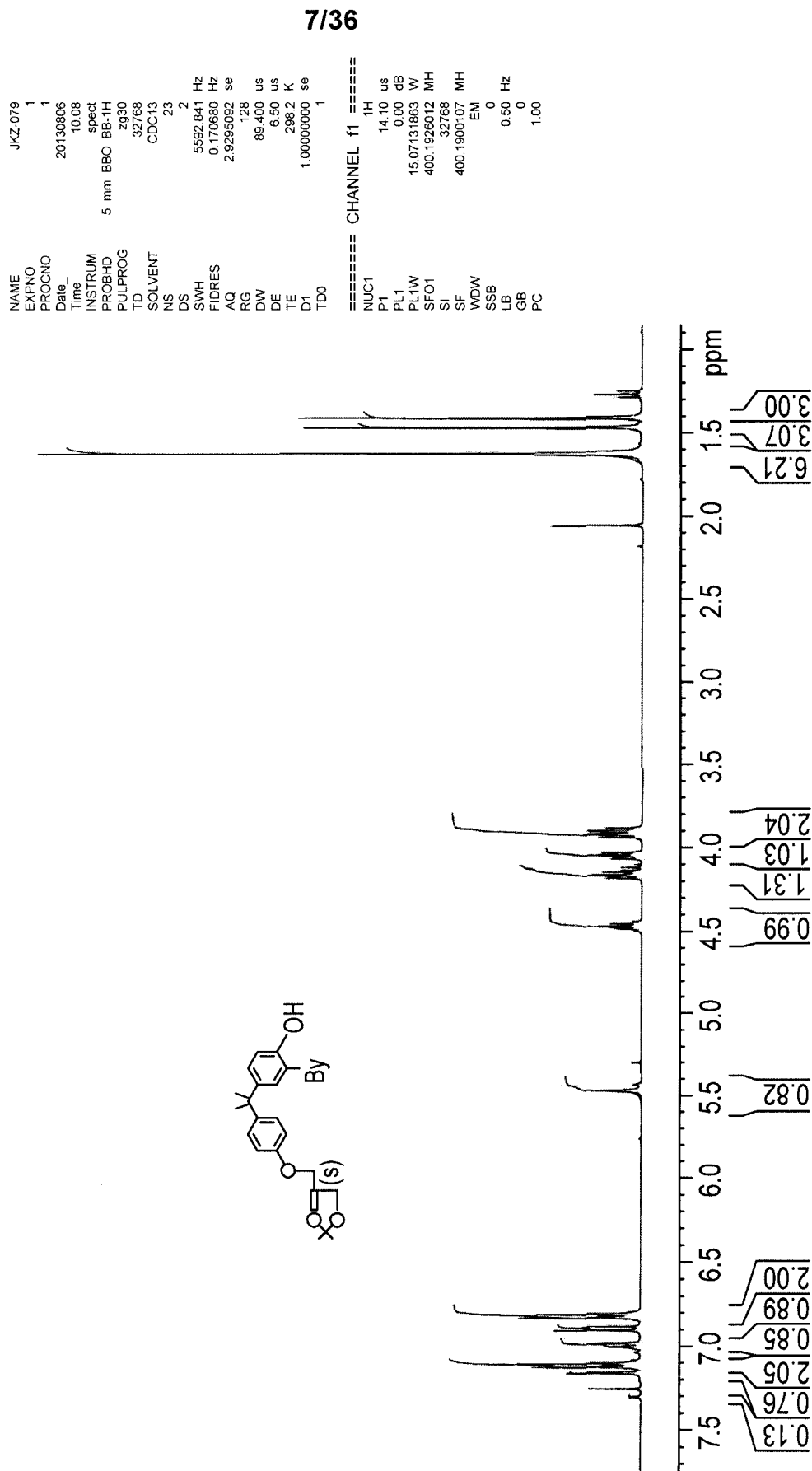


FIG. 4B

Compound iii-Br, ¹³C NMR

¹³C

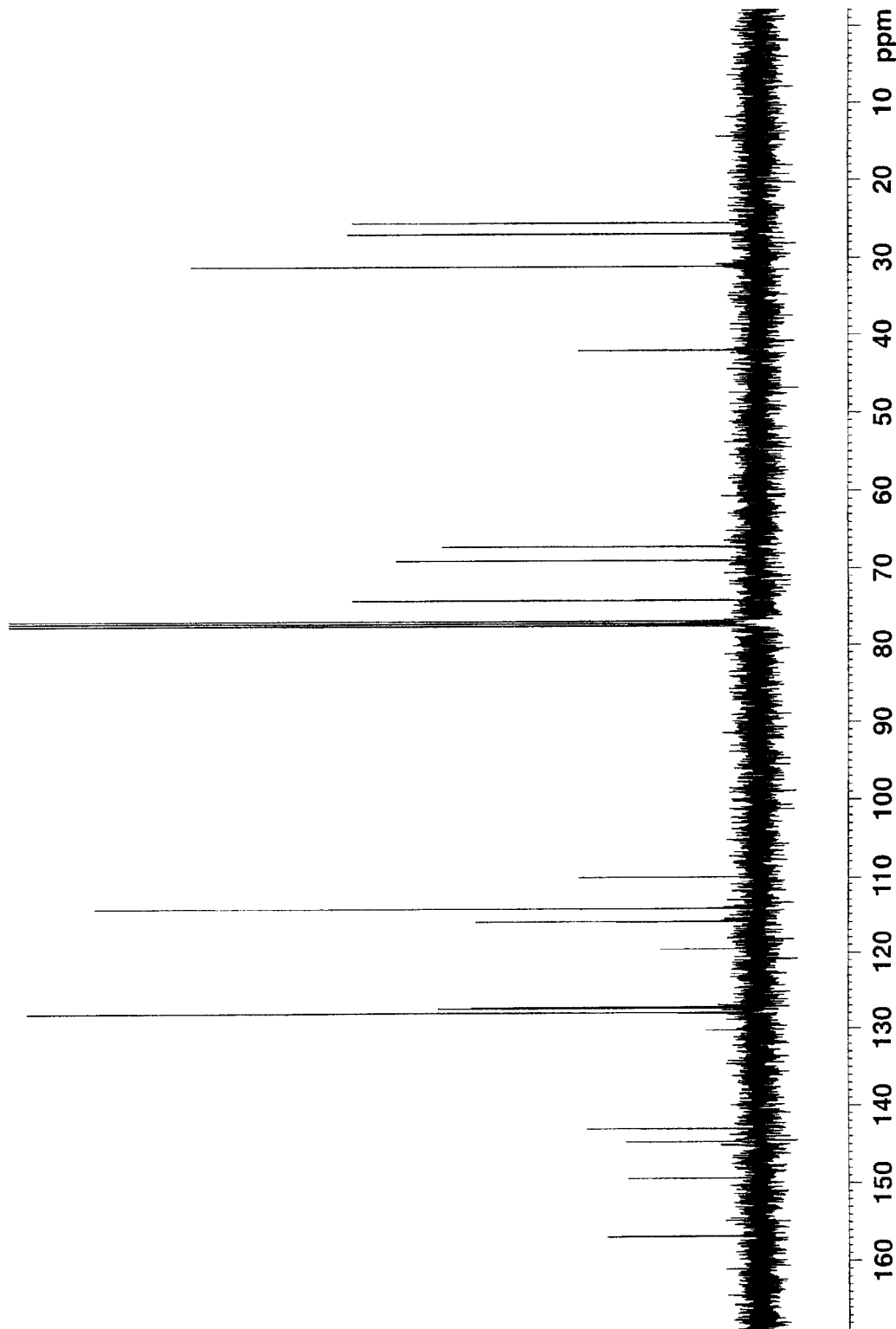
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EXPNO         2
PROCNO        1
Date_         20130806
Time          10.13
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PULPROG       zgpg30
TD            32768
SOLVENT       CDCl3
NS            139
DS            2
SWH           25125.623
FIDRES        0.766772
AQ            0.6521332
RG            23170.5
DW            19.900
DE            16.00
TE            298.2
D1            1.00000000
D11           0.03000000
TD0           1

===== CHANNEL f1 =====
NUC1          13C
P1            7.70
PL1           -3.00
PL1W          71.66977692
SFO1          100.6379188

===== CHANNEL f2 =====
CPDPRG2       waltz16
NUC2          1H
PCPD2         90.00
PL2           0.00
PL12          16.04
PL13          20.00
PL2W          15.07131863
PL12W         0.37510353
PL13W         0.15071319
SFO2          400.1916008
SI            32768
SF            100.6278439
WDW           EM
SSB           0
LB            1.00
GB            0
PC            1.00
    
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PCT/CA2014/000685



le:Andersen100-1

Sample: 1

Date:14-Aug-2013

me:12:12:43

Group Name:Andersen

Method:C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.olp

ser Sample ID:JKZ-079

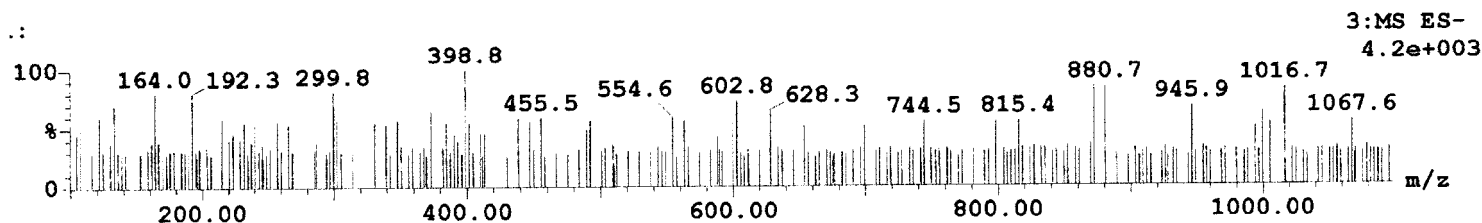
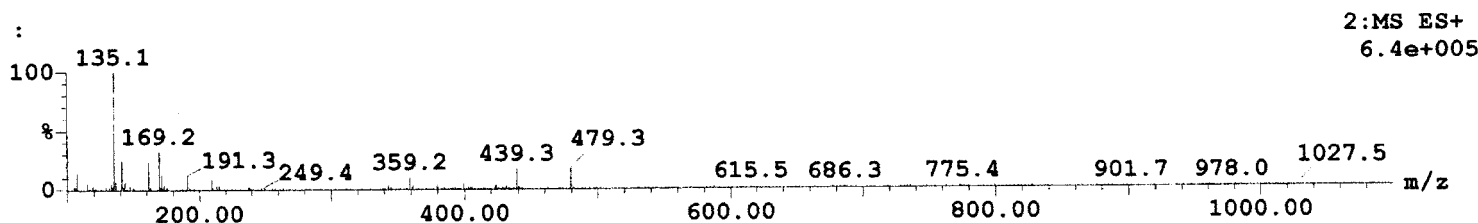
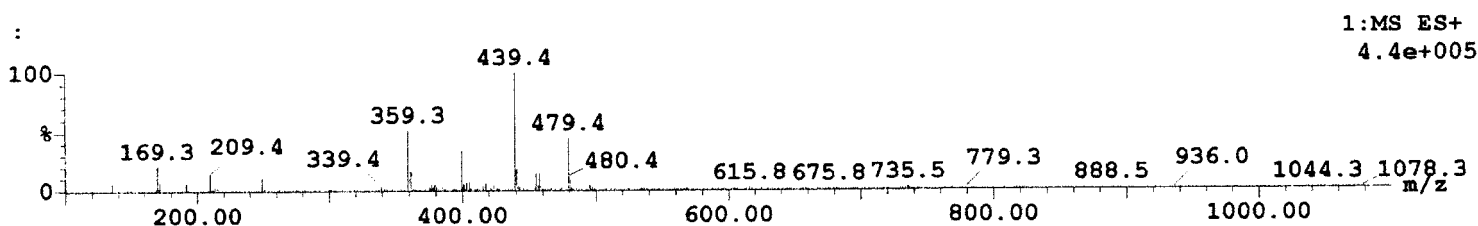
OAMS#:Andersen100-1

Vial:1:6

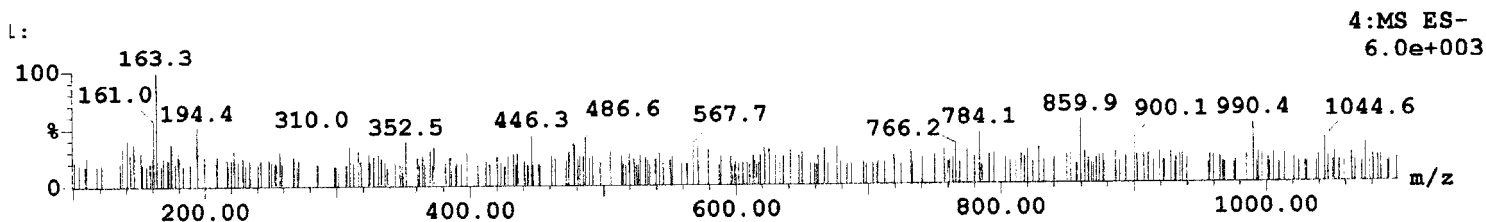
rinted: Wed Aug 14 12:26:38 2013

ample Report:

ample 1 Instrument Method C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.olp



10 elements were found.



