

3-Substituted 1-methyl-3-benzazepin-2-ones as 5-HT_{2C} receptor agonists

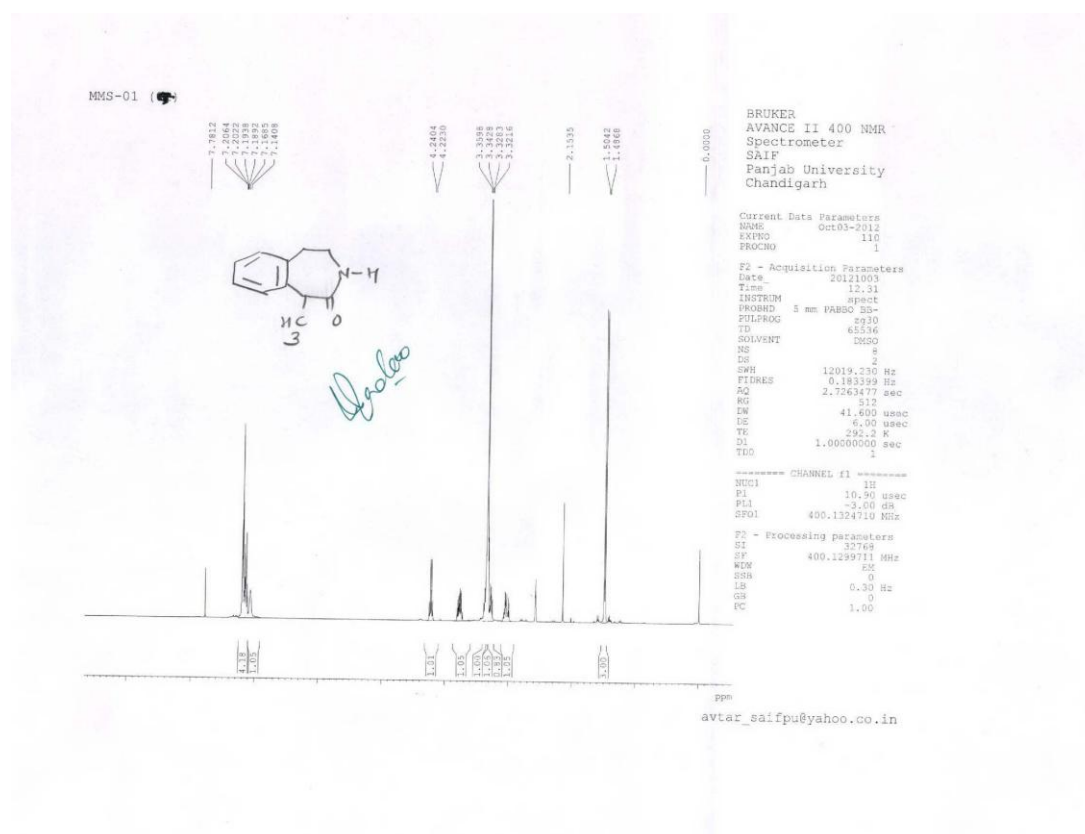
Mahesh Shidore, Jatin Machhi, Prashant Murumkar, Mahesh Barmade, Jigar Thanki and
Mange Ram Yadav*

*Pharmacy Department
Faculty of Technology & Engineering, Kalabhavan,
The M. S. University of Baroda
Vadodara – 390 001, India*

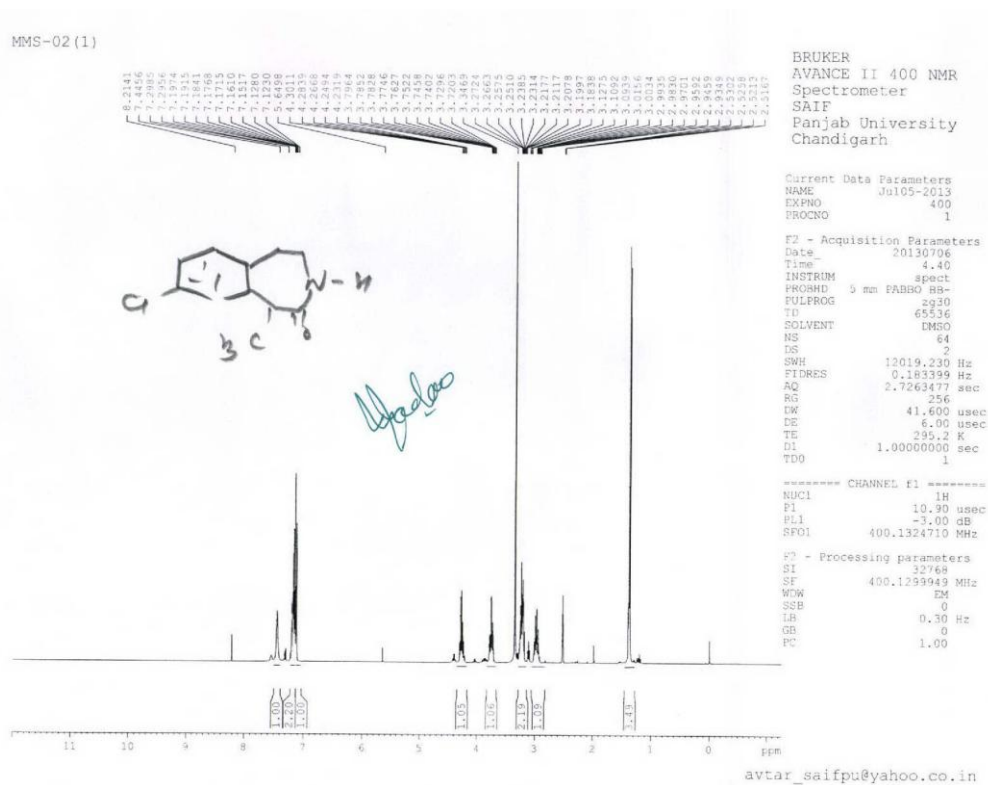
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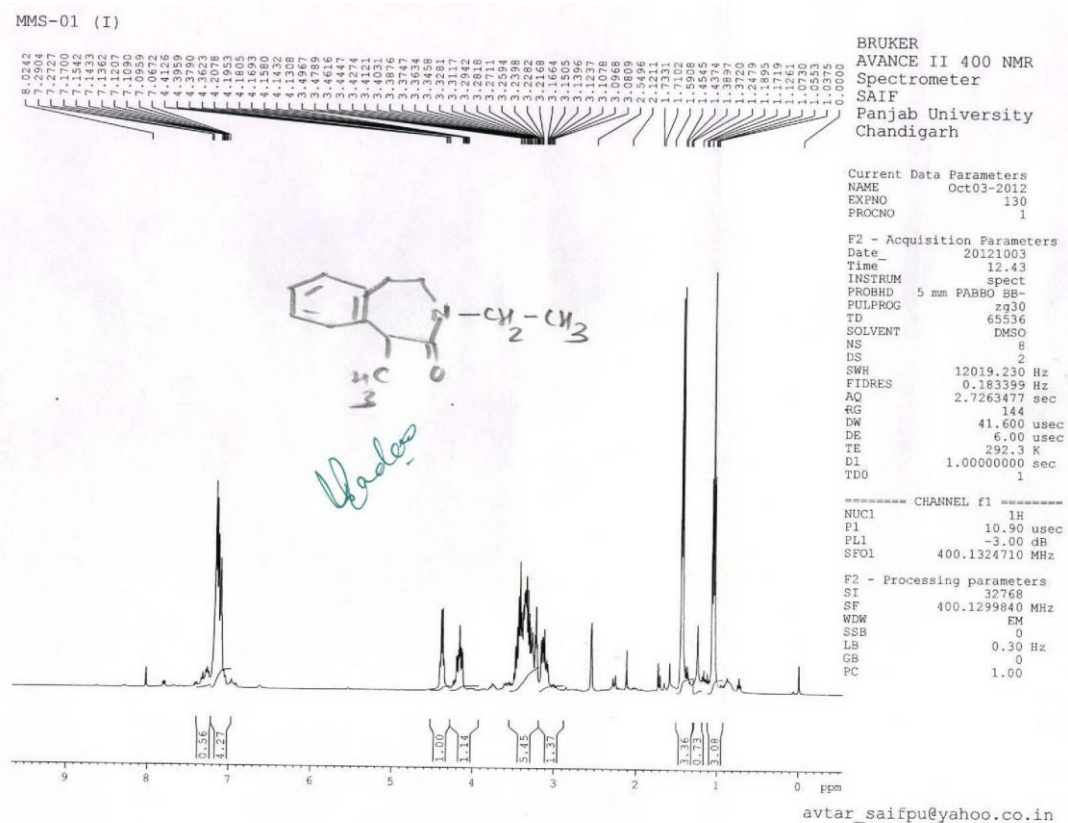
1-Methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (5)



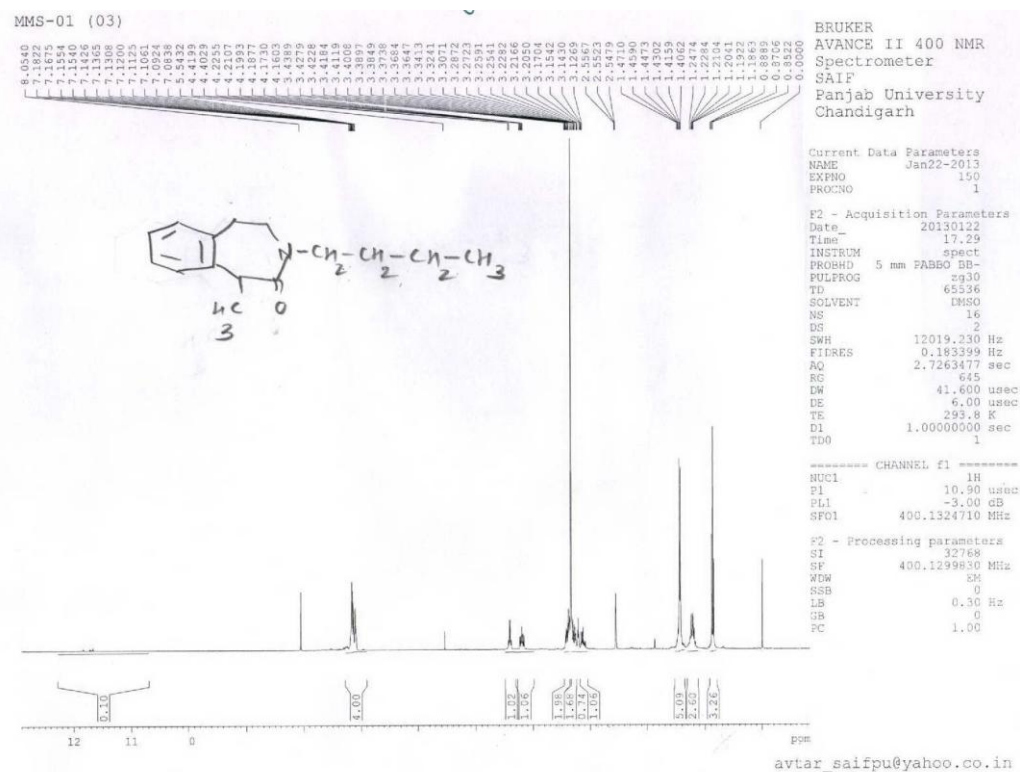
8-Chloro-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (6)



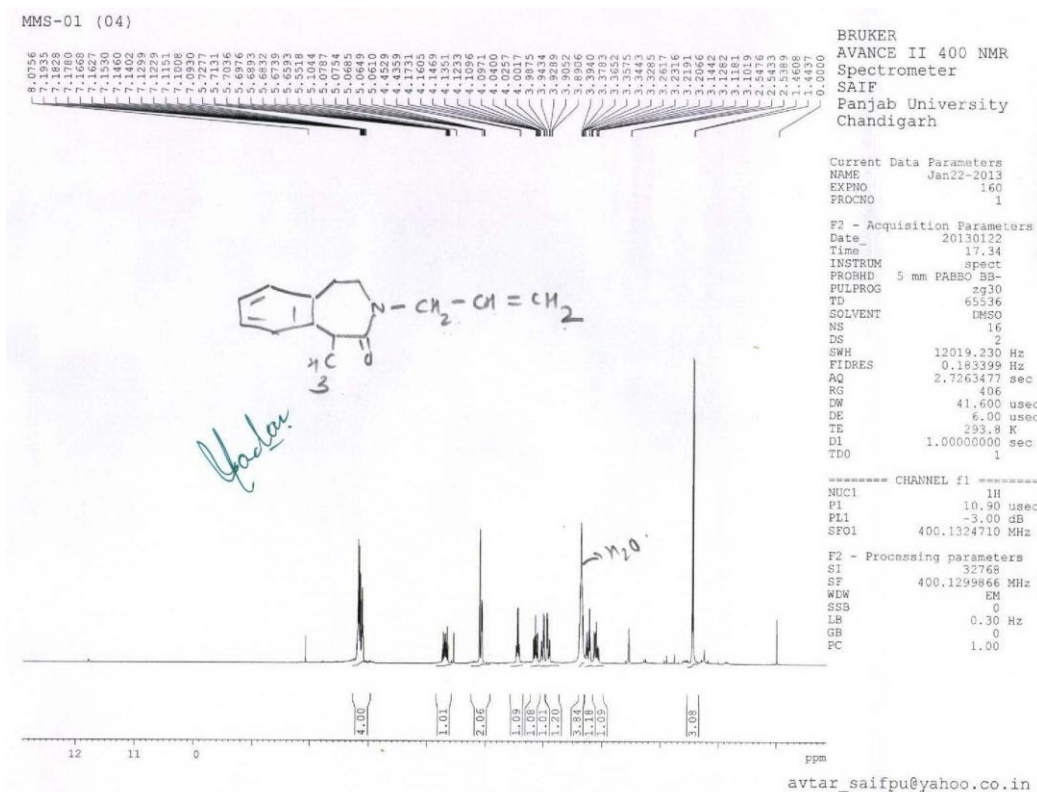
3-Ethyl-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (7)



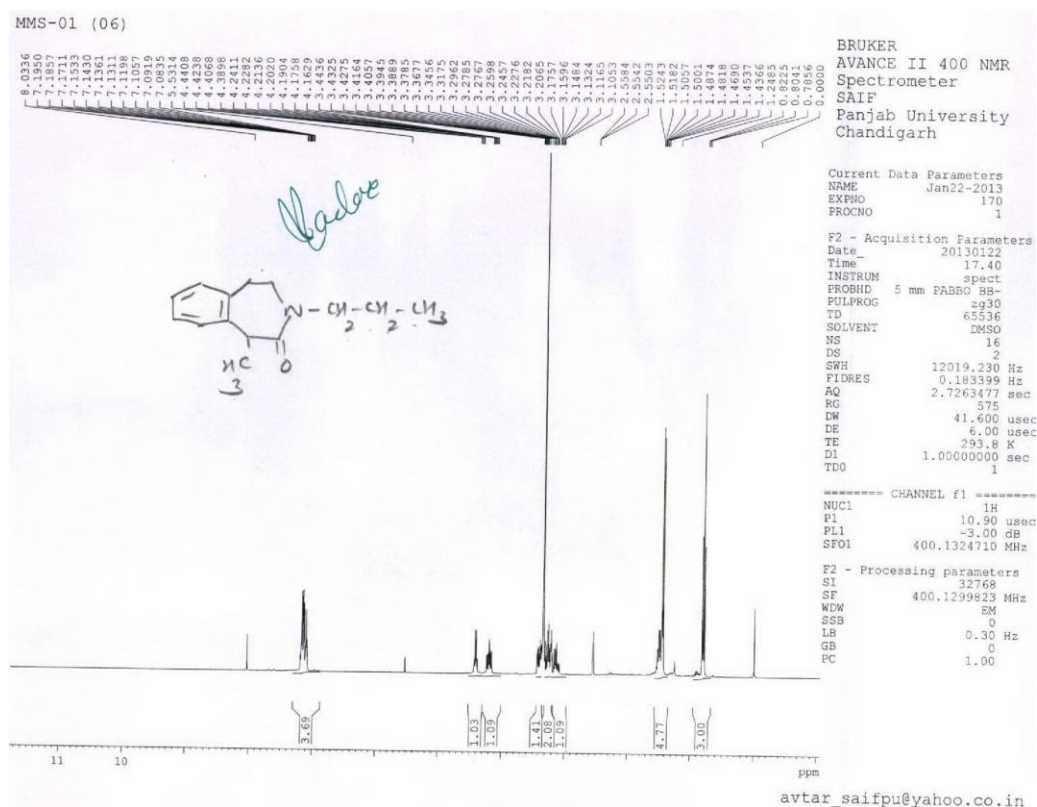
3-Buty-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (8)



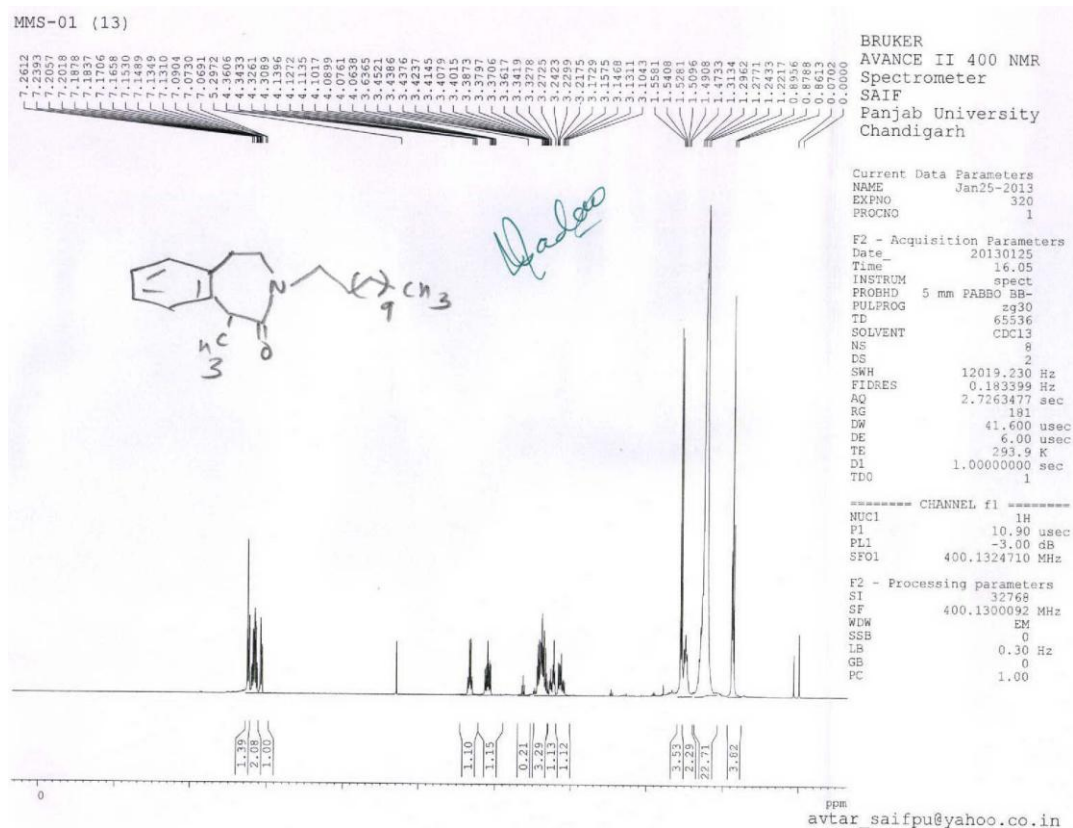
3-Allyl-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (9)



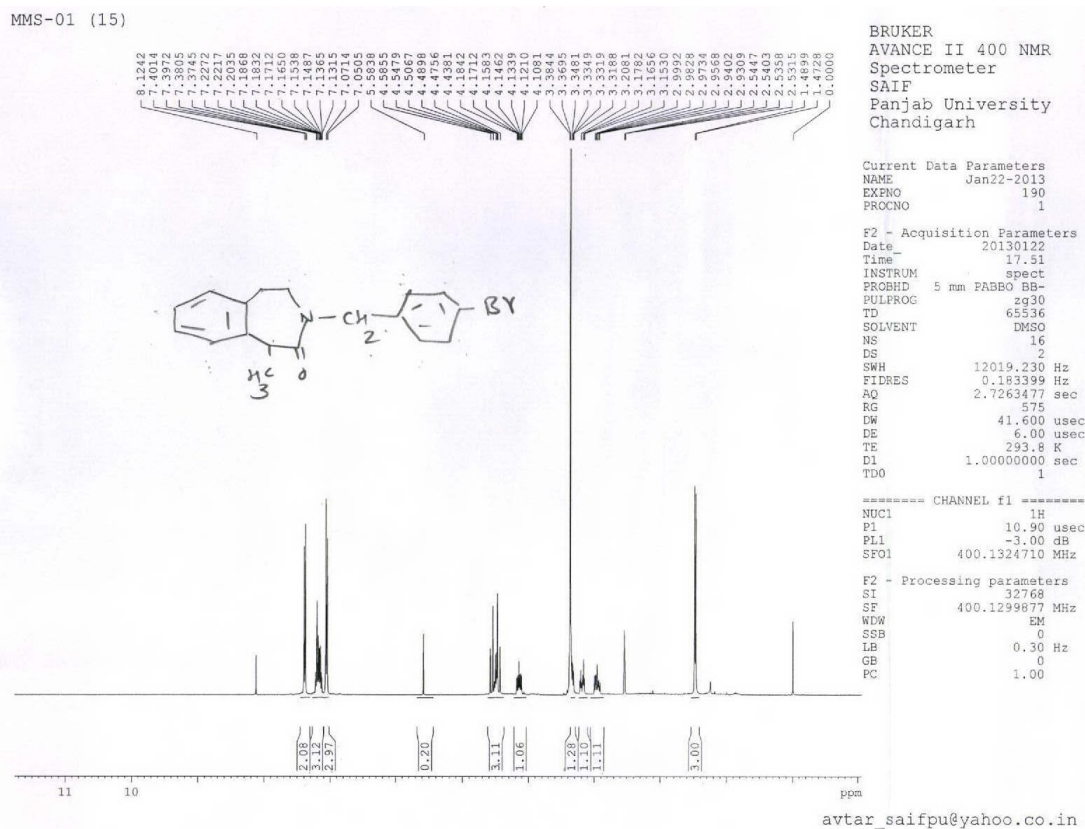
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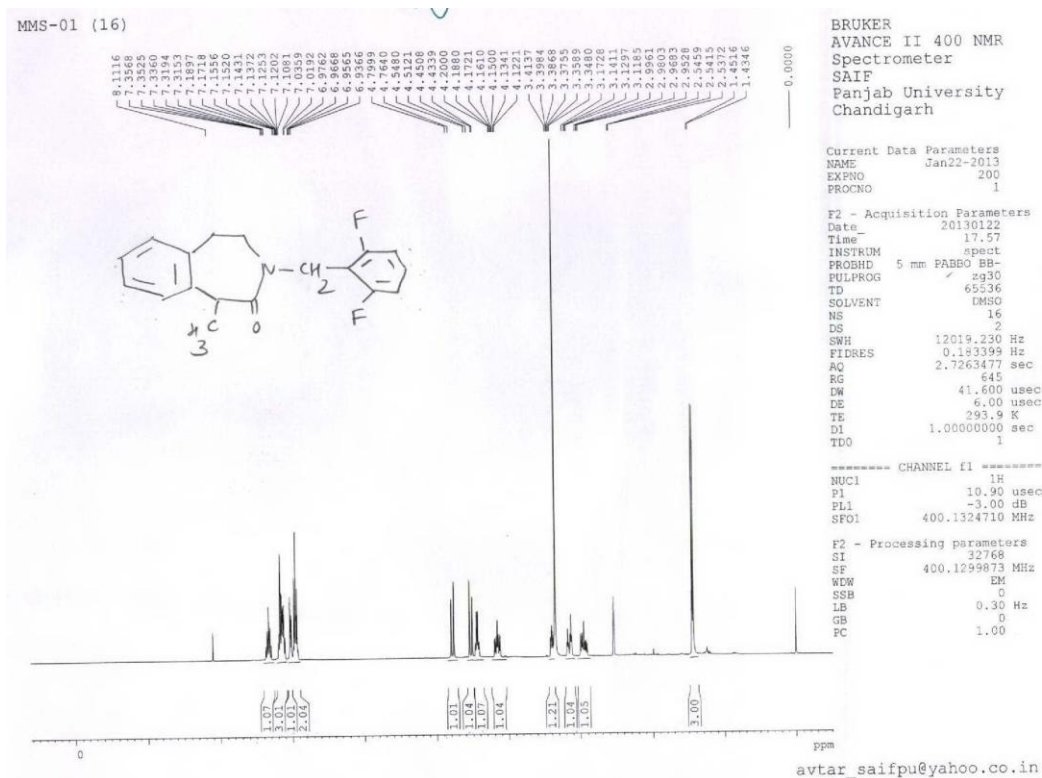
3-Dodecyl-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (11)



