

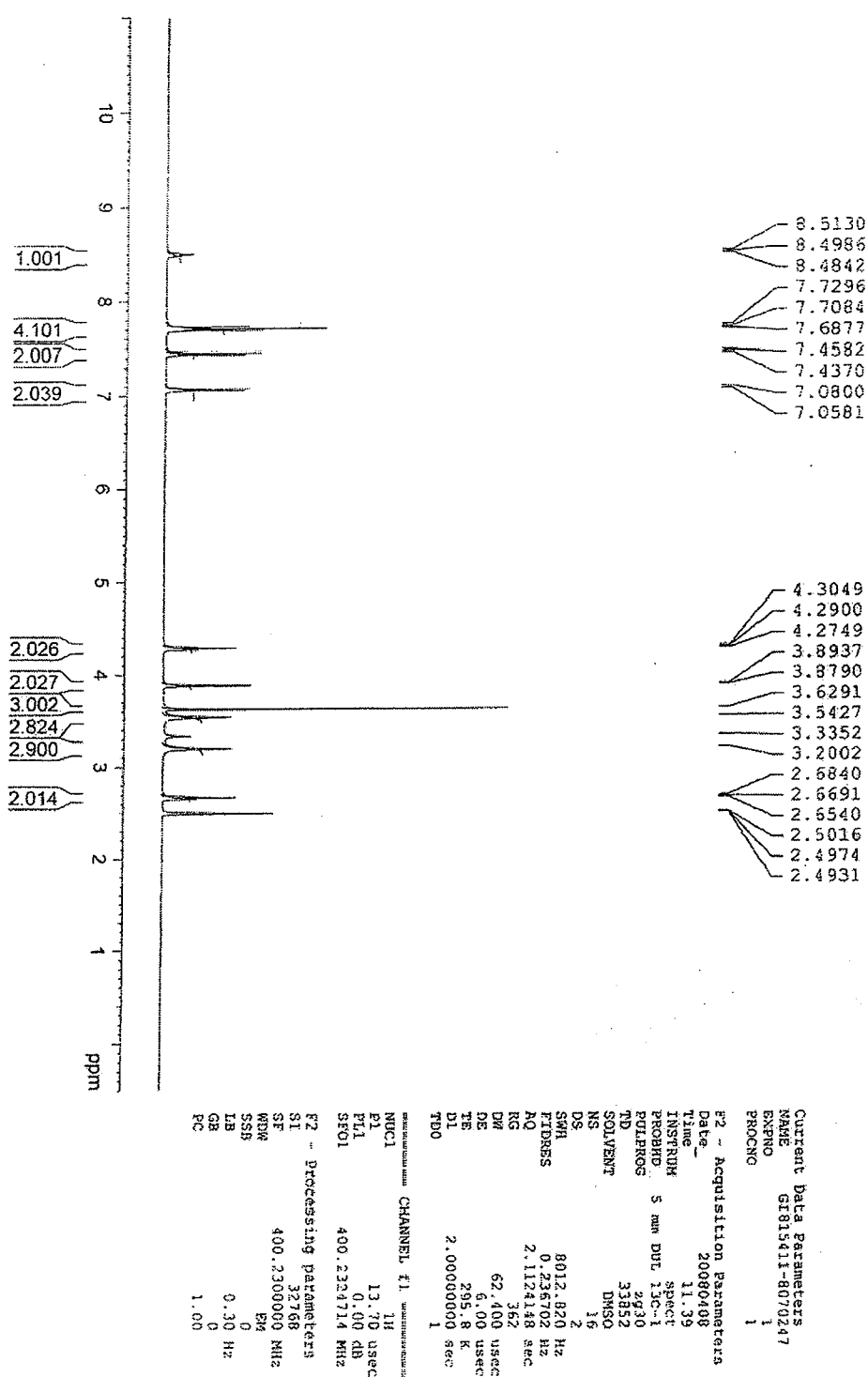
Figure 1 - ¹H-NMR spectrum (400 MHz) of the compound of Example 1:

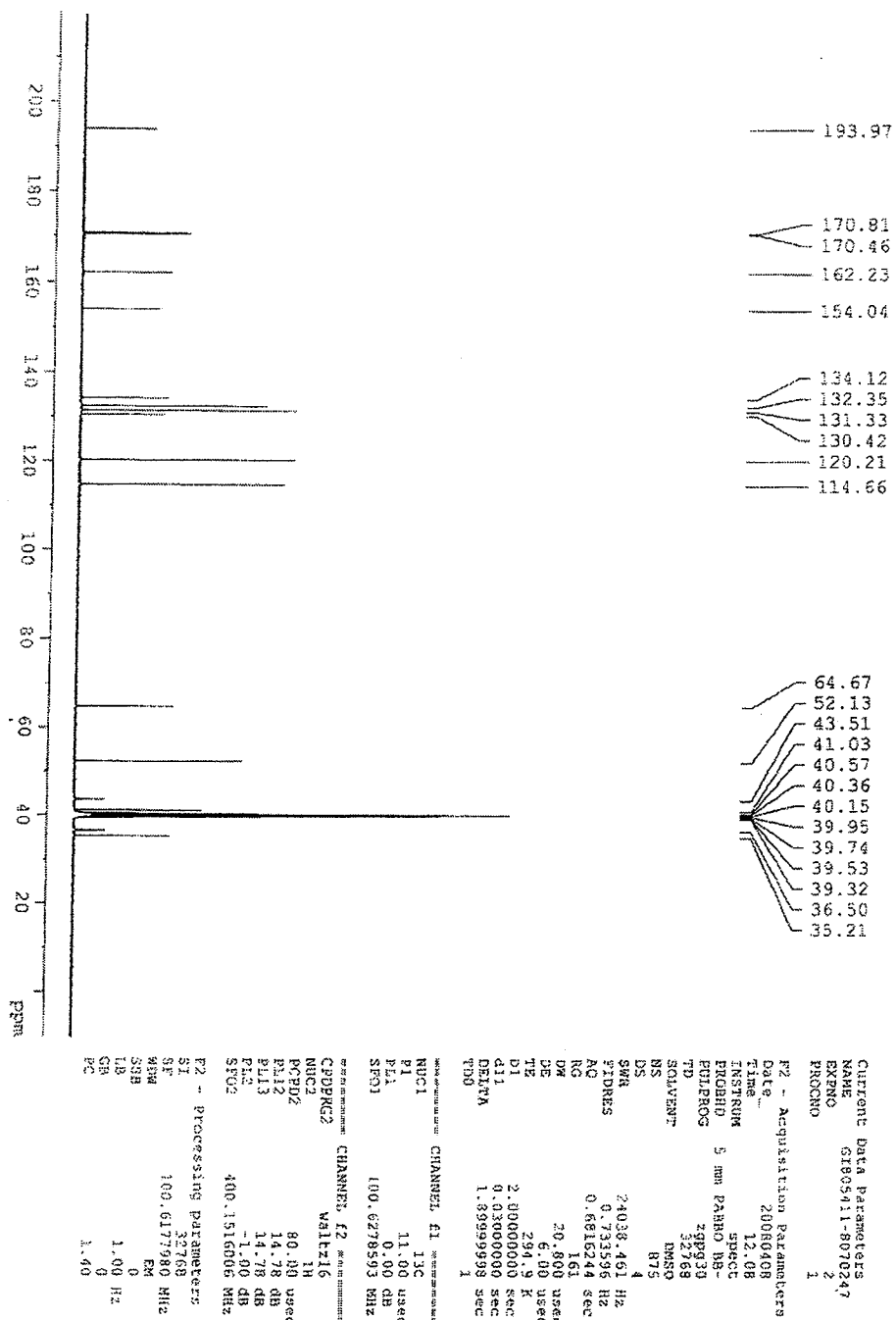
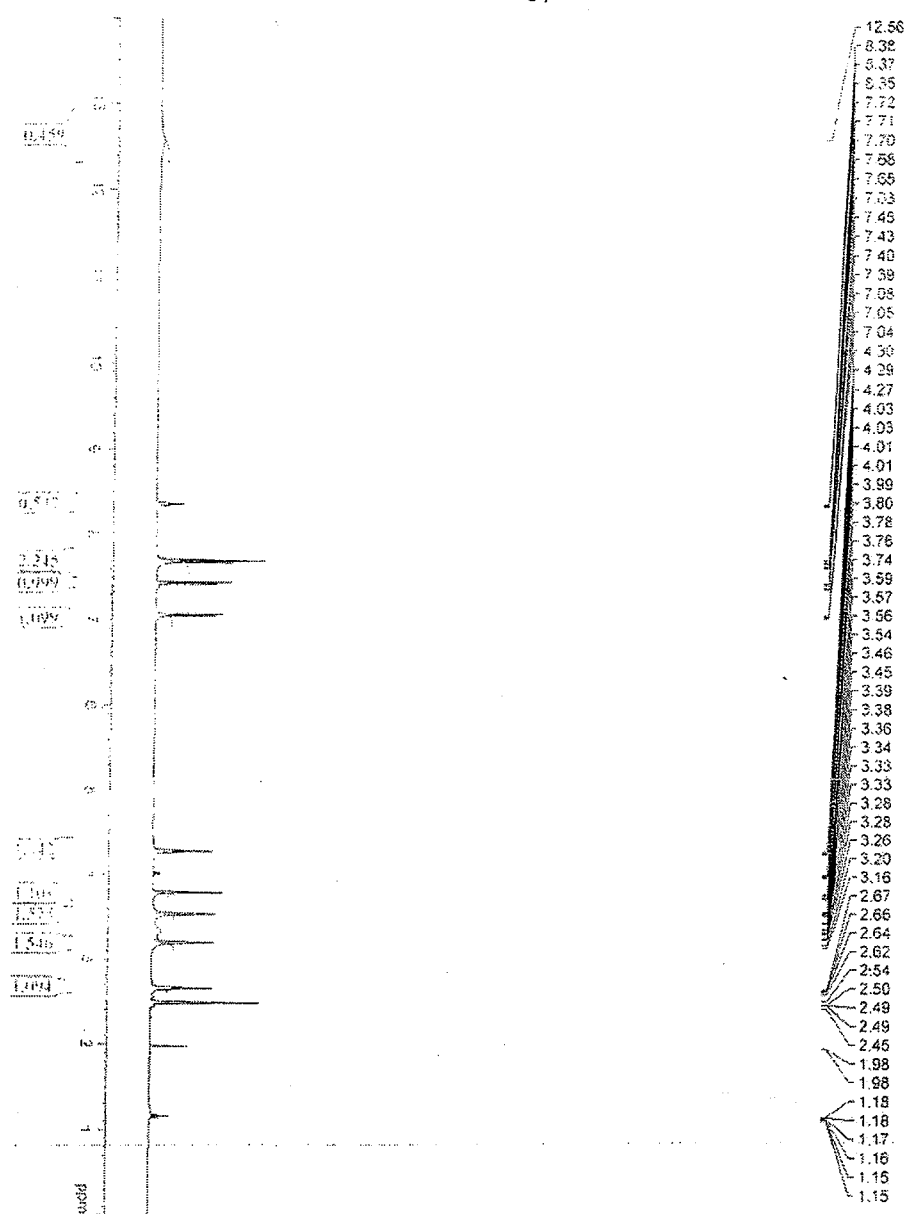
Figure 2 - ^{13}C -NMR spectrum (100 MHz) of the compound of Example 1:

Figure 3 - ¹H-NMR spectrum (400 MHz) of the compound of Example 2:



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Current Data Parameters
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EXPNO     1
PROCNO    1
F2 - Acquisition Parameters
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Time      17.18
INSTRUM   spect
PROBHD    5 mm BBO BB-1H
PULPROG   zgpg30
TD         65536
SOLVENT   DMSO
NS         4
DS         0