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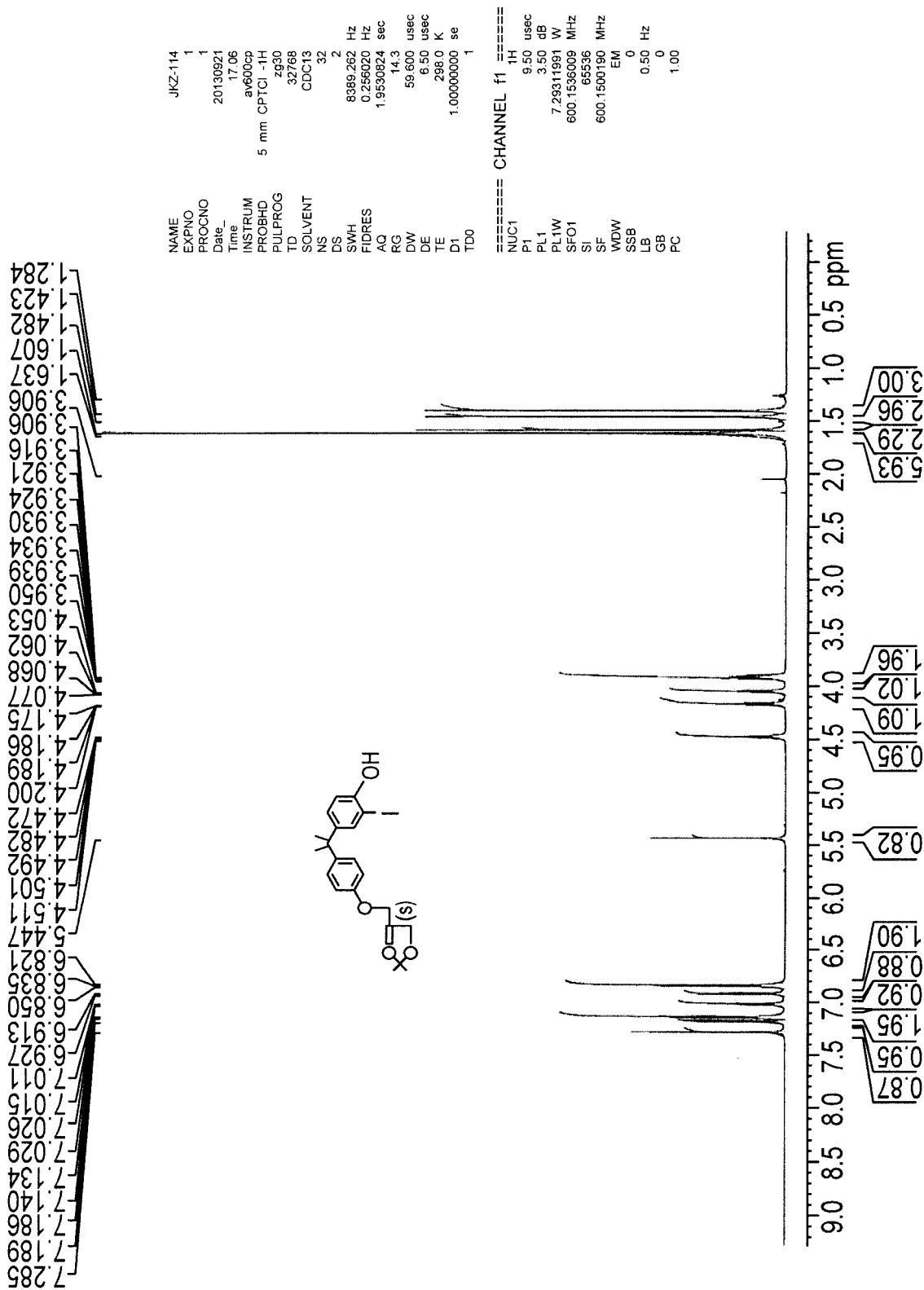
FIG. 4C