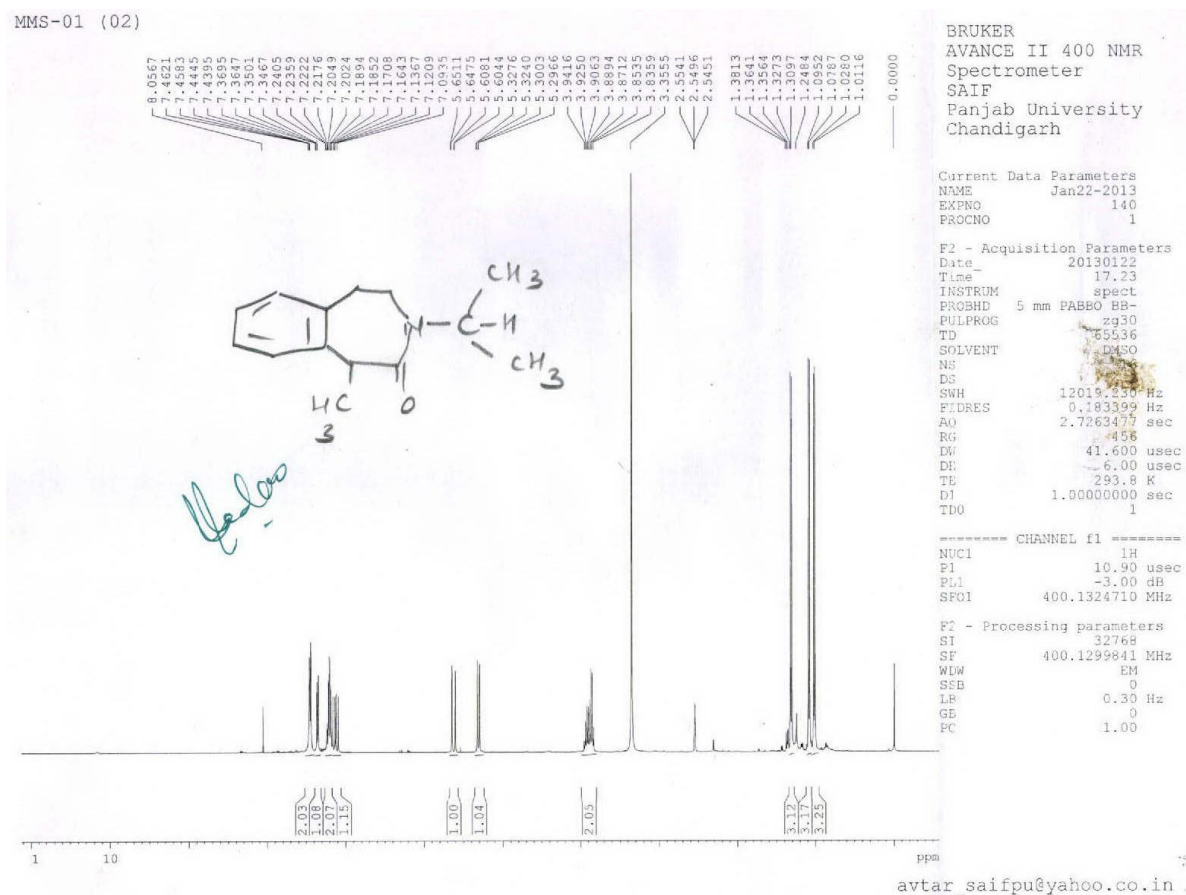
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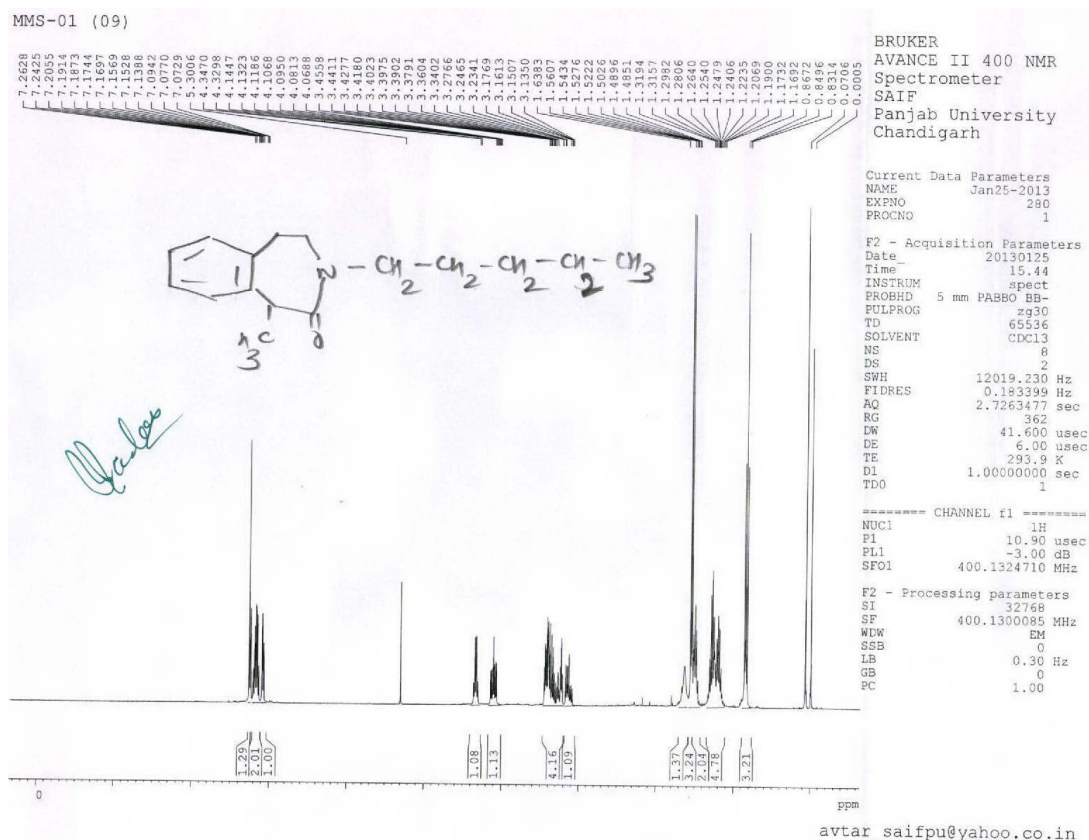
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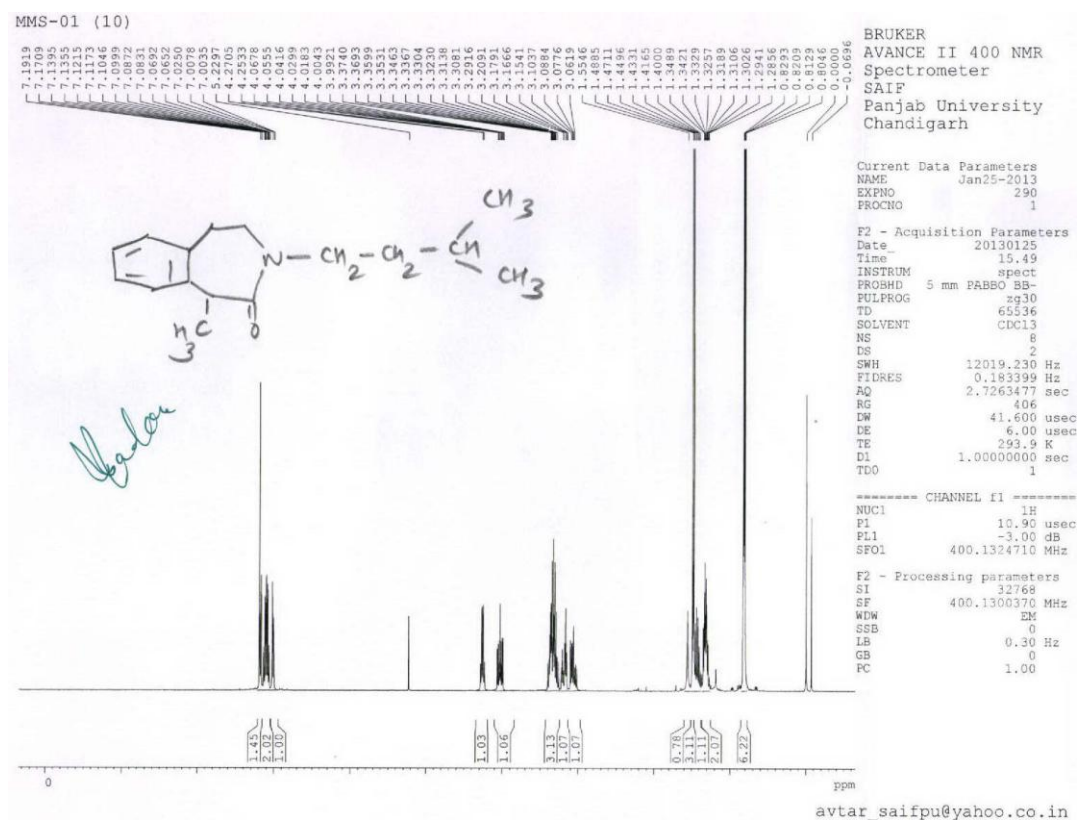
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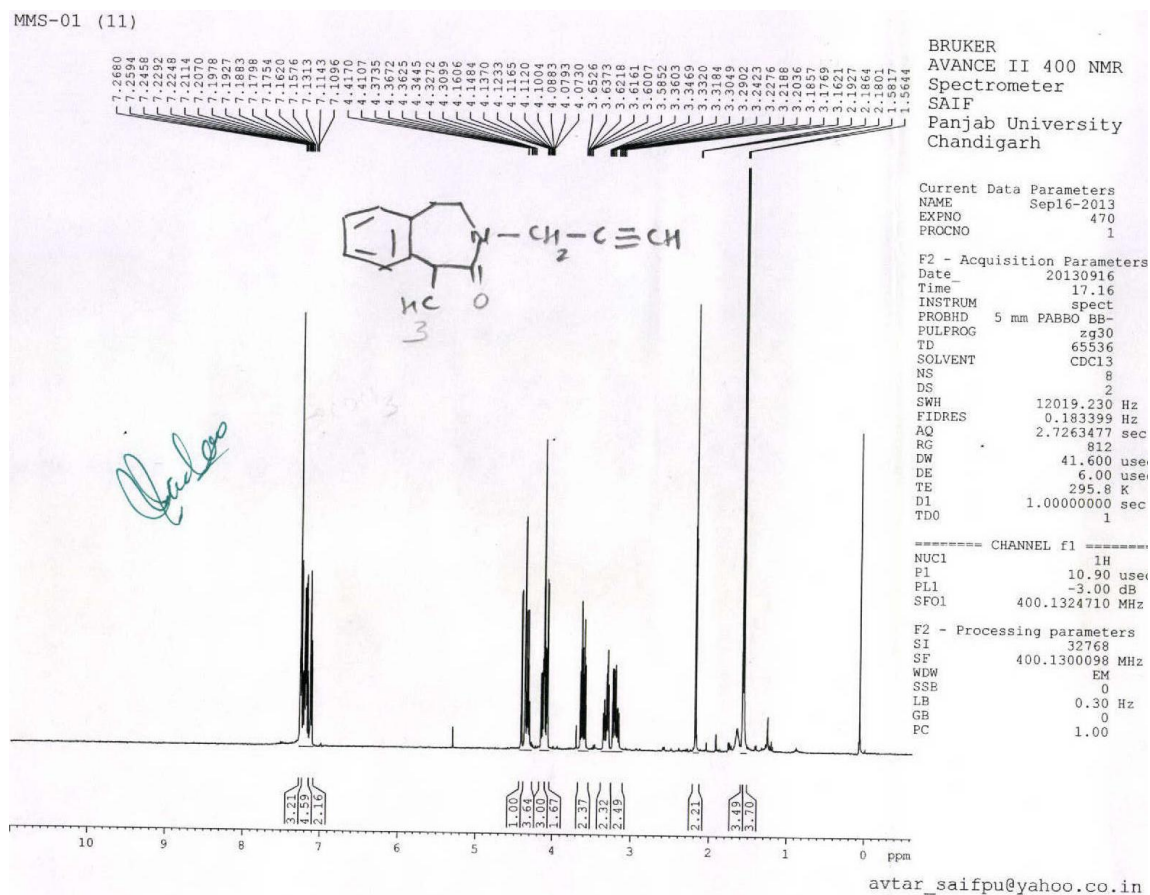
1-Methyl-3-pentyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (15)



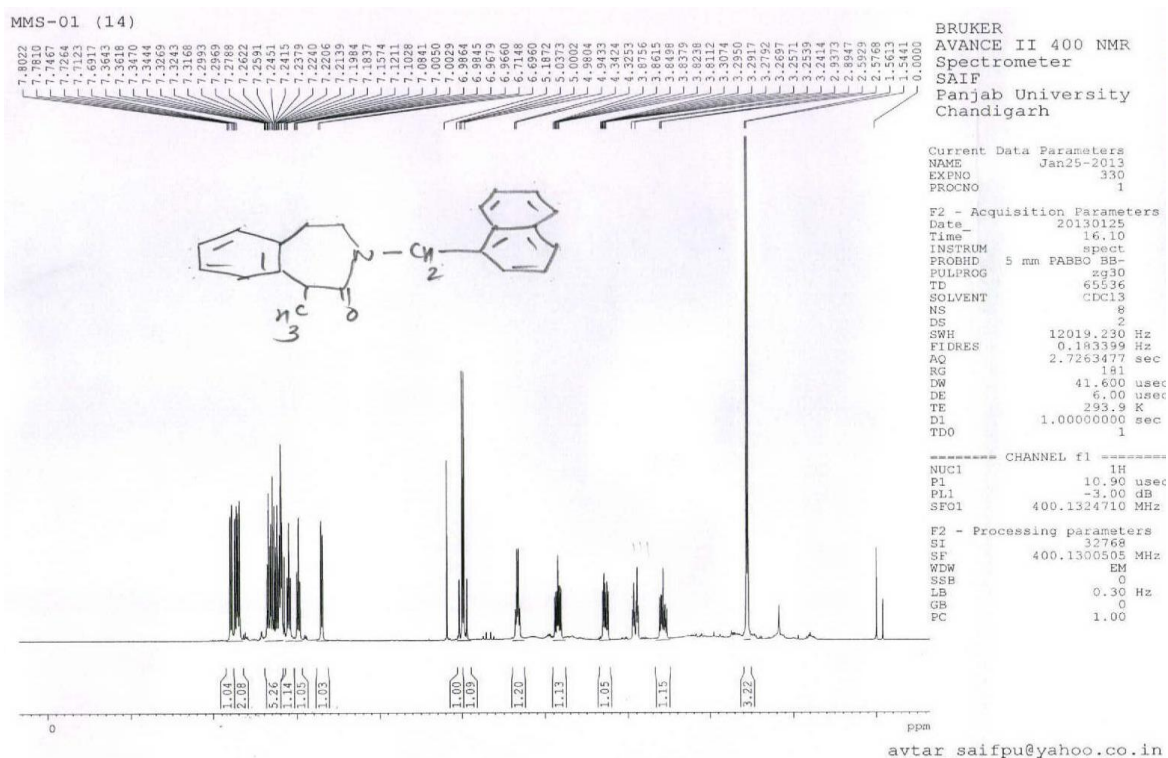
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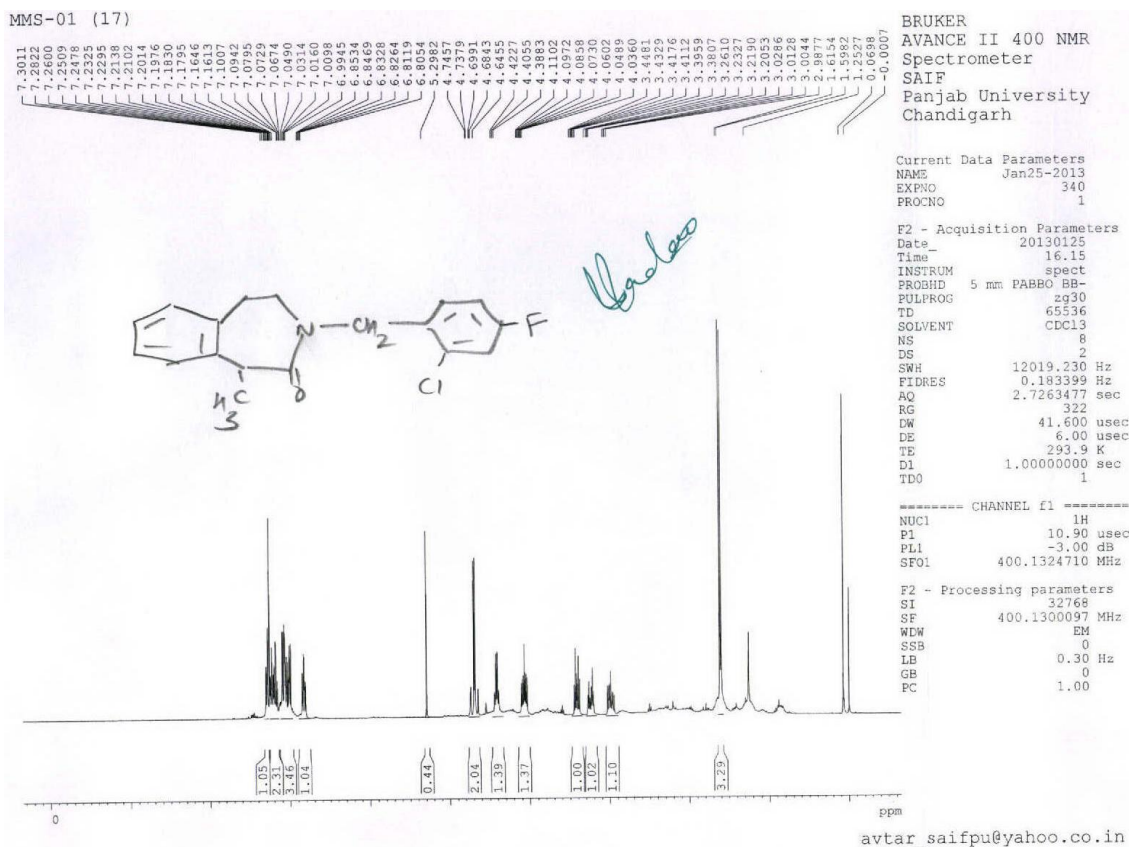
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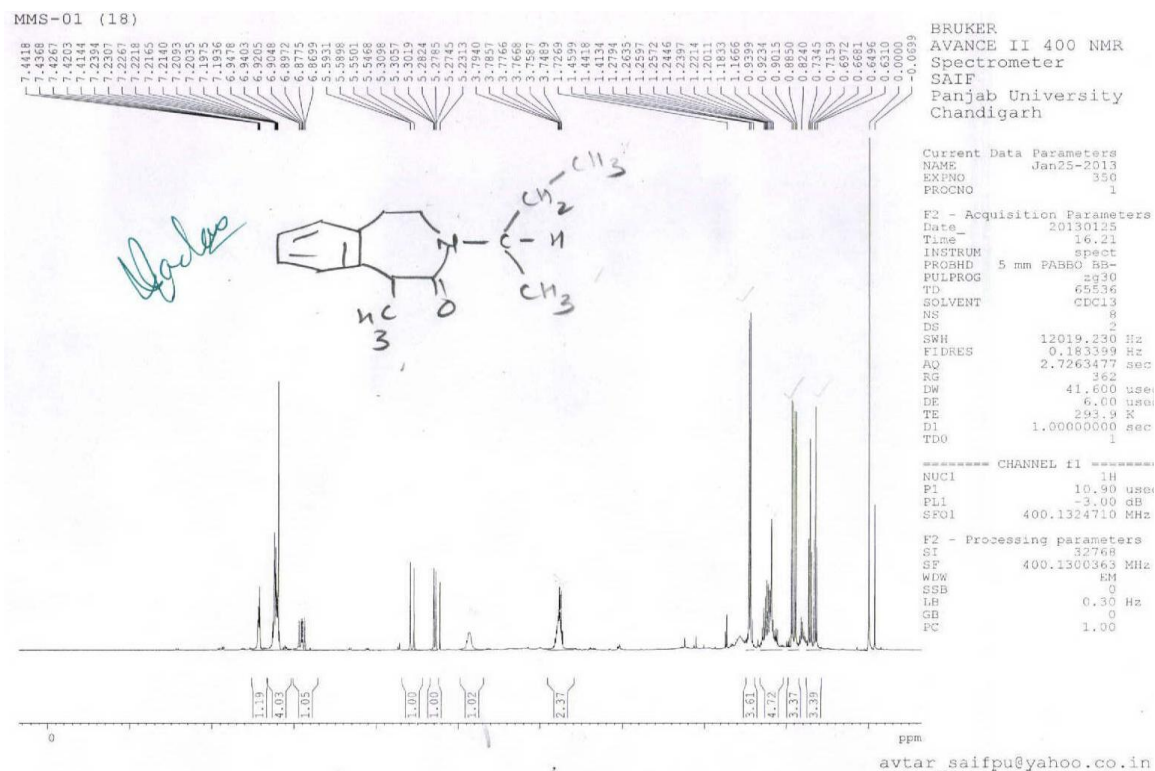
1-Methyl-3-(1-naphthylmethyl)-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (18)



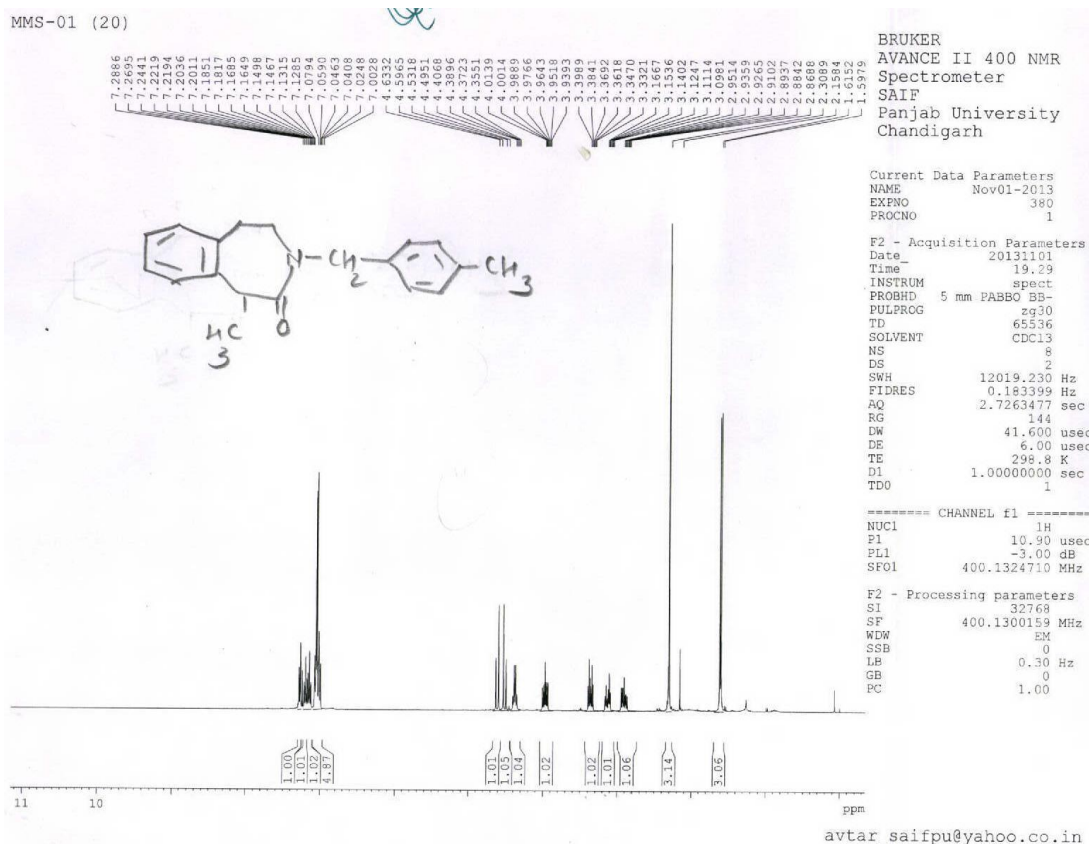
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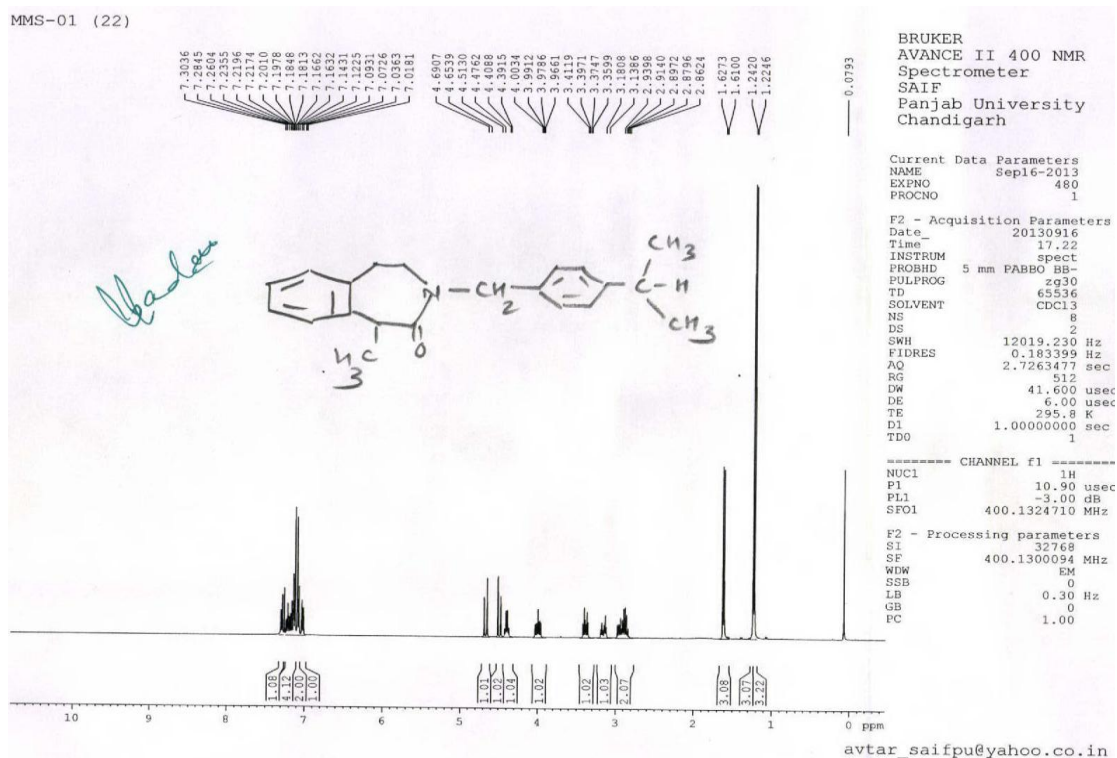
3-(sec Butyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (20)



1-Methyl-3-(4-methylbenzyl)-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (21)

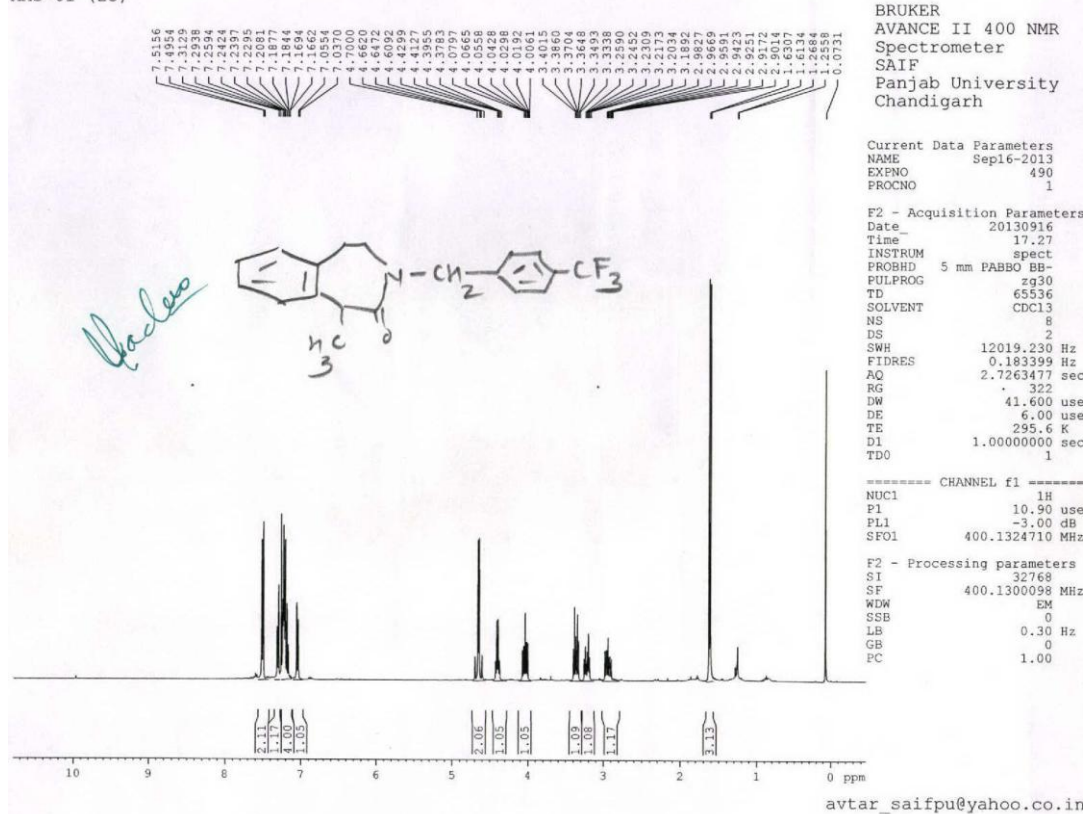


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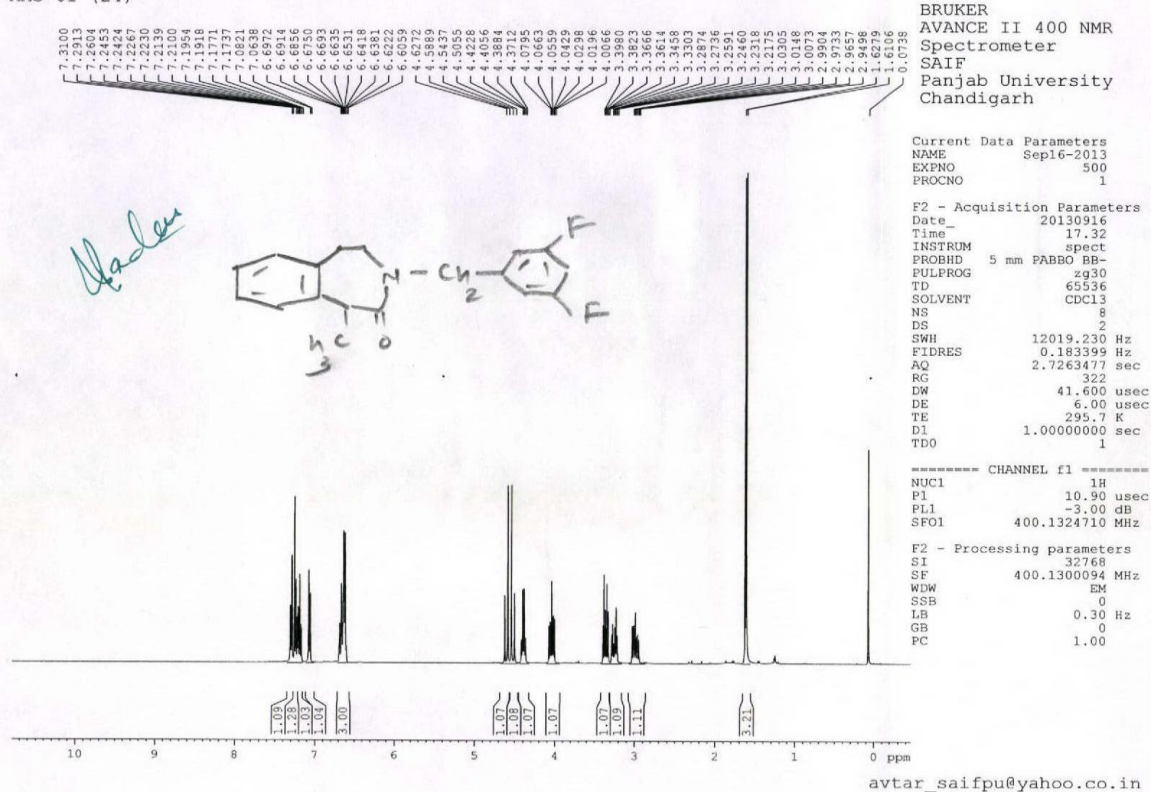
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MMS-01 (23)

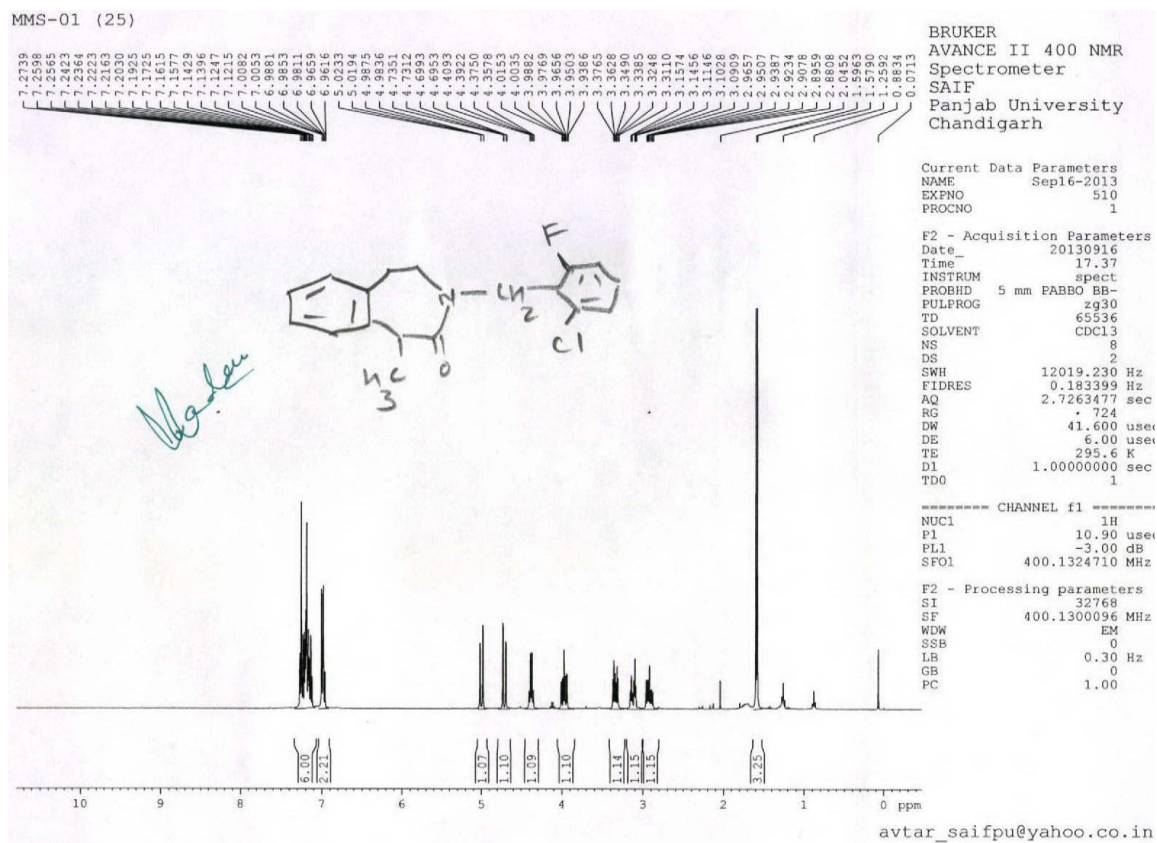


3-(3,5-Difluorobenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (24)

