



UNIVERSITAT DE
BARCELONA

Addicions conjugades a lactames bicíclics derivades del fenilglicinol: síntesi enantioselectiva de piperidines 3,4- i 3,4,5-substituïdes

Maria Pérez Bosch

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UNIVERSITAT DE BARCELONA



DEPARTAMENT DE FARMACOLOGIA I QUÍMICA TERAPÈUTICA

Programa de doctorat: Química Orgànica i Farmacèutica

Bienni 1997-1999: Tutor: Mercedes Amat Tusón

**ADDICIONS CONJUGADES A LACTAMES BICÍCLIQÜES
DERIVADES DEL FENILGLICINOL.**

SÍNTESI ENANTIOSELECTIVA DE PIPERIDINES 3,4- i 3,4,5-SUBSTITUÏDES.

Memòria presentada per Maria Pérez Bosch
per aspirar al títol de Doctora en Farmàcia

Dirigida per:

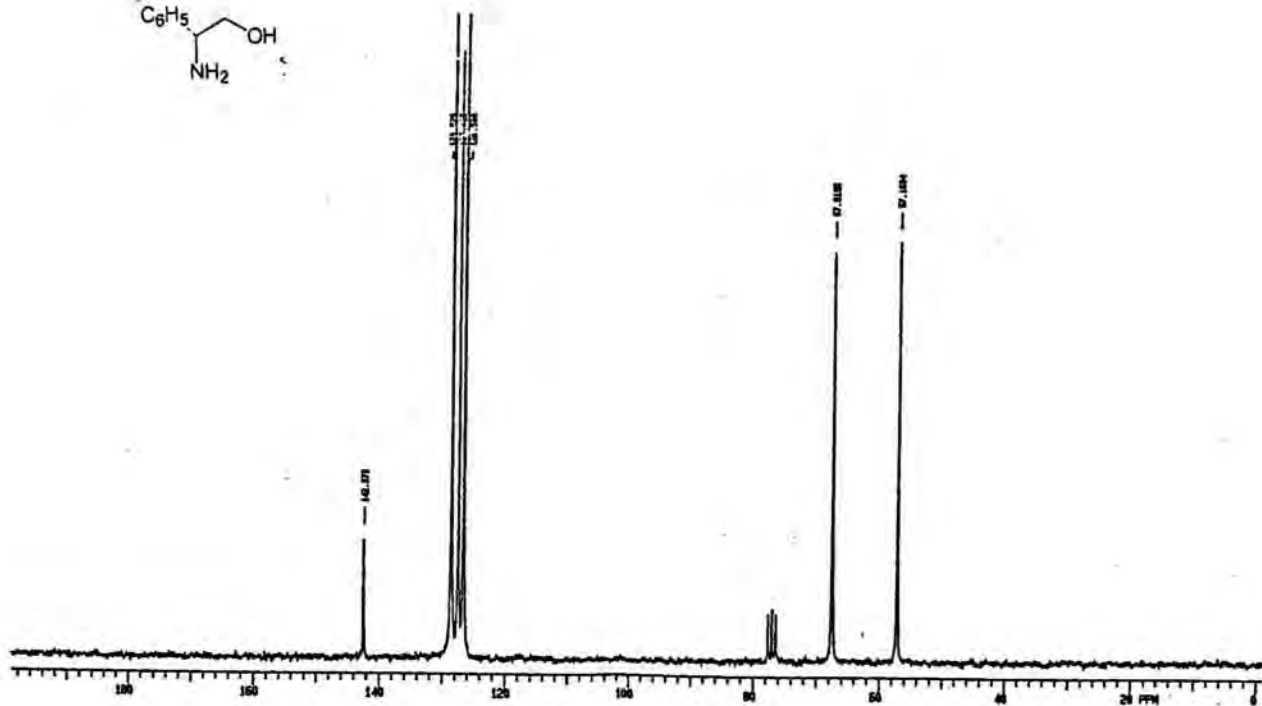
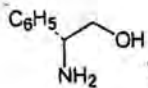
Dra. Mercedes Amat Tusón

Dr. Joan Bosch Cartes

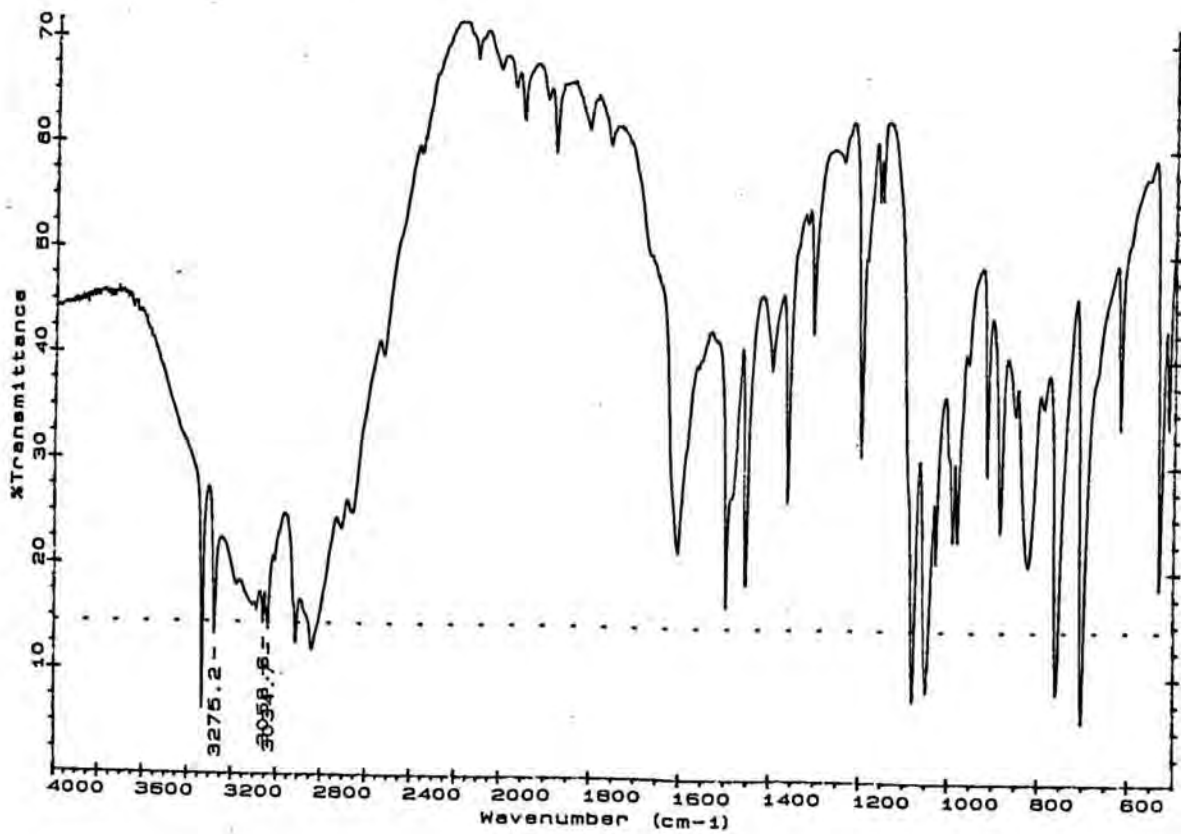
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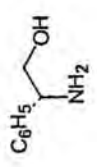
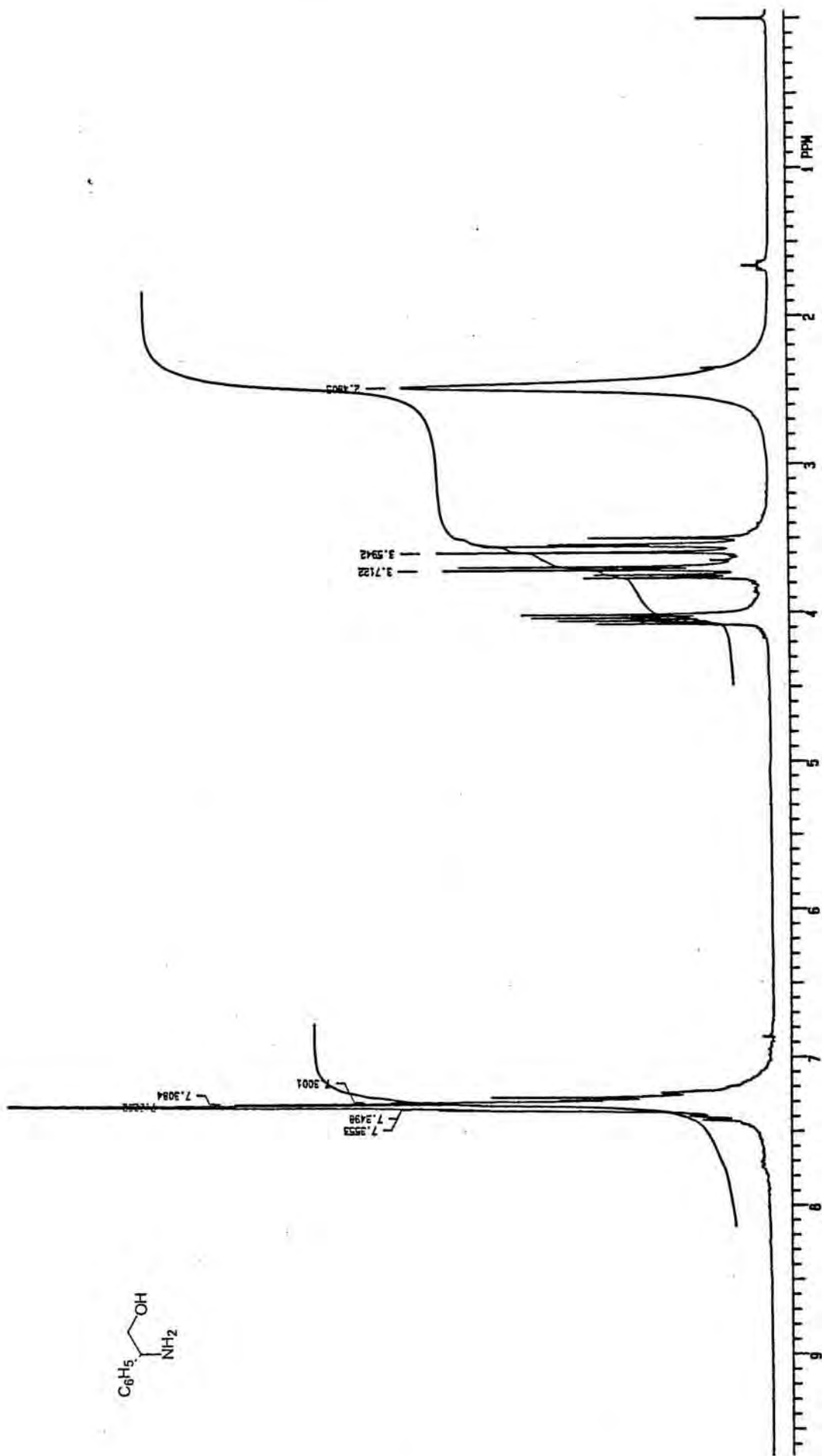
Espectres

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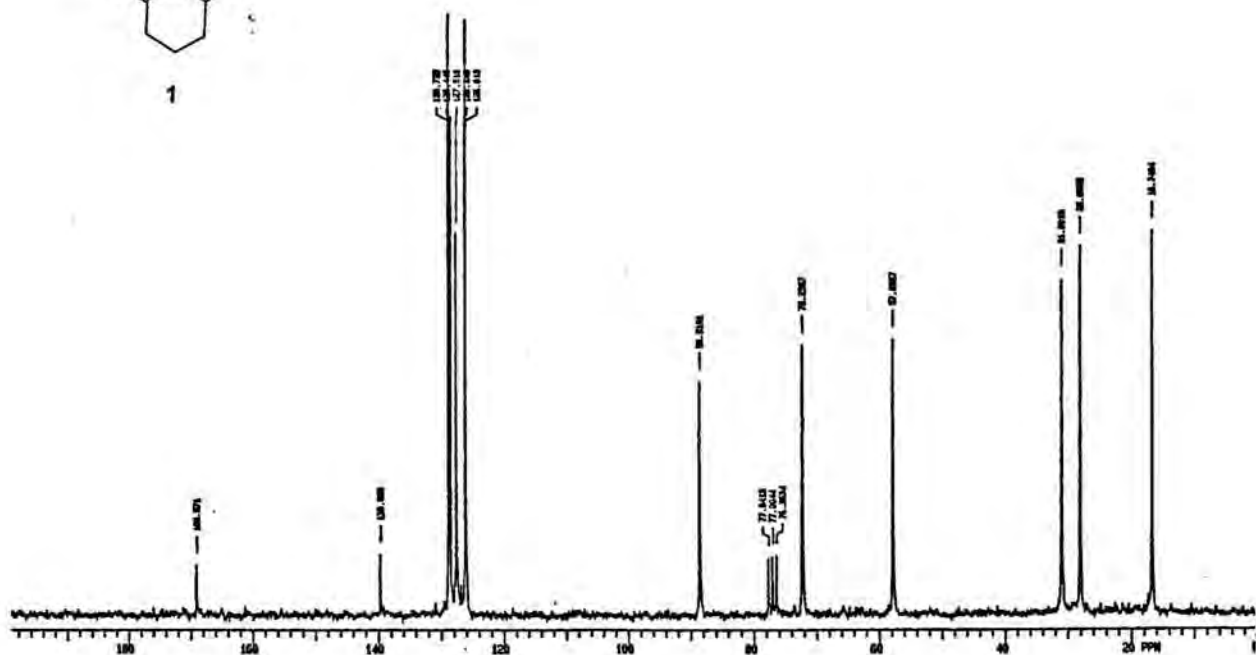
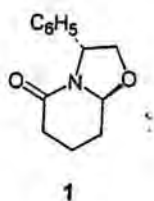


(R)-Phenylglycinol

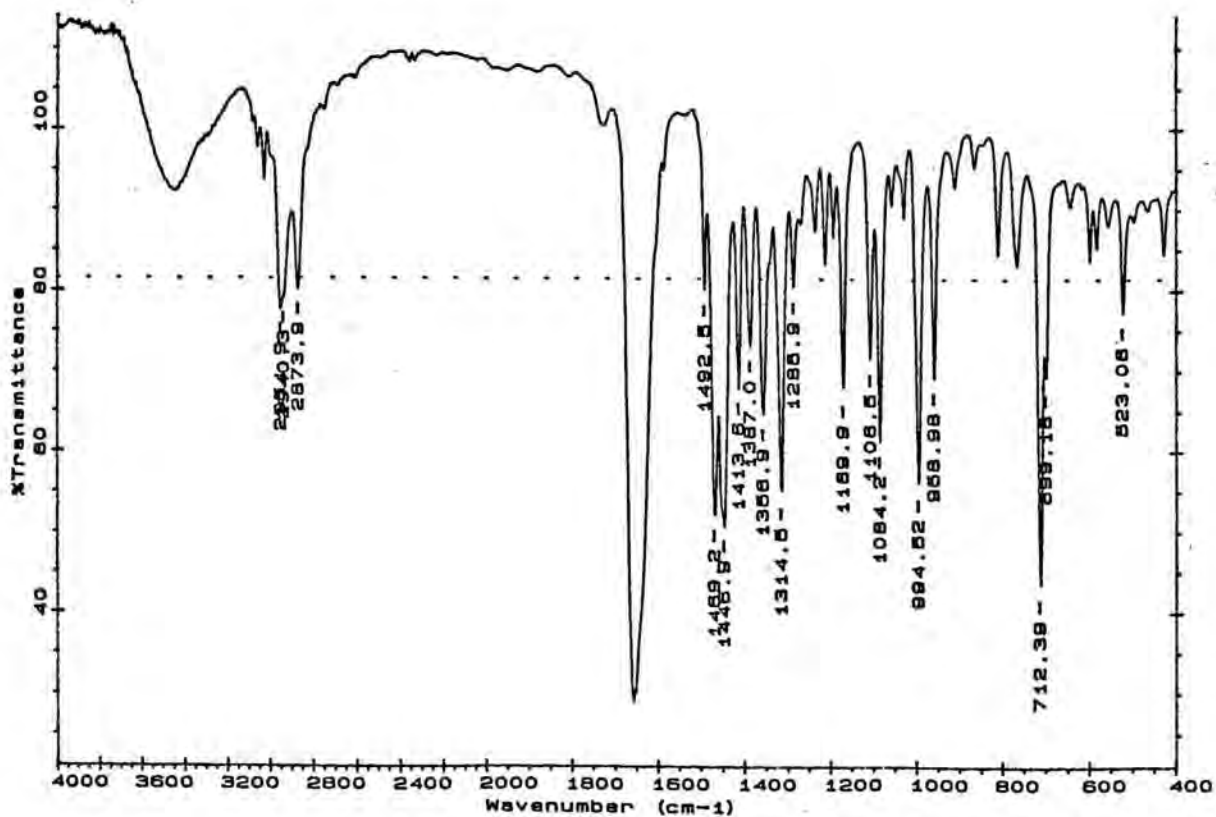


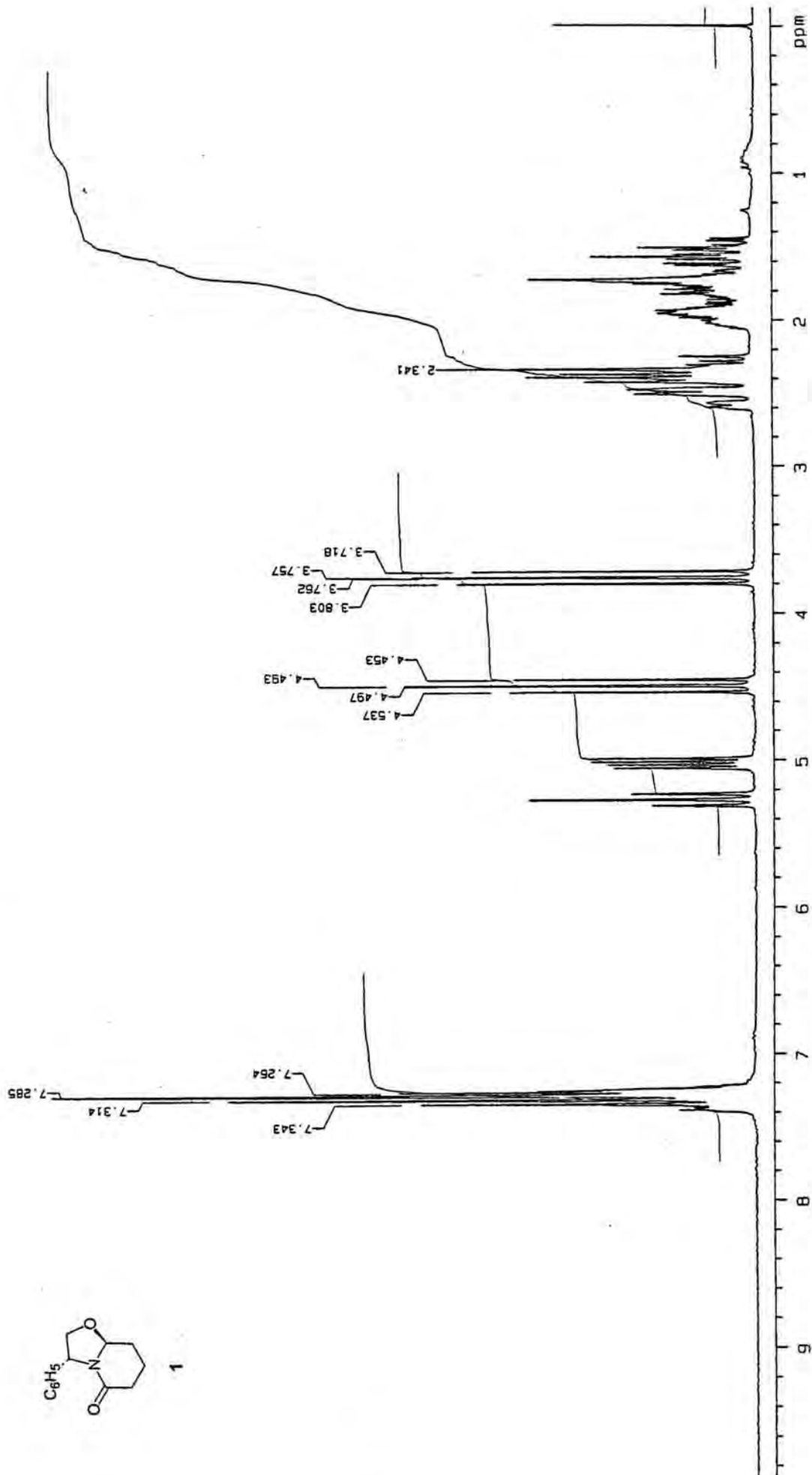


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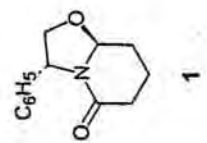


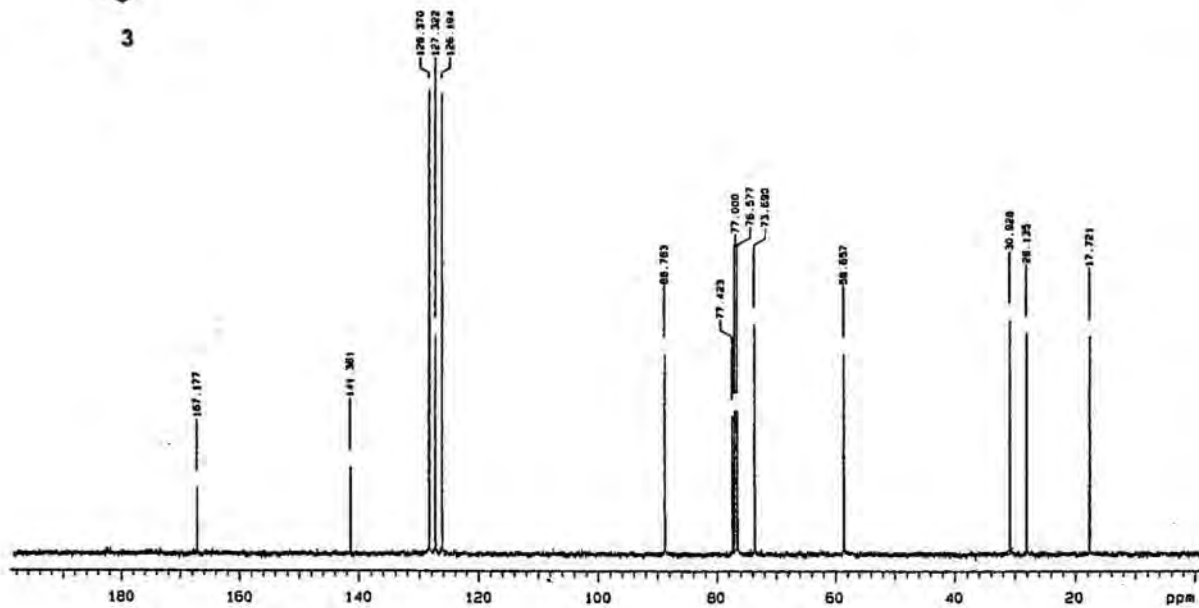
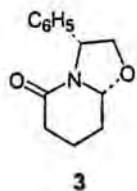
(3*R*,8*aS*)-3-Fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (1)



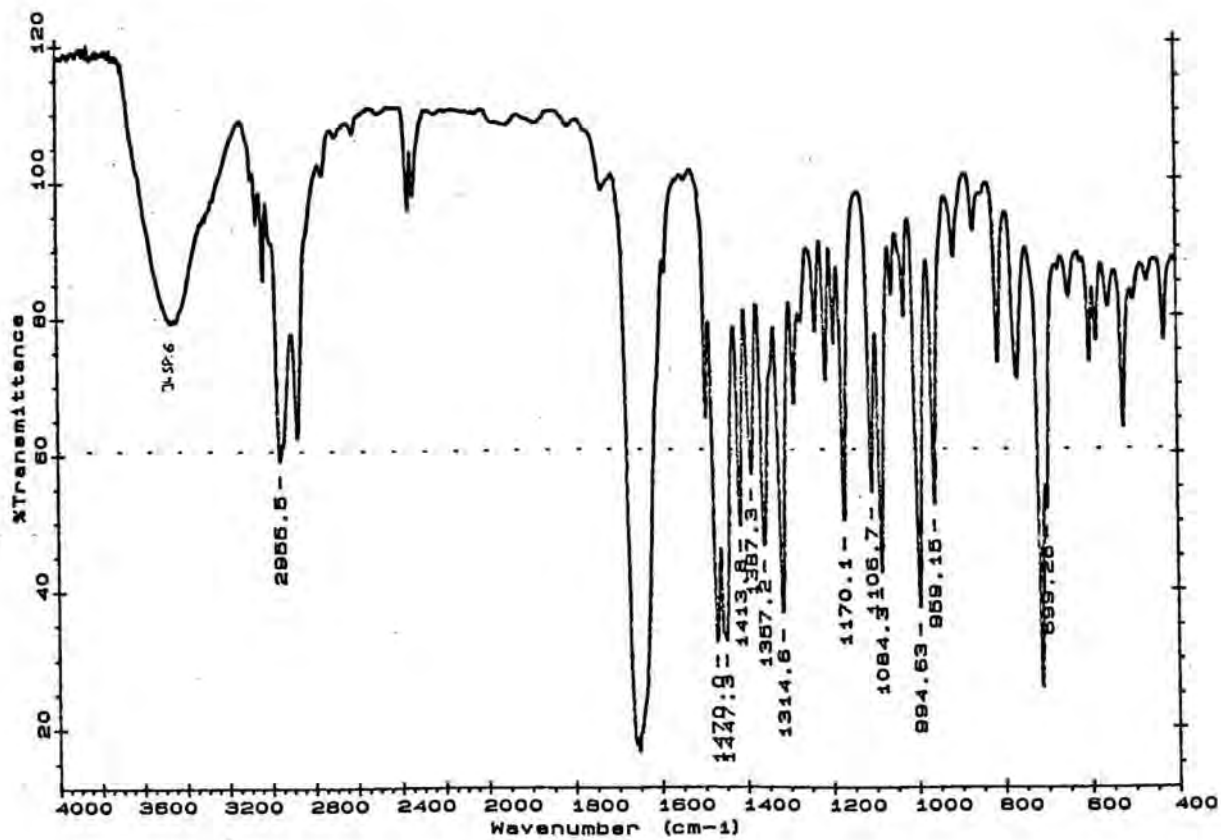


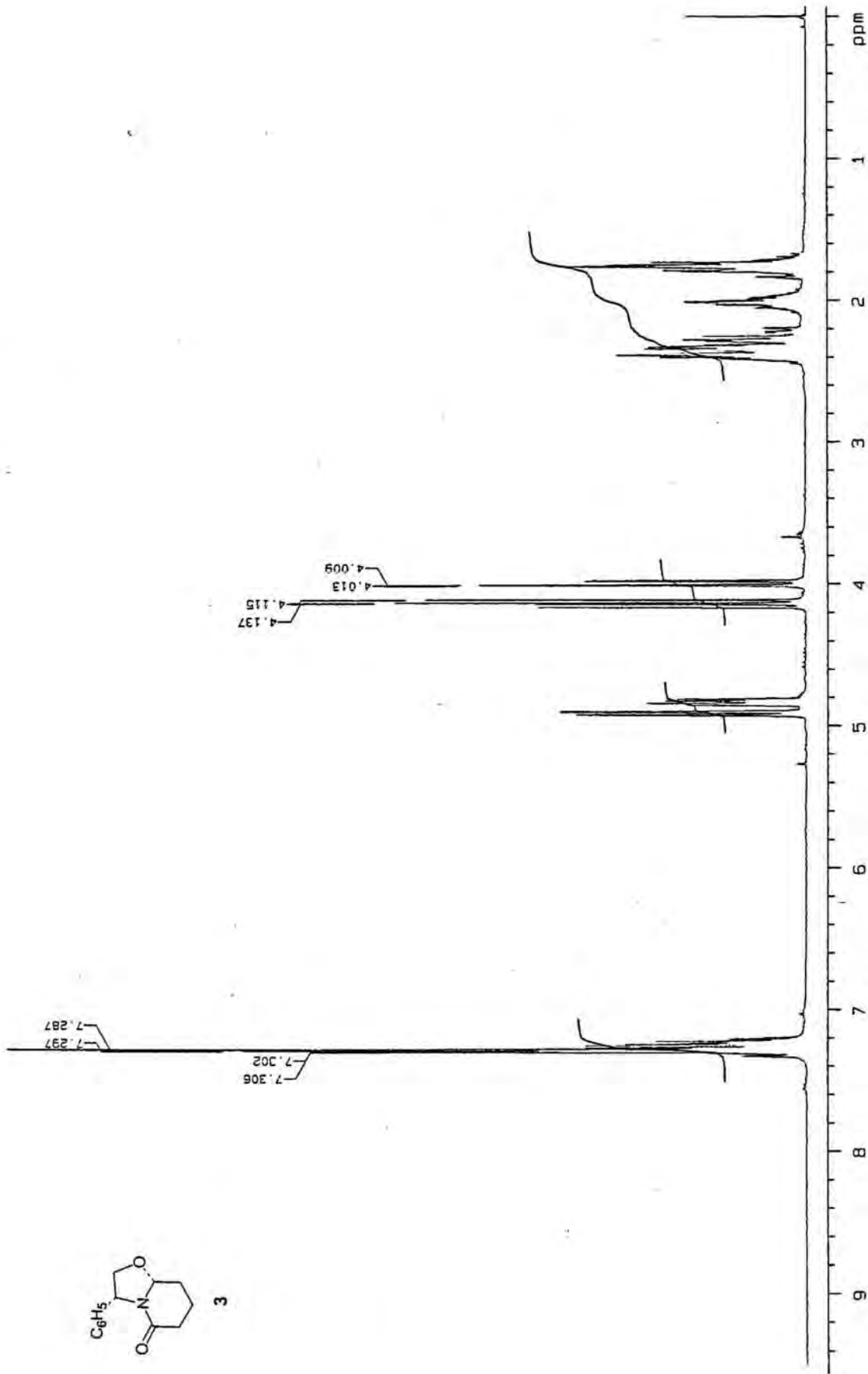
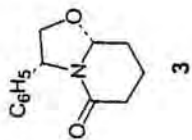
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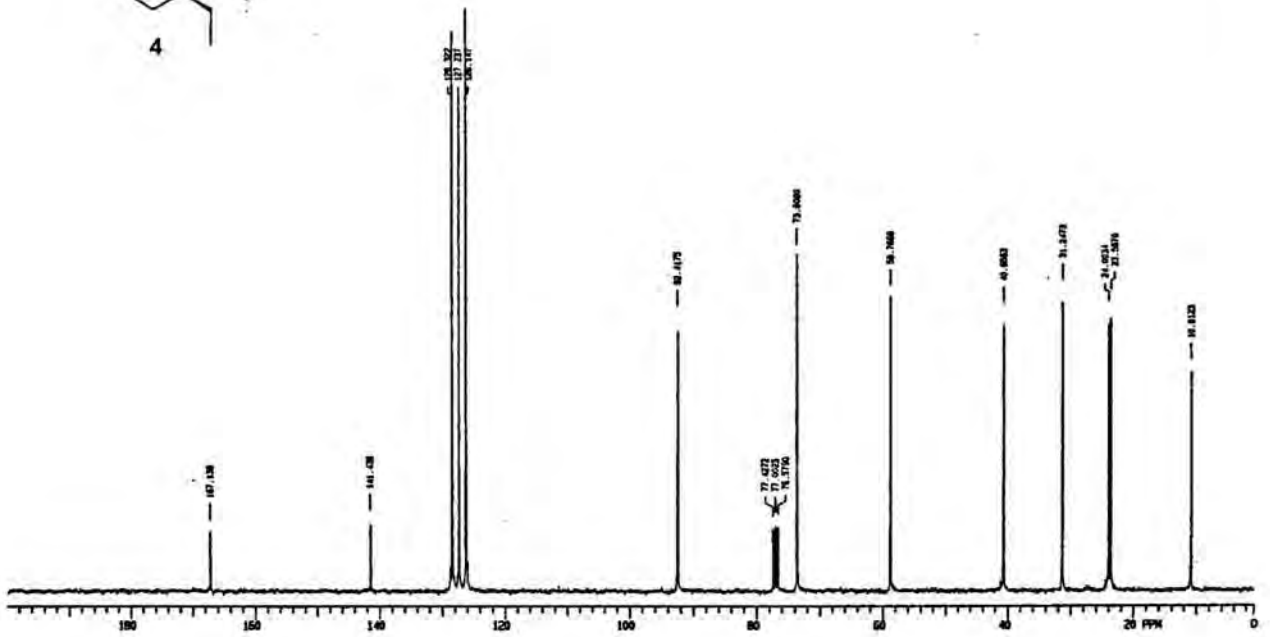
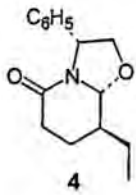


(3R,8aR)-3-Fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (3)

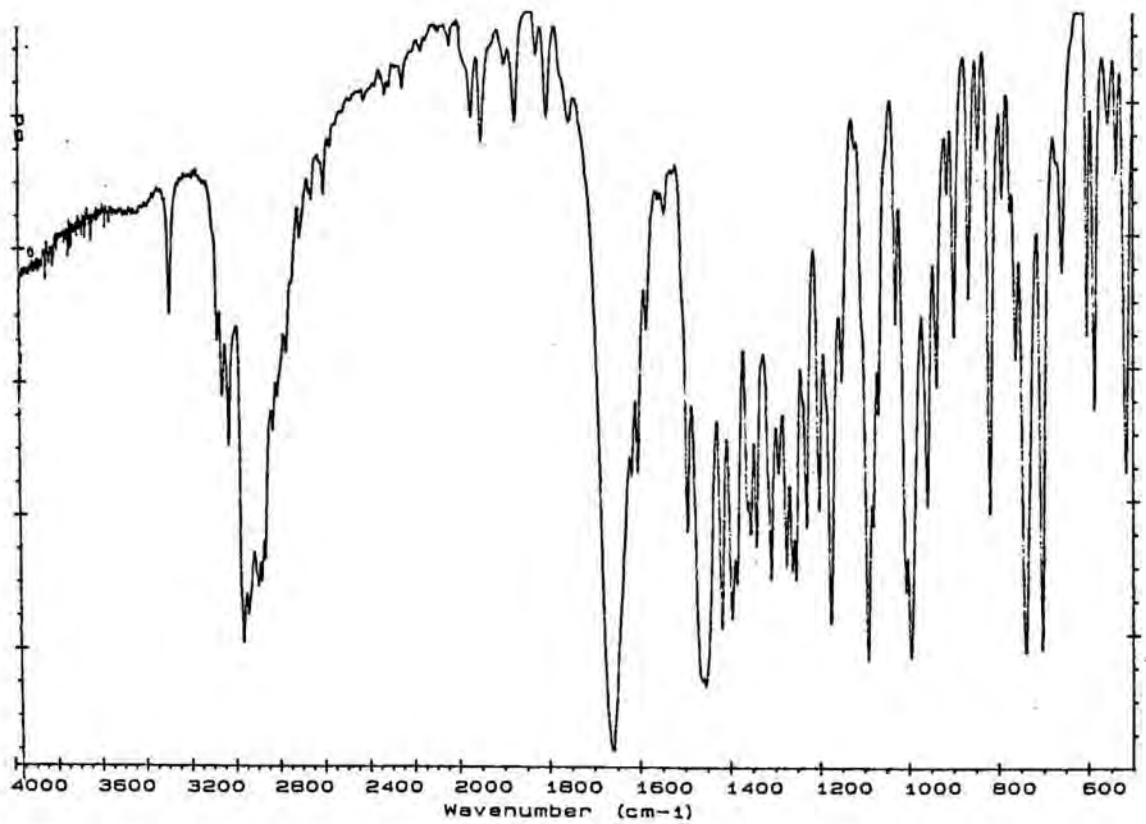


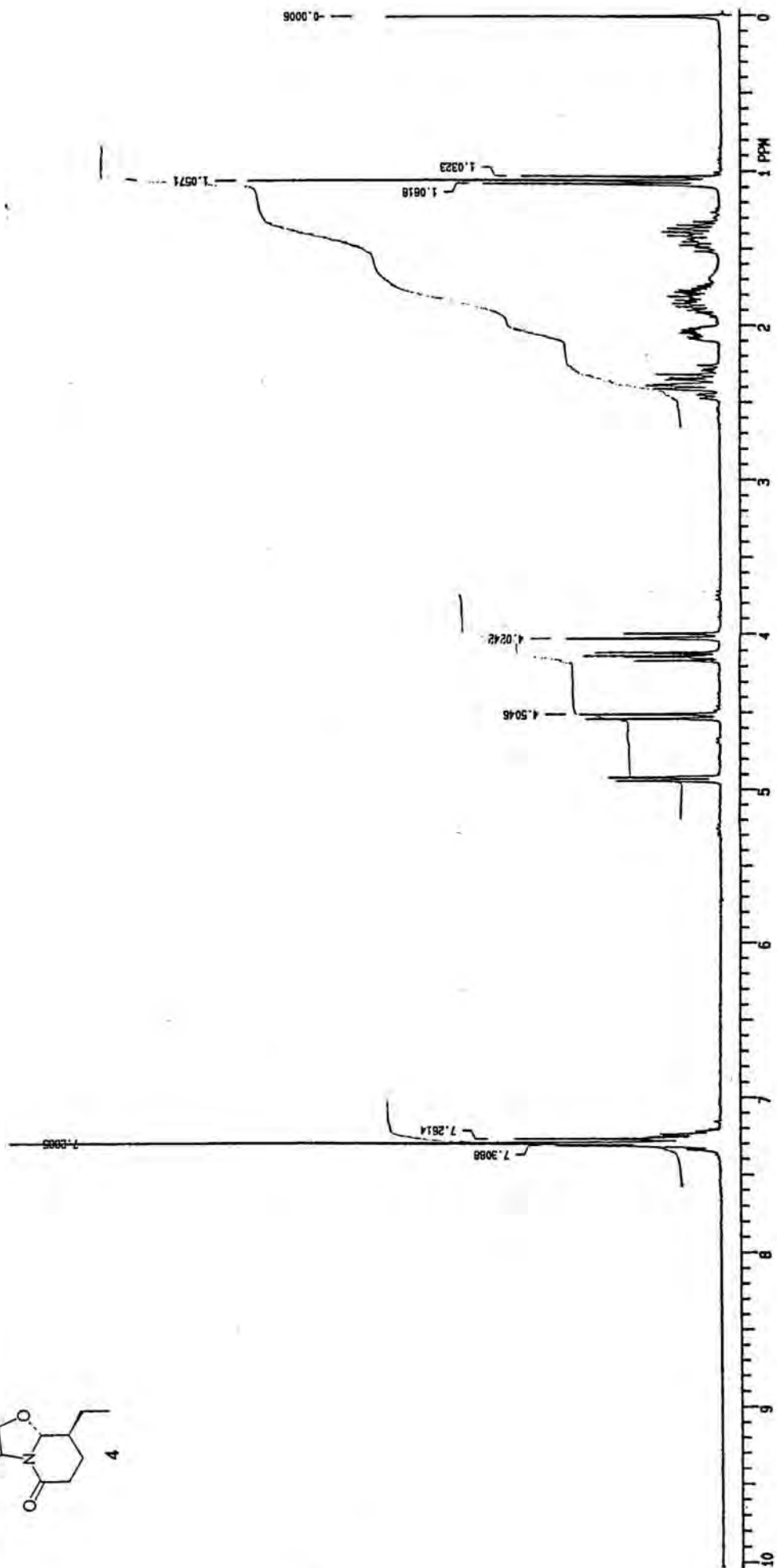
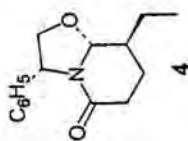


(3R,8aR)-3-phenyl-5-oxo-2,3,6,7,8,8a-hexahydro-5H-oxazolo[3,2-a]pyridina (3)

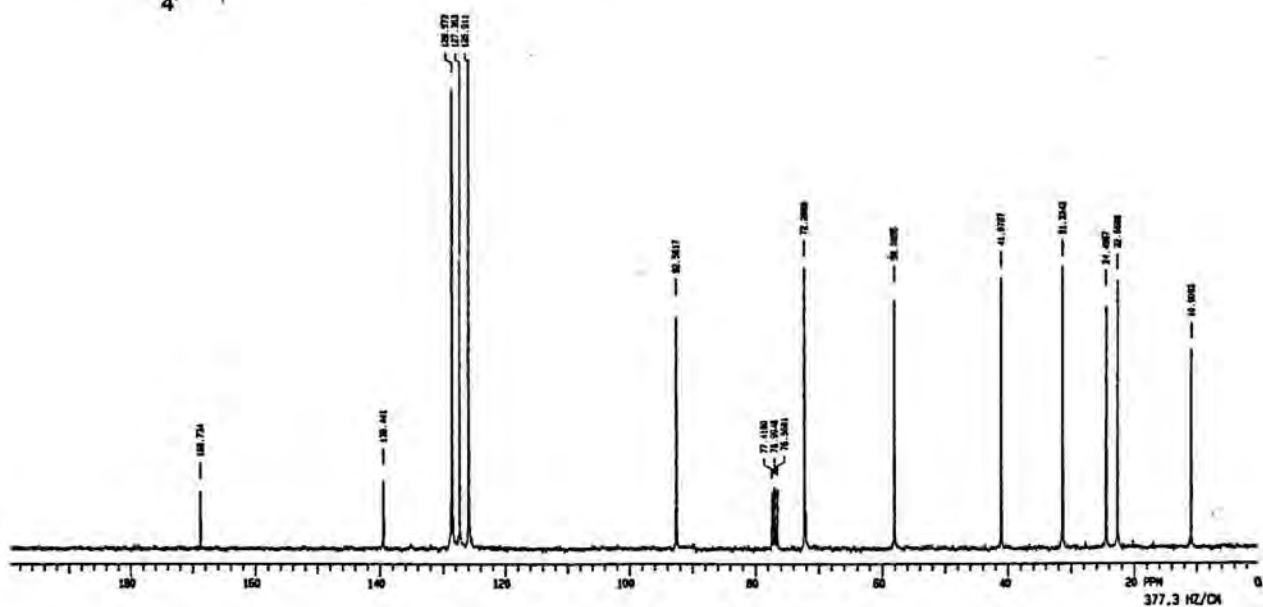
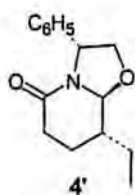


(3R,8S,8aR)-8-Etil-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (4)

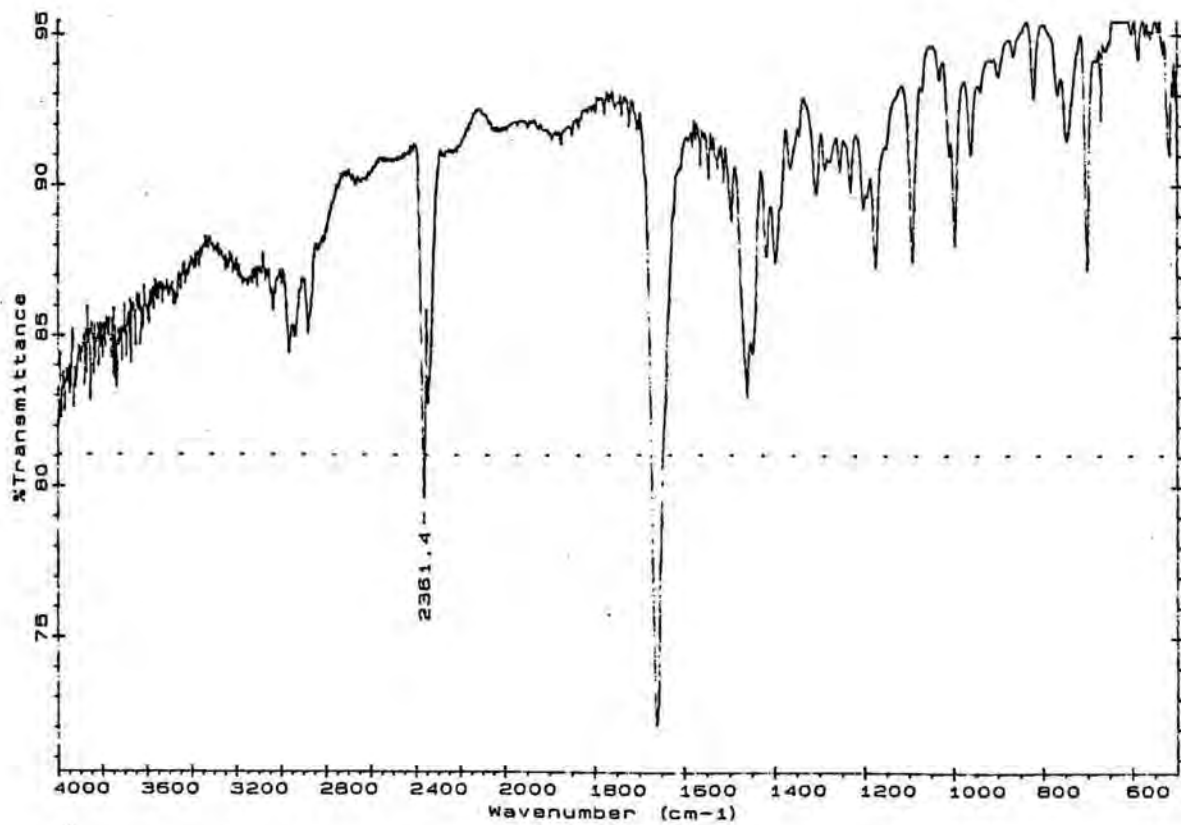


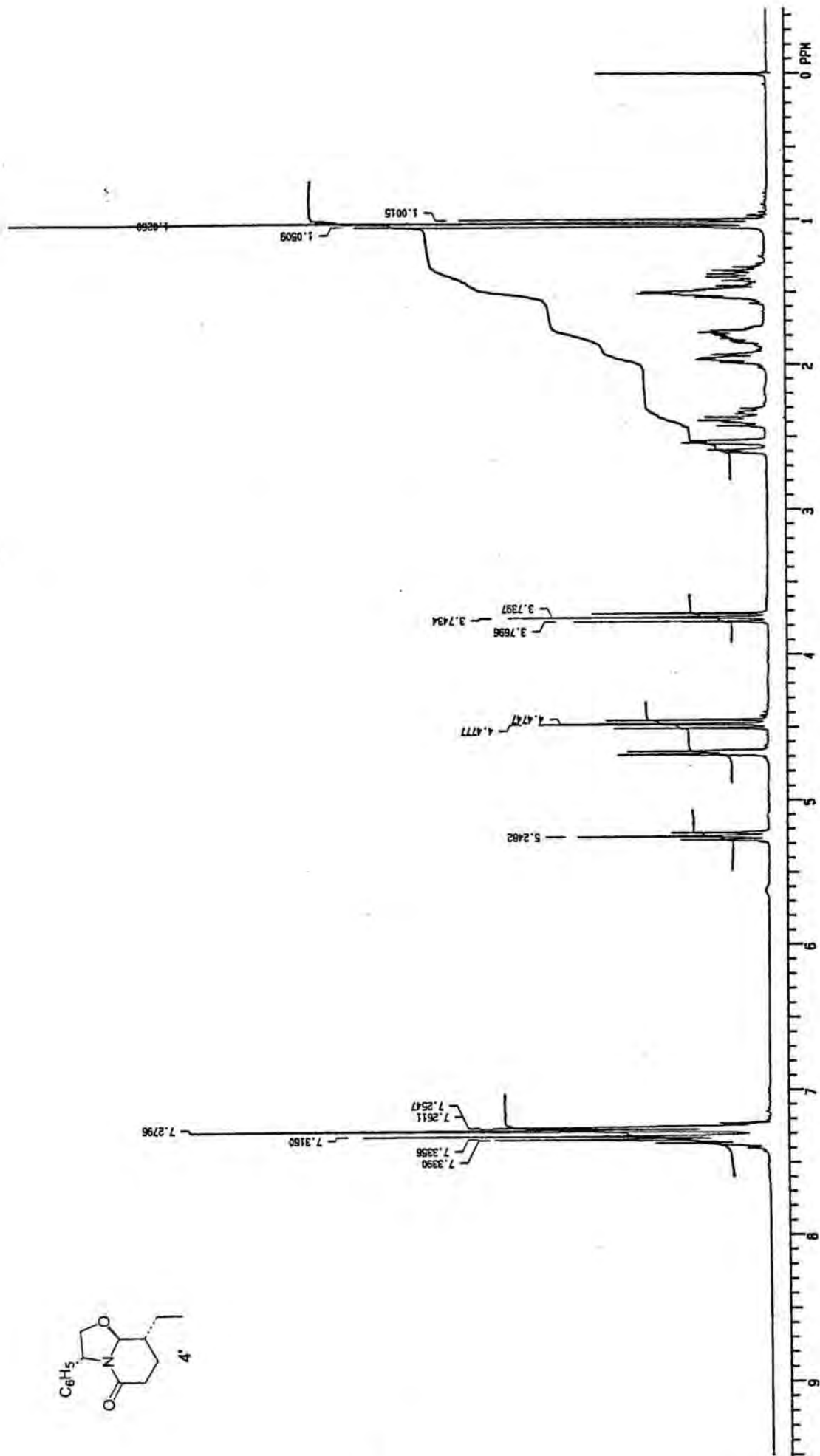
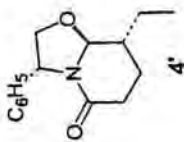


(3*R*,8*S*,8*aR*)-8-Etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (4)

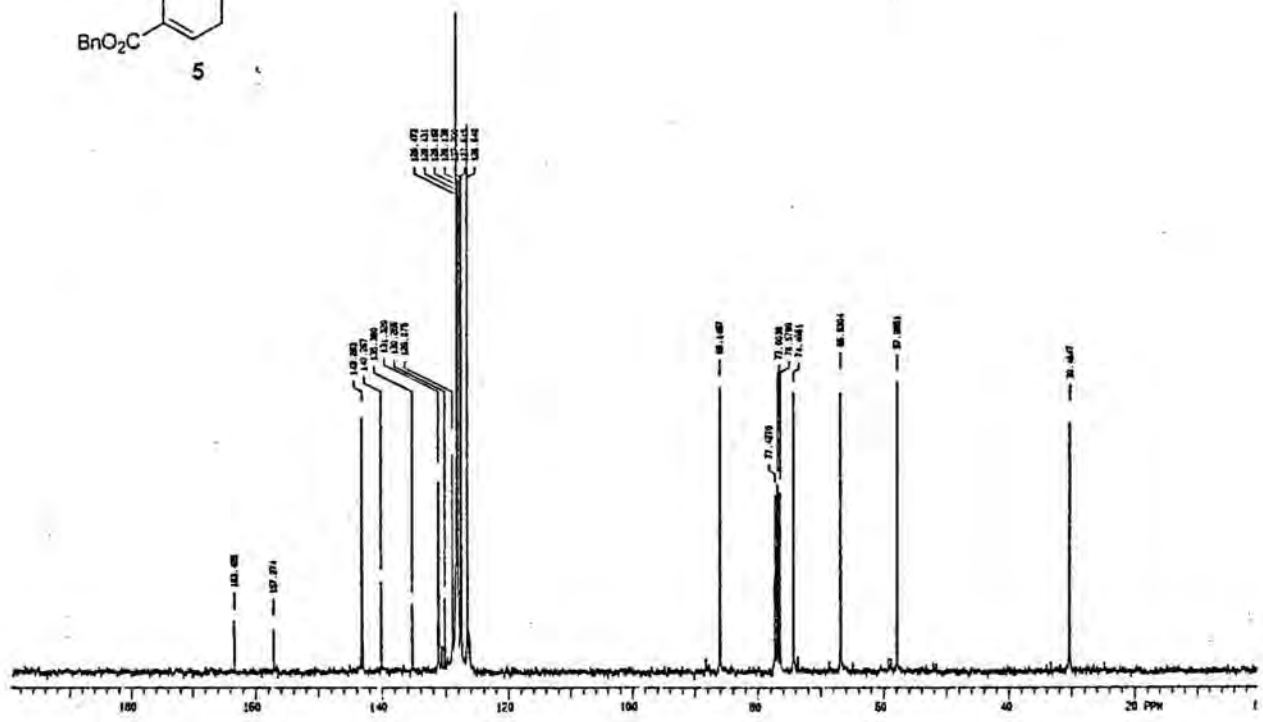
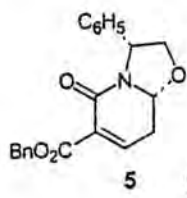


(3R,8R,8aS)-8-Etil-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (4')

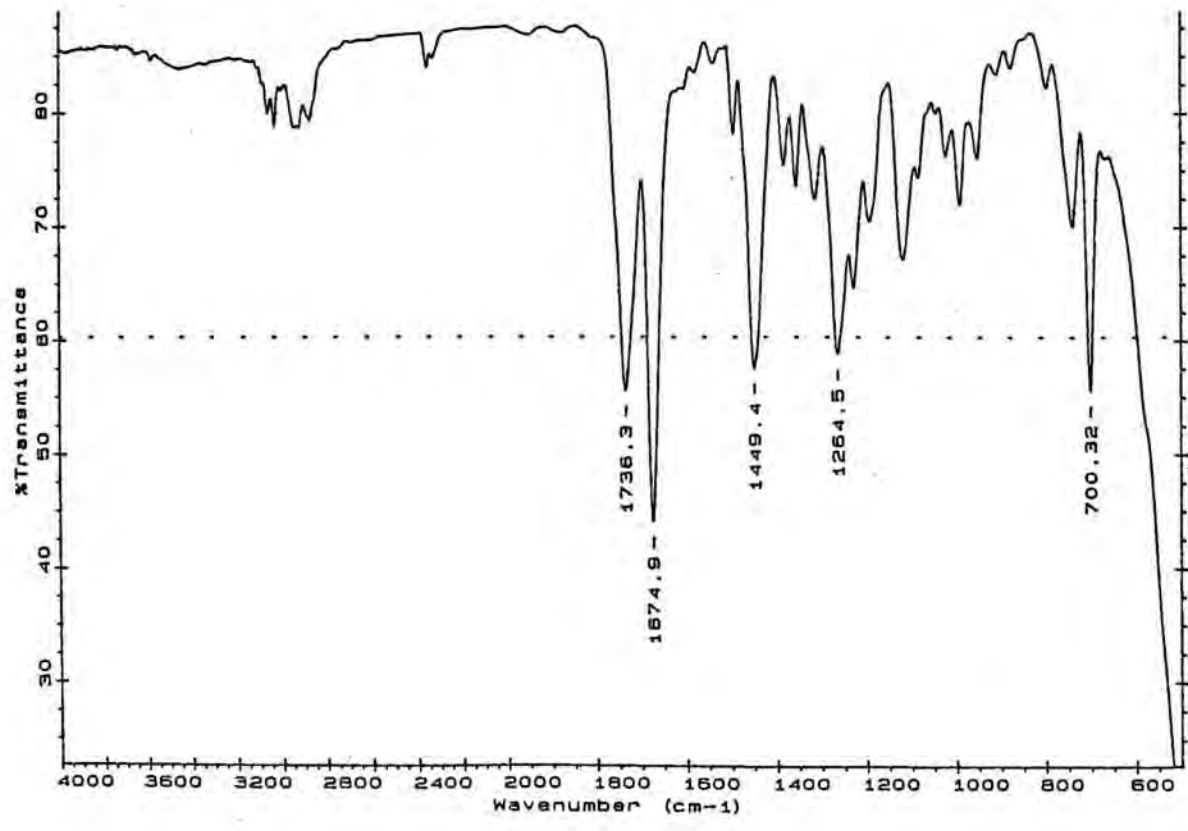


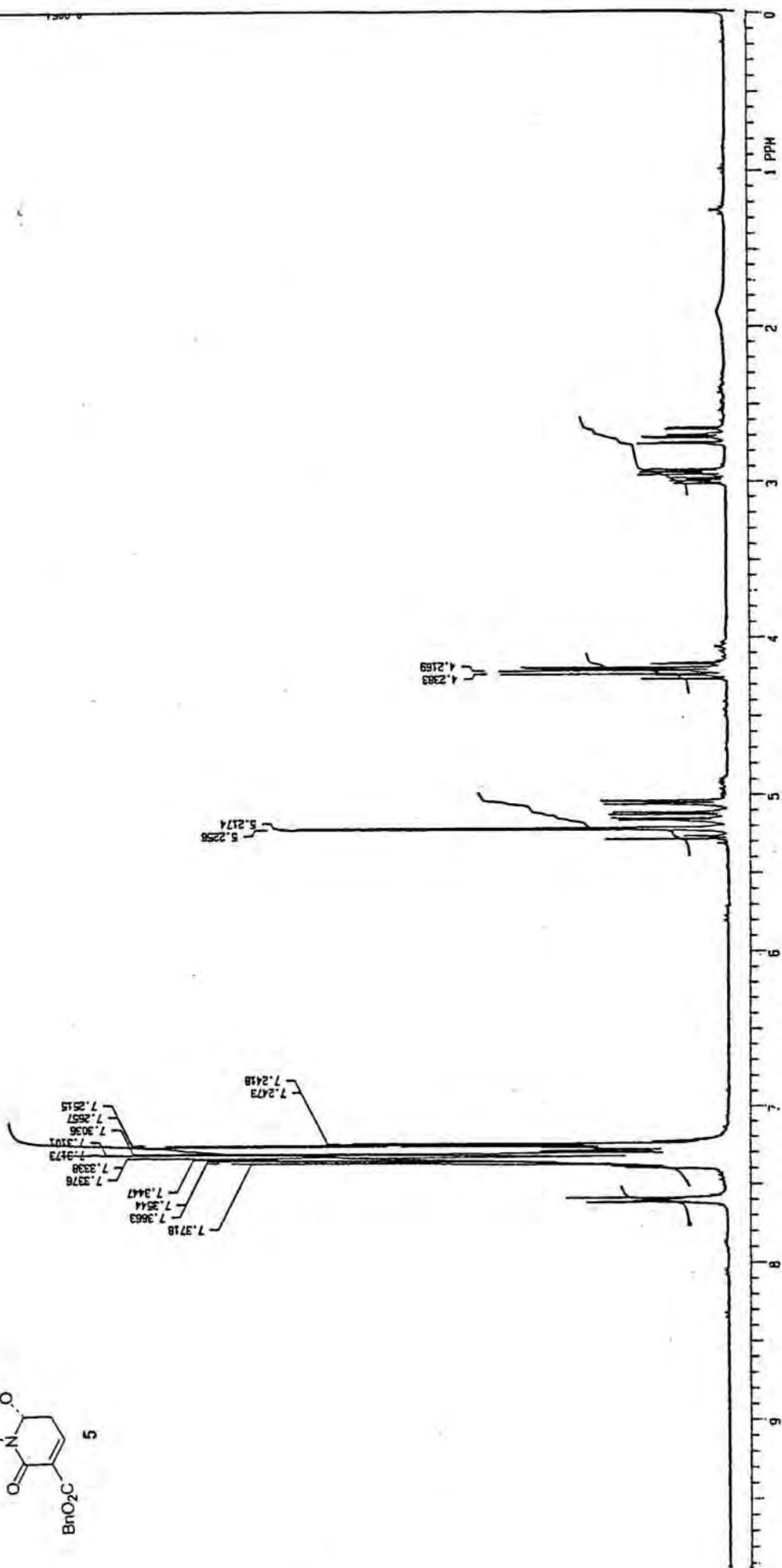
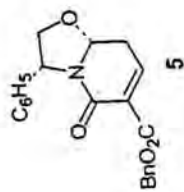