Compound iii-Br, MS

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Compound iii-Cl, ¹H NMR

FIG. 5A



Compound iii-C1, C NMR

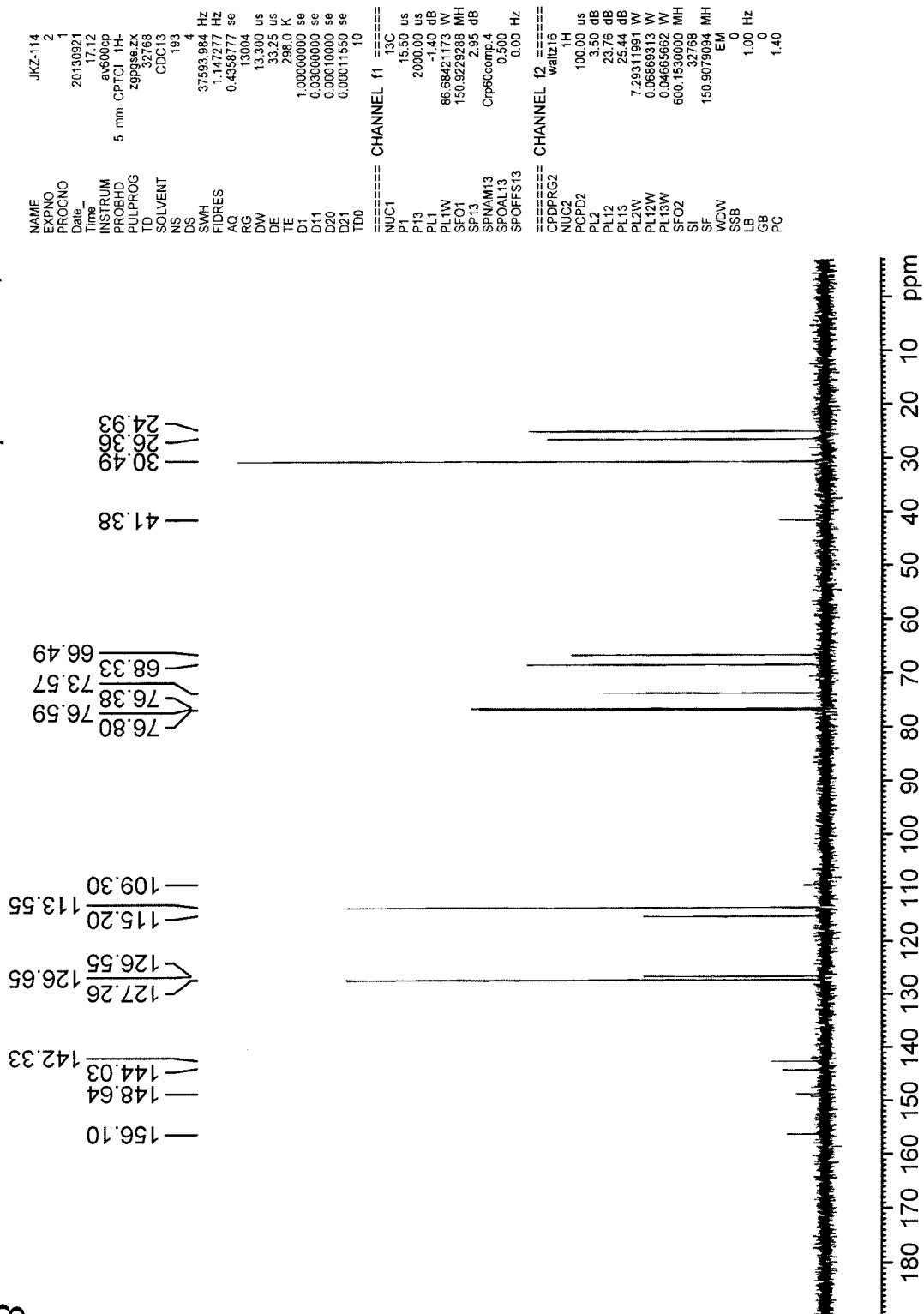


FIG. 5B

Compound iv-l, ¹H NMR

FIG.6A

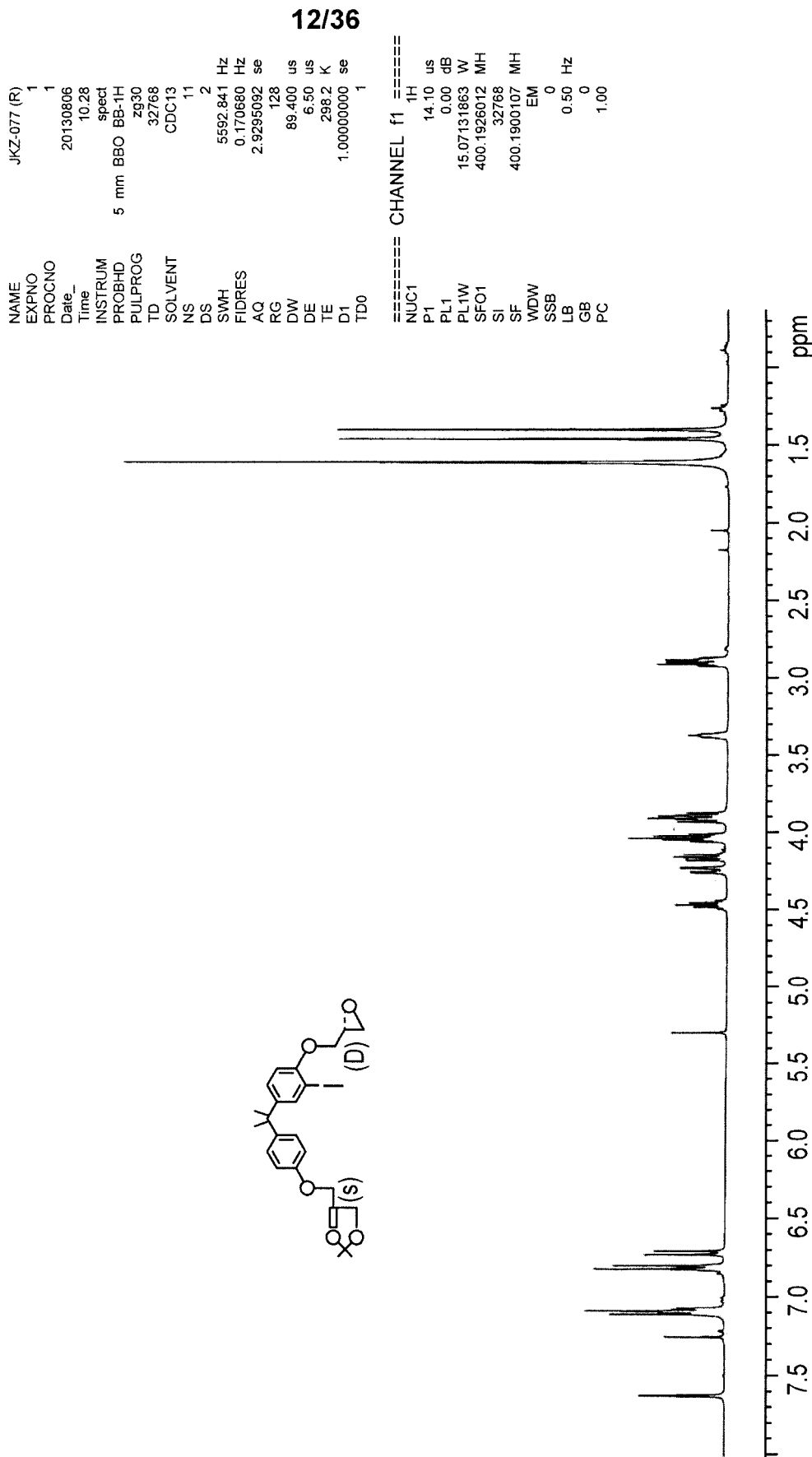


FIG. 6B

Compound iv-I, ¹³C NMR



PCT/CA2014/000685

Compound iv-Br, ¹H NMR

FIG.7A

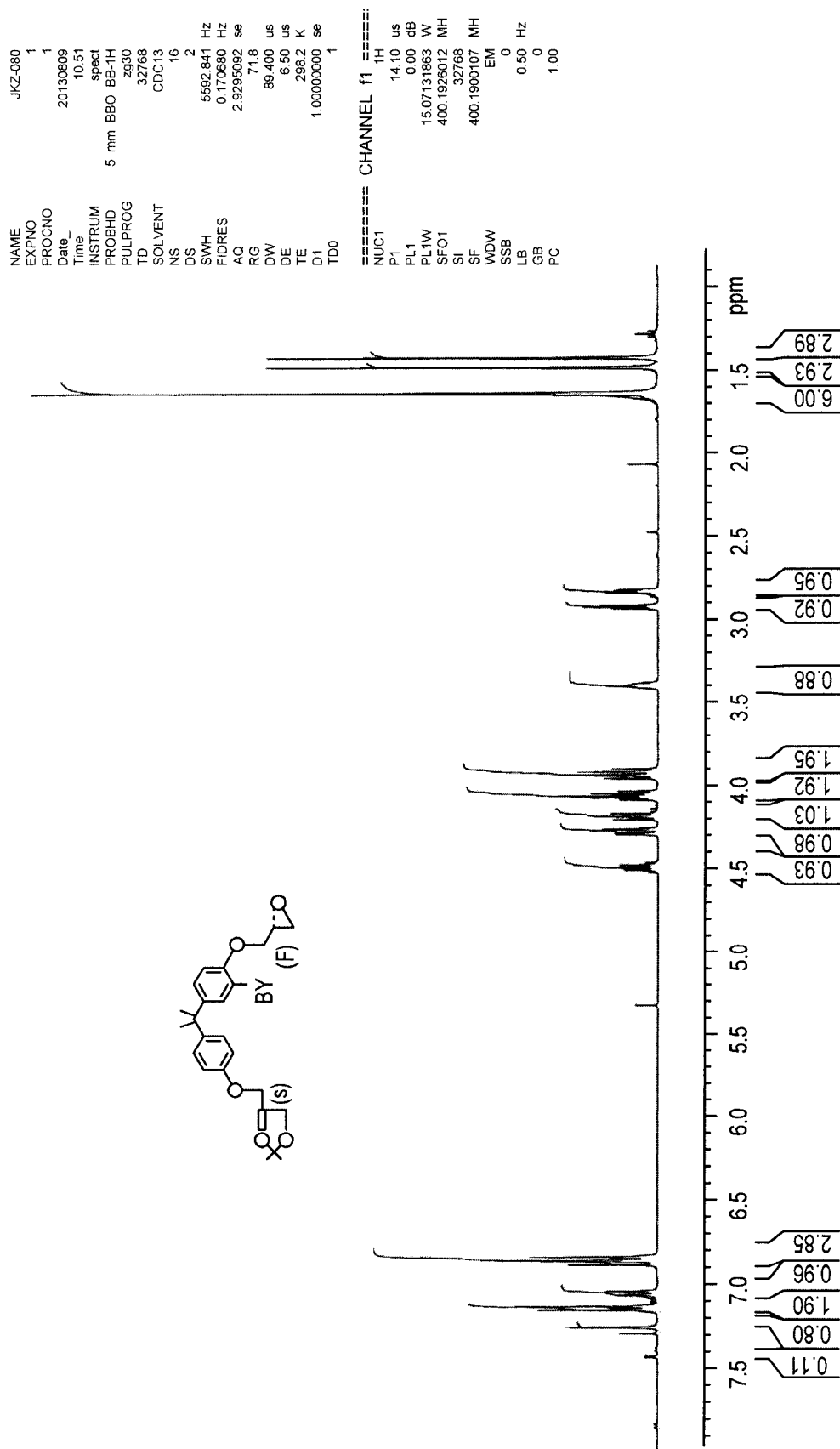
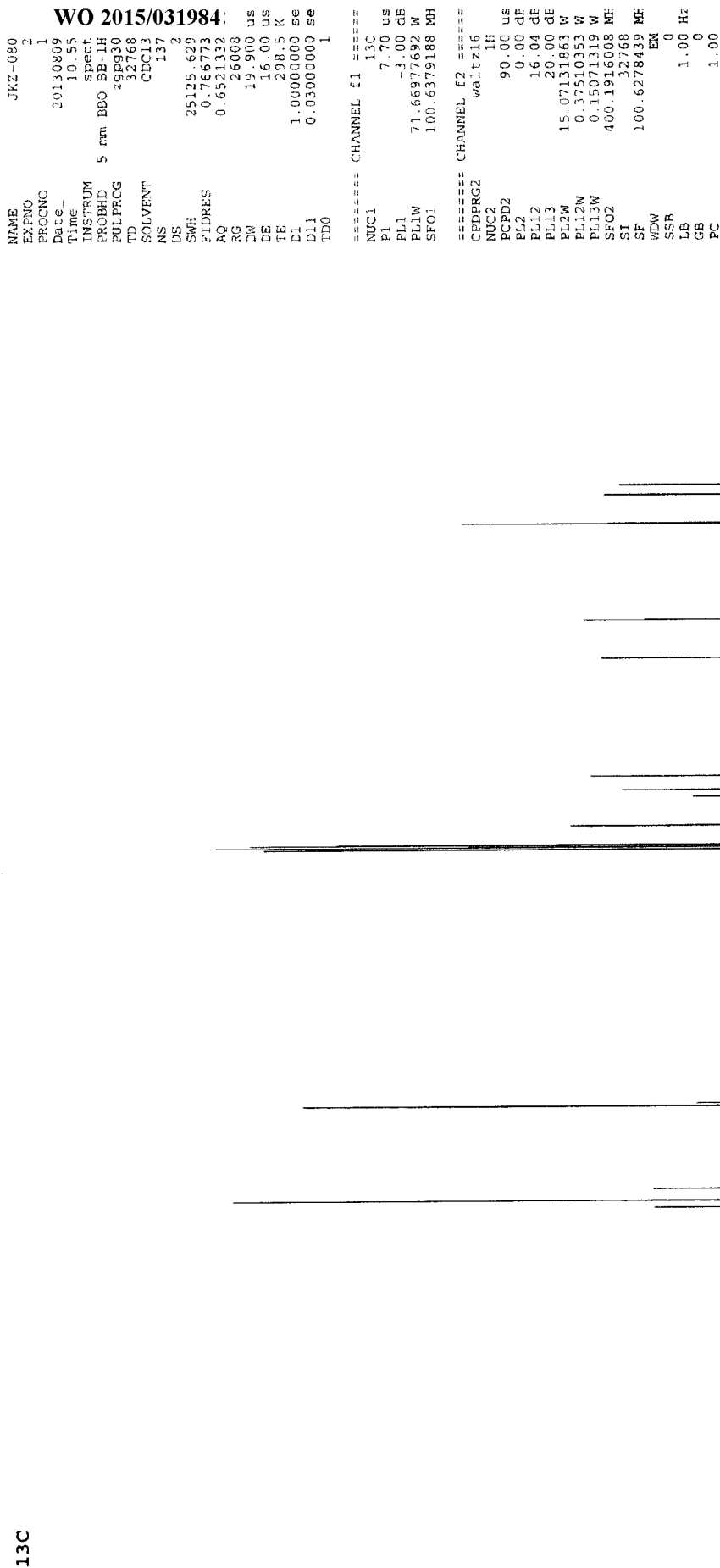


FIG. 7B

Compound iv-Br, ¹³C NMR



PCT/CA2014/000685

File: Andersen100-2

Sample: 2

Date: 14-Aug-2013

Time: 12:16:53

Group Name: Andersen

Method: C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.oip

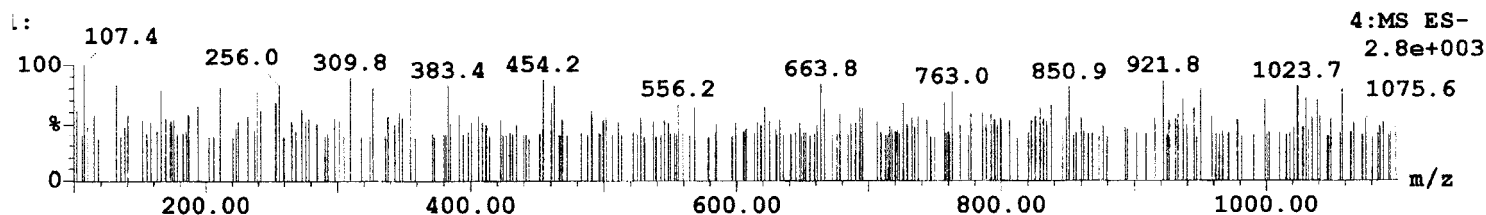
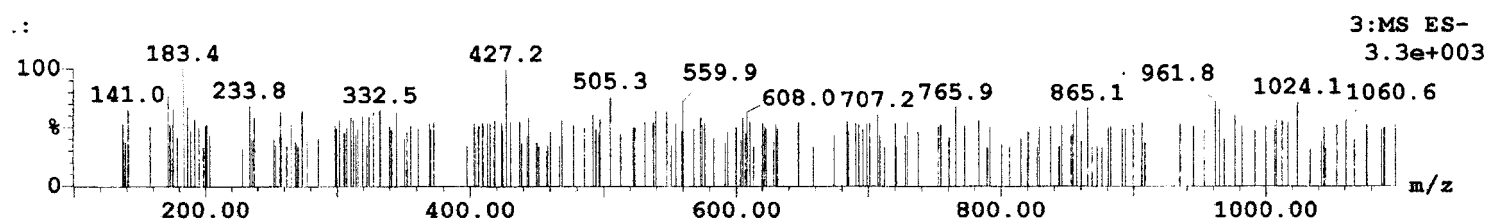
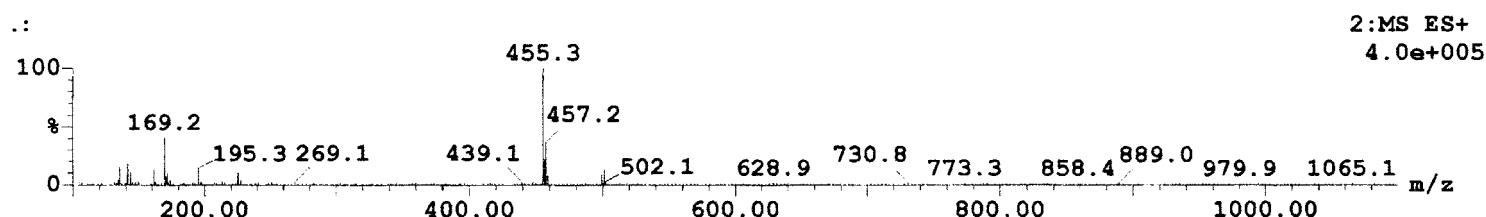
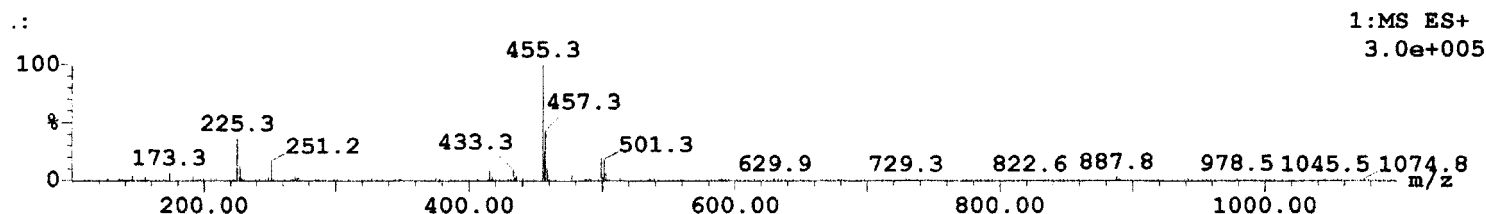
User Sample ID: JKZ-080

OAMS#: Andersen100-2

Vial: 1:7

Printed: Wed Aug 14 12:26:38 2013

Sample Report (continued):



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Sample 3 Instrument Method C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.oip