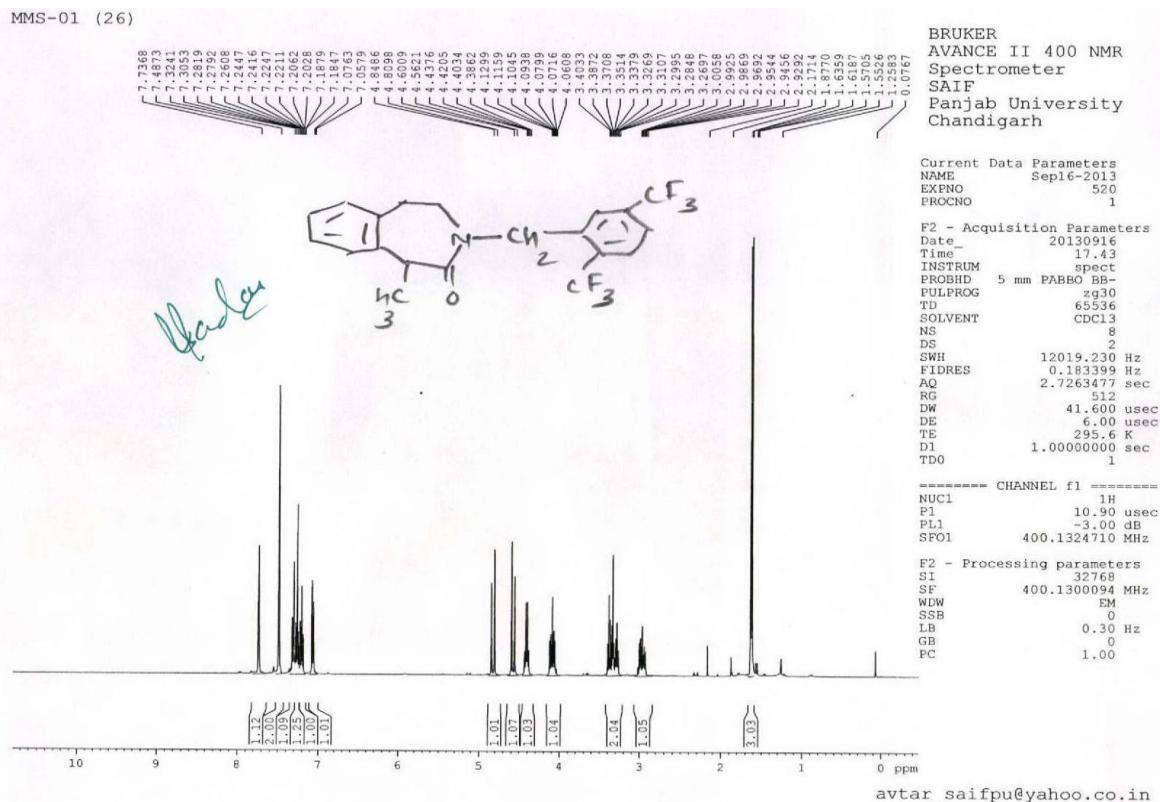
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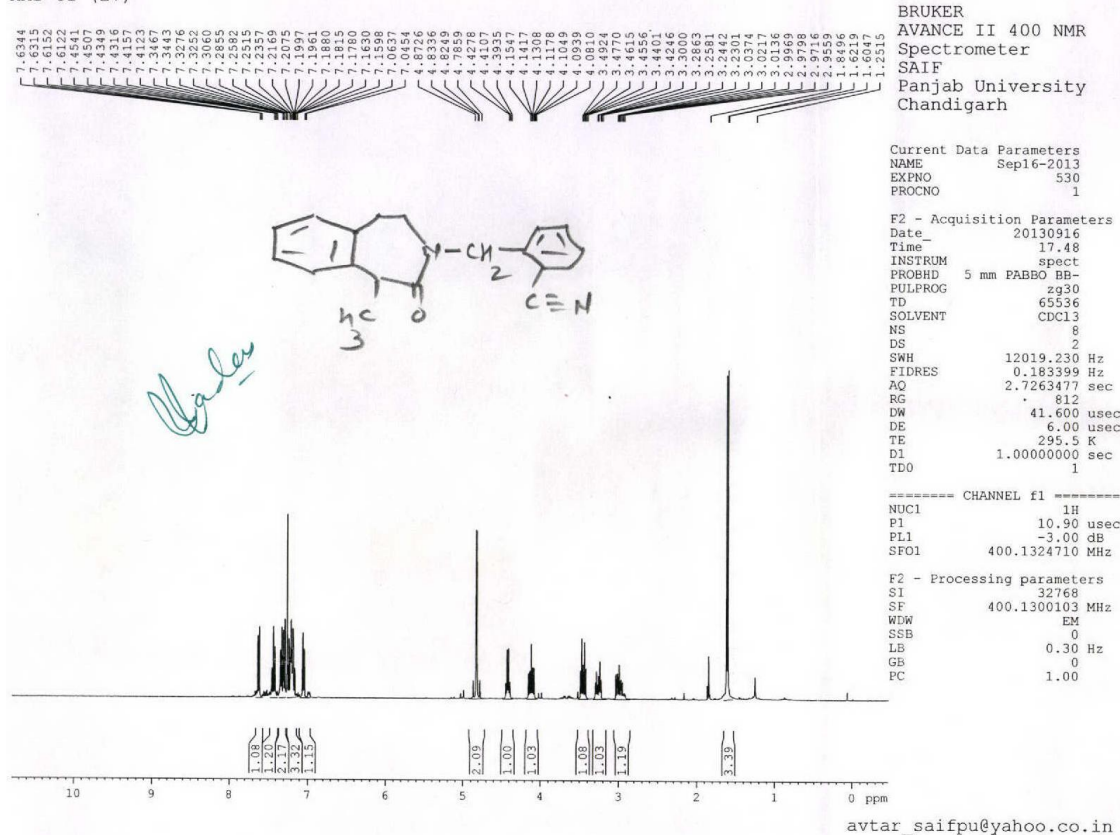


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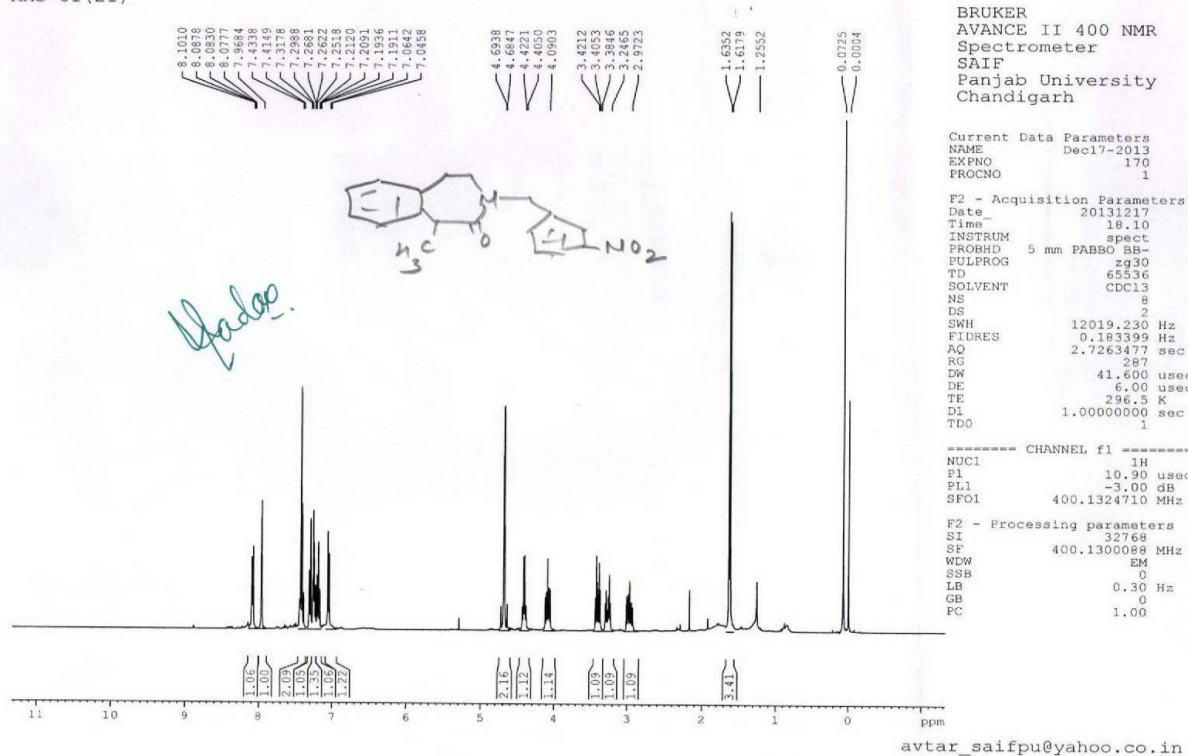
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MMS-01 (27)



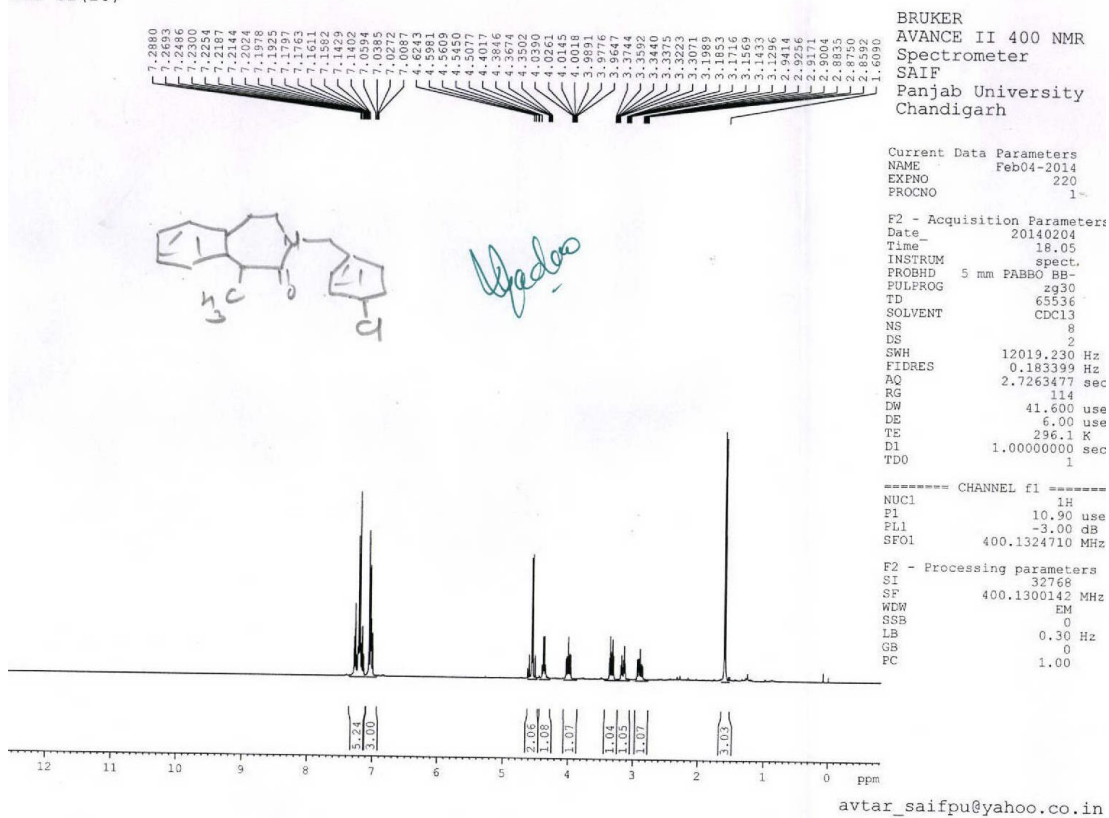
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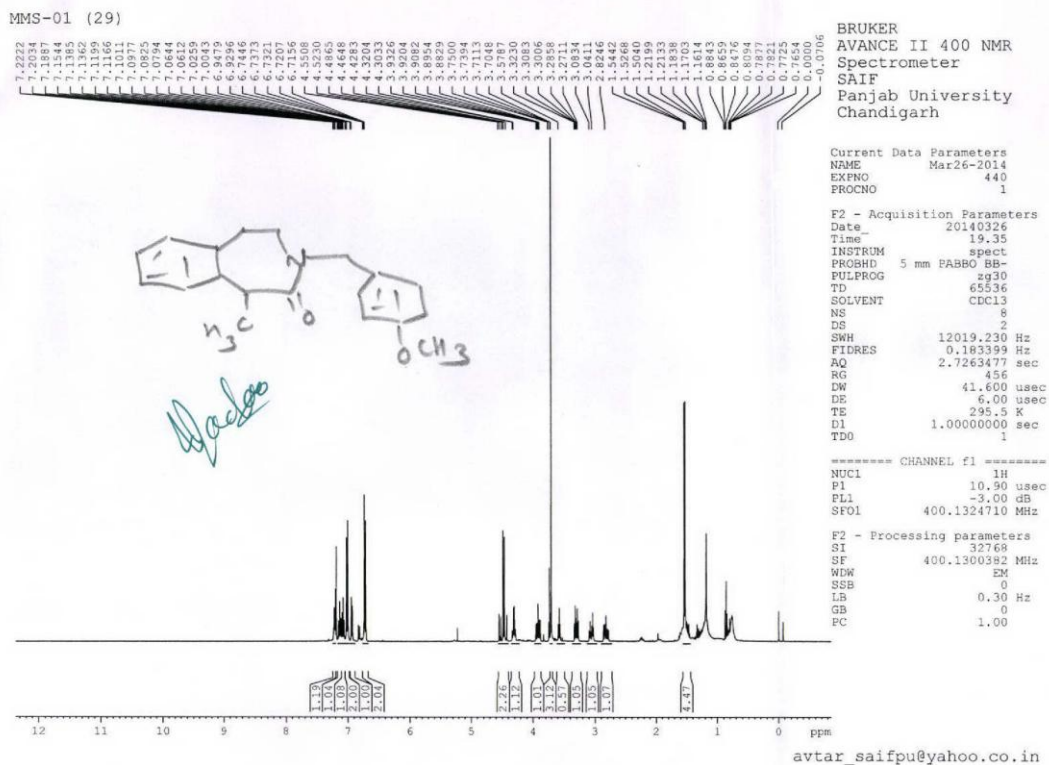
3-(4-Chlorobenzyl)-1-methyl 4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (29)

MMS-01 (28)

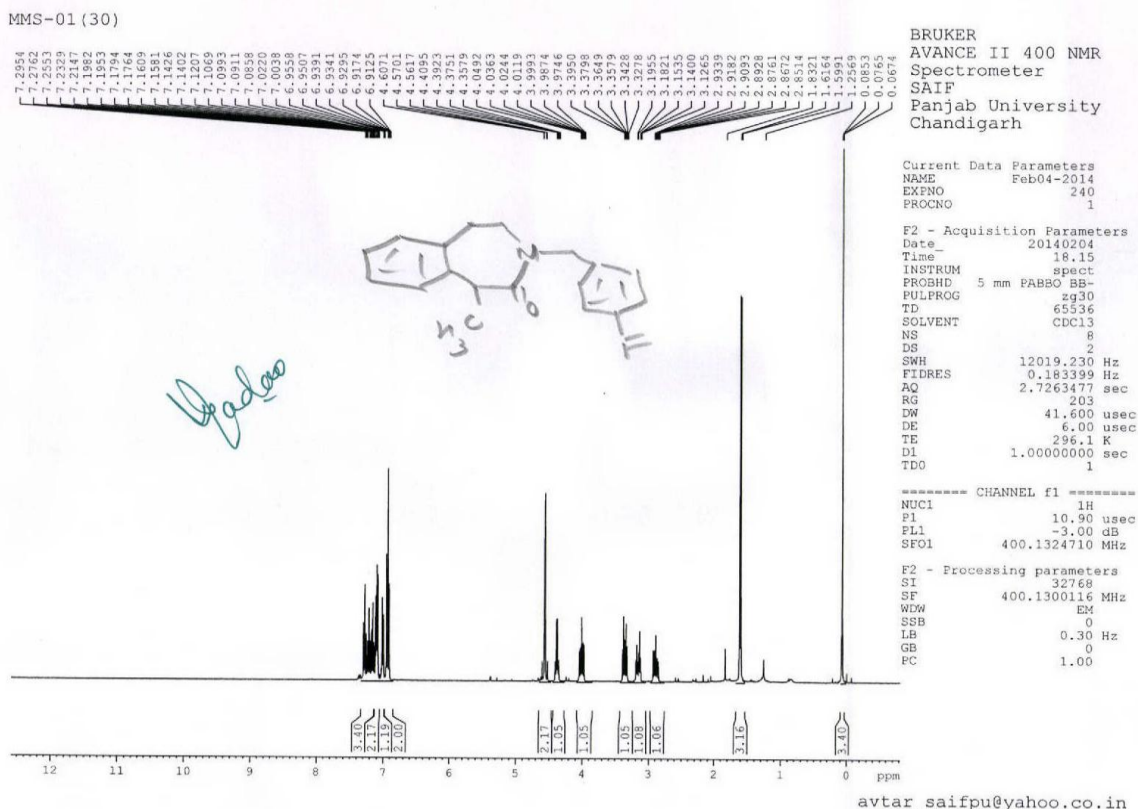


3-(4-Methoxybenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (30)

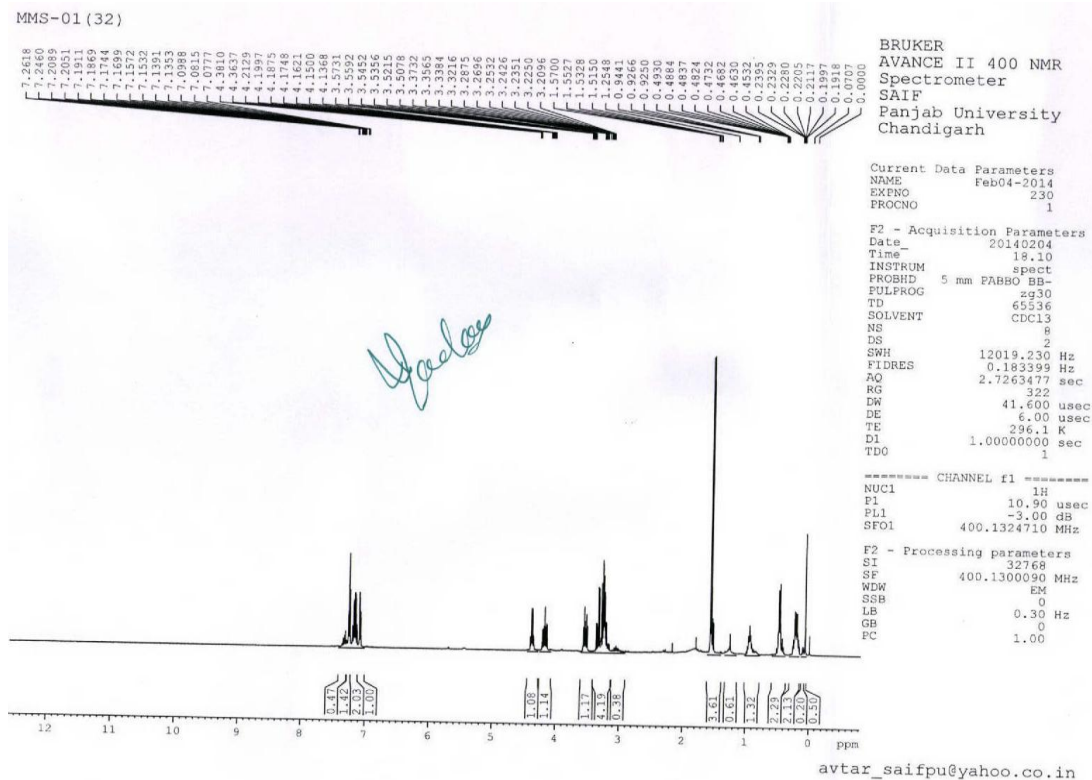
MMS-01 (29)



3-(4-Fluorobenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (31)

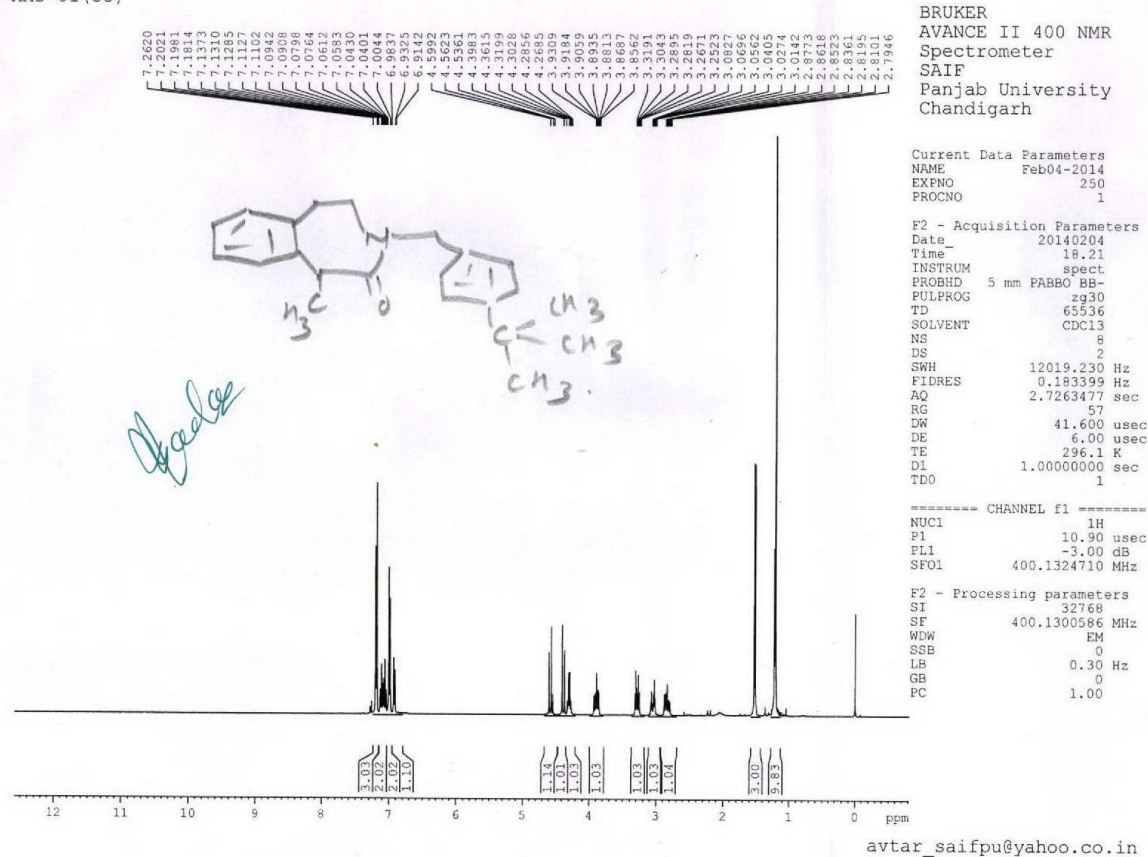


3-Cyclopropylmethyl-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (32)



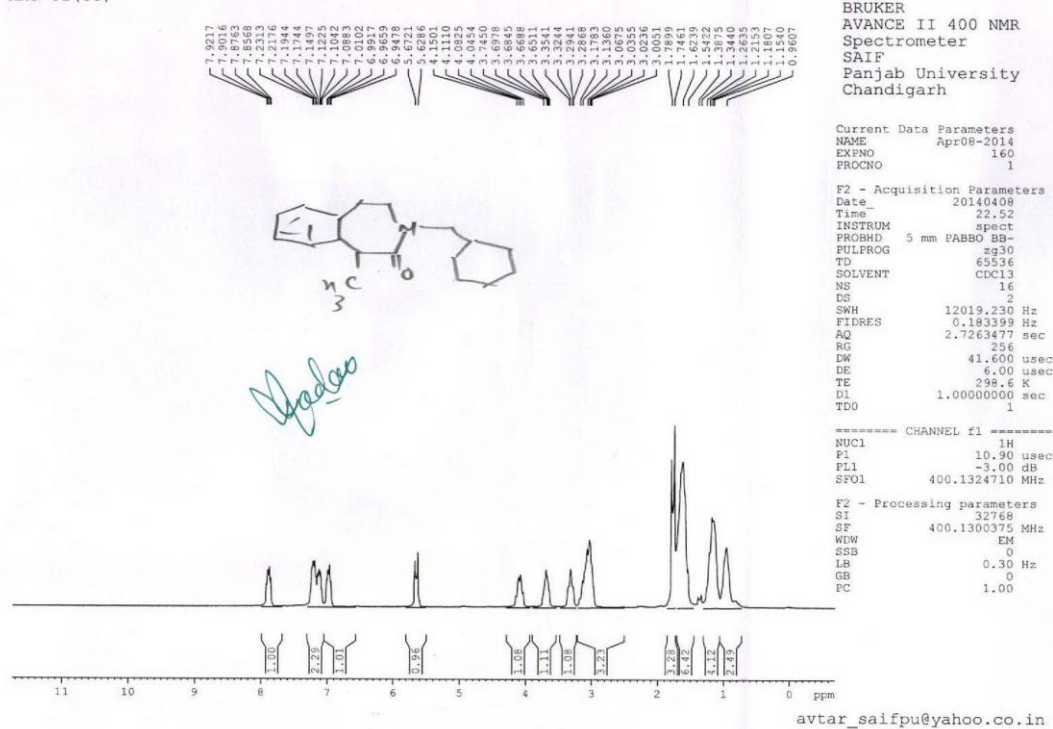
3-(4-*t*-Butylbenzyl)-1-methyl-4,5-dihydro-1*H*-benzo[*d*]azepin-2(3*H*)-one (33)

MMS-01 (33)

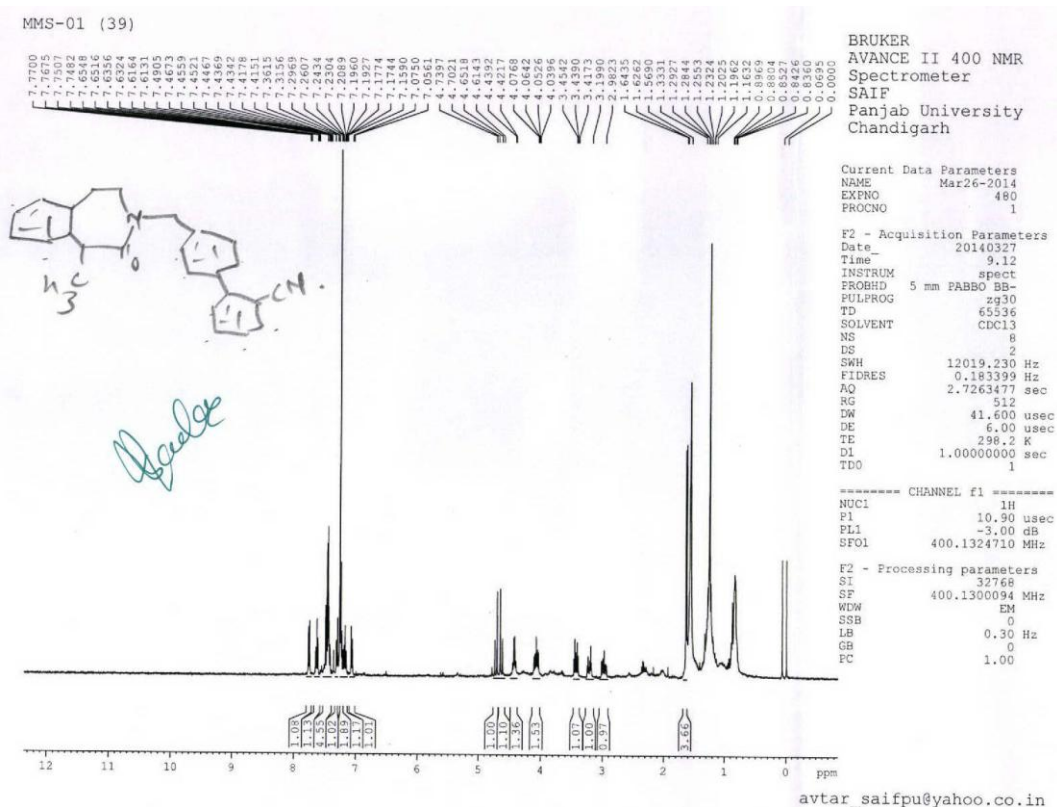


3-Cyclohexylmethyl-1-methyl-4,5-dihydro-1*H*-benzo[*d*]azepin-2(3*H*)-one (34)