(3R,8R,8aS)-8-Etil-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (4')

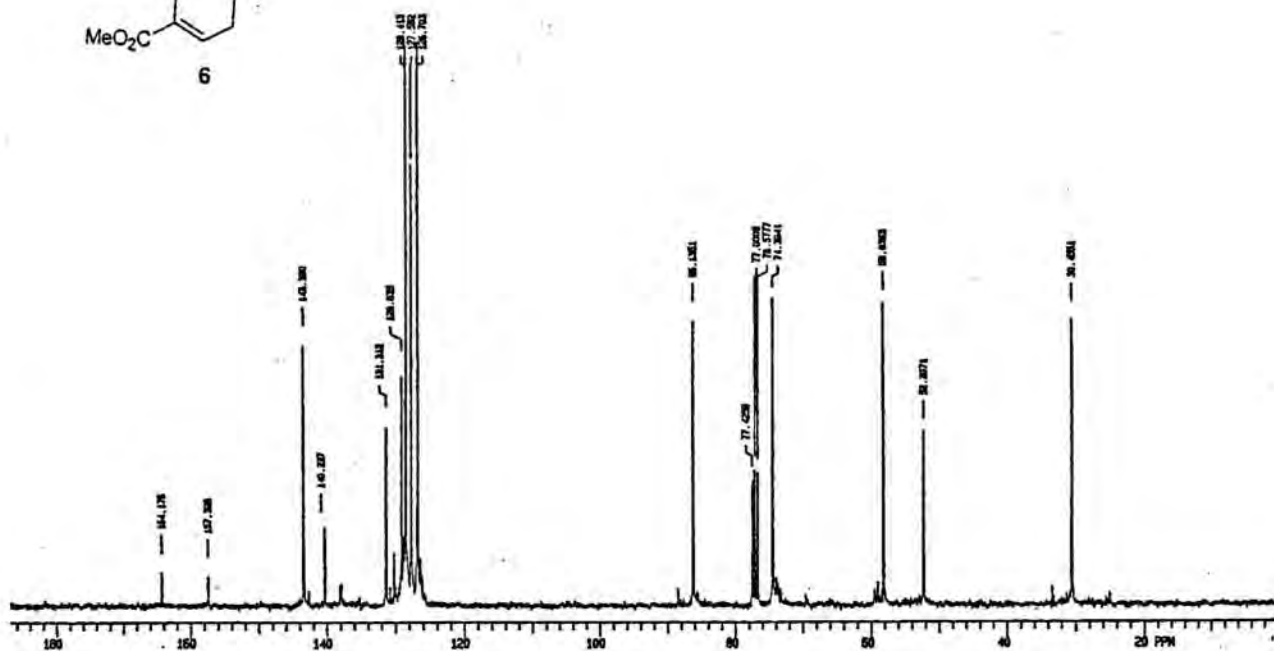
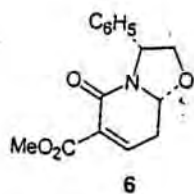


(3R,8aR)-6-(Benzoyloxycarbonyl)-3-phenyl-5-oxo-2,3,8a-tetrahydro-5H-oxazolo [3,2-a]piridina (5)



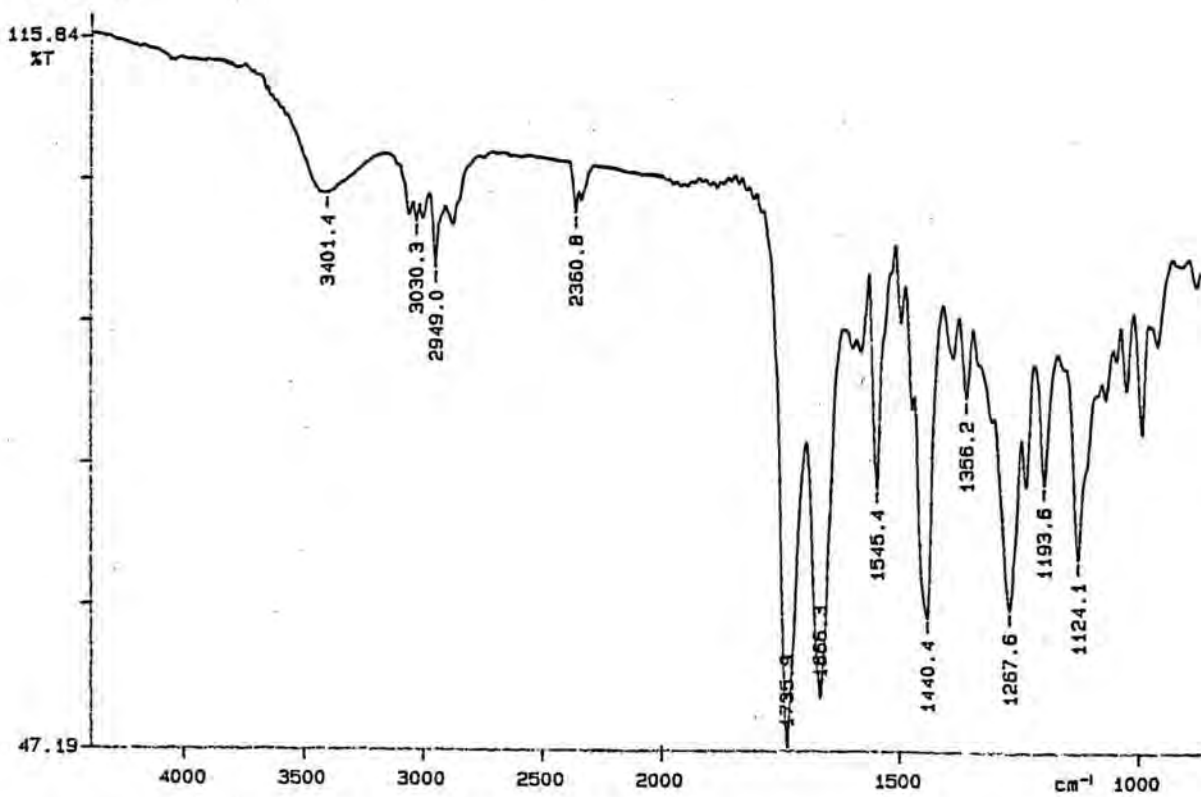


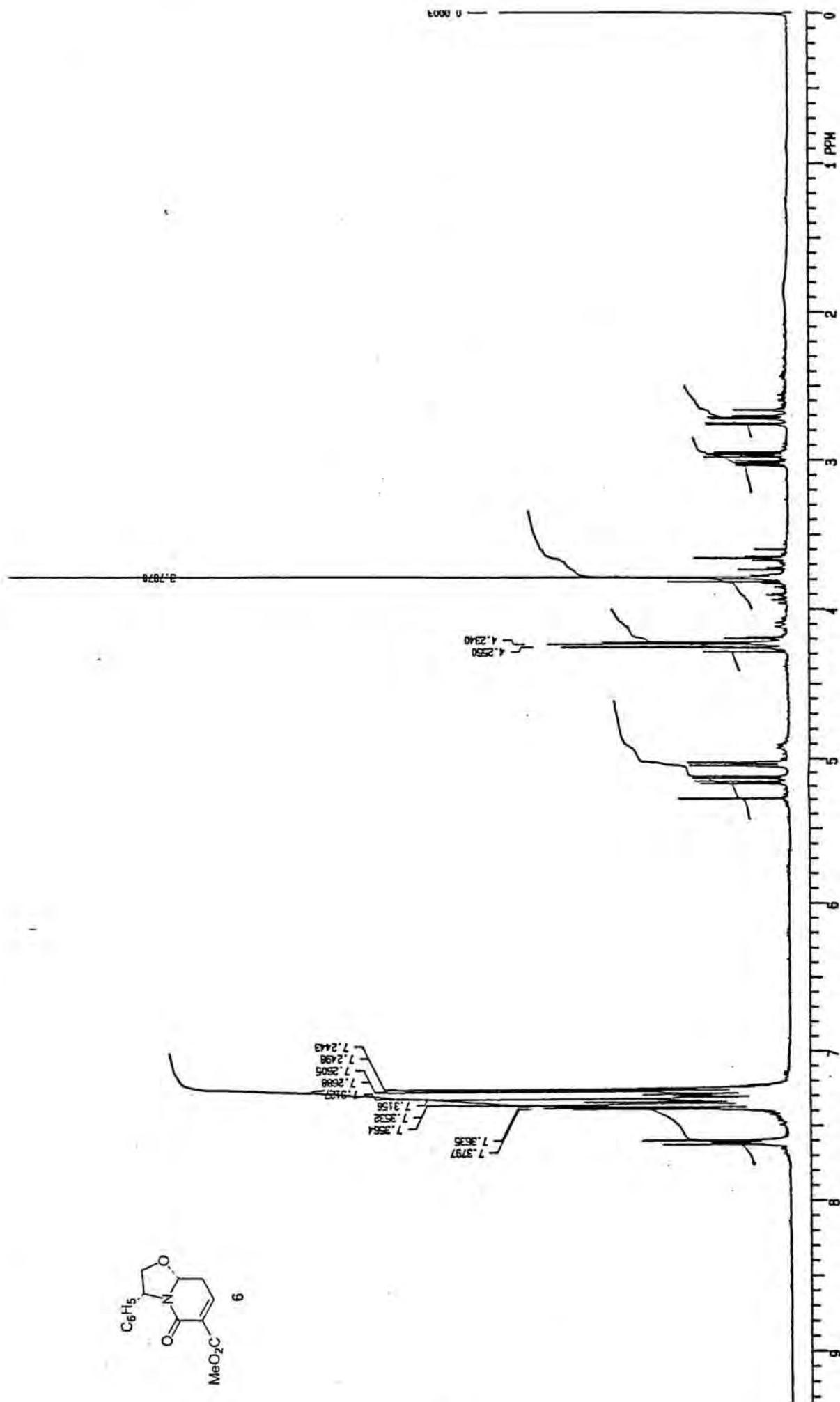
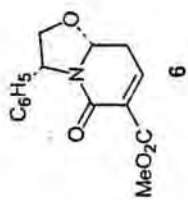
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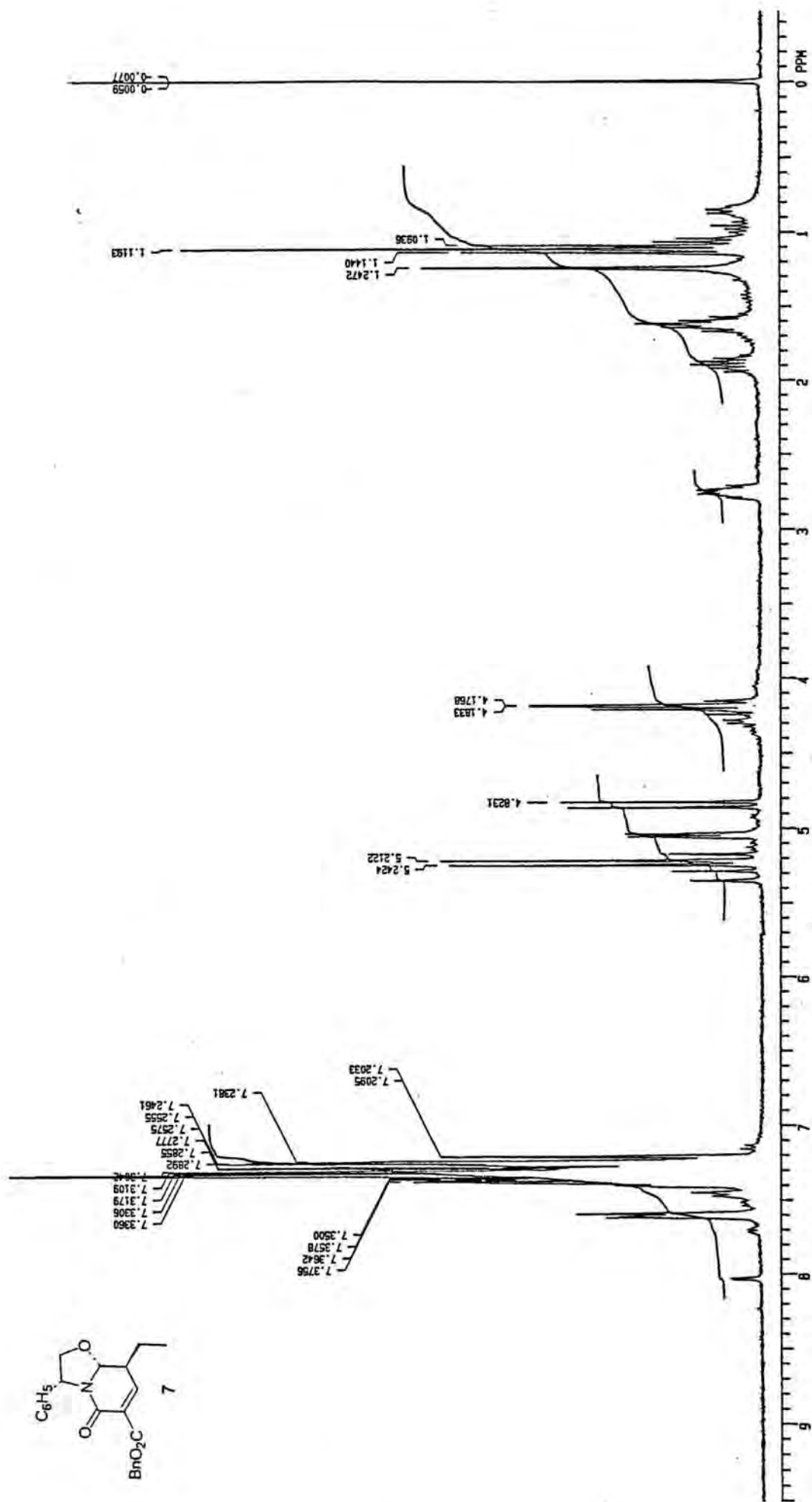
(3*R*,8*aR*)-3-Fenil-6-(metoxicarbonil)-5-oxo-2,3,8,8*a*-tetrahidro-5*H*-oxazolo [3,2-*a*]piridina (6)

PERKIN ELMER

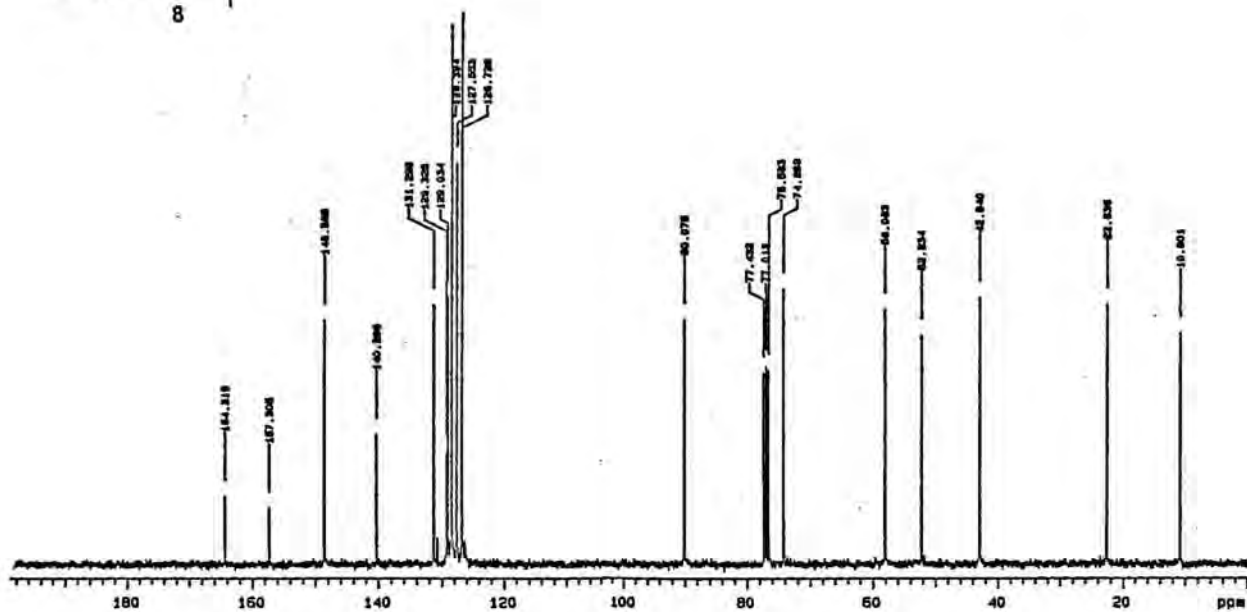
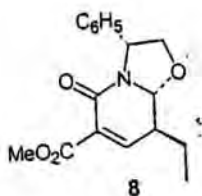




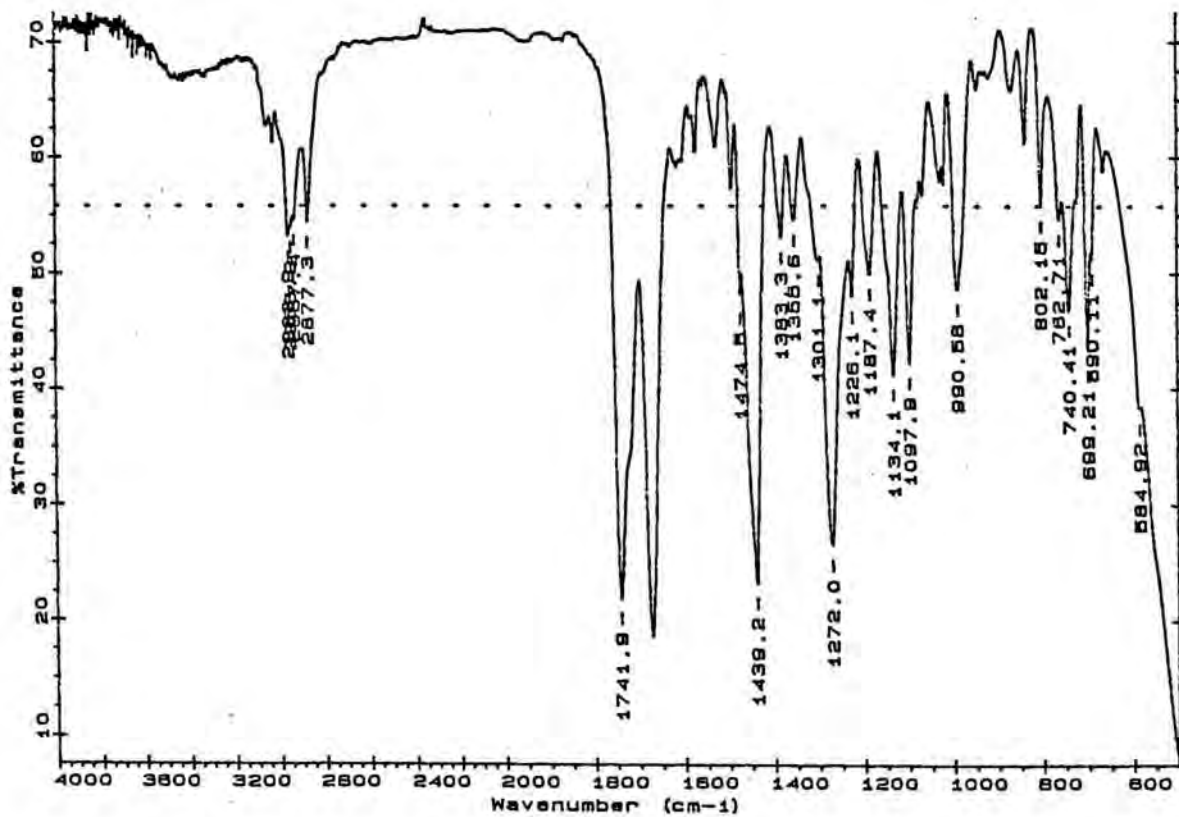
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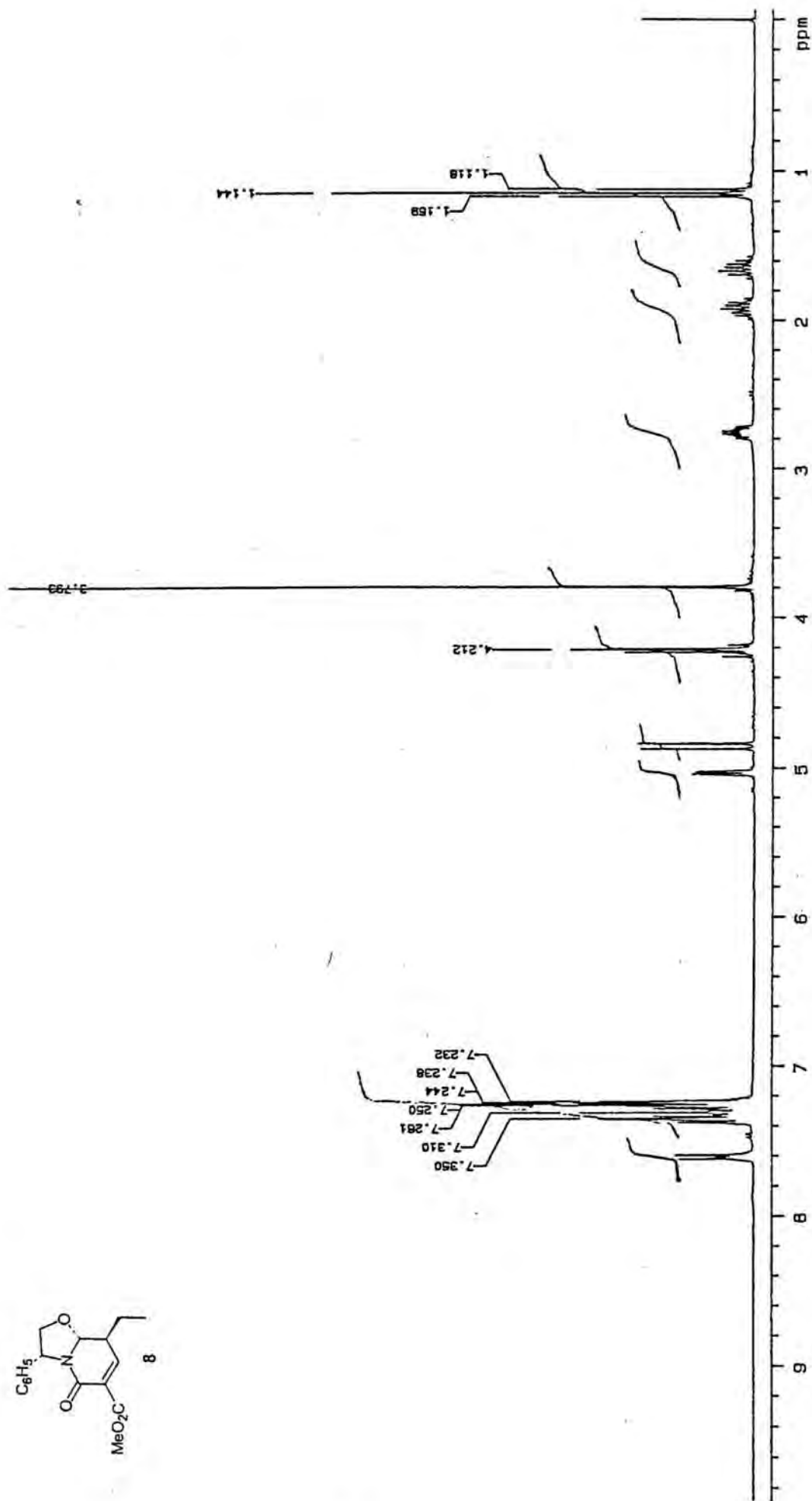
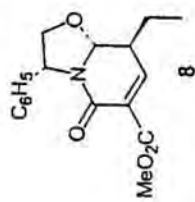


(3R,8S,8aR)-6-(Benziloxycarbonil)-8-etil-3-fenil-5-oxo-2,3,8a-tetrahidro-5H-oxazolo[3,2-a]piridina (7)

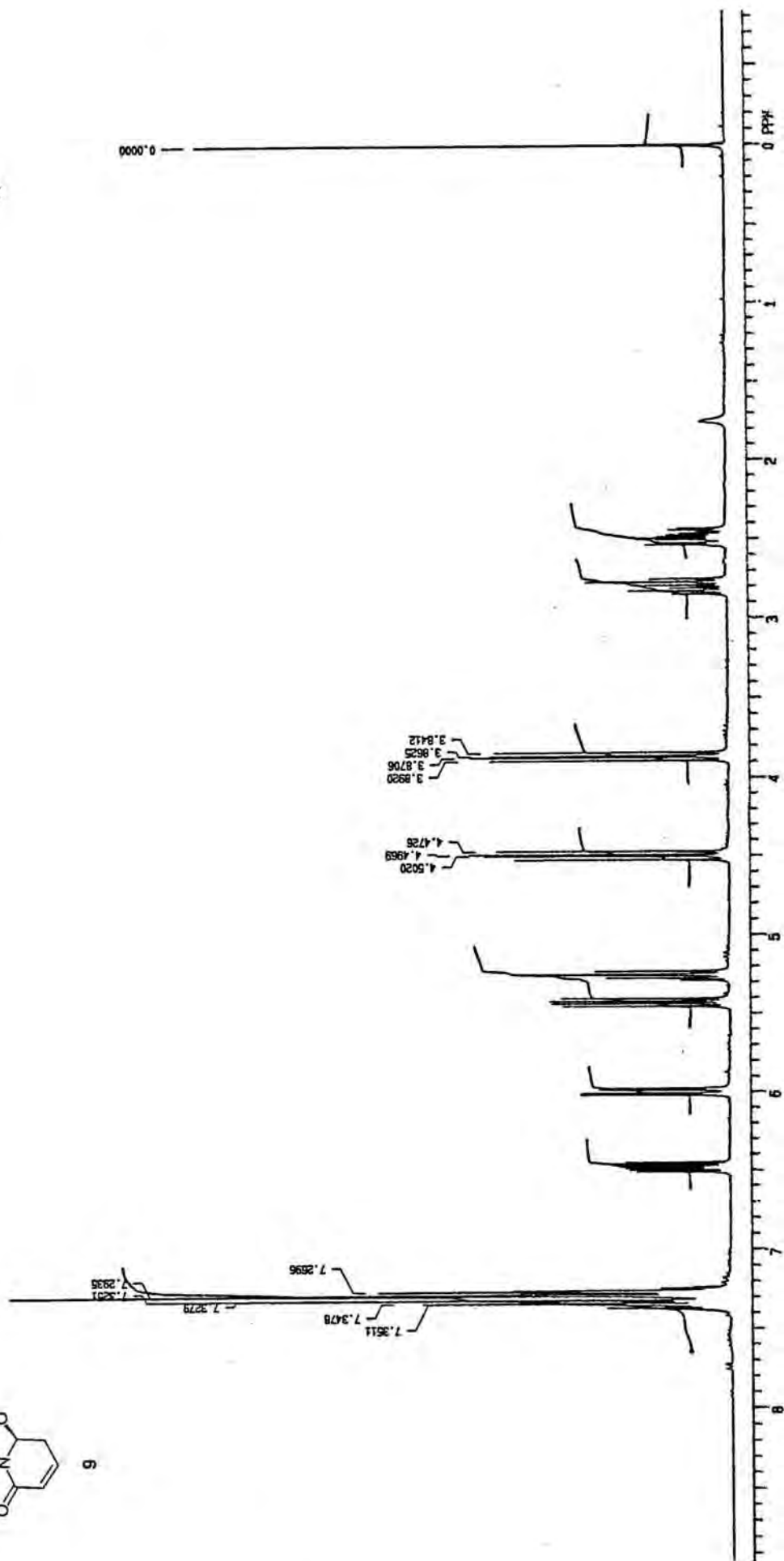
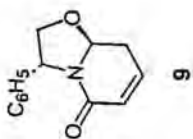


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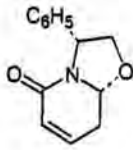




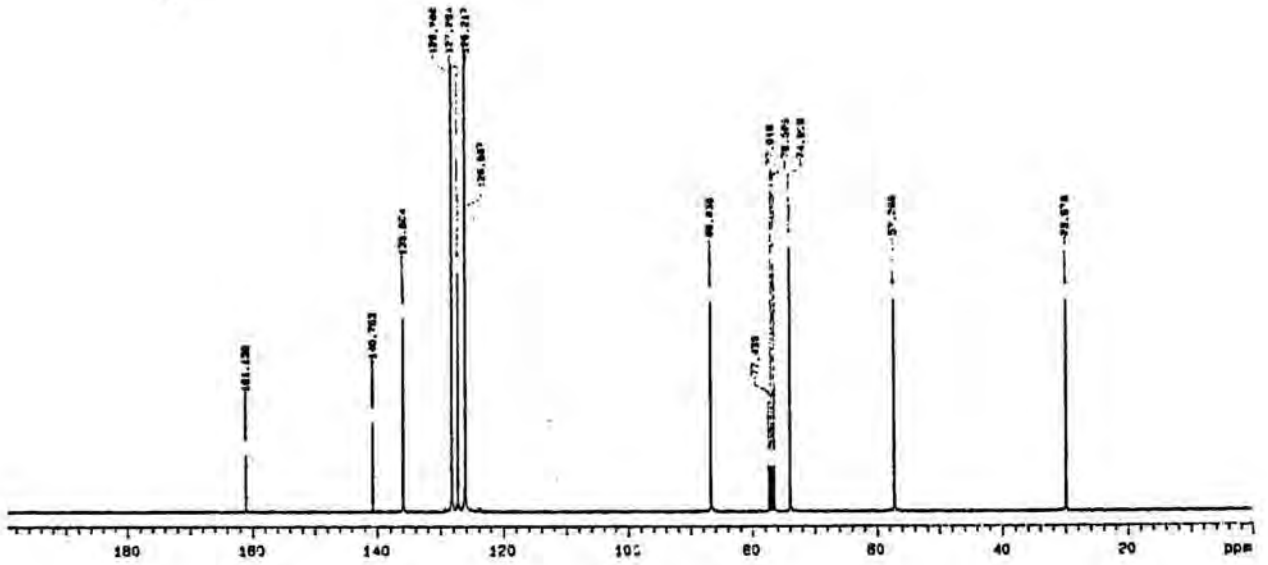
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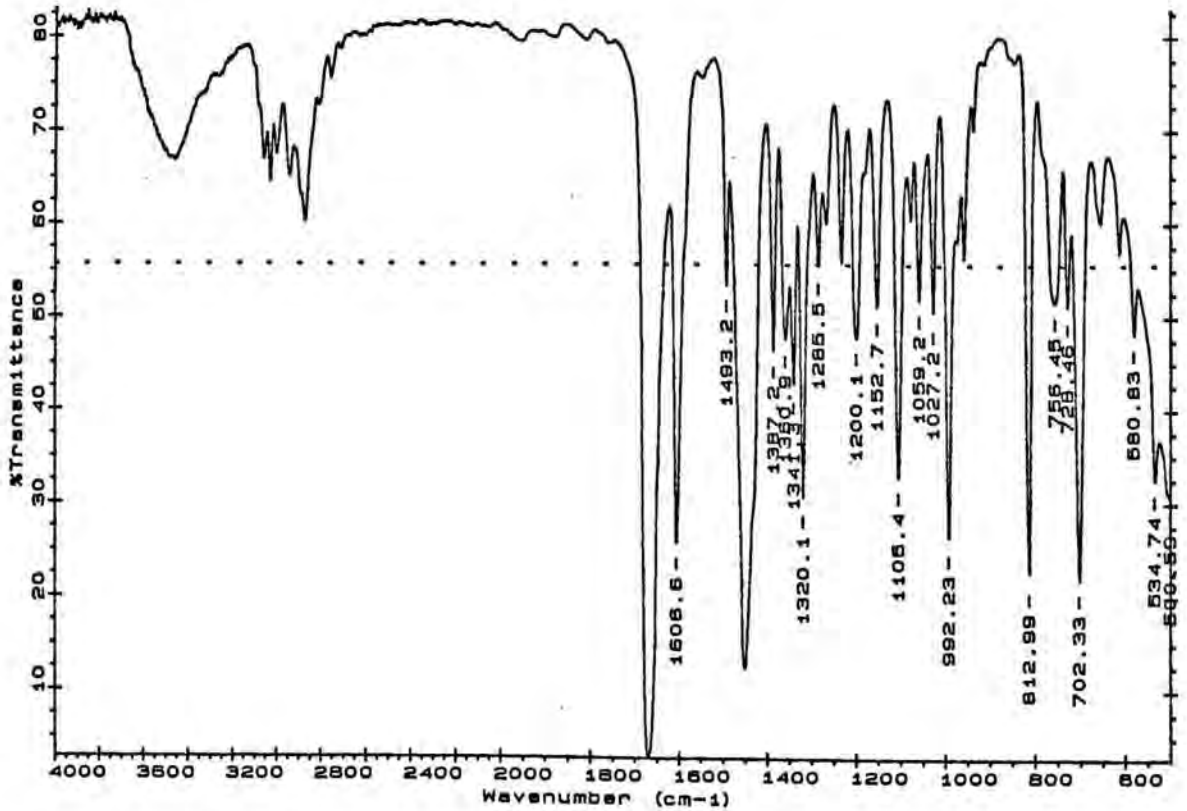
(3*R*,8*aS*)-3-Fenil-5-oxo-2,3,8,8a-tetraidro-5*H*-oxazolo[3,2-*a*]piridina (**9**)

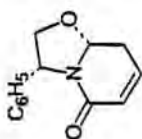


10



(3*R*,8*aR*)-3-Fenil-5-oxo-2,3,8,8*a*-tetrahidro-5*H*-oxazolo[3,2-*a*]piridina (10)





10

7.331
7.322
7.318
7.313

4.201
4.178

ppm

1

2

3

4

5

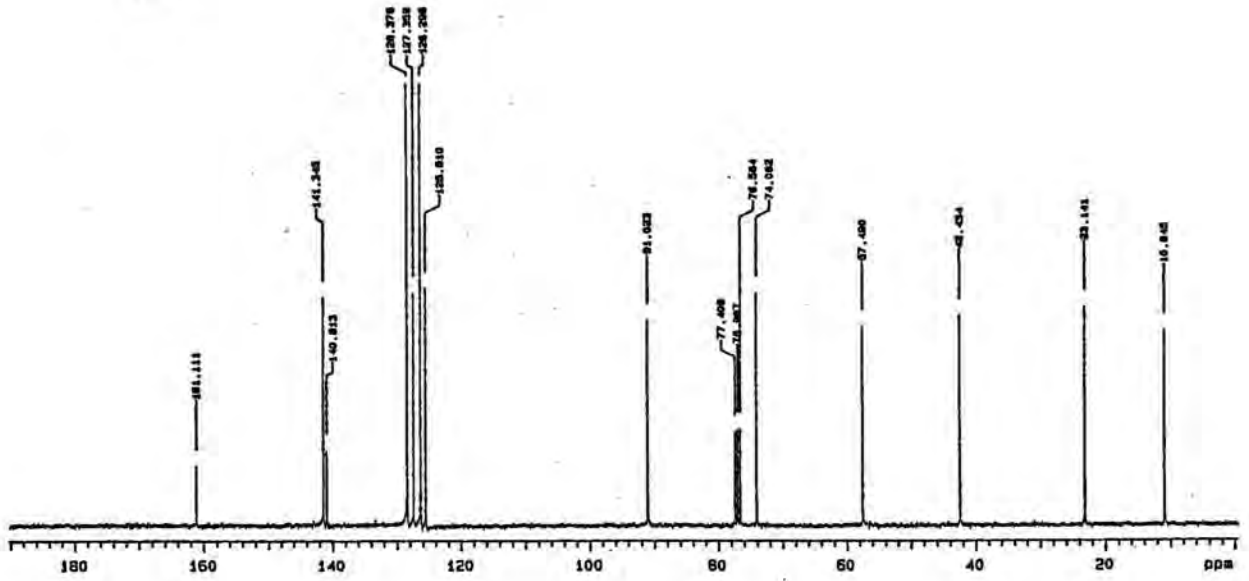
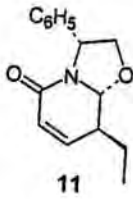
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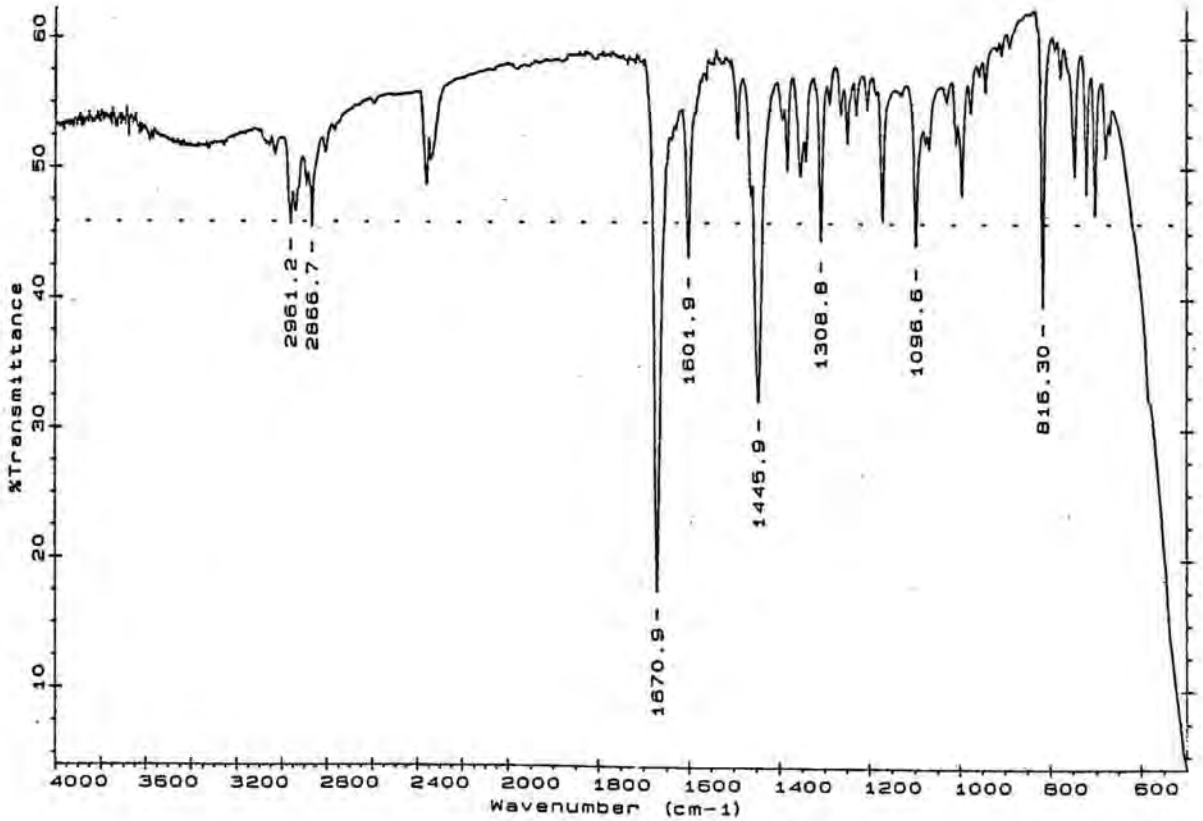
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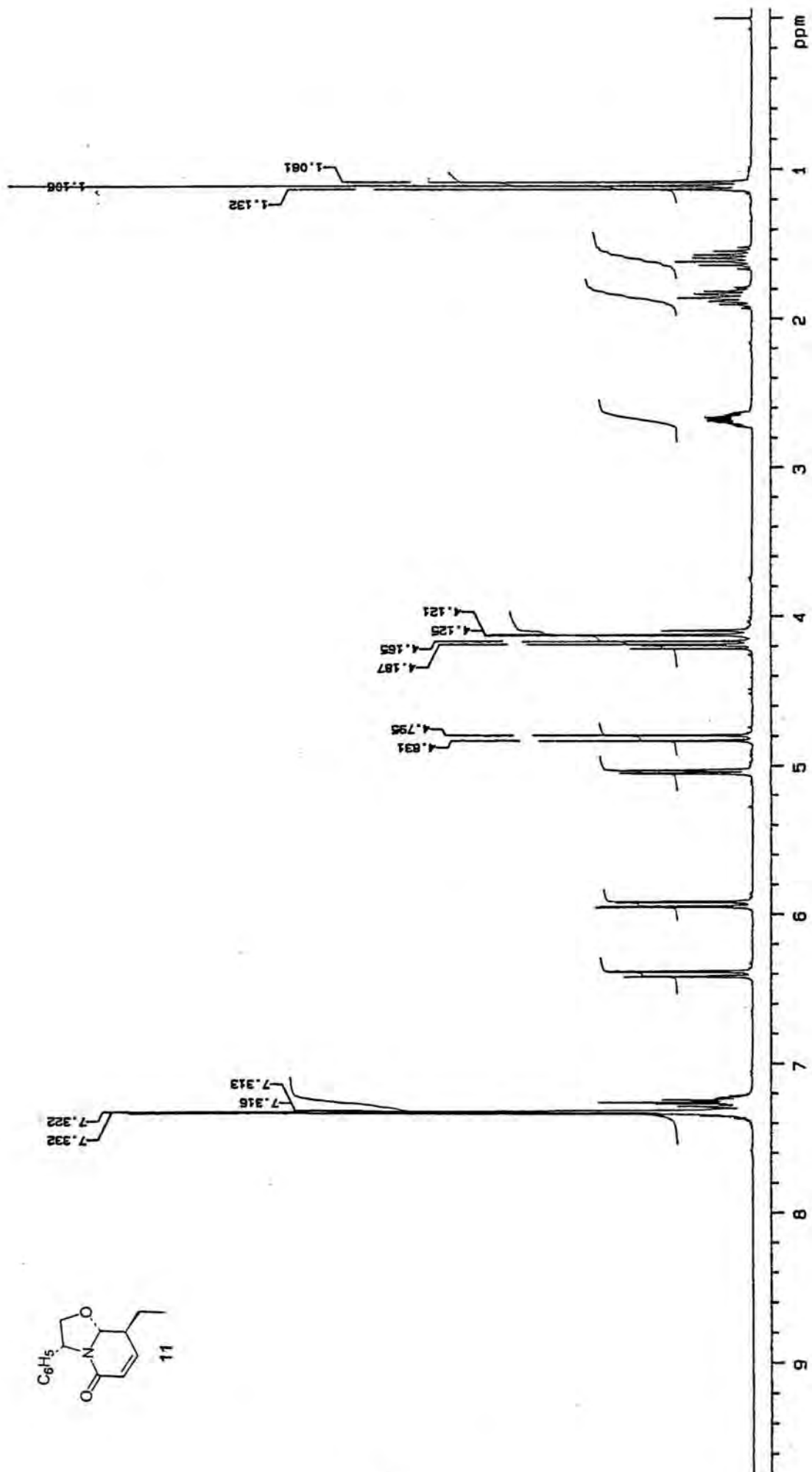
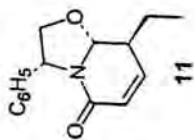
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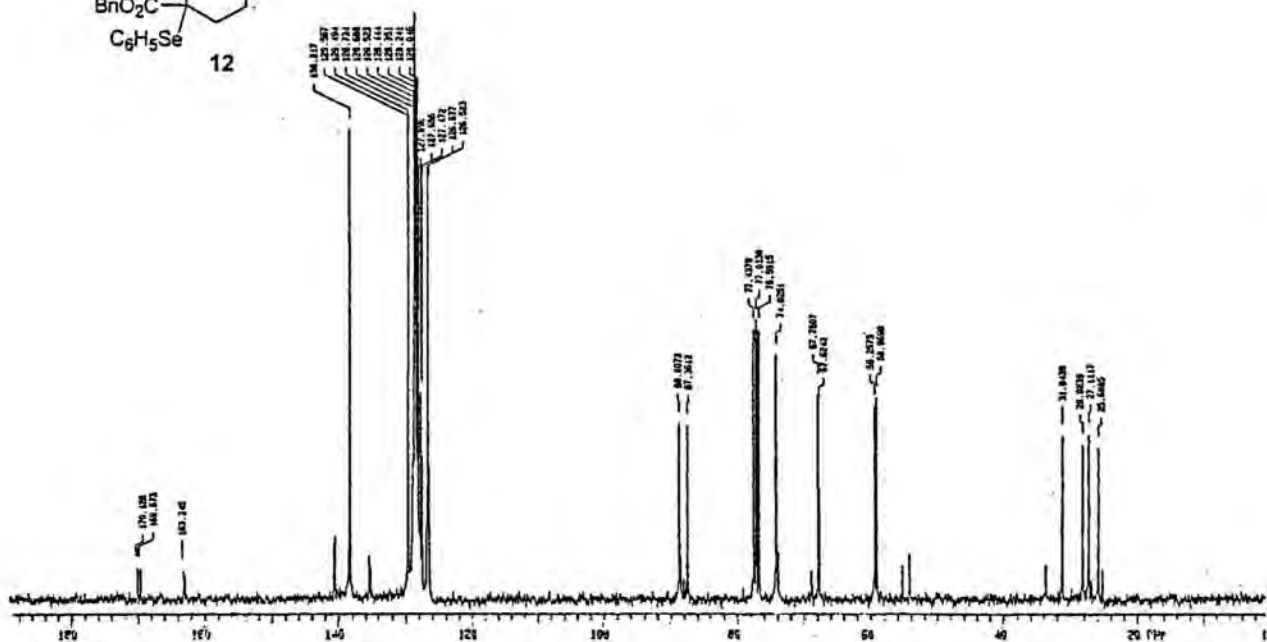
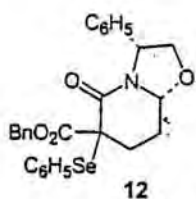


(3*R*,8*S*,8*aR*)-8-Etil-3-fenil-5-oxo-2,3,8,8*a*-tetrahidro-5*H*-oxazolo[3,2-*a*]piridina (11)

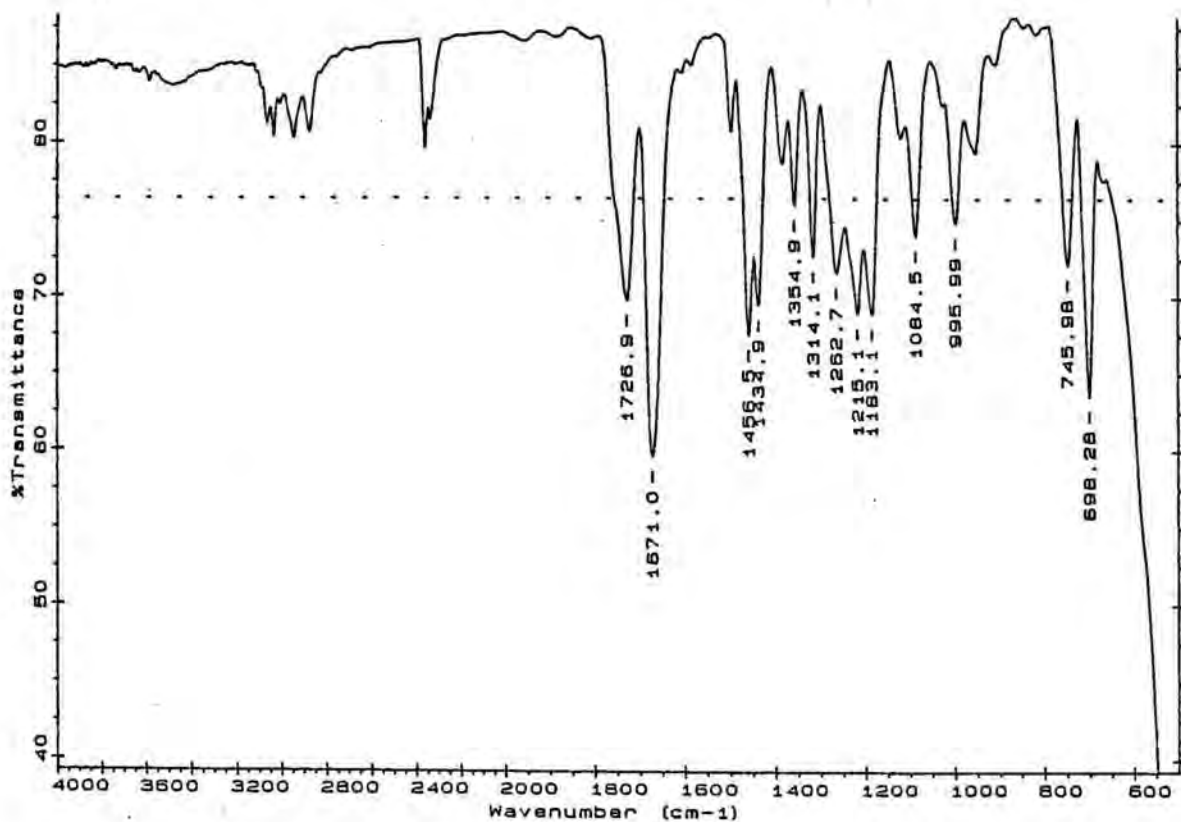


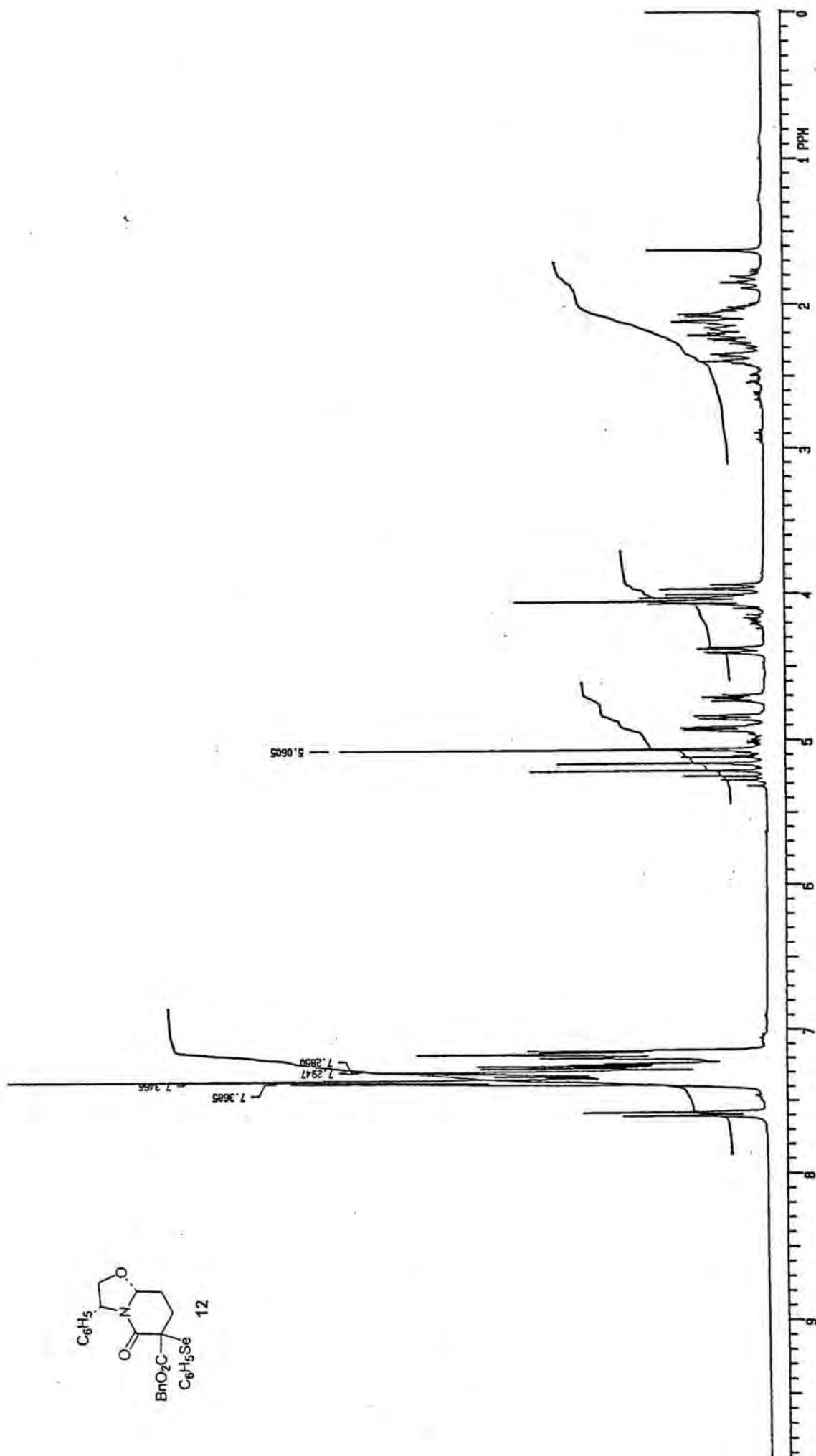
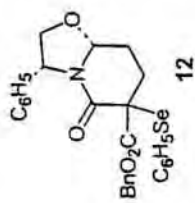


(3R,8S,8aR)-8-Etil-3-fenil-5-oxo-2,3,8,8a-tetrahidro-5H-oxazolo[3,2-a]piridina (11)