SWH        12.146 MHz
FIDRES     0.734536 Hz
AQ         2.0417109 sec
RG         456.1
BW         60.400 MHz
DE         6.00 MHz
TE         296.2 K
DQ         1.0868000 sec
ECO        1
=====
NAME      1H
PROC1     1
SI         10.00 usec
RG1        2.00 dB
SFO1       400.126176 MHz
F2 - Processing parameters
SI         32768
SF         400.230000 MHz
WDW         EM
SSB         0
LB          0.30 Hz
GB          0
PC          0.50
  
```

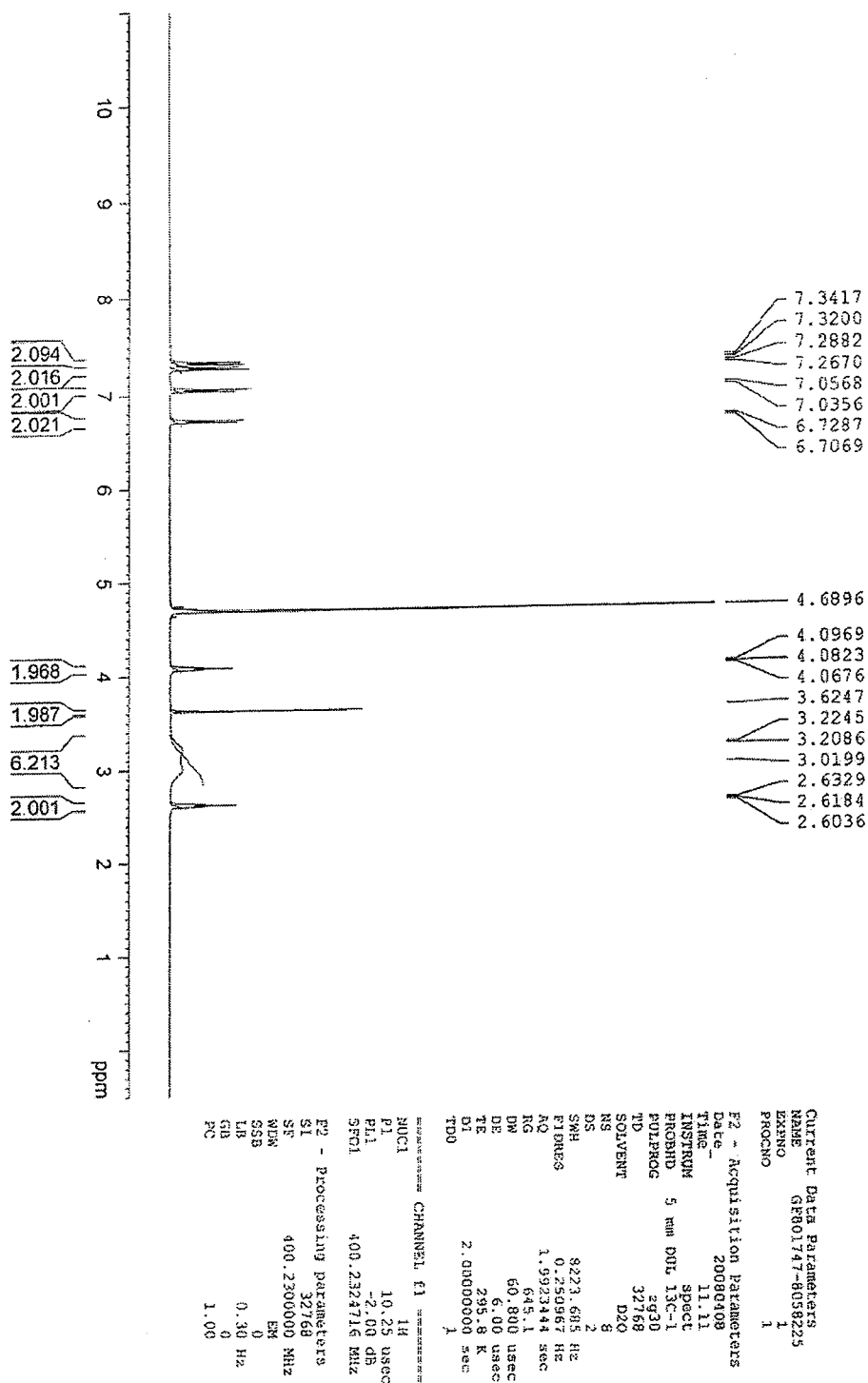
Figure 4 – ¹H-NMR spectrum (400 MHz) of the compound of Example 2 a):

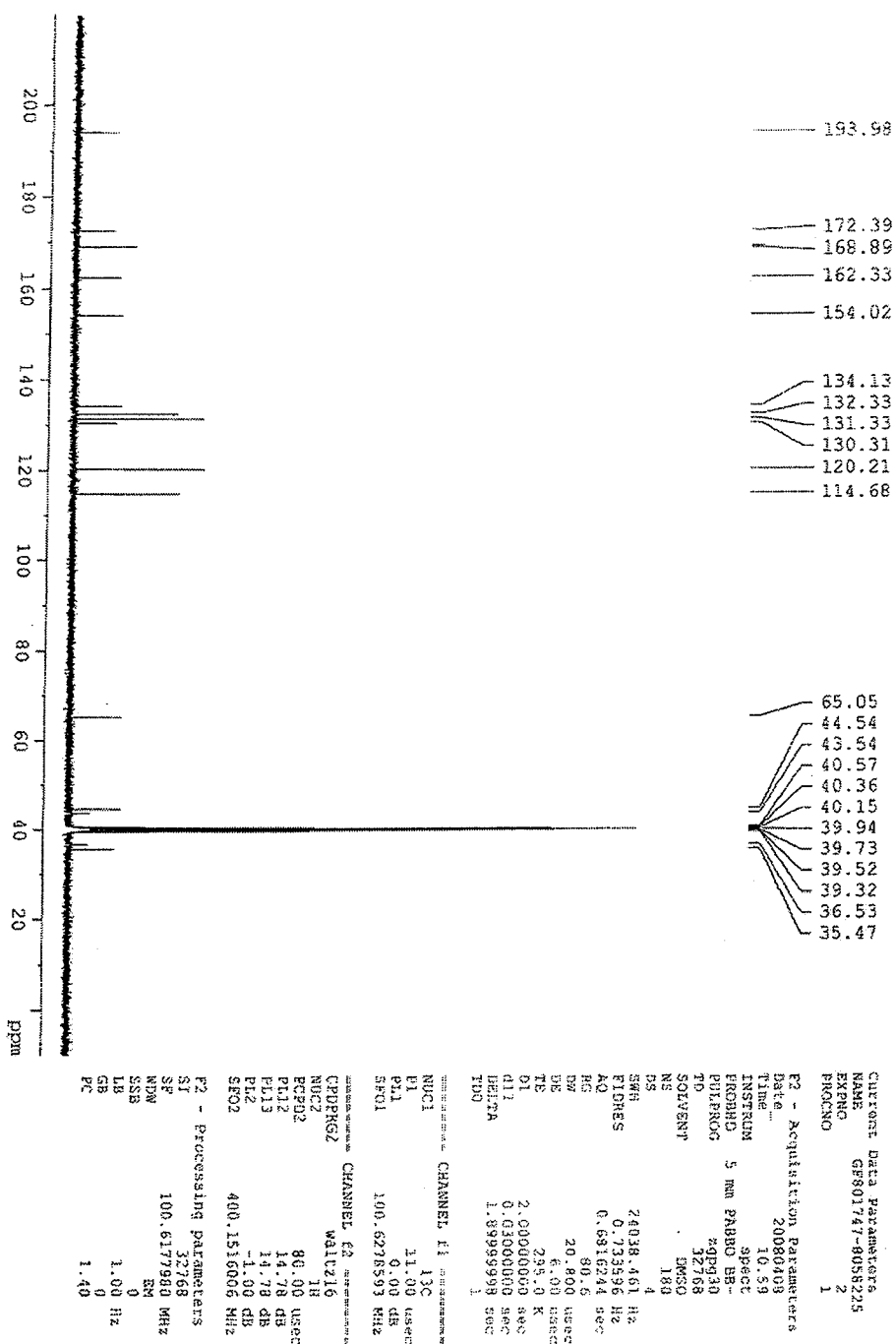
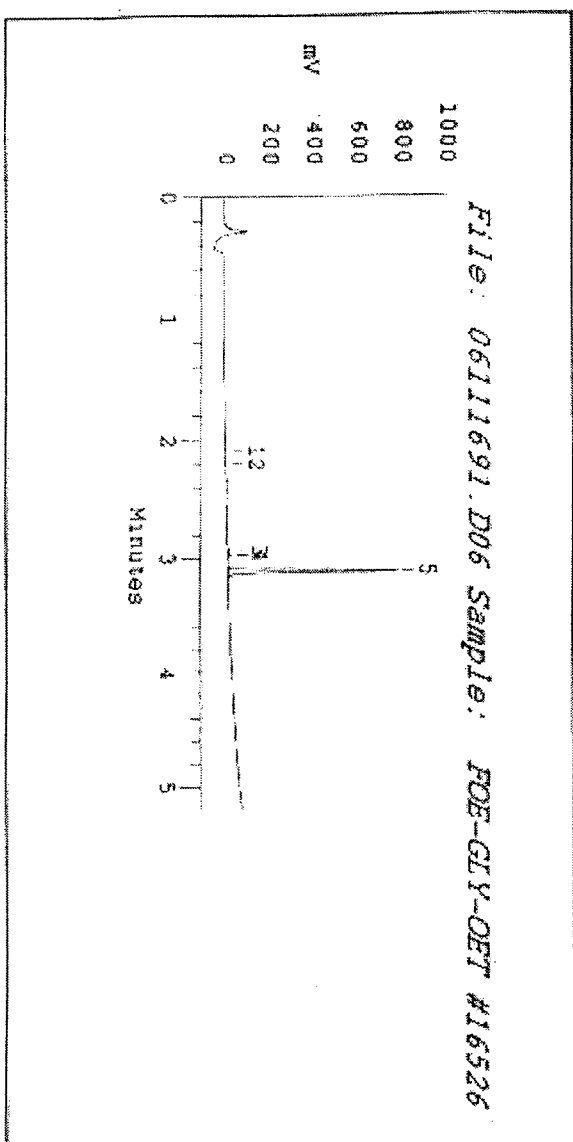
Figure 5 - ^{13}C -NMR spectrum (100 MHz) of the compound of Example 2 a):