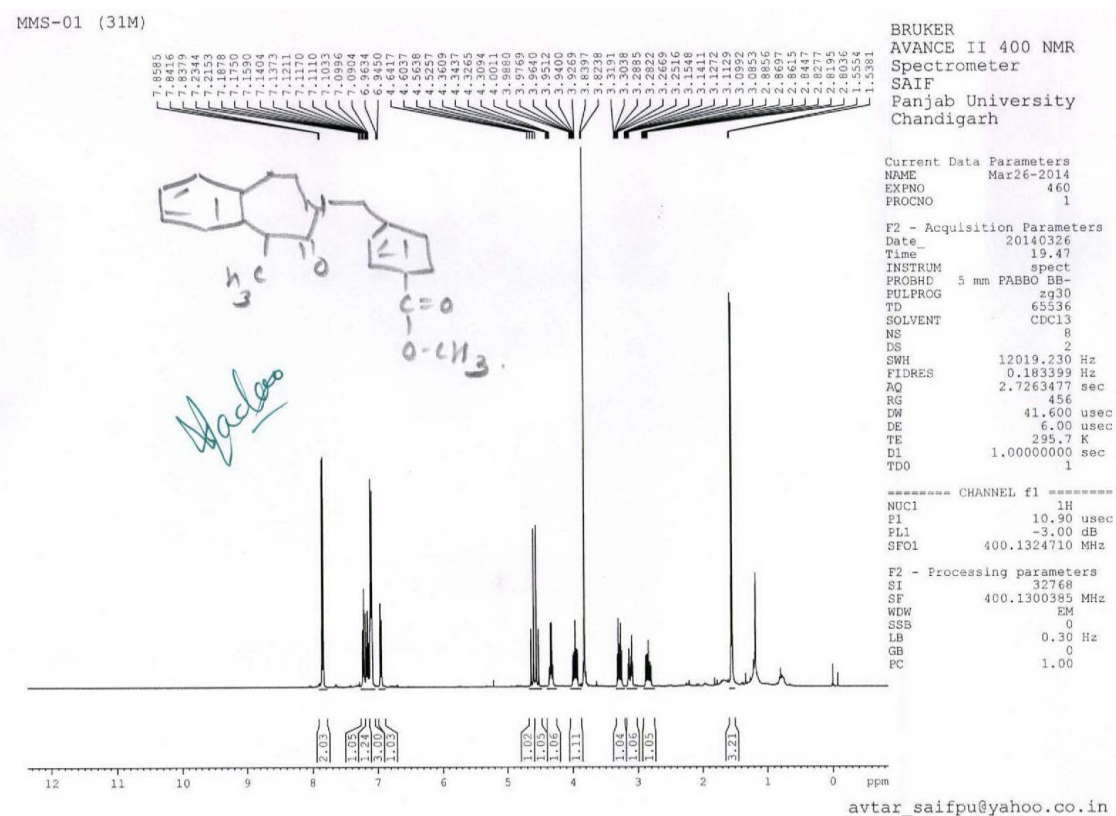
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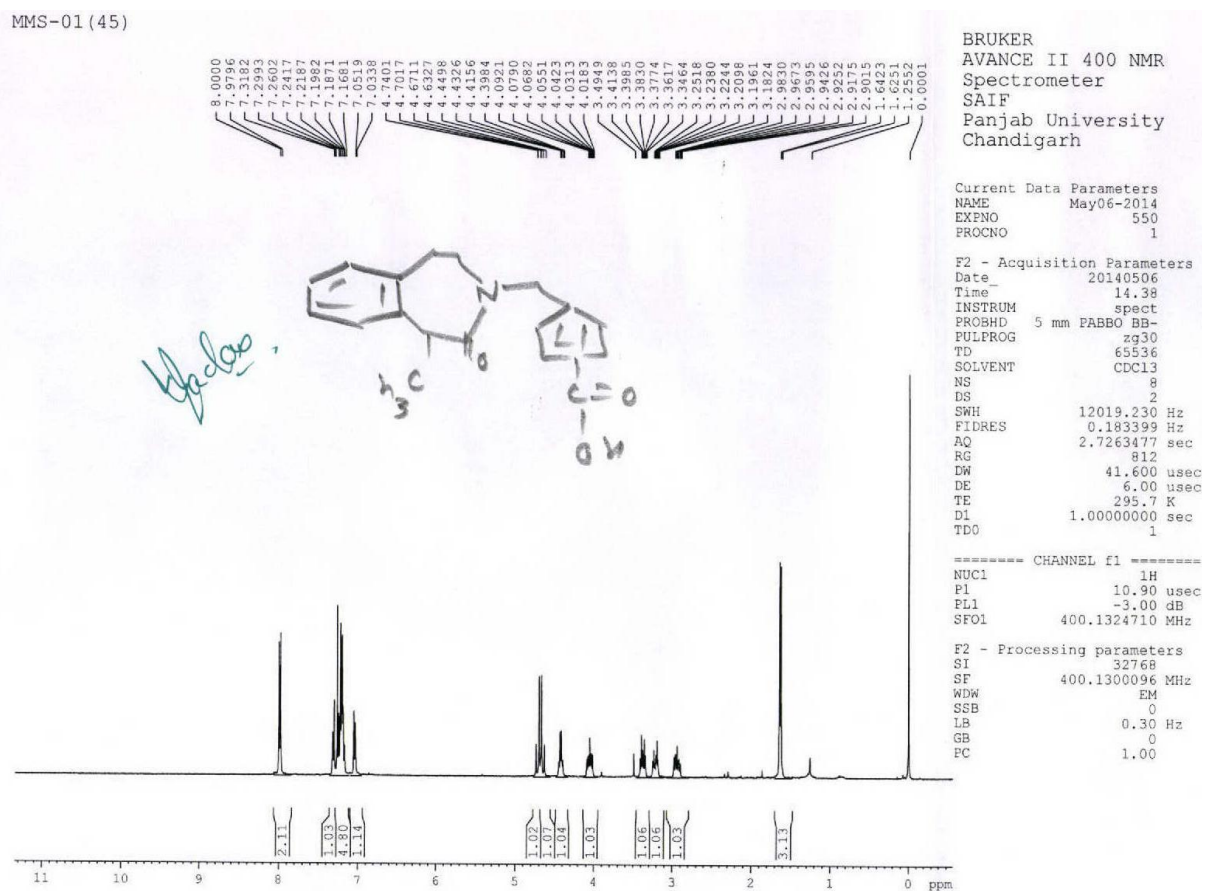
3-[(2-Cyano)-4-biphenyl]-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (35)



Methyl 4-(1-methyl-2-oxo-1,3,4,5-tetrahydrobenzo[d]azepinyl)methylbenzoate (36)

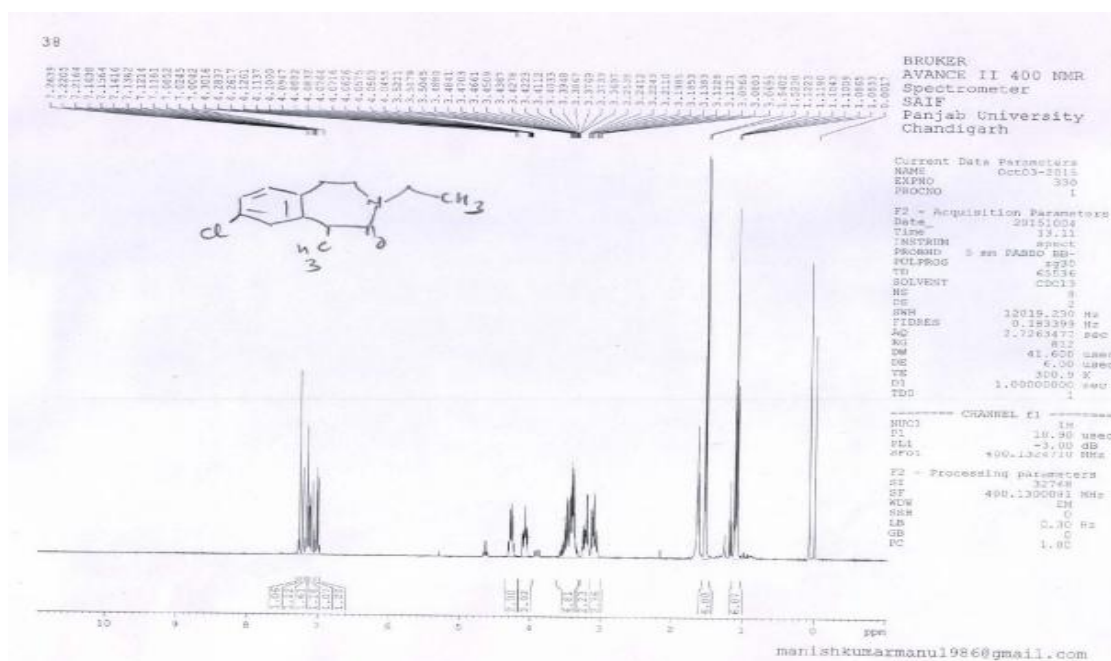


4-(1-Methyl-2-oxo-1,3,4,5-tetrahydrobenzo[d]azepinyl)methylbenzoic acid (37)

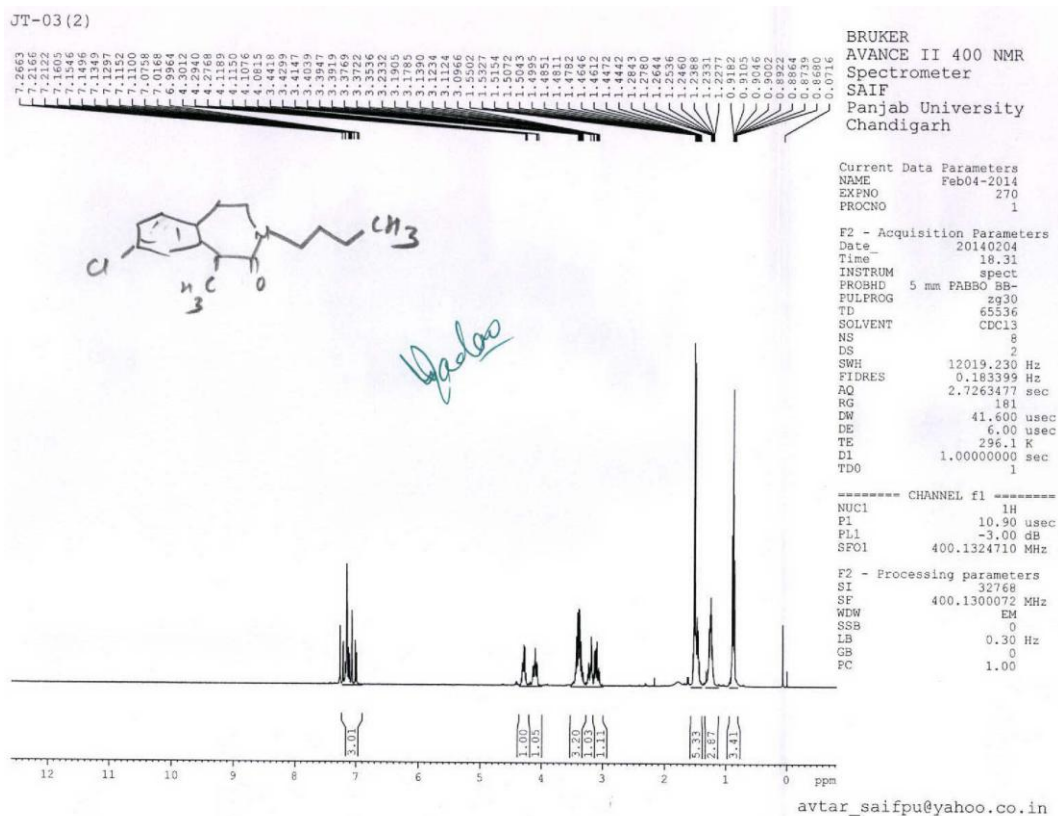


avtar saifpu@yahoo.co.in

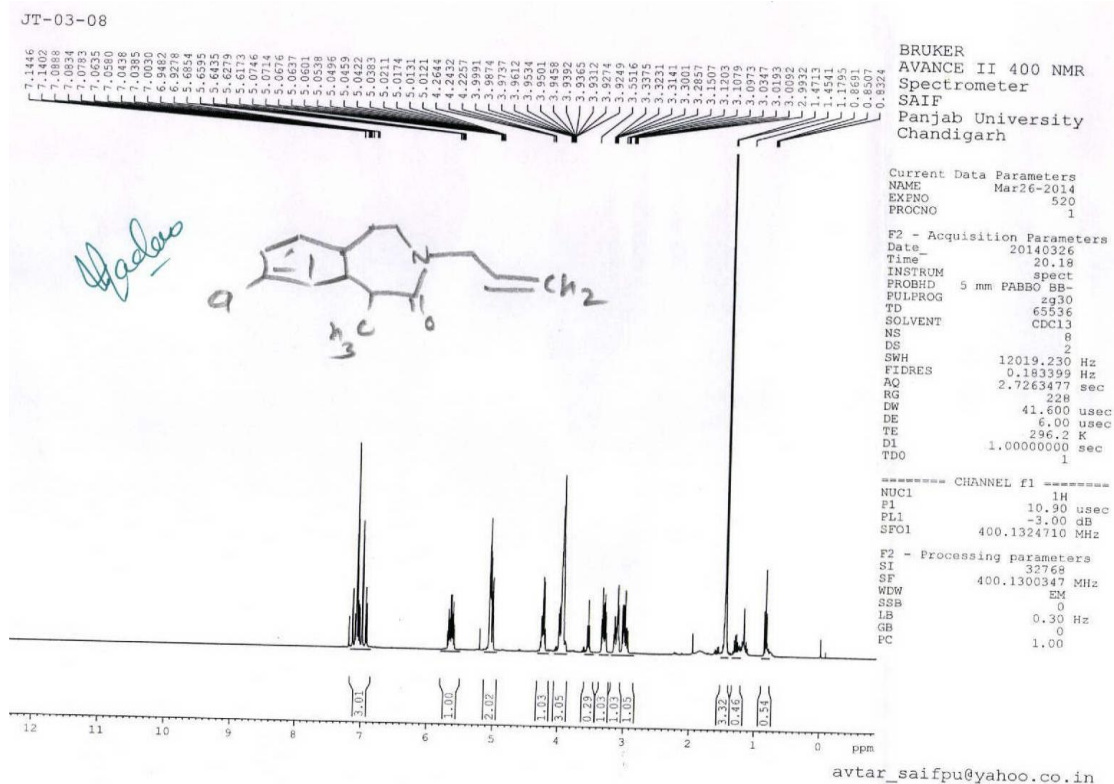
8-Chloro-3-ethyl-1-methyl- 4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (38)



3-Butyl-8-chloro-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (39)

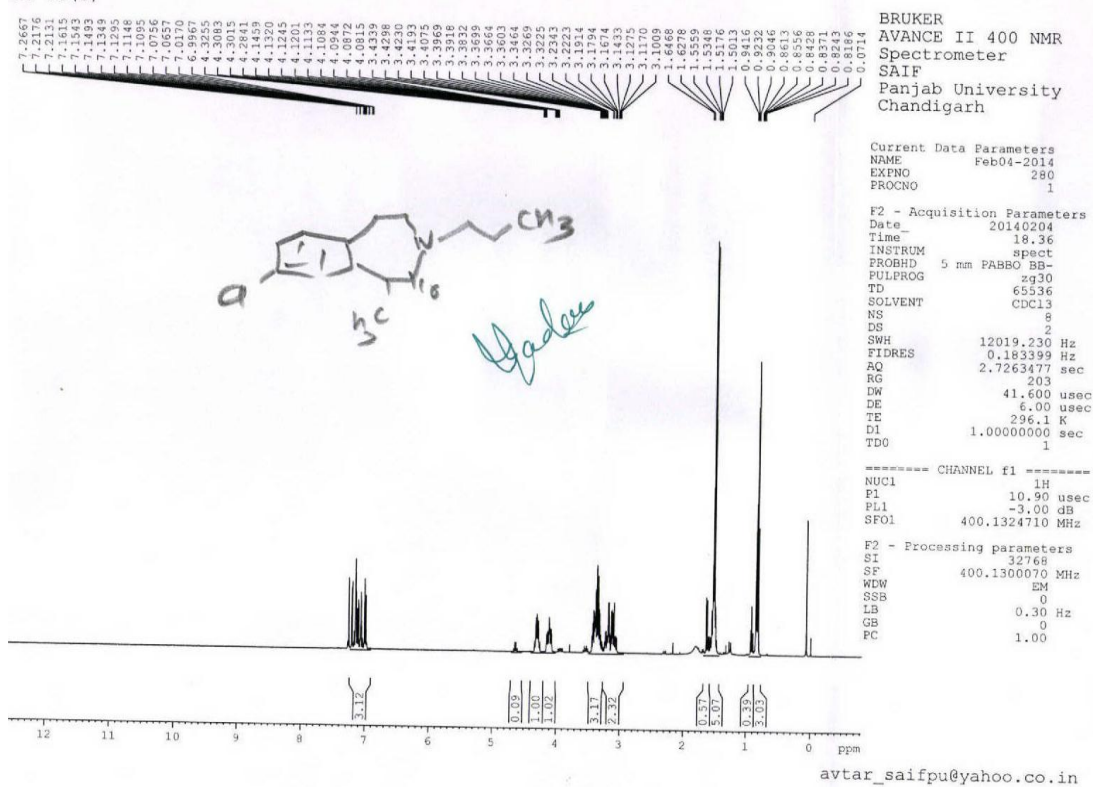


3-Allyl-8-chloro-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (40)



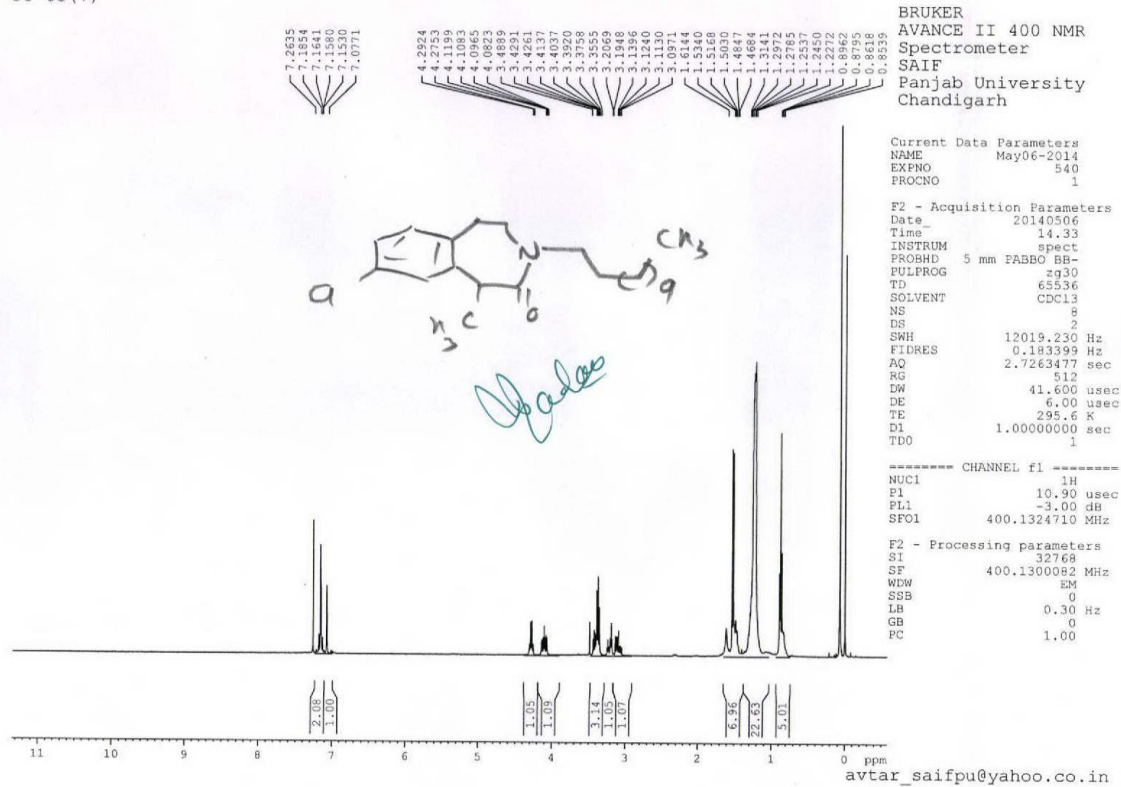
8-Chloro-3-propyl-1-methyl- 4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (41)

JT-03 (5)

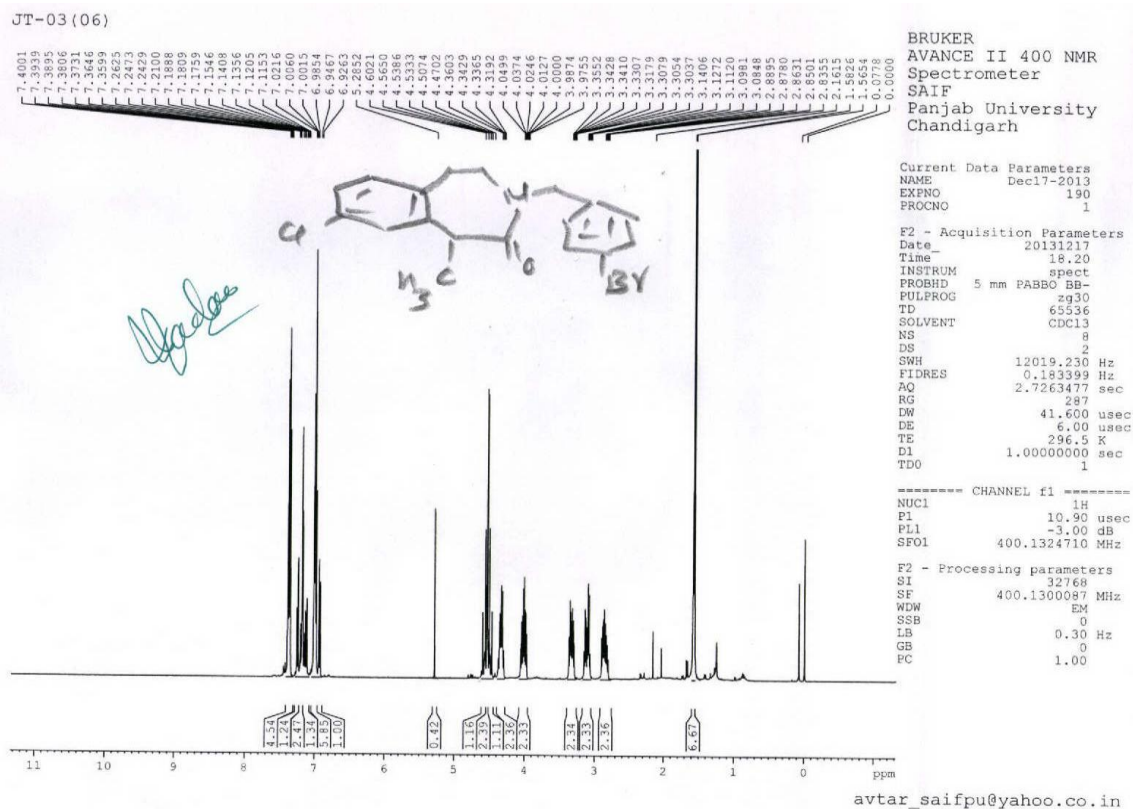


8-Chloro-3-dodecyl -1-methyl -4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (42)

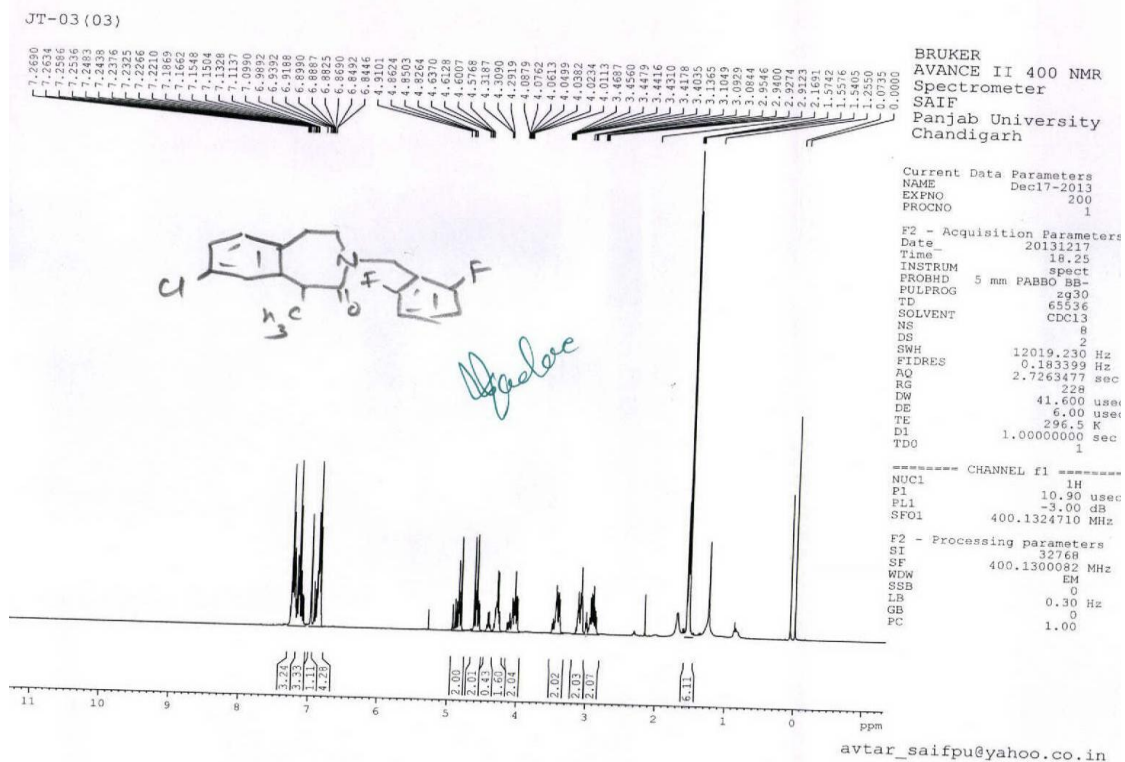
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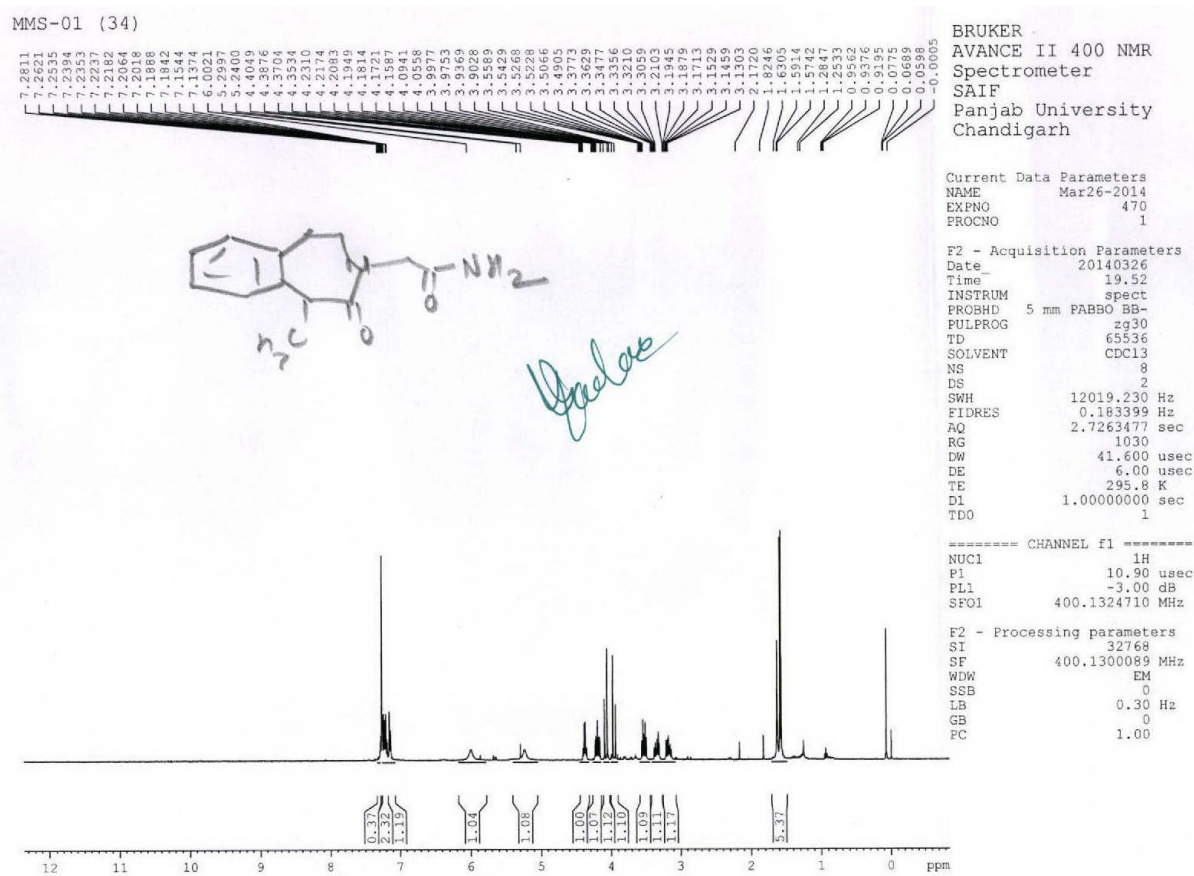
3-(4-Bromobenzyl)-8-chloro-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (43)



8-Chloro-3-(2,6-difluorobenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (44)