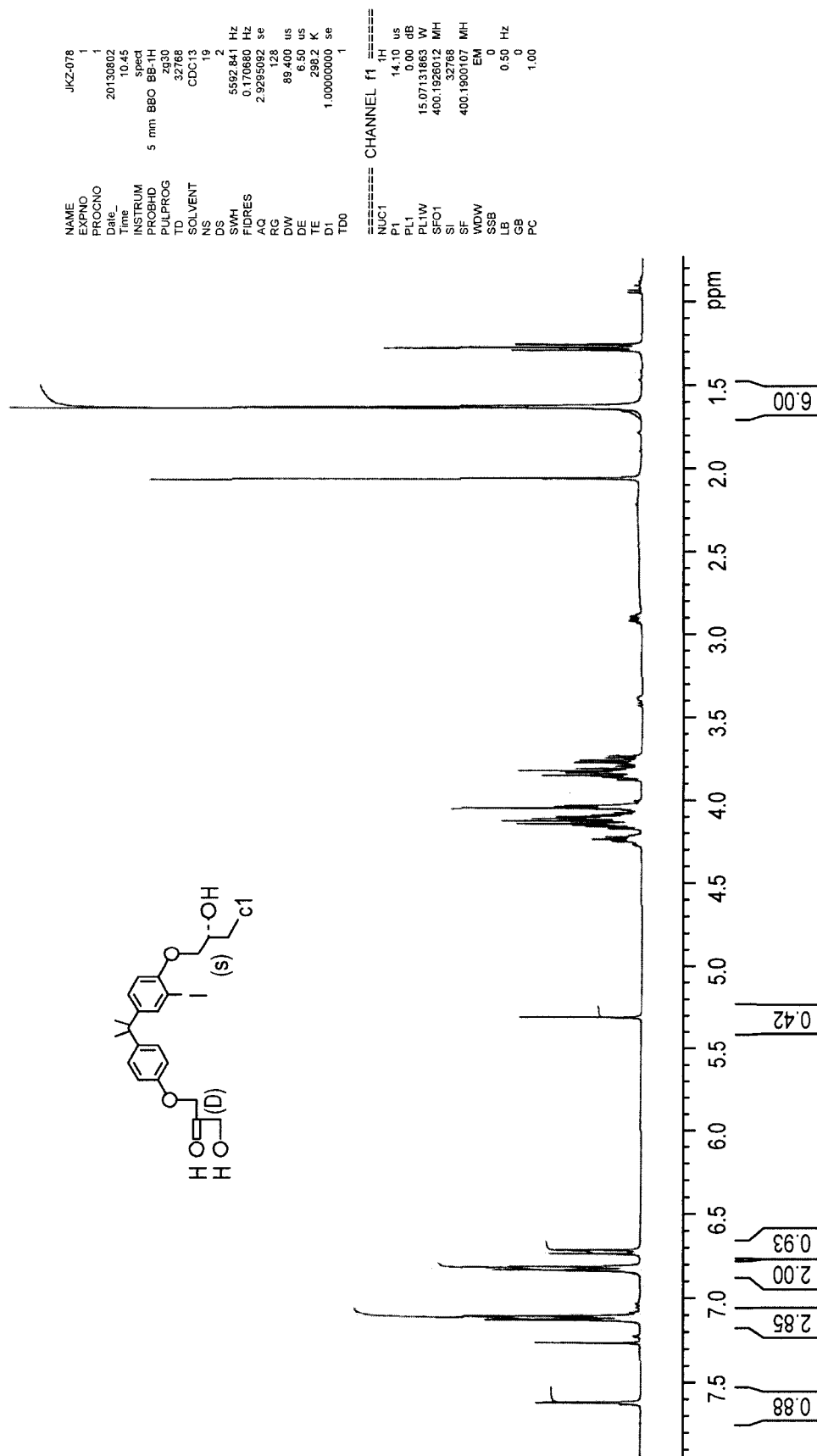
FIG. 7C

Compound iv-Br, MS

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Compound 8d, ¹H NMR

FIG.8A



¹³C

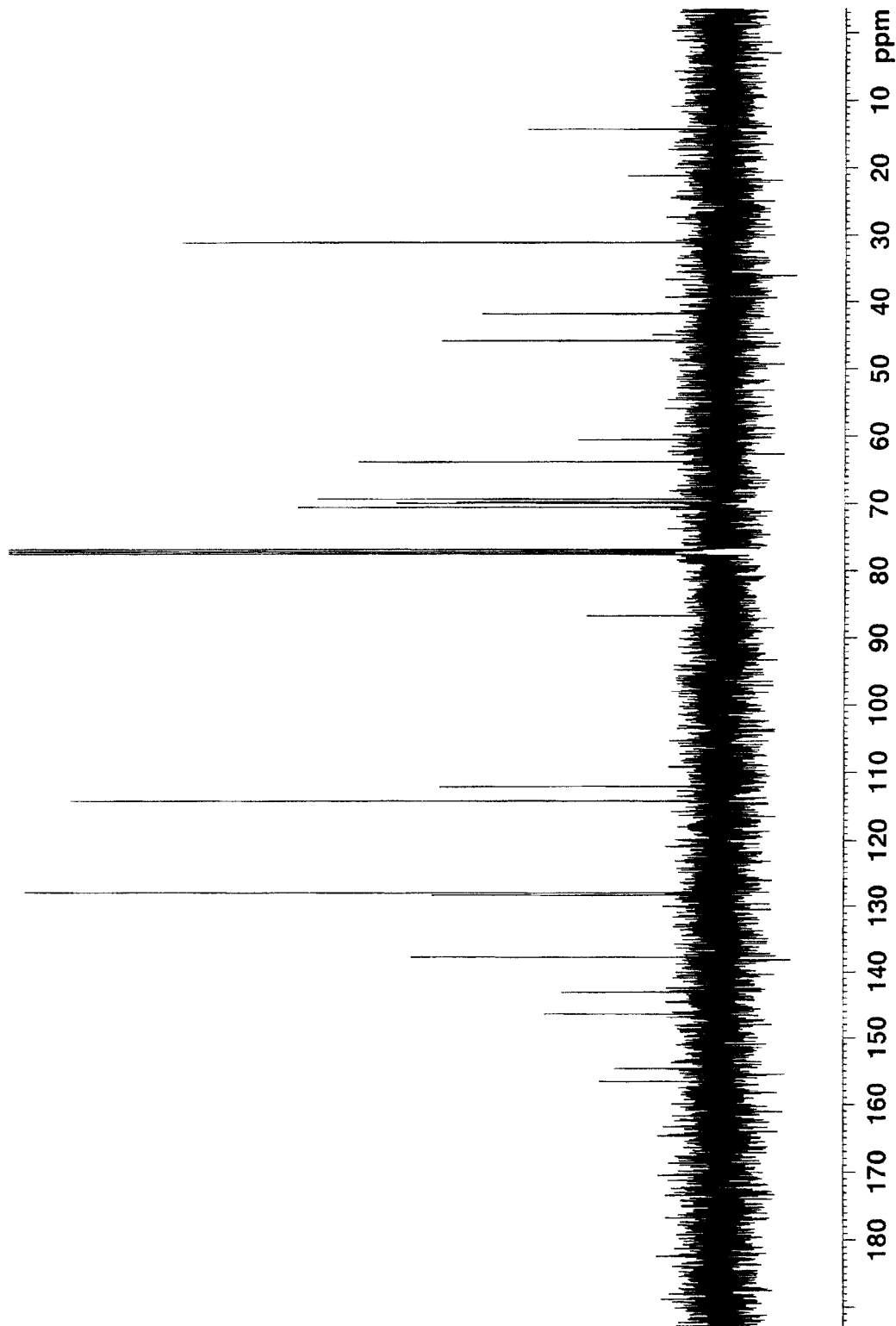
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EXPNO         2
PROCNO        1
Date_         20130802
Time          10.48
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PULPROG       zgpg30
TD            32768
SOLVENT       CDC13
NS            102
DS            2
SWH           25125.629 Hz
FIDRES        0.766773 Hz
AQ            0.5521332 sec
RG            21170.5
DW            19.900 us
DE            16.00 us
TE            298.4 K
D1            1.00000000 sec
D11           0.03000000 sec
TD0           1

===== CHANNEL f1 =====
NUC1          13C
P1            7.70 us
PL1           -3.00 dB
PL1W          71.68977692 W
SFO1          100.6379188 MHz

===== CHANNEL f2 =====
CPDPRG2       waltz16
NUC2          1H
PCPD2         90.00 us
PL2           0.00 dB
PL12          16.04 dB
PL13          20.00 dB
PL2W          15.07131863 W
PL12W         0.37510353 W
PL13W         0.15071319 W
SFO2          400.1916008 MHz
SI            32768
SF            100.6278439 MHz
WDW           EM
SSB           0
LB            1.00 Hz
GB            0
PC            1.00
    
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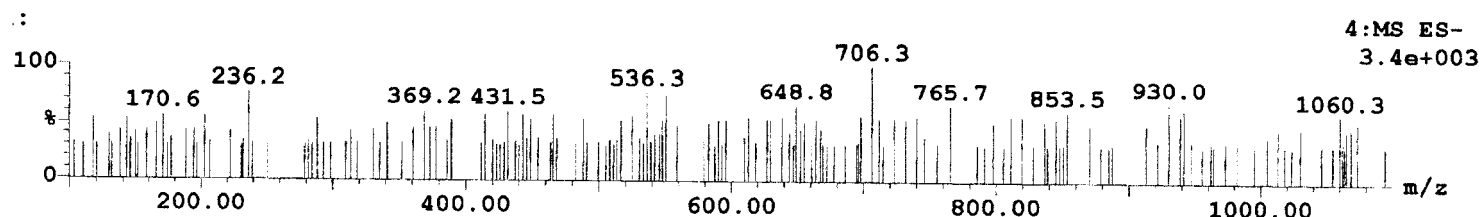
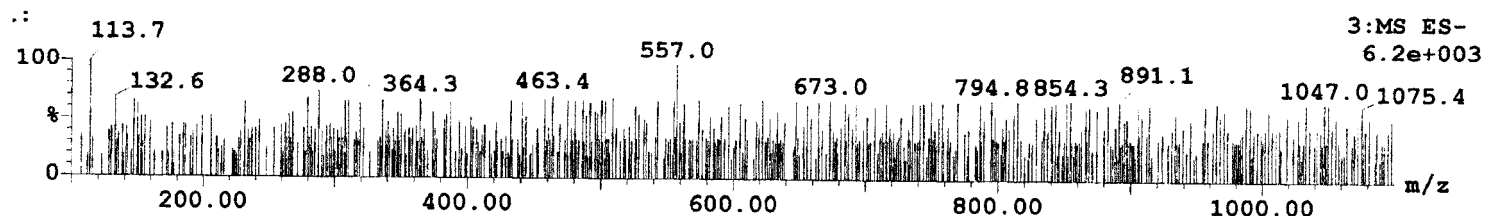
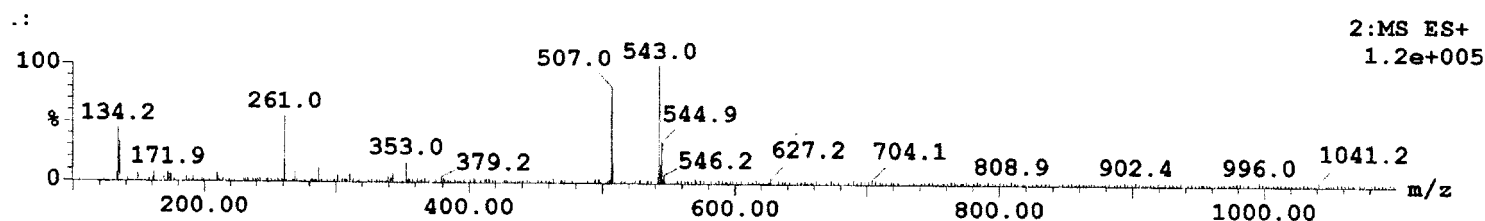
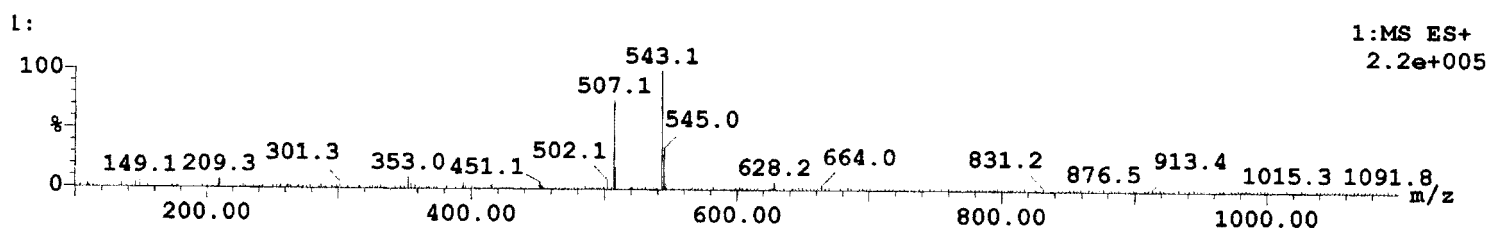
PCT/CA2014/000685



Printed: Fri Aug 02 13:07:58 2013

Sample Report:

Sample 1 Instrument Method C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.oip



0 elements were found.

Sample 2 Instrument Method C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.oip