Figure 6 – HPLC of the compound obtained in Example 3:

Purity (HPLC):

≥ 96 %



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HPLC-Column:

Chromolith Performance RP 18e 100-4.6 / linear gradient - flow 6 ml/min
detection at 220 nm

HPLC-Buffer:

A: 0.1% TFA in water - B: 0.1% TFA in CH₃CN

HPLC-Gradient:

0 % B to 100 % B in 5 min

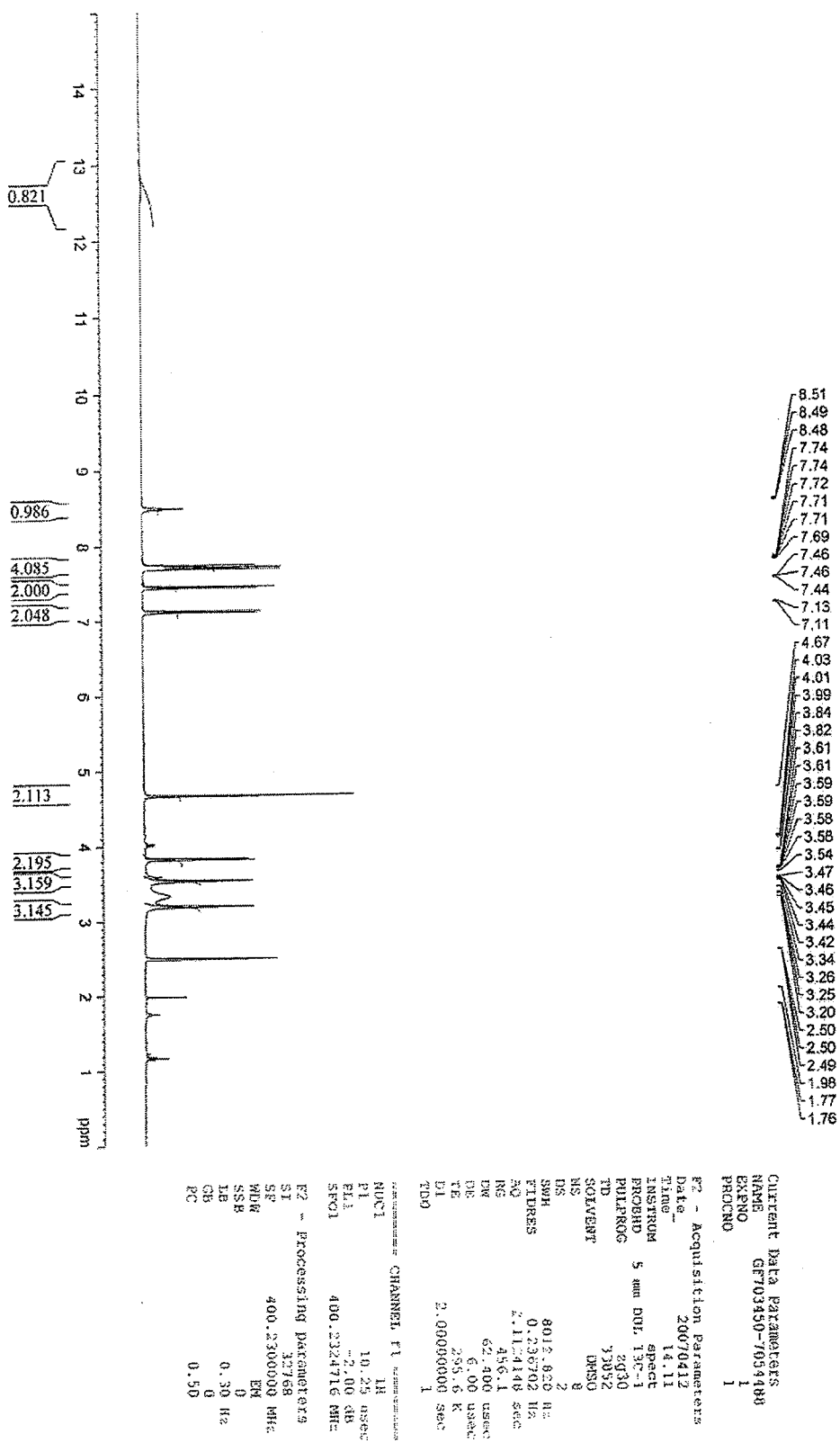
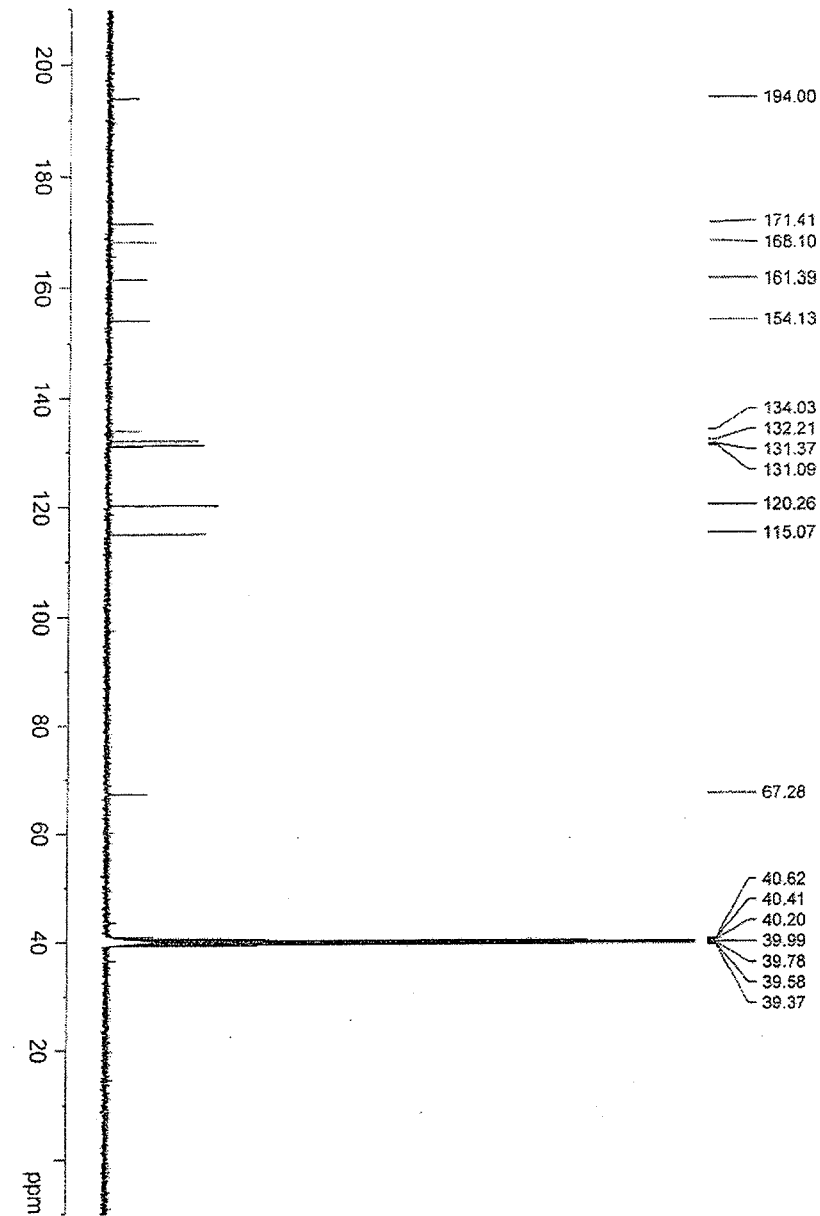
Figure 7 - ¹H-NMR spectrum (400 MHz) of the compound obtained in Example 4:

Figure 8 – ^{13}C -NMR spectrum (100 MHz) of the compound obtained in Example 4:

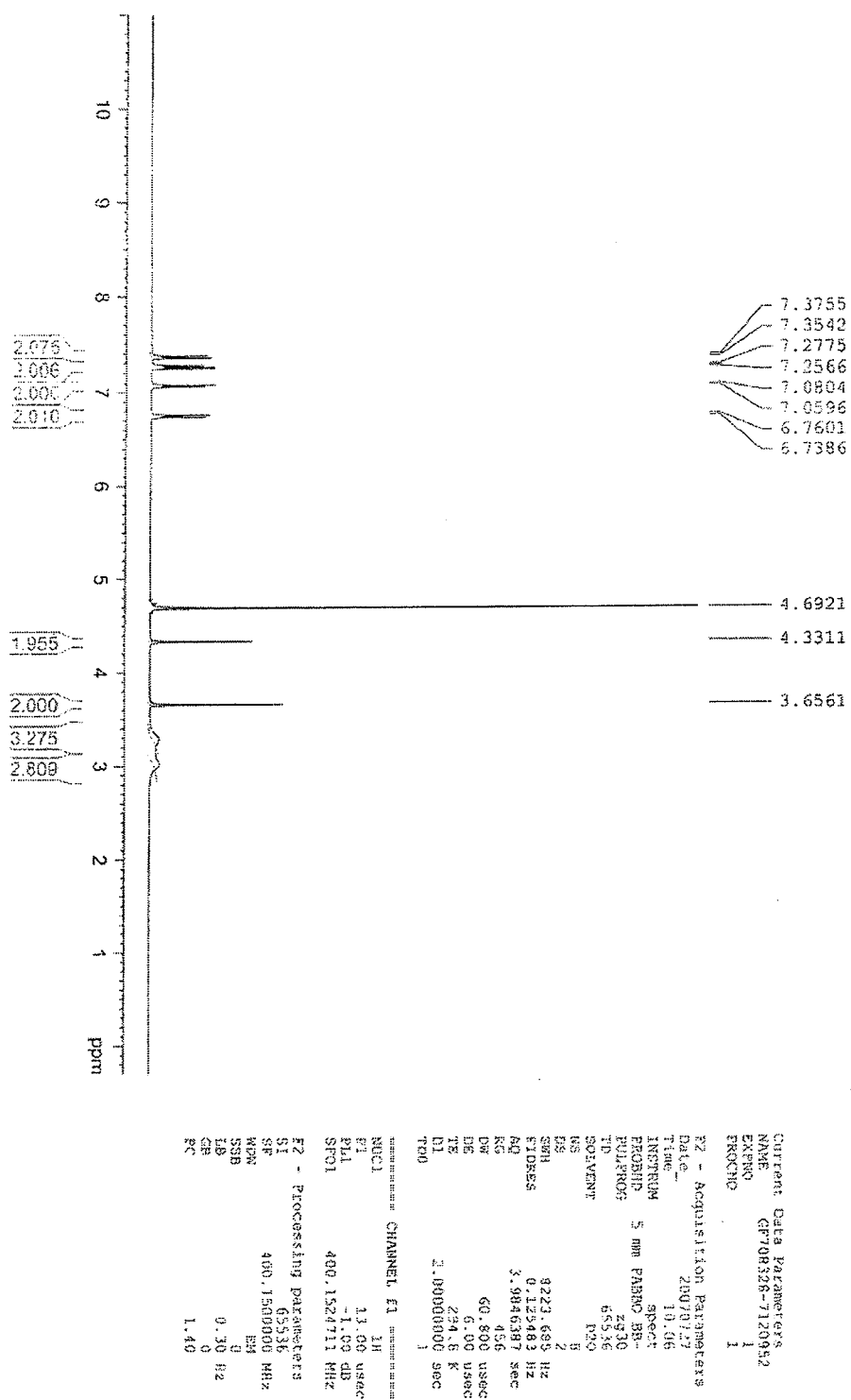
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EXPNO 2
PROCNO 1