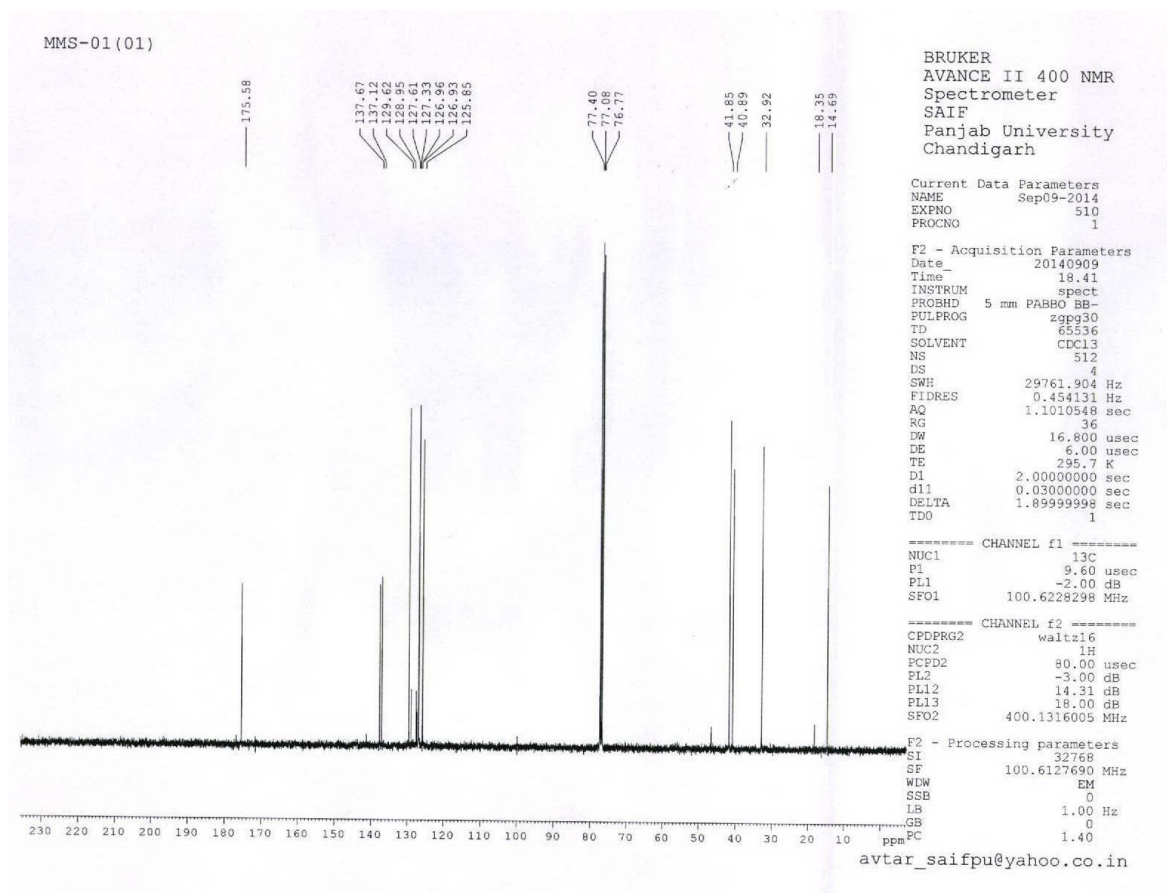


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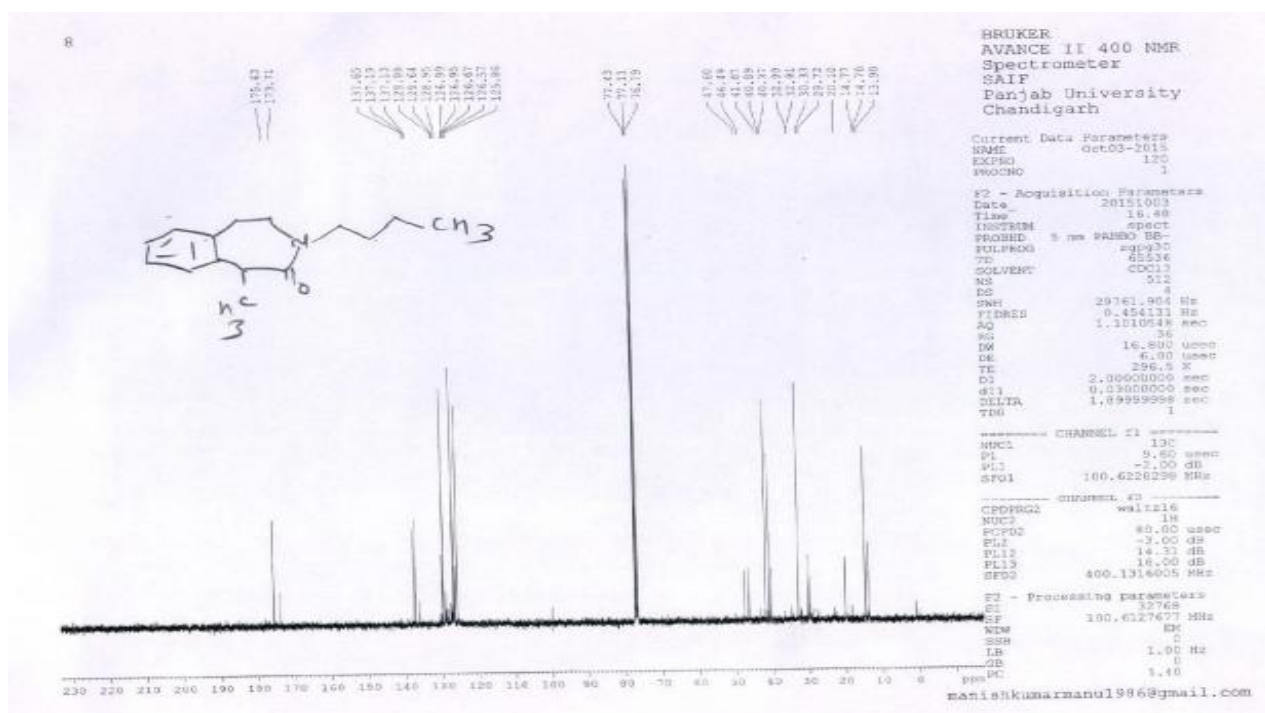