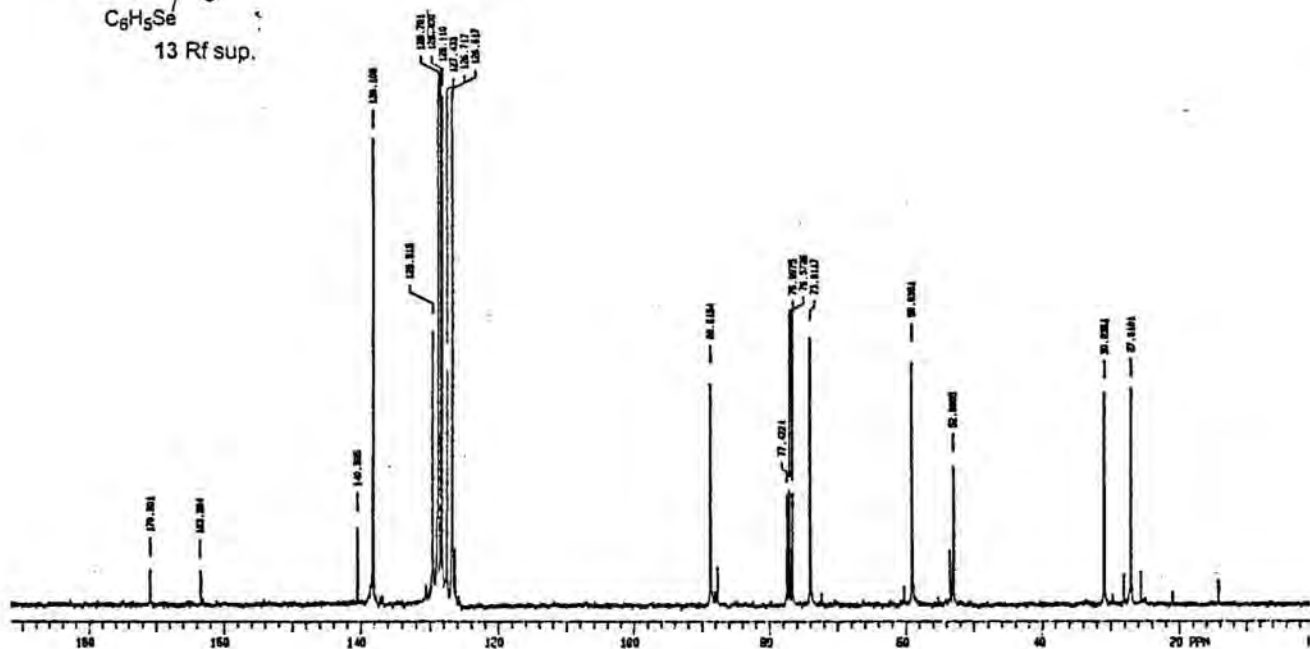
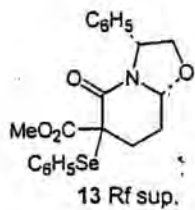


(3*R*,8*aR*)-6-(Benziloxycarbonil)-3-fenil-6-(fenilselanil)-5-oxo-2,3,6,7,8*a*-hexahidro-5*H*-oxazolo [3,2-*a*]piridina (12)

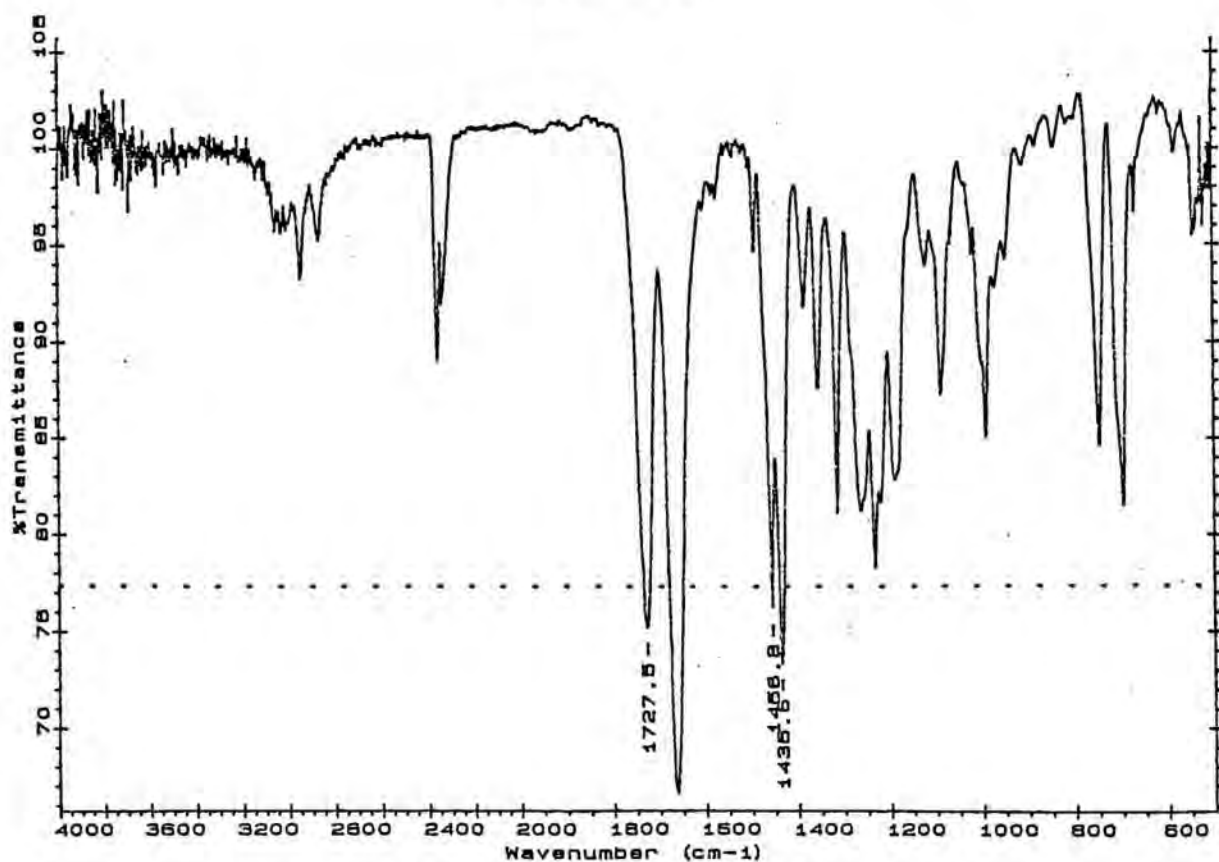


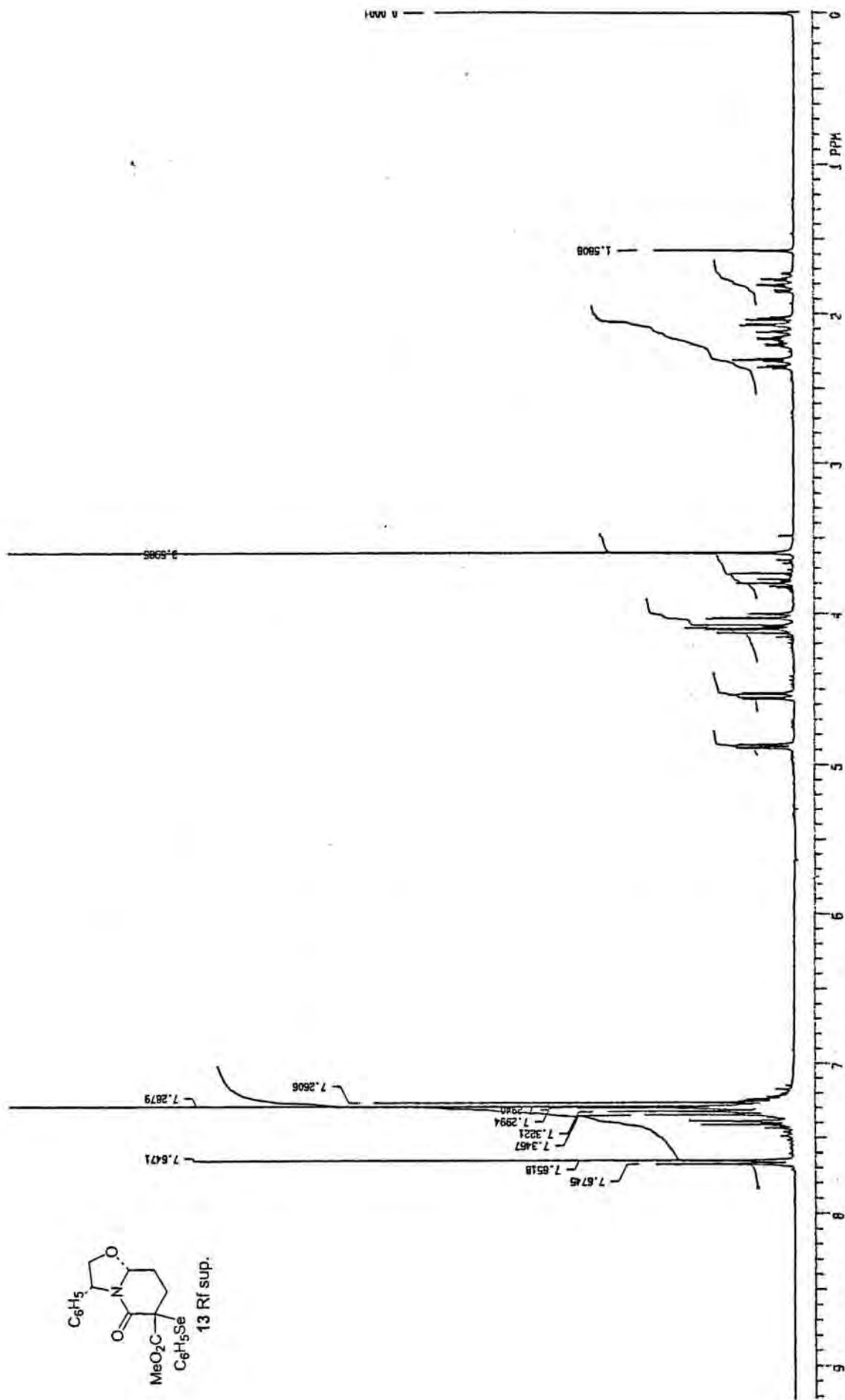
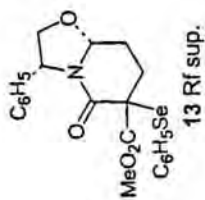


(3*R*,8*aR*)-6-(Benzoyloxycarbonyl)-3-phenyl-6-(phenylselanyl)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (12)

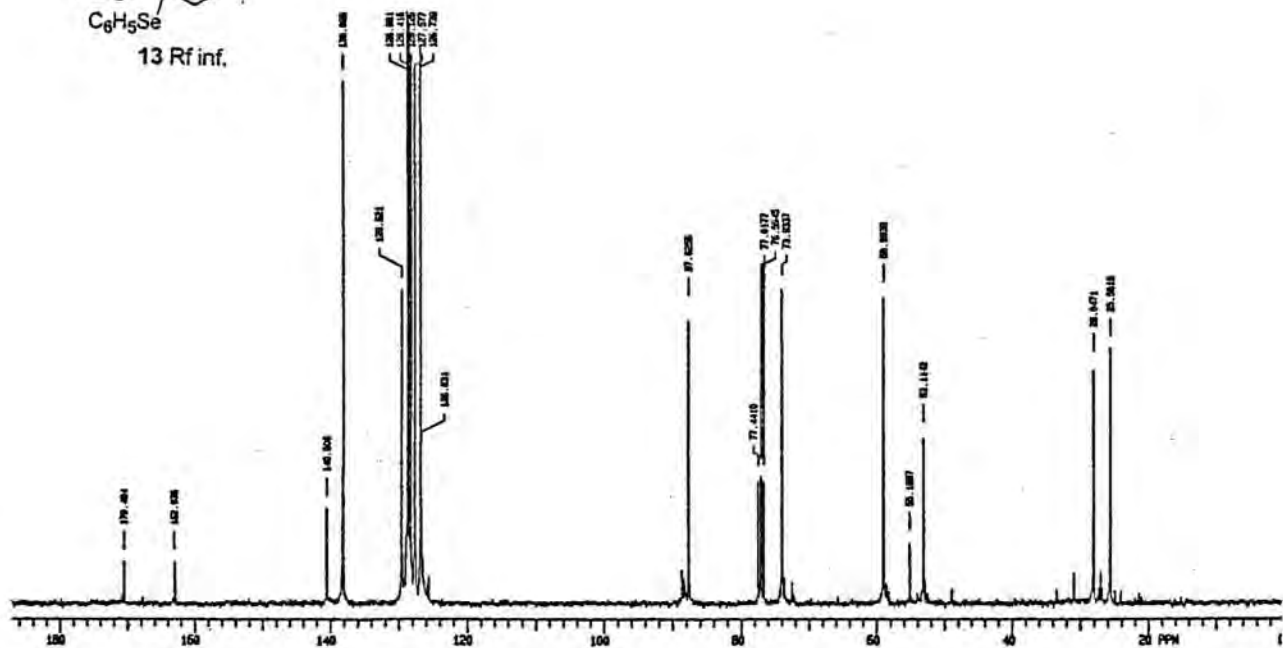
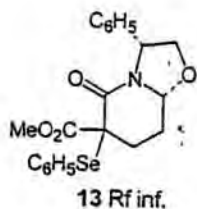


(3*R*,8*aR*)-3-Fenil-6-(fenilselanil)-6-(metoxicarbonil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo [3,2-*a*]piridina (13)

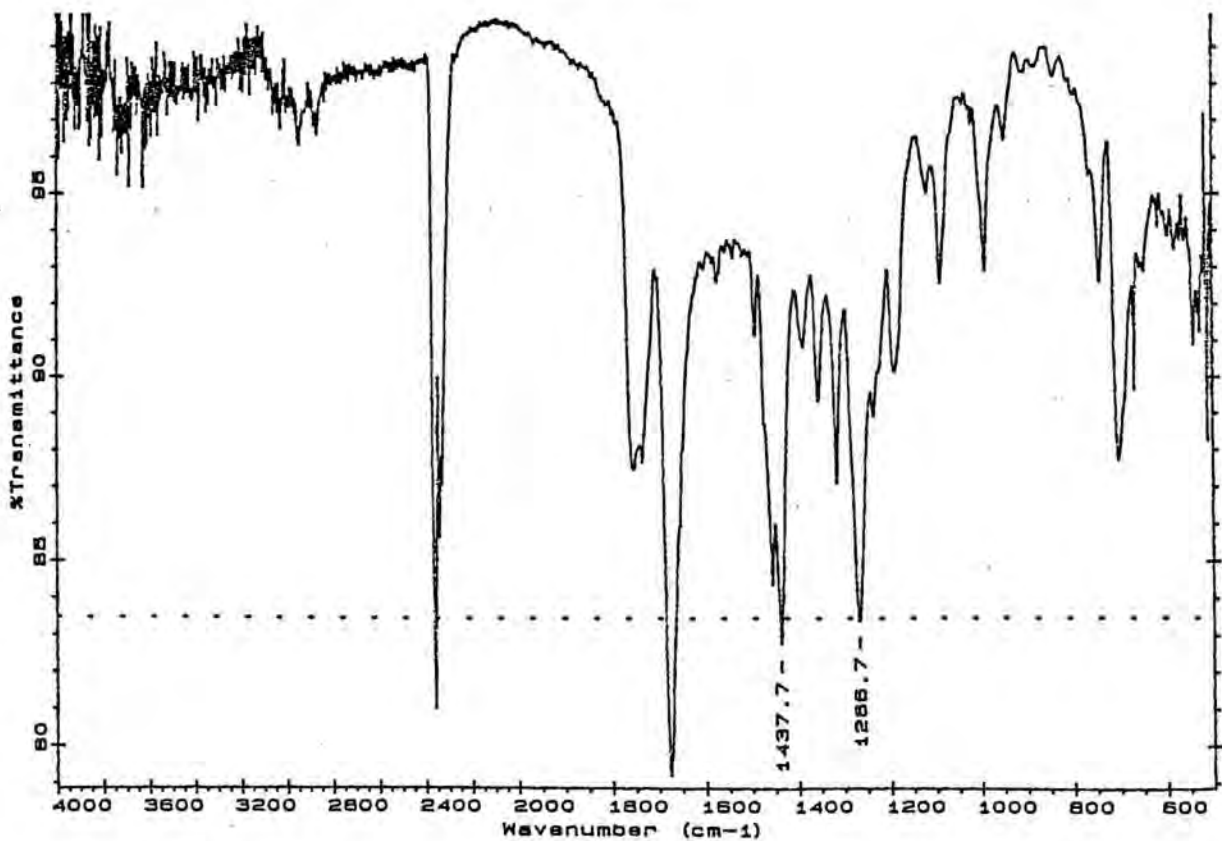


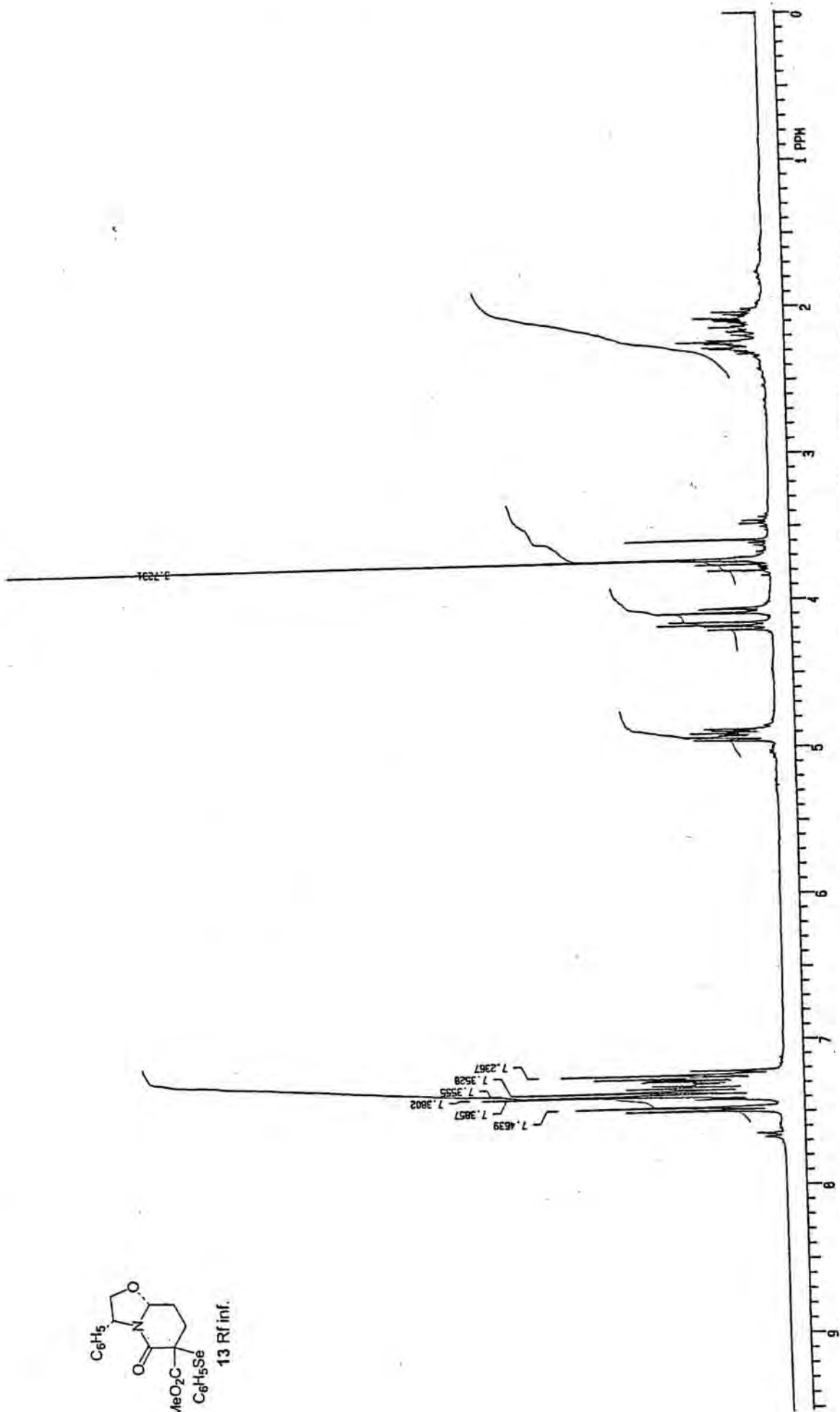
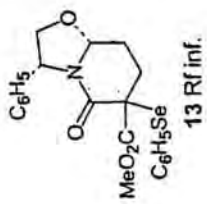


(3*R*,8*aR*)-3-Phenyl-6-(phenylselanyl)-6-(methoxycarbonyl)-5-oxo-2,3,6,7,8,8*a*-hexahydro-5*H*-oxazolo[3,2-*a*]pyridina (**13**)

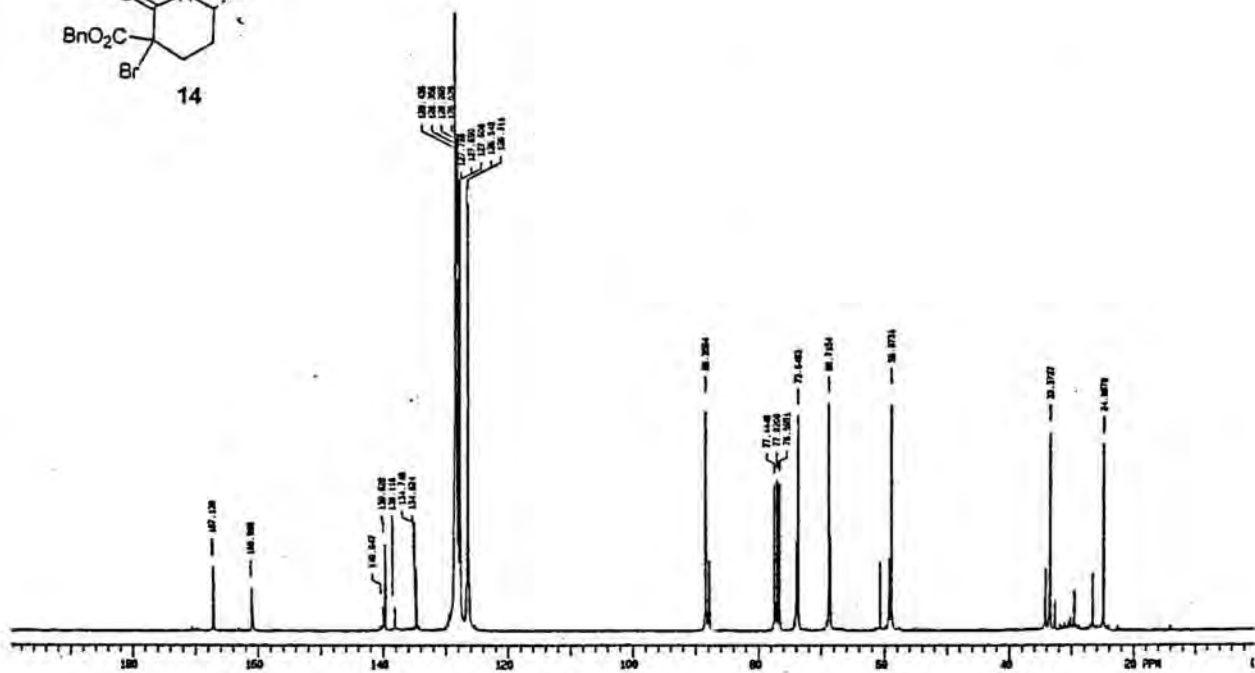
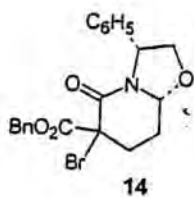


(3*R*,8*aR*)-3-Fenil-6-(fenilselanil)-6-(metoxicarbonil)-5-oxo-2,3,6,7,8*a*-hexahidro-5*H*-oxazolo
[3,2-*a*]piridina (13)

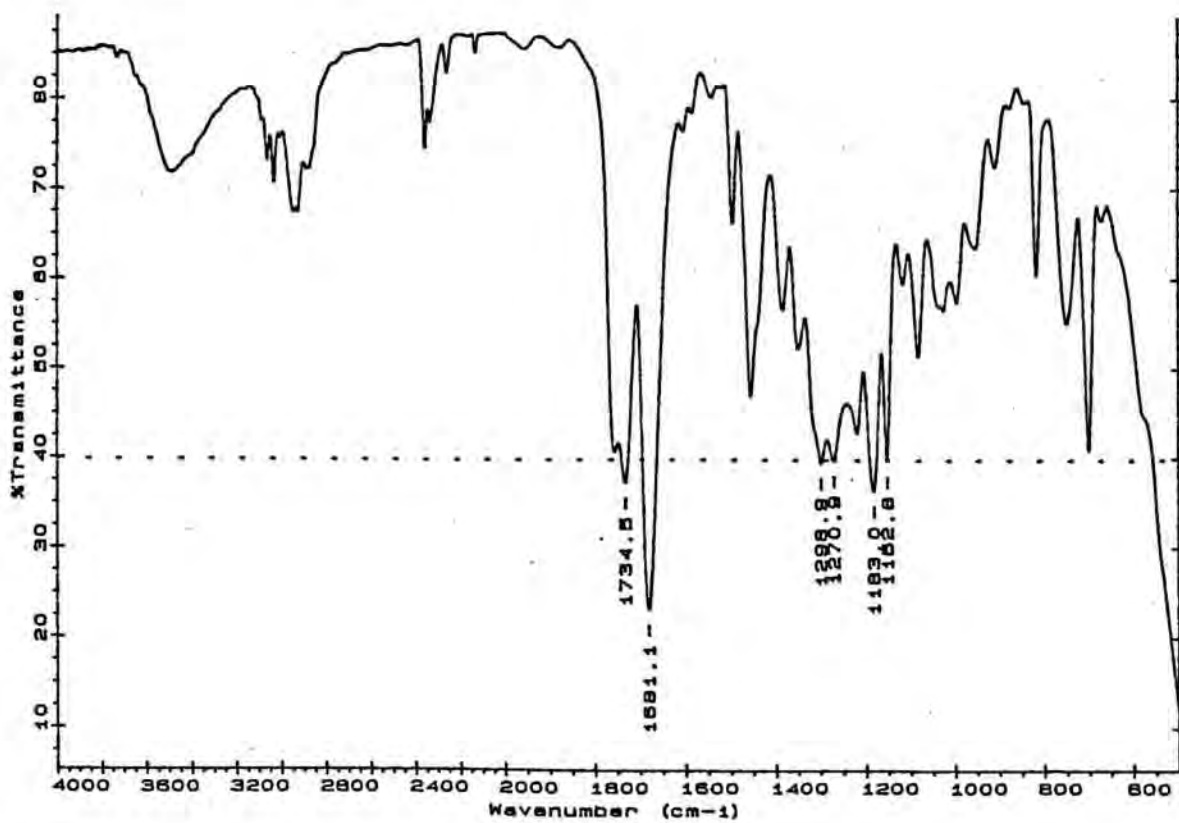


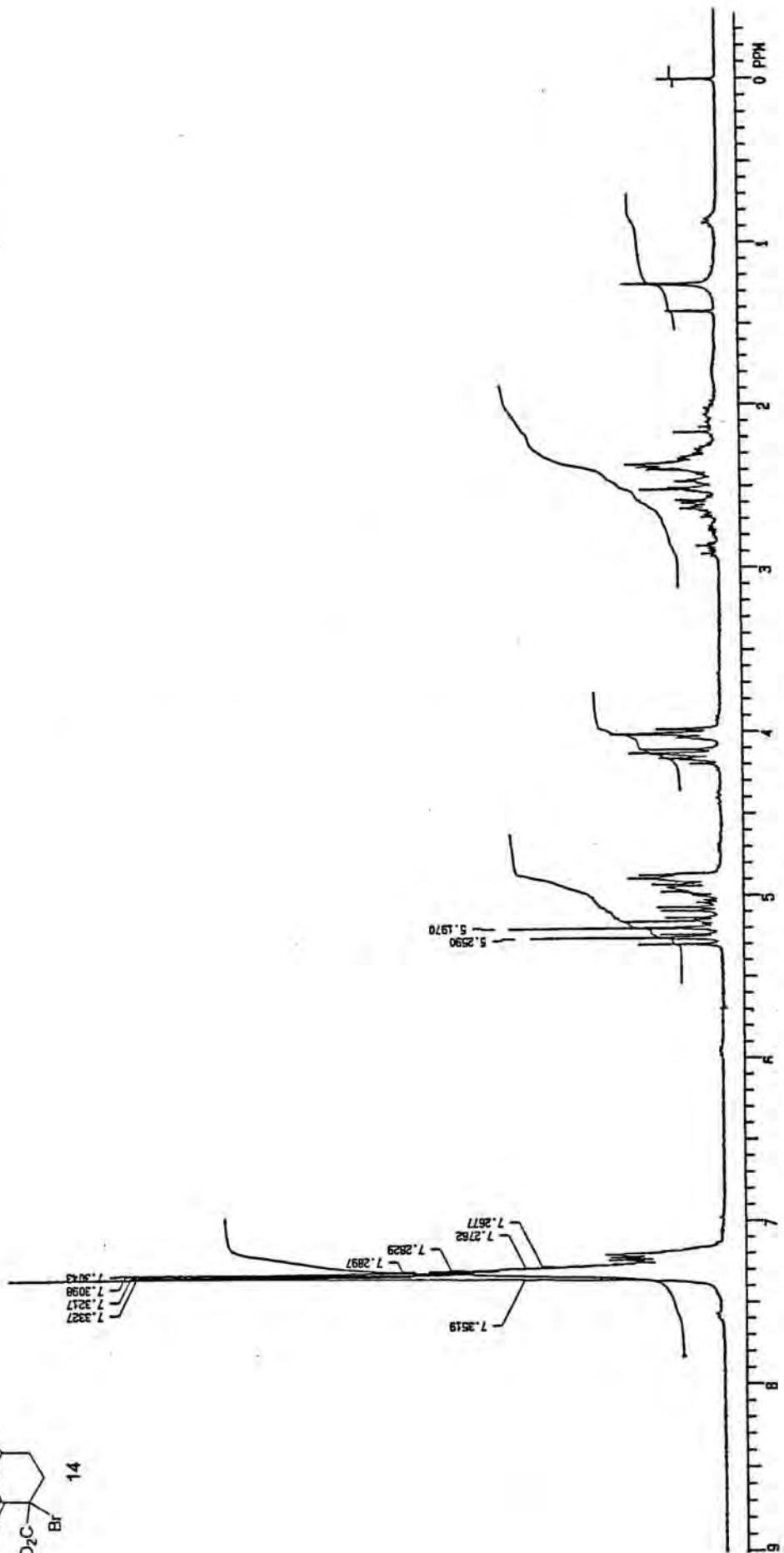
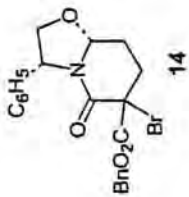


(3*R*,8*aR*)-3-Phenyl-6-(phenylselanyl)-5-oxo-2,3,6,7,8,8*a*-hexahydro-5*H*-oxazolo[3,2-*a*]pyridina (13)

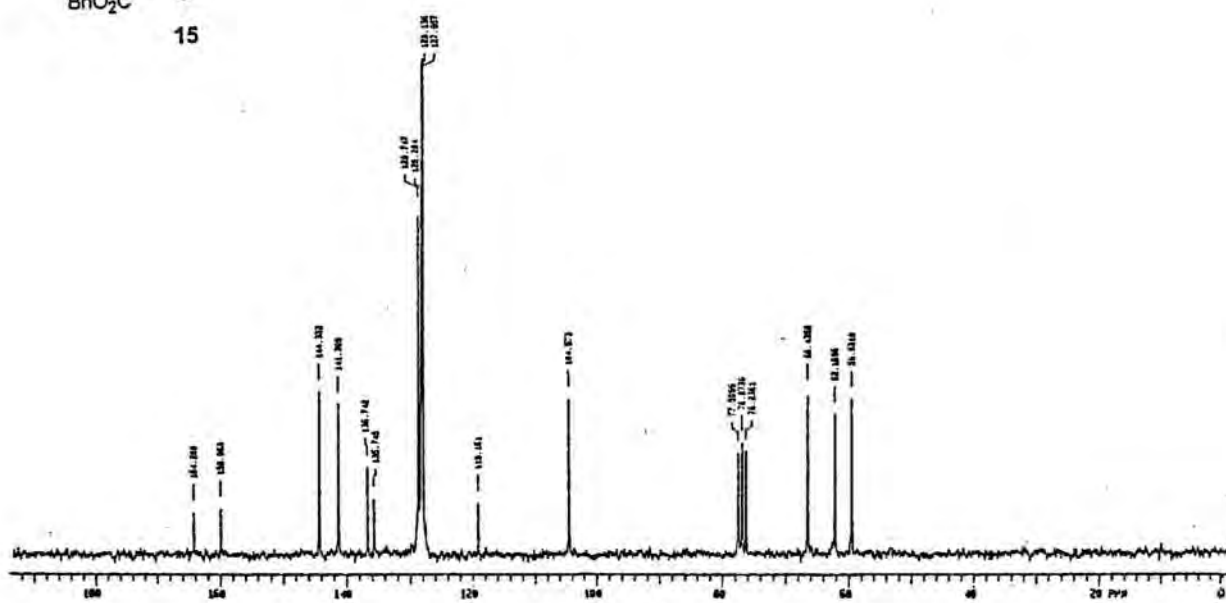
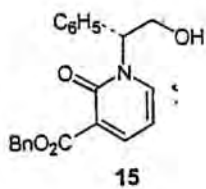


(3*R*,8*aR*)-6-(Benzoyloxycarbonyl)-6-brom-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo
[3,2-*a*]piridina (14)

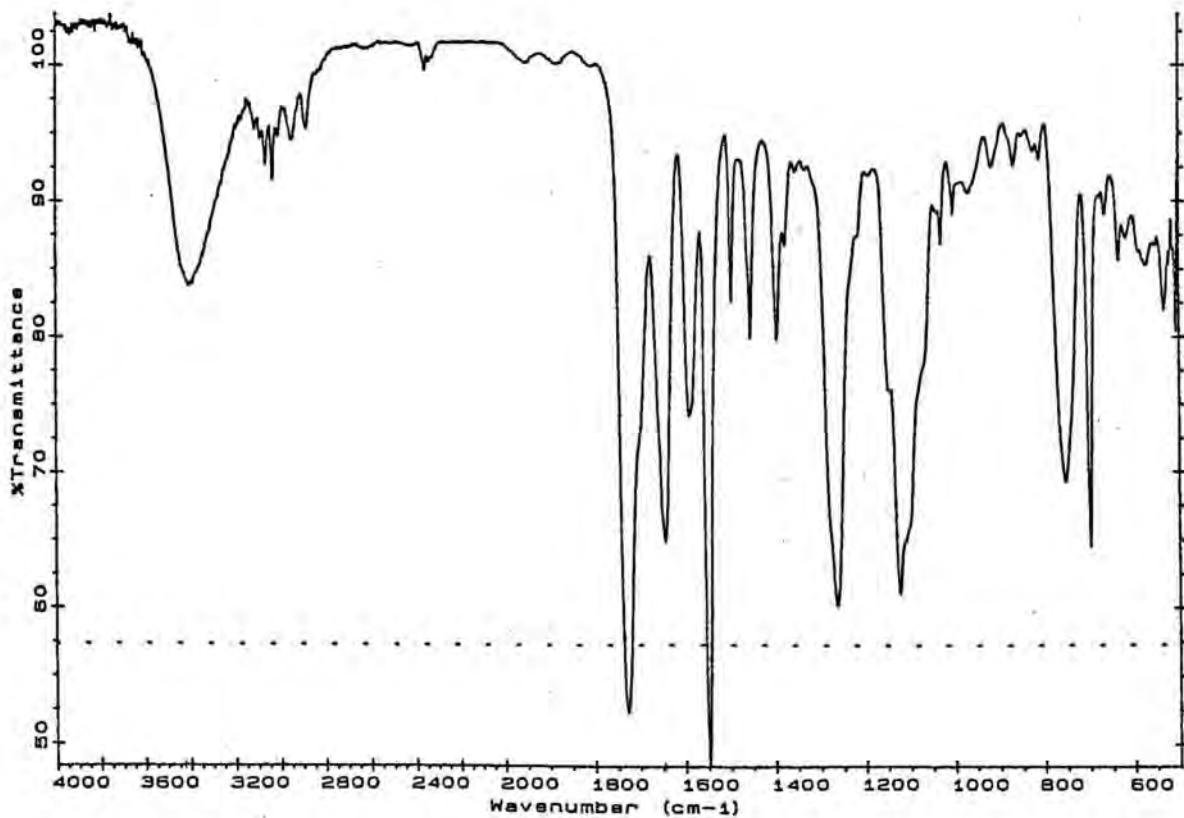


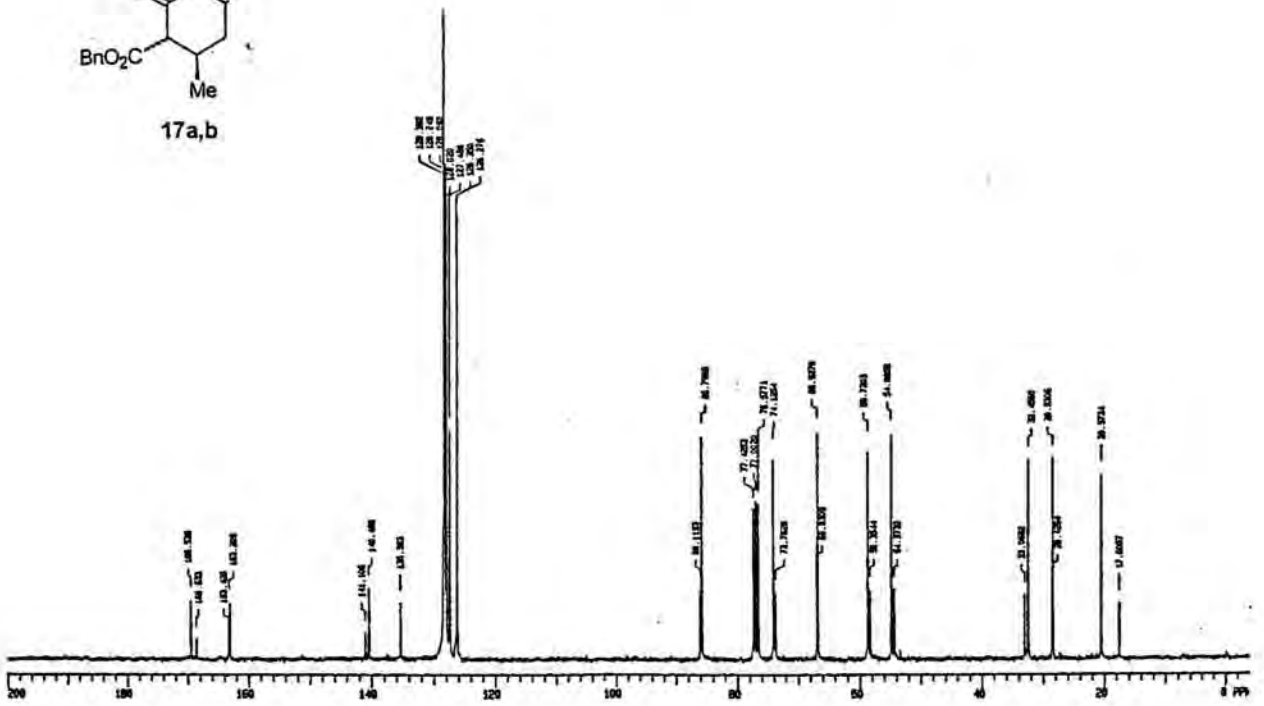
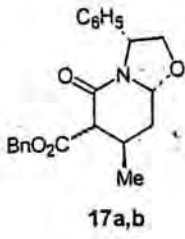


(3*R*,8*aR*)-6-(Benziloxycarbonil)-6-brom-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolol[3,2-*a*]piridina (14)

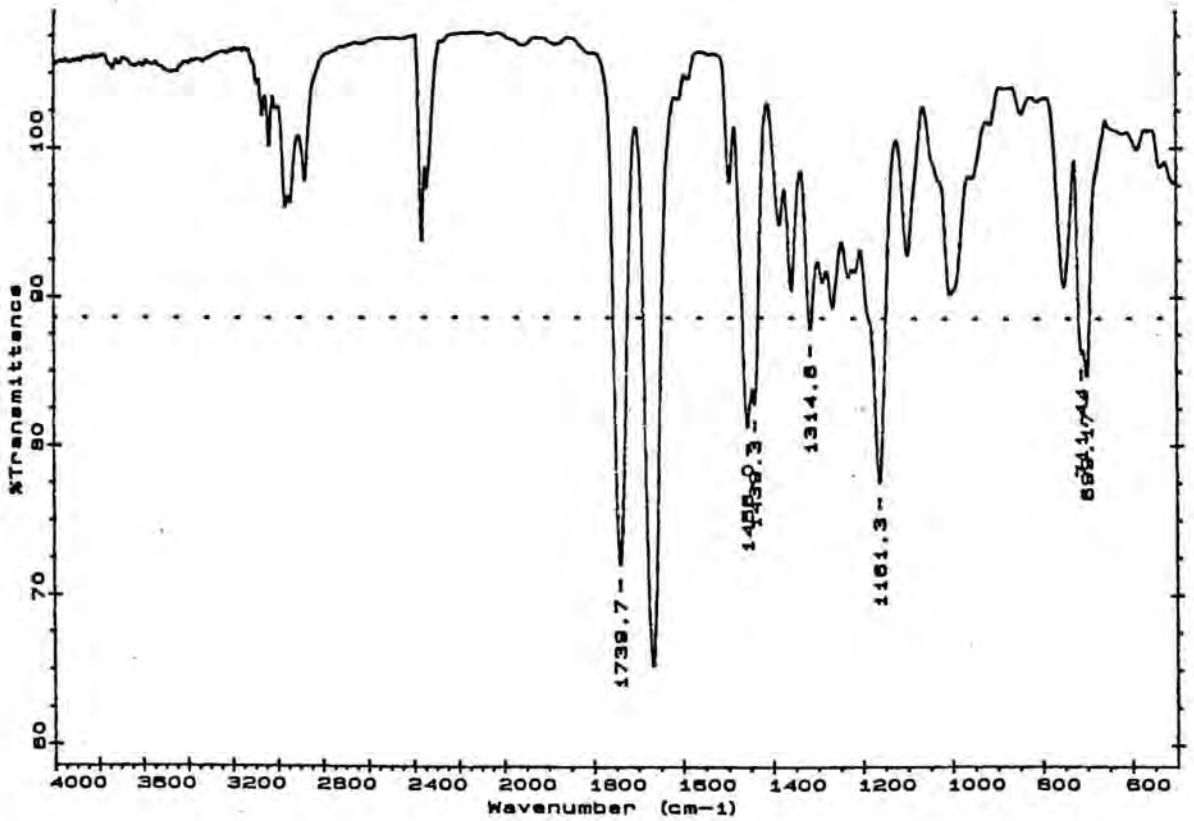


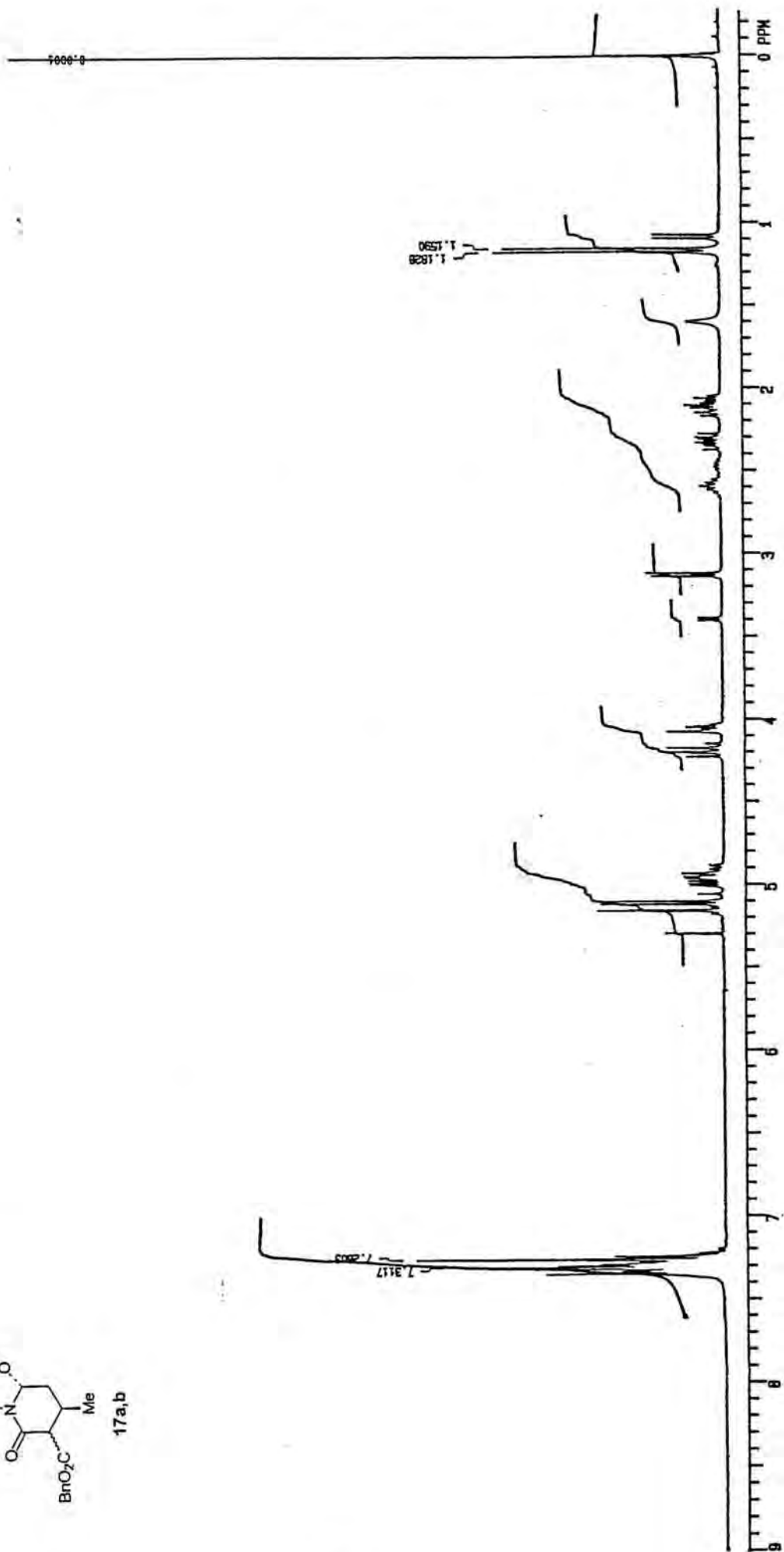
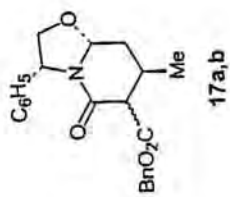
3-(Benziloxycarbonil)-1-[(1*R*)-1-fenil-2-hidroxietil]-1*H*-2-piridona (15)



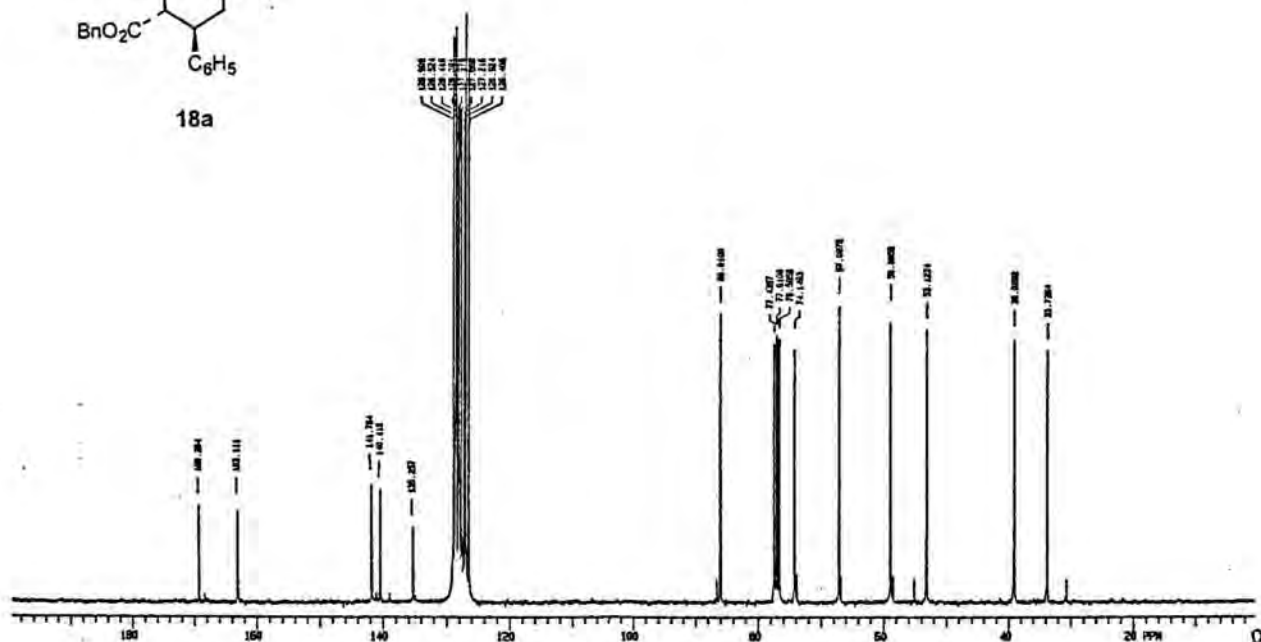
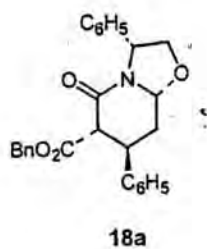


(3*R*,7*R*,8*aR*)-6-(Benziloxicarbonil)-3-fenil-7-metil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo
 [3,2-*a*]piridina (17a,b)

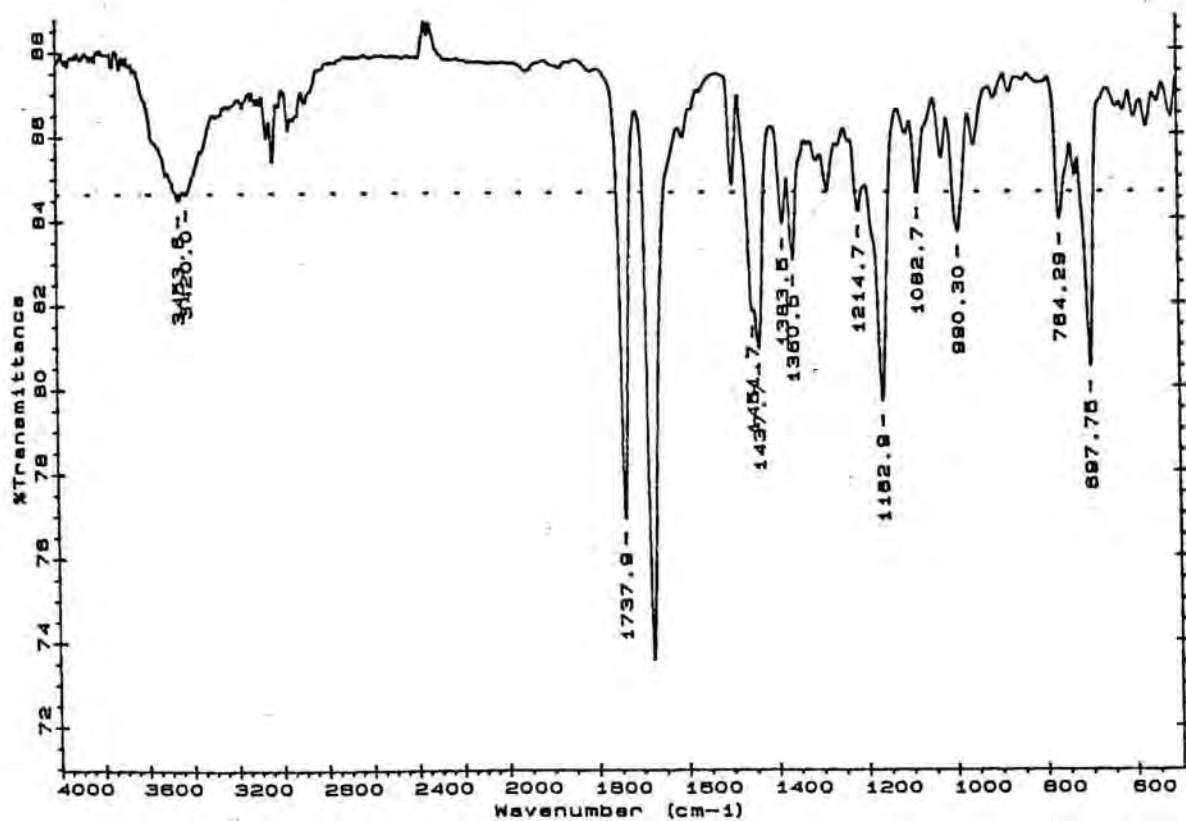


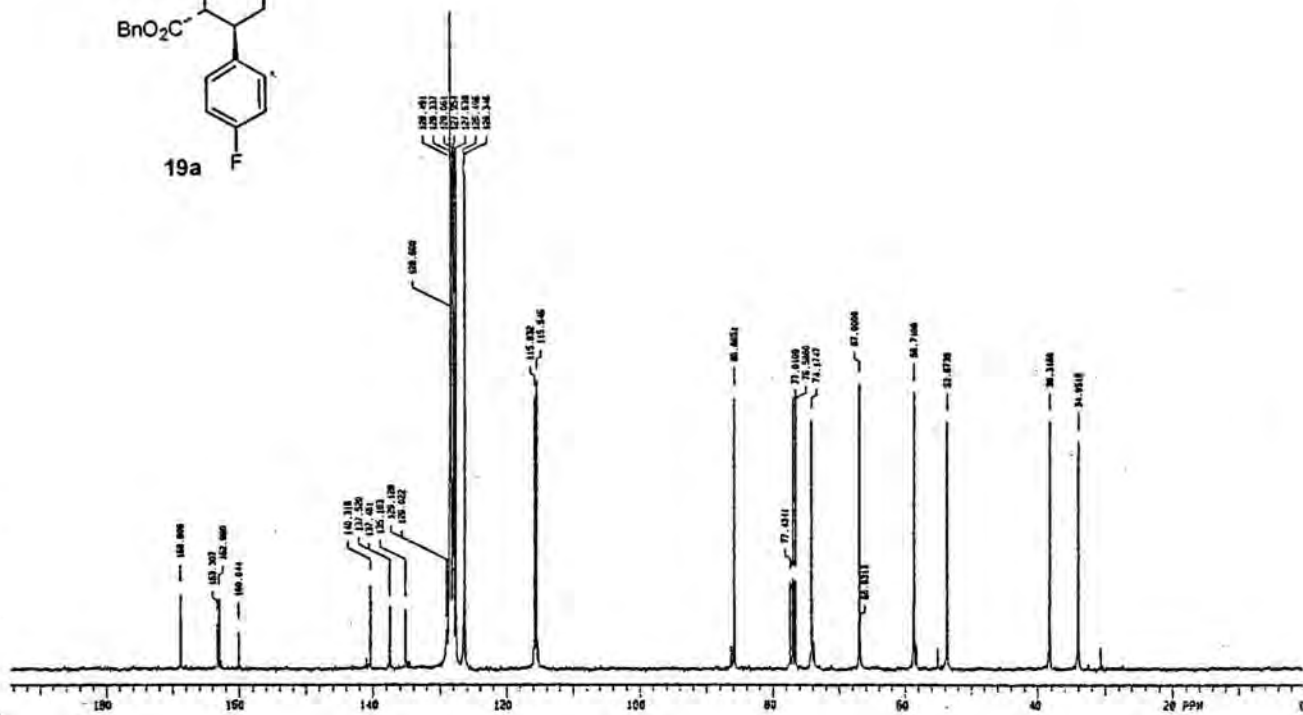
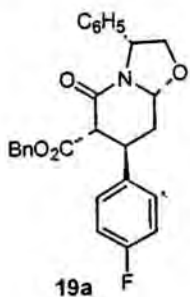


(3*R*,7*R*,8*aR*)-6-(Benziloxycarbonil)-3-fenil-7-metil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (17a,b)



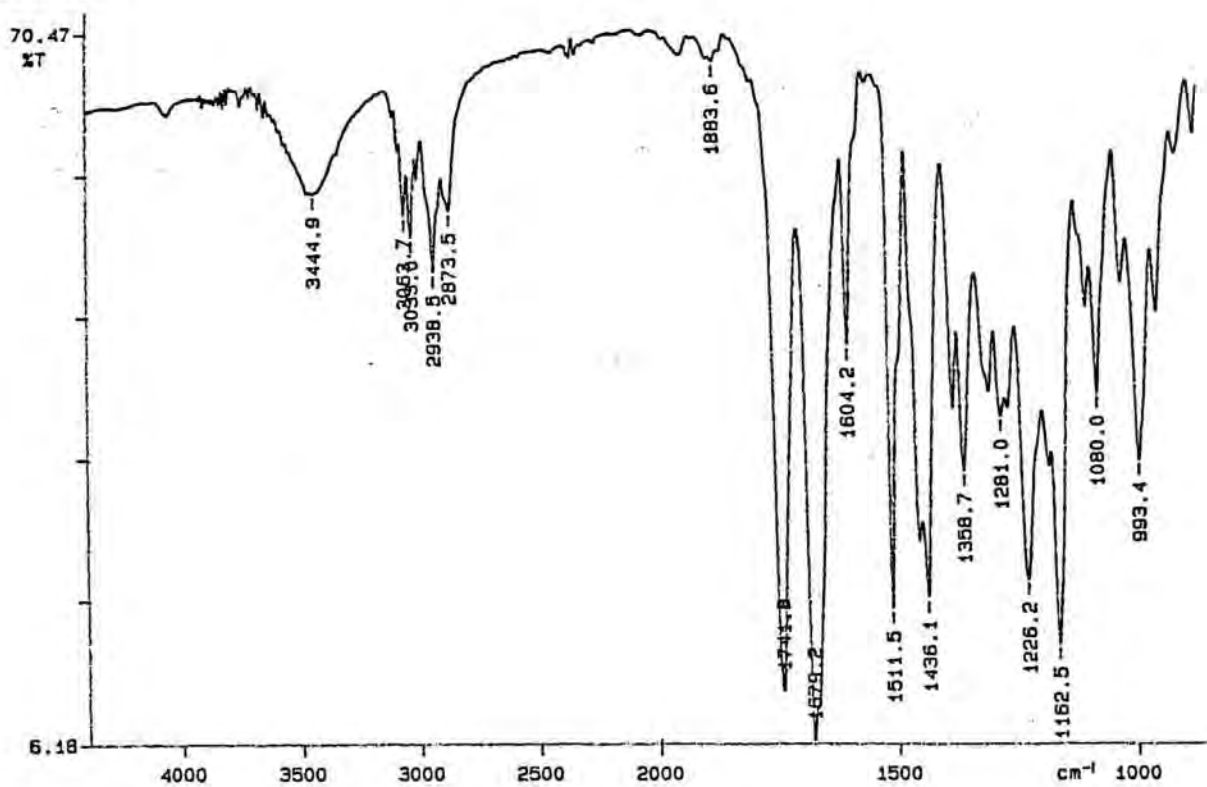
(3*R*,6*S*,7*R*,8*aR*)-6-(Benzoyloxycarbonyl)-3,7-difenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo
[3,2-*a*]piridina (18a)