F2 - Acquisition Parameters
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INSTRUM spect
PROBHD 5 mm PUL 13C-1
PULPROG zgpg30
TD 65536
SOLVENT DMSO
NS 750
DS 0
SWH 23980.814 Hz
FIDRES 0.366914 Hz
AQ 1.3664756 sec
RG 256
DE 20.850 usec
TE 297.0 K
B1 2.00000000 sec
d11 0.03000000 sec
DELTA 1.89999998 sec
TD0 1

CHANNEL F1 13C
NUC1 P1 8.65 usec
PL1 -2.00 dB
SFO1 100.6479773 MHz

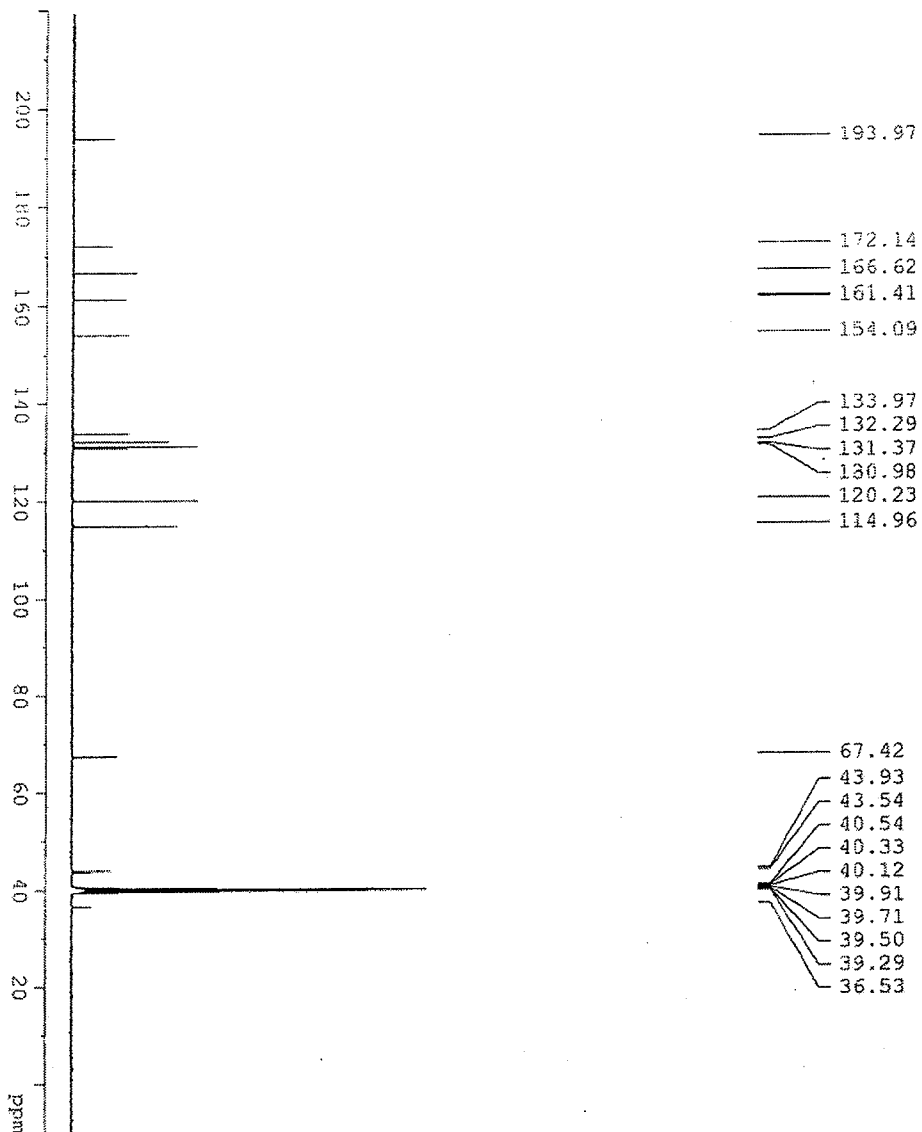
CHANNEL F2 waltz16
NUC2 1H
PCPDPRG2
PCPDPRG2 80.00 usec
PL2 0.00 dB
PL12 15.33 dB
PL13 15.33 dB
SFO2 400.2316009 MHz

F2 - Processing Parameters
SI 32768
SF 100.6379140 MHz
WDW EM
SSB 0
LB 1.00 Hz
GB 0
PC 1.40

Figure 9 – ¹H-NMR spectrum (400 MHz) of the compound obtained in Example 4a):