avtar_saifu@yahoo.co.in

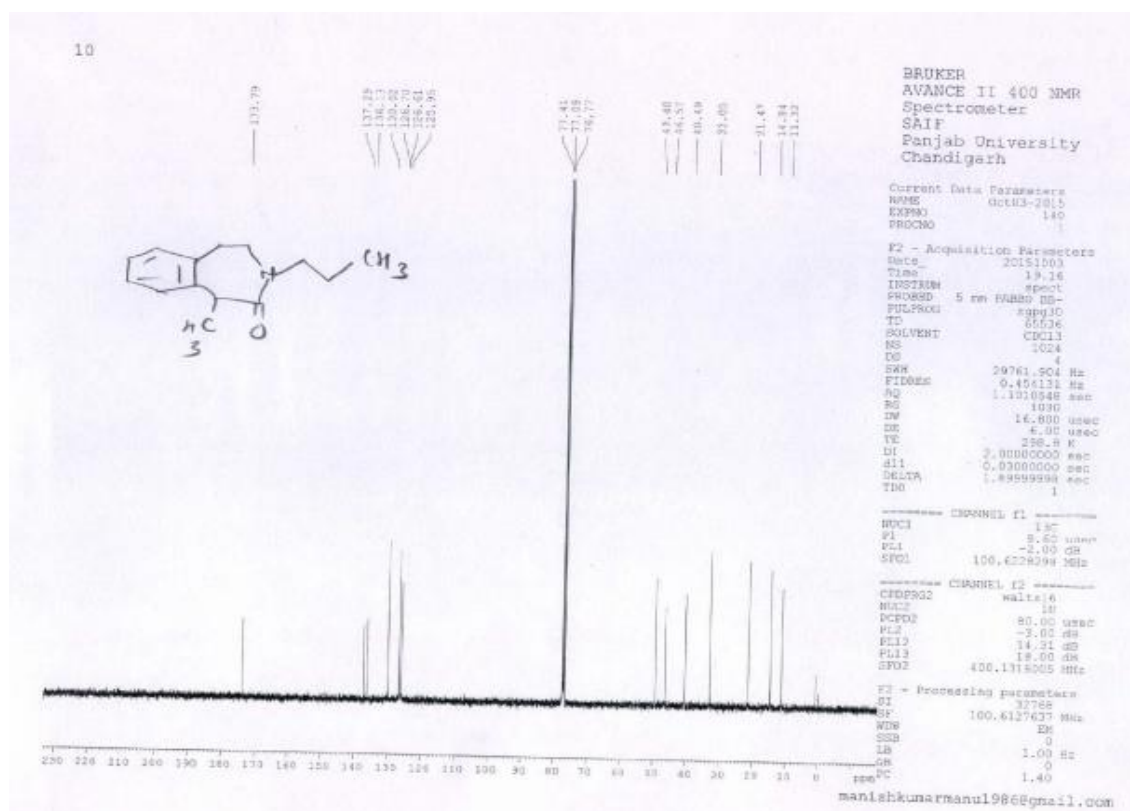
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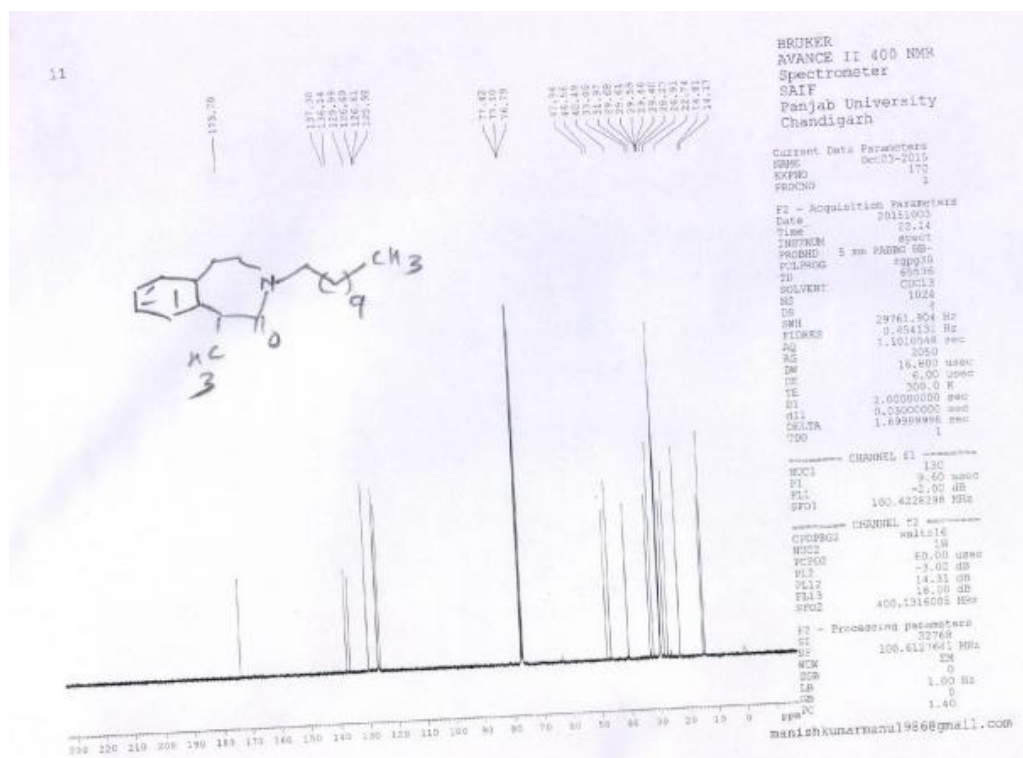
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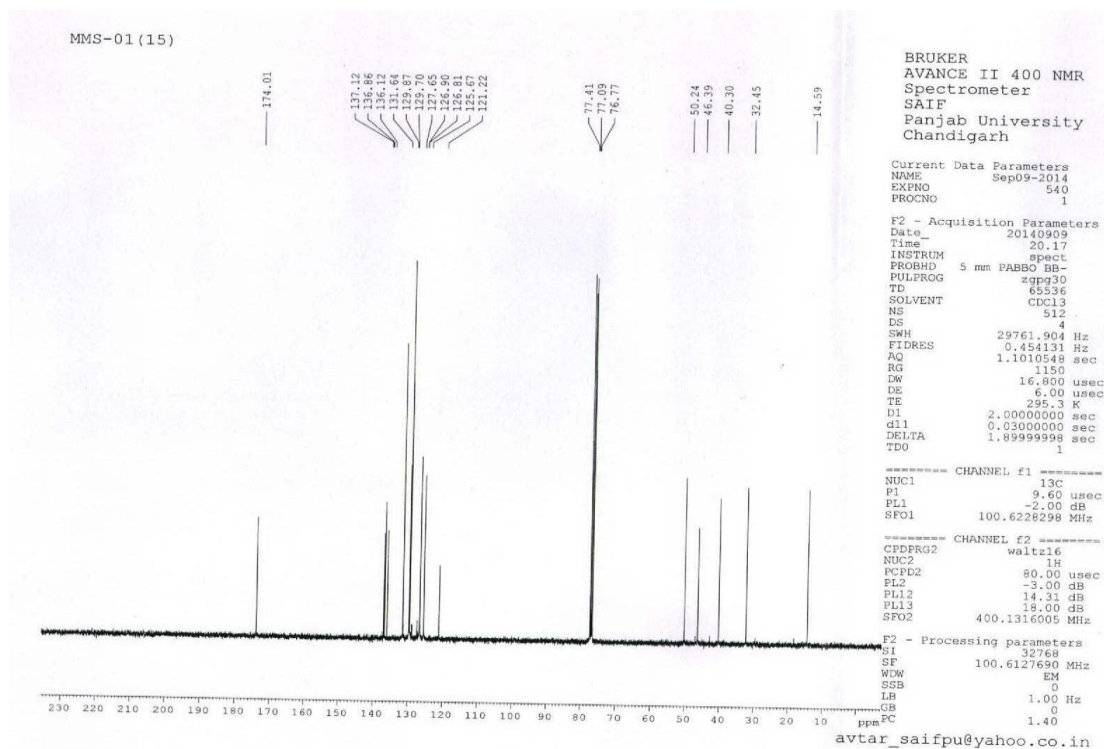
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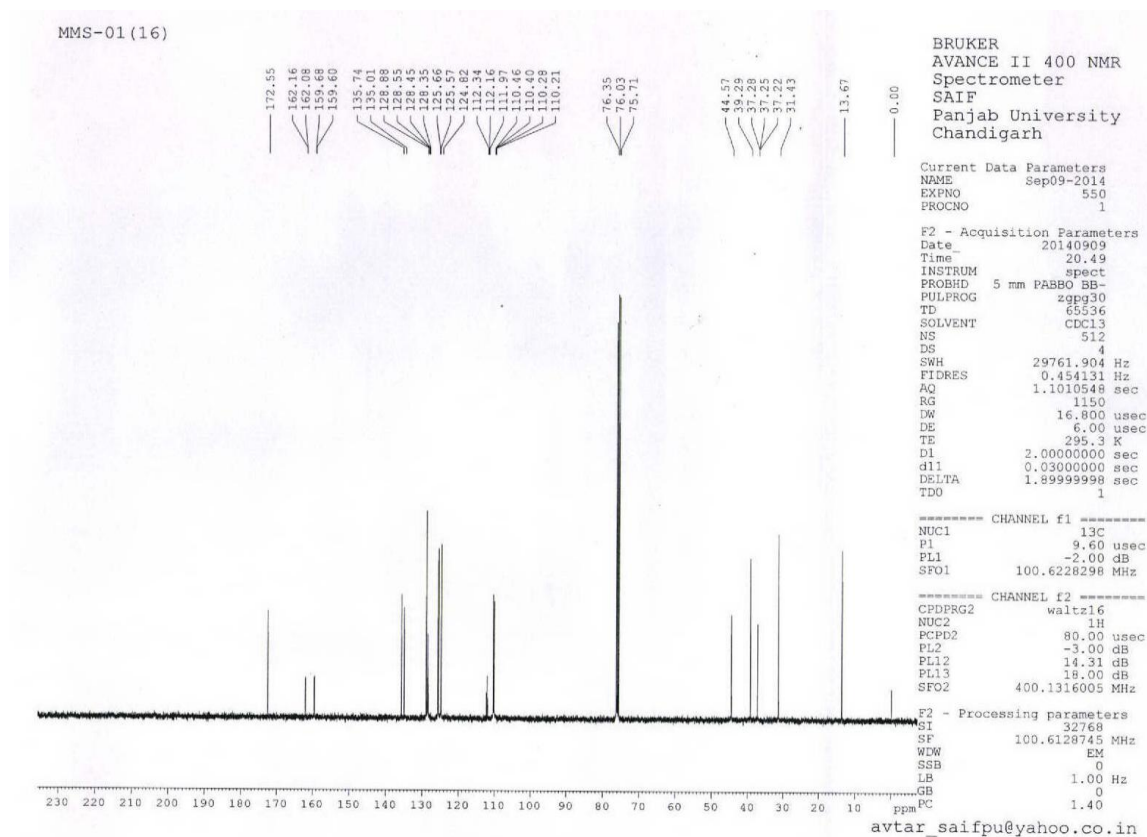
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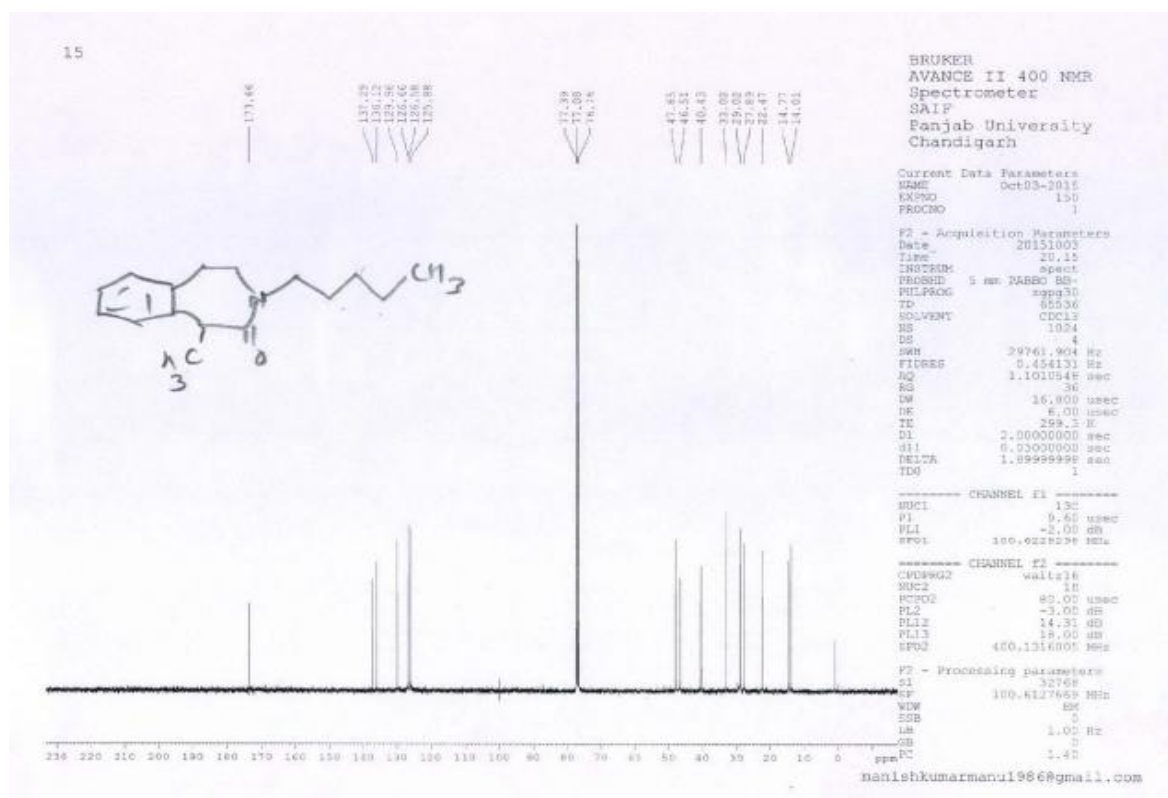
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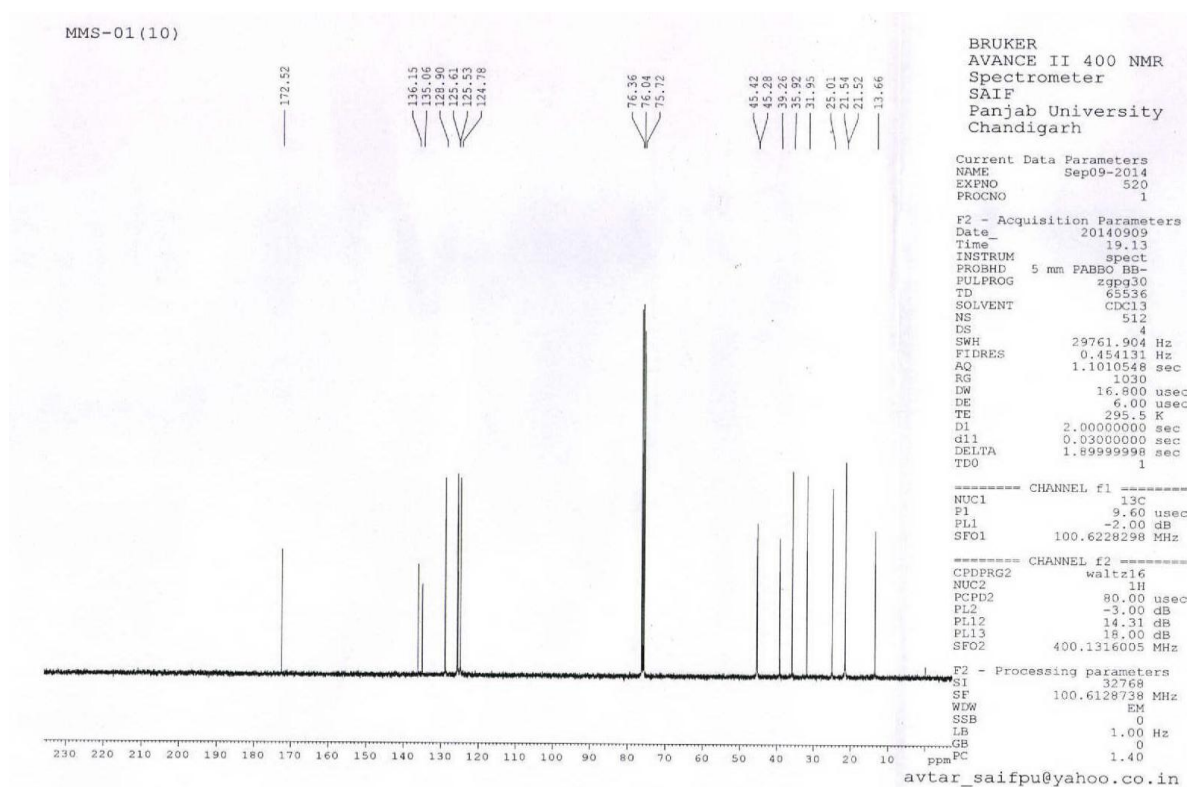
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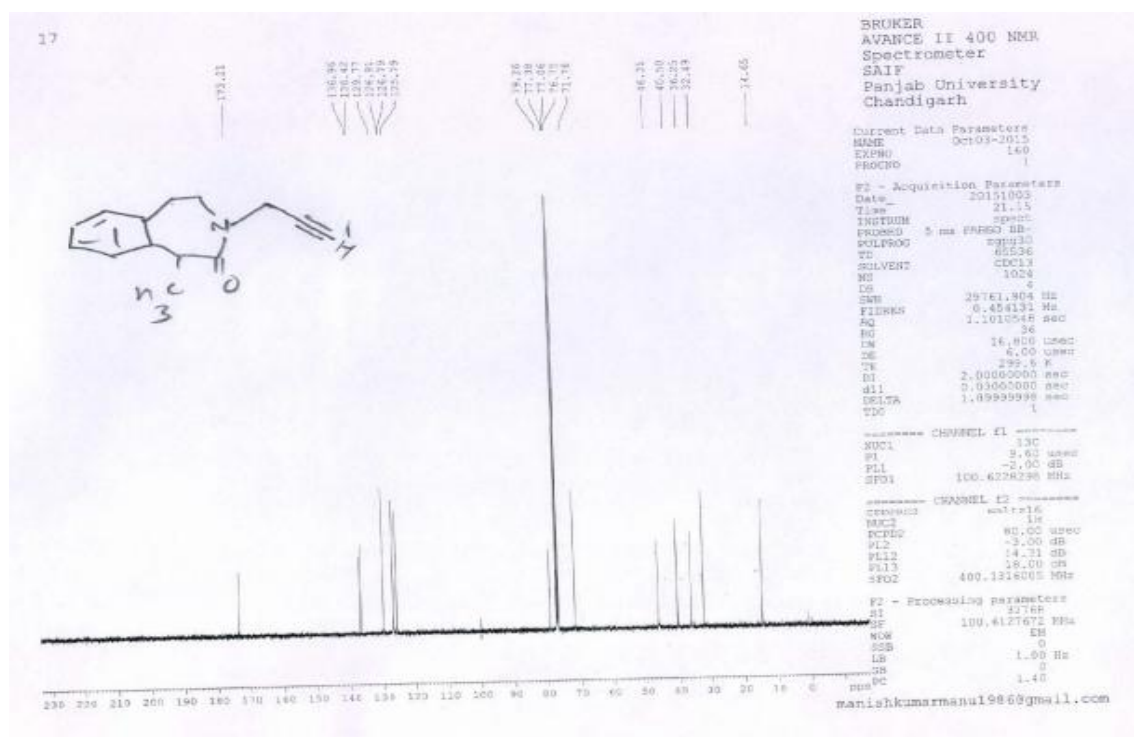
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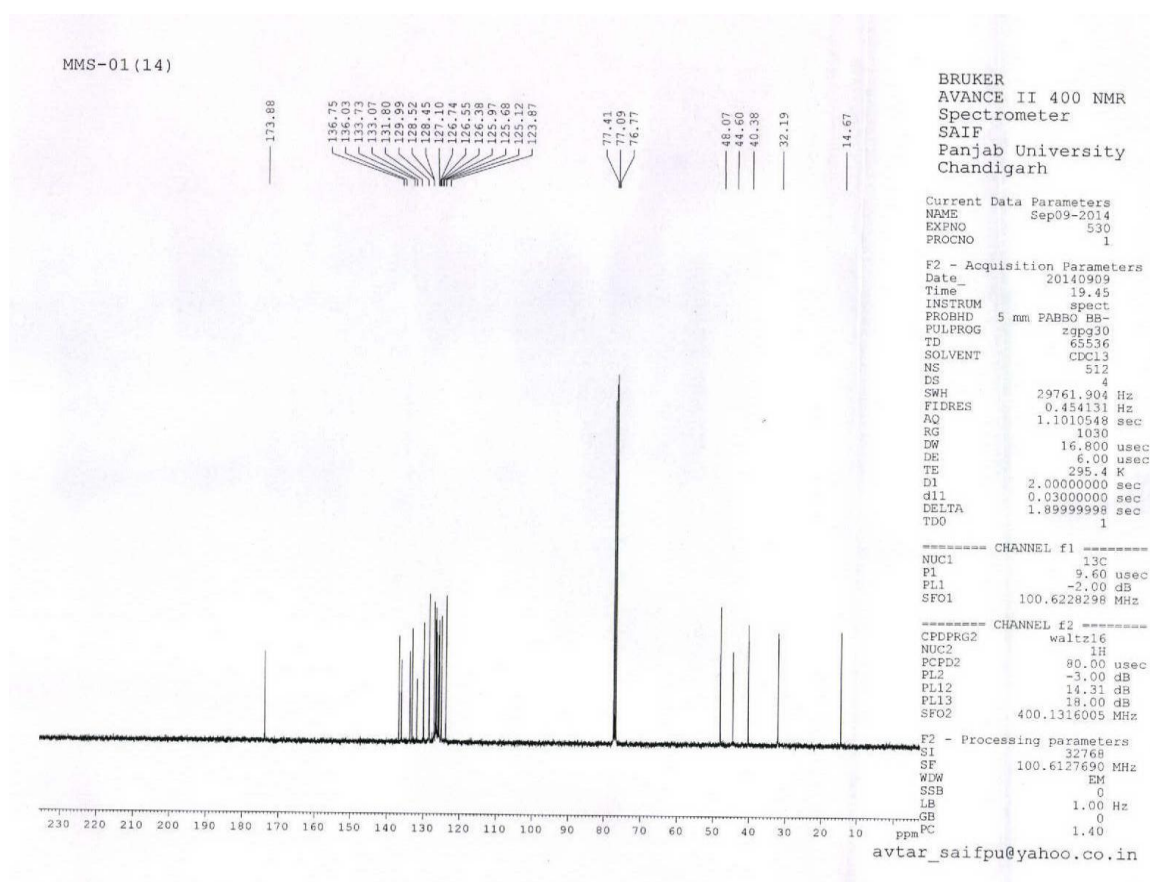
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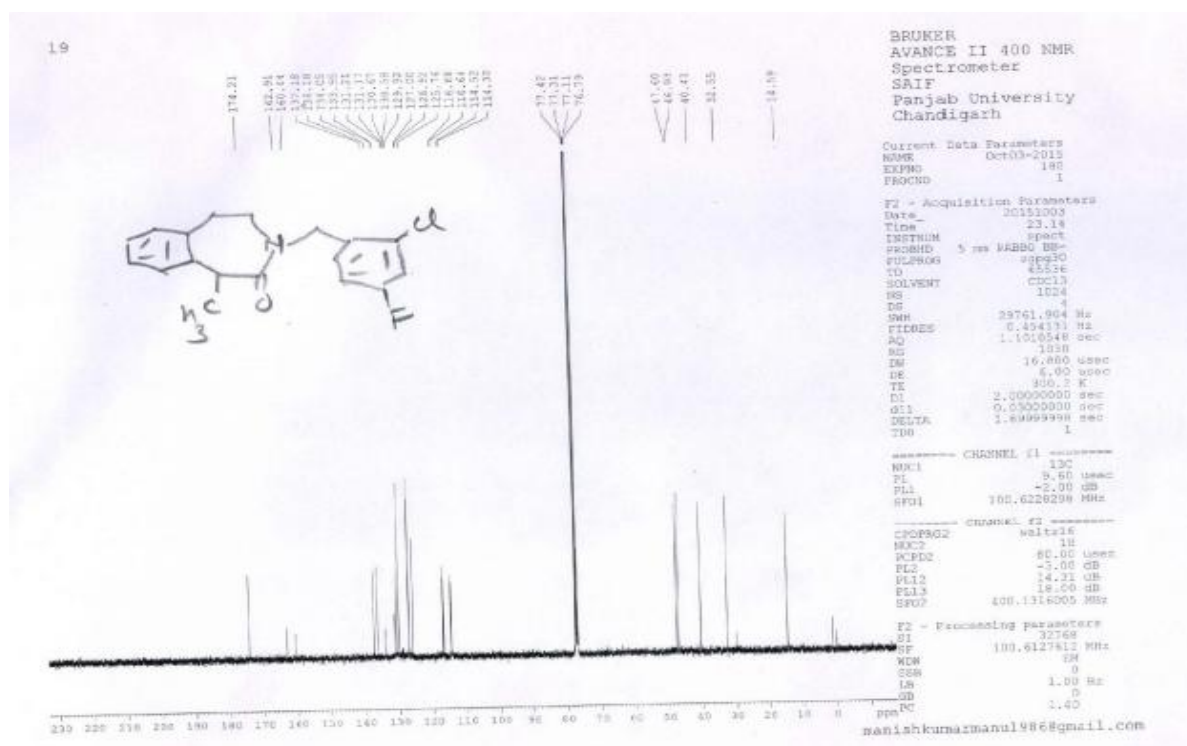
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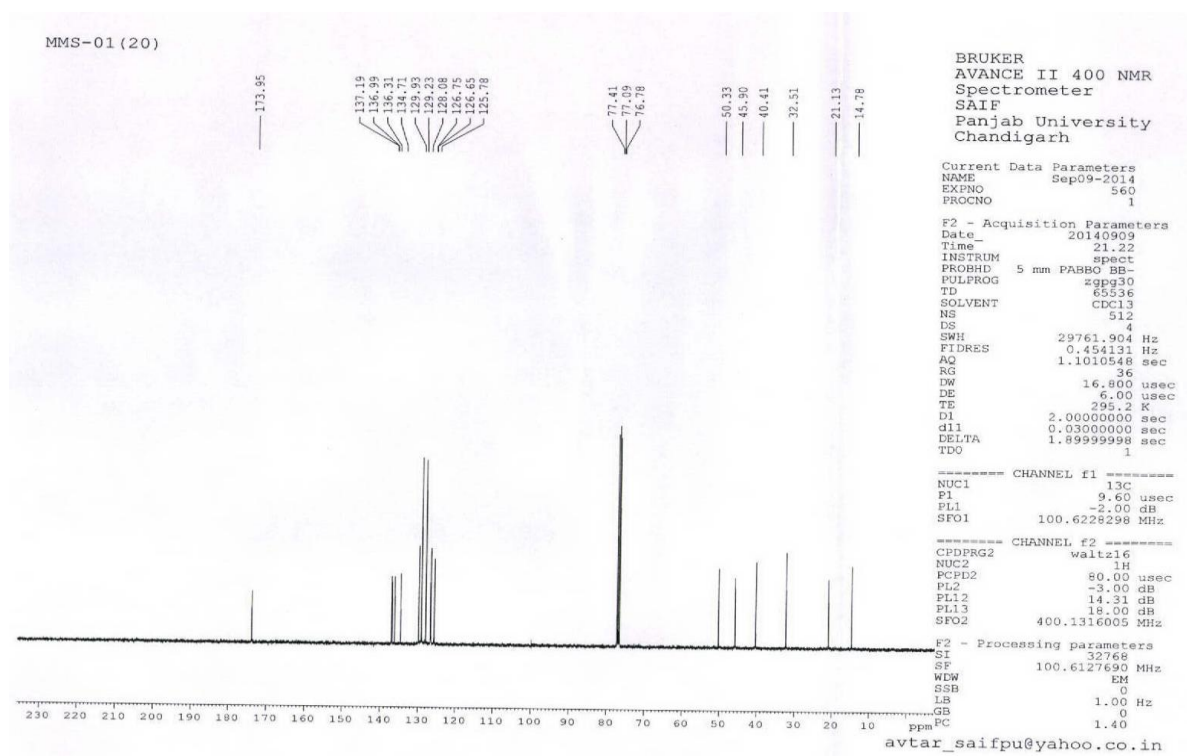
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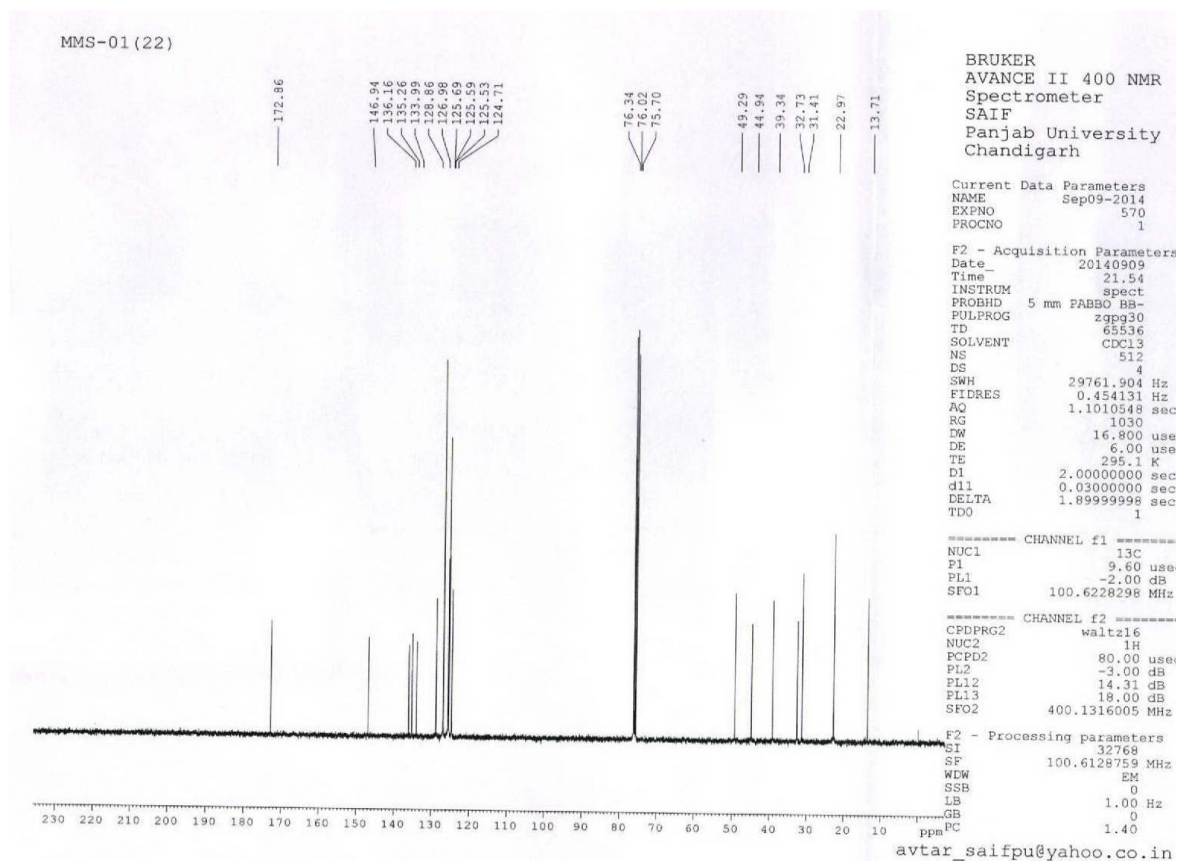
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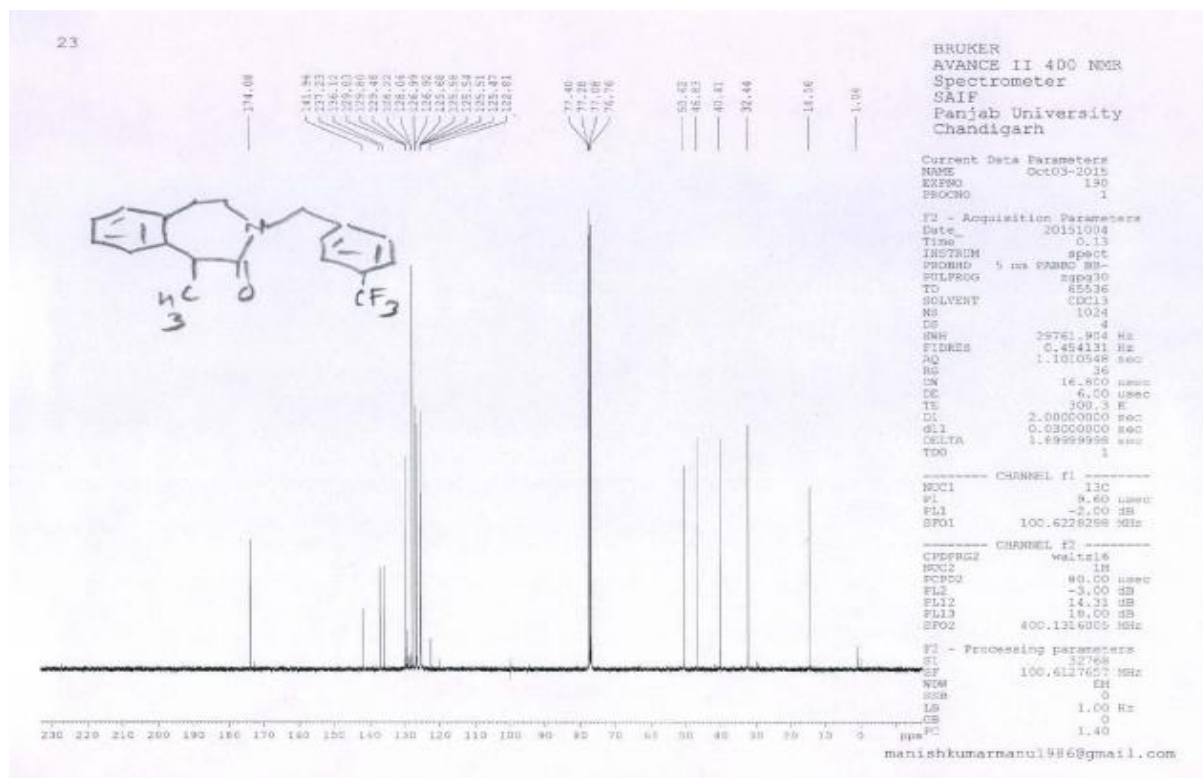
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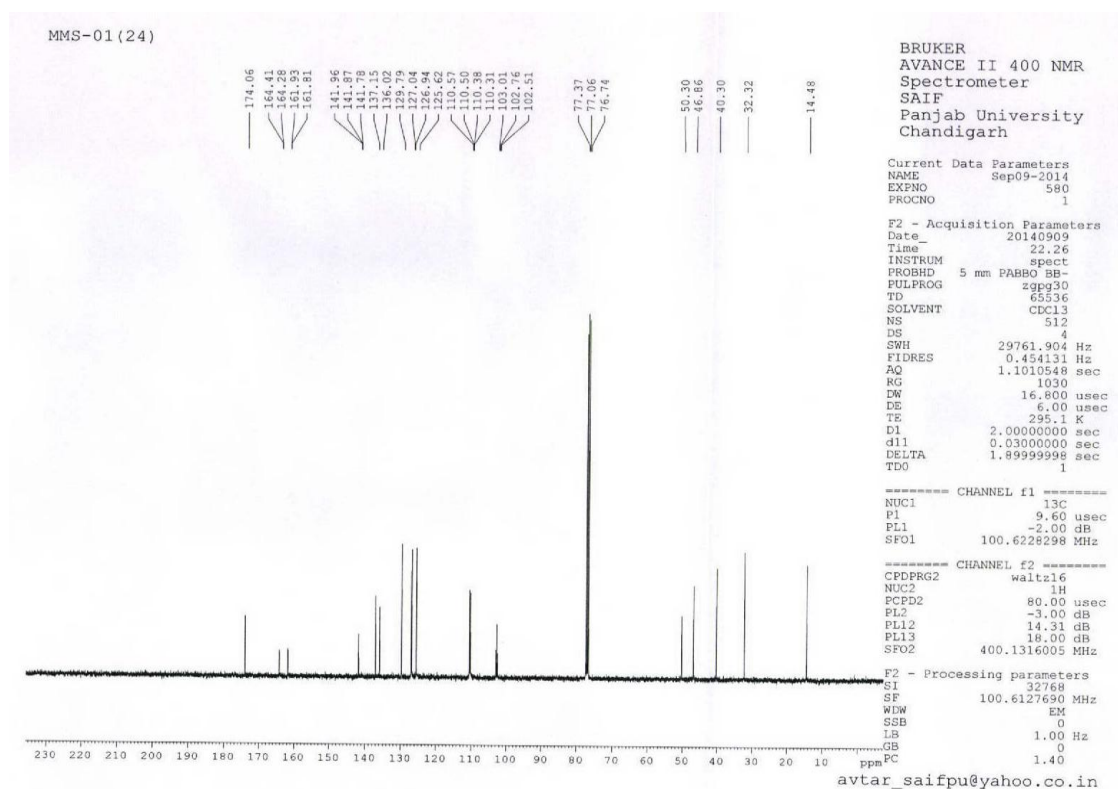
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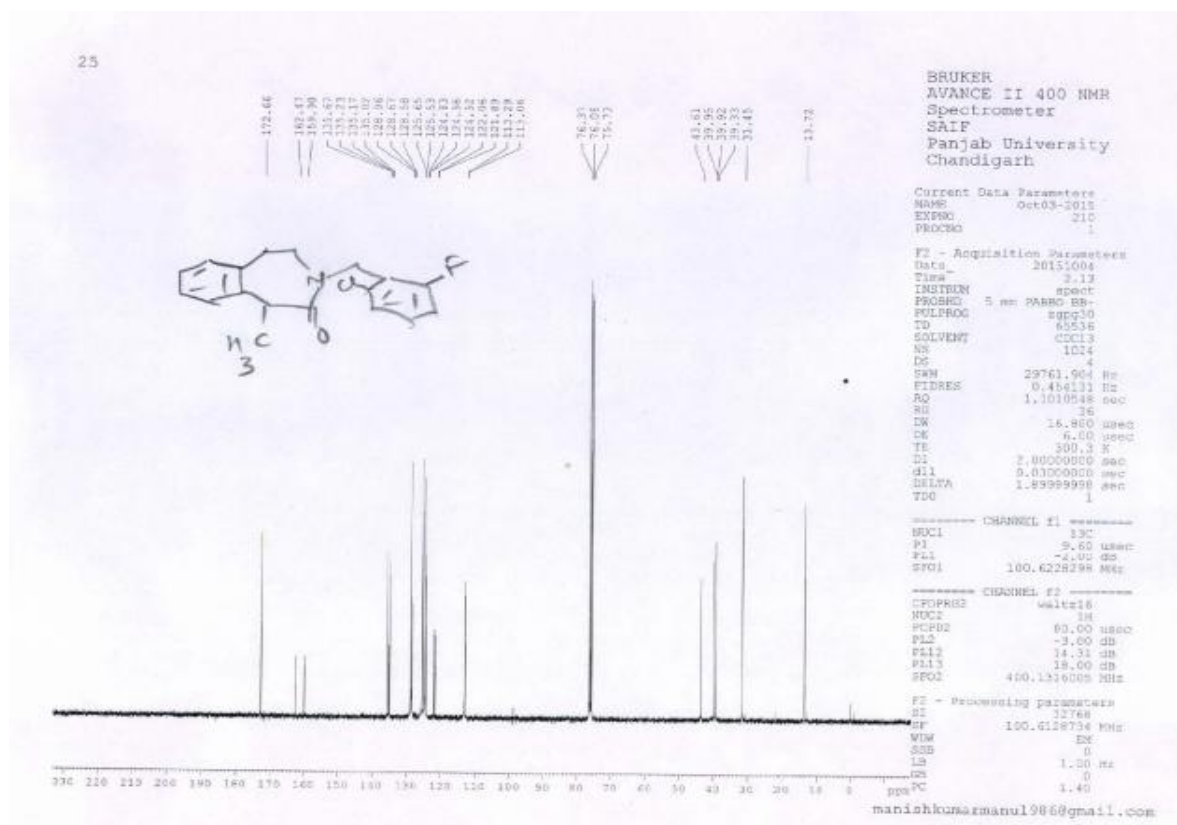
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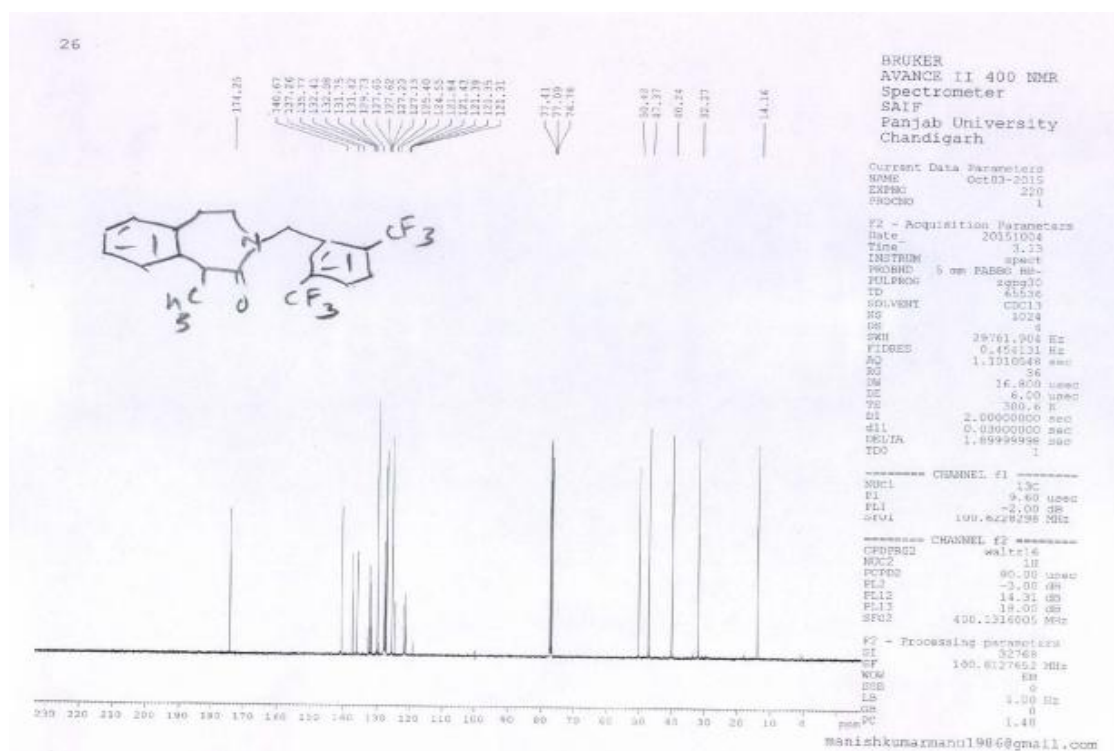
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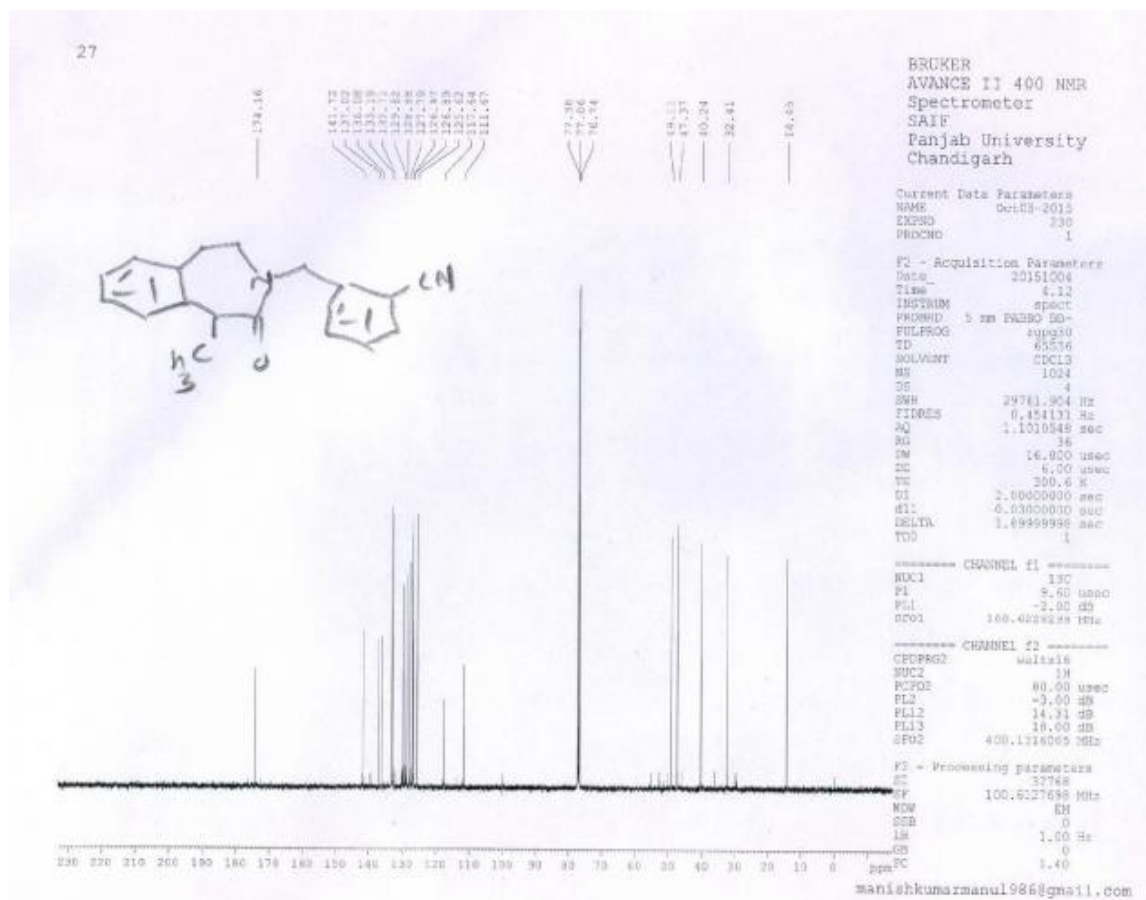
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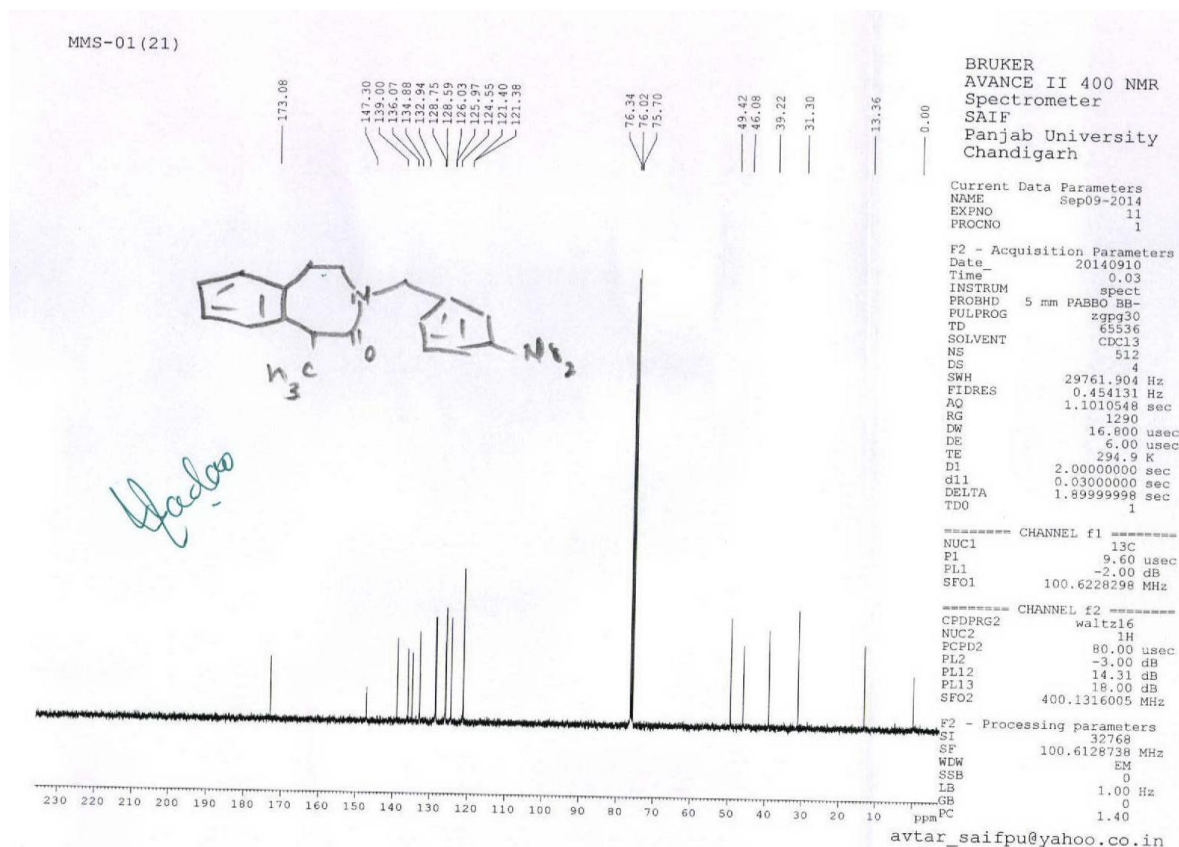
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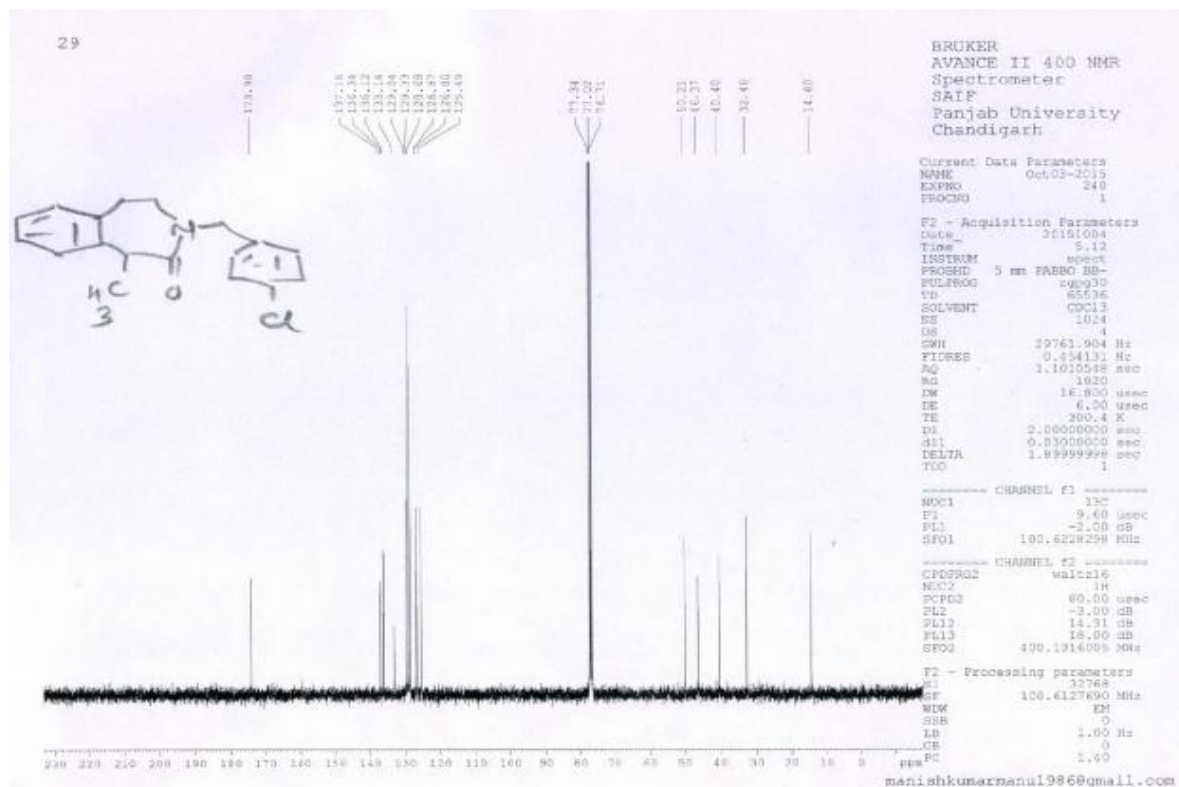
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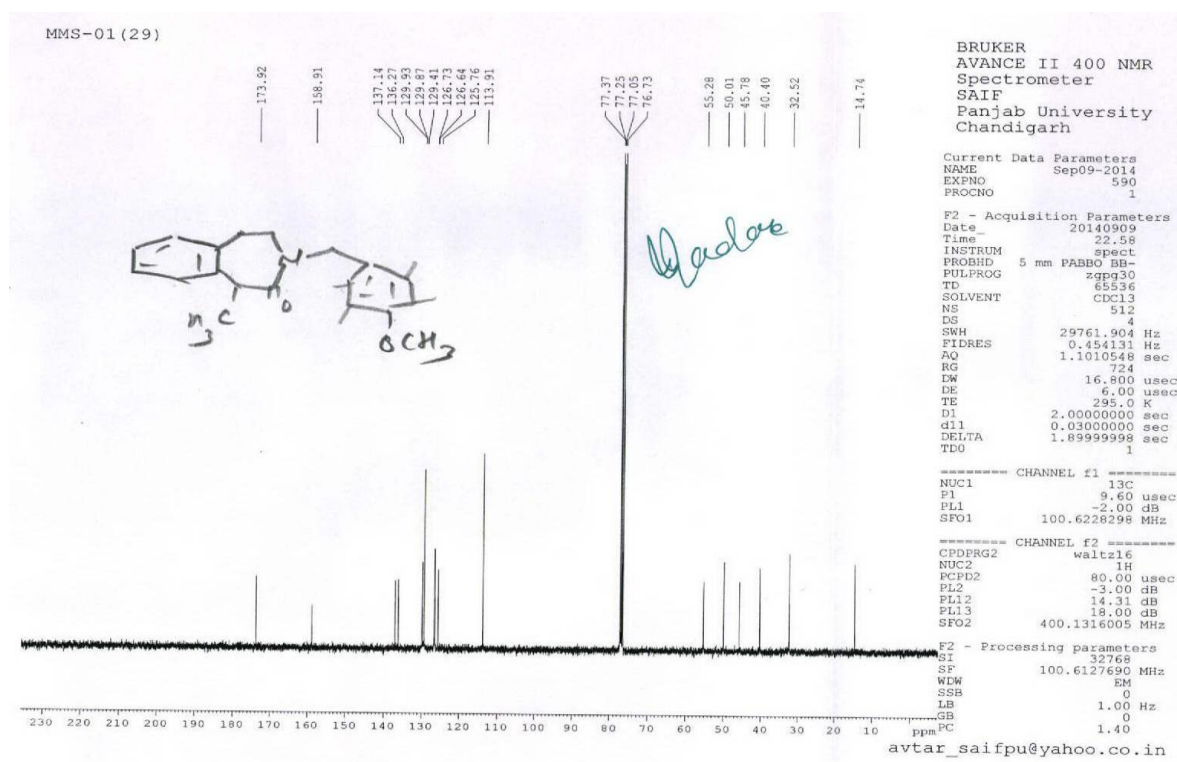
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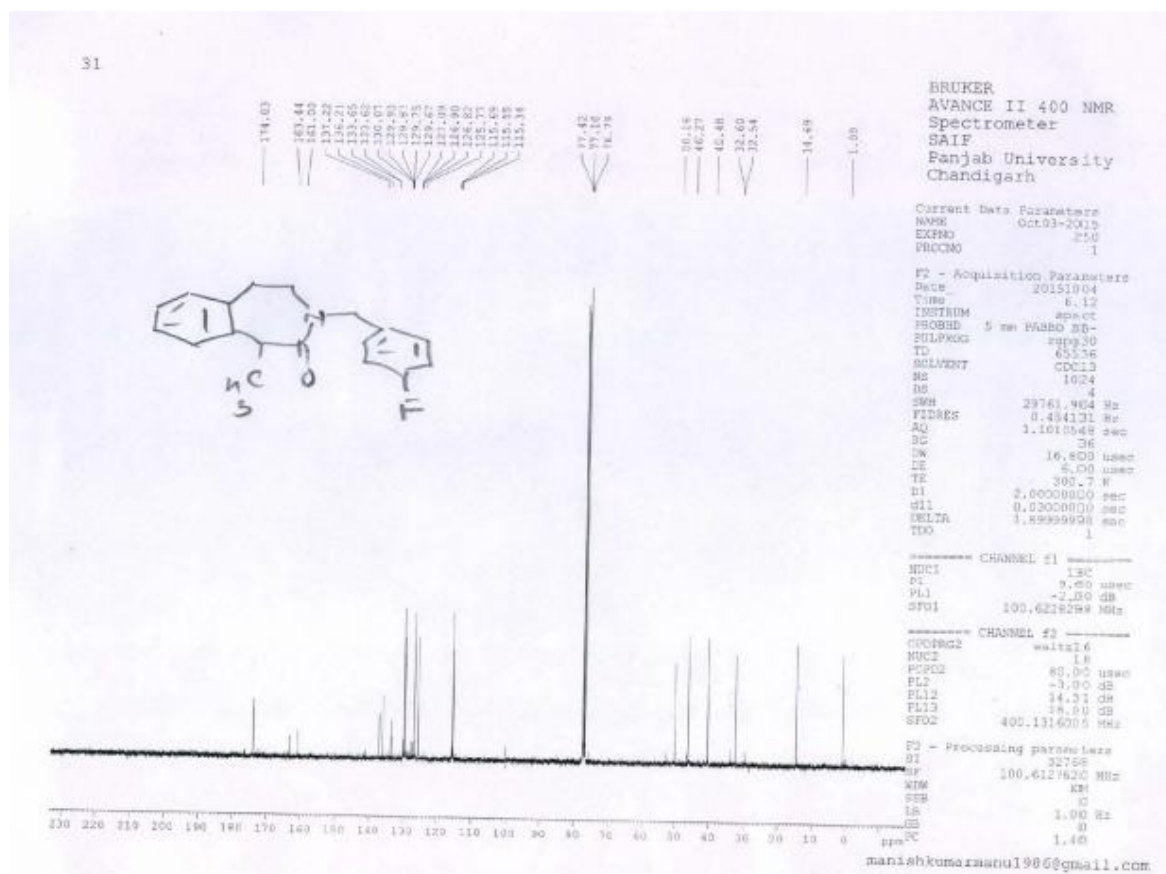
3-(4-Chlorobenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (29)