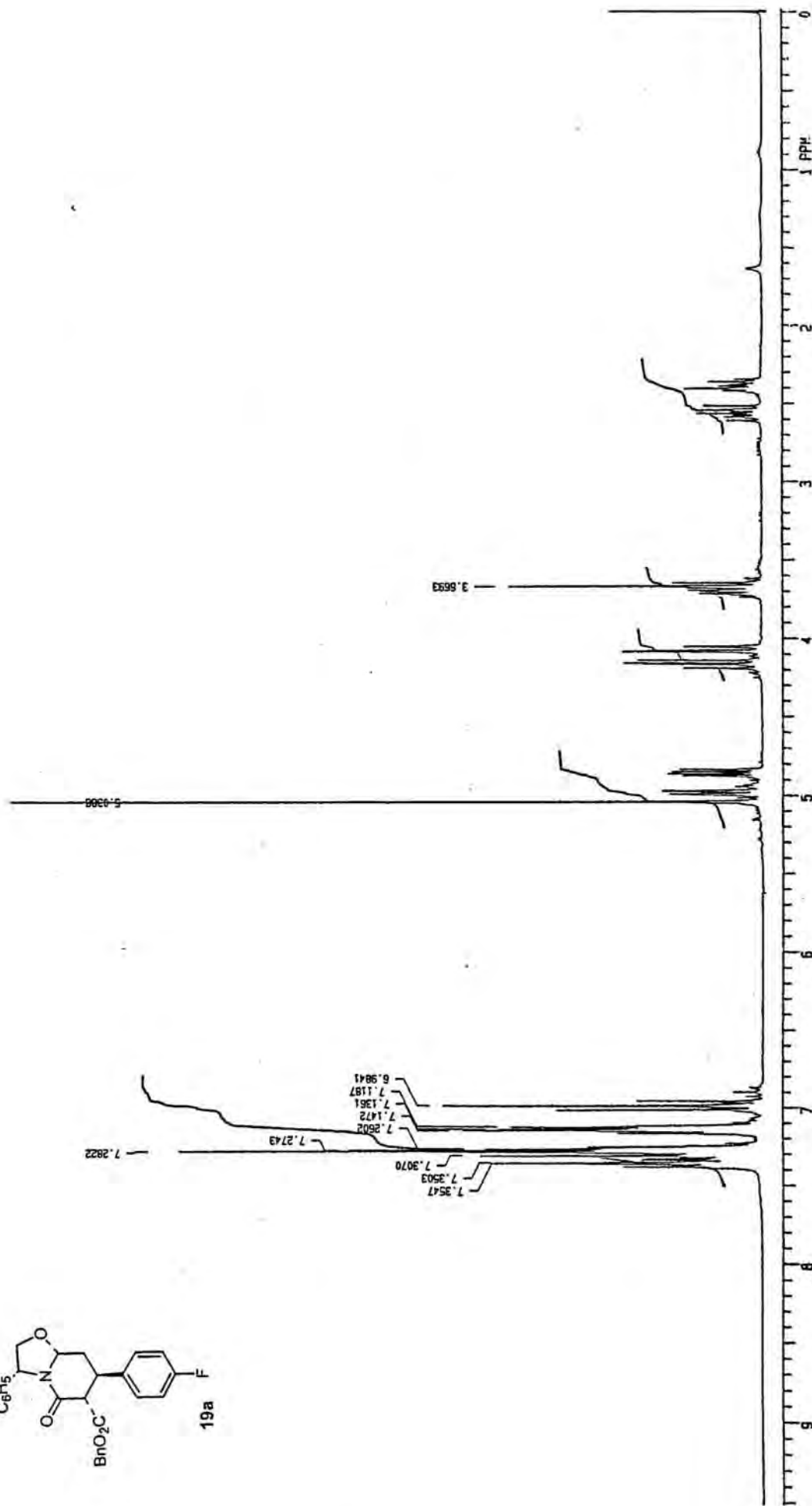
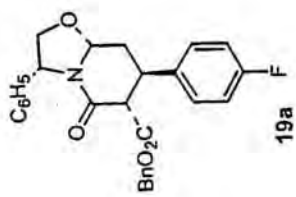




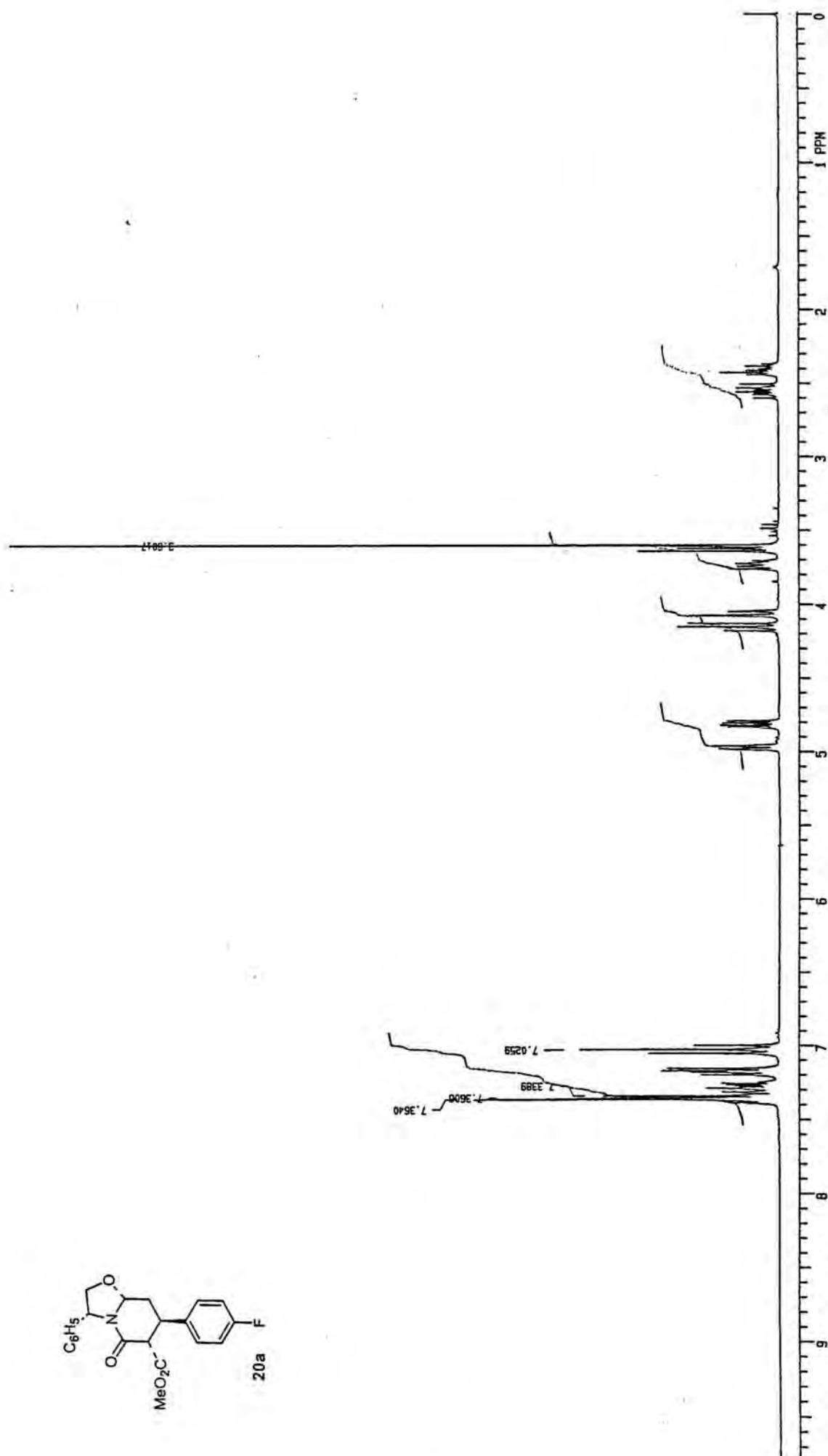
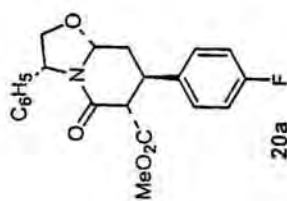
(3*R*,6*S*,7*R*,8*aR*)-6-(Benzoyloxycarbonyl)-3-phenyl-7-(*p*-fluorophenyl)-5-oxo-2,3,6,7,8,8*a*-hexahydro-5*H*-oxazolo[3,2-*a*]piridina (19a)

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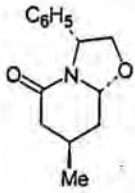




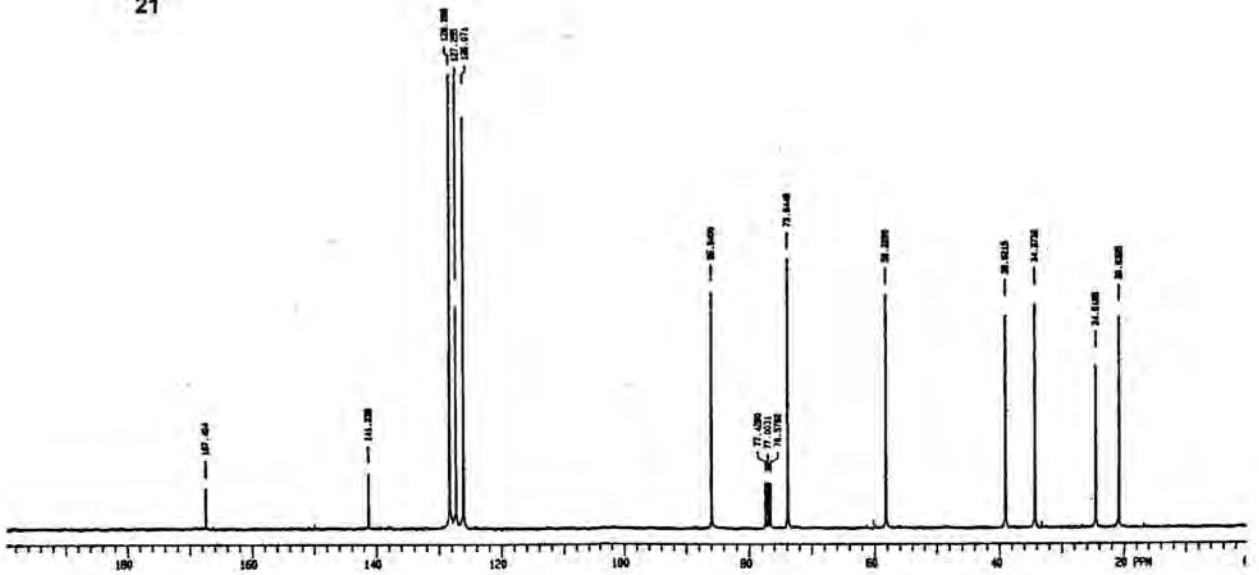
(3R,6S,7R,8aR)-6-(Benzoyloxycarbonyl)-3-fenil-7-(p-fluorofenil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (19a)



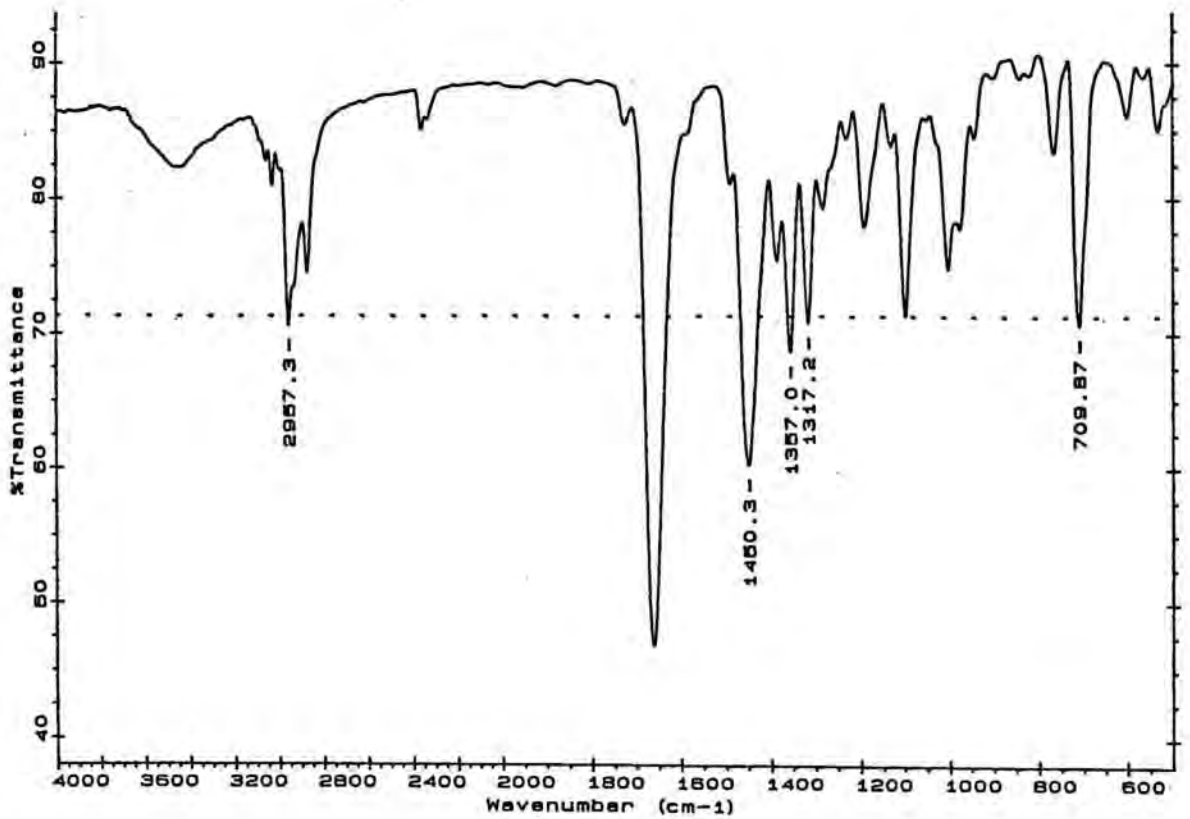
(3*R*,6*S*,7*R*,8*aR*)-3-Fenil-7-(*p*-fluorofenil)-6-(metoxicarbonil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (20a)

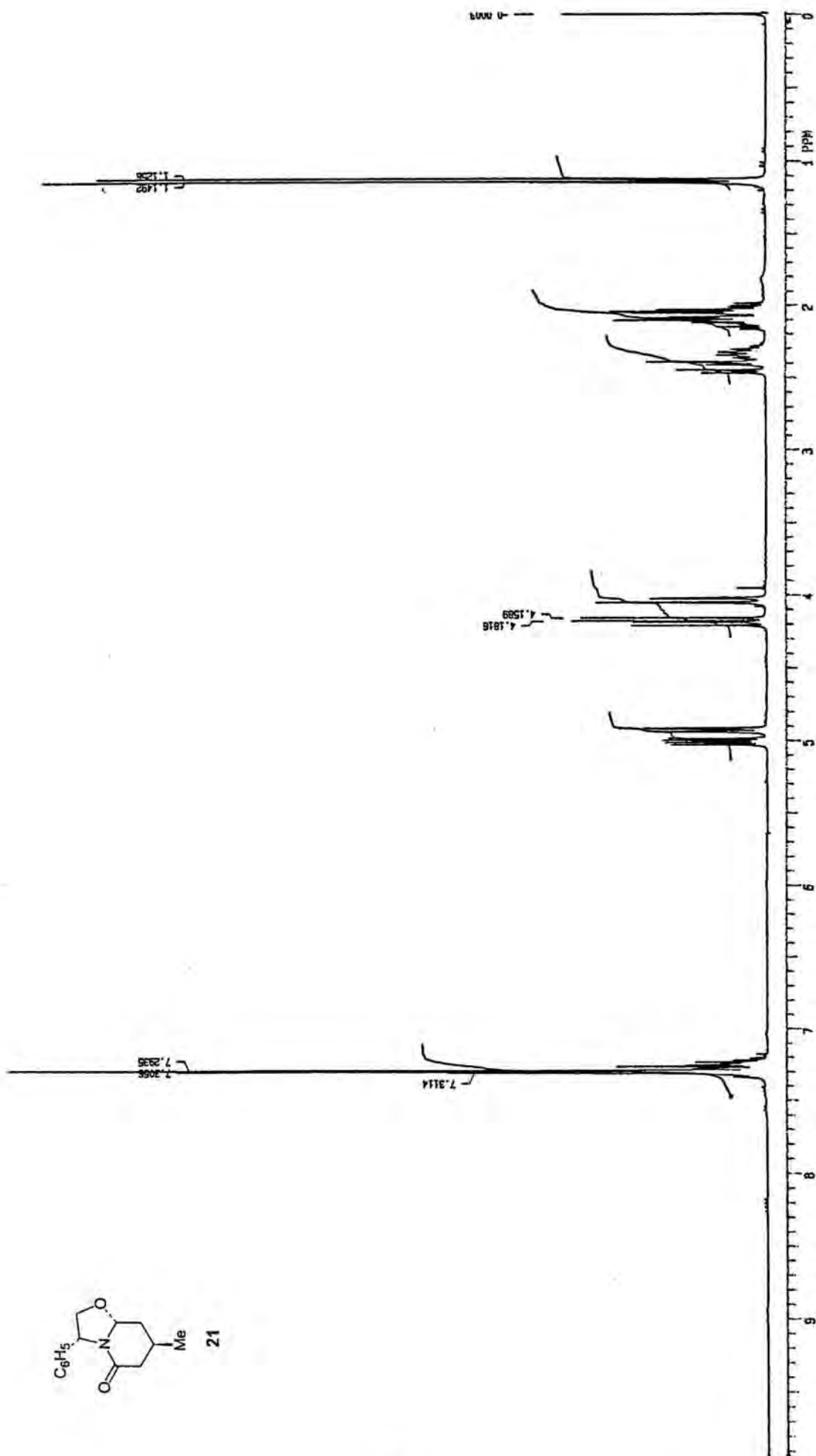
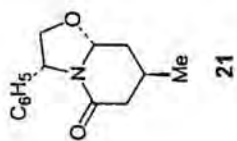


21

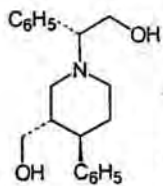


(3R,7R,8aR)-3-Fenil-7-metil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (21)

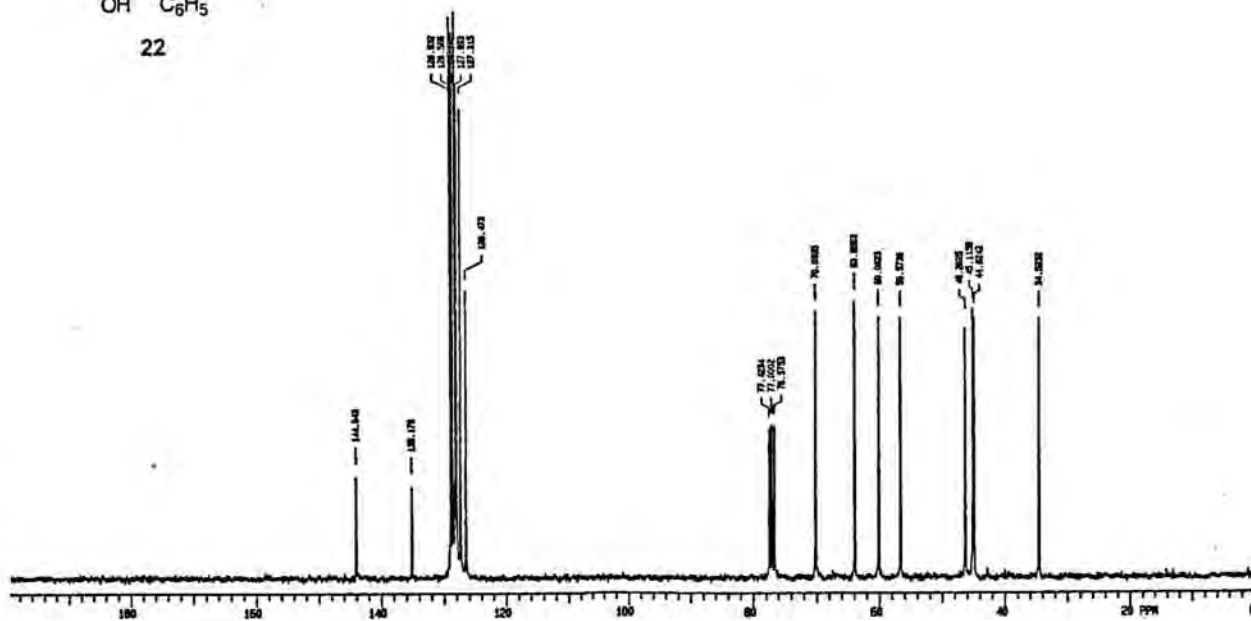




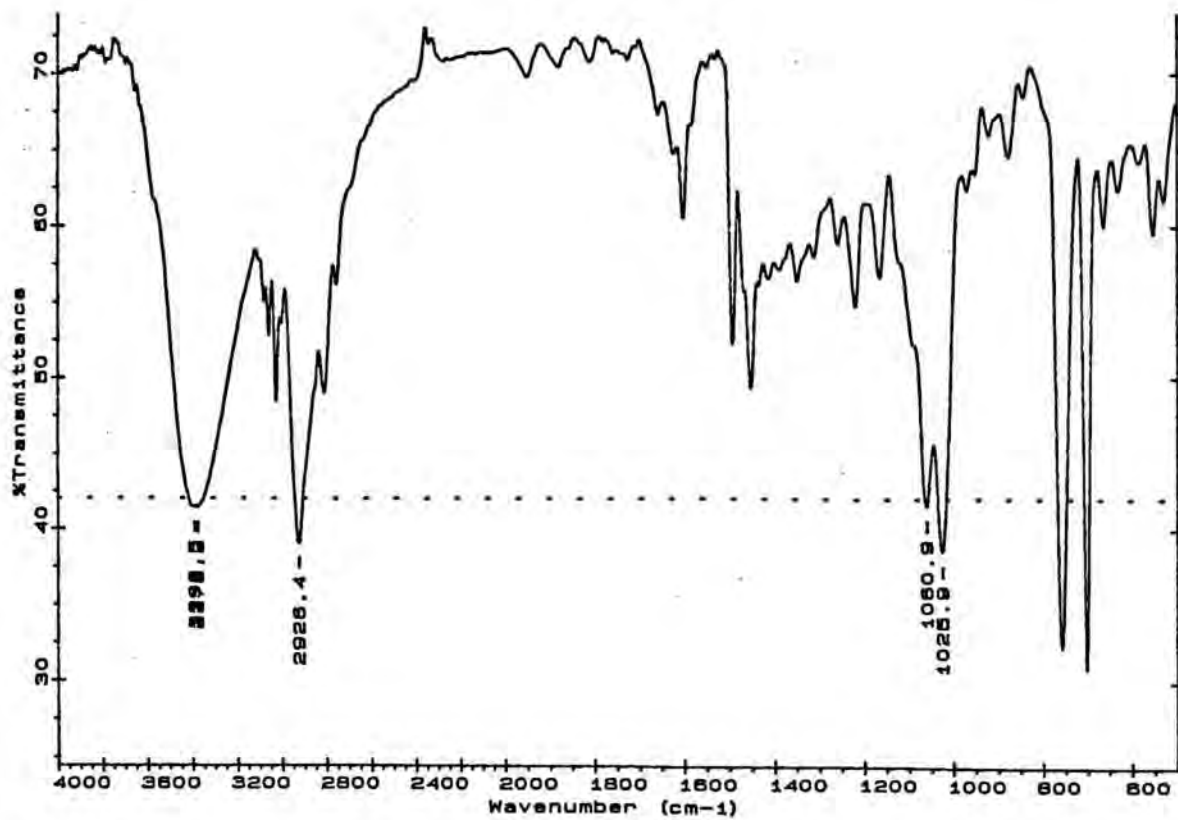
(3*R*,7*R*,8*aR*)-3-Phenyl-7-methyl-5-oxo-2,3,6,7,8,8*a*-hexahydro-5*H*-oxazolo[3,2-*a*]pyridina (**21**)

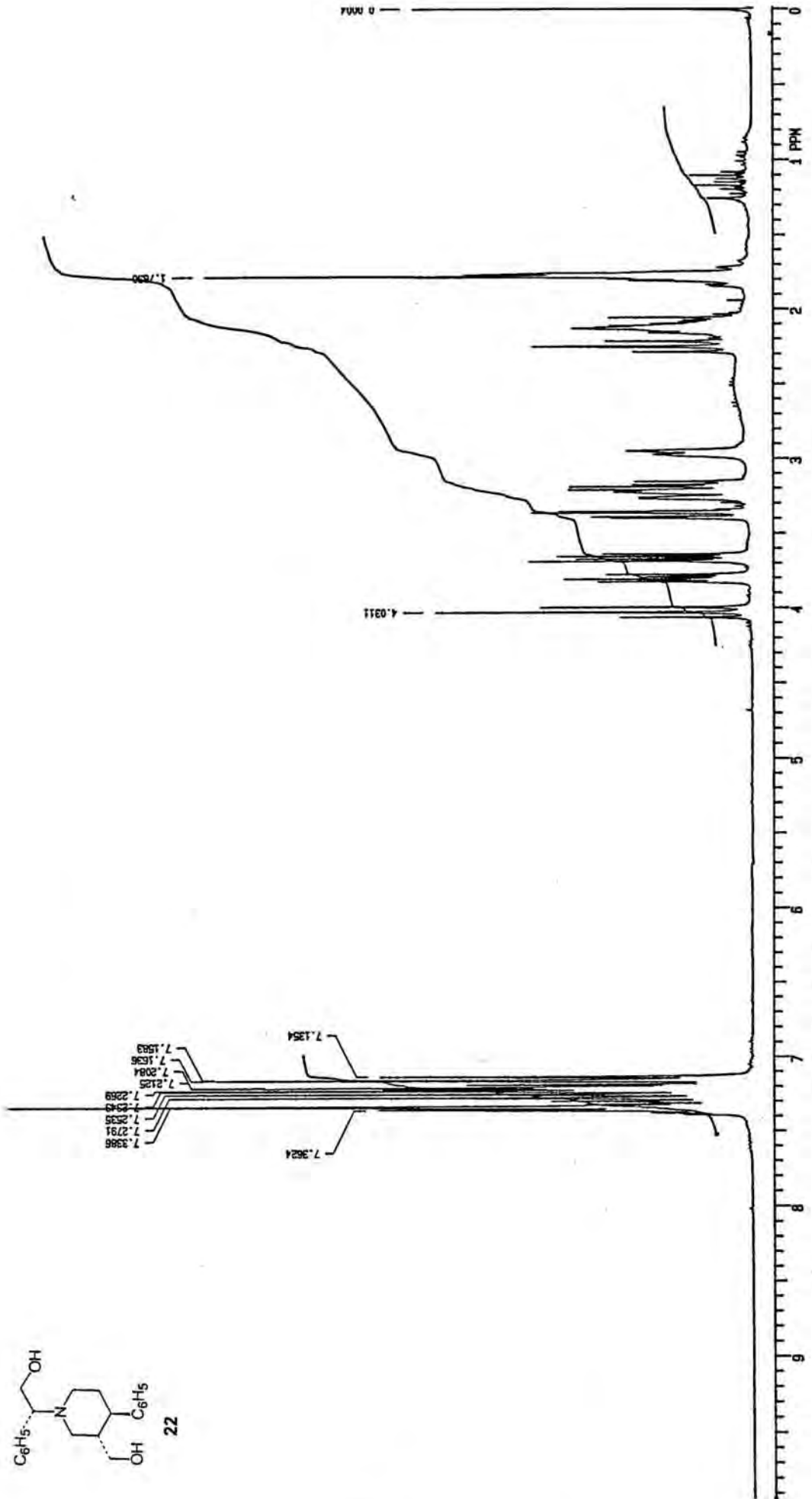
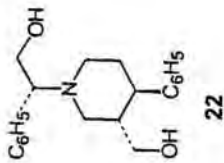


22

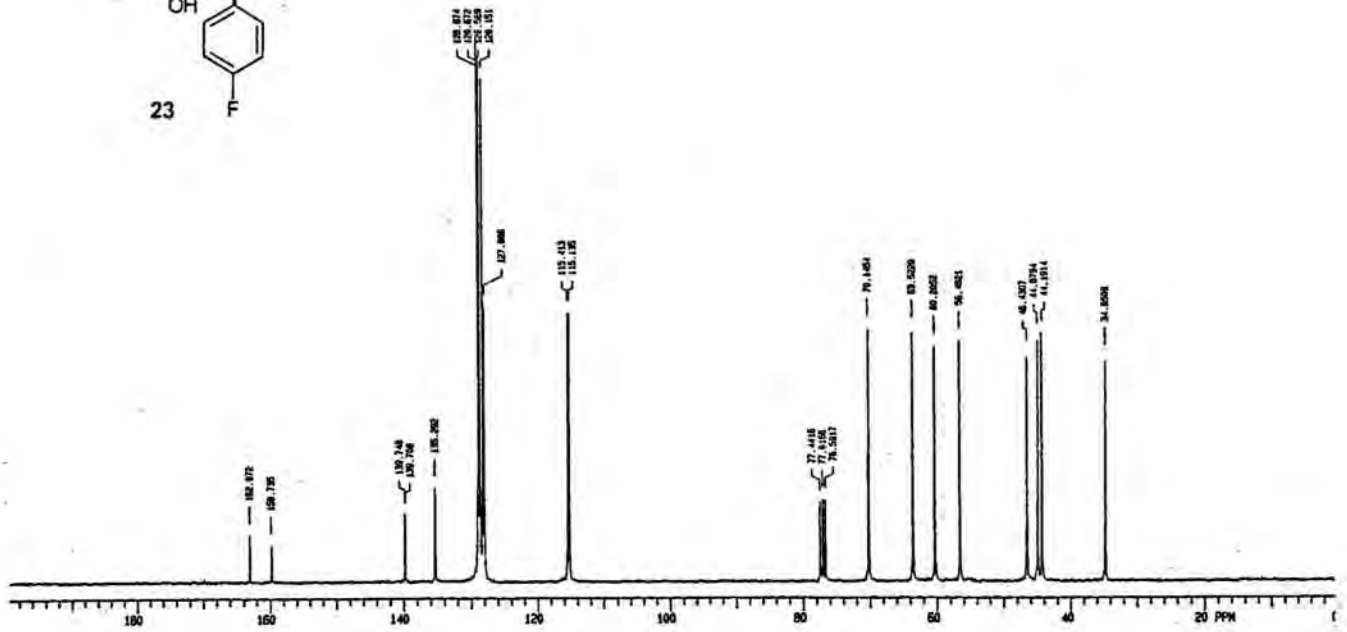
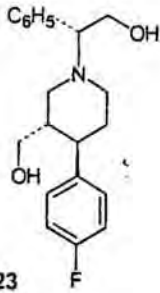


(3*S*,4*R*)-4-Fenil-1-[(1*R*)-1-fenil-2-hidroxietil]-3-piperidinametanol (22)



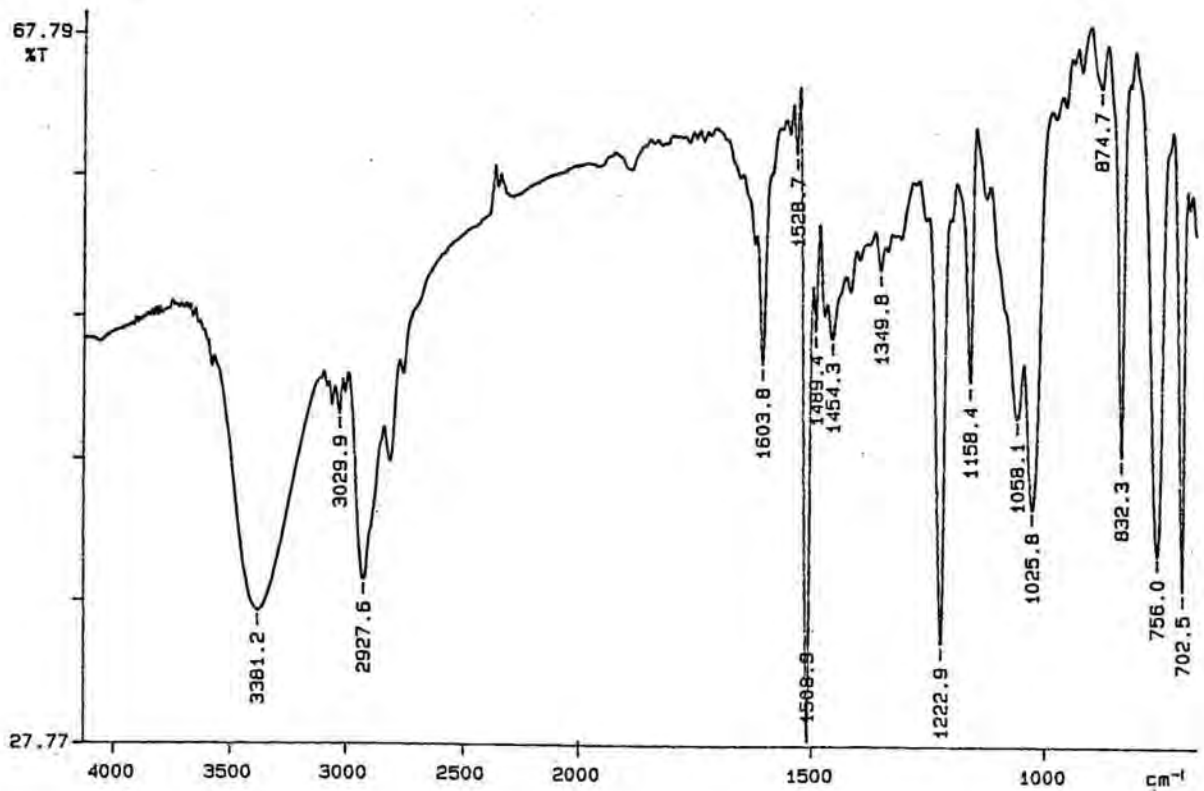


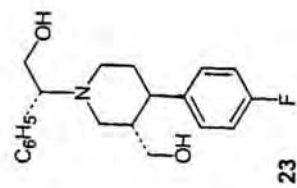
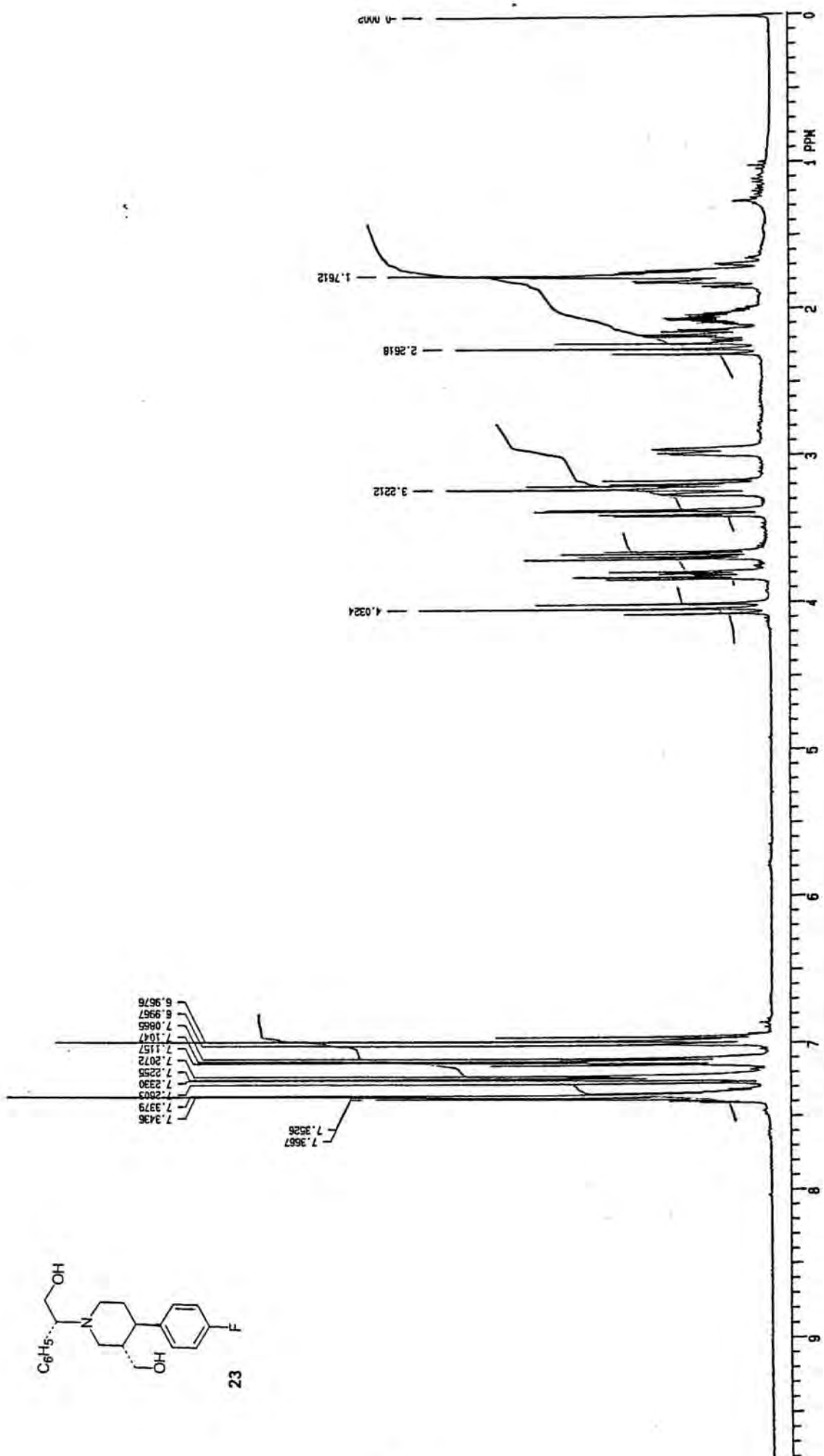
(3S,4R)-4-Fenil-1-[(1R)-1-fenil-2-hidroxietil]-3-piperidinametanol (**22**)



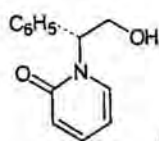
(3*S*,4*R*)-1-[(1*R*)-1-*Fenil*-2-*hidroxi*etil]-4-(*p*-*fluorofenil*)-3-piperidinametanol (23)

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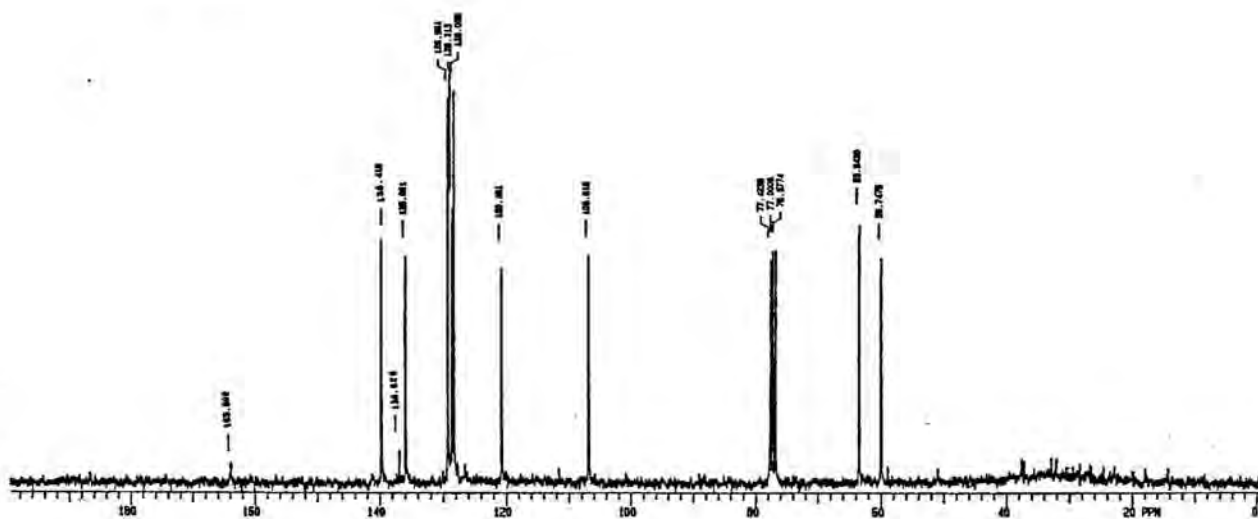




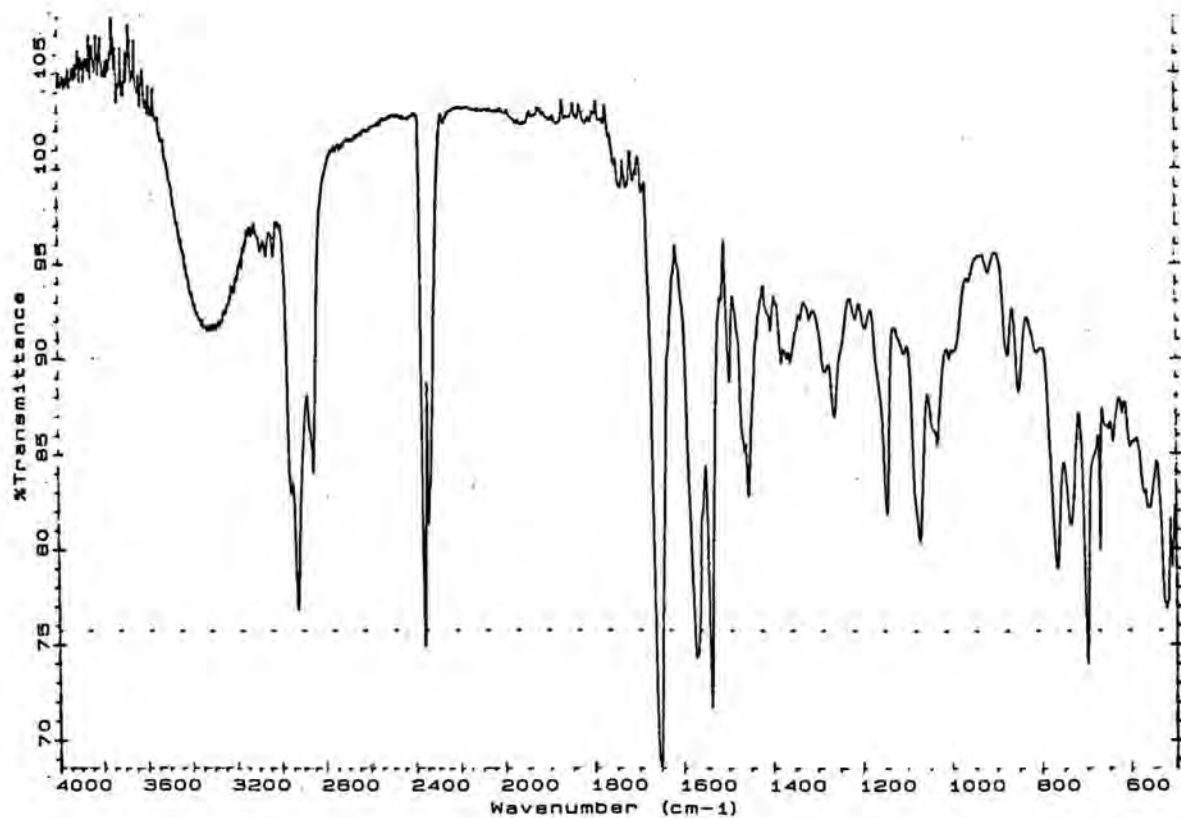
(3*S*,4*R*)-1-[(1*R*)-1-*Fenil*-2-*hidroxiletil*]-4-(*p*-*fluorofenil*)-3-piperidinametanol (**23**)

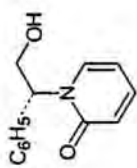


25

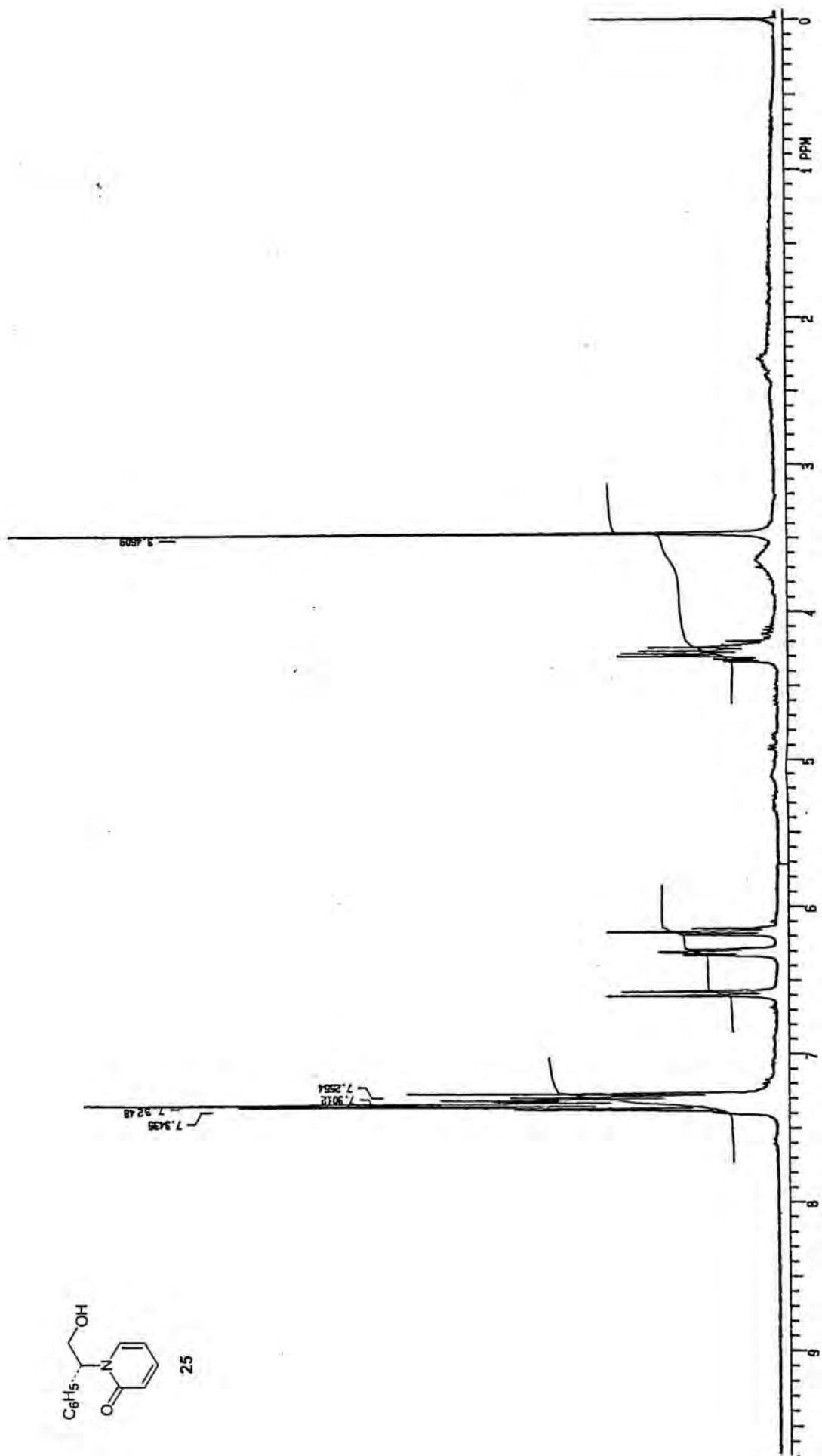


1-[(1*R*)-1-Fenil-2-hidroxietil]-1*H*-2-piridona (25)

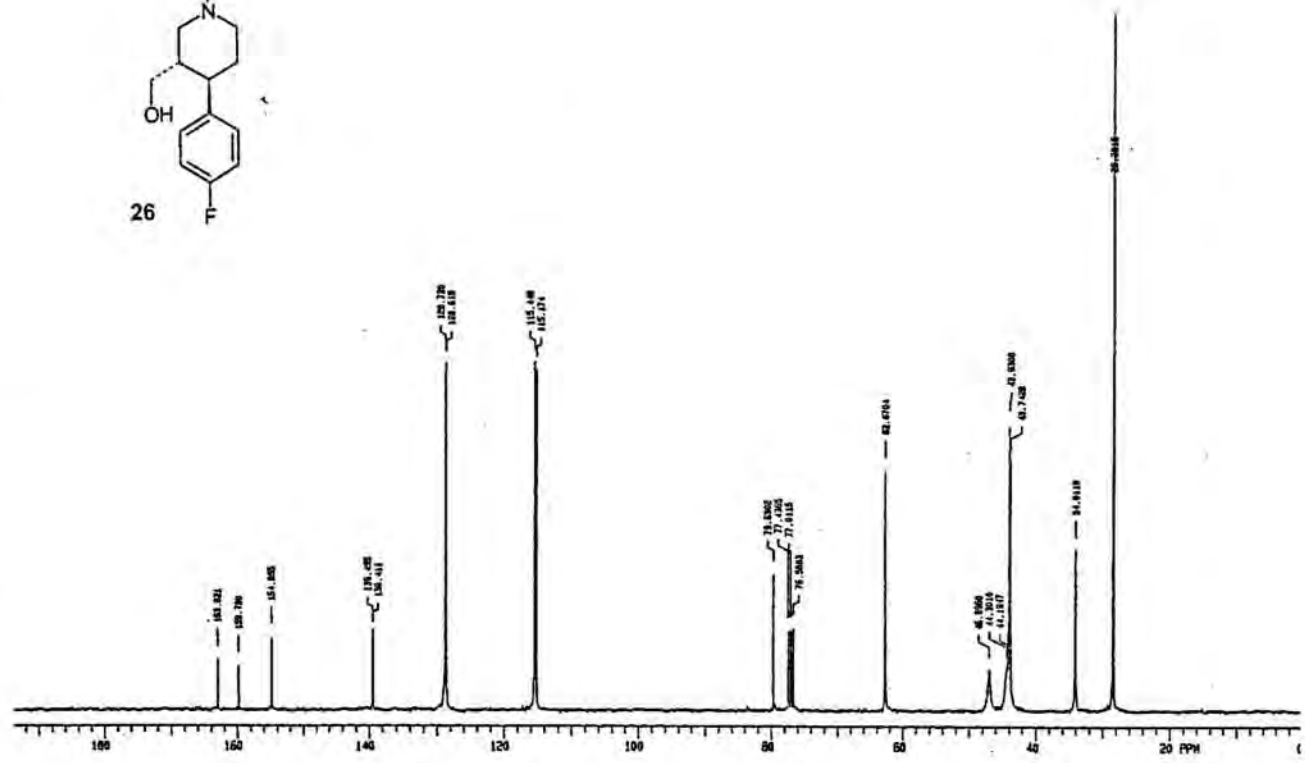
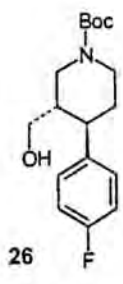




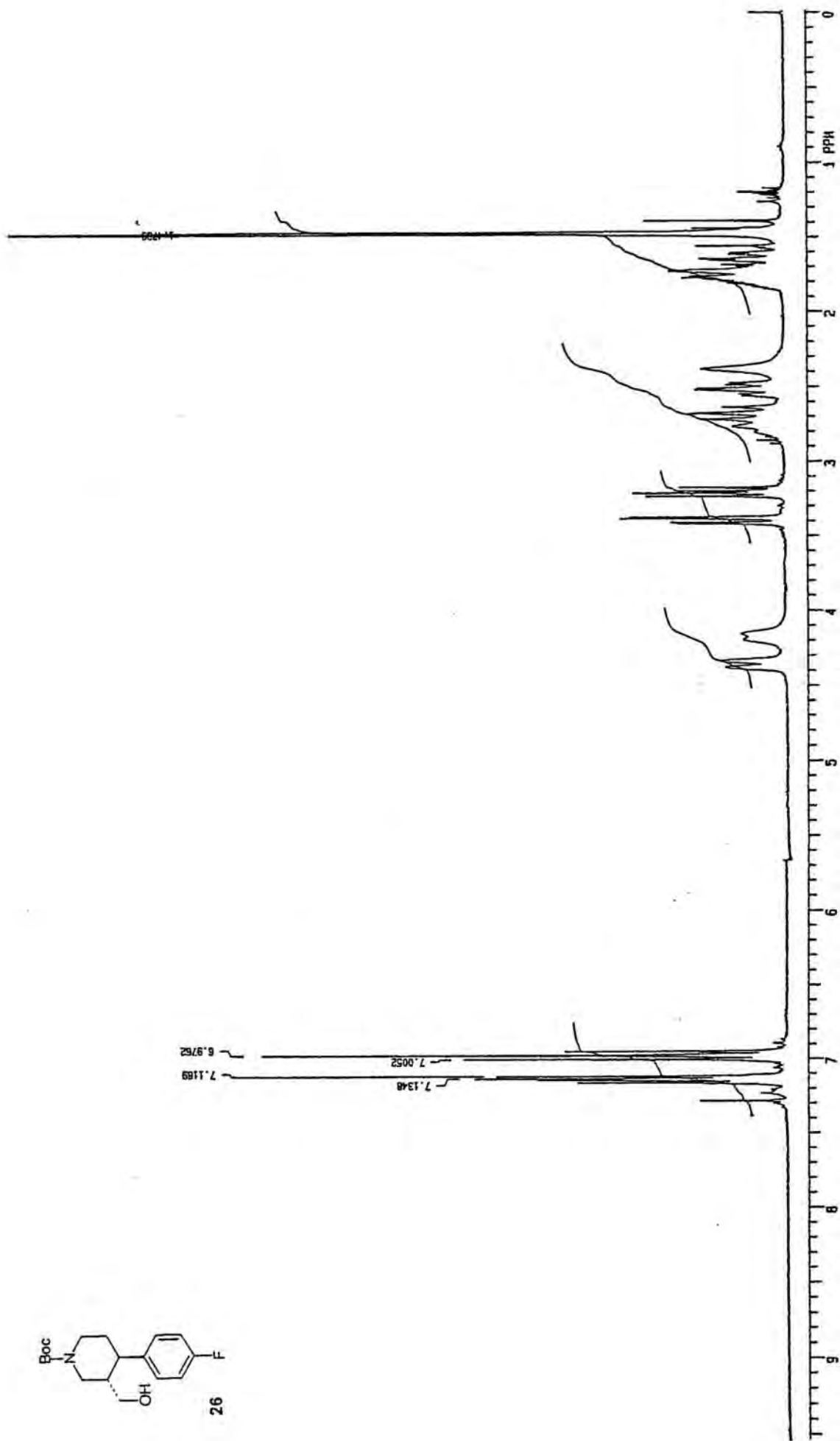
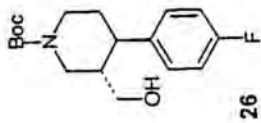
25