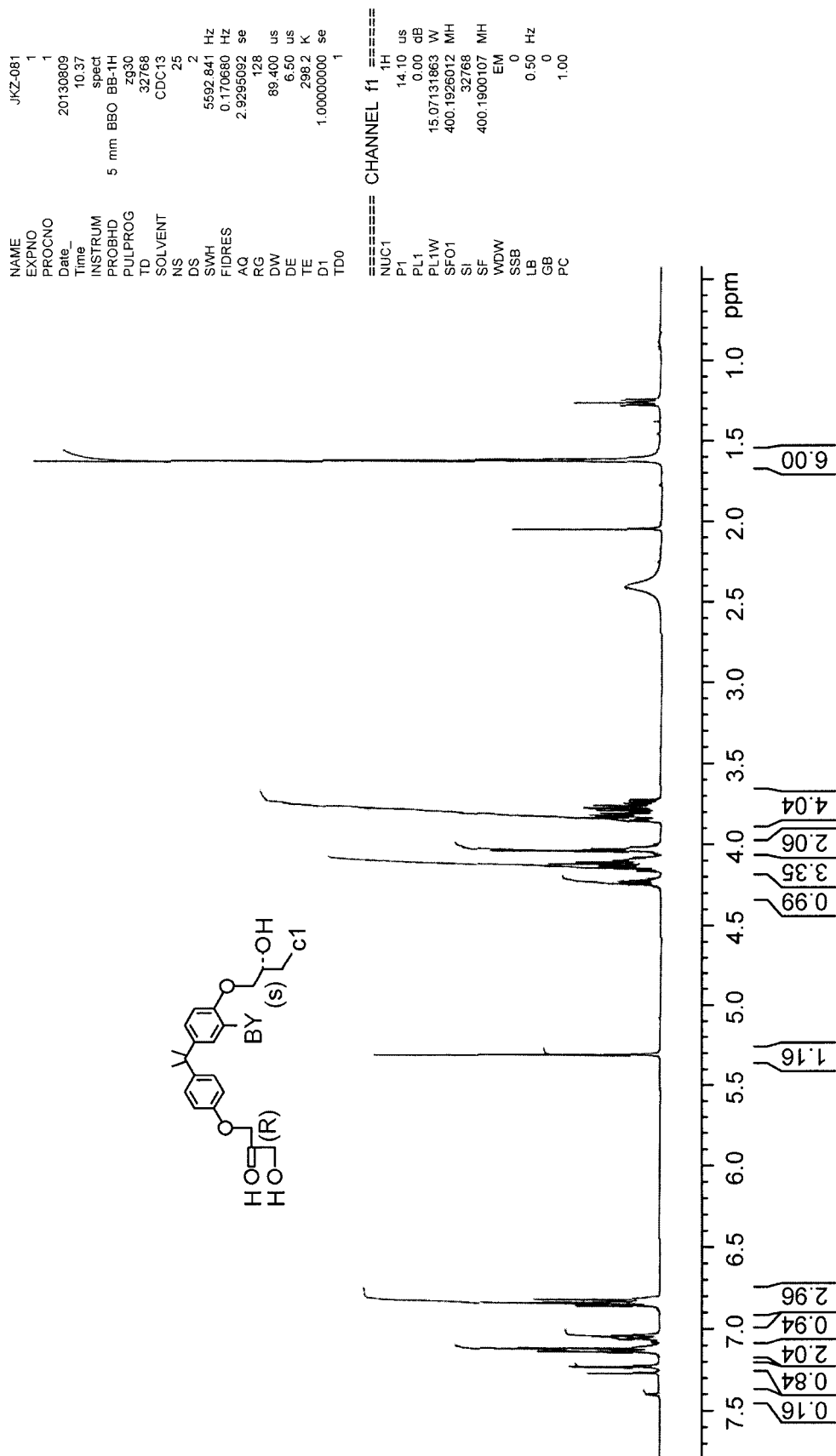
FIG. 8C

Compound 8d, MS

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Compound 9d, ¹H NMR

FIG.9A



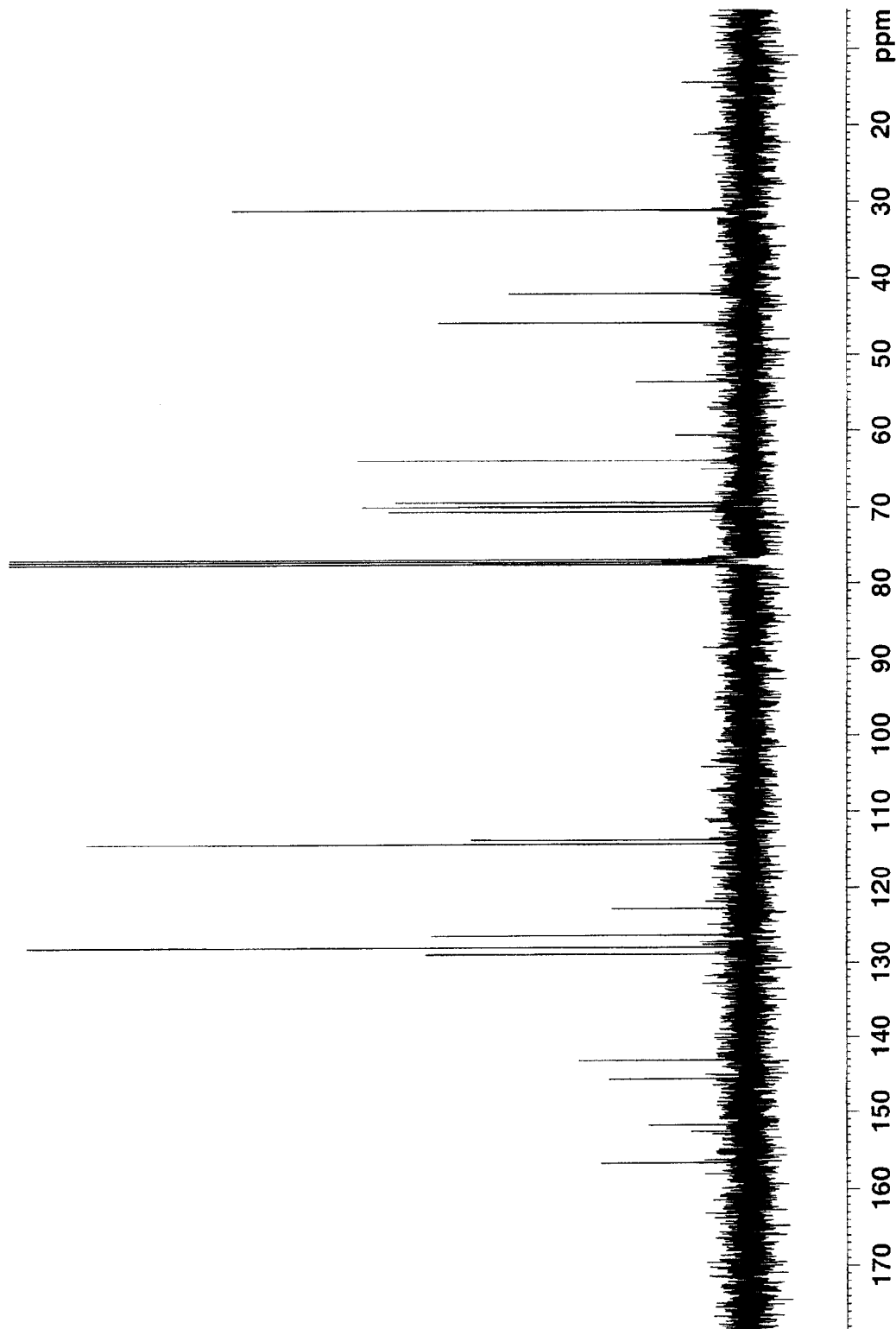
13C

NAME JKZ-081
EXPNO 2
PROCNO 1
Date_ 20130809
Time_ 10.42
INSTRUM SPECT
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PULPROG zgpg30
TD 32768
SOLVENT CDCl3
NS 138
DS 2
SWH 25125.629 Hz
FIDRES 0.766773 Hz
AQ 0.6521332 s
RG 23170.5
DW 19.900 us
DE 16.00 us
TE 299.4 K
D1 1.00000000 se
D11 0.03000000 se
TDO 1

===== CHANNEL f1 =====
NUC1 13C
P1 7.70 us
PL1 -3.00 dB
PL1W 71.66977692 W
SFO1 100.6379188 MHz

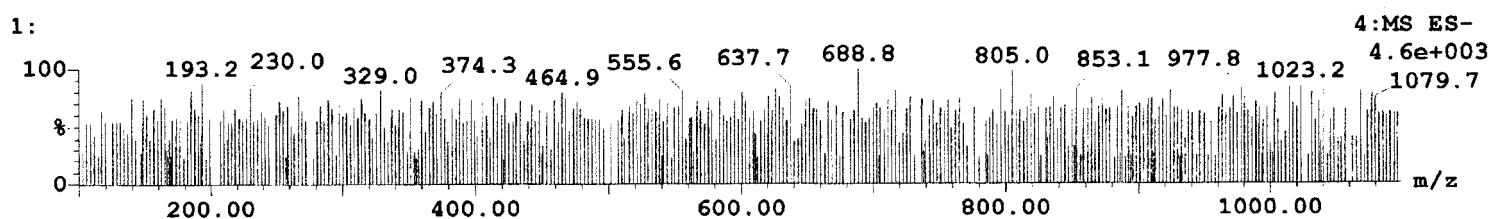
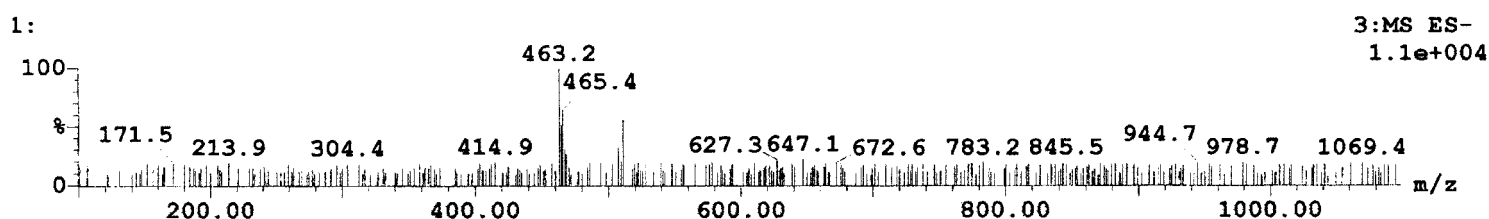
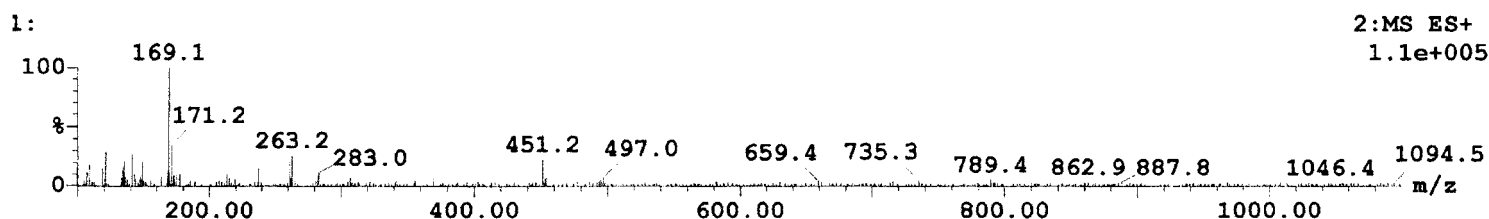
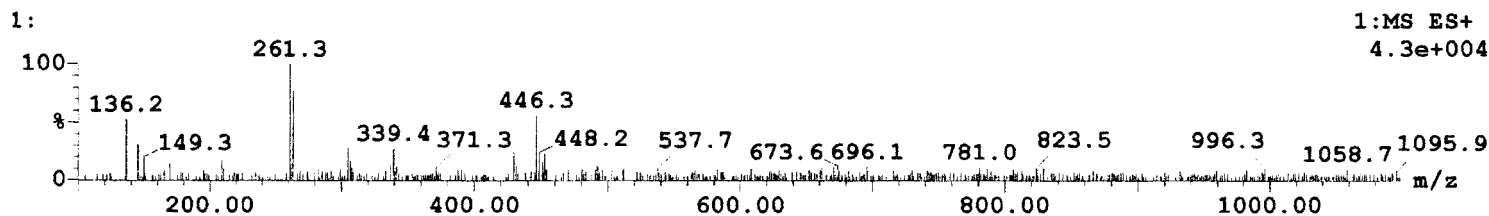
===== CHANNEL f2 =====
CPDPRG2 waltz16
NUC2 1H
PCPD2 90.00 us
PL2 0.00 dB
PL12 16.04 dB
PL13 20.00 dB
PL2W 15.07131863 W
PL12W 0.37510353 W
PL13W 0.15071319 W
SFO2 400.1916008 MHz
SI 32768
SF 100.6278439 MHz
WDW EM
SSB 0
LB 1.00 Hz
GB 0
PC 1.00

PCT/CA2014/000685



Printed: Wed Aug 14 12:26:38 2013

Sample Report (continued):

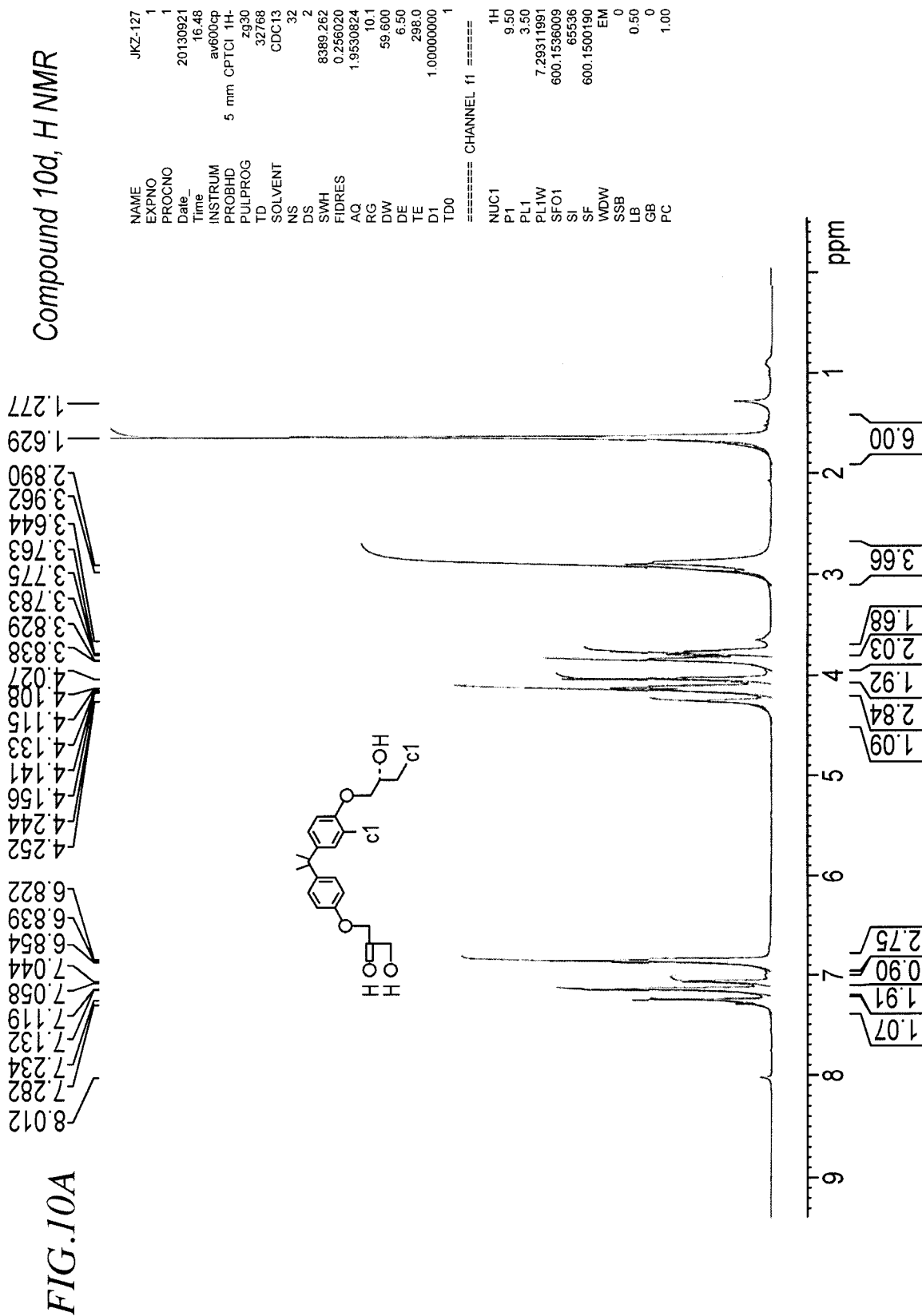


No elements were found.

FIG. 9C

Compound 9d, MS

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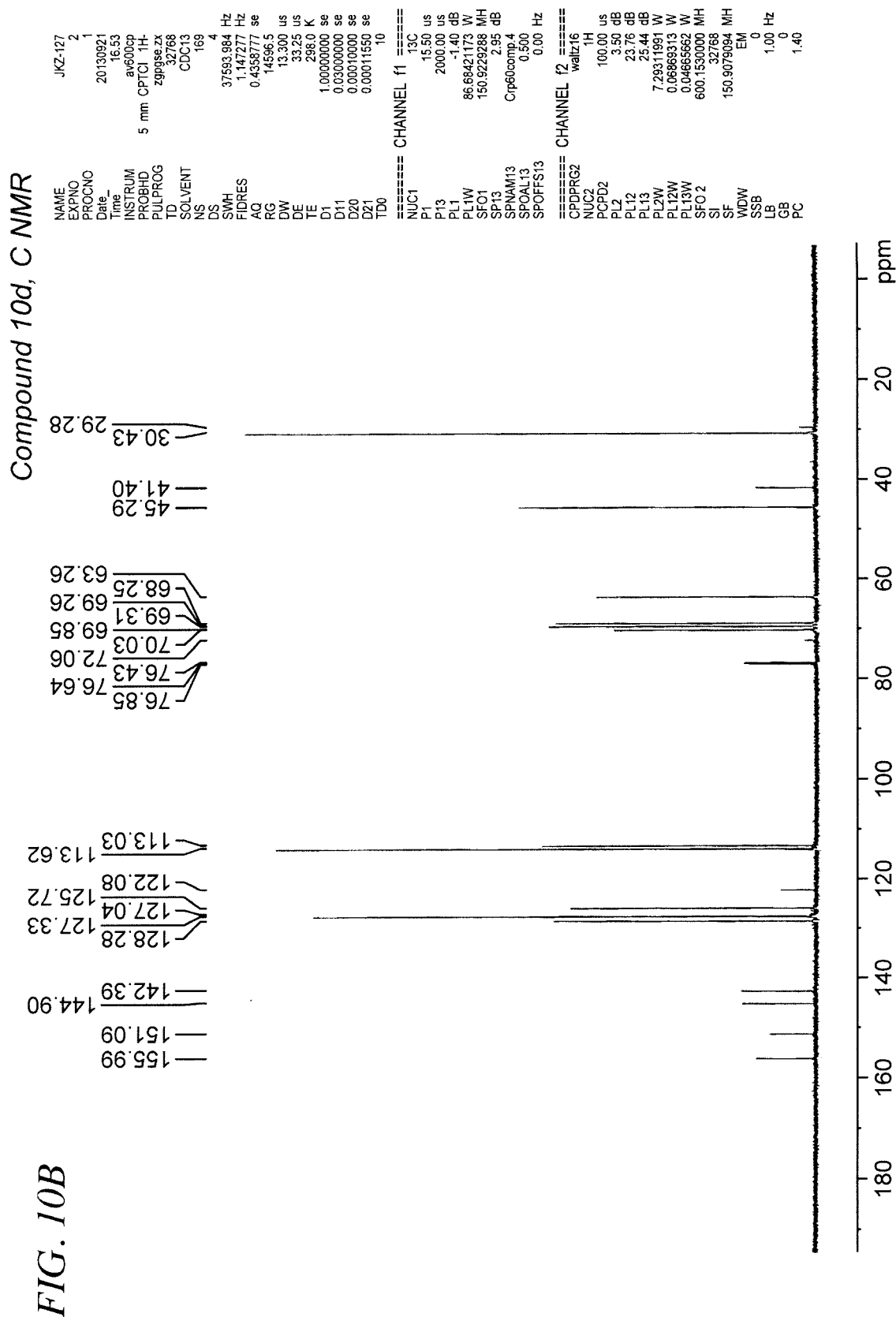