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Figure 10 - ^{13}C -NMR spectrum (100 MHz) of the compound obtained in Example 4a):

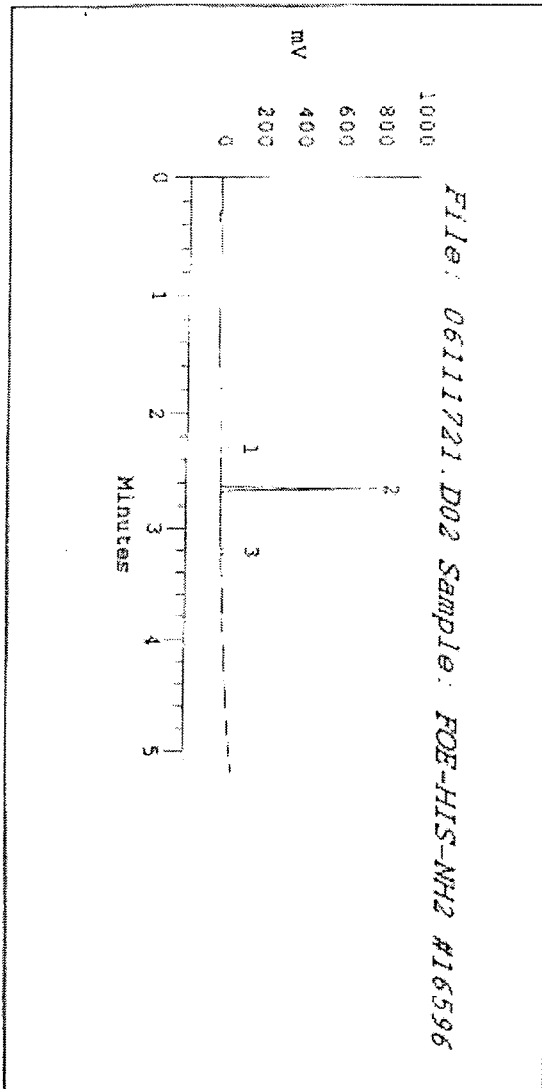


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 INSTRUM spect
 PROBNB 5 mm PABBO BB-
 PULPROG zgpg30
 TD 65536
 SOLVENT DMSO
 NS 312
 DS 4
 SMH 24038.461 Hz
 FIDRES 0.366798 Hz
 AQ 1.363198 sec
 RG 256
 CW 20.800 usec
 DE 6.00 usec
 TE 295.3 K
 D1 2.00000000 sec
 d11 0.03000000 sec
 DELTA 1.88999998 sec
 TBO 1
 ===== CHANNEL f1 =====
 NUC1 ^{13}C
 P1 11.00 usec
 PL1 0.00 dB
 SFO1 100.6278593 MHz
 ===== CHANNEL f2 =====
 CPDPRG2 waltz16
 NUC2 ^1H
 PCPD2 60.00 usec
 PL12 14.78 dB
 PL13 14.78 dB
 PL14 -1.00 dB