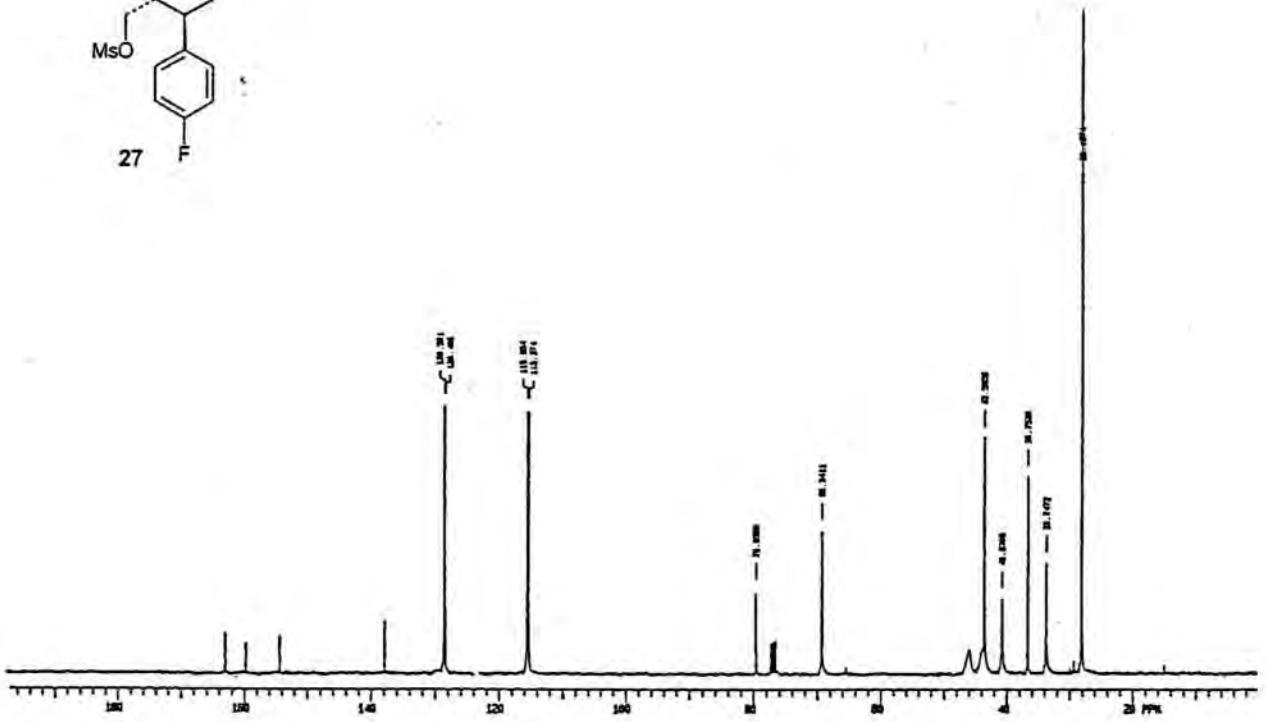
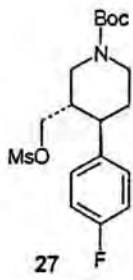
1-[(1R)-1-Fenil-2-hidroxietil]-1H-2-piridona (25)



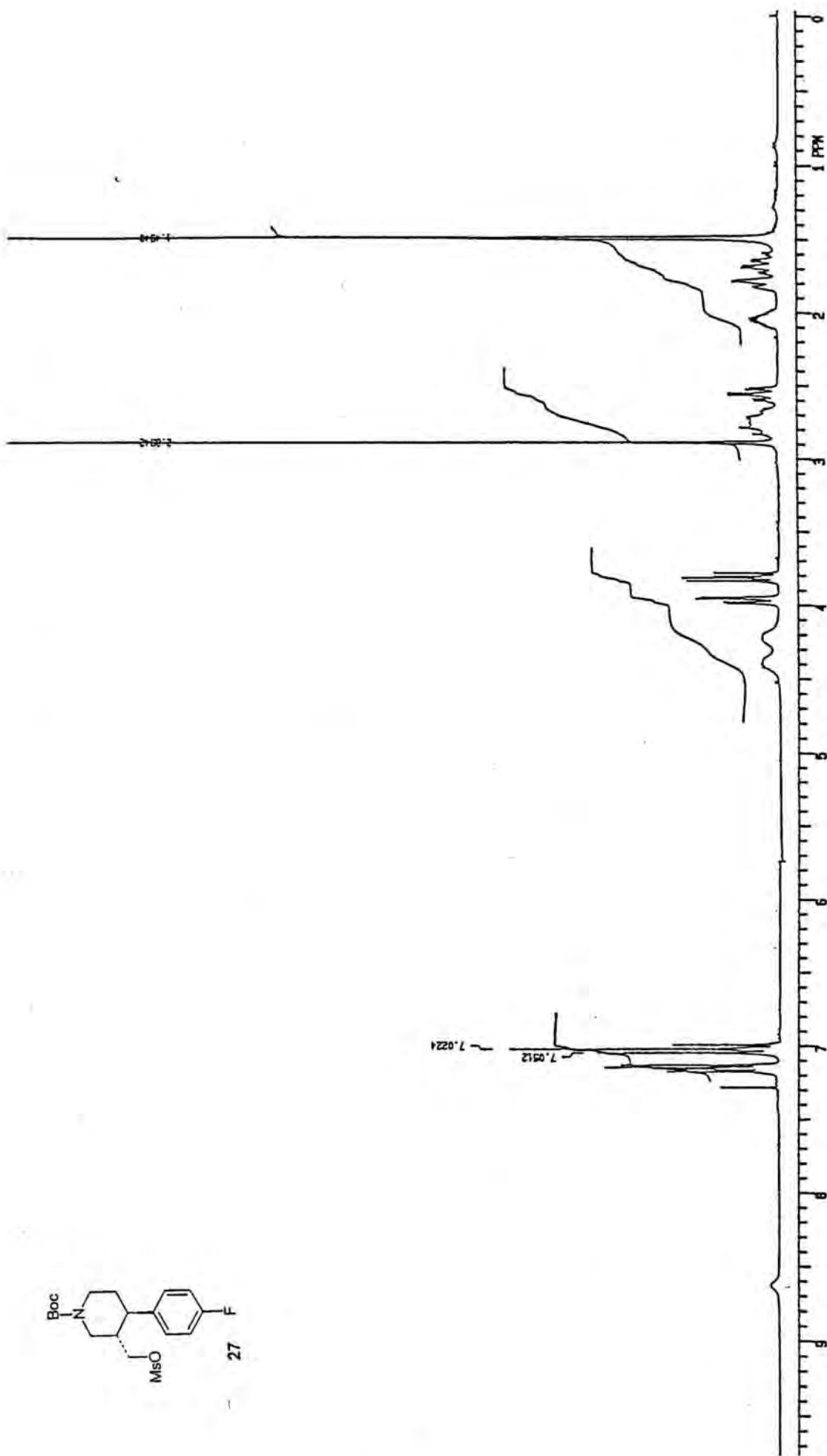
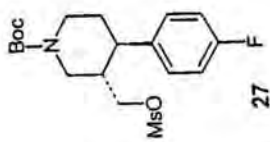
(3S,4R)-N-(tert-Butoxycarbonyl)-4-(p-fluorophenyl)-3-piperidinamethanol (26)



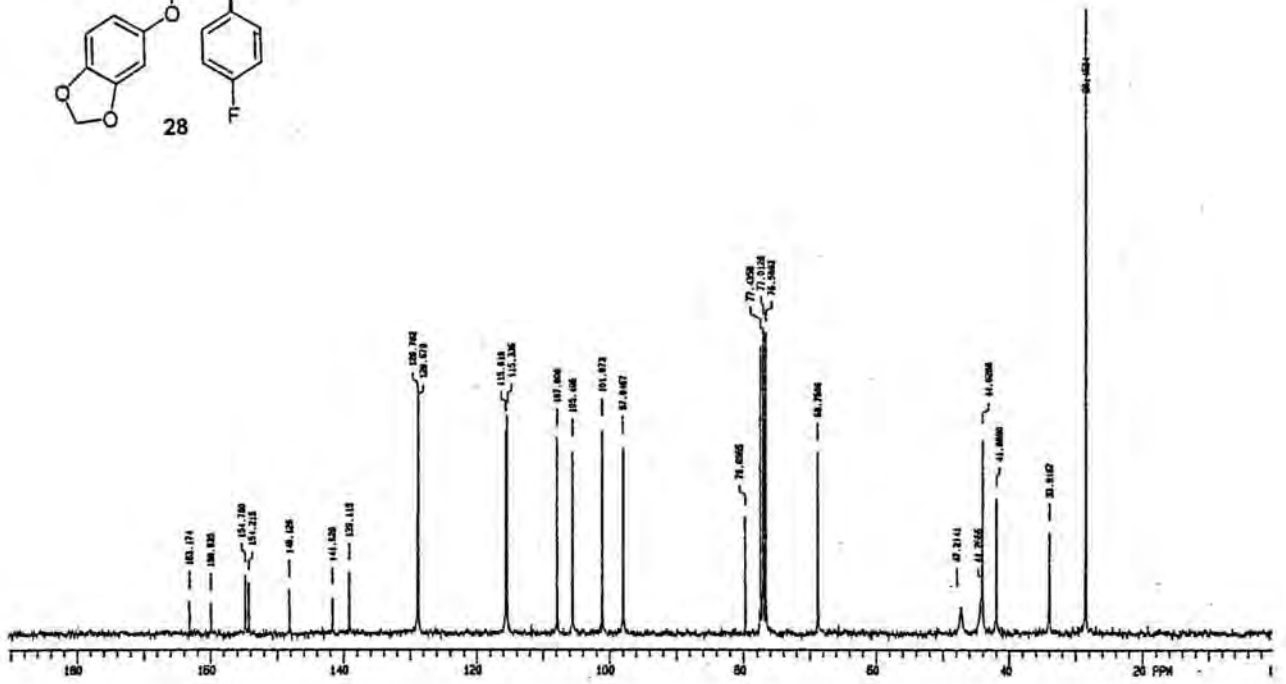
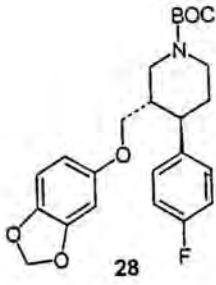
(3S,4R)-N-(tert-Butoxycarbonyl)-4-(p-fluorophenyl)-3-piperidinametanol (26)



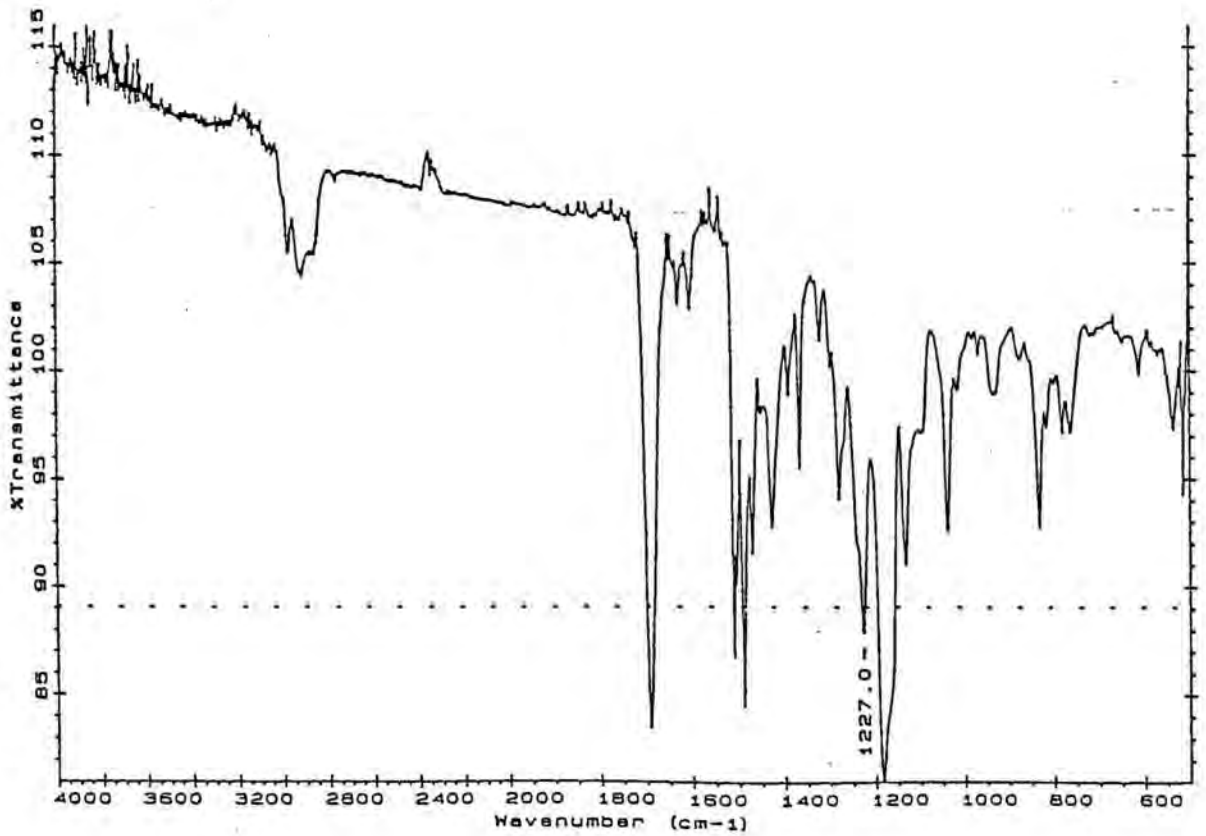
Metansulfonat de (3*S*,4*R*)-*N*-(*tert*-butoxicarbonil)-4-(*p*-fluorofenil)-3-piperidinametanol (27)

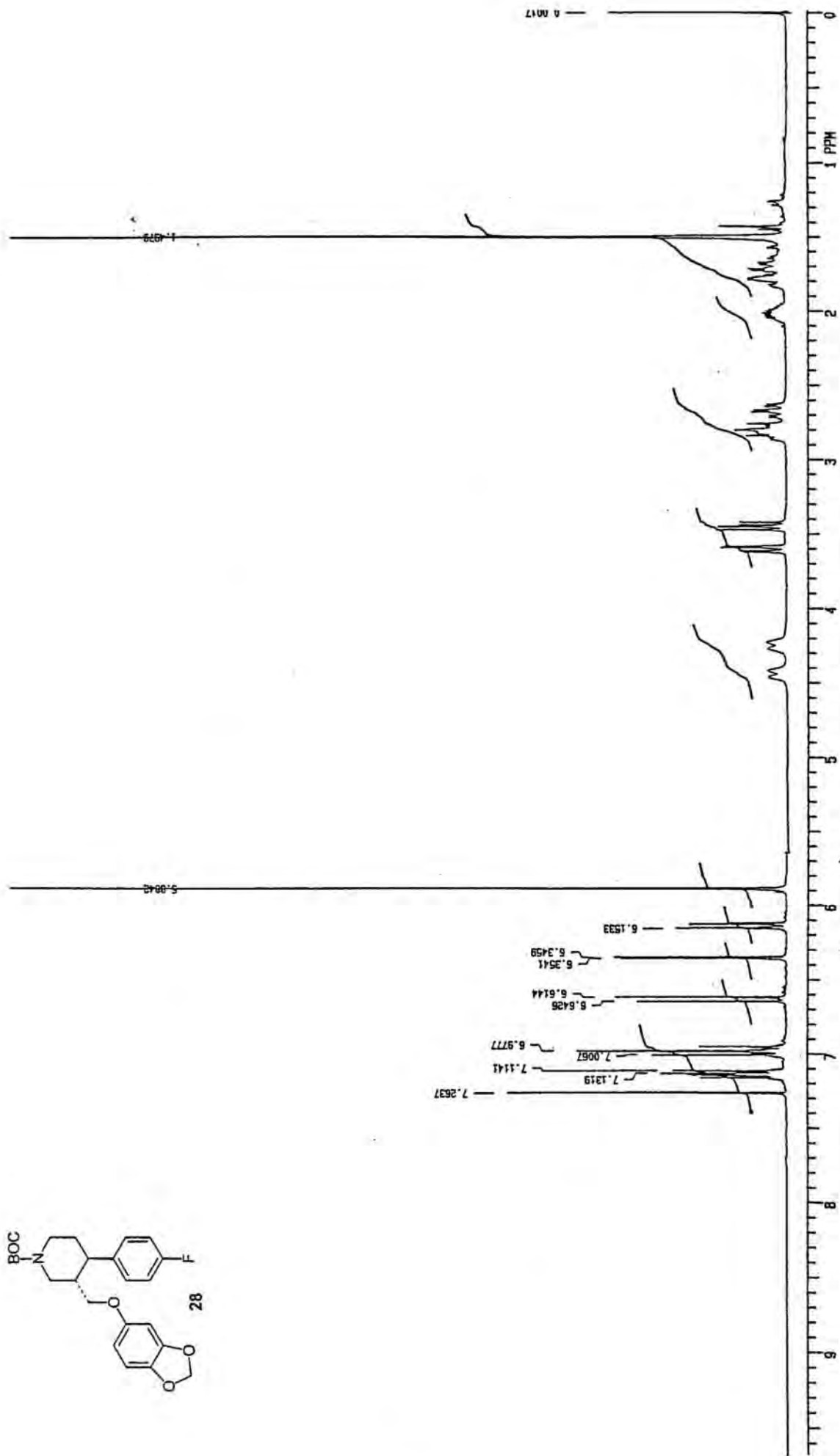
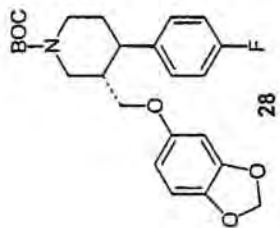


Metansulfonat de (3S,4R)-N-(*tert*-butoxicarbonil)-4-(*p*-fluorofenil)-3-piperidinametanol (27)

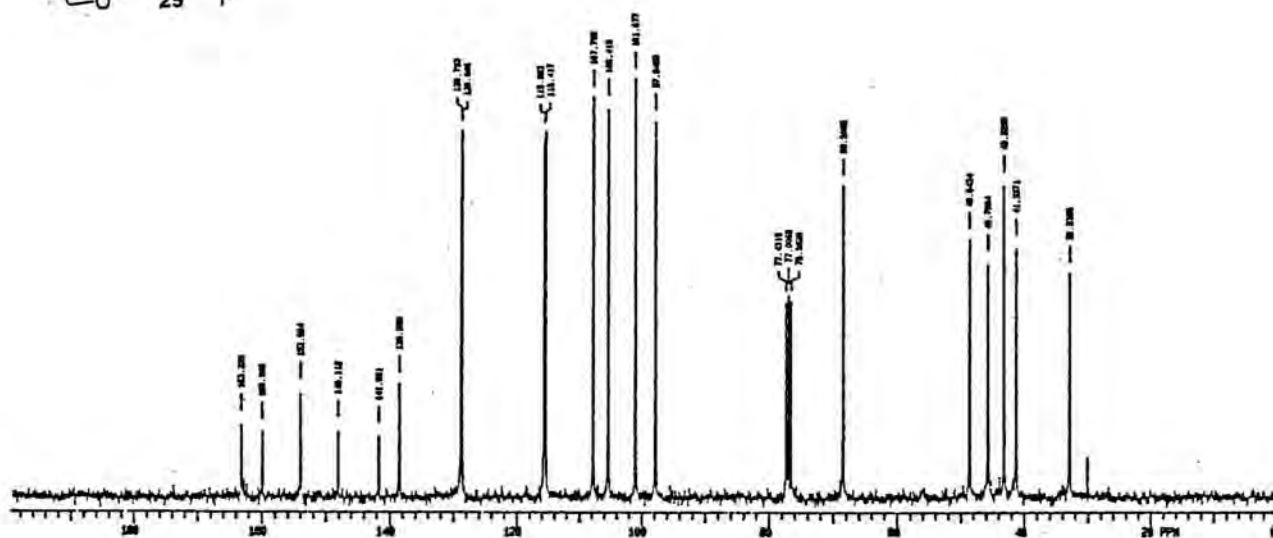
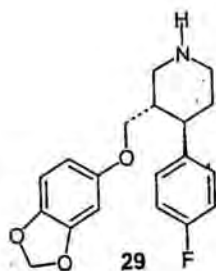


(3S,4R)-N-(*tert*-Butoxycarbonyl)-4-(*p*-fluorofenil)-3-(3,4-metilendioxifeniloxi)metilpiperidina (28)

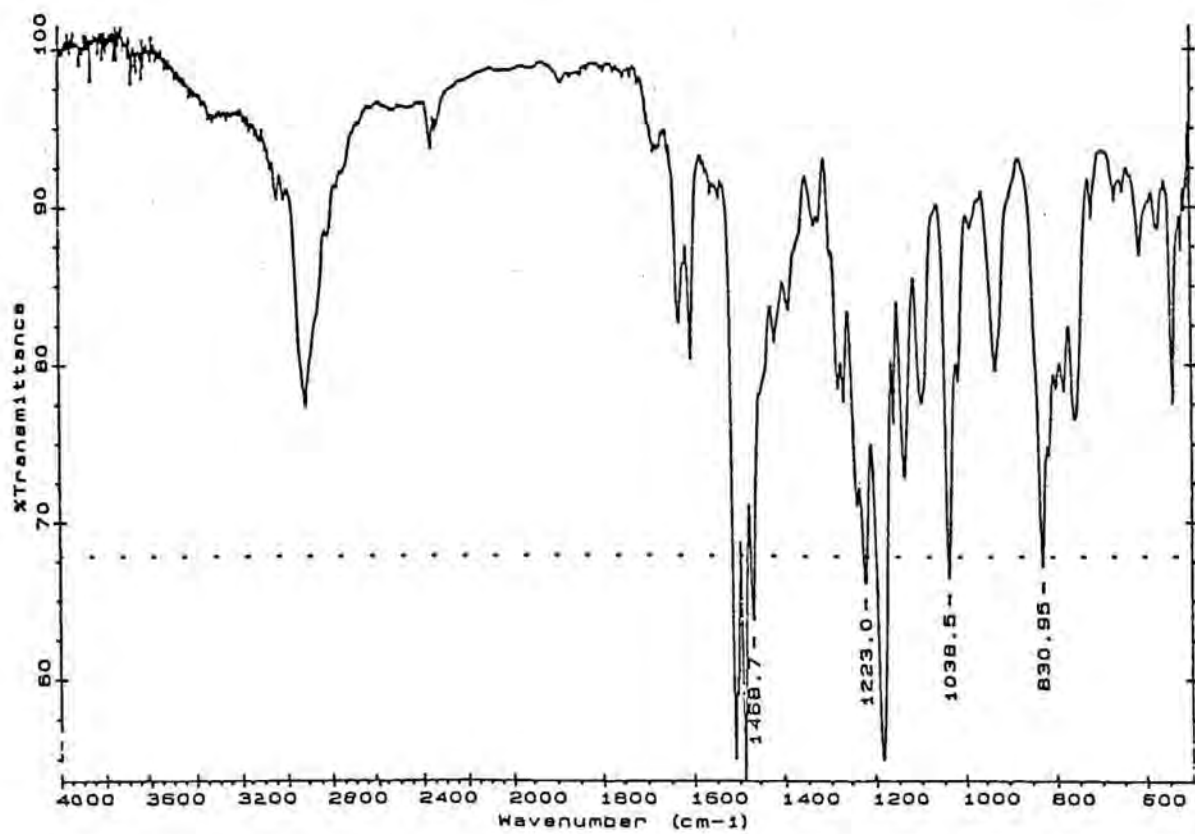


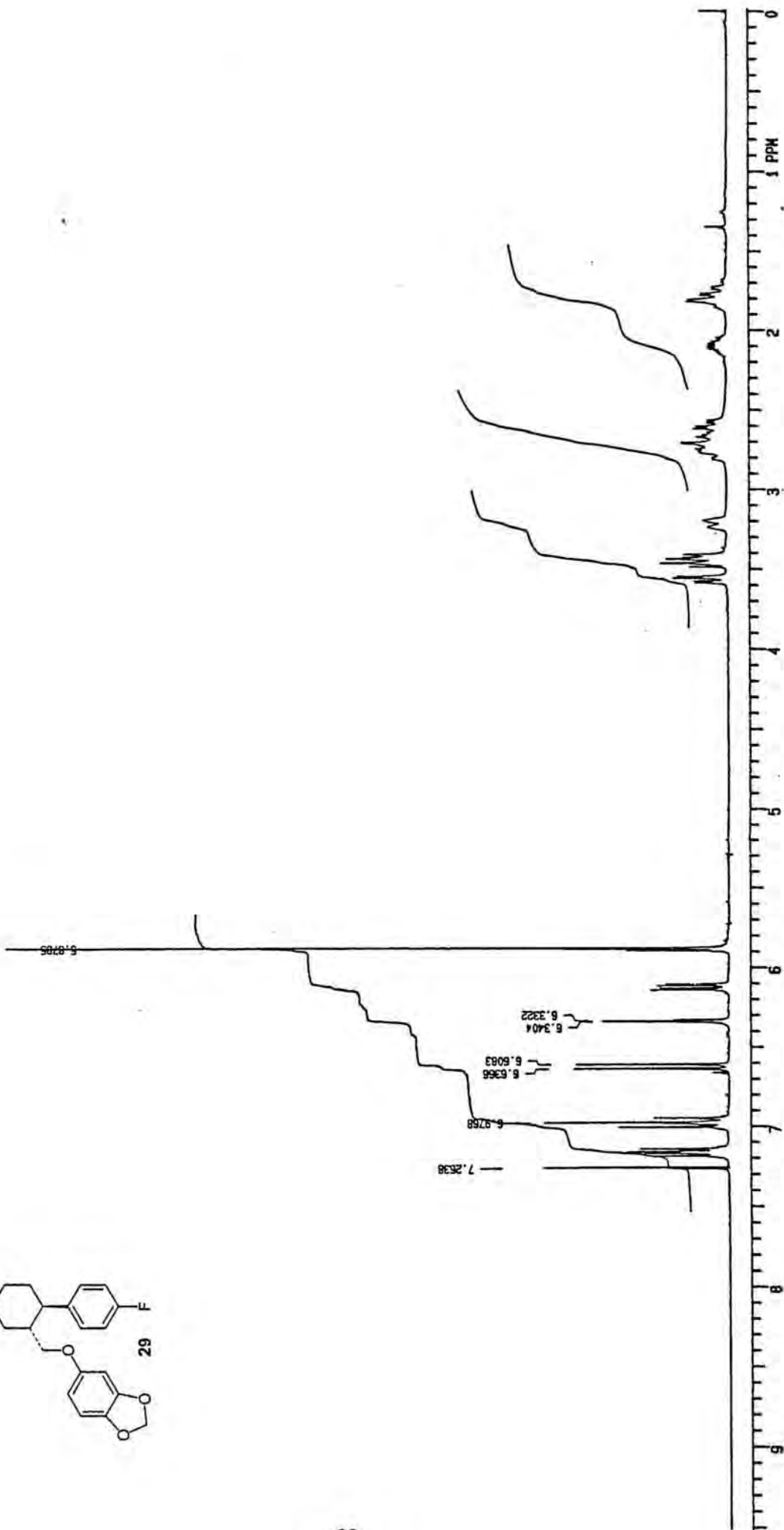
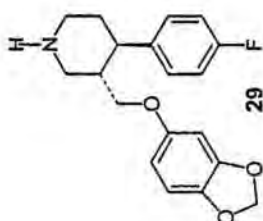


(3S,4R)-N-(tert-Butoxycarbonyl)-4-(p-fluorophenyl)-3-(3,4-methylenedioxyphenyl)methylpiperidina (28)

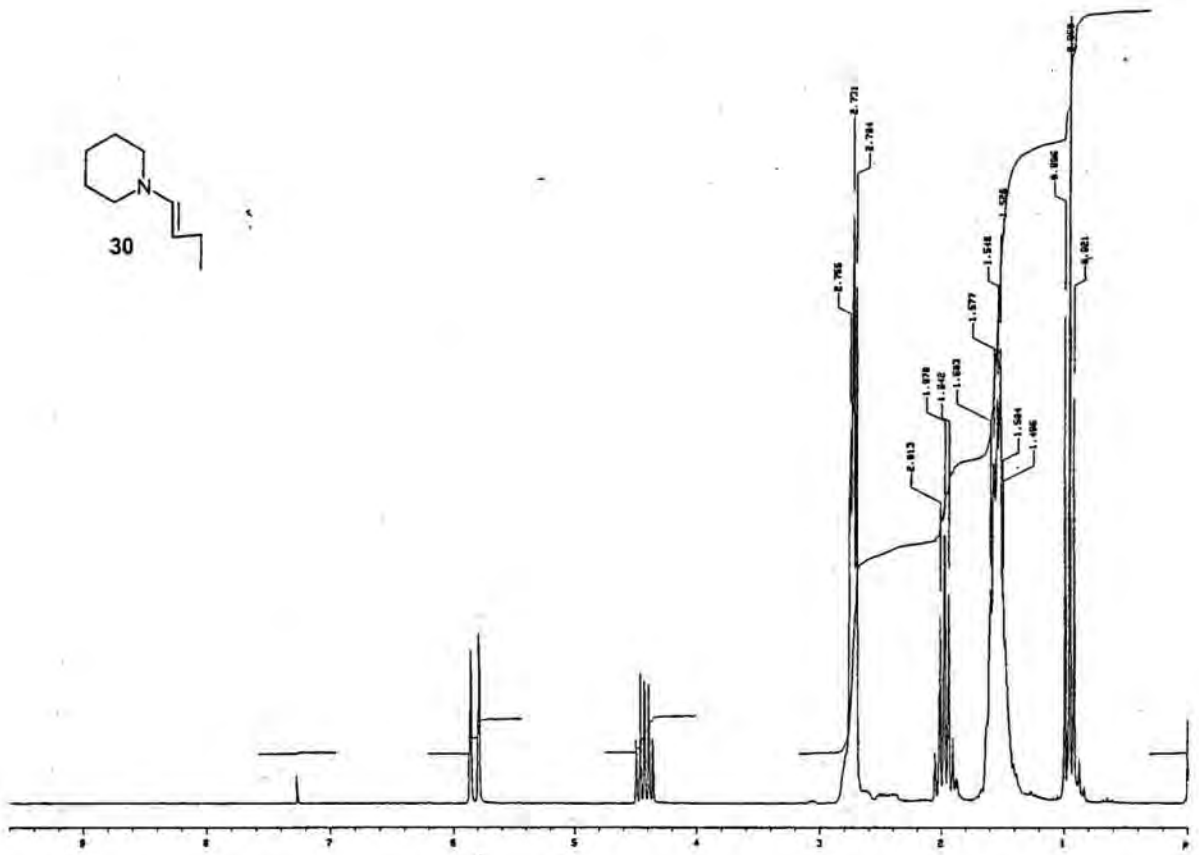
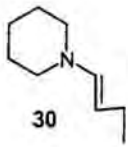


(3S,4R)-4-(p-Fluorofenil)-3-(3,4-metilendioxifeniloxi)metilpiperidina (29, (-)-Paroxetina)

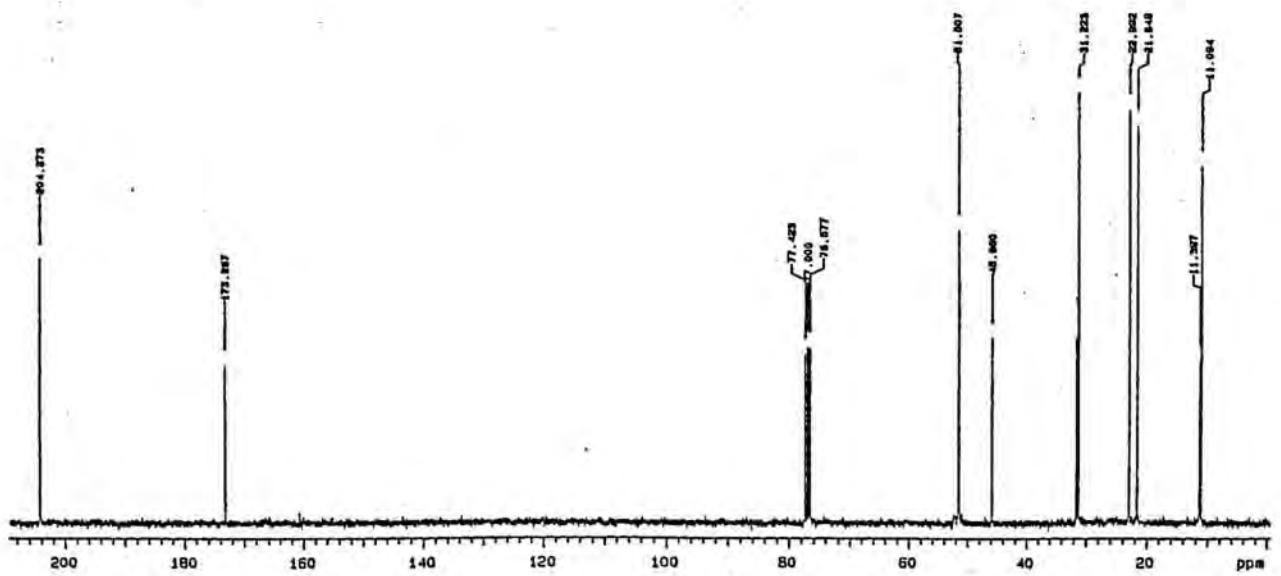
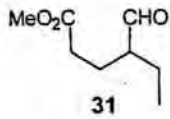




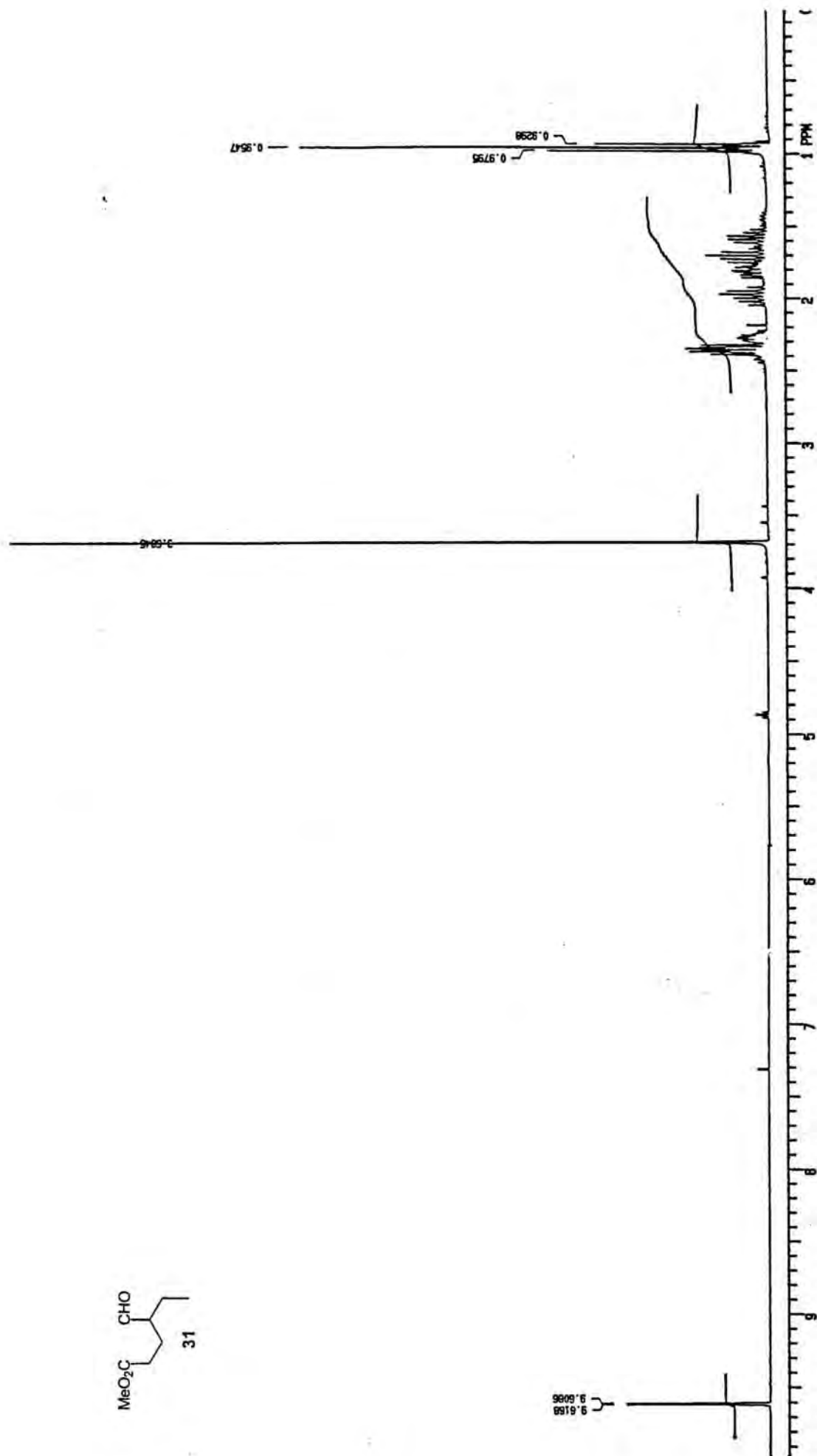
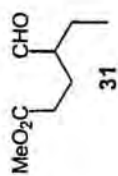
(3*S*,4*R*)-4-(*p*-Fluorofenil)-3-(3,4-metilendioxiifeniloxi)metilpiperidina (29, (-)-Paroxetina)



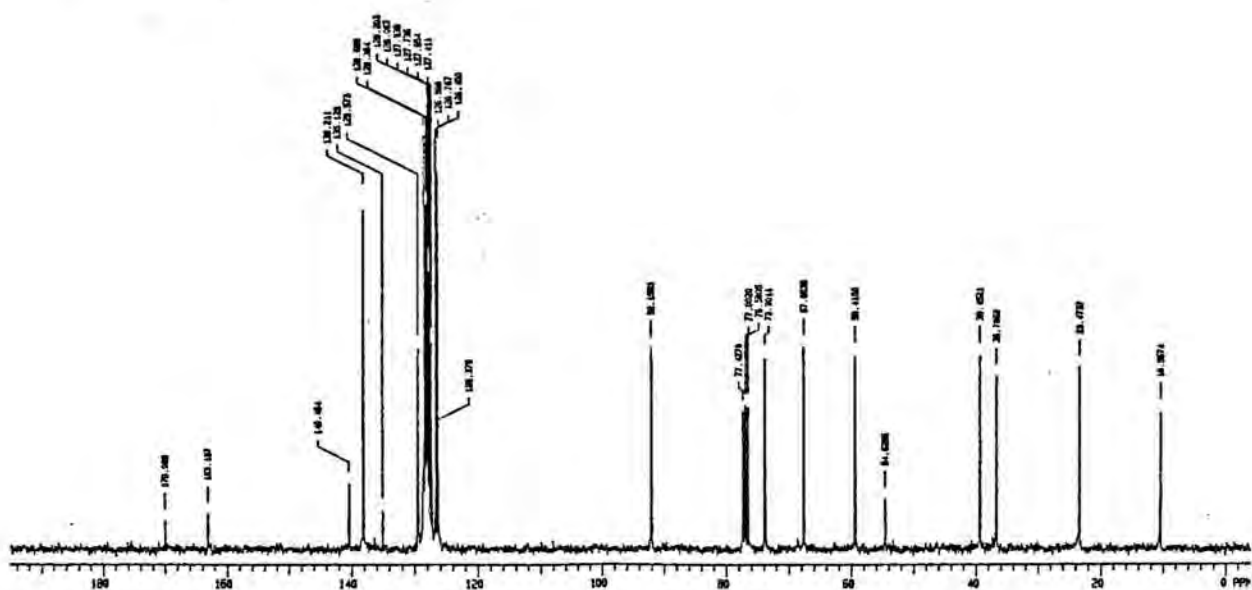
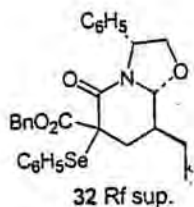
1-(N-Piperidil)-1-butè (30)

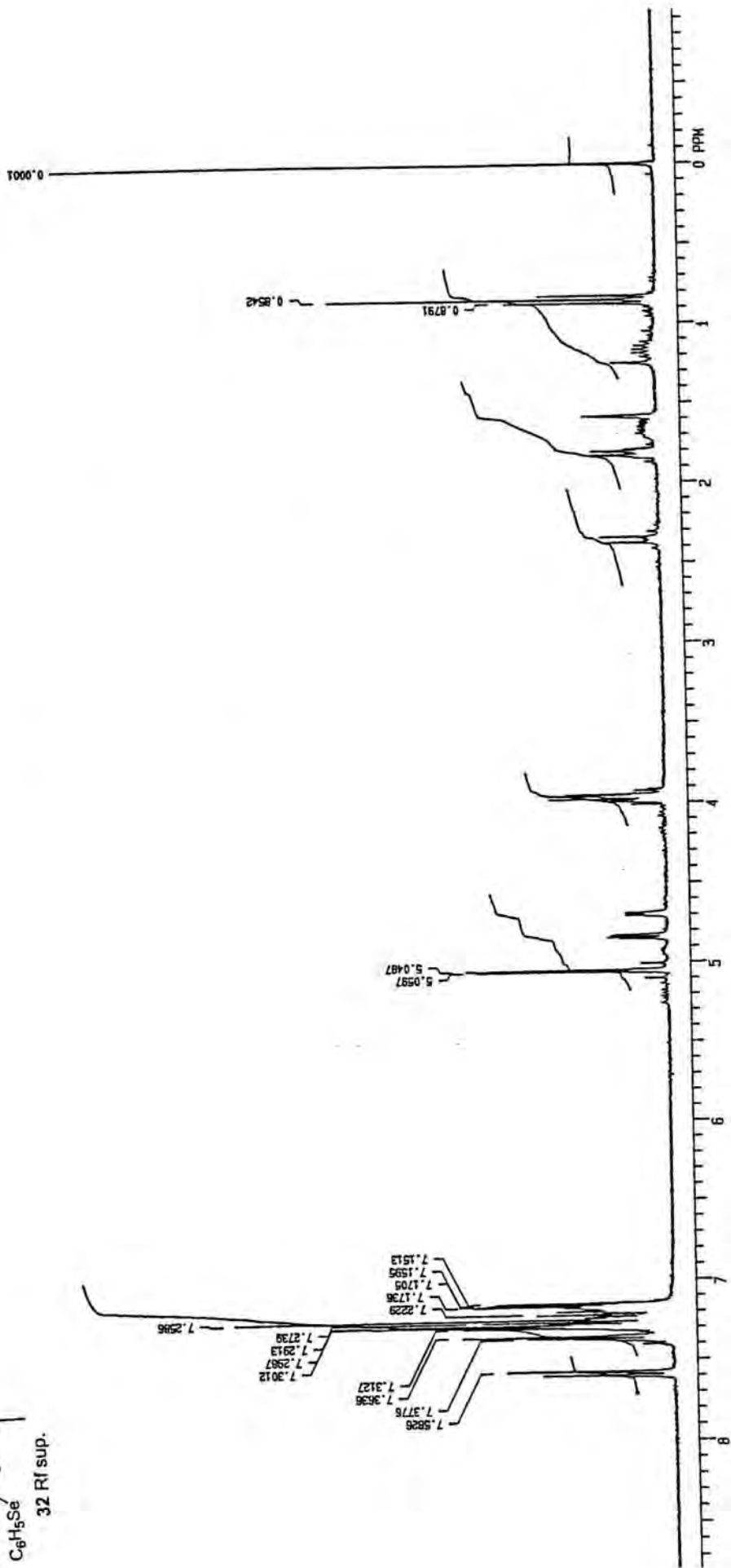
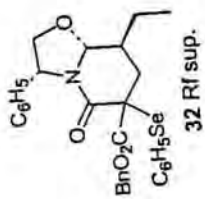


4-Formilhexanoat de metil (31)

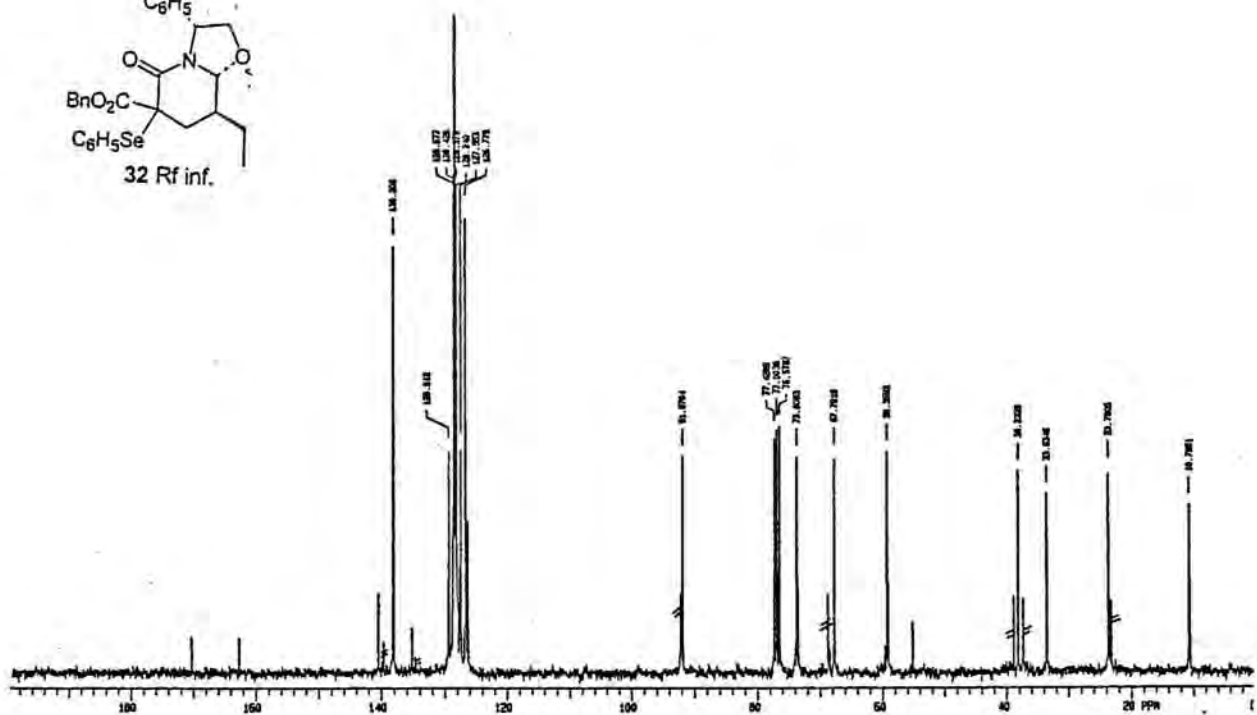


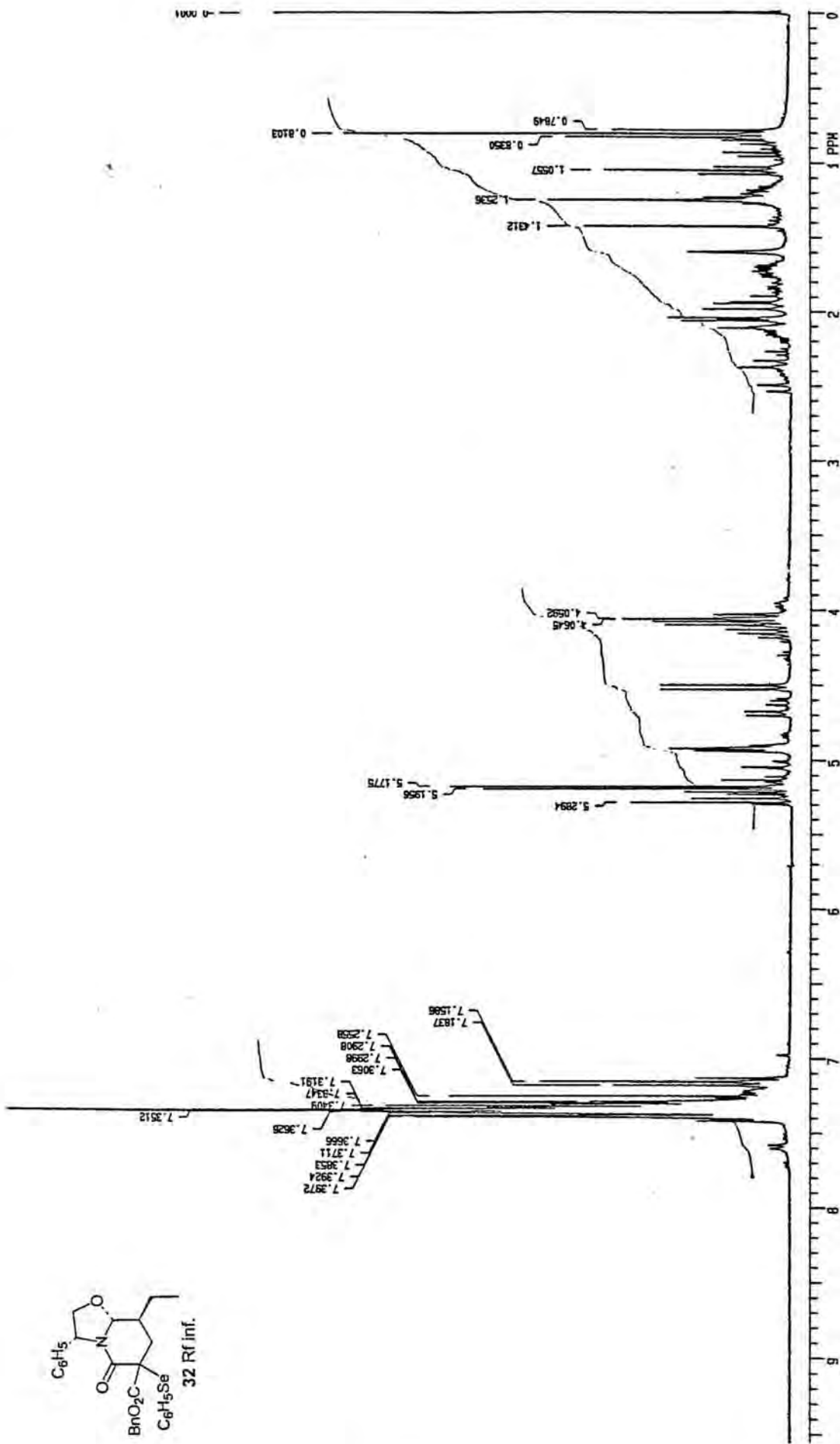
4-Formilhexanoat de metil (31)



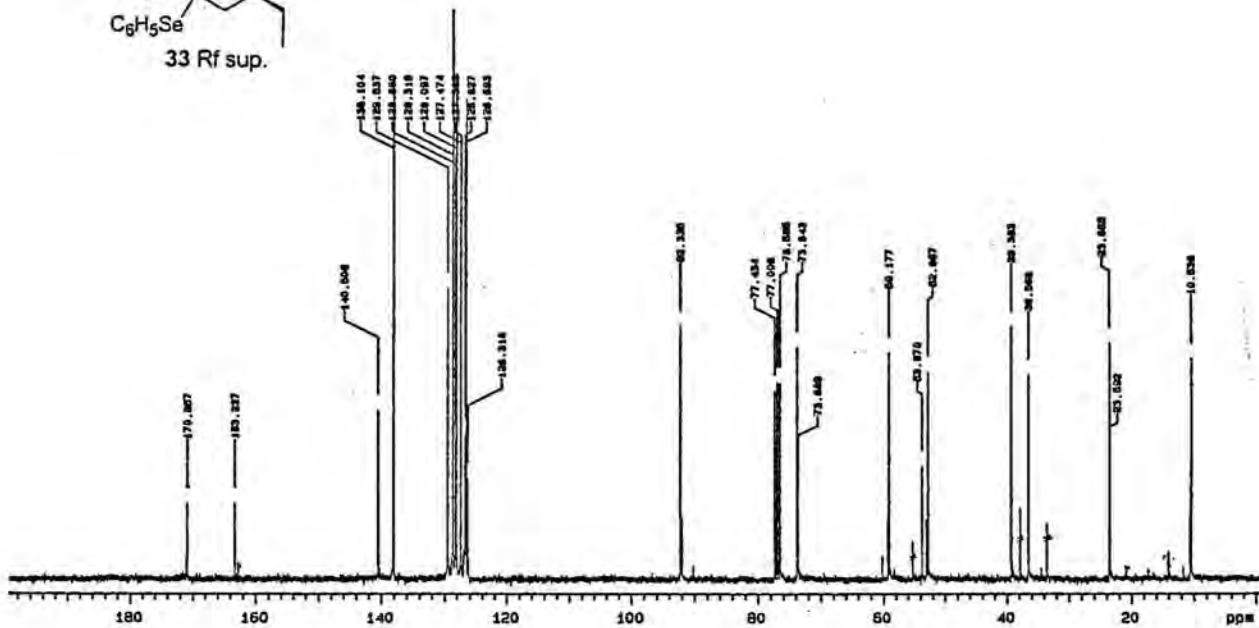
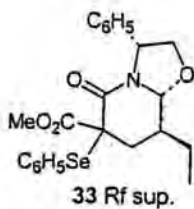


(3R,6S,8aR)-6-(Benziloxycarbonyl)-8-etil-3-fenil-6-(fenilselanil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (32)

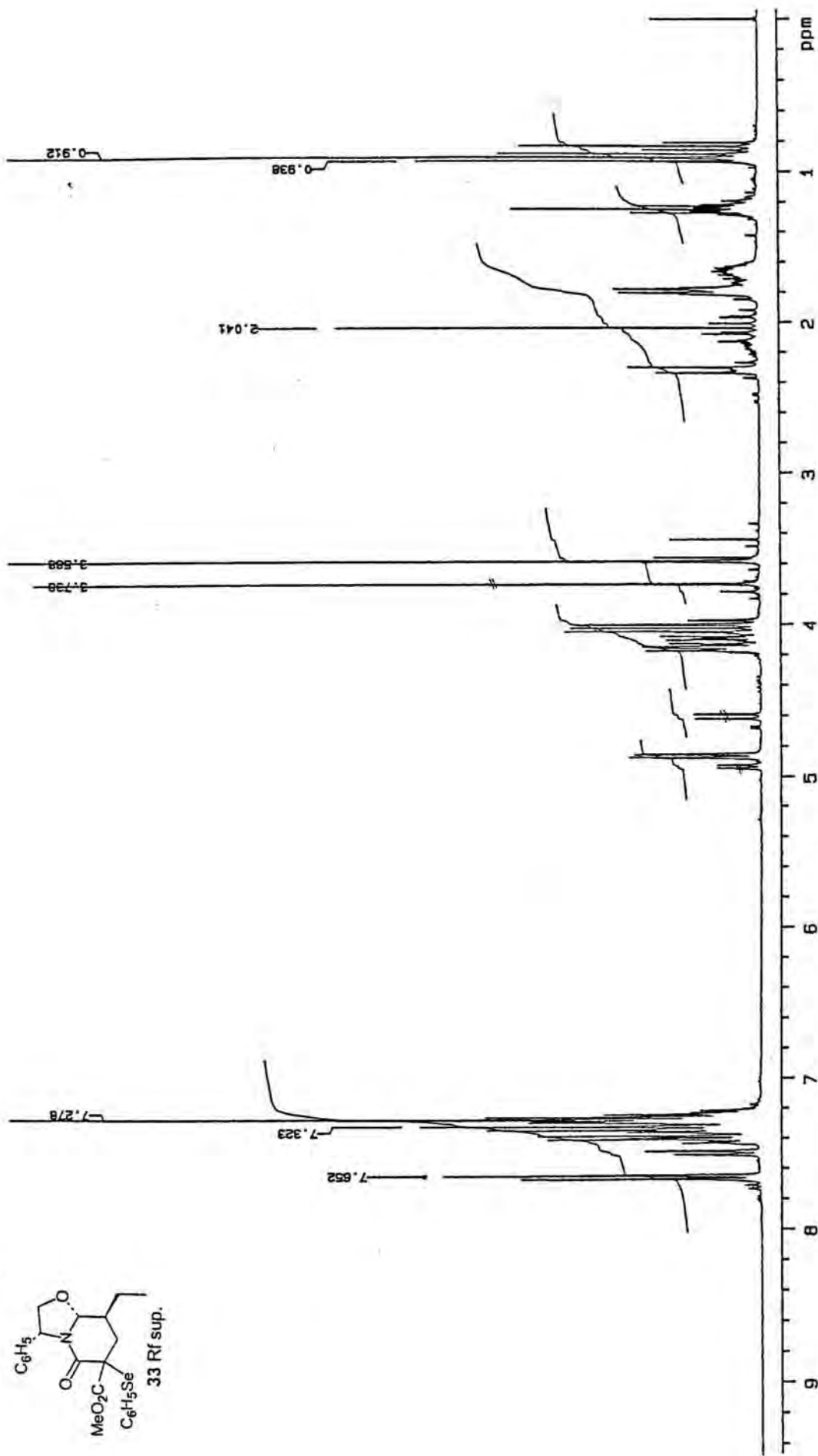
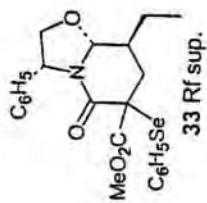