FIG. 10B

File: Andersen128-1

Sample: 1

Date: 23-Sep-2013

Time: 14:21:52

Group Name: Andersen

Method: C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.oip

User Sample ID: JKZ-127

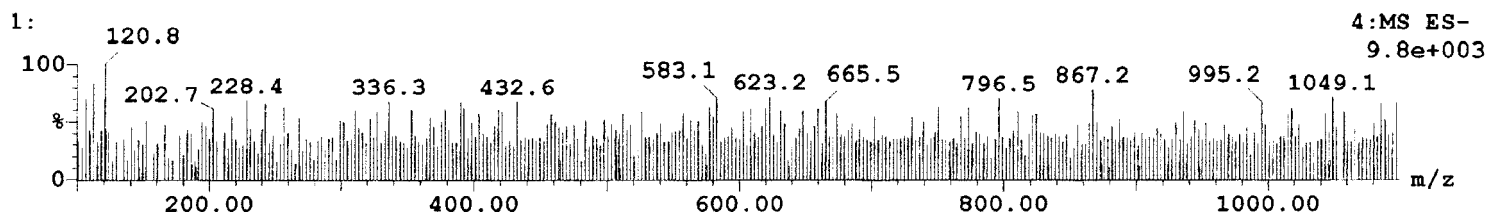
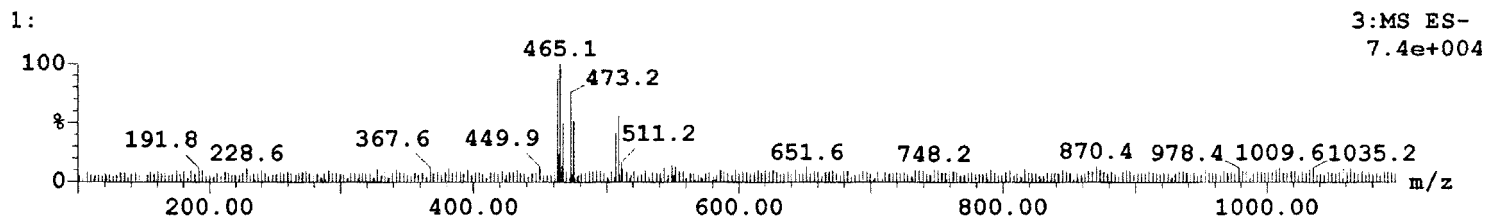
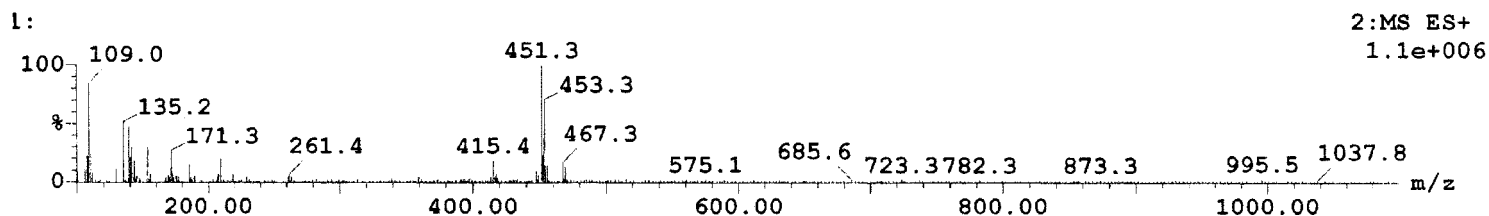
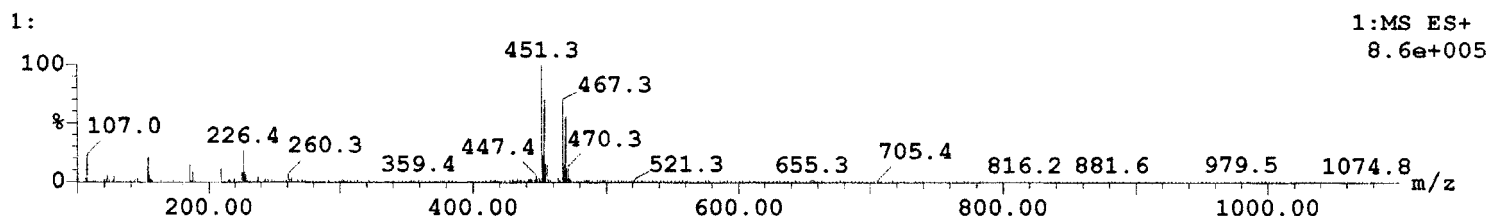
OAMS#: Andersen128-1

Vial: 1:91

Printed: Mon Sep 23 14:30:13 2013

Sample Report:

Sample 1 Instrument Method C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.oip



No elements were found.

Sample 2 Instrument Method C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.oip

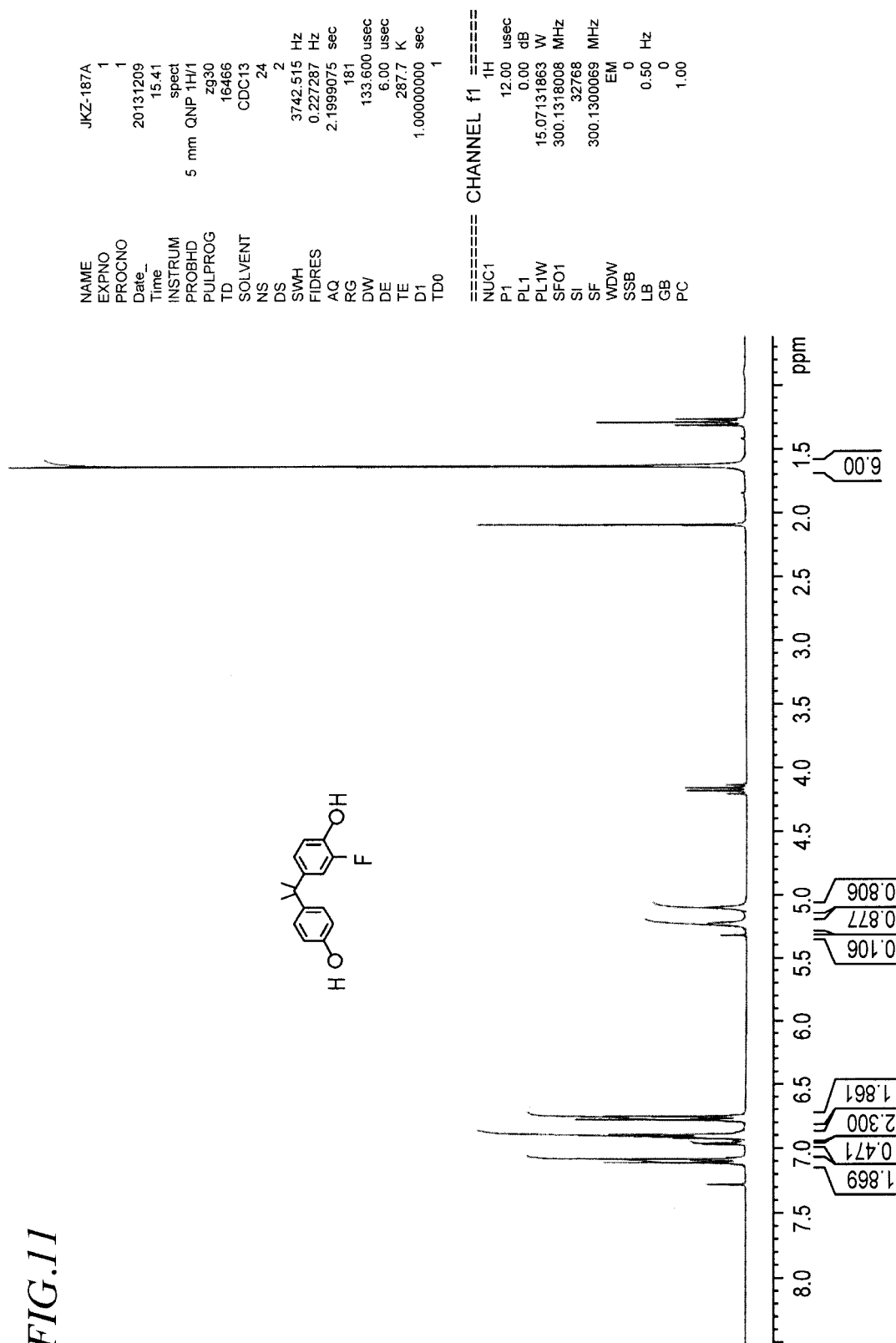
FIG. 10C

Compound 10d, MS

26/36

Compound v-F, ¹H NMR

FIG. 11



Compound iv-F, ^1H NMR

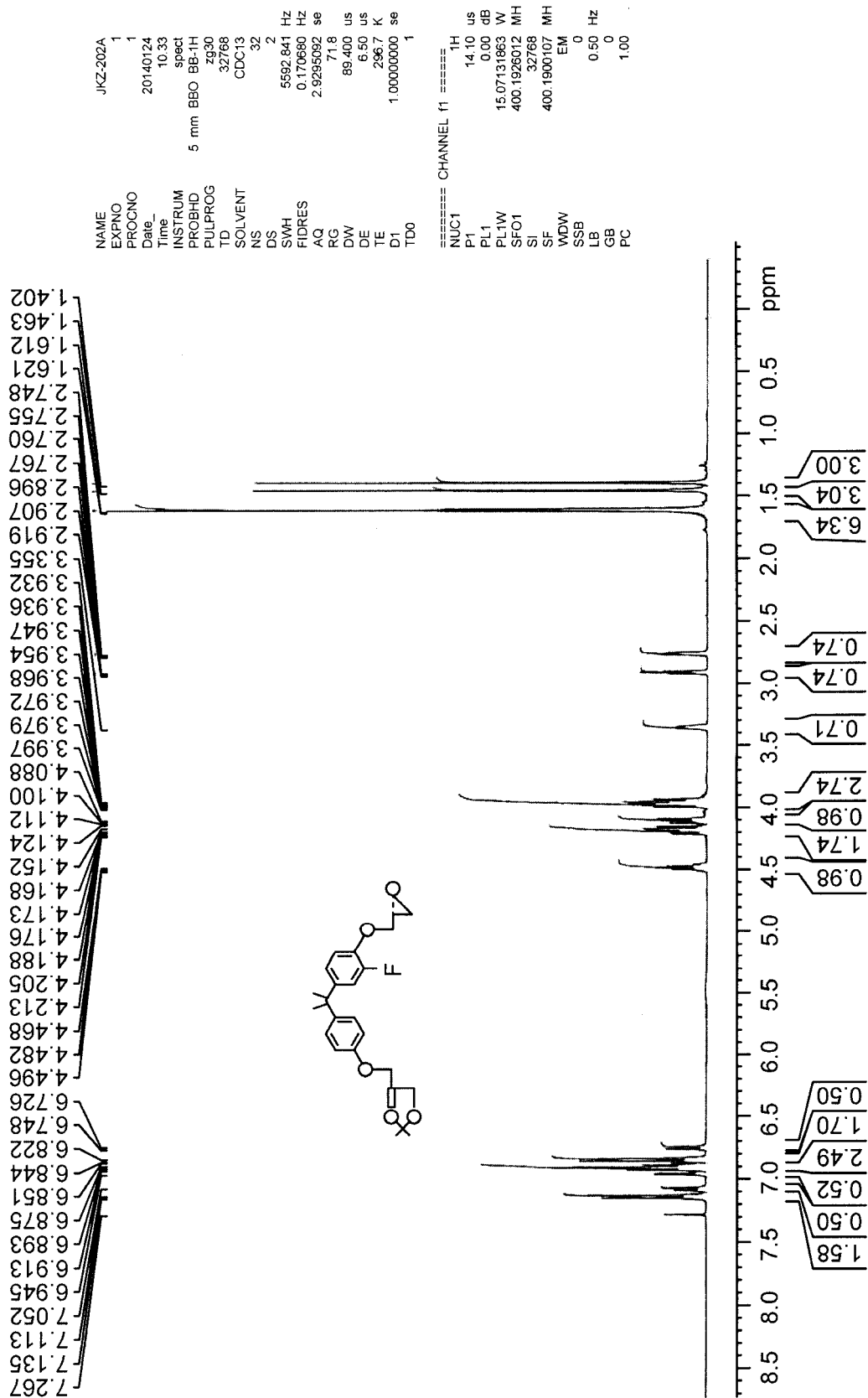
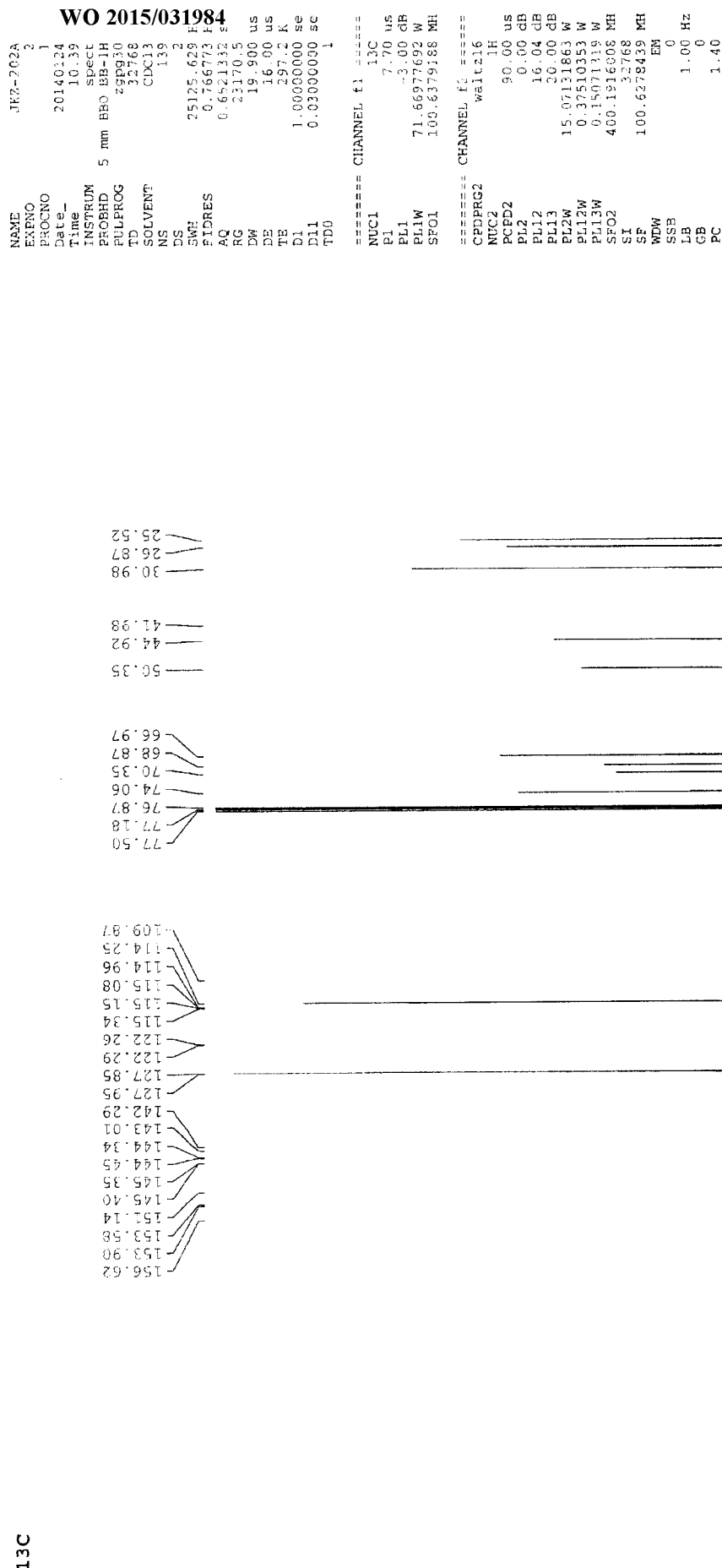