 SFO2 400.1516006 MHz
 F2 - Processing Parameters
 SI 32768
 SF 100.6177980 MHz
 WDG EM
 SSR 0
 LB 1.00 Hz
 GB 0
 PC 1.40

Figure 11: HPLC of the compound of Example 5:

Purity (HPLC):

≥ 95%



HPLC-Column:

Chromolith Performance RP 18e 100-4.6 / linear gradient - flow 6 ml/min
detection at 220 nm

HPLC-Buffer:

A: 0.1% TFA in water - B: 0.1% TFA in CH₃CN/

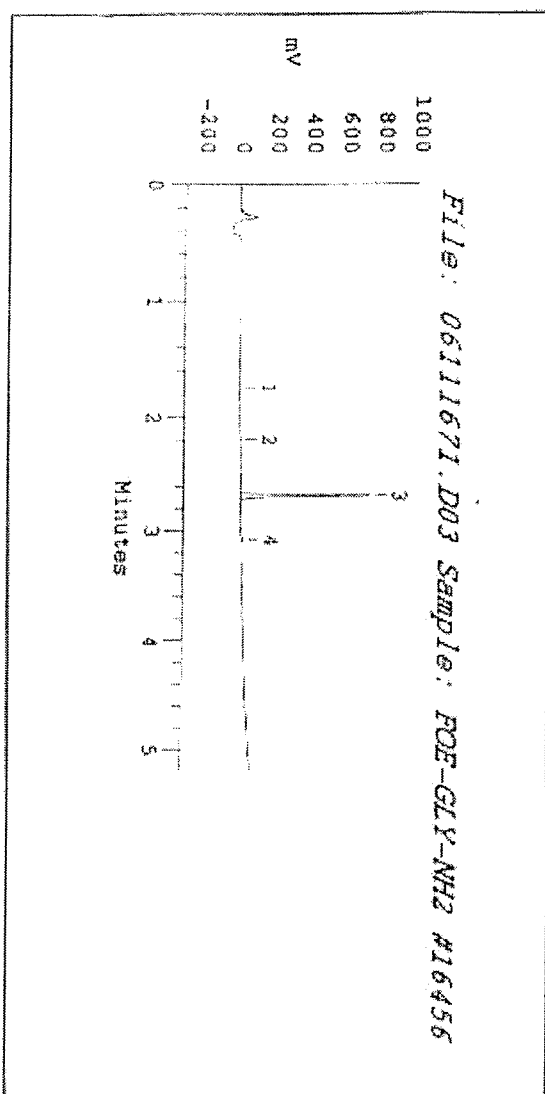
HPLC-Gradient:

0 % B to 100 % B in 5 min

Figure 12 - HPLC of the compound of Example 6:

Purity (HPLC):

> 96 %



HPLC-Column:

Chromolith Performance RP 18e 100-4,6 / linear gradient - Flow 6 ml/min
detection at 220 nm

HPLC-Buffer:

A: 0.1% TFA in water - B: 0.1% TFA in CH₃CN/

HPLC-Gradient:

0 % B to 100 % B in 5 min

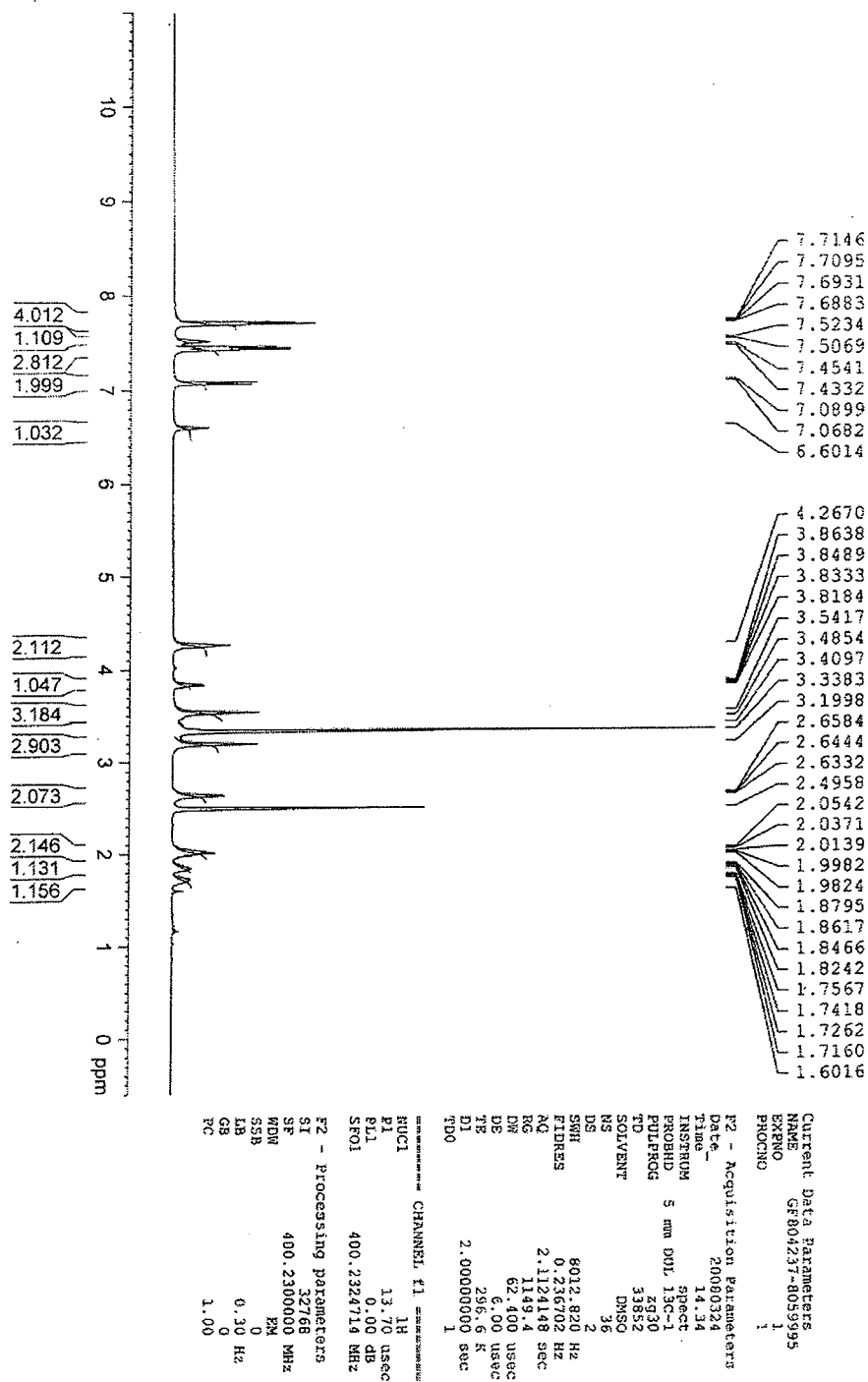
Figure 13 – ¹H-NMR spectrum (400 MHz) of the compound of Example 7

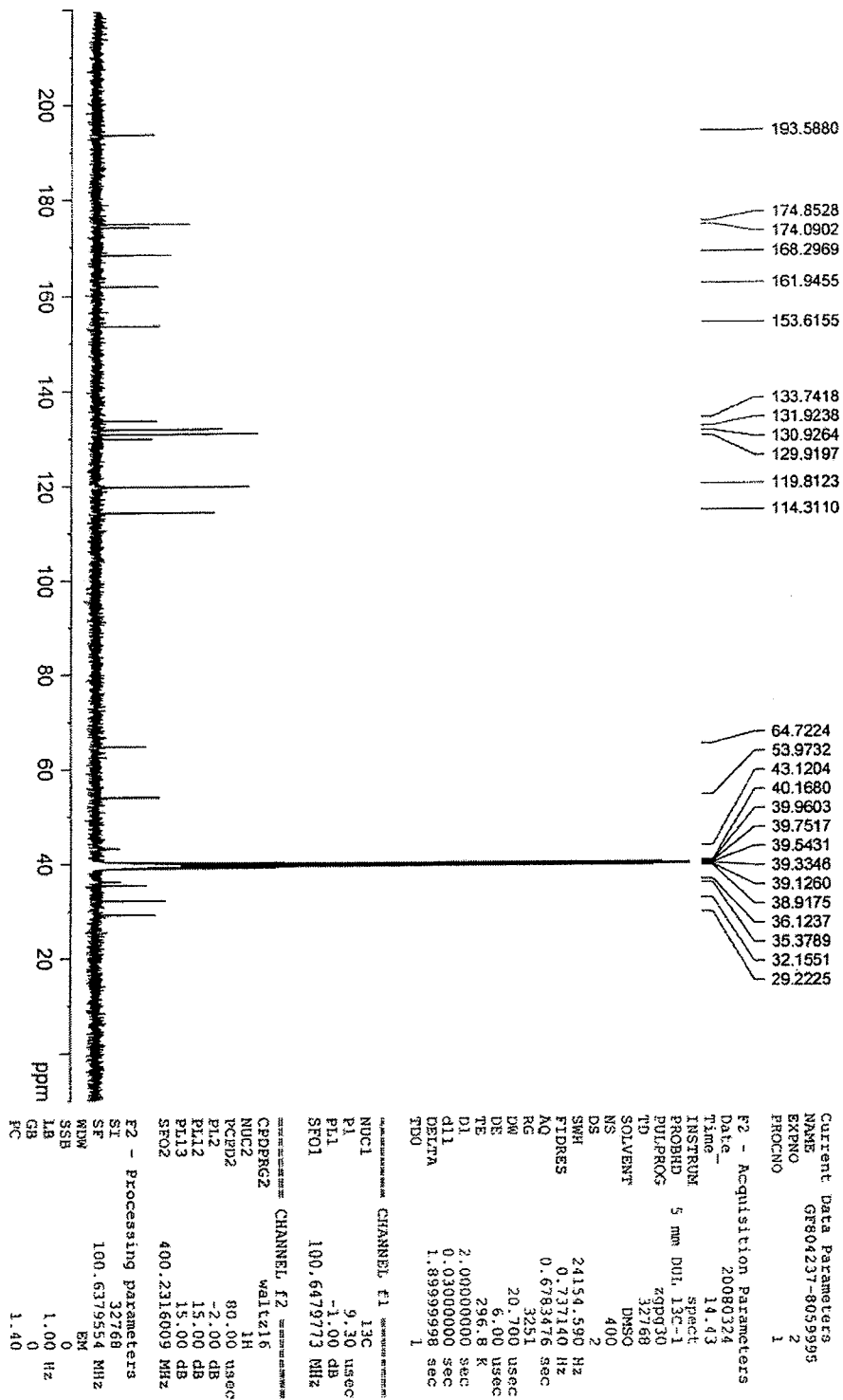
Figure 14 - ^{13}C -NMR spectrum (100 MHz) of the compound of Example 7:

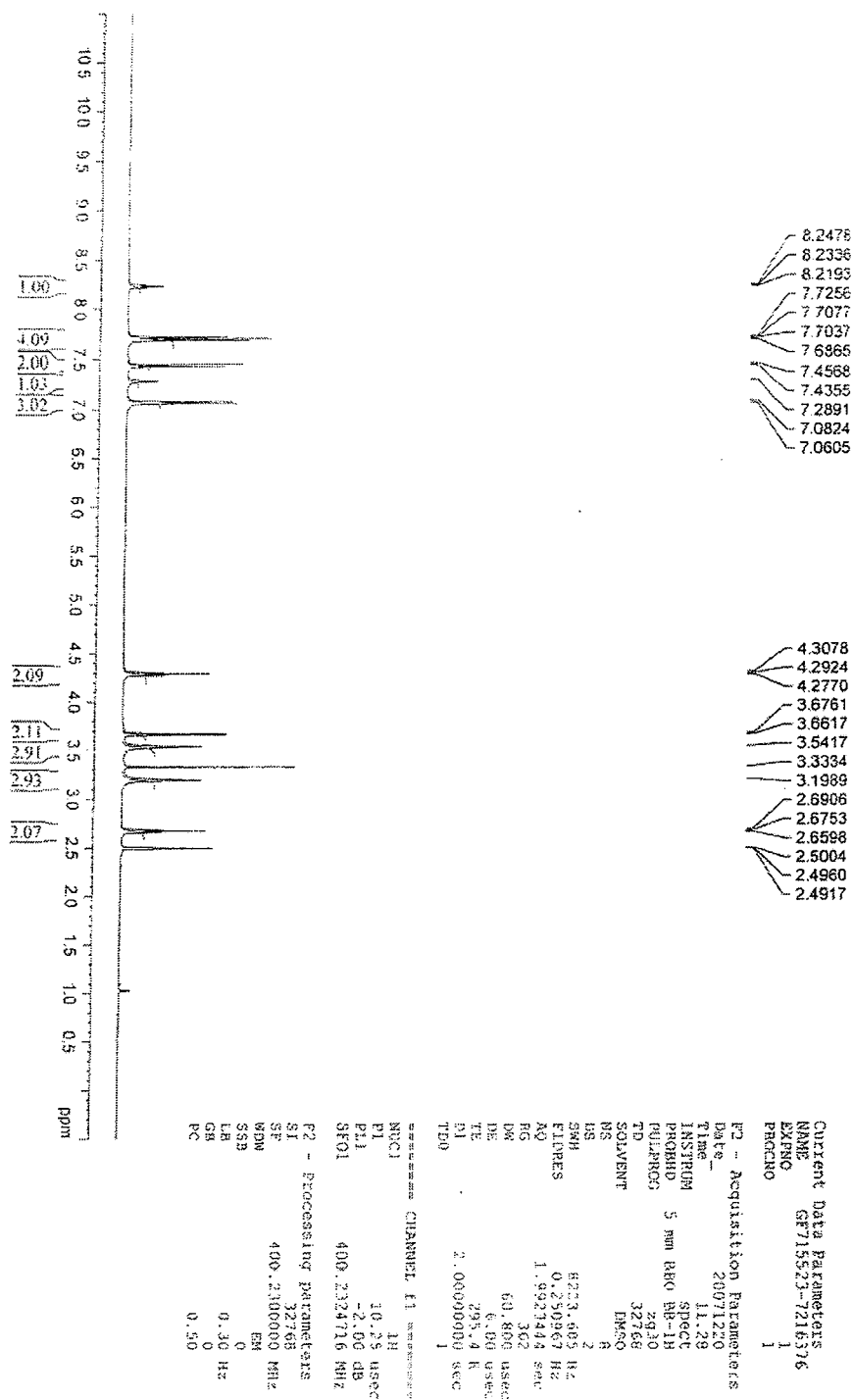
Figure 15 – ¹H-NMR spectrum of the compound obtained in Example 8:

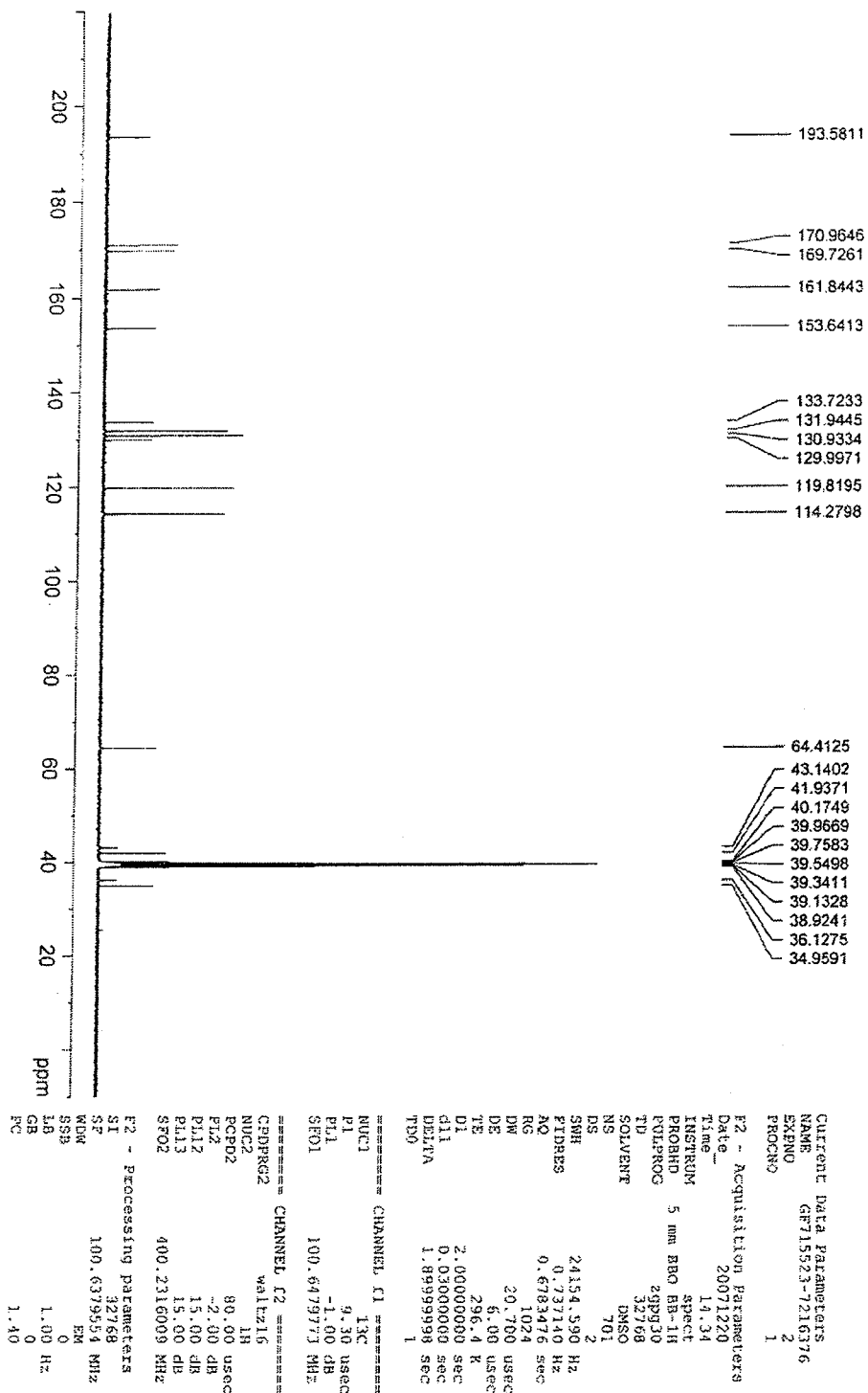
Figure 16 - ^{13}C -NMR spectrum of the compound obtained in Example 8:

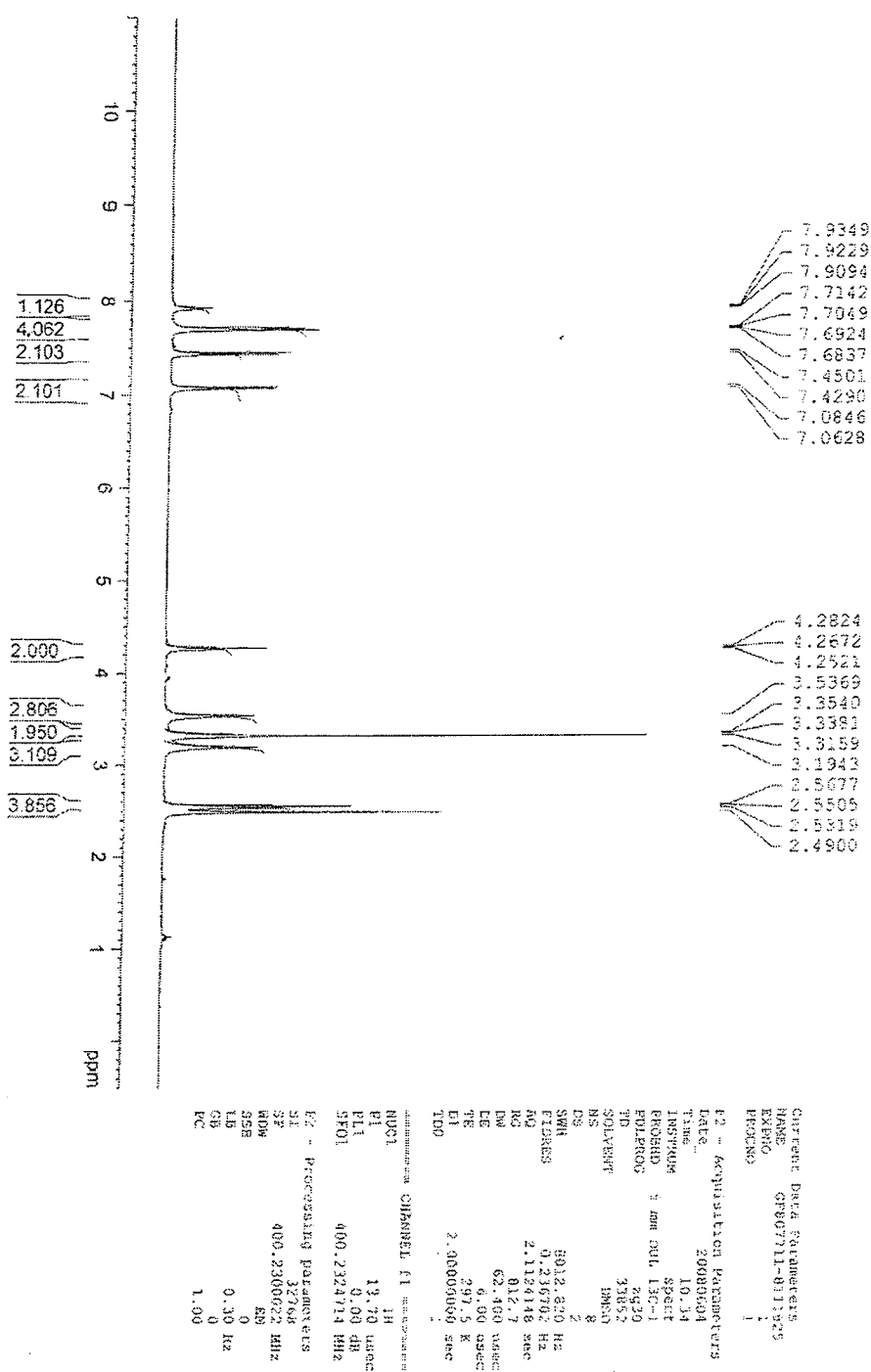
Figure 17 – ¹H-NMR spectrum of the compound obtained in Example 9:

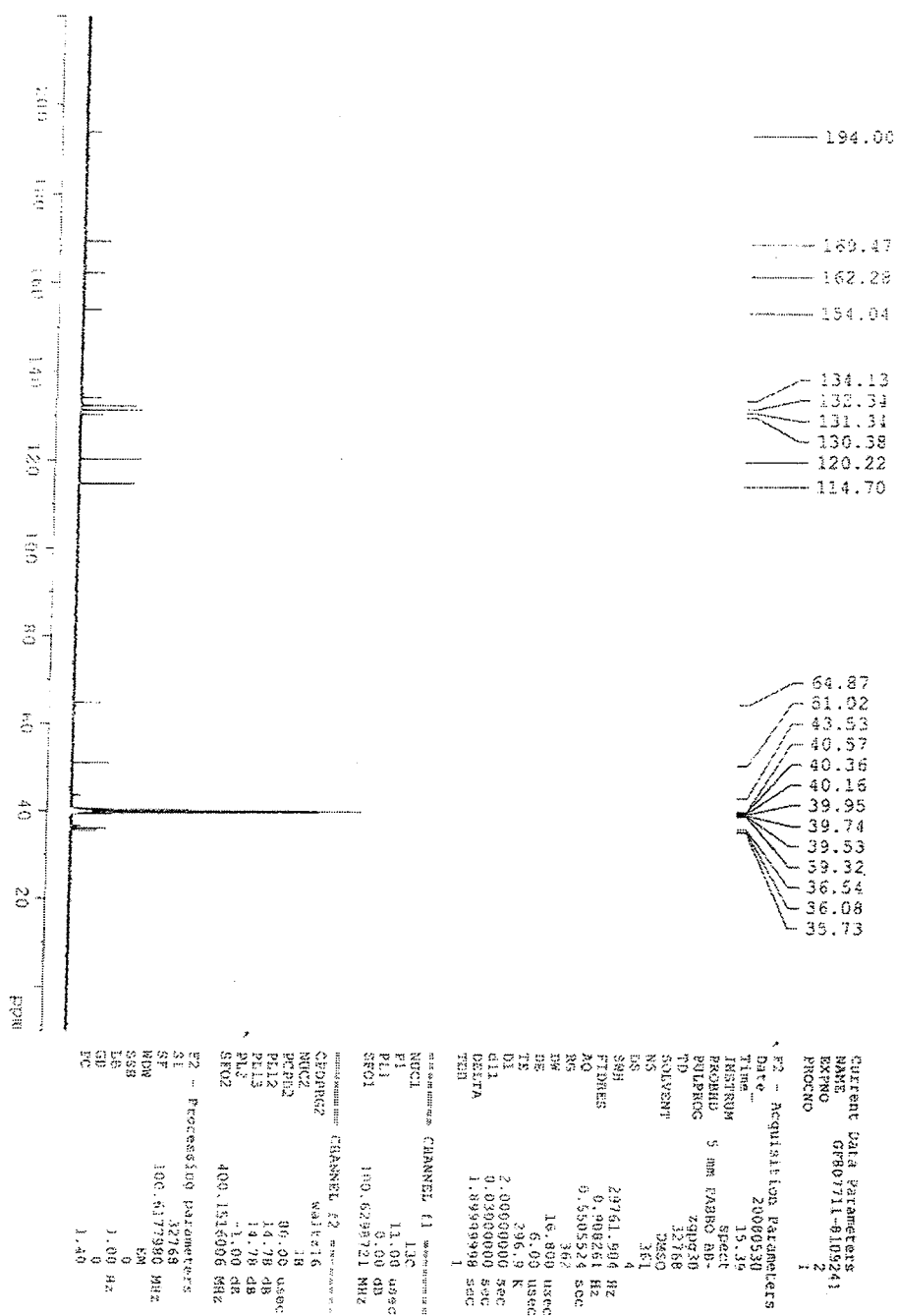
Figure 18 – ^{13}C -NMR spectrum of the compound obtained in Example 9:

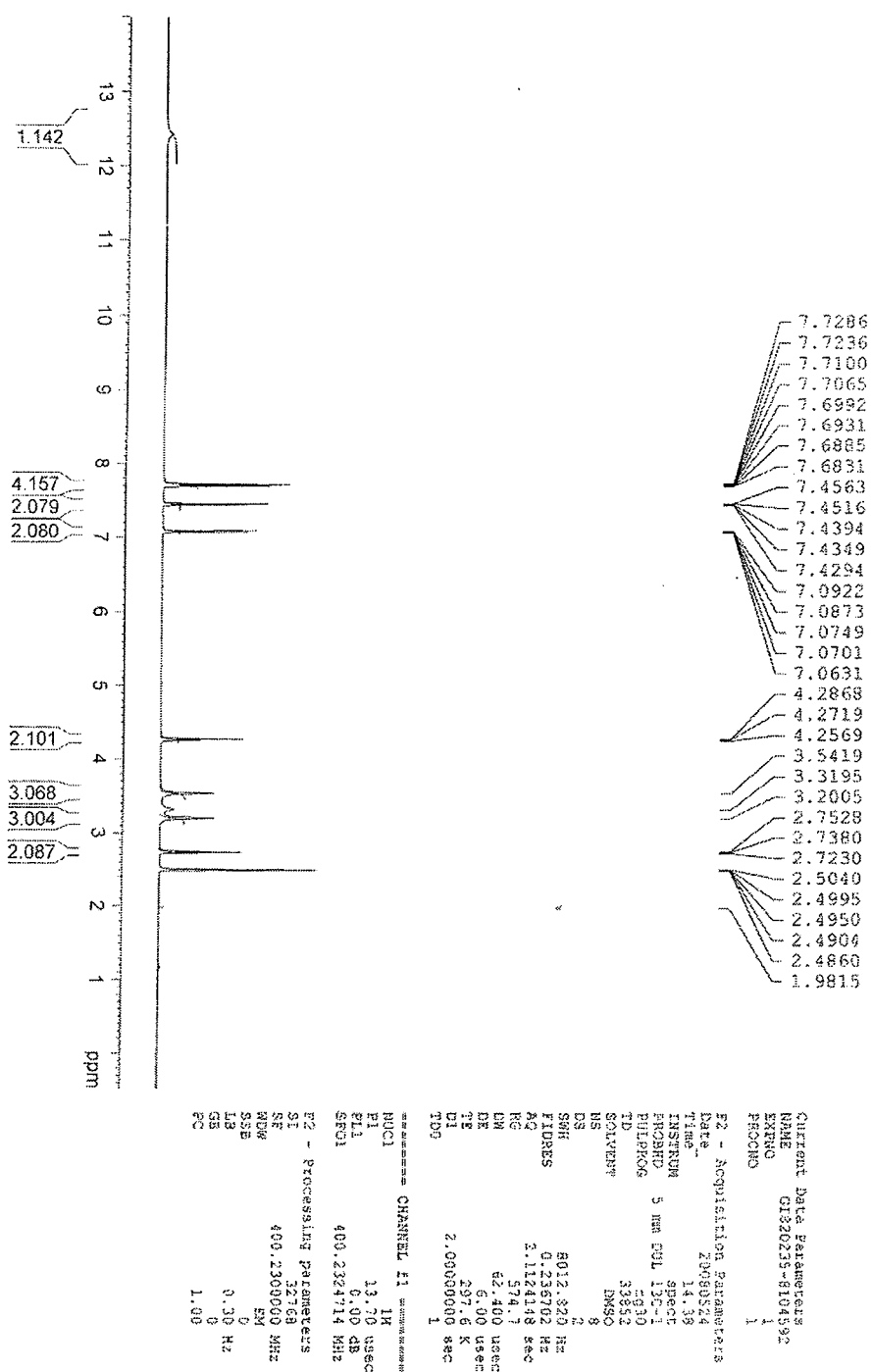
Figure 19 – ¹H-NMR spectrum of the compound of preparation 2:

Figure 20: Tumour remission in breast tumours (MAXF 401) in a comparison of the sodium salt and the corresponding TRIS salt of the compound of Example 4

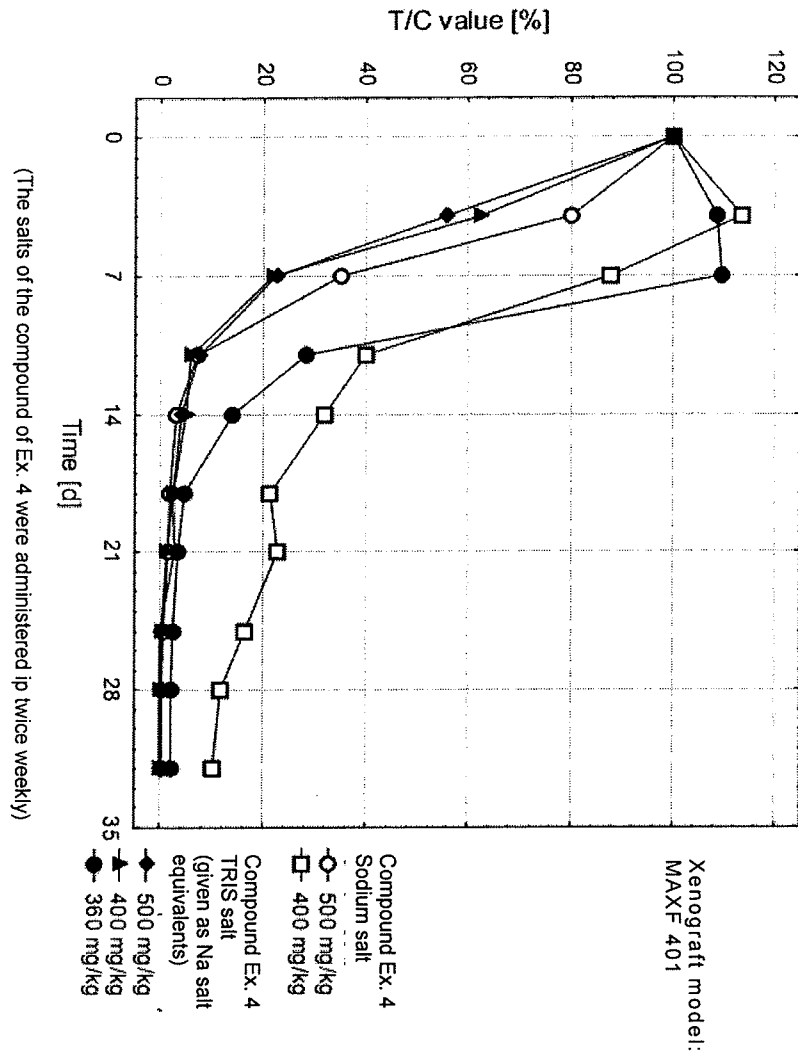


Figure 21: Selectivity of the anti-tumour activity of the compound of Example 2a in different tumours:

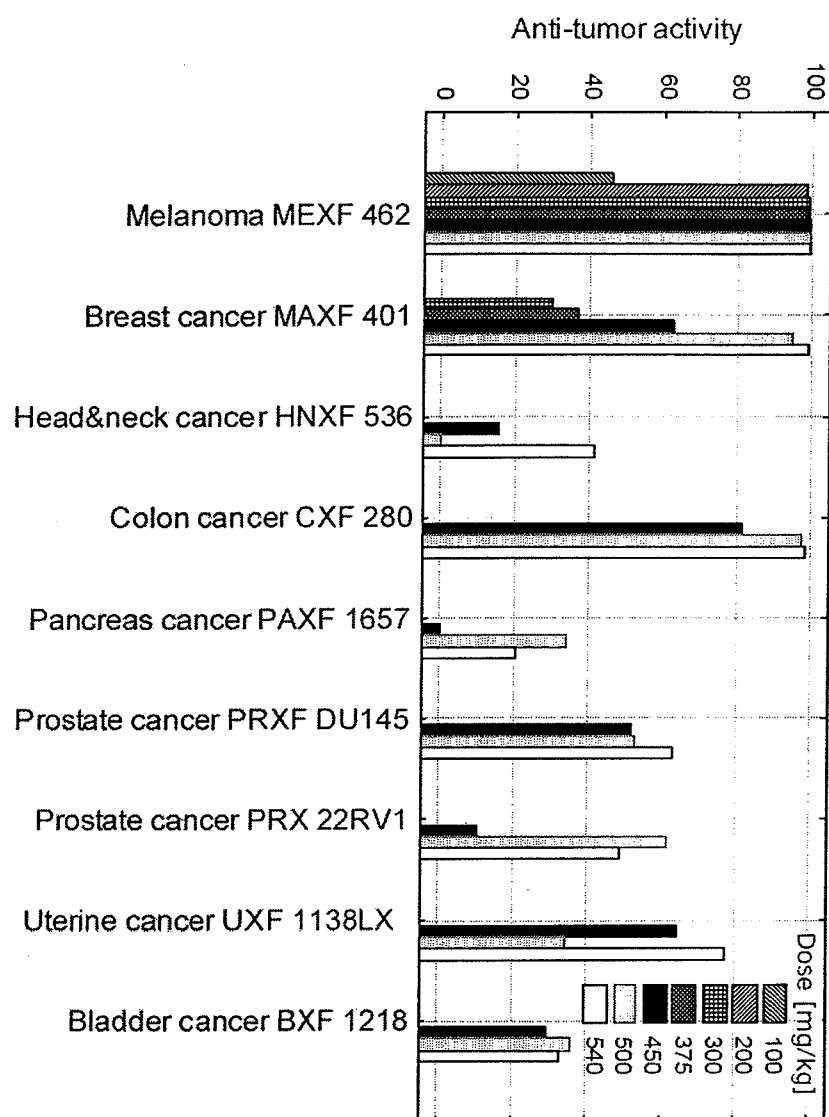


Figure 22: Dose dependency of the anti-tumour action of the compound of Example 2a in breast tumours (MAXF 401 xenograft in nude mice):

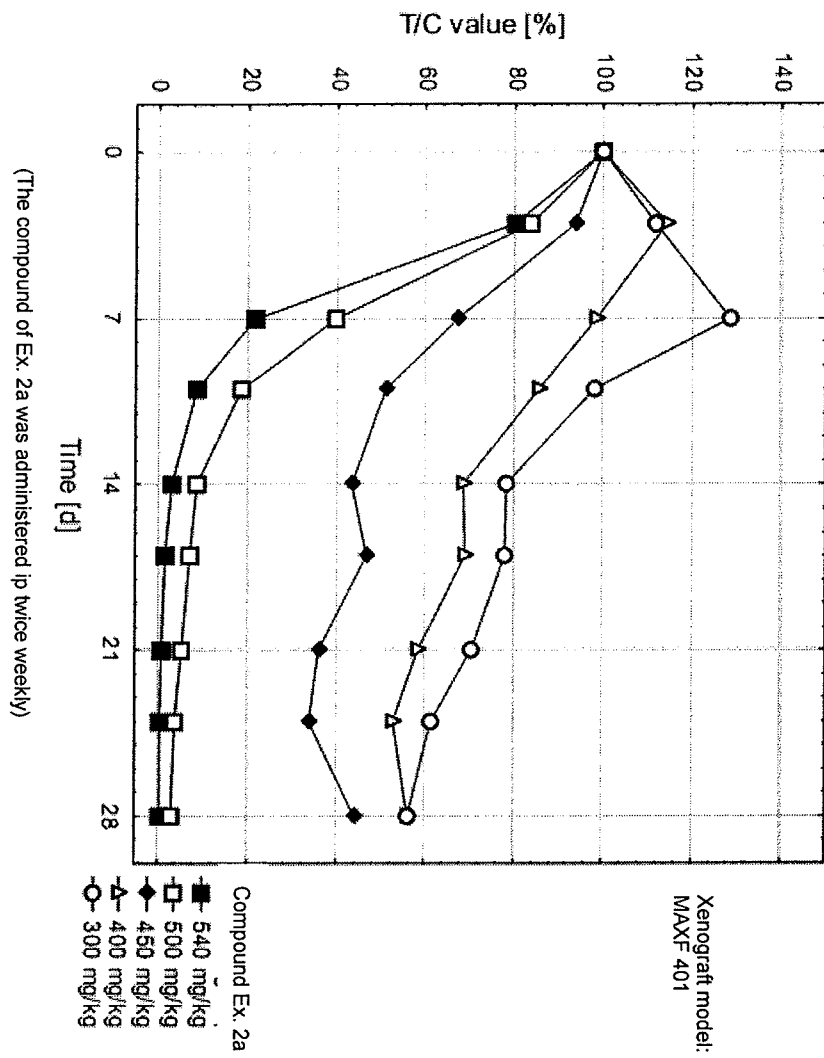


Figure 23: Anti-tumour action of the compound of Example 2a in a colon carcinoma xenograft model.