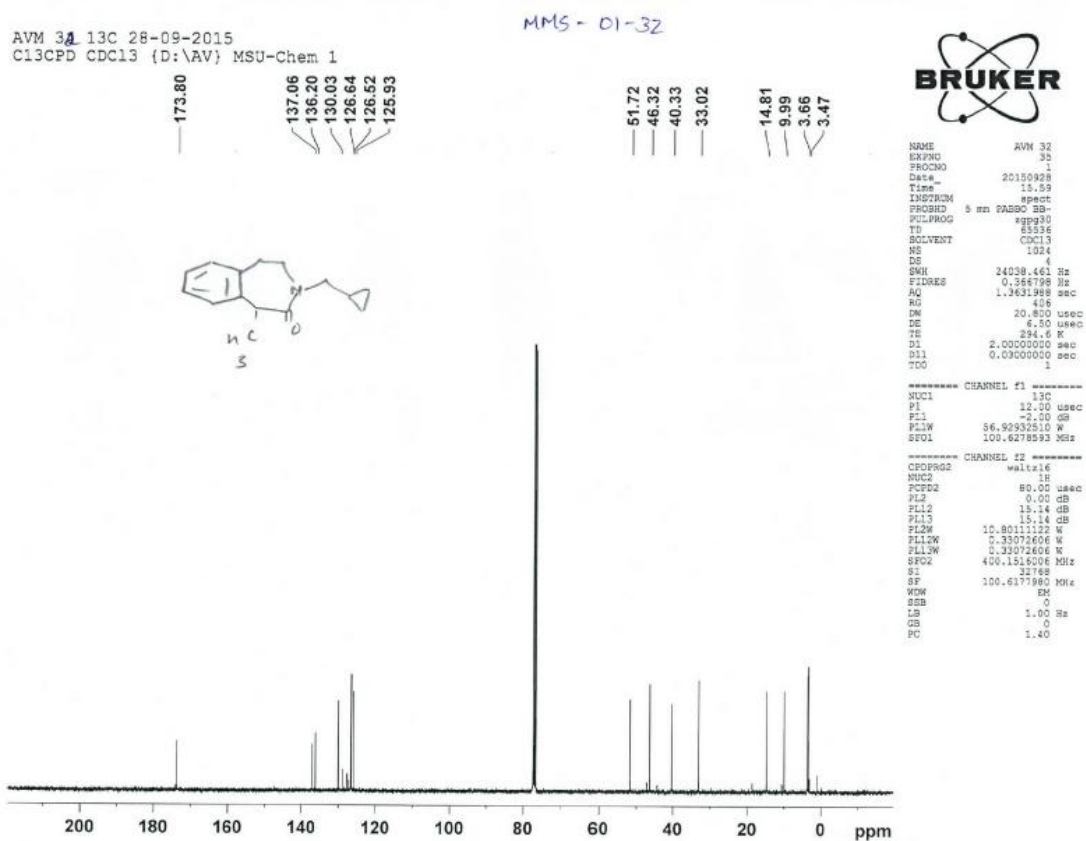
3-(4-Methoxybenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (30)



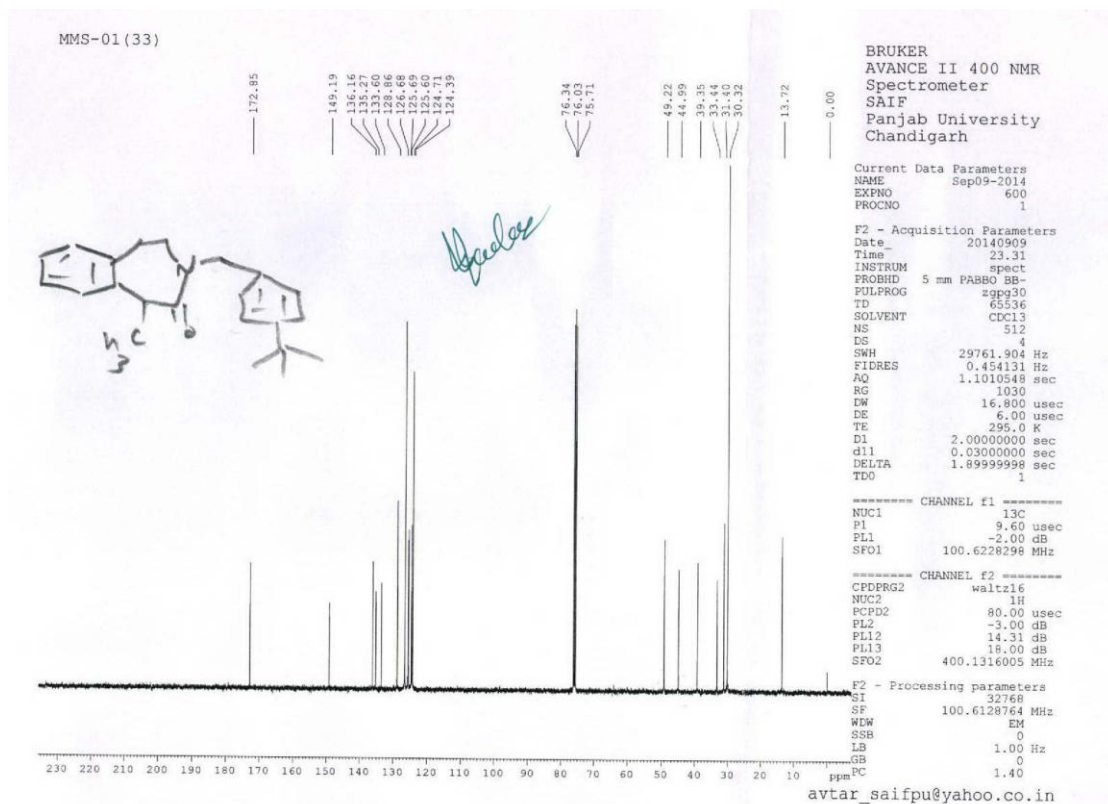
3-(4-Fluorobenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (31)



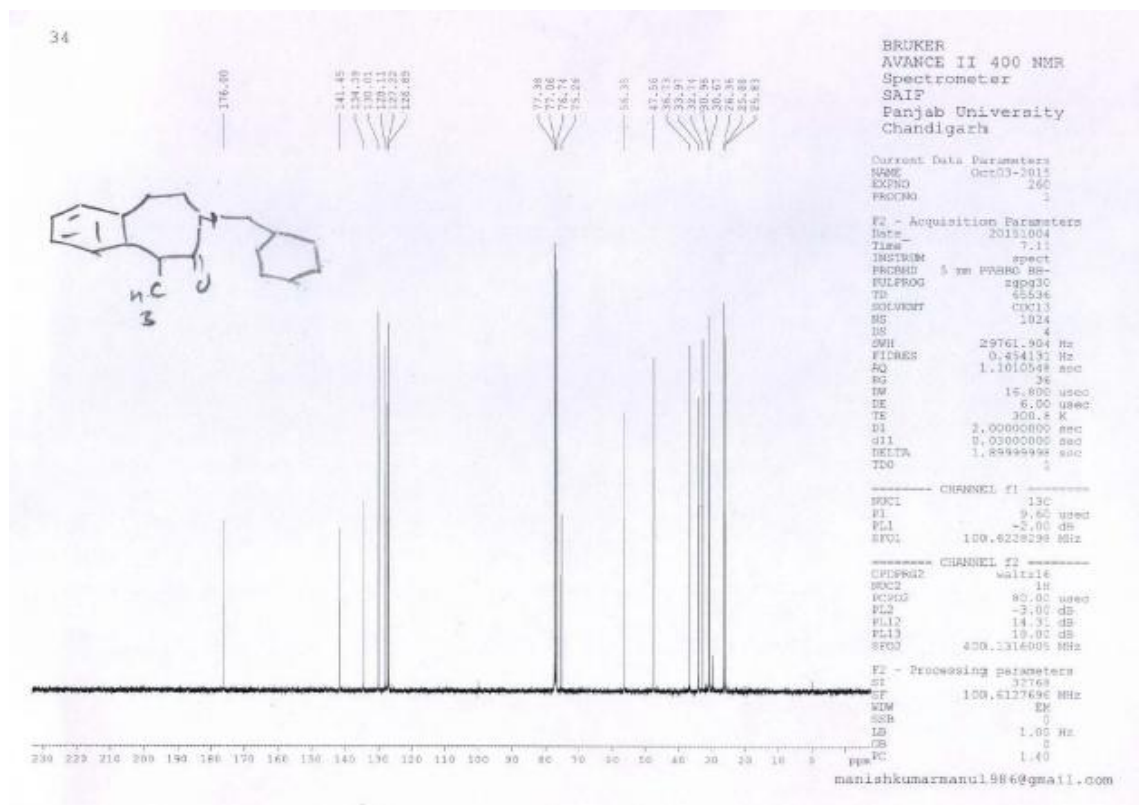
3-Cyclopropylmethyl-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (32)



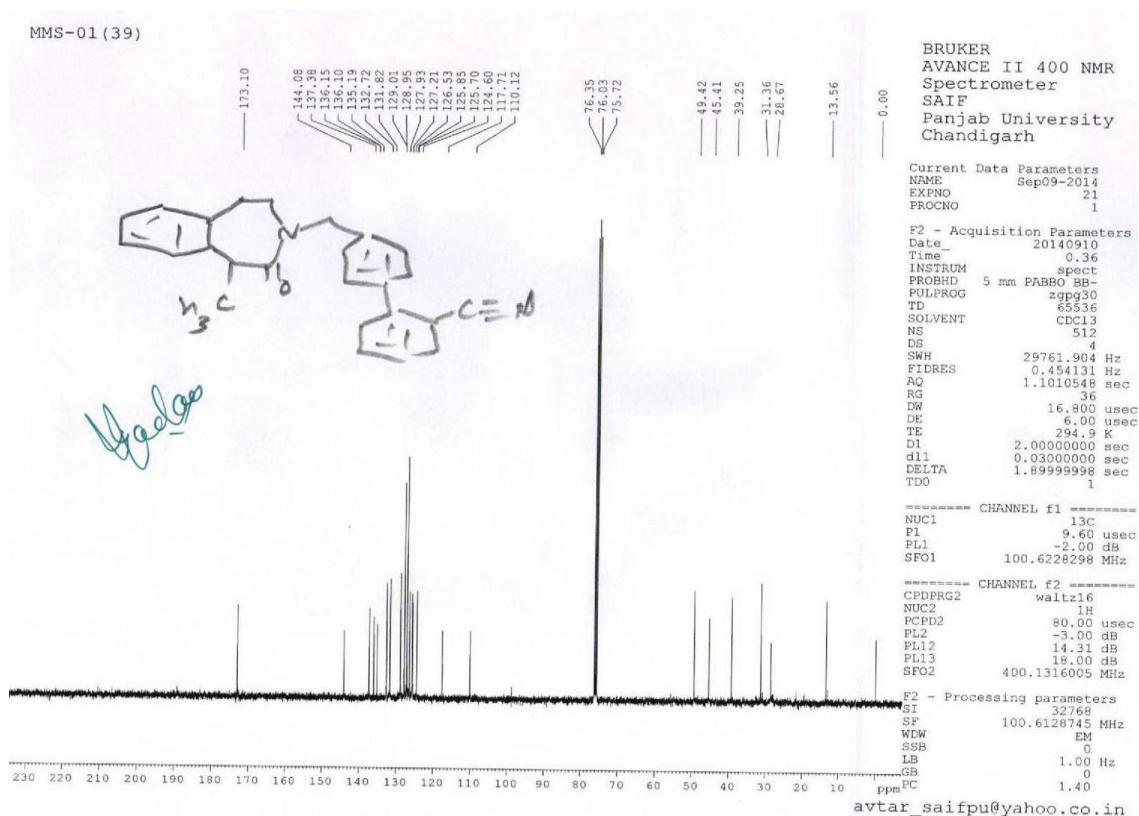
3-(4-t-Butylbenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (33)



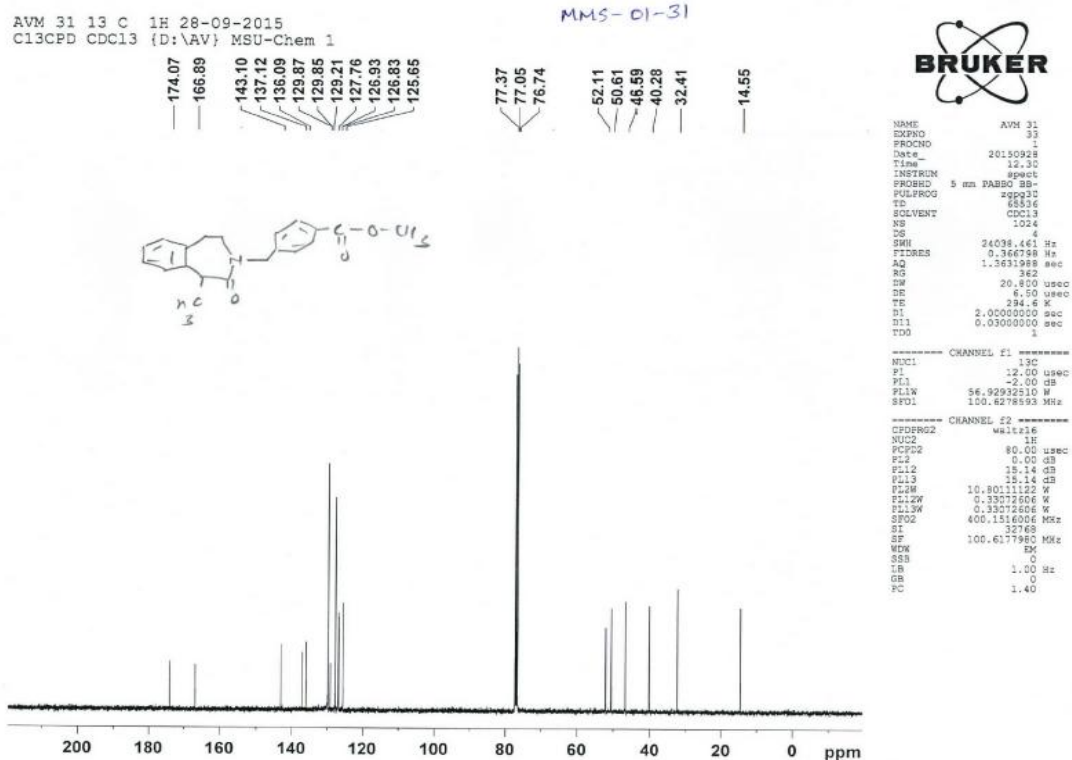
3-Cyclohexylmethyl-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (34)



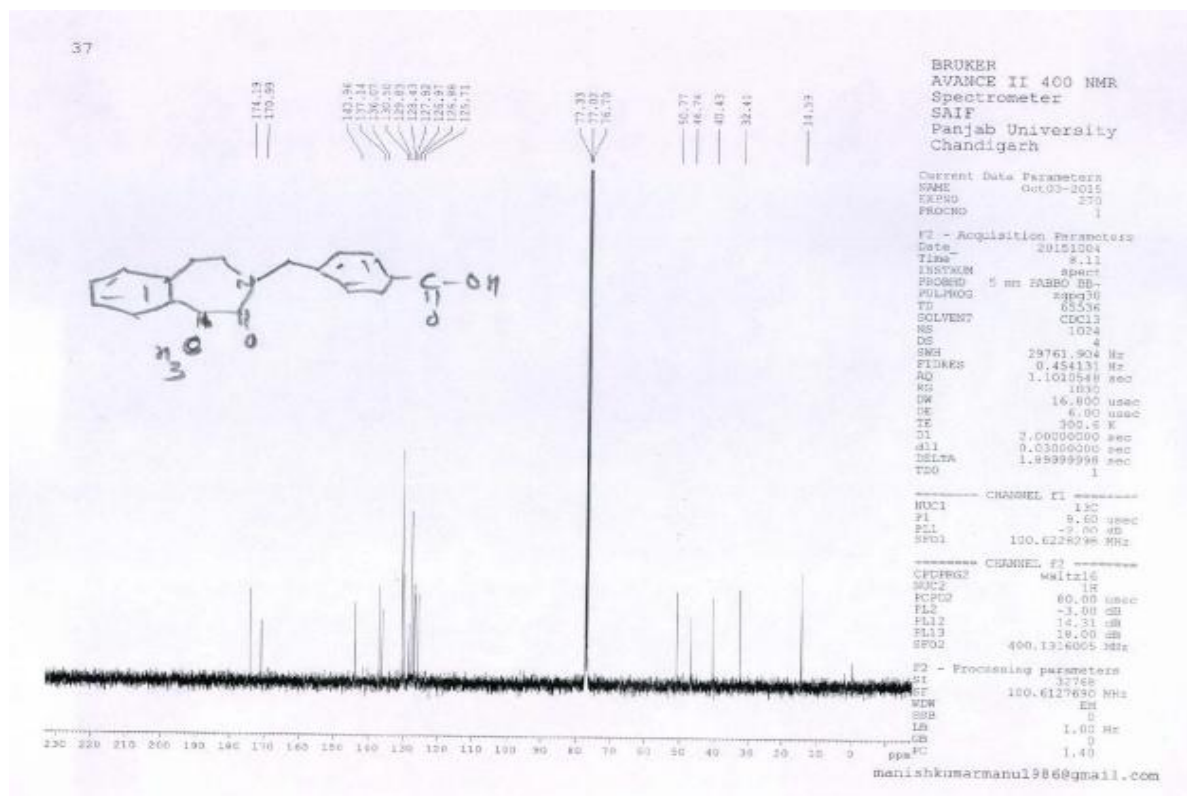
3-[(2-Cyano)-4-biphenyl]-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (35)



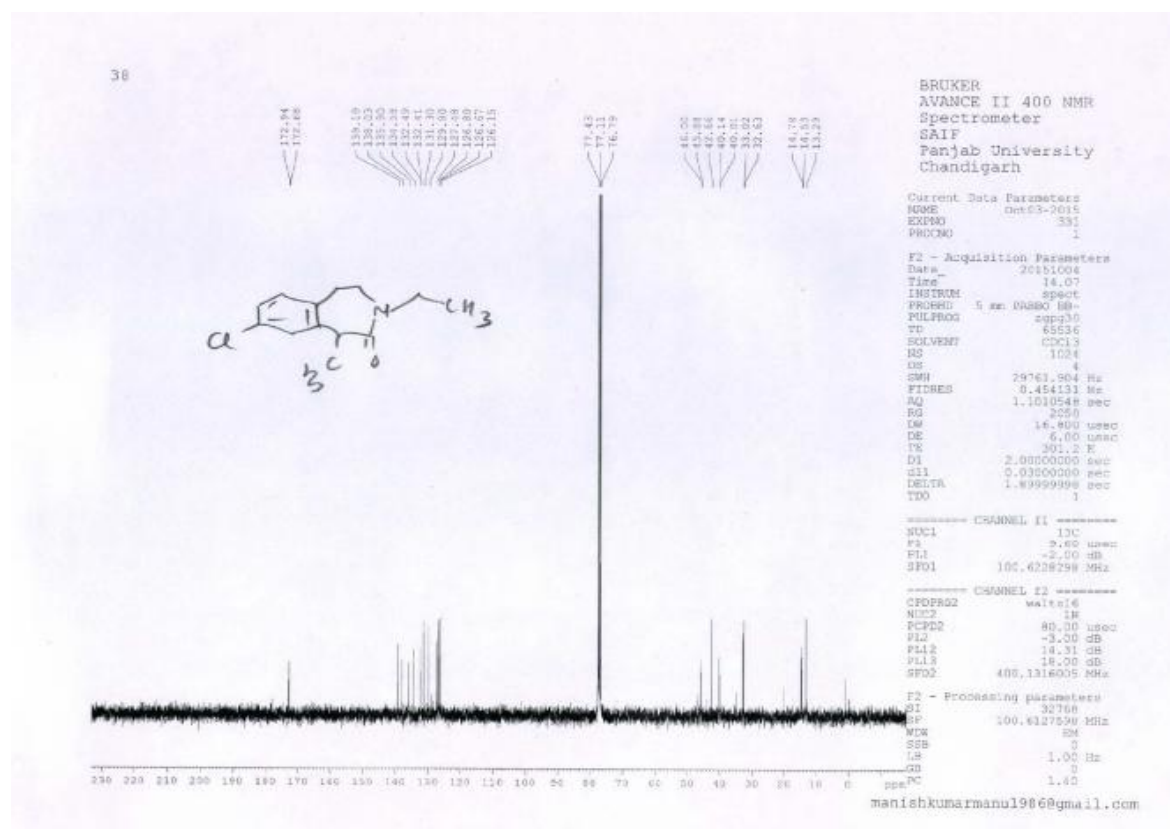
Methyl 4-(1-methyl-2-oxo-1,3,4,5-tetrahydrobenzo[d]azepinyl)methylbenzoate (36)



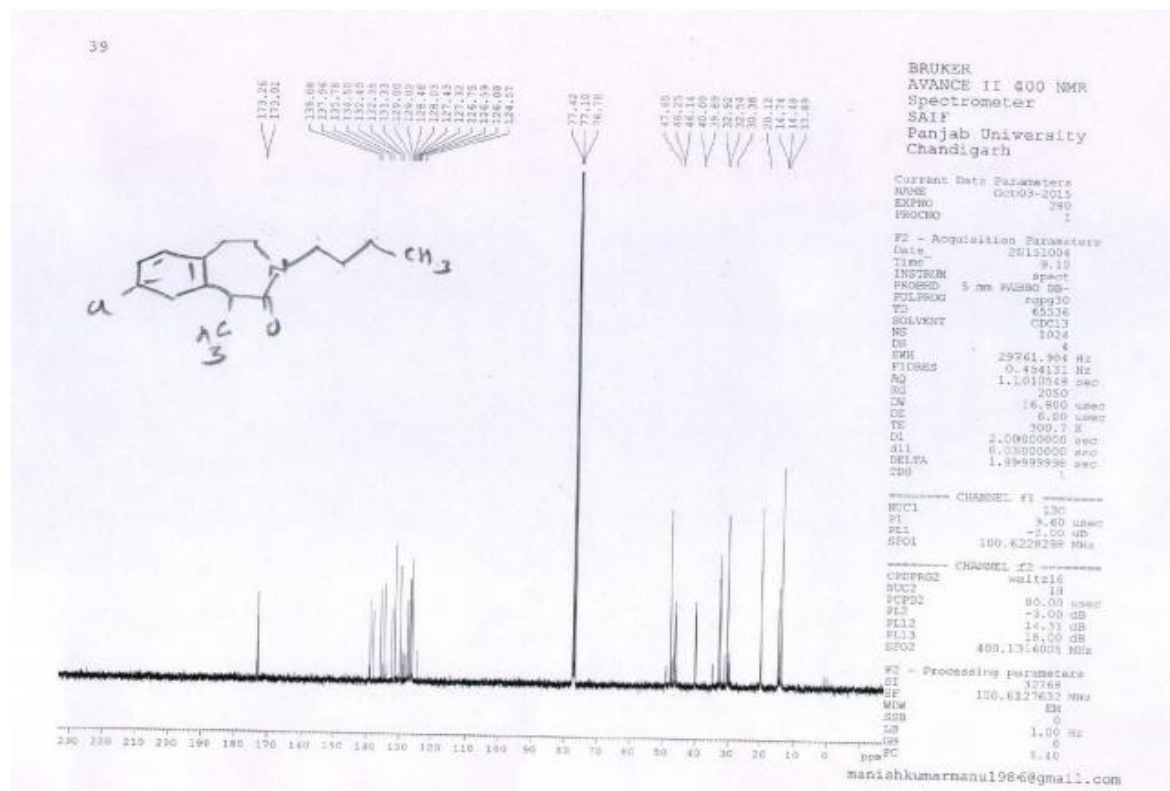
4-(1-Methyl-2-oxo-1,3,4,5-tetrahydrobenzo[d]azepinyl)methylbenzoic acid (37)



8-Chloro-3-ethyl-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (38)



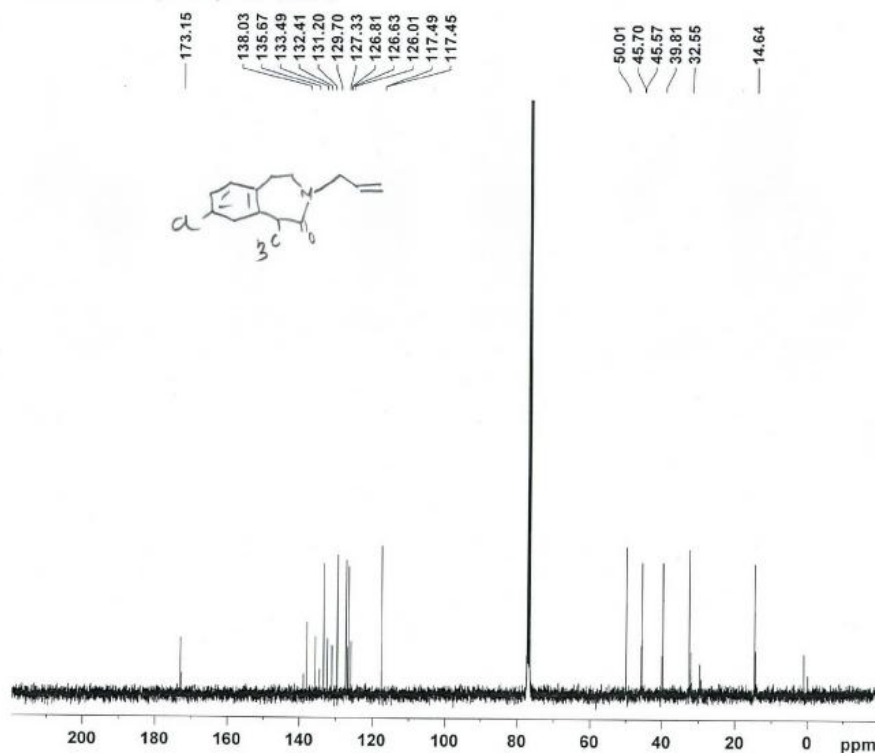
3-Butyl-8-chloro-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (39)



3-Allyl-8-chloro-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (40)