FIG. 12B

Compound iv-F, ¹³C NMR

13C



PCT/CA2014/000685

Compound 11d, ¹H NMR

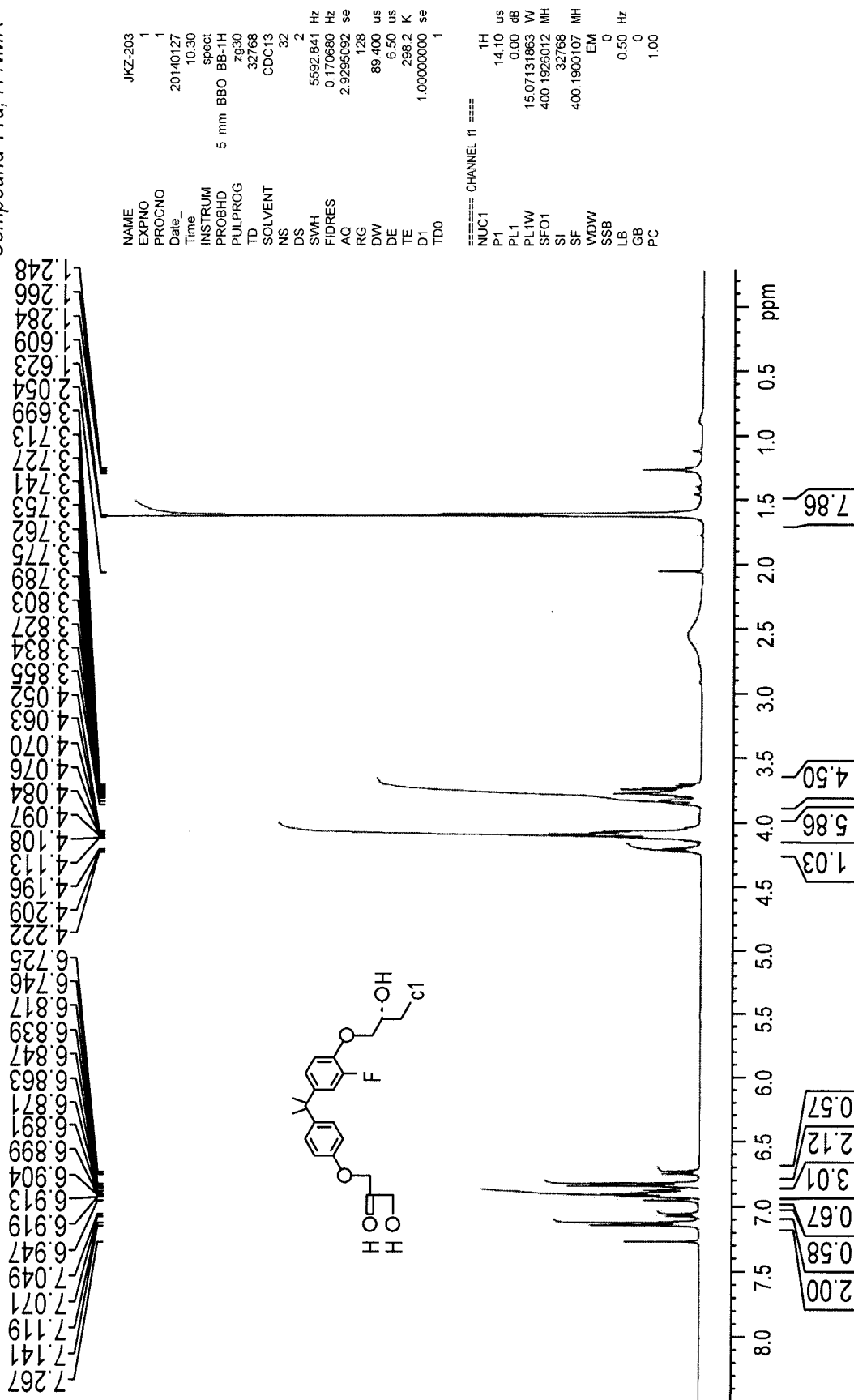
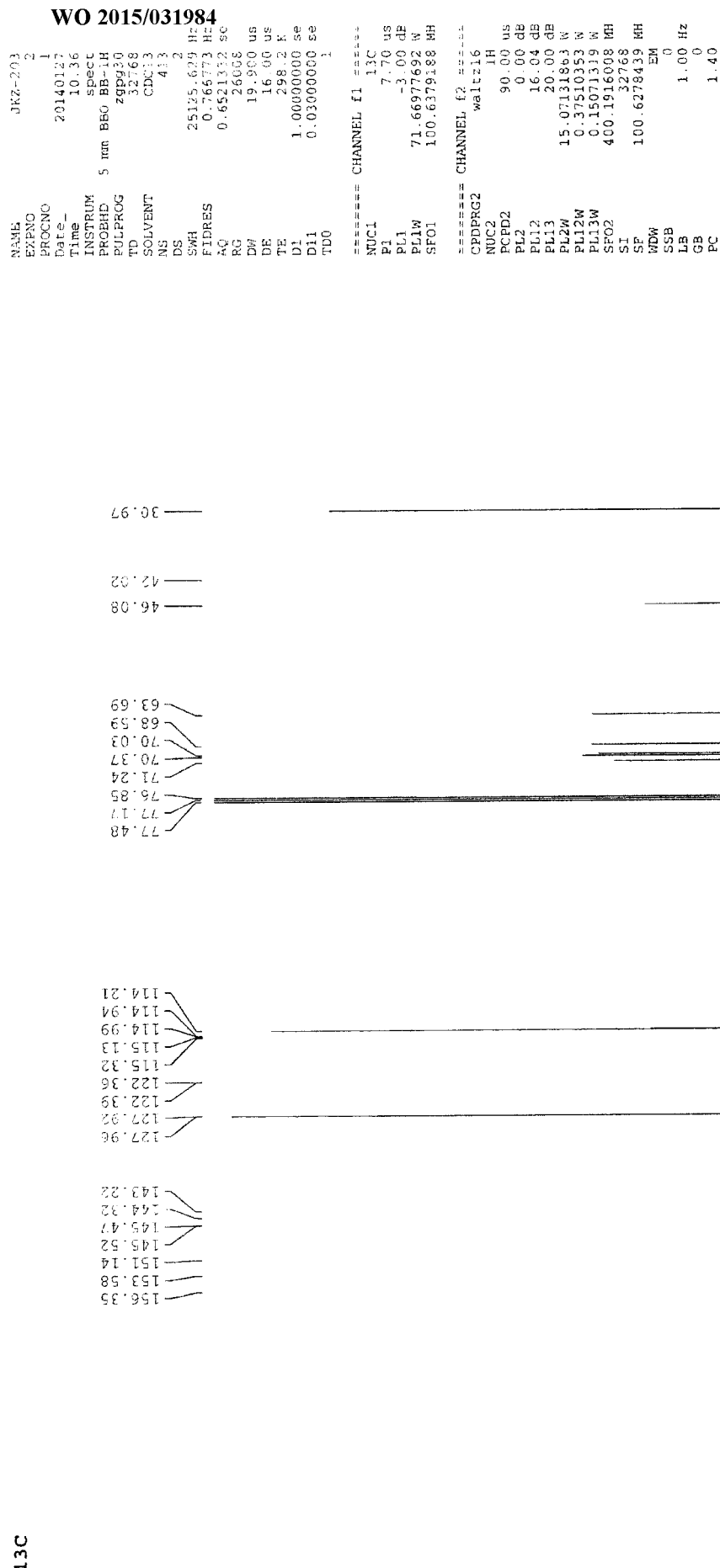


FIG. 13B

Compound 11d, ¹H NMR

13C



File: Andersen179-1

Sample: 1

Date: 27-Jan-2014

Time: 11:30:11

Group Name: Andersen

Method: C:\MassLynx\OALogin\OAMethods\MEOH_FIA_ES_1.olp

User Sample ID: JKZ-203

OAMS#: Andersen179-1

Vial: 1:114

Printed: Mon Jan 27 11:34:34 2014

Sample Report:

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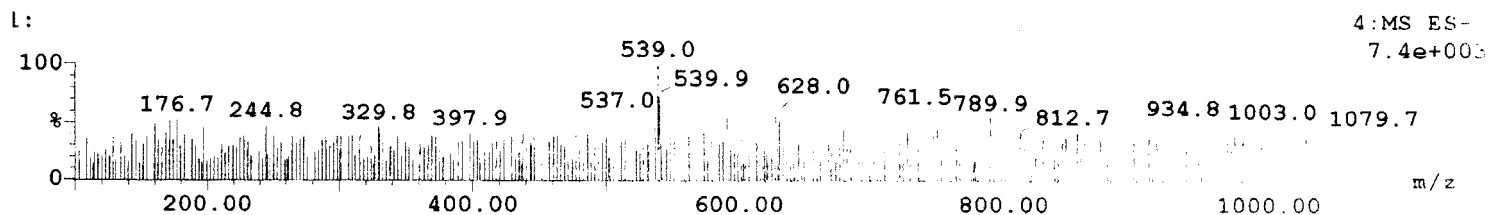
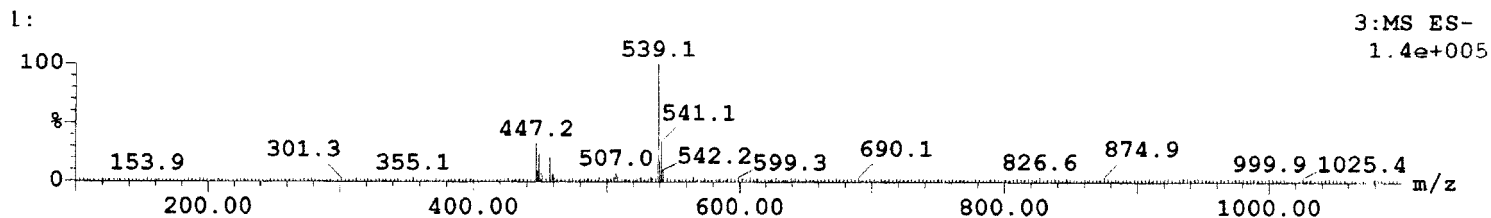
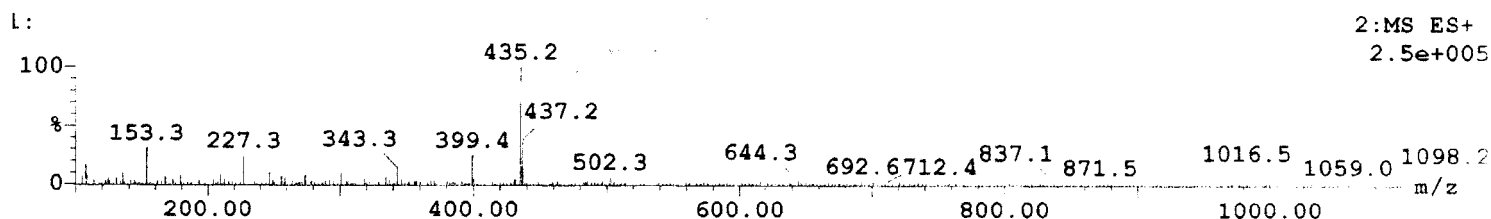
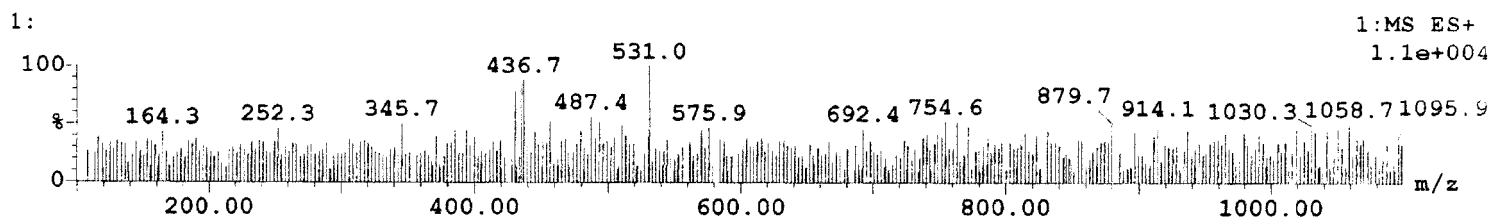