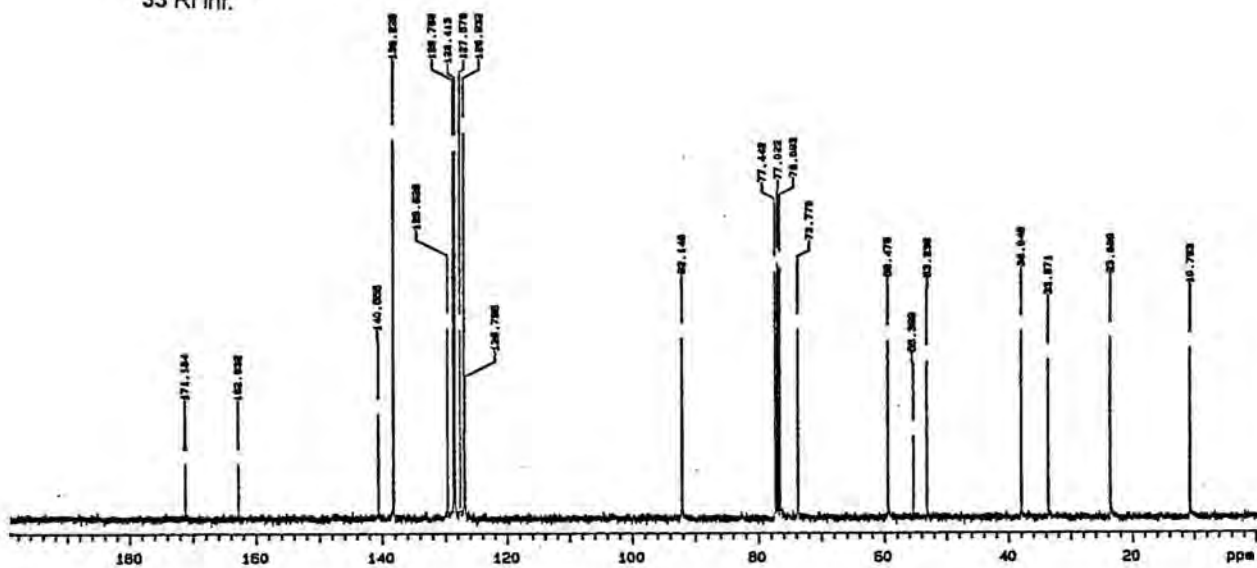
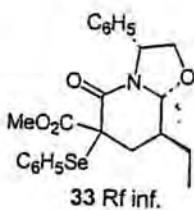
(3R,8S,8aR)-6-(Benzoyloxycarbonyl)-8-ethyl-3-phenyl-6-(phenylselanyl)-5-oxo-2,3,6,7,8,8a-hexahydro-5H-oxazolo[3,2-a]pyridine (**32**)



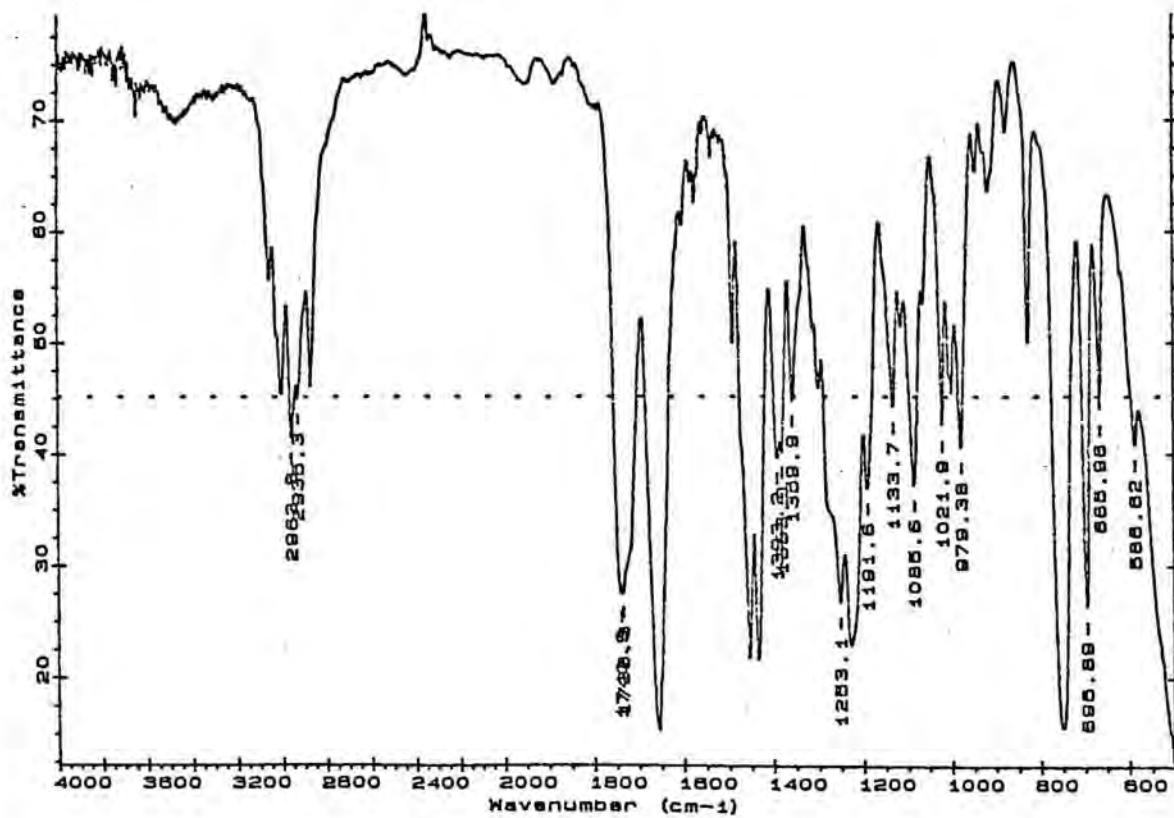
(3*R*,8*S*,8*aR*)-8-Etil-3-fenil-6-(fenilselanil)-6-(metoxicarbonil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (33)

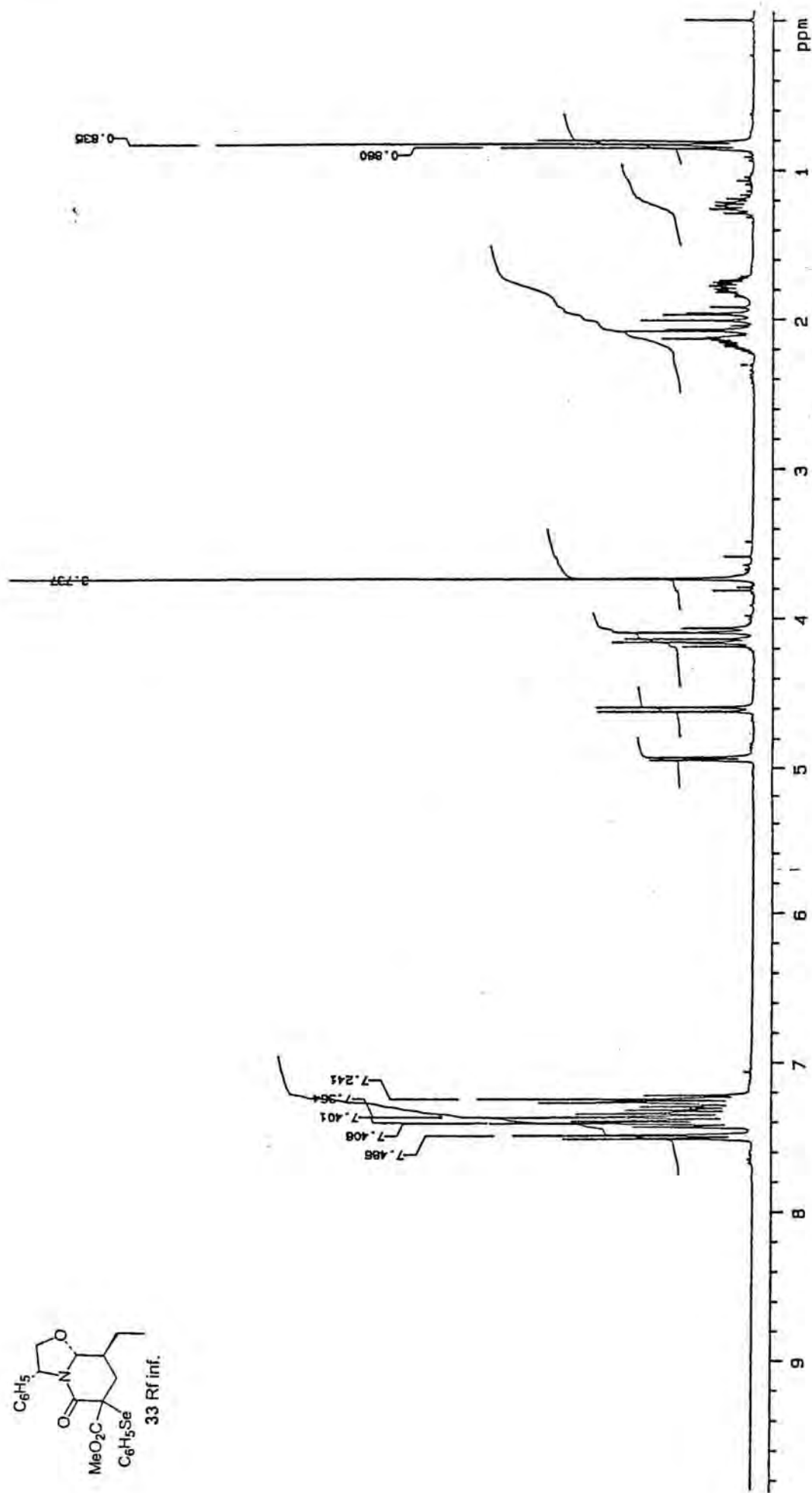
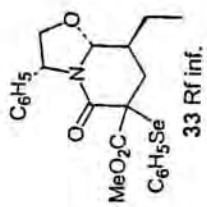


(3R,8S,8aR)-8-Ethyl-3-fenil-6-(feniliselanil)-6-(metoxicarbonil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazololo[3,2-a]piridina (33)

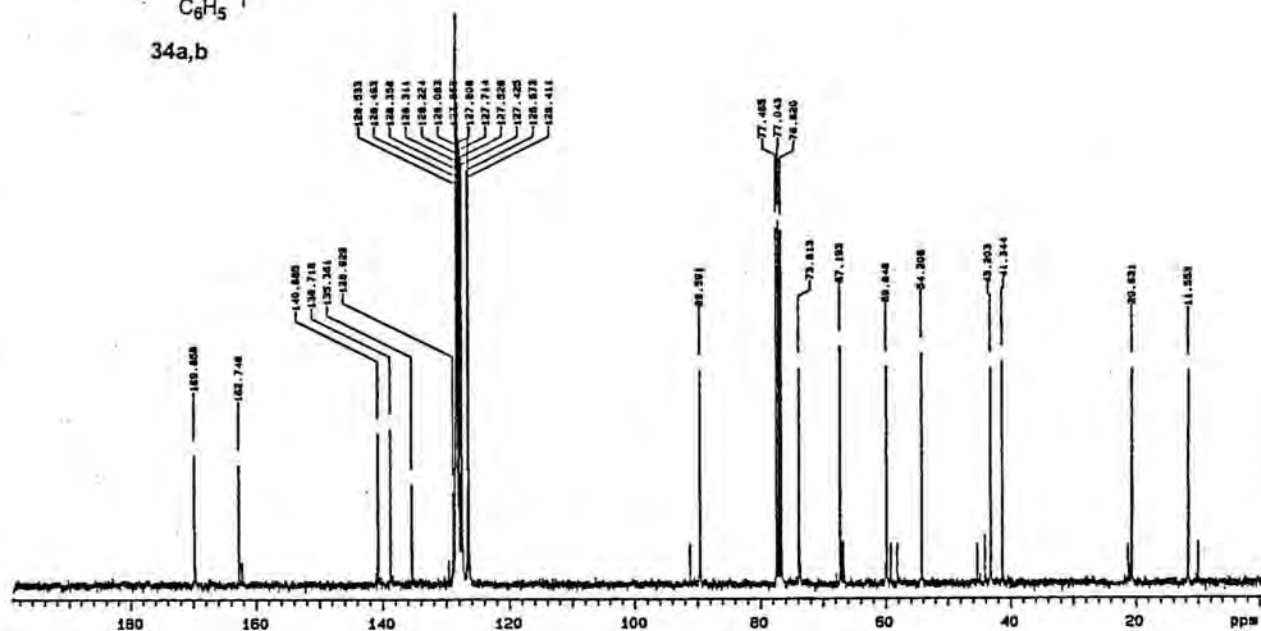
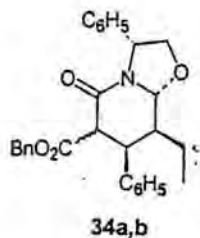


(3R,8S,8aR)-8-Etil-3-fenil-6-(fenilselani)-6-(metoxicarbonil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (33)

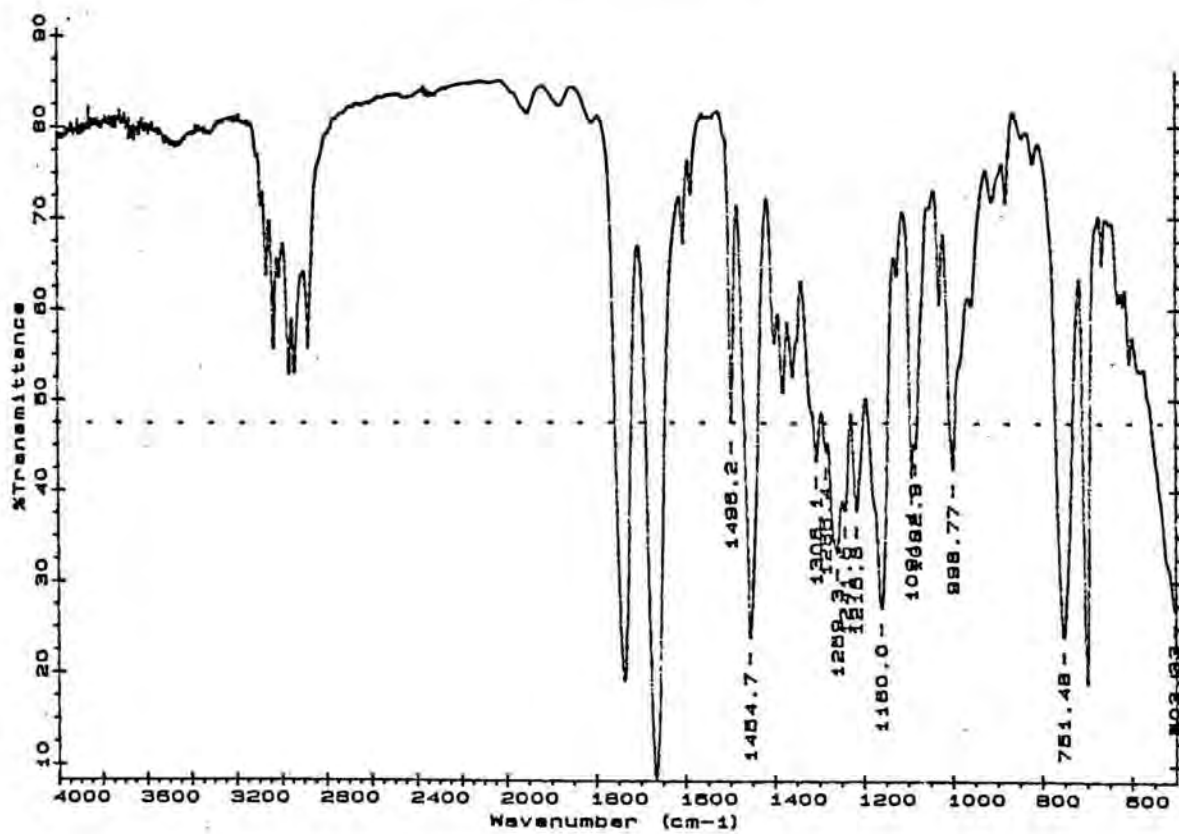


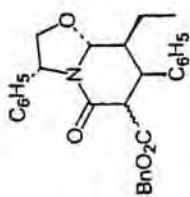
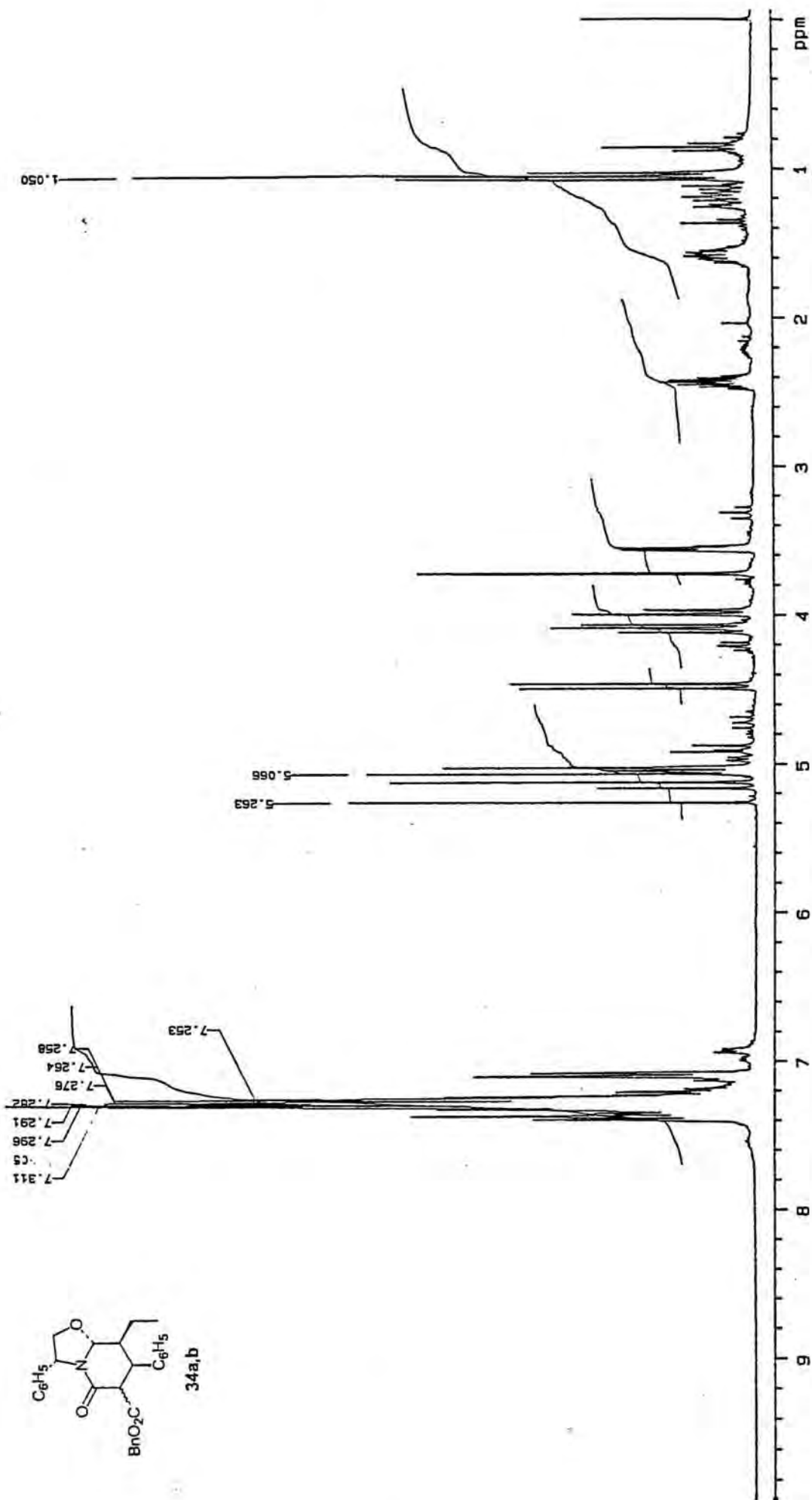


(3R,8S,8aR)-8-Etil-6-(fenilselaniil)-6-(metoxicarbonil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazololo[3,2-a]piridina (33)



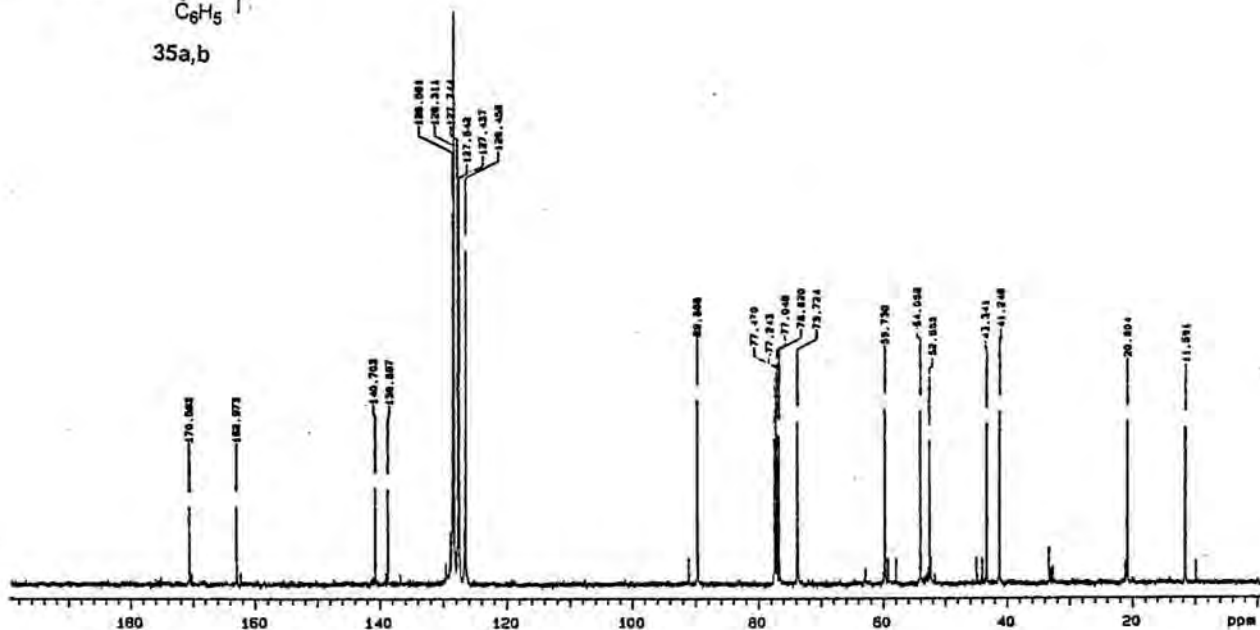
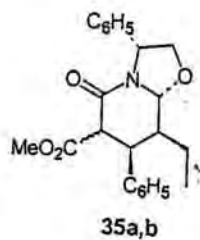
(3*R*,7*R*,8*S*,8*aR*)-6-(Benziloxycarbonil)-8-etil-3,7-difenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (34a,b)



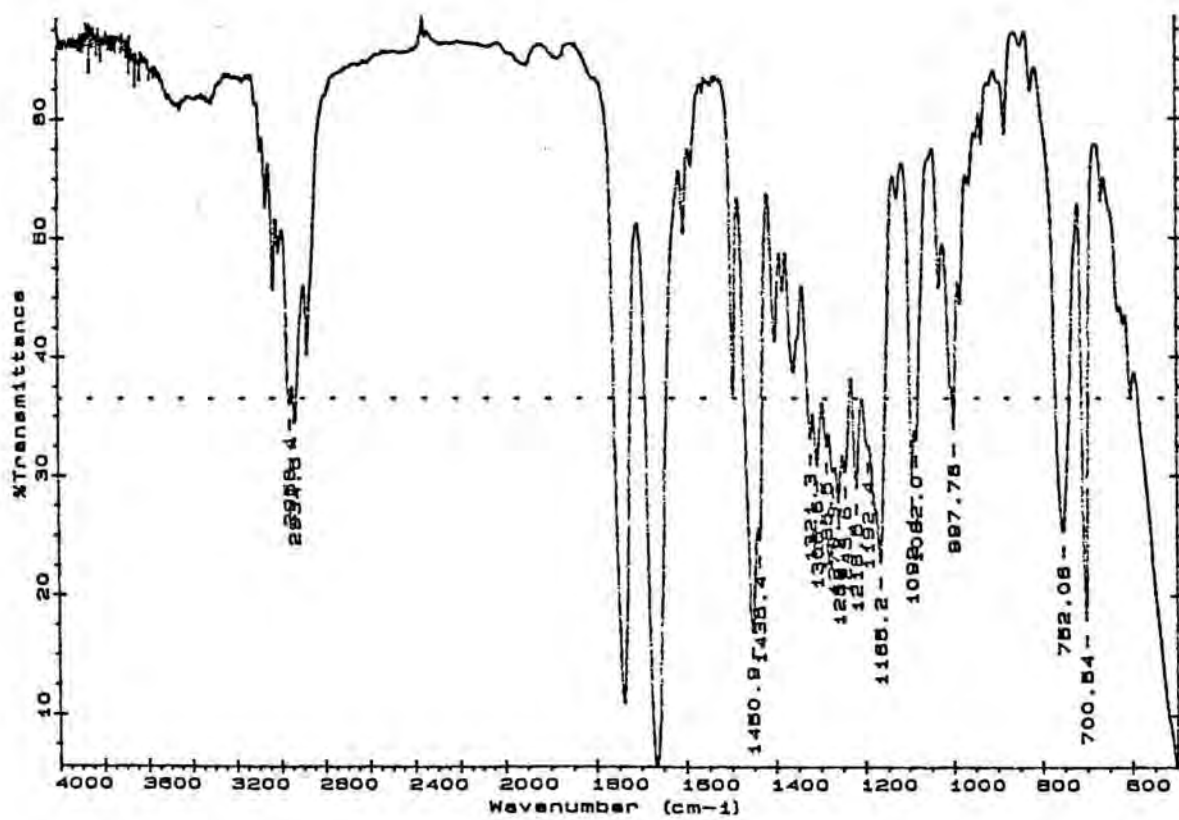


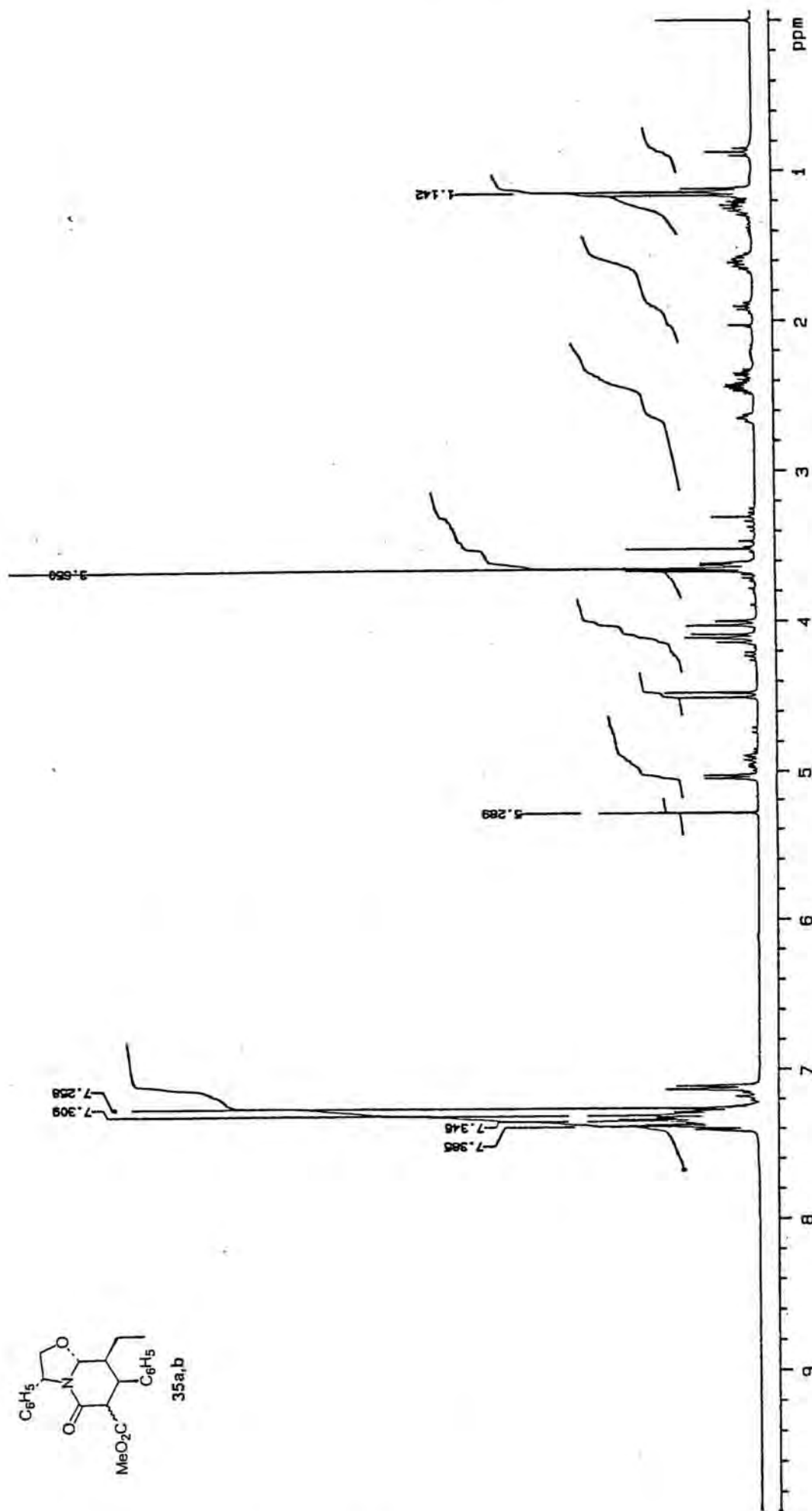
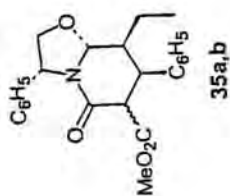
34a,b

(3*R*,7*R*,8*S*,8*aR*)-6-(Benziloxycarbonil)-8-etil-3,7-difenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (34a,b)

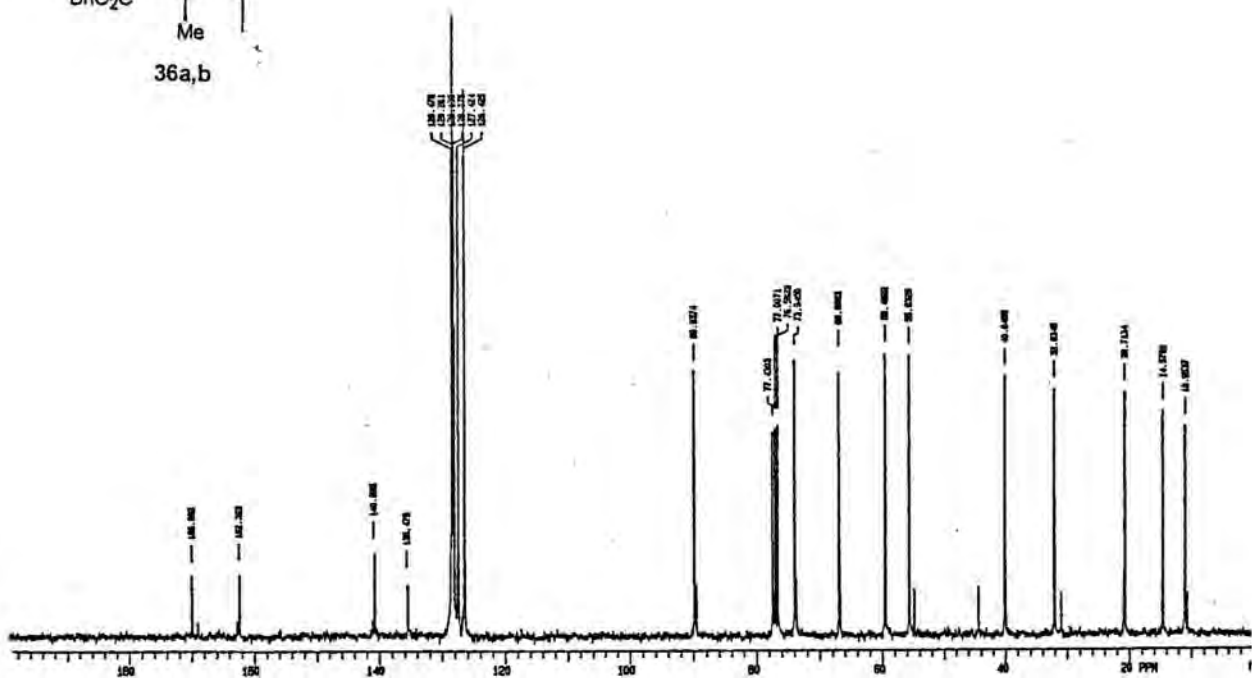
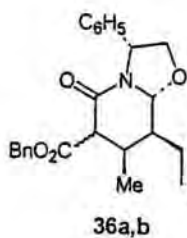


(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3,7-difenil-6-(metoxicarbonil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo [3,2-*a*]piridina (35a,b)

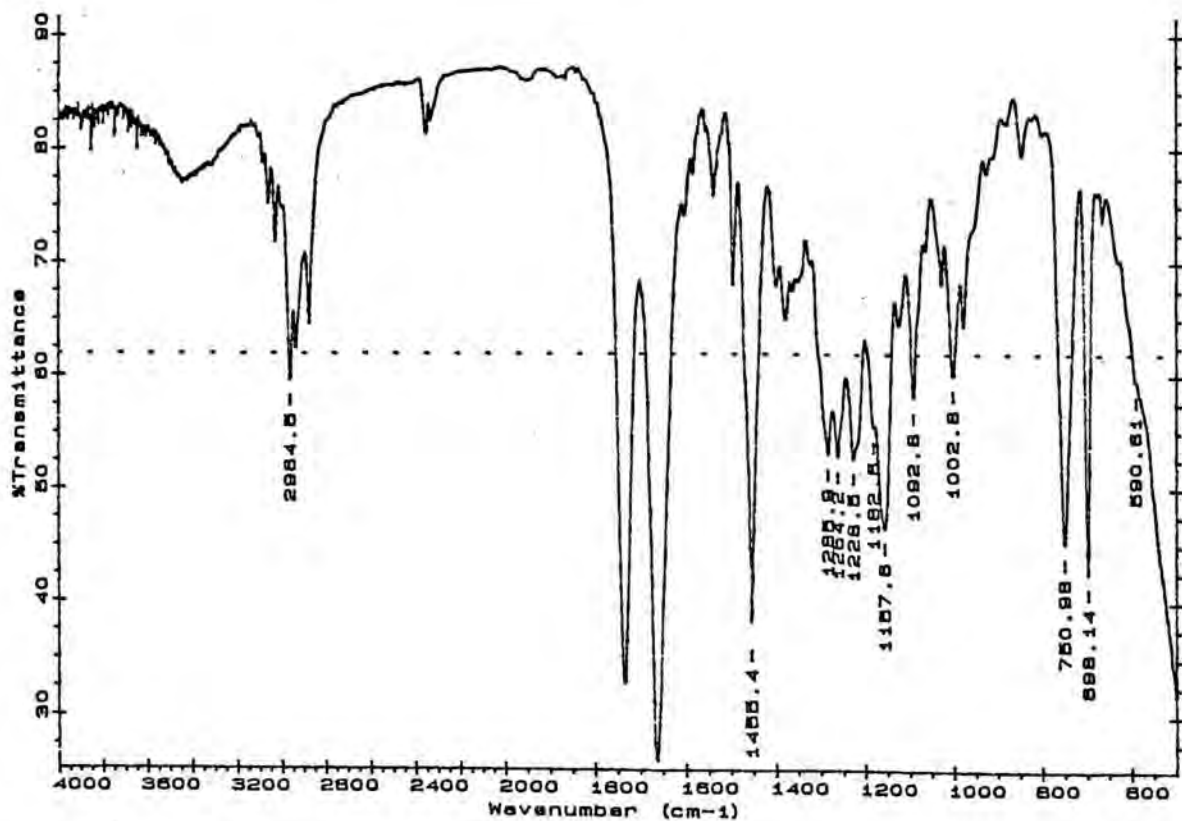


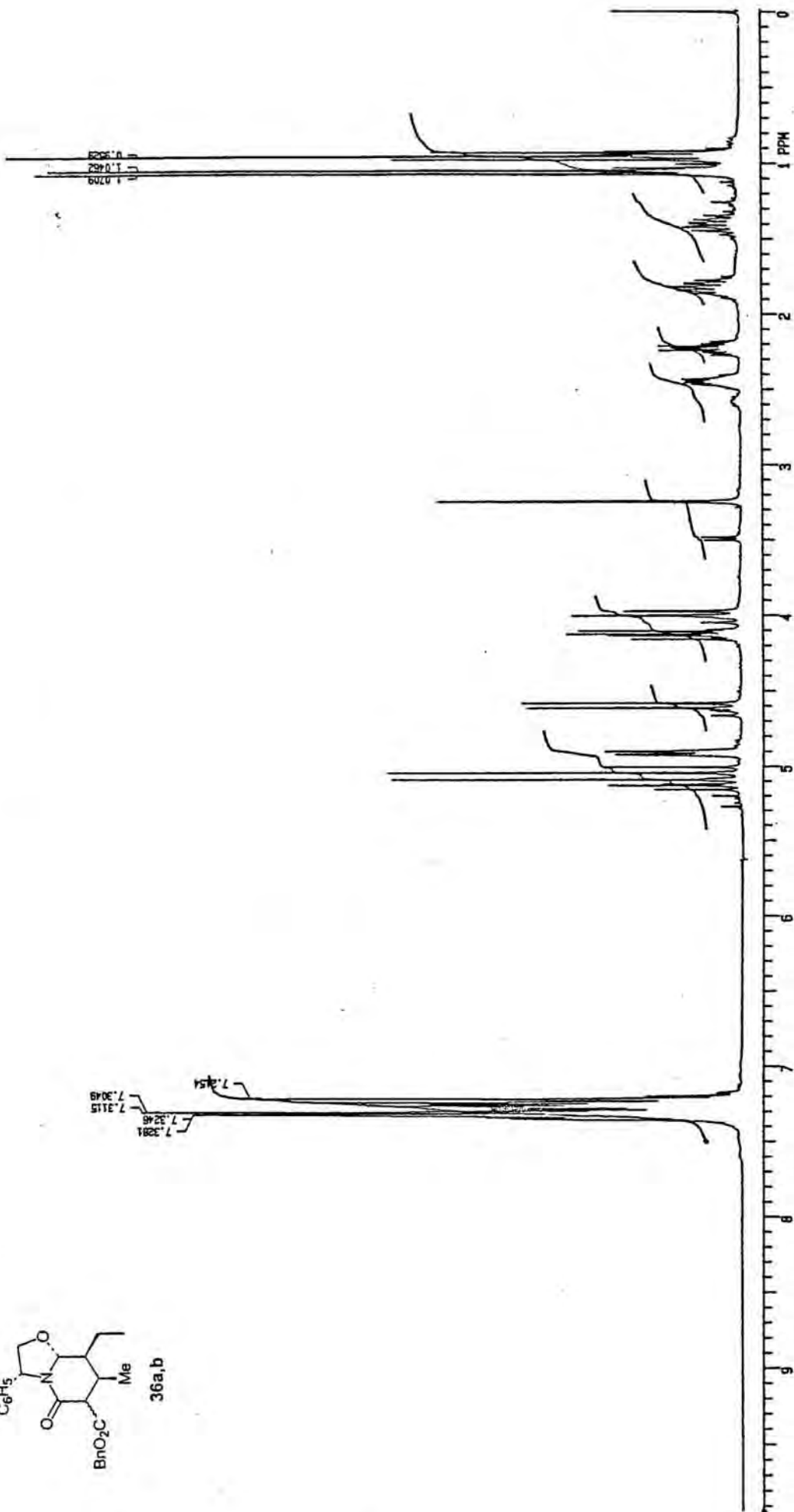
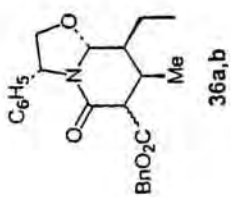


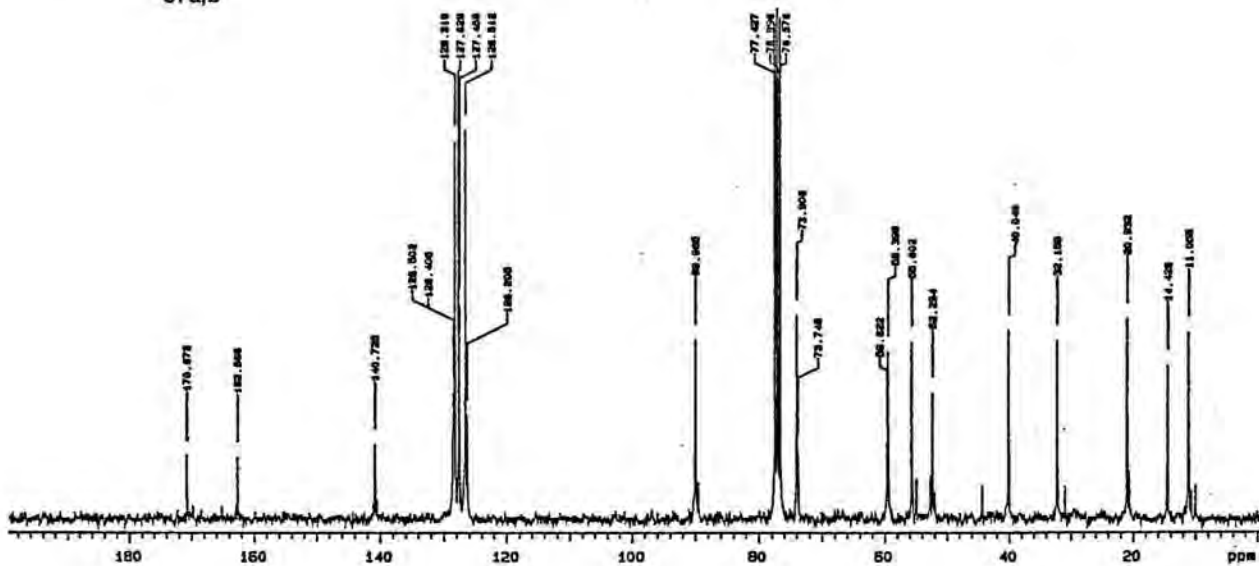
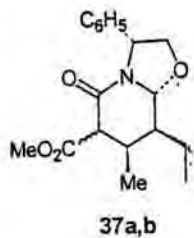
(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3,7-difenil-6-(metoxicarbonil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (35a,b)



(3R,7R,8S,8aR)-6-(Benziloxycarbonil)-8-etil-3-fenil-7-metil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (36a,b)

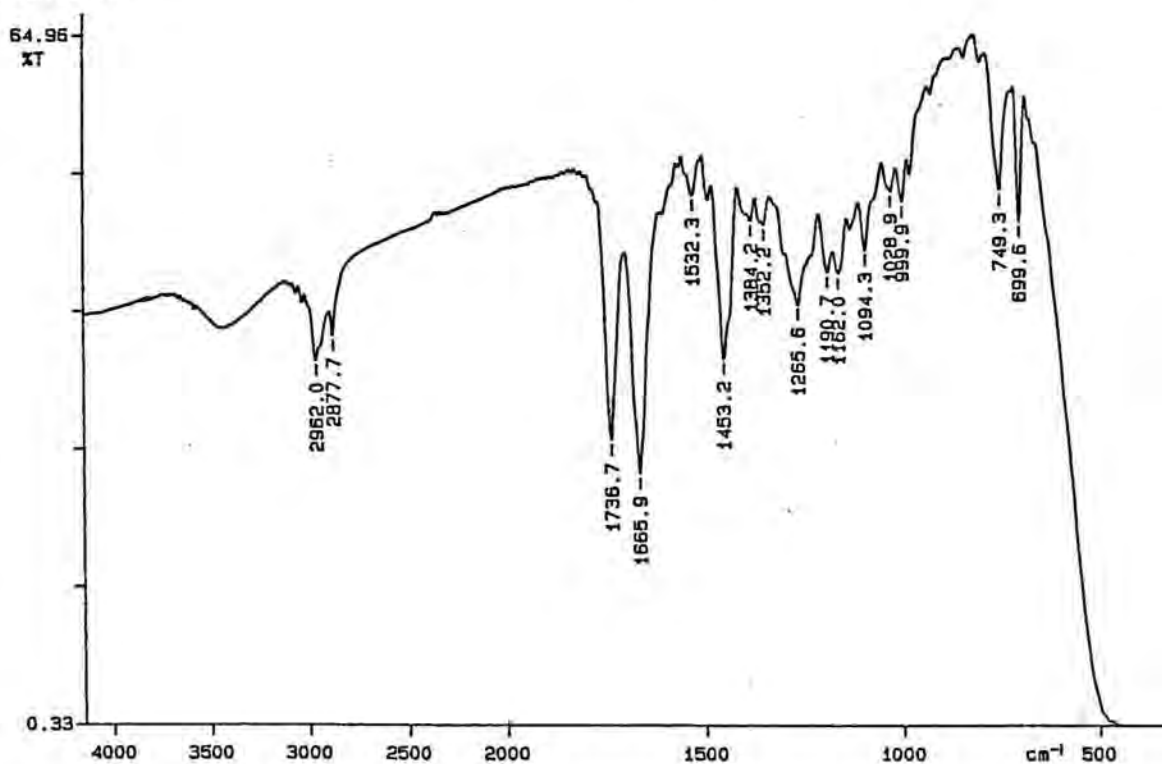


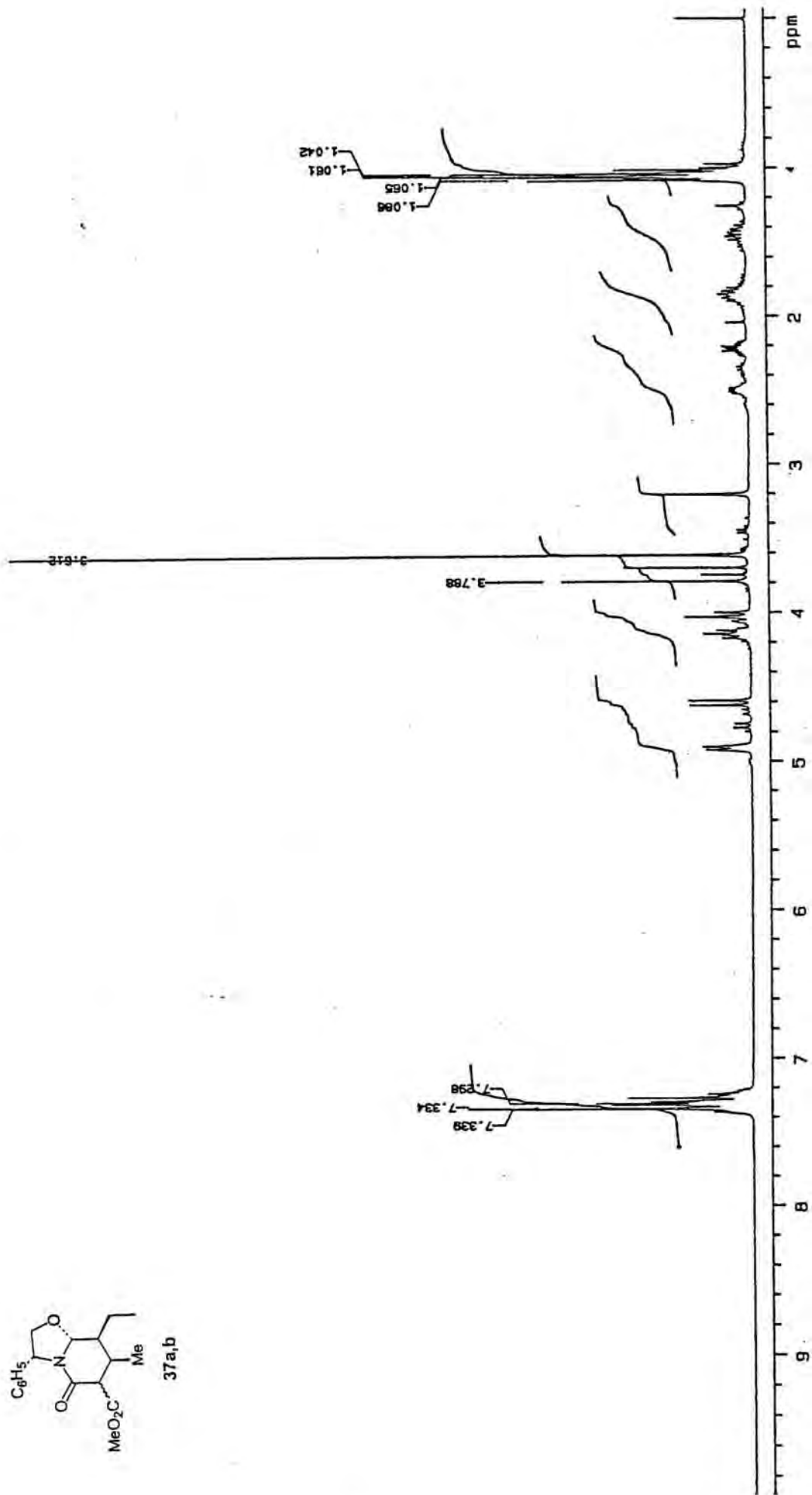
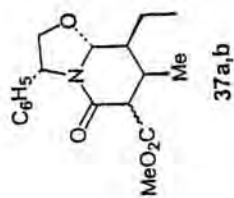




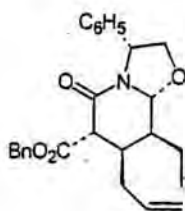
(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3-fenil-7-metil-6-(metoxicarbonil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]píridina (37a,b)

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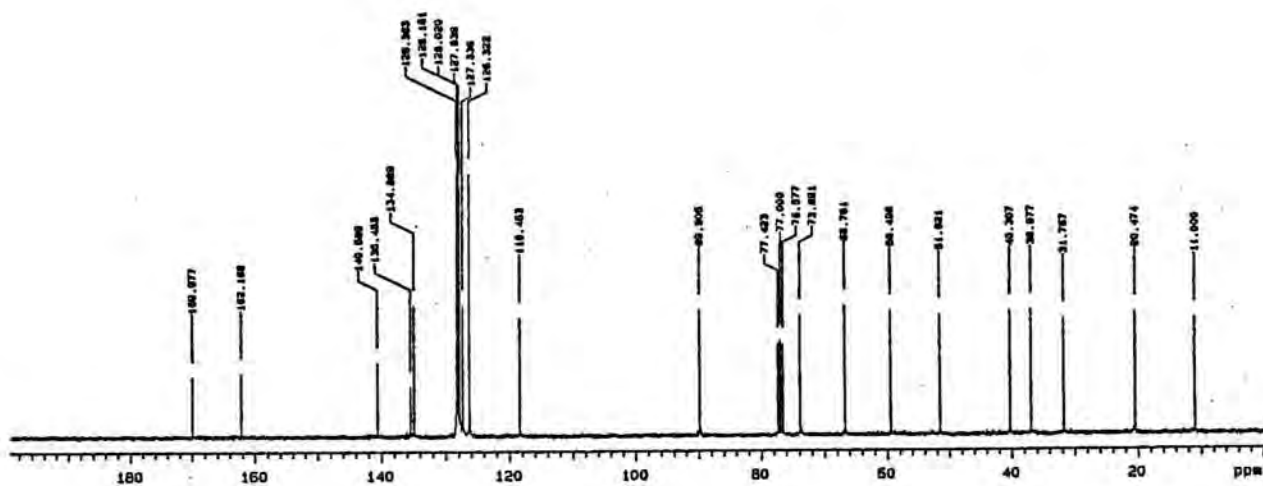




(3R,7R,8S,8aR)-8-Etil-3-fenil-7-metil-6-(metoxicarbonil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (37a,b)

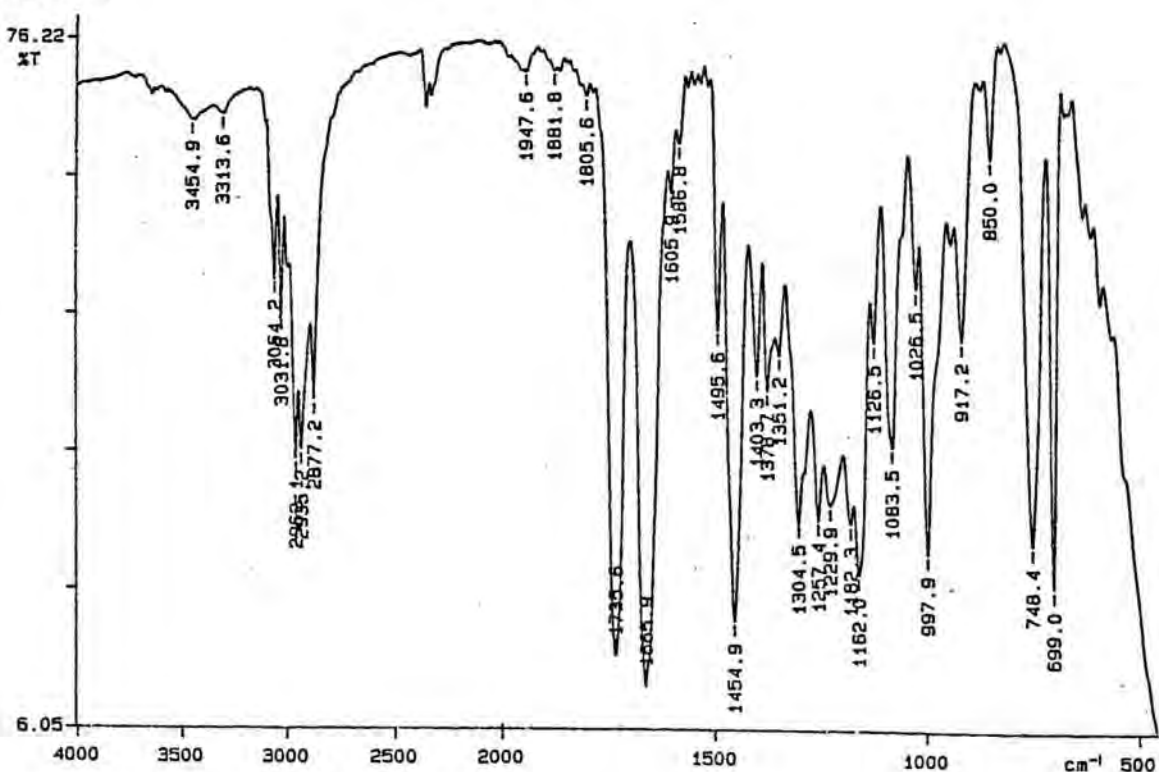


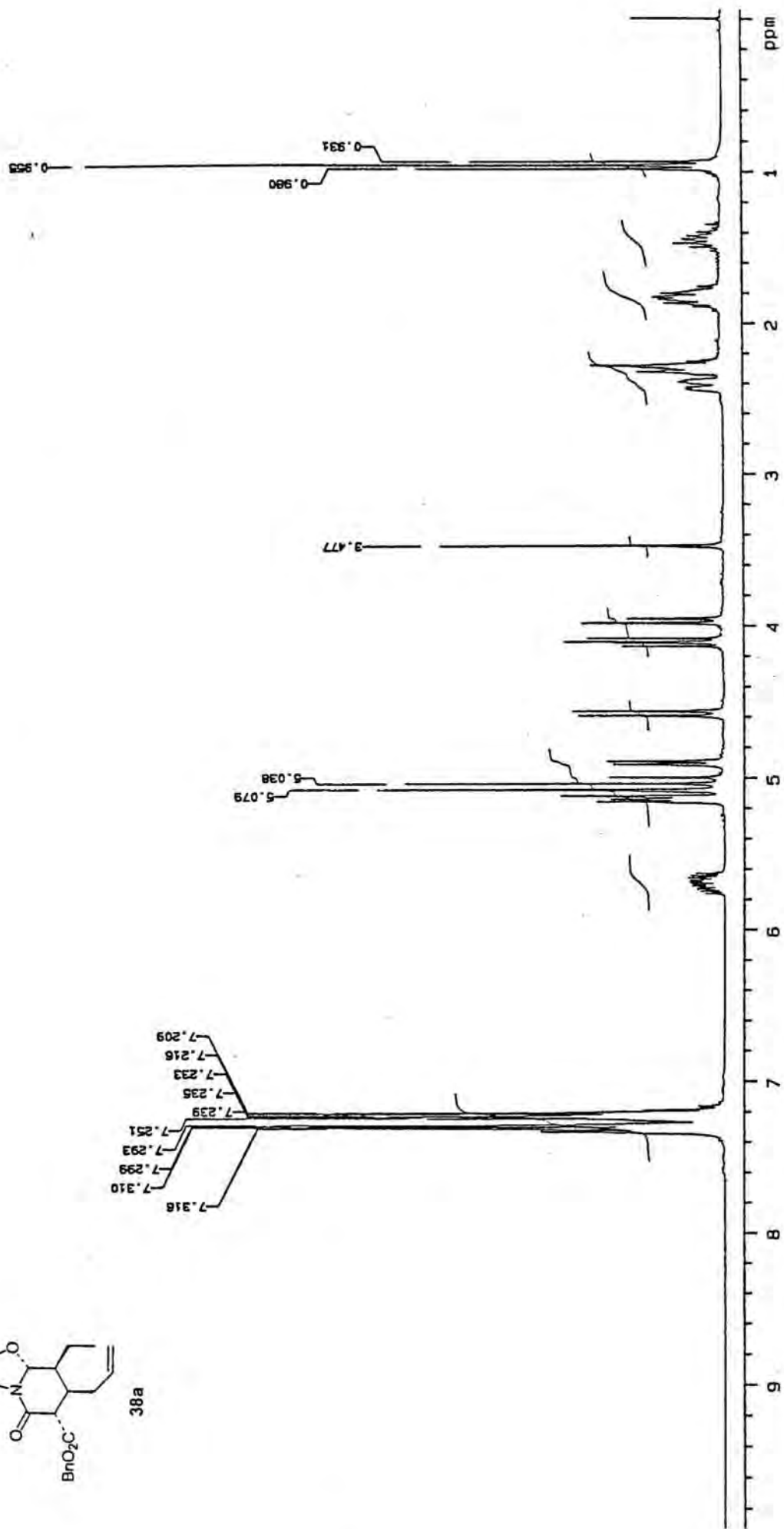
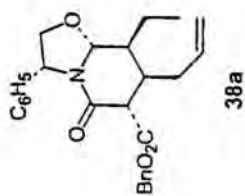
38a



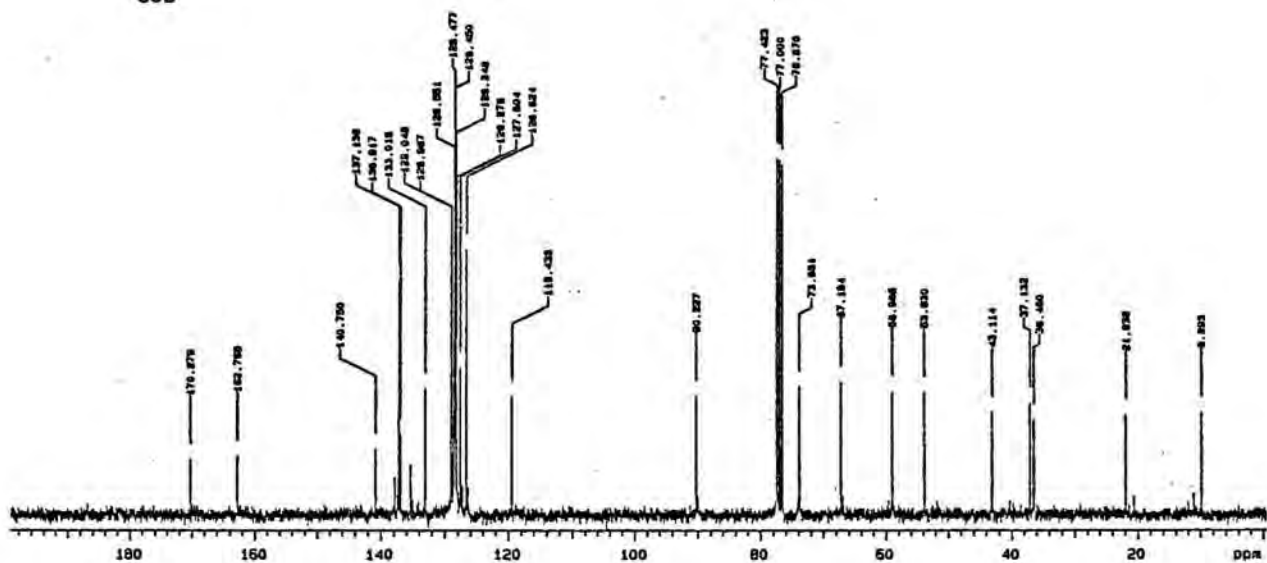
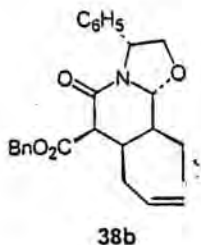
(3*R*,6*S*,7*R*,8*S*,8*aR*)-7-Al-il-6-(benziloxycarbonil)-8-etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (38a)

PERKIN ELMER



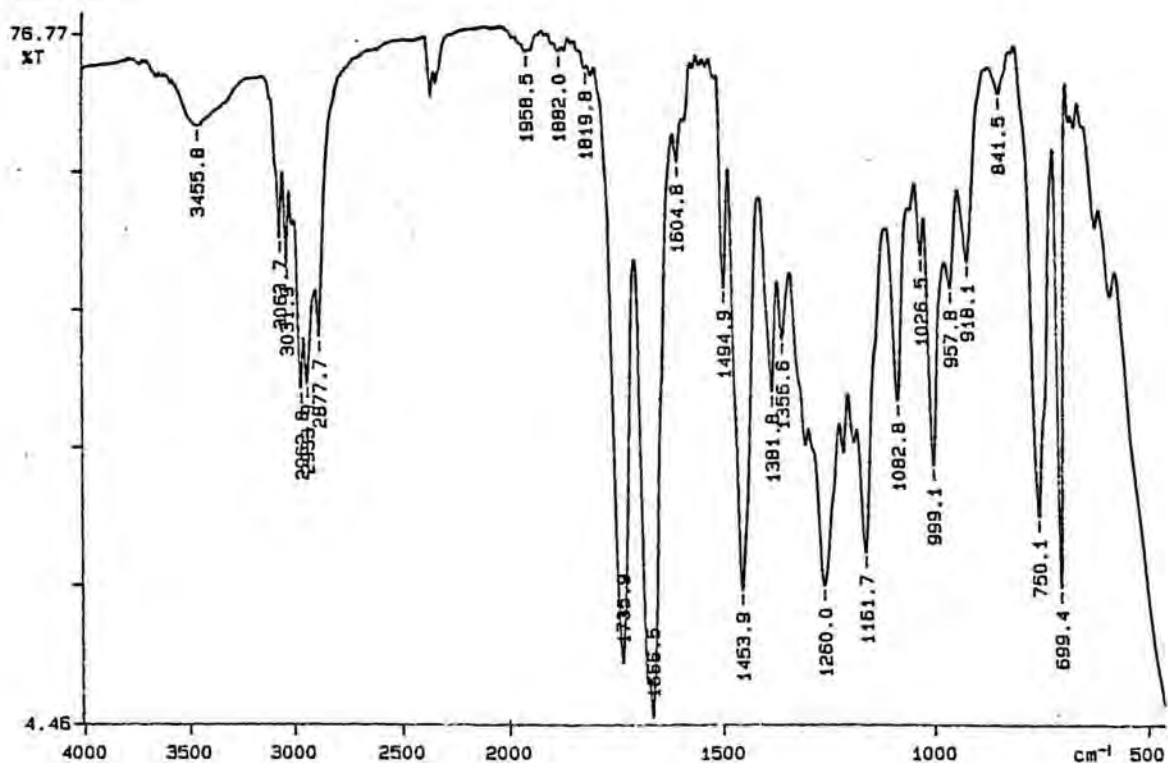


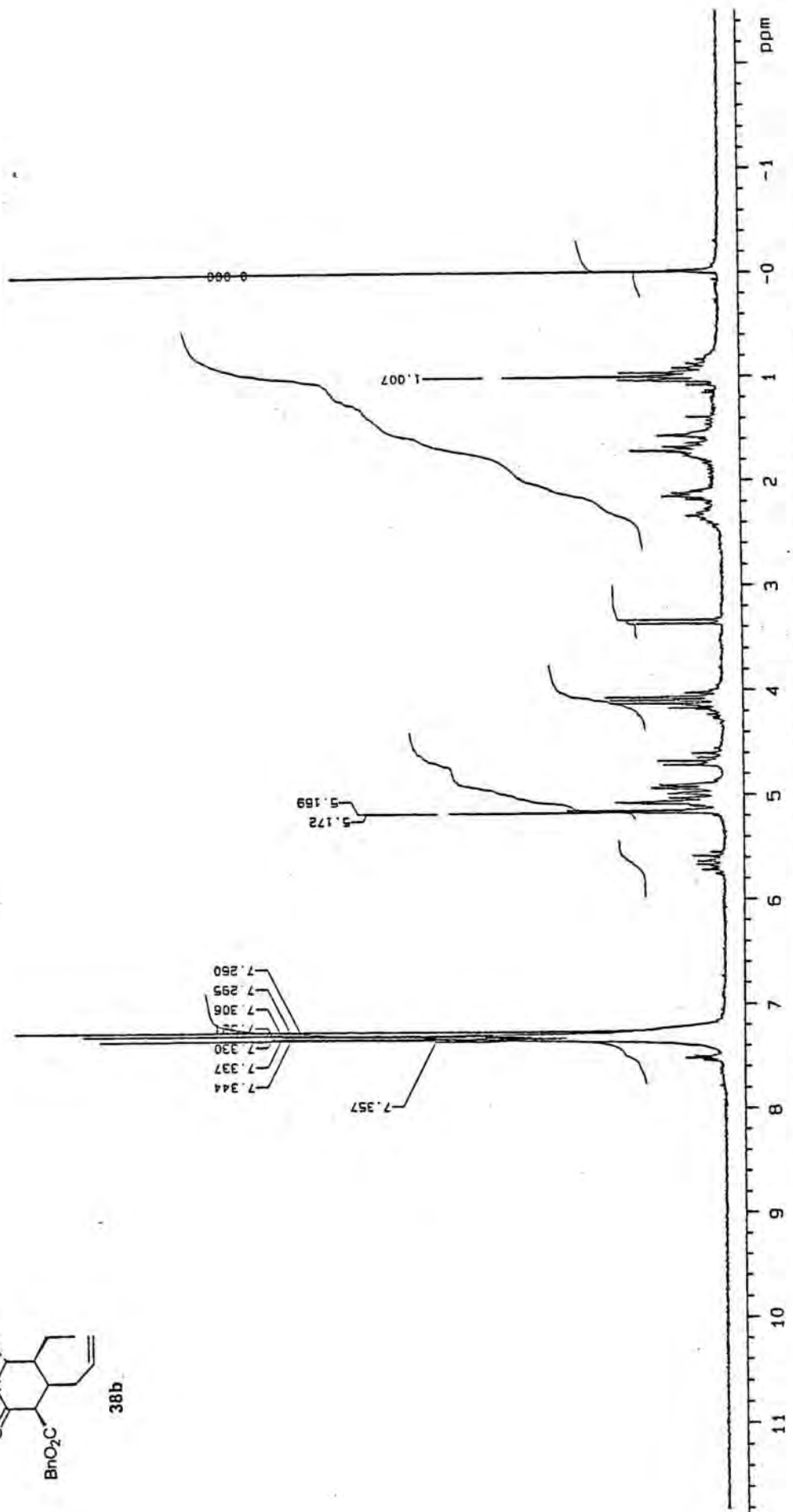
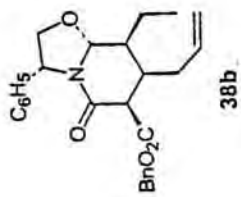
(3*R*,6*S*,7*R*,8*S*,8*aR*)-7-*Al*-iii-6-(benziloxycarbonil)-8-etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (38a)



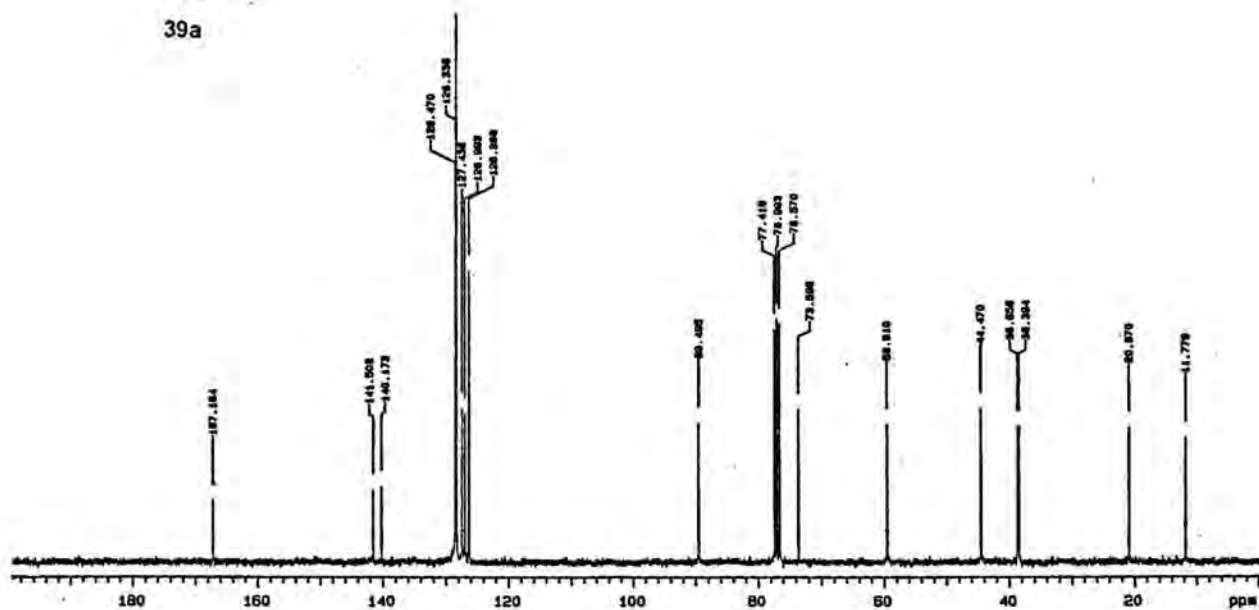
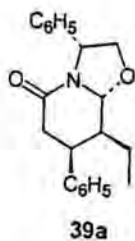
(3R,6R,7R,8S,8aR)-7-Alil-6-(benzilocarbonil)-8-etil-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (38b)

PERKIN ELMER

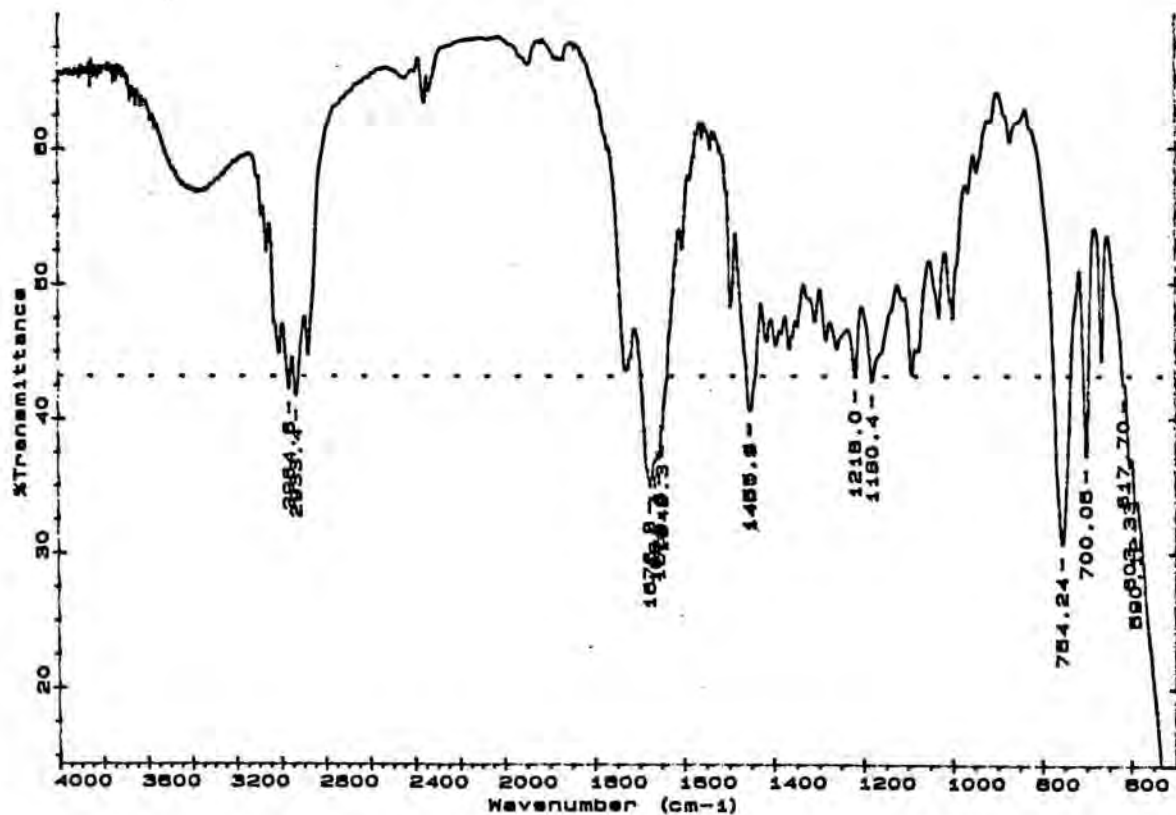


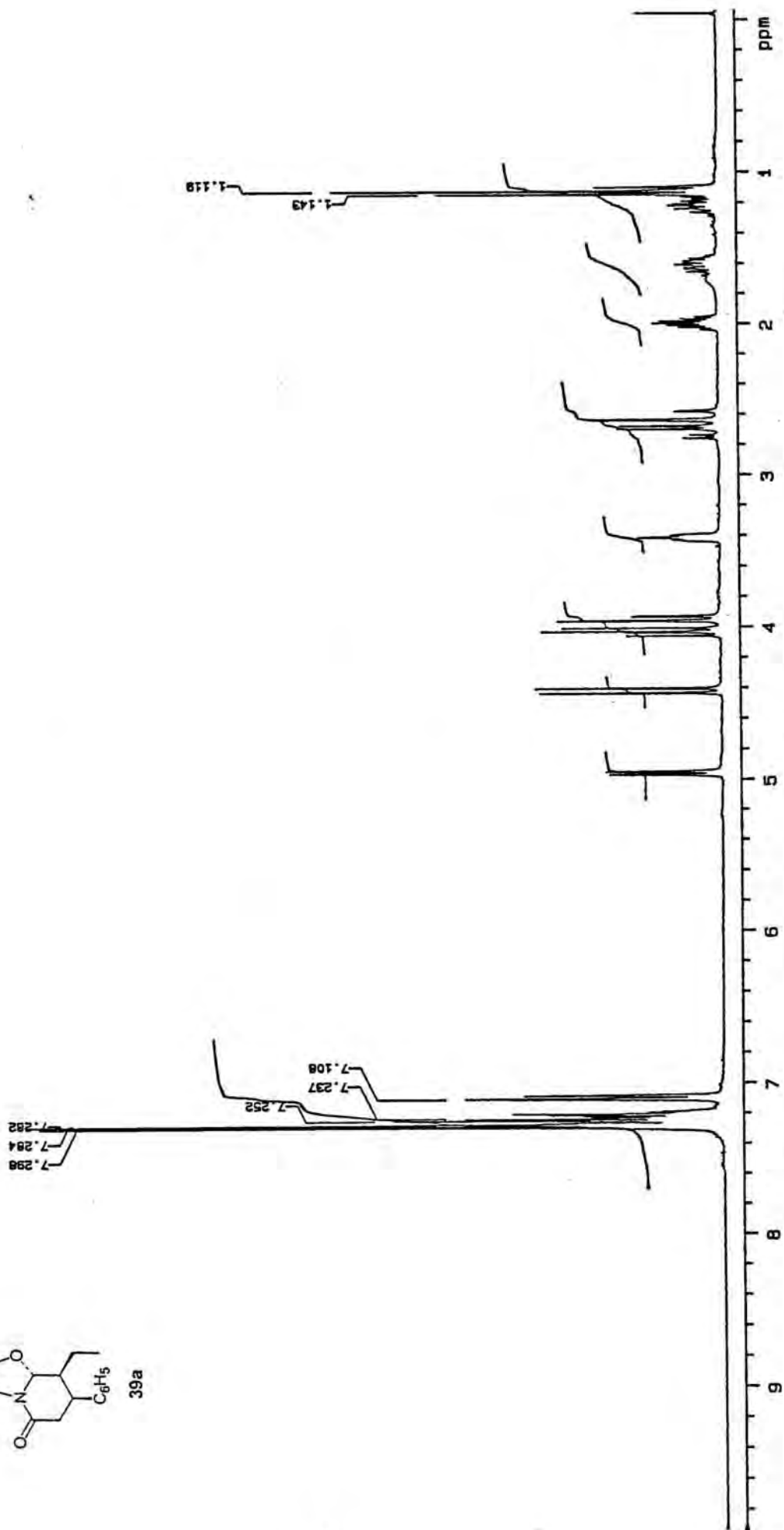
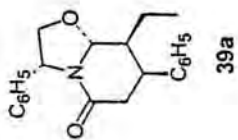


(3*R*,6*R*,7*R*,8*S*,8*aR*)-7-*Al*·*lil*-6-(benziloxy-carbonil)-8-*etil*-3-*fenil*-5-*oxo*-2,3,6,7,8,8*a*-*hexahidro*-5-*H*-*oxazolo*[3,2-*a*]piridina (38b)

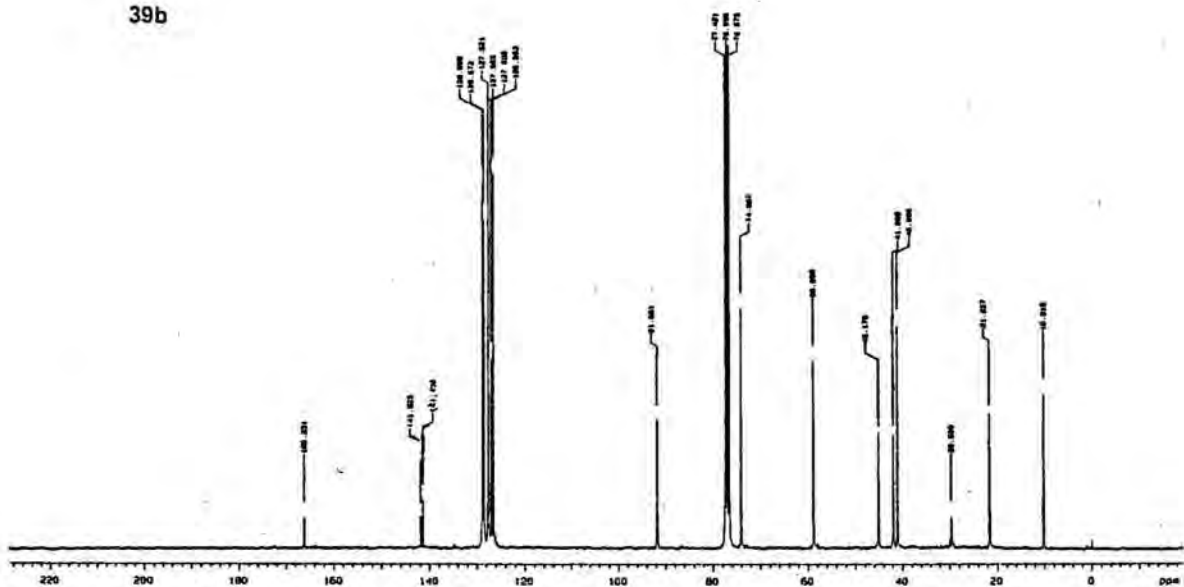
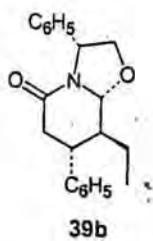


(3R,7S,8S,8aR)-8-Ethyl-3,7-difenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (39a)



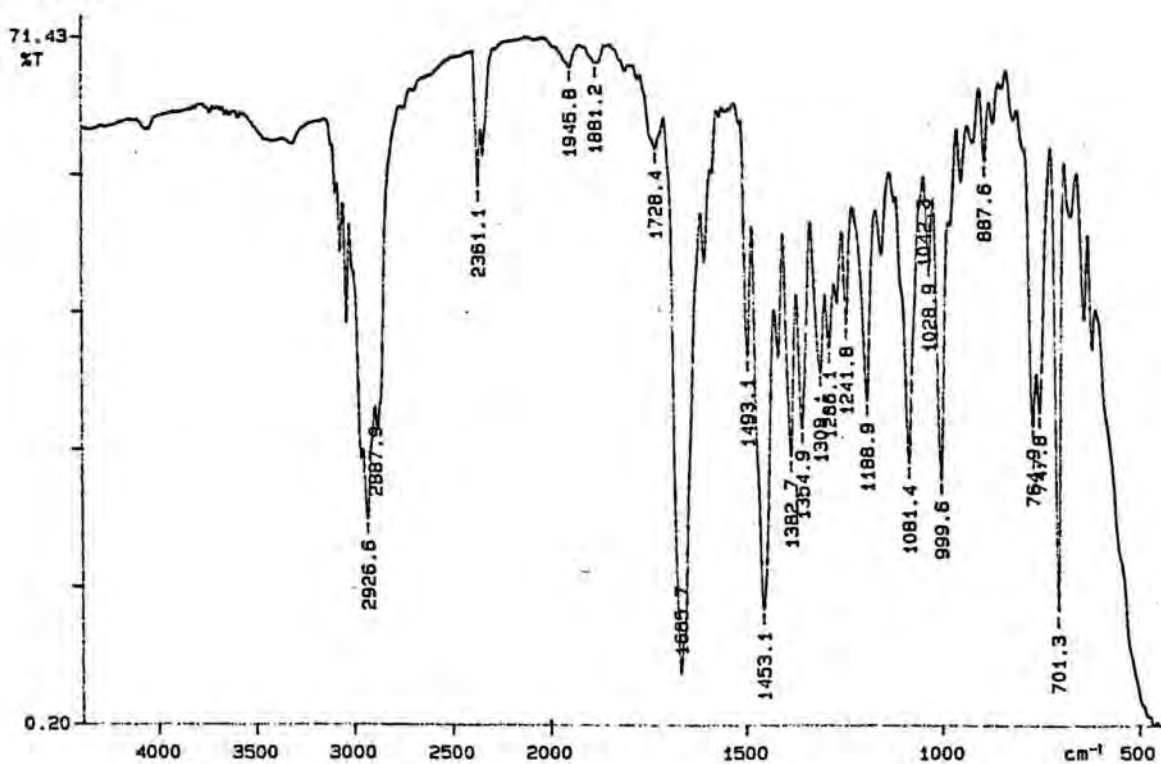


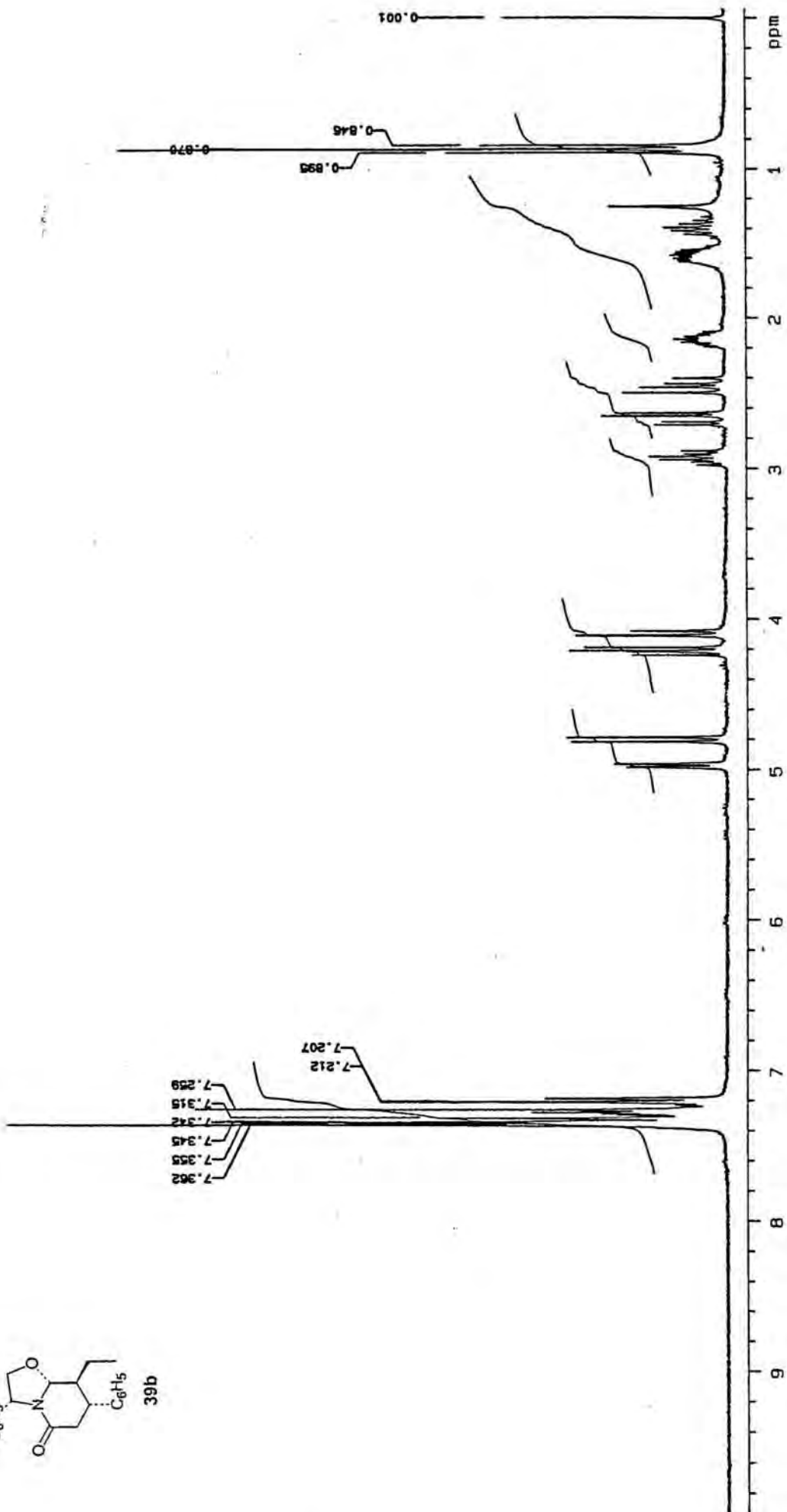
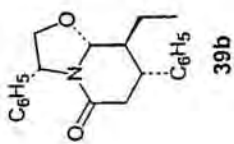
(3*R*,7*S*,8*S*,8*aR*)-8-Etil-3,7-difenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (39a)



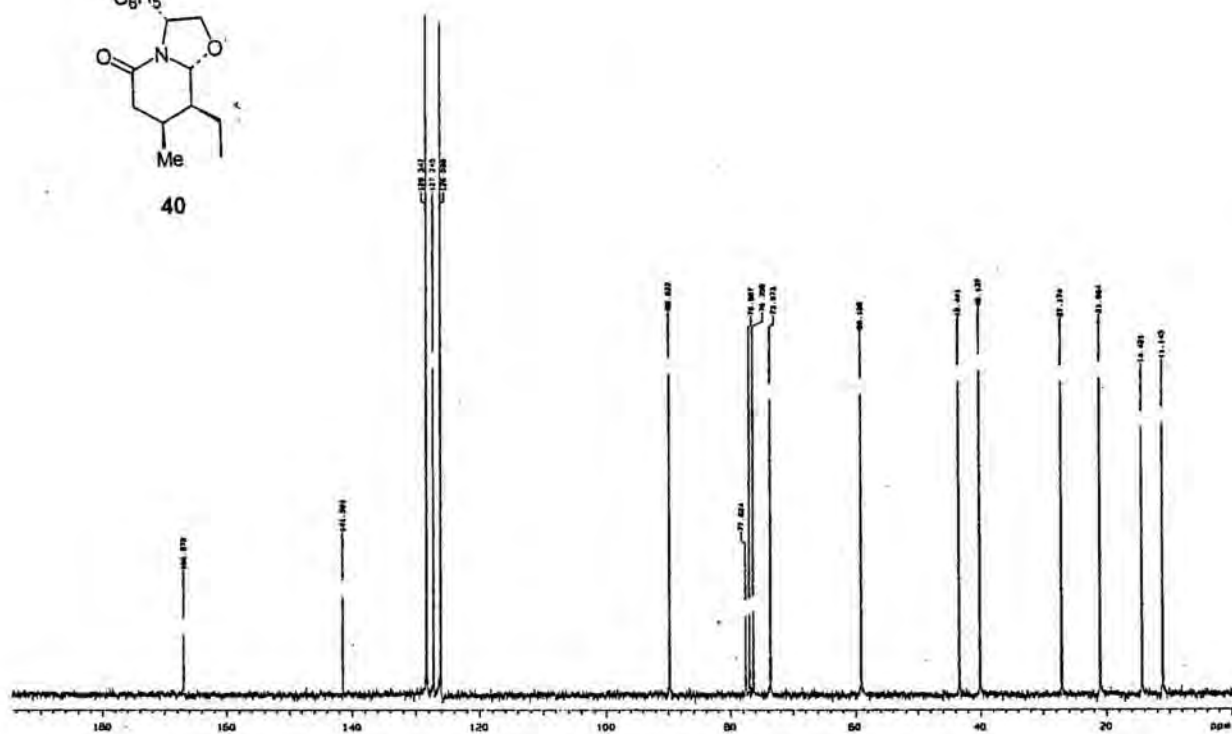
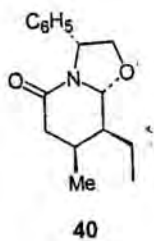
(3R,7R,8S,8aR)-8-Etil-3,7-difenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (39b)

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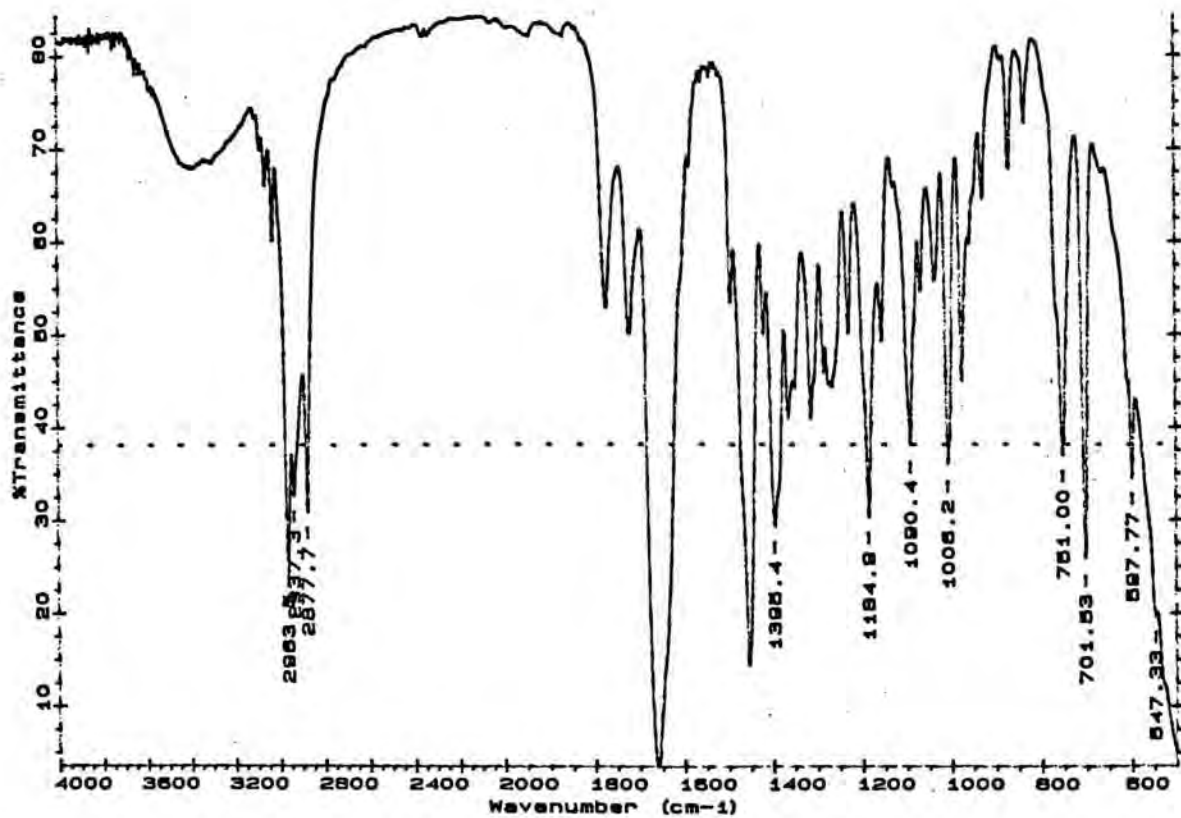


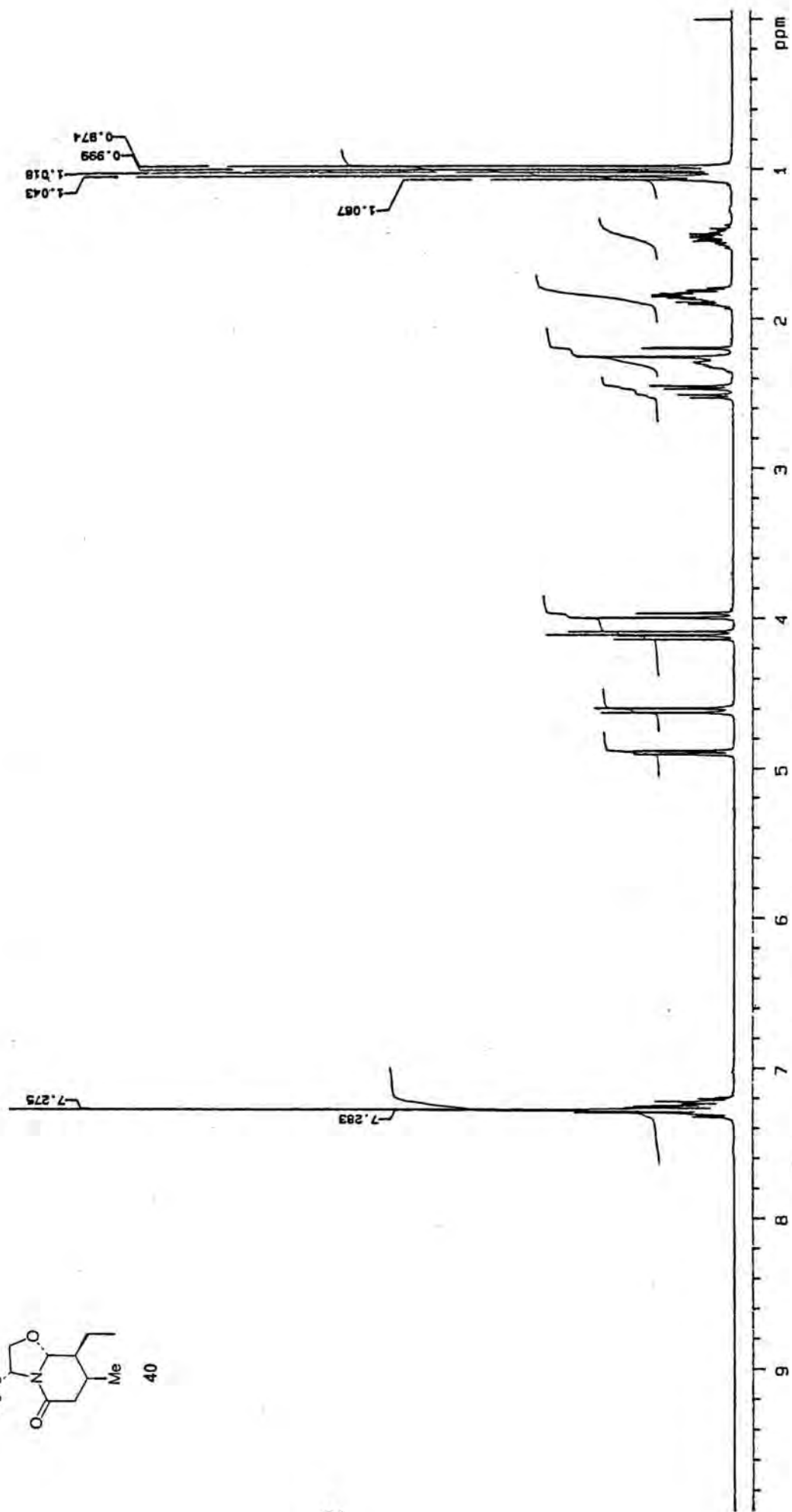
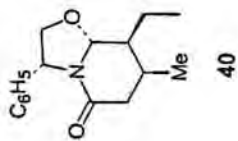


(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3,7-difenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (39b)

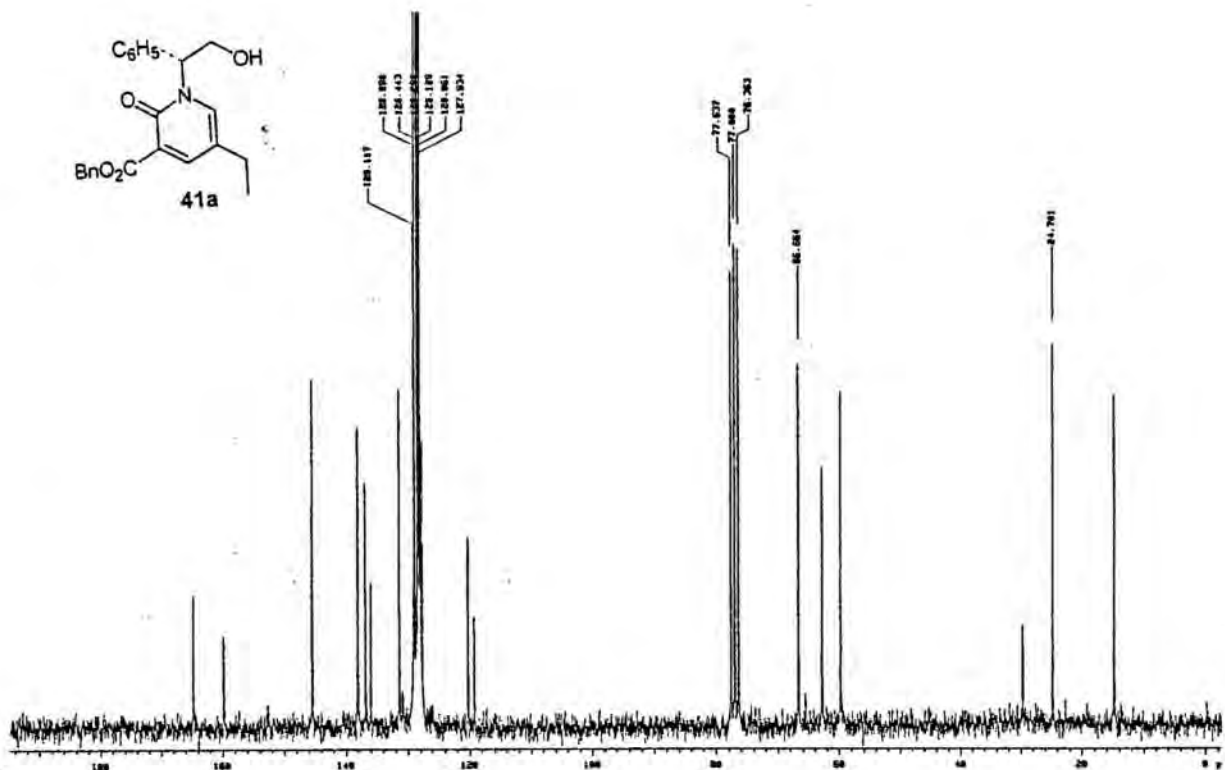
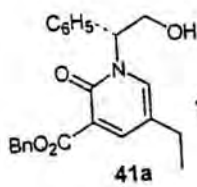


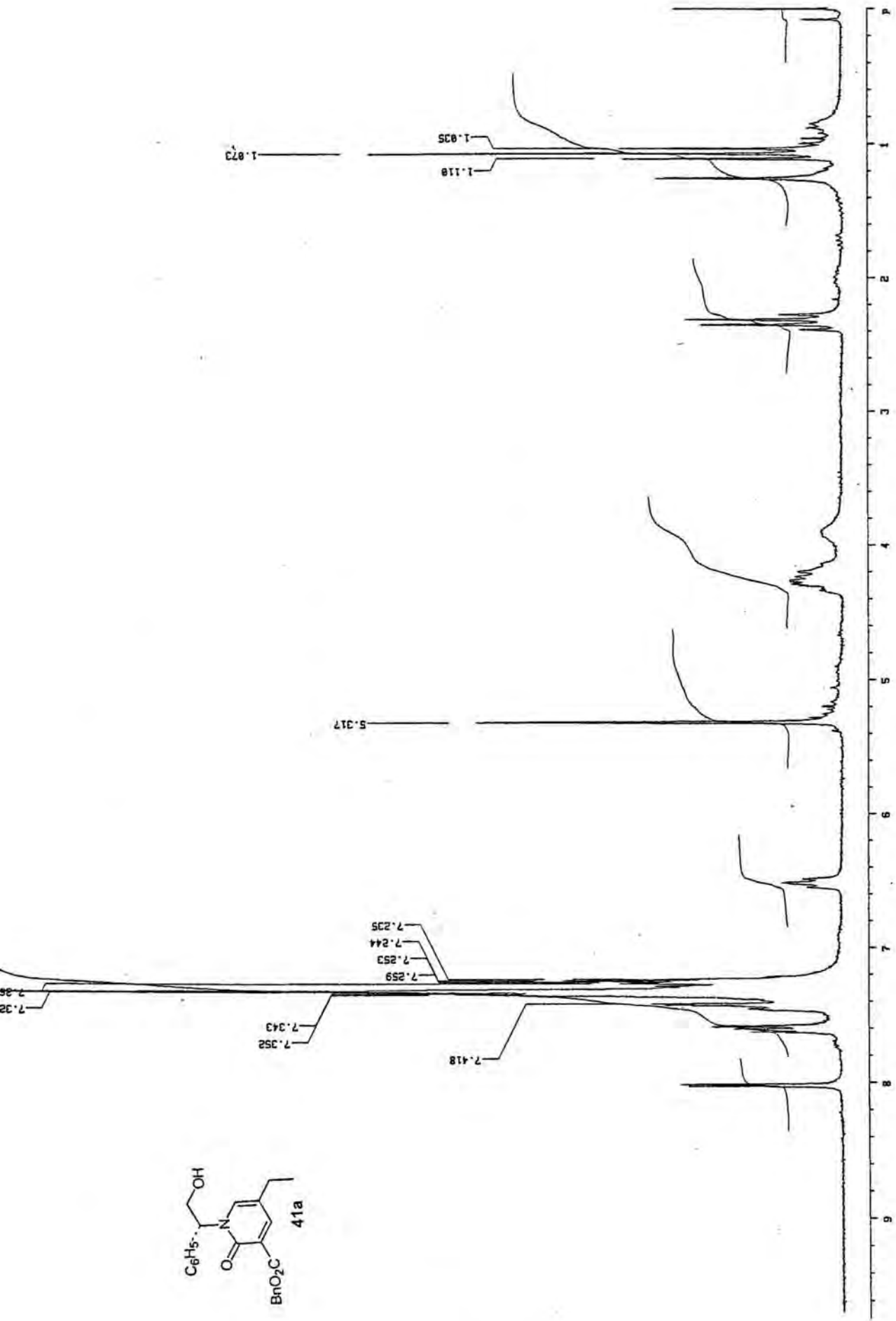
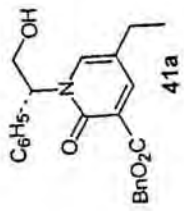
(3R,7S,8S,8aR)-8-Etil-3-fenil-7-metil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (40)



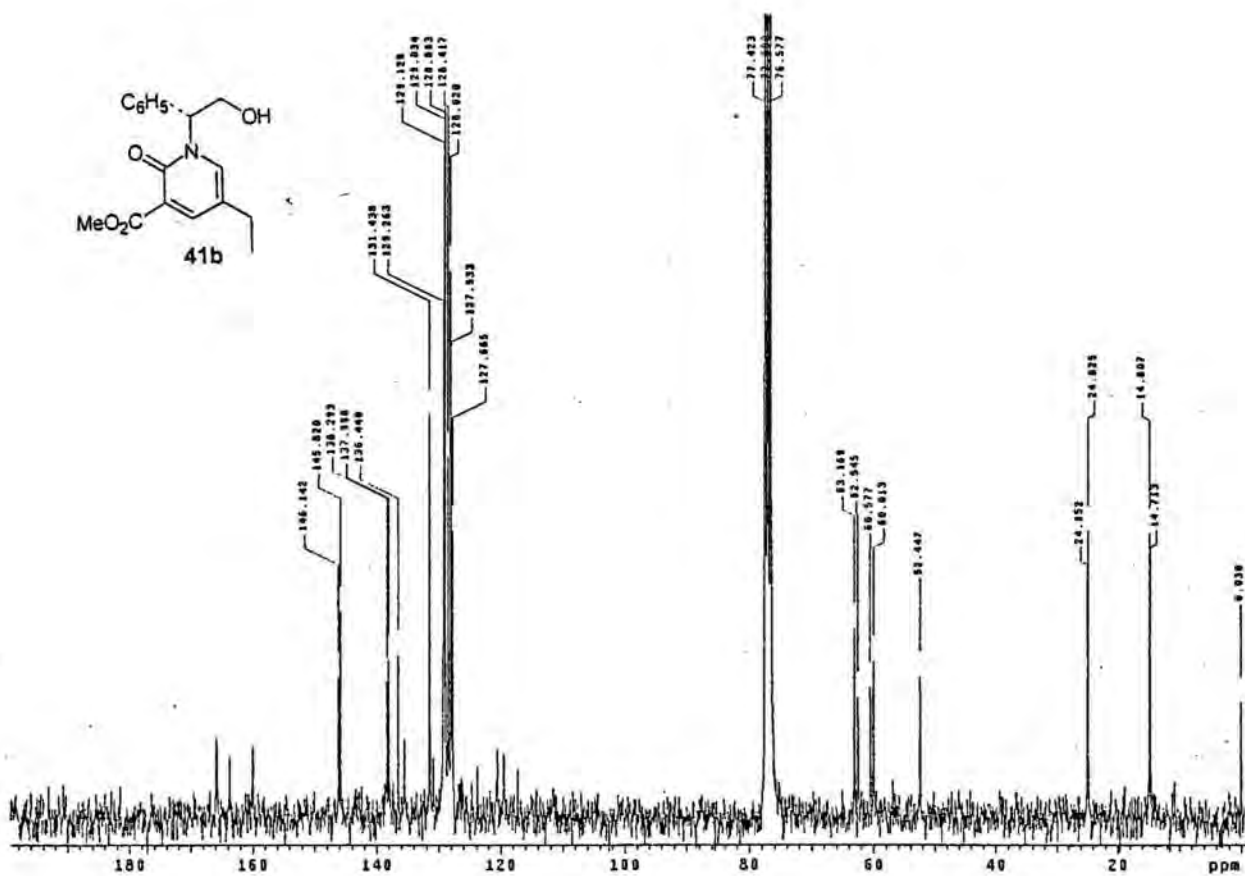


(3R,7S,8S,8aR)-8-Ethyl-3-phenyl-7-metil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (40)

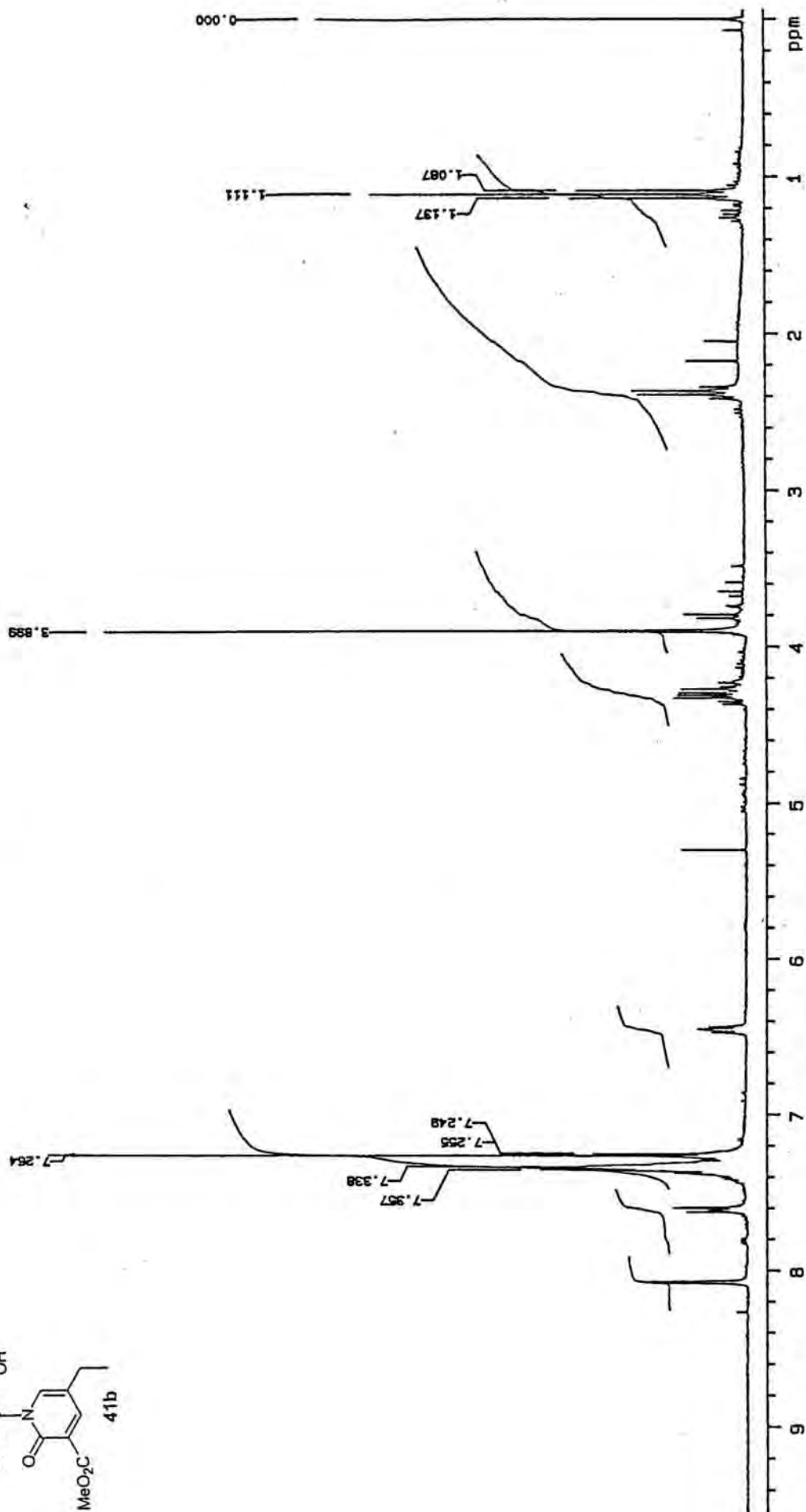
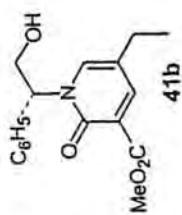




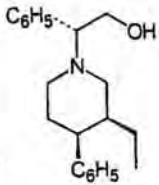
3-(Benziloxycarbonil)-5-etil-1-[(1R)-1-fenil-2-idroxietyl]-1H-2-piridona (41a)



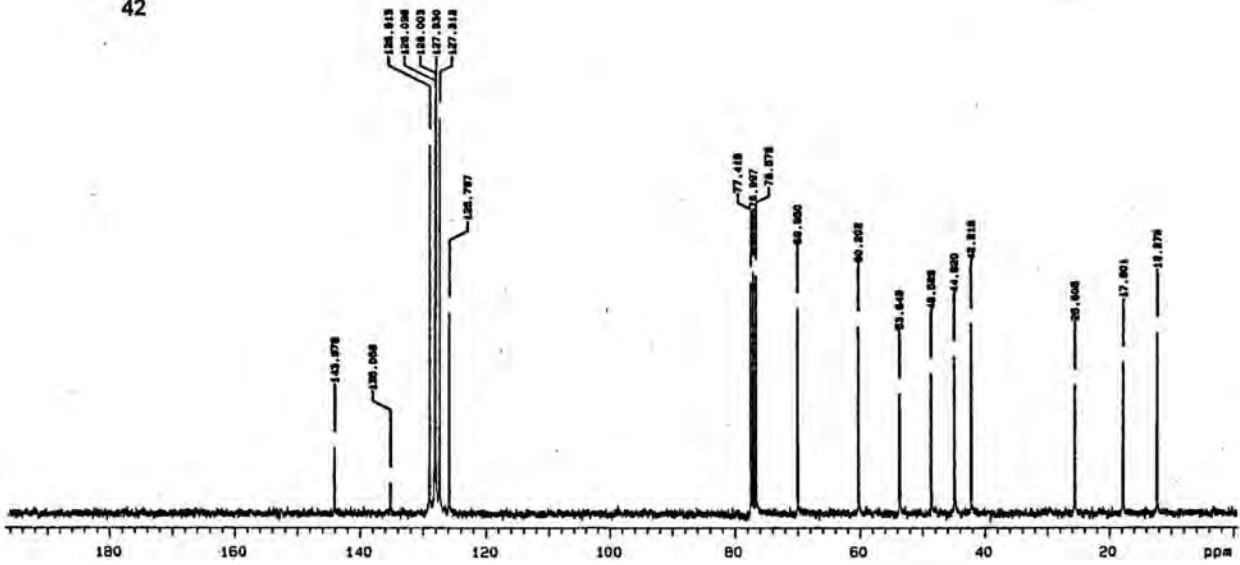
5-Etil-1-[(1R)-1-fenil-2-hidroxietyl]-3-(metoxicarbonil)-1H-2-piridona (41b)



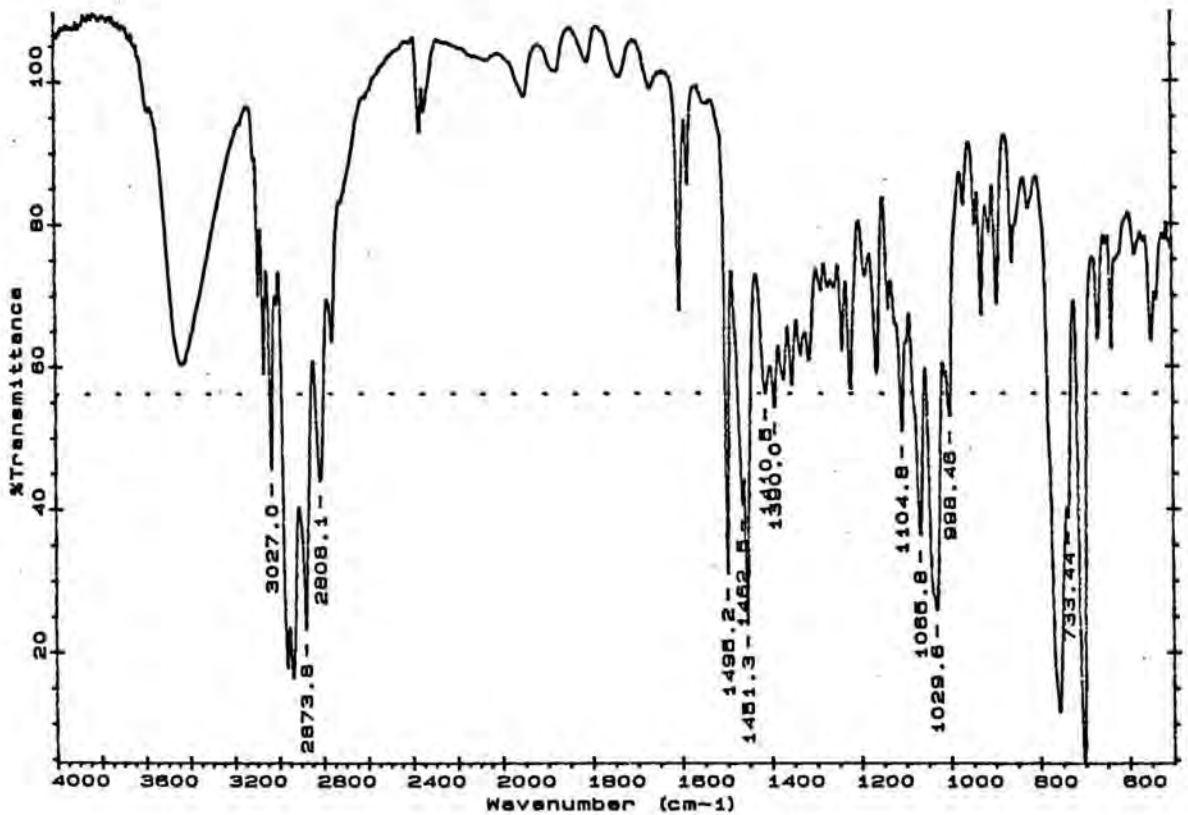
5-Etil-1-[(1*R*)-1-fenil-2-hidroxietyl]-3-(metoxicarbonil)-1*H*-2-piridona (41b)

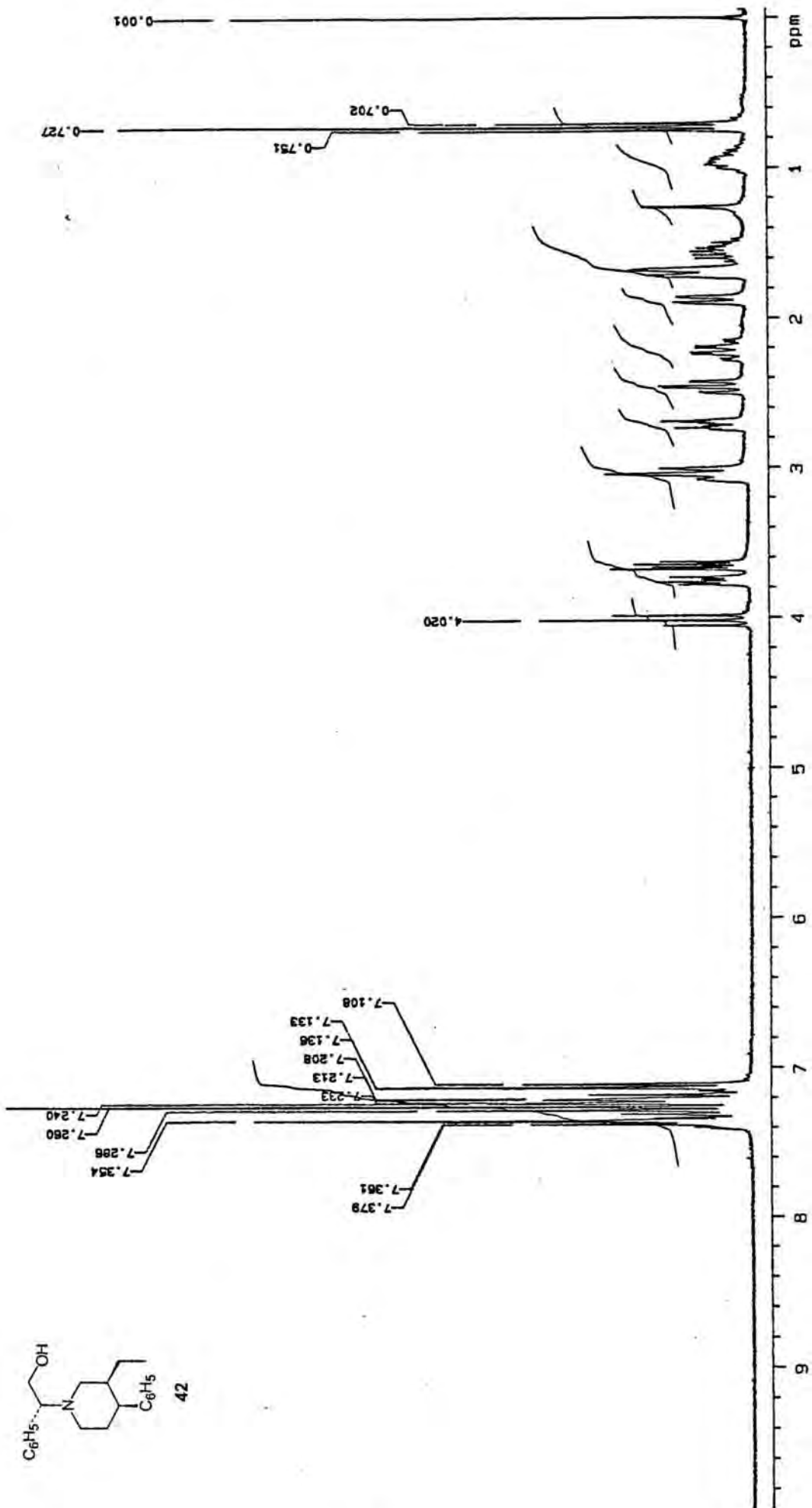


42

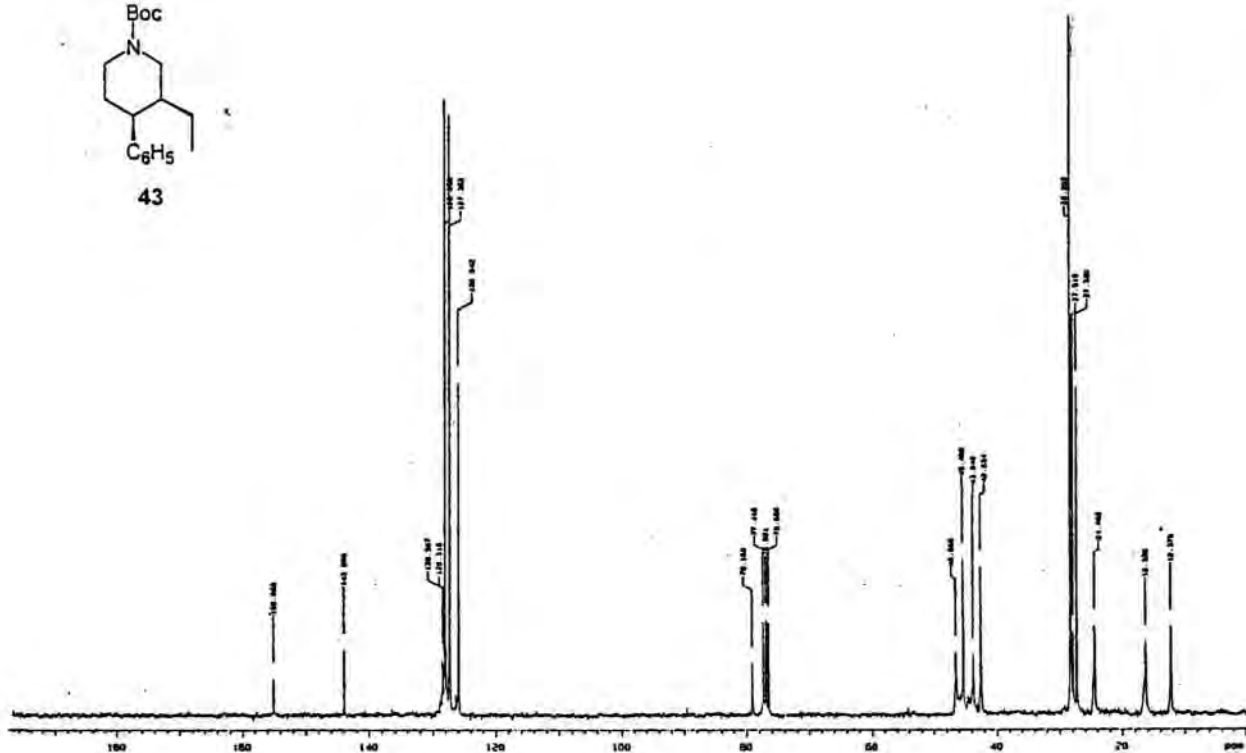
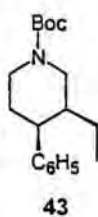


(3S,4S)-3-Etil-4-fenil-1-[(1R)-1-fenil-2-hidroxietyl]piperidina (42)



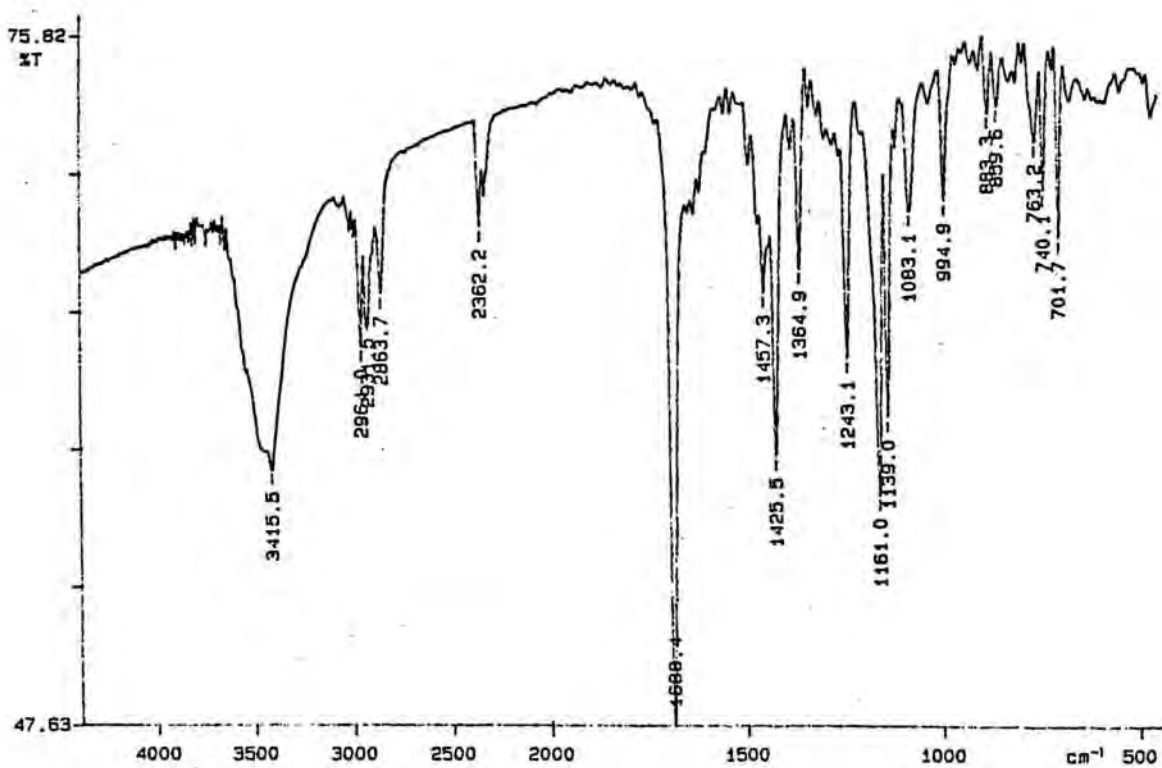


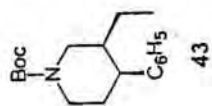
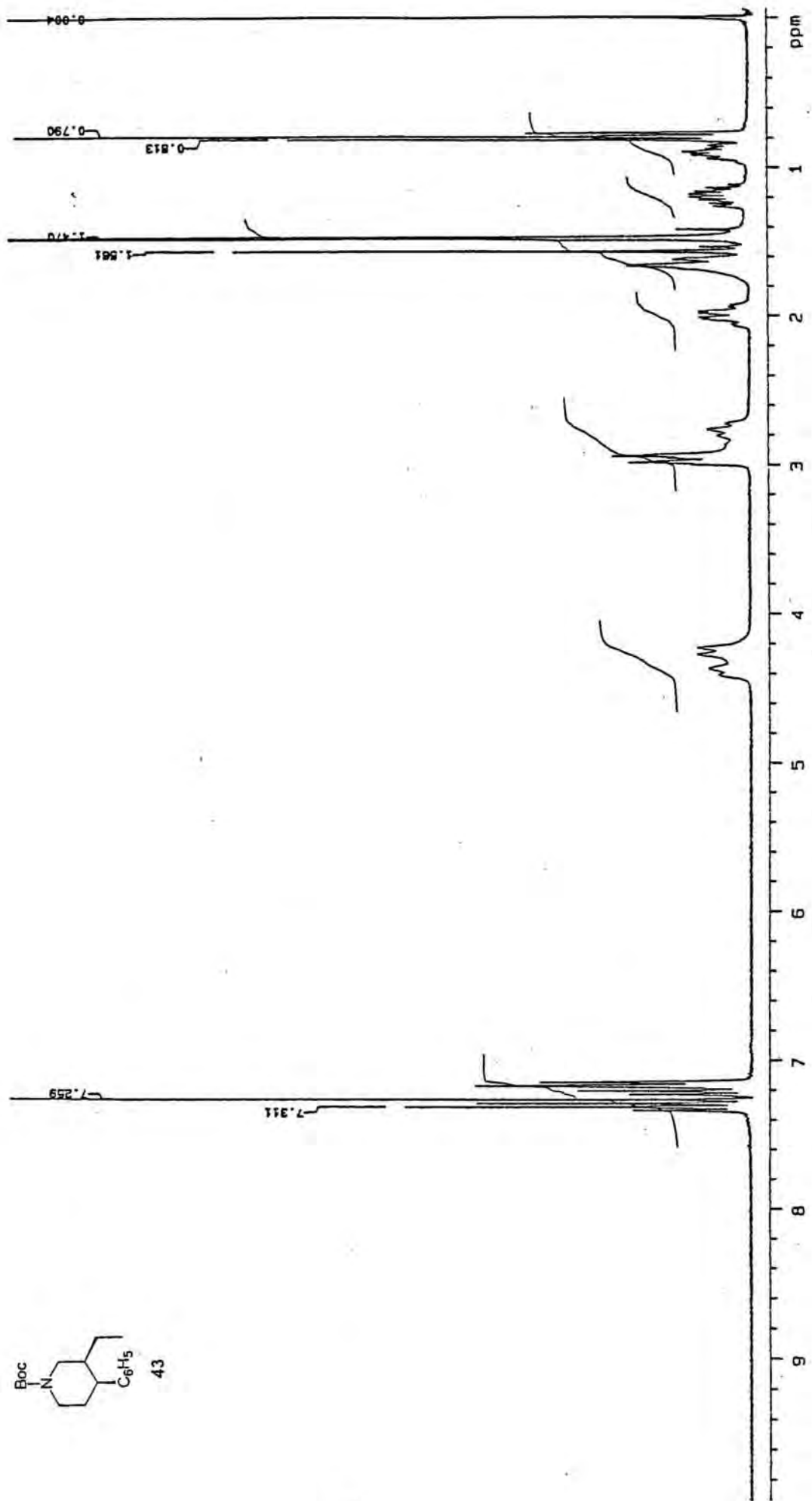
(3S,4S)-3-Ethyl-4-phenyl-1-[(1R)-1-phenyl-2-hydroxyethyl]piperidina (42)



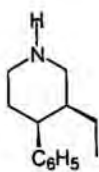
(3R,4S)-N-(tert-Butoxycarbonyl)-3-ethyl-4-phenylpiperidine (43)

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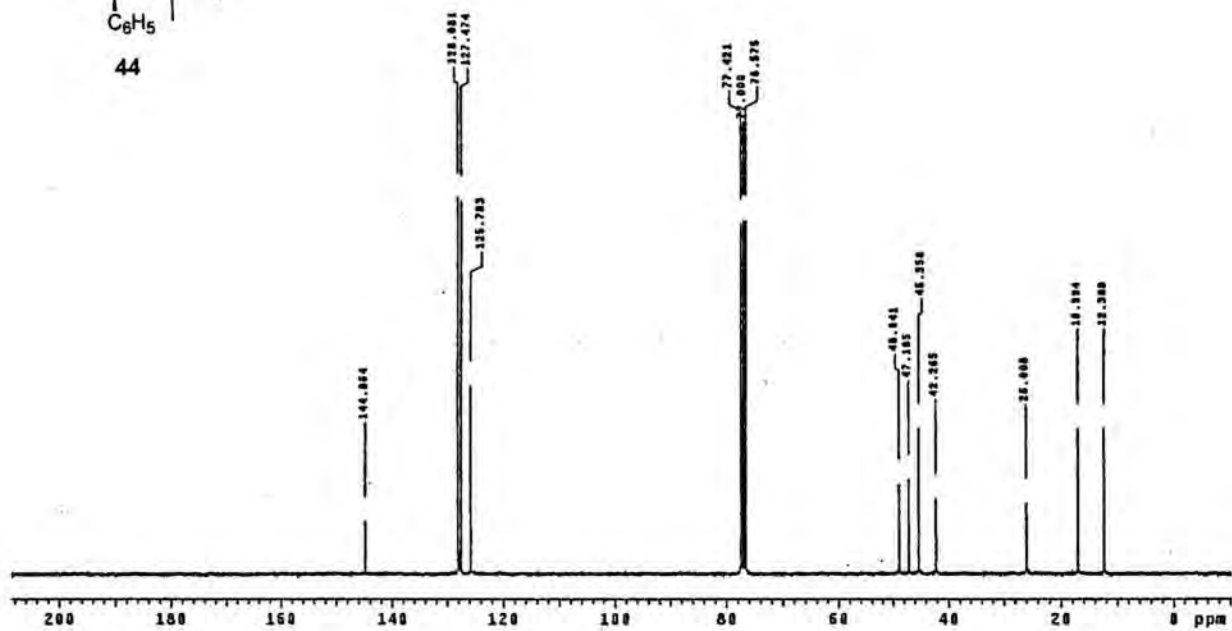




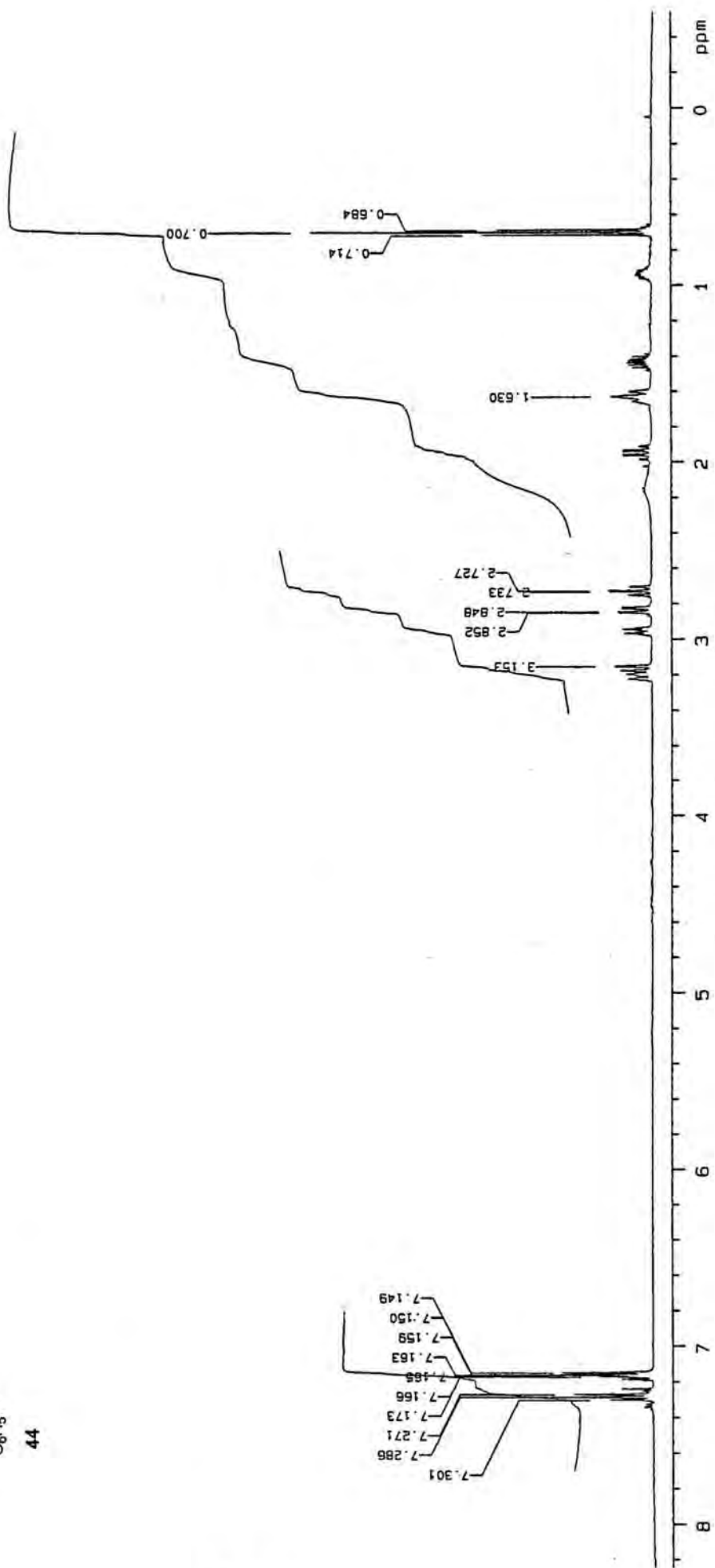
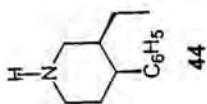
(3*R*,4*S*)-*N*-(*tert*-Butoxycarbonyl)-3-ethyl-4-phenylpiperidina (43)



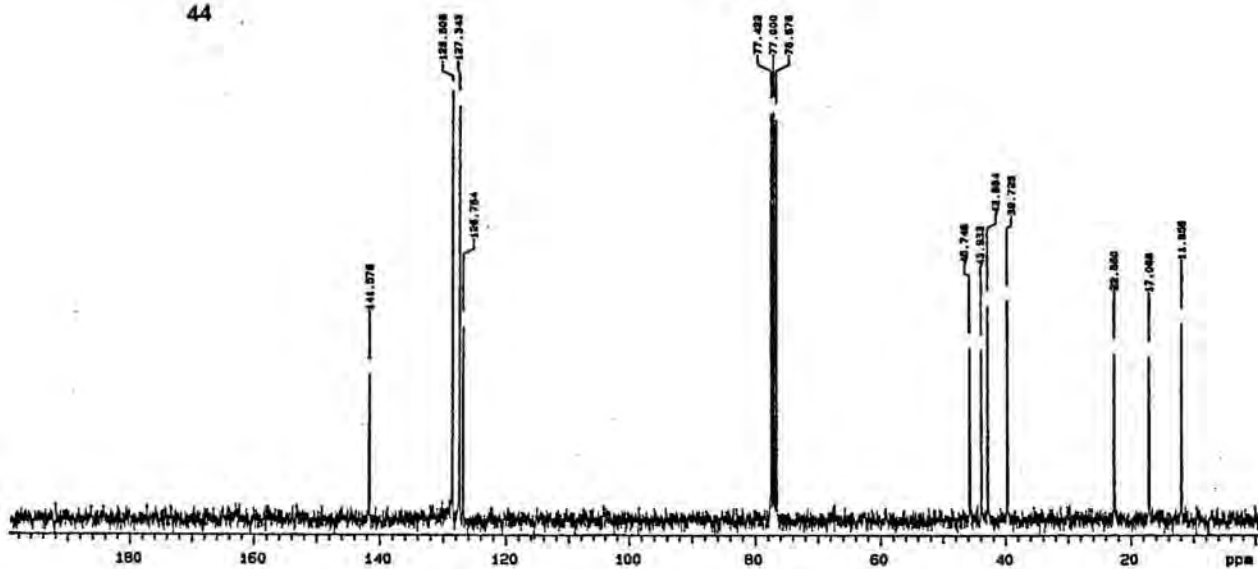
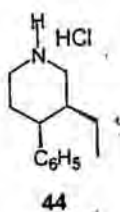
44



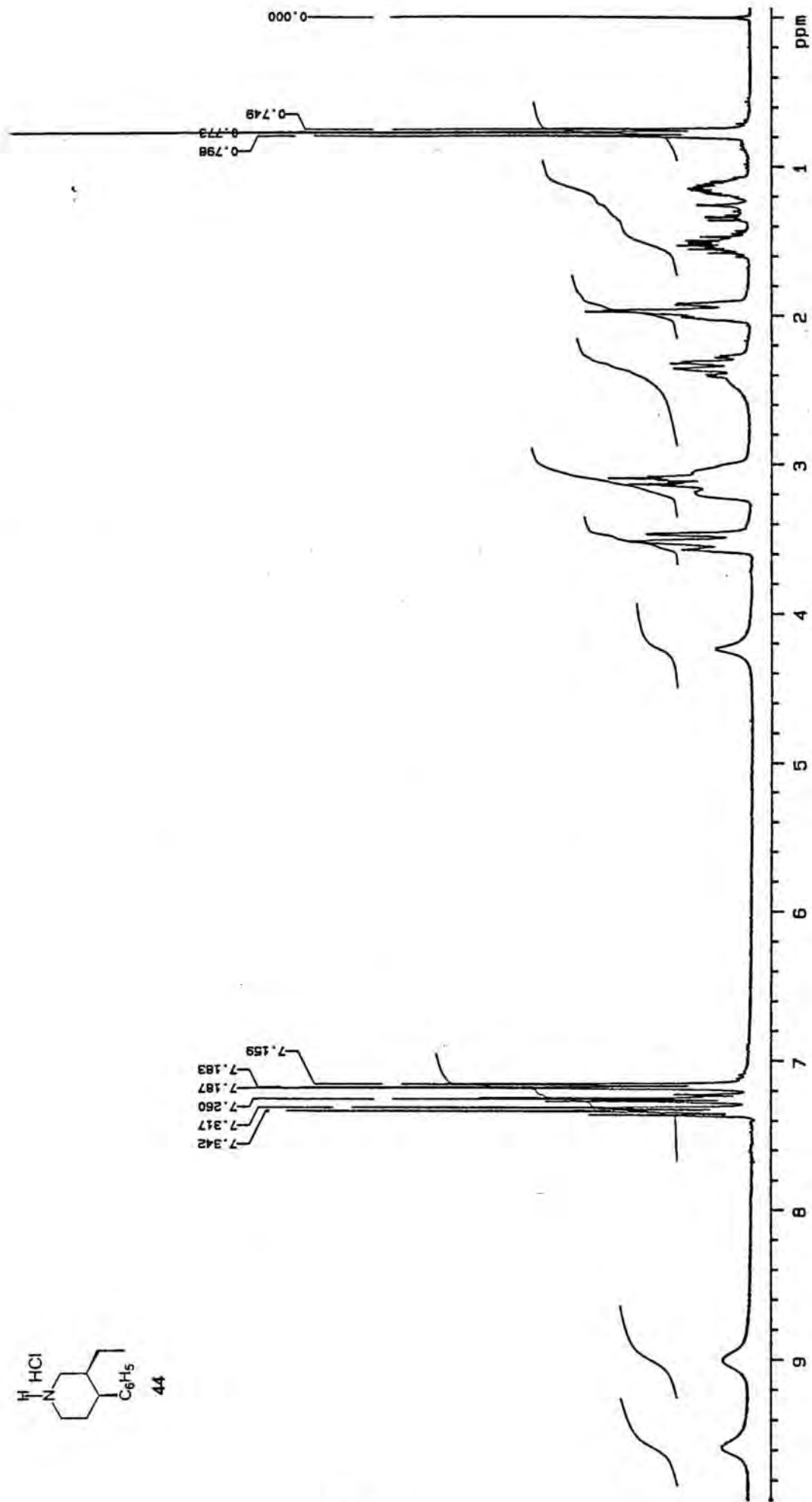
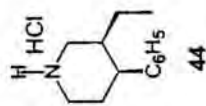
(3S,4S)-3-Etil-4-fenilpiperidina (44)



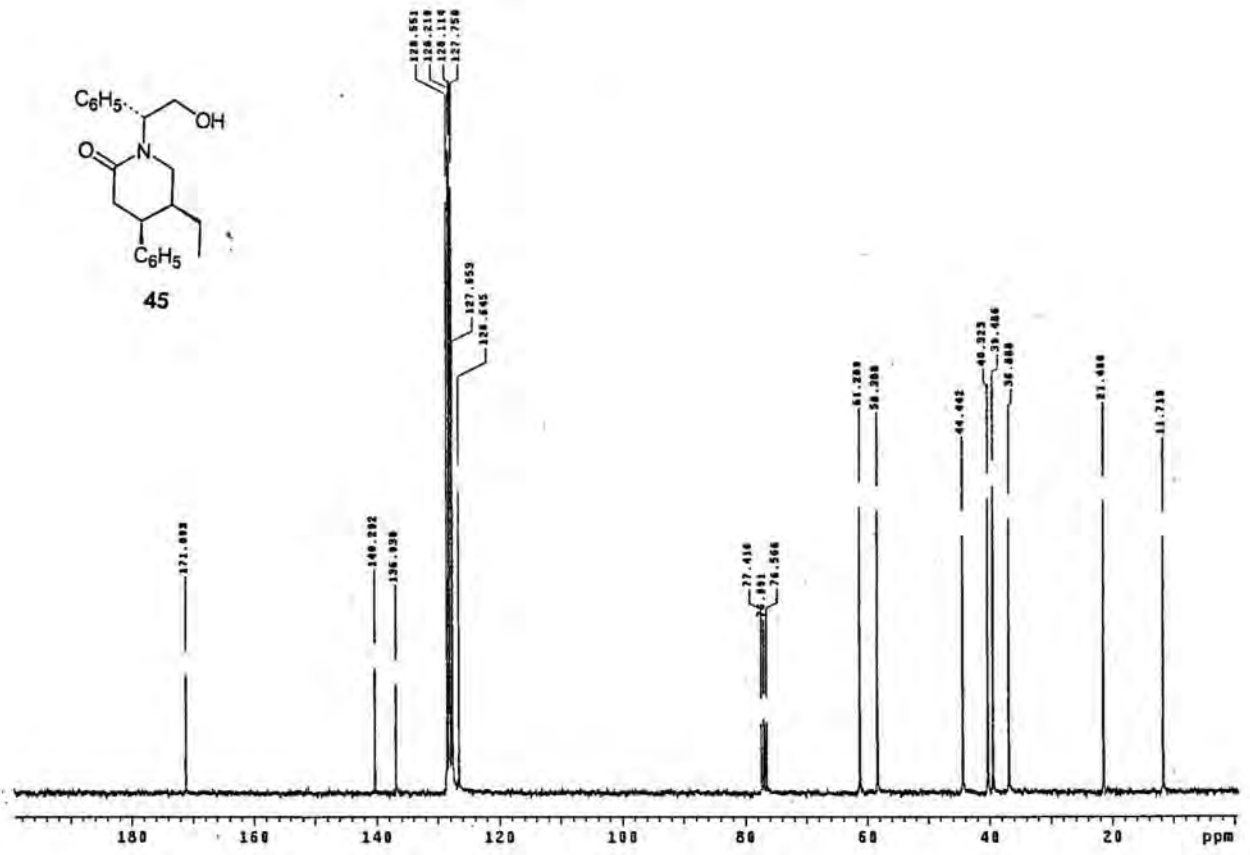
(3S,4S)-3-Etil-4-fenilpiperidina (44)



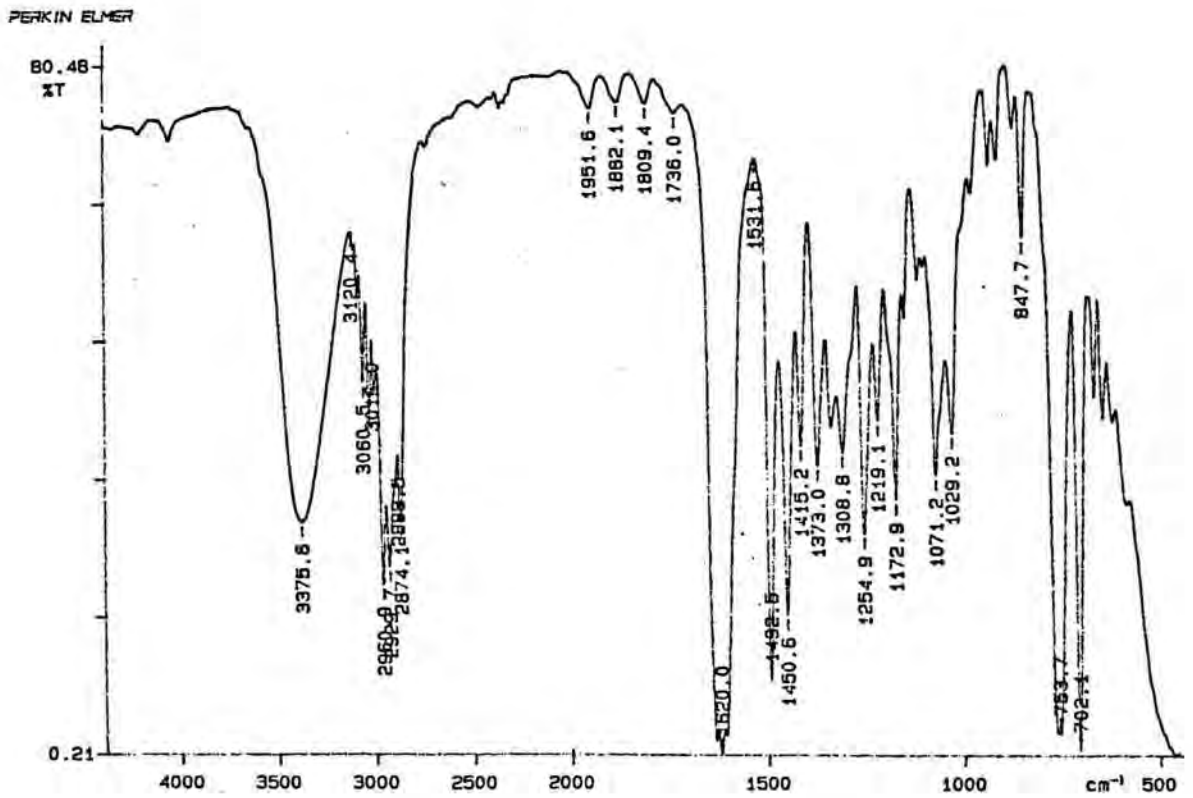
(3S,4S)-3-Etil-4-fenilpiperidina (44)

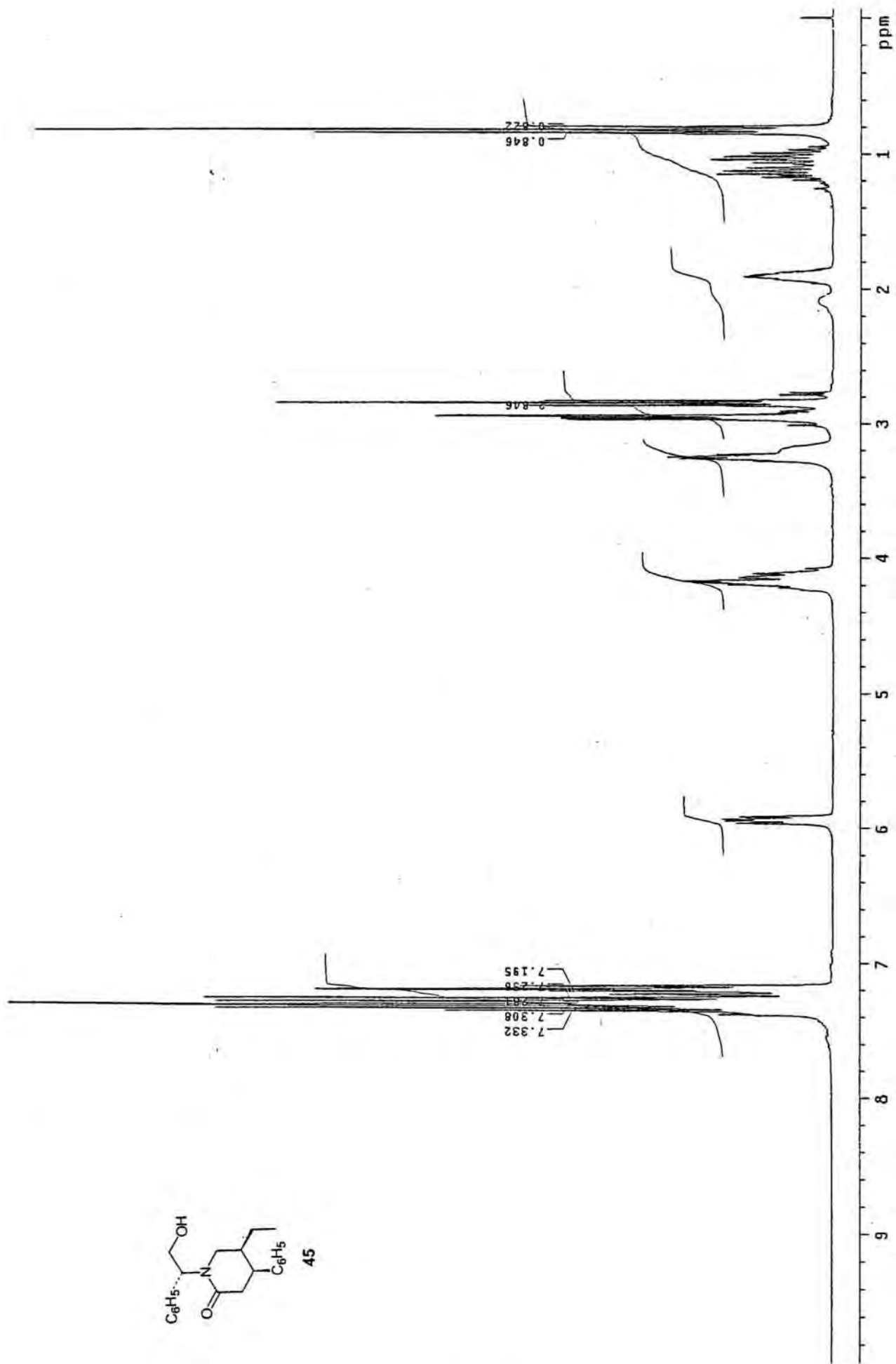
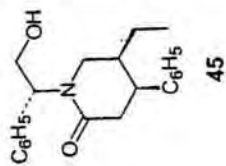


(3S,4S)-3-Ethyl-4-phenylpiperidine (44)

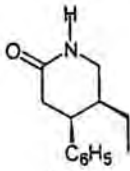


(4S,5S)-5-Etil-4-fenil-1-[(1R)-1-fenil-2-hidroxietyl]-2-piperidona (45)

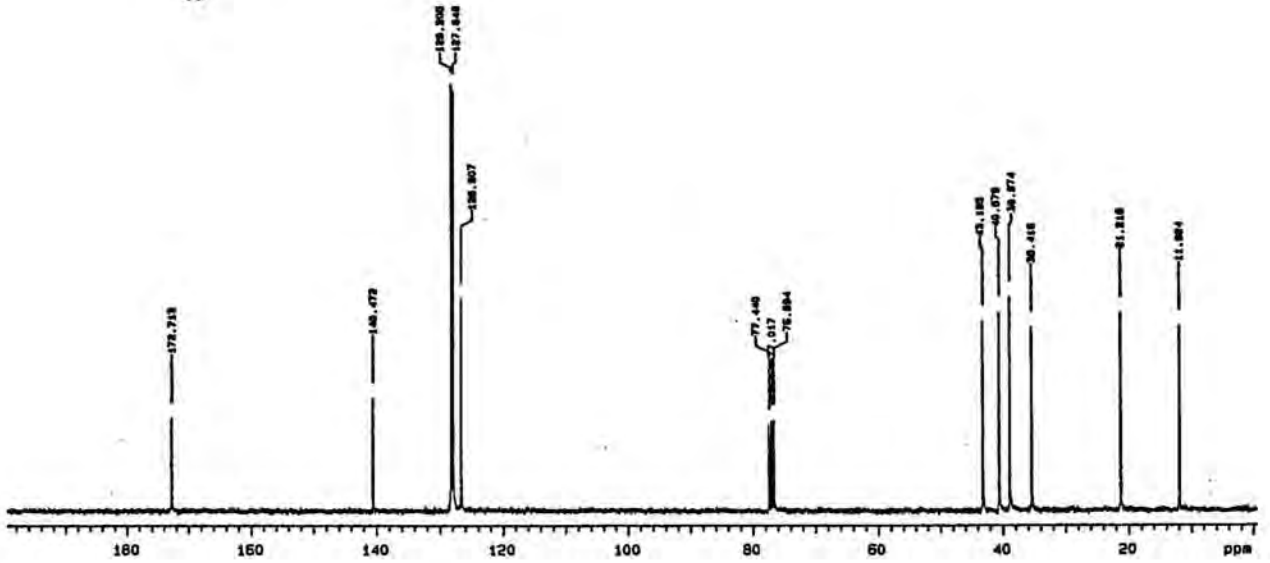




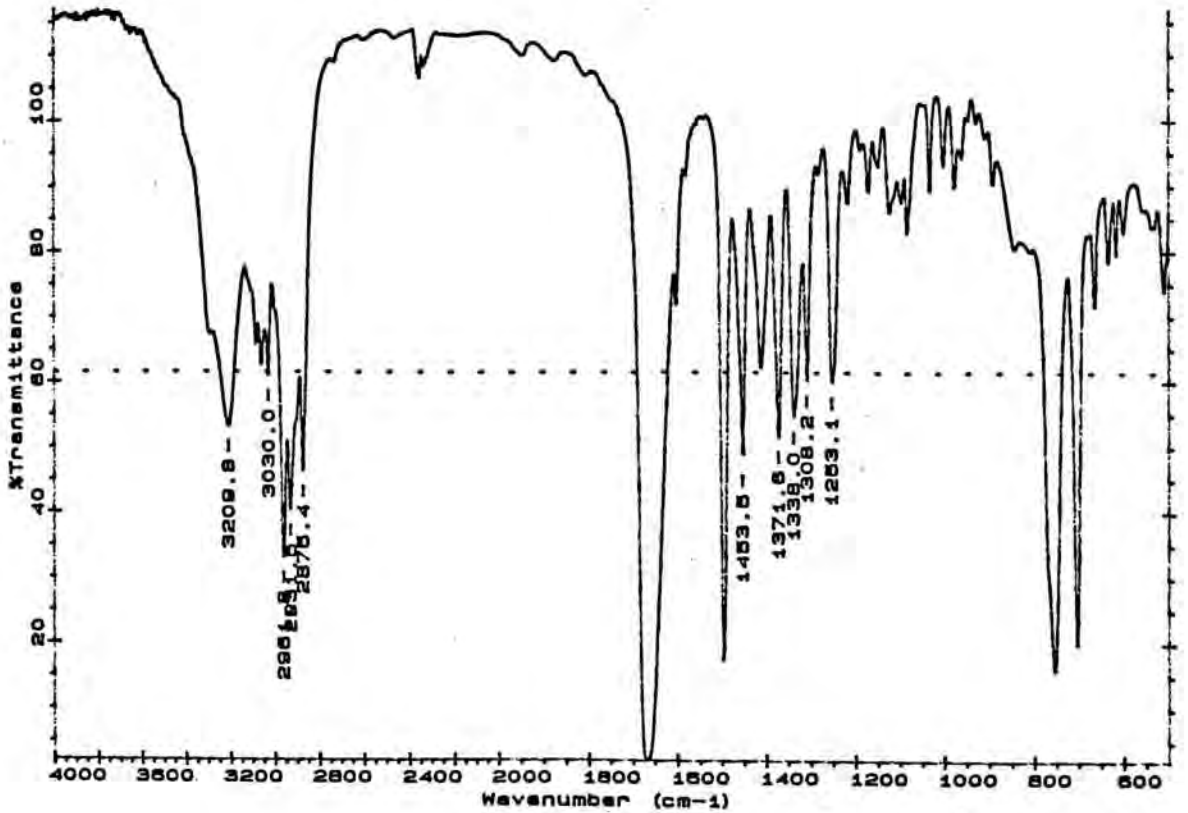
(4S,5S)-5-Etil-4-fenil-1-[(1R)-1-fenil-2-hidroxietil]-2-piperidona (45)

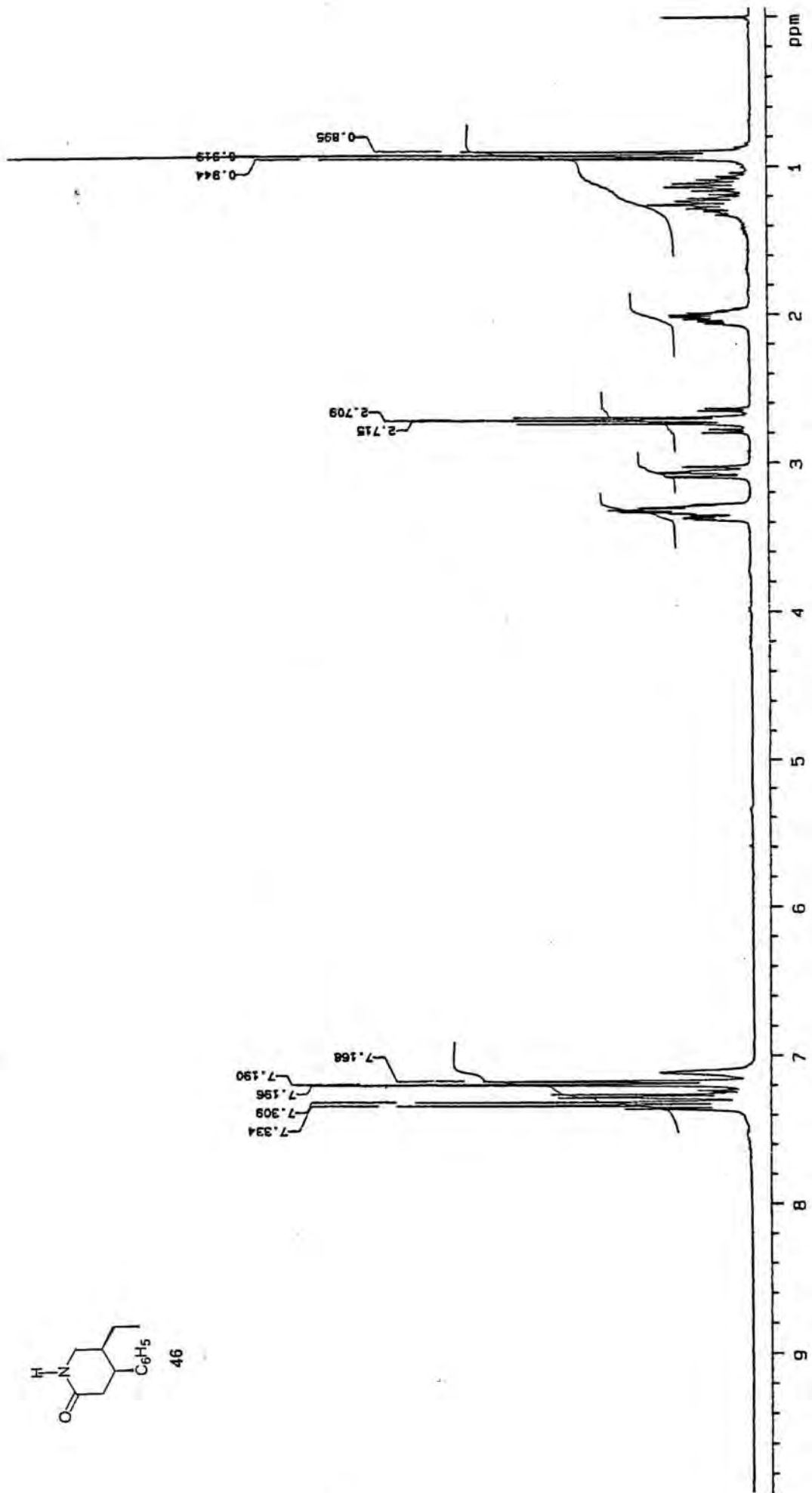
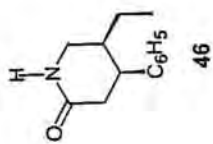


46

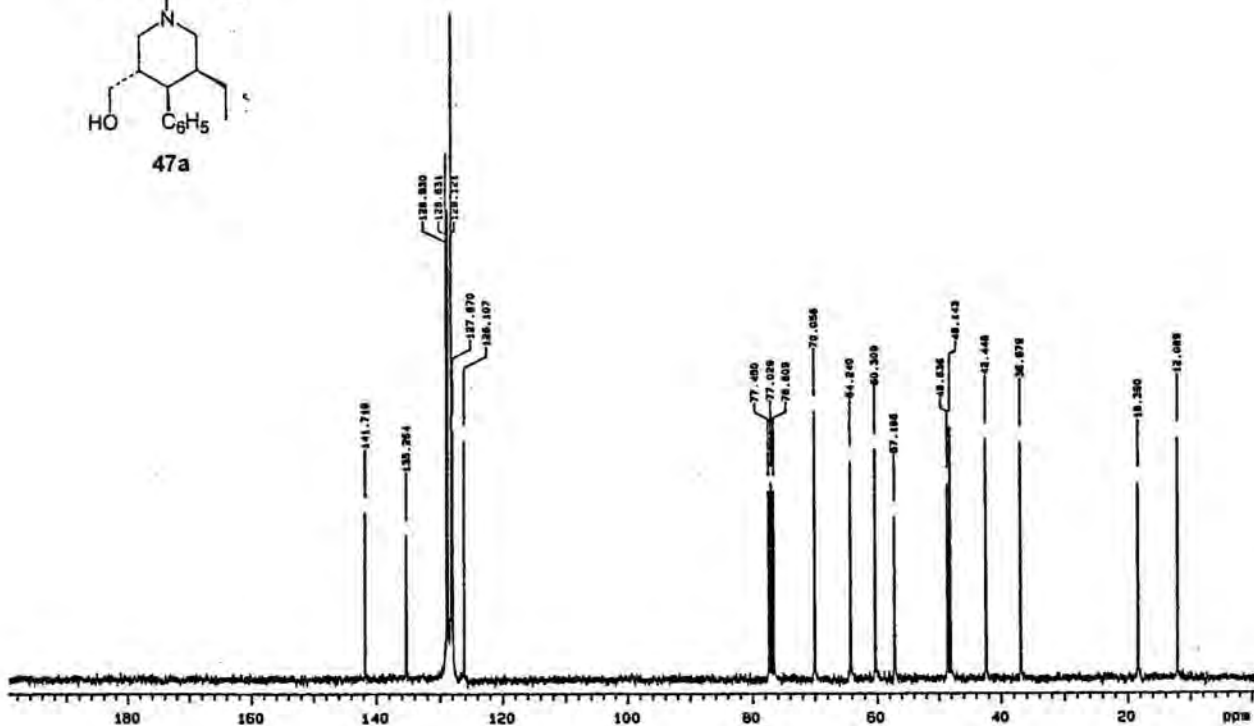
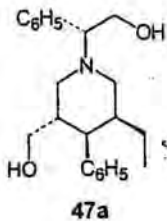


(4S,5S)-5-Etil-4-fenil-2-piperidona (46)

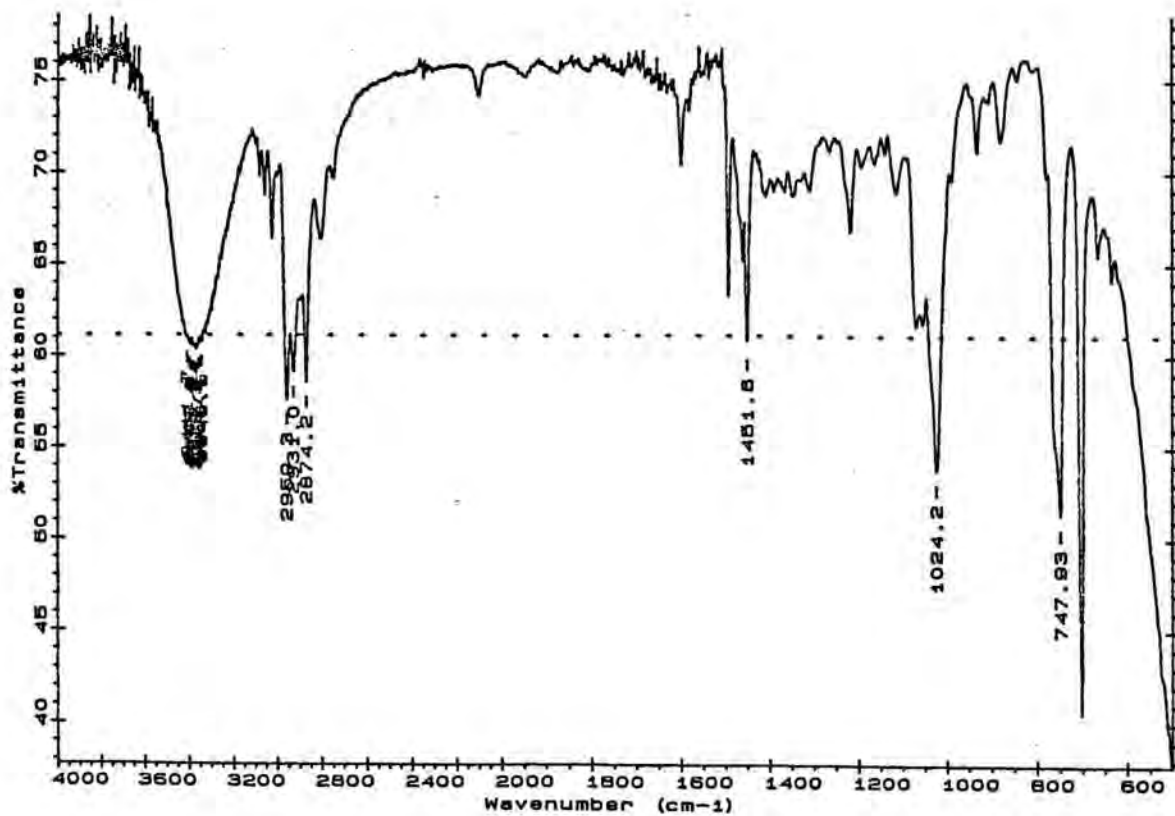