AVM 38 13C 28-09-2015
C13CPD CDC13 {D:\AV} MSU-Chem 1

CT-037-8

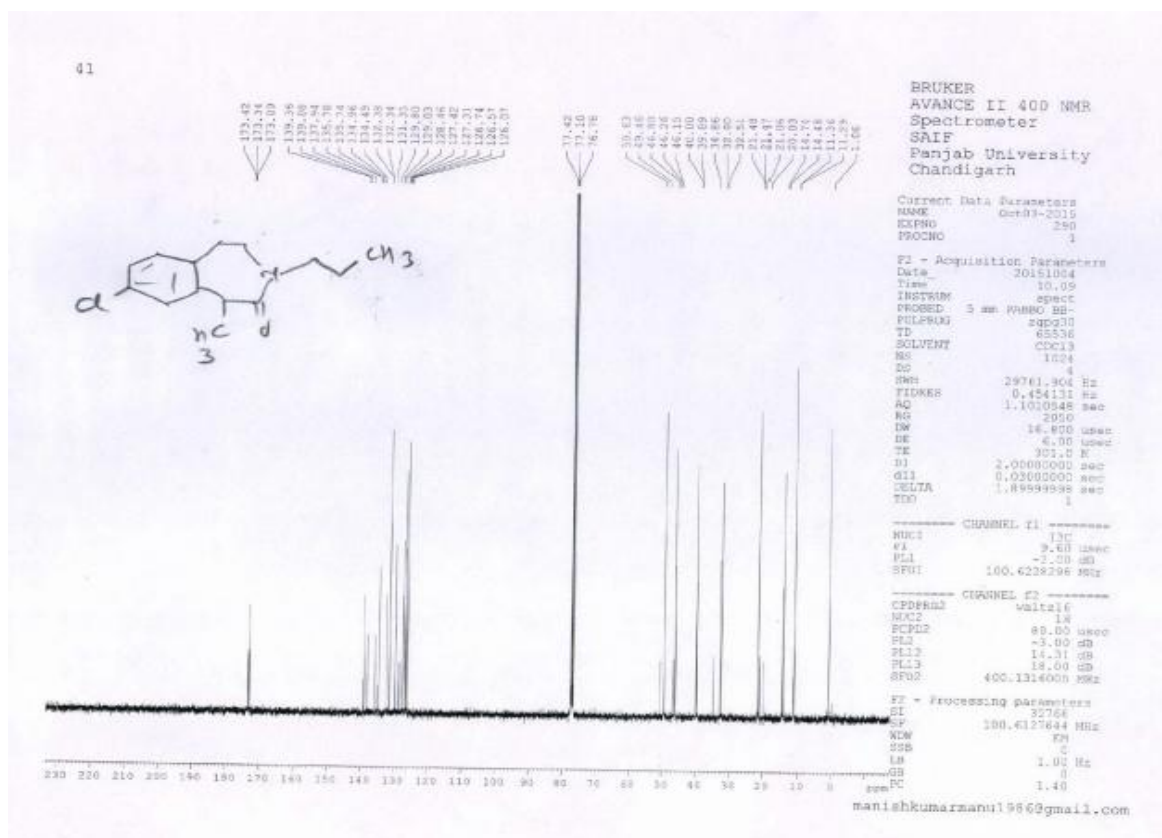


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PROCNO    1
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PULPROG    zgpg30
TD         65536
SOLVENT    CDCl3
NS         1969
DS         4
SWH         24038.461 Hz
FIDRES     0.366798 Hz
AQ         1.363198 sec
RG         362
DSW         20.800 usec
DE         6.30 usec
TE         294.7 K
D1         2.00000000 sec
d11        0.01000000 sec
TD0        1
----- CHANNEL f1 -----
NUC1       13C
P1         12.00 usec
PL1        -1.00 dB
PL1W       56.92932012 W
SFO1       100.6278593 MHz

----- CHANNEL f2 -----
CPDPRG2    waltz16
NUC2       1H
PCPD2      80.00 usec
PL2         0.00 dB
PL12        15.14 dB
PL13        15.14 dB
PL2W       10.80111122 W
PL12W      0.33072806 W
PL13W      0.33072806 W
SFO2       400.1514006 MHz
SI         32768
SF         100.6177980 MHz
WDW         EM
SSB         0
LB         1.00 Hz
GB         0
PC         1.40
  
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8-Chloro-3-propyl-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (41)



BRUKER
AVANCE II 400 NMR
Spectrometer
SAIF
Panjab University
Chandigarh

```

Current Data Parameters
NAME      Oct-03-2015
EXPNO     250
PROCNO    1
F2 - Acquisition Parameters
Date_     20151004
Time      10.09
INSTRUM    spect
PROBHD     5 mm PABBO BB-
PULPROG    zgpg30
TD         65536
SOLVENT    CDCl3
NS         1924
DS         4
SWH         29761.904 Hz
FIDRES     0.454131 Hz
AQ         1.1010548 sec
RG         2050
DSW         18.800 usec
DE         6.00 usec
TE         301.0 K
D1         2.00000000 sec
d11        0.02000000 sec
DELTA     1.89599998 sec
TD0        1
----- CHANNEL f1 -----
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P1         9.60 usec
PL1        -1.00 dB
SFO1       100.6228296 MHz

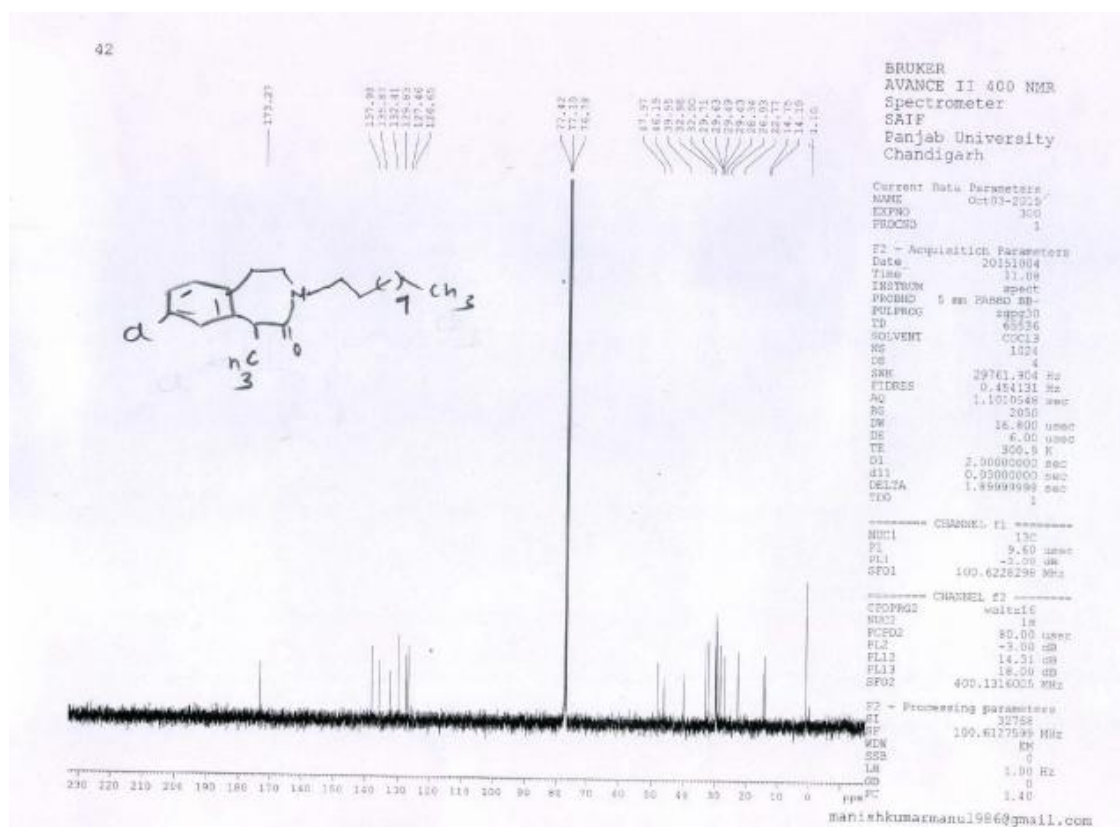
----- CHANNEL f2 -----
CPDPRG2    waltz16
NUC2       1H
PCPD2      80.00 usec
PL2         0.00 dB
PL12        14.31 dB
PL13        18.00 dB
SFO2       400.1314000 MHz
  
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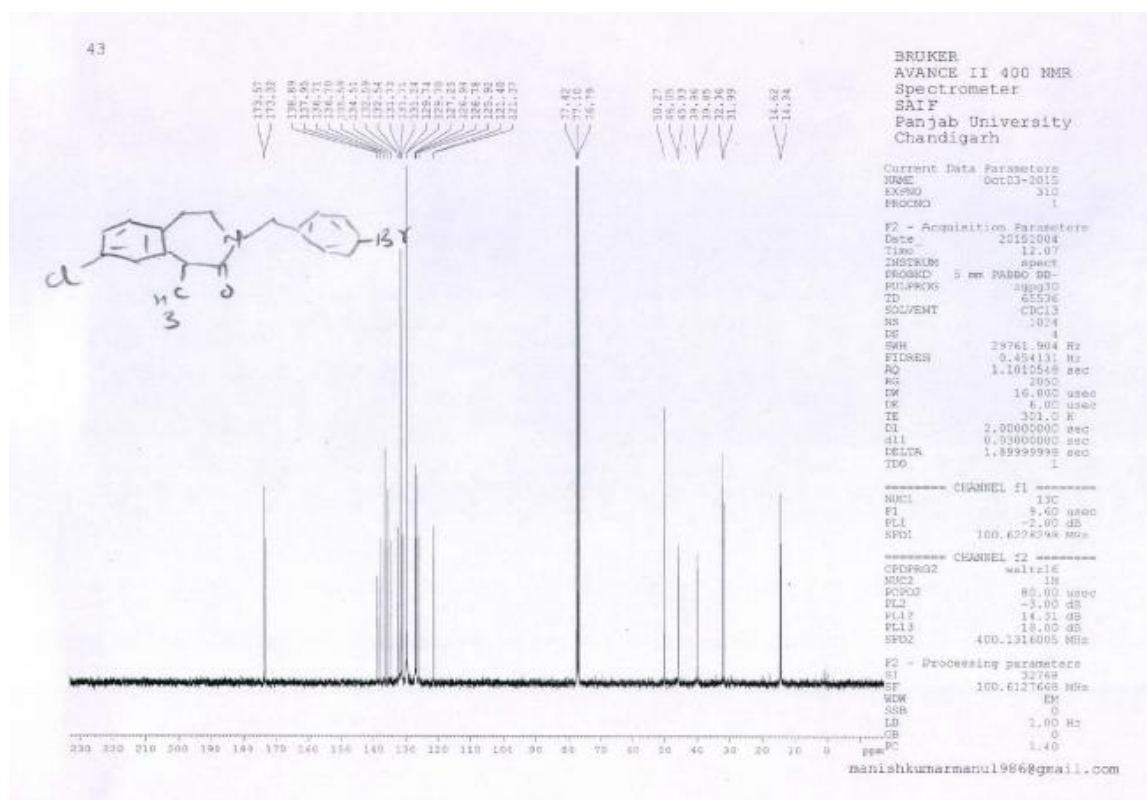
F2 - Processing parameters
SI         32768
SF         100.6127644 MHz
WDW         EM
SSB         0
LB         1.00 Hz
GB         0
PC         1.40
  
```

manishkumarmanu196@gmail.com

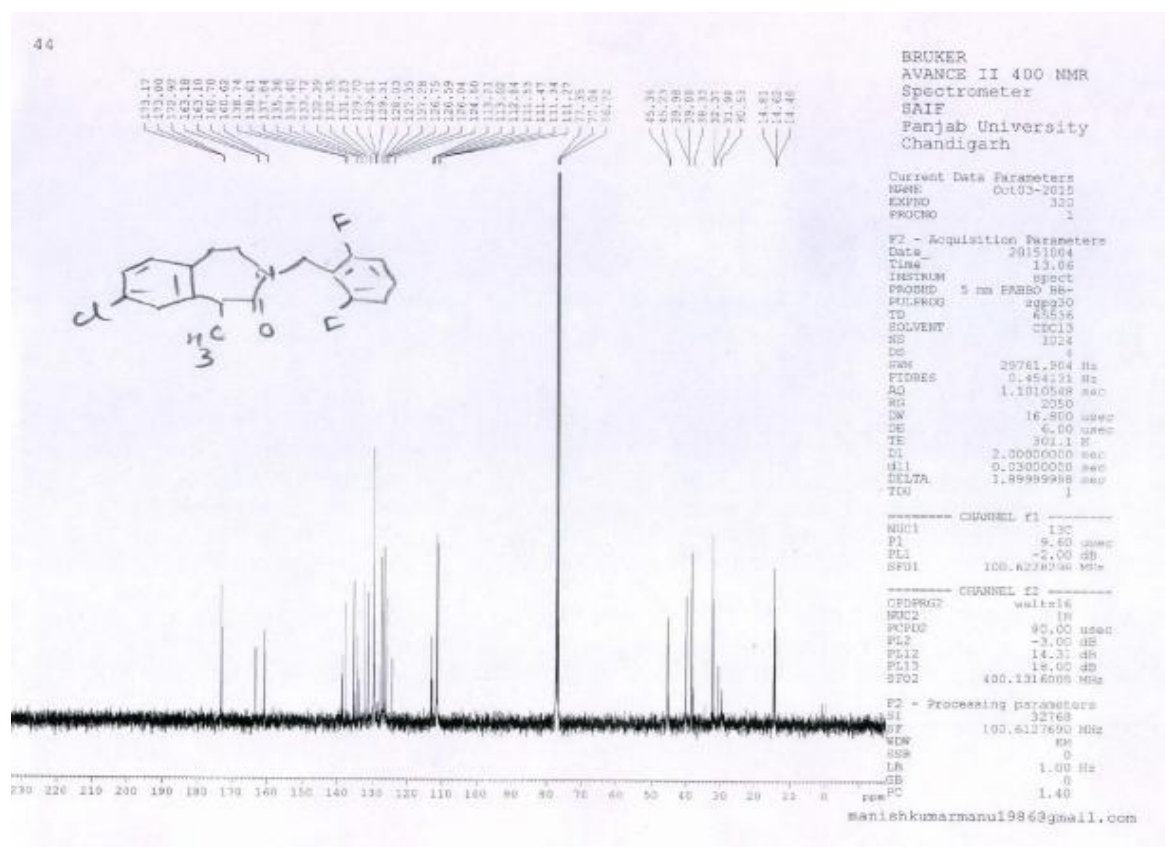
8-Chloro-3-dodecyl-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (42)



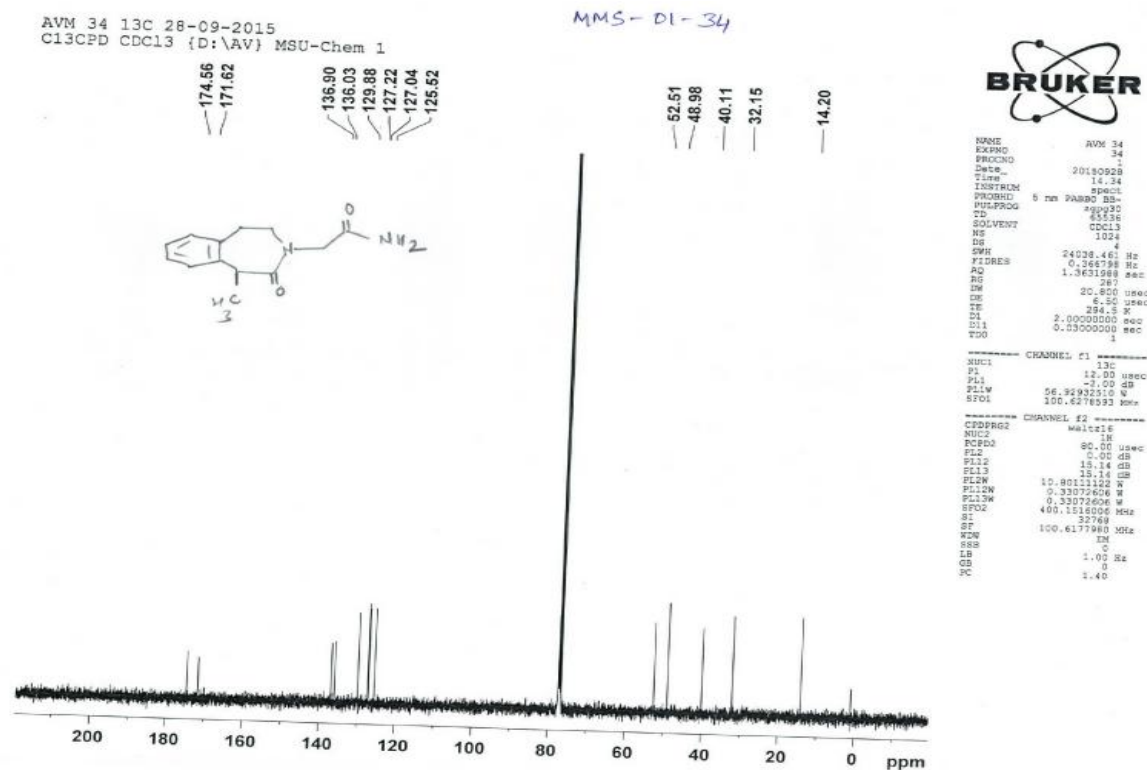
3-(4-Bromobenzyl)-8-chloro-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (43)



8-Chloro-3-(2,6-difluorobenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (44)



3-(2-Acatamido)-1-methyl-4,5-dihydro-1H-benzo[d]azepine-2(3H)-one (48)



3-Ethyl-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (7)

3/18/2015 7:42 PM

Chromatogram D:\HPLC\MAHESHMMMS_01_FINAL.PRM

Page 1 of 1

M.S.University Chemistry Lab.

Chromatogram Info:

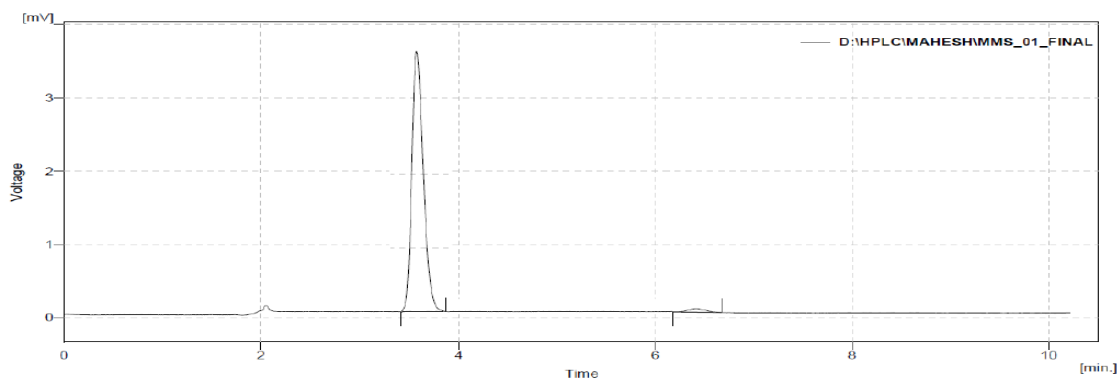
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Origin : Acquired
Project : D:\HPLC\Projects\Work1.PRJ

File Created : 8/29/2014 5:21:31 PM
Acquired Date : 8/29/2014 5:21:31 PM
By : Administrator

Sample Info:

Sample ID : 01
Sample : MMS_01_FINAL
Inj. Volume [ml] : 0

Amount : 0
ISTD Amount : 0
Dilution : 1



Result Table (Uncal - D:\HPLC\MAHESHMMMS_01_FINAL)

	Reten. Time [min]	Area [mV.s]	Height [mV]	Area [%]	Height [%]	W05 [min]
1	3.553	28.510	3.525	98.0	98.6	0.13
2	6.413	0.588	0.048	2.0	1.4	0.20
	Total	29.098	3.573	100.0	100.0	

3-(4-Bromobenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (12)

3/18/2015 7:43 PM

Chromatogram D:\HPLC\MAHESHMMMS_15_F2.PRM

P

M.S.University Chemistry Lab.

Chromatogram Info:

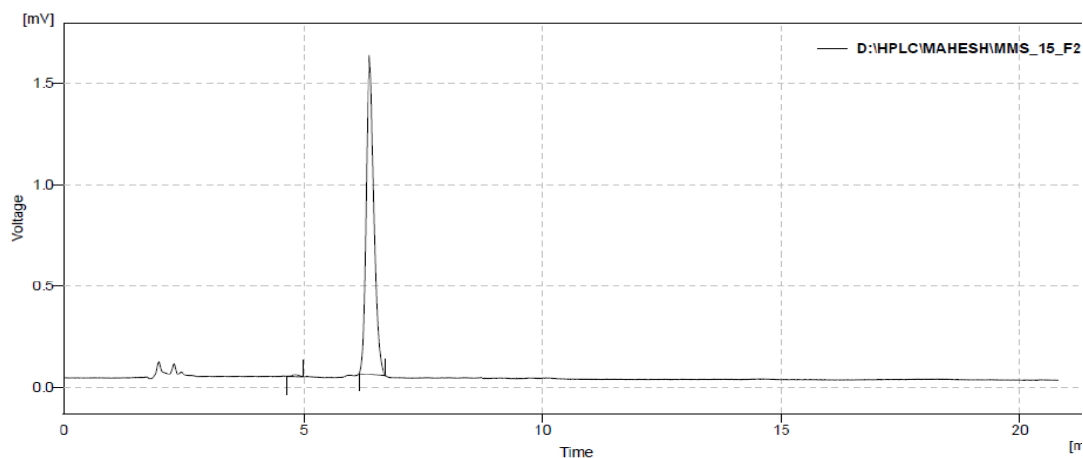
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Acquired Date : 8/29/2014 5:45:20 PM
By : Administrator

Sample Info:

Sample ID : 15
Sample : MMS_15_F12
Inj. Volume [ml] : 0

Amount : 0
ISTD Amount : 0
Dilution : 1



Result Table (Uncal - D:\HPLC\MAHESHMMMS_15_F2)

	Reten. Time [min]	Area [mV.s]	Height [mV]	Area [%]	Height [%]	W05 [min]
1	4.827	0.103	0.011	0.6	0.7	0.16
2	6.370	18.198	1.575	99.4	99.3	0.18
	Total	18.301	1.585	100.0	100.0	

3-(2,6-Difluorobenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (13)

3/18/2015 7:39 PM

Chromatogram D:\HPLC\MAHESH\MMS_01_16_100PPM.PRM

Page 1 of 1

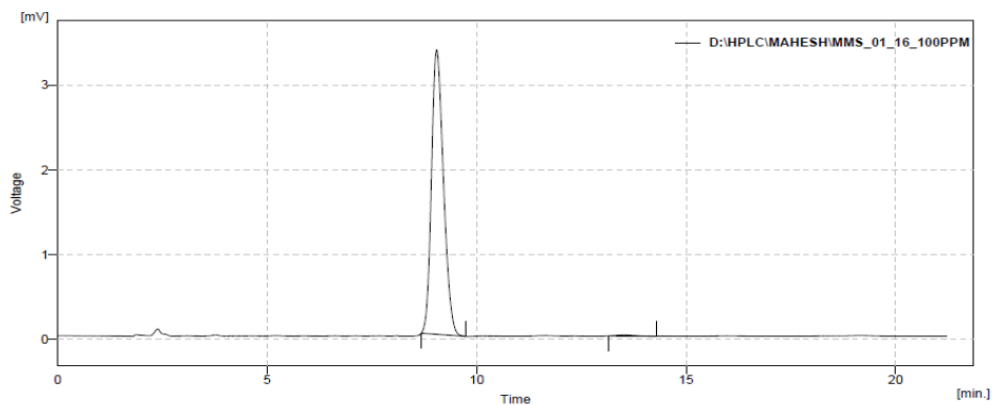
M.S.University Chemistry Lab.

Chromatogram Info:

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Origin : Acquired
Project : D:\HPLC\Projects\Work1.PRJ
File Created : 8/28/2014 7:34:39 PM
Acquired Date : 8/28/2014 7:34:38 PM
By : Administrator

Sample Info:

Sample ID : MMS_01_16_trial2
Sample : 1st trial
Inj. Volume [ml] : 0
Amount : 0
ISTD Amount : 0
Dilution : 1



Result Table (Uncal - D:\HPLC\MAHESH\MMS_01_16_100PPM)

	Reten. Time [min]	Area [mV.s]	Height [mV]	Area [%]	Height [%]	W05 [min]
1	9.043	66.843	3.352	99.4	99.6	0.31
2	13.527	0.380	0.013	0.6	0.4	0.41
	Total	67.223	3.365	100.0	100.0	

3-(3,5-Difluorobenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (24)

9/20/2014 2:02 PM

Chromatogram D:\HPLC\MAHESH\MMS_24_257_NC_H.PRM

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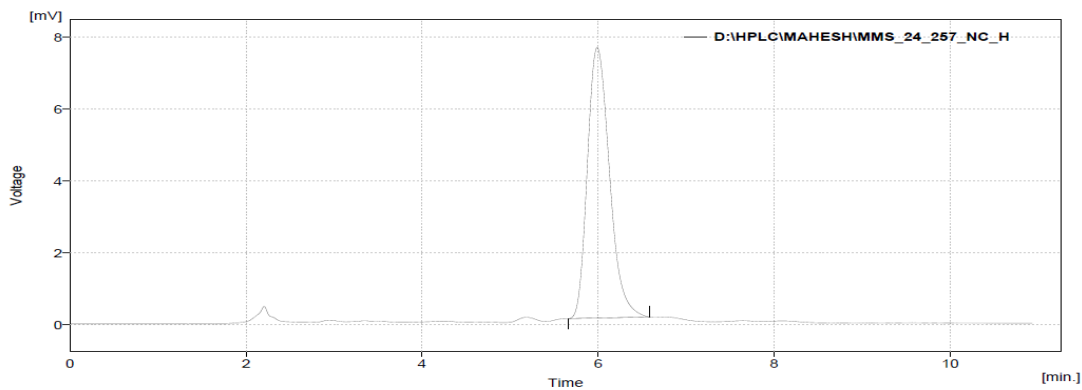
M.S.University Chemistry Lab.

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Project : D:\HPLC\Projects\Work1.PRJ
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Acquired Date : 8/29/2014 8:29:31 PM
By : Administrator

Sample Info:

Sample ID : 24
Sample : MMS_24_257_NC_H
Inj. Volume [ml] : 0
Amount : 0
ISTD Amount : 0
Dilution : 1



Result Table (Uncal - D:\HPLC\MAHESH\MMS_24_257_NC_H)

	Reten. Time [min]	Area [mV.s]	Height [mV]	Area [%]	Height [%]	W05 [min]
1	5.990	131.431	7.525	100.0	100.0	0.27
	Total	131.431	7.525	100.0	100.0	

3-(4-Methoxybenzyl)-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (30)

3/18/2015 7:36 PM

Chromatogram D:\HPLC\MAHESHIMMS_29_02.PRM

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Chromatogram Info:

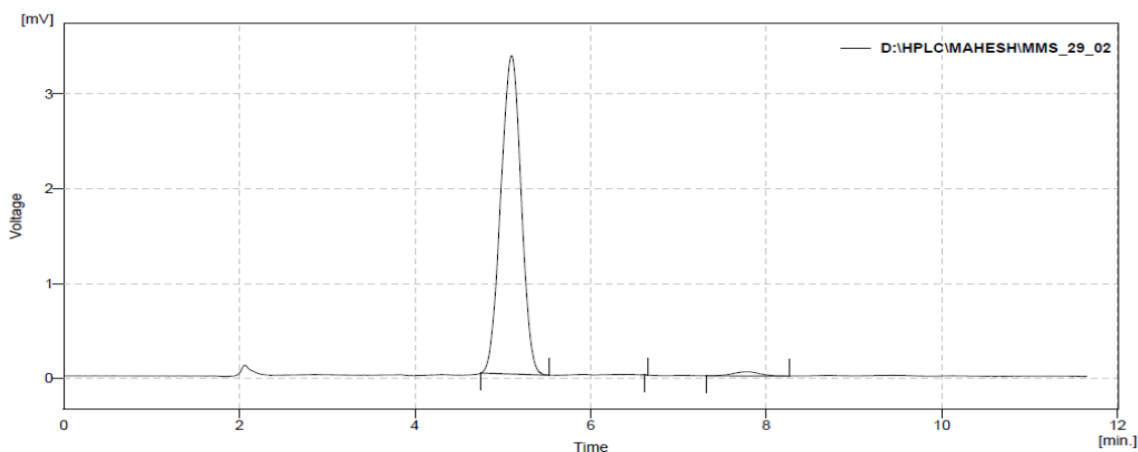
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Acquired Date : 8/29/2014 12:21:19 AM
By : Administrator

Sample Info:

Sample ID : 29
Sample : MMS_29_1
Inj. Volume [ml] : 0

Amount : 0
ISTD Amount : 0
Dilution : 1



Result Table (Uncal - D:\HPLC\MAHESHIMMS_29_02)

	Reten. Time [min]	Area [mV.s]	Height [mV]	Area [%]	Height [%]	W05 [min]
1	5.100	53.992	3.356	98.2	98.6	0.26
2	6.620	0.003	0.002	5.531e-03	0.1	0.01
3	7.780	0.960	0.045	1.7	1.3	0.33
	Total	54.955	3.404	100.0	100.0	

8-Chloro-3-ethyl-1-methyl-4,5-dihydro-1H-benzo[d]azepin-2(3H)-one (38)

3/18/2015 7:37 PM

Chromatogram D:\HPLC\MAHESHIMMS_47_268.PRM

Page 1 of 1

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Chromatogram Info:

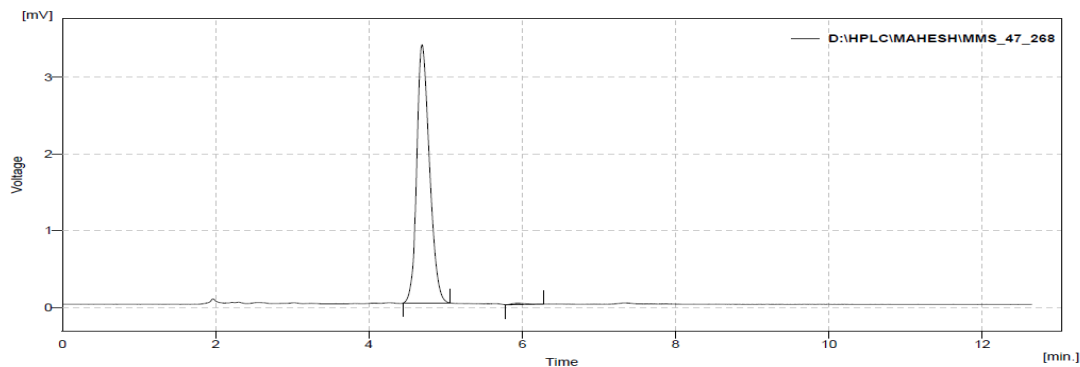
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Project : D:\HPLC\Projects\Work1.PRJ

File Created : 8/29/2014 6:52:59 PM
Acquired Date : 8/29/2014 6:52:59 PM
By : Administrator

Sample Info:

Sample ID : 47
Sample : MMS_47_268
Inj. Volume [ml] : 0

Amount : 0
ISTD Amount : 0
Dilution : 1



Result Table (Uncal - D:\HPLC\MAHESHIMMS_47_268)

	Reten. Time [min]	Area [mV.s]	Height [mV]	Area [%]	Height [%]	W05 [min]
1	4.690	38.768	3.364	99.4	99.4	0.18
2	5.947	0.229	0.020	0.6	0.6	0.18
	Total	38.997	3.384	100.0	100.0	