FIG. 13C

Compound 11d, MS

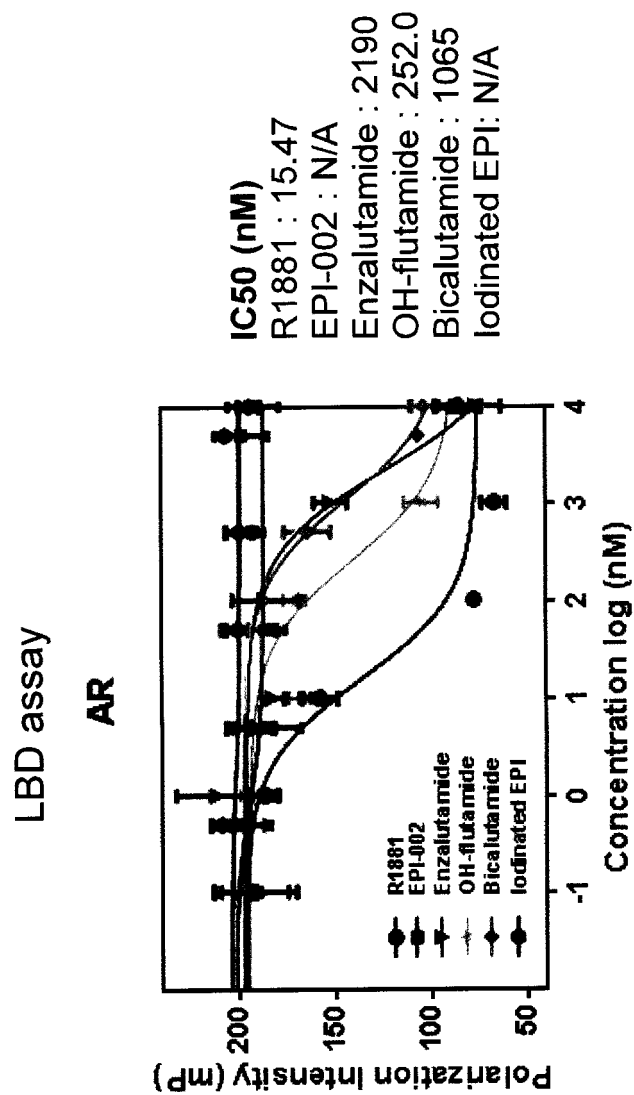
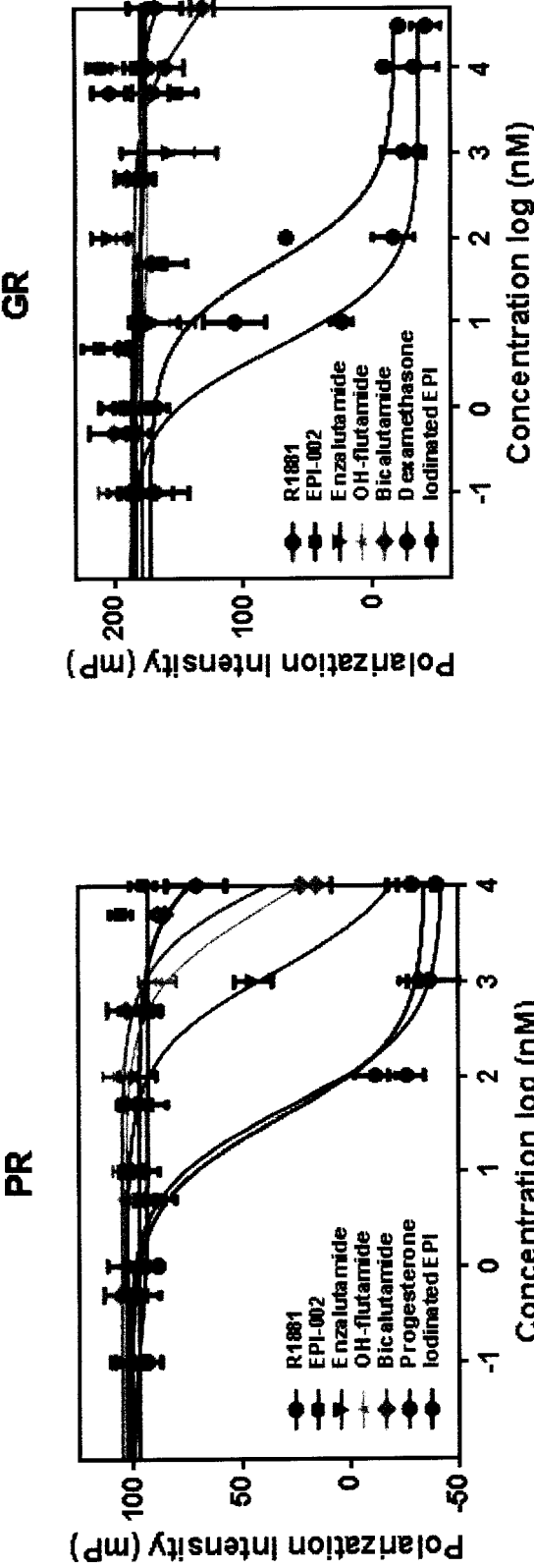


FIG. 14A

LBD assay



IC50 (nM)
R1881 : 34.54
EPI-002 : N/A
Enzalutamide : 1253
OH-flutamide : 5533
Bicalutamide : 14234
Progesterone : 44.93
Iodinated EPI : N/A

IC50 (nM)
R1881 : 48.47
EPI-002 : N/A
Enzalutamide : N/A
OH-flutamide : N/A
Bicalutamide : N/A
Dexamethasone : 4.896
Iodinated EPI : N/A

FIG. 14B

FIG. 14C

LBD assay

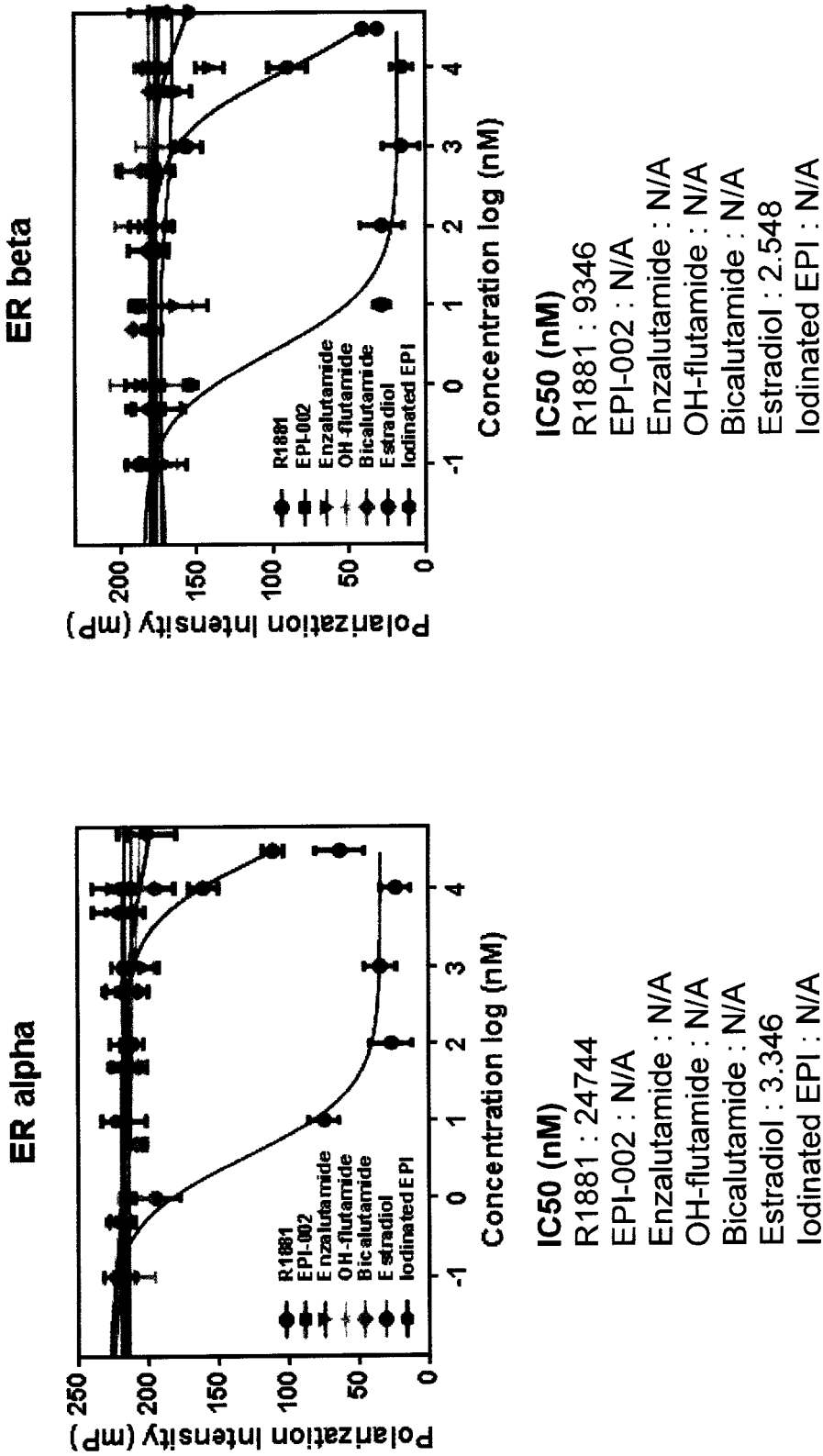


FIG. 14D

FIG. 14E

Binding assay of recombinant proteins and ¹²³I-EPI-002

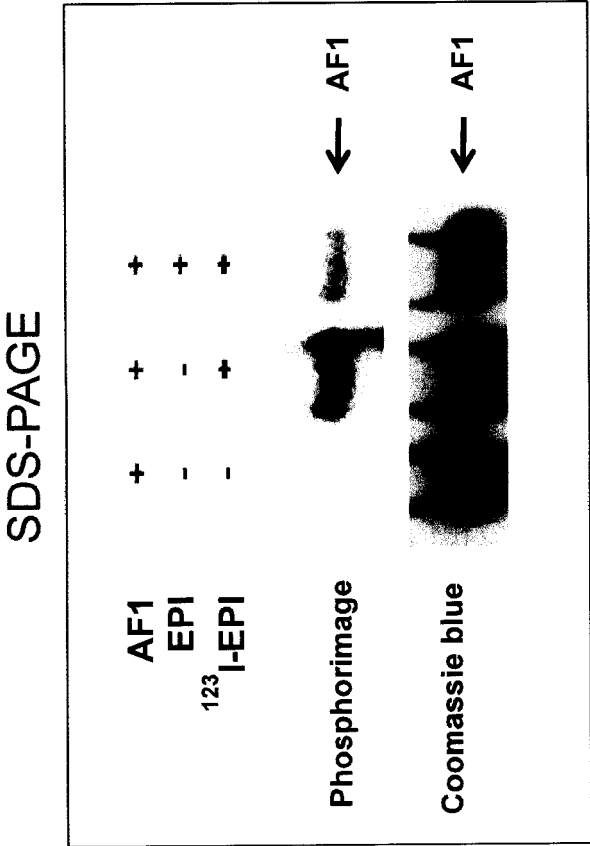


FIG. 15

Cell viability and proliferation assay

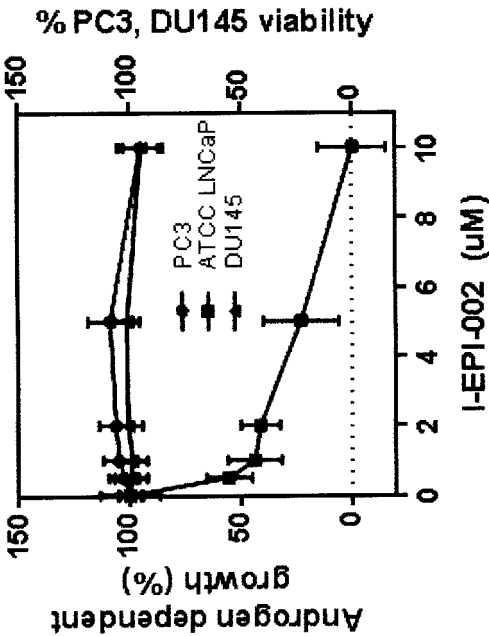


FIG. 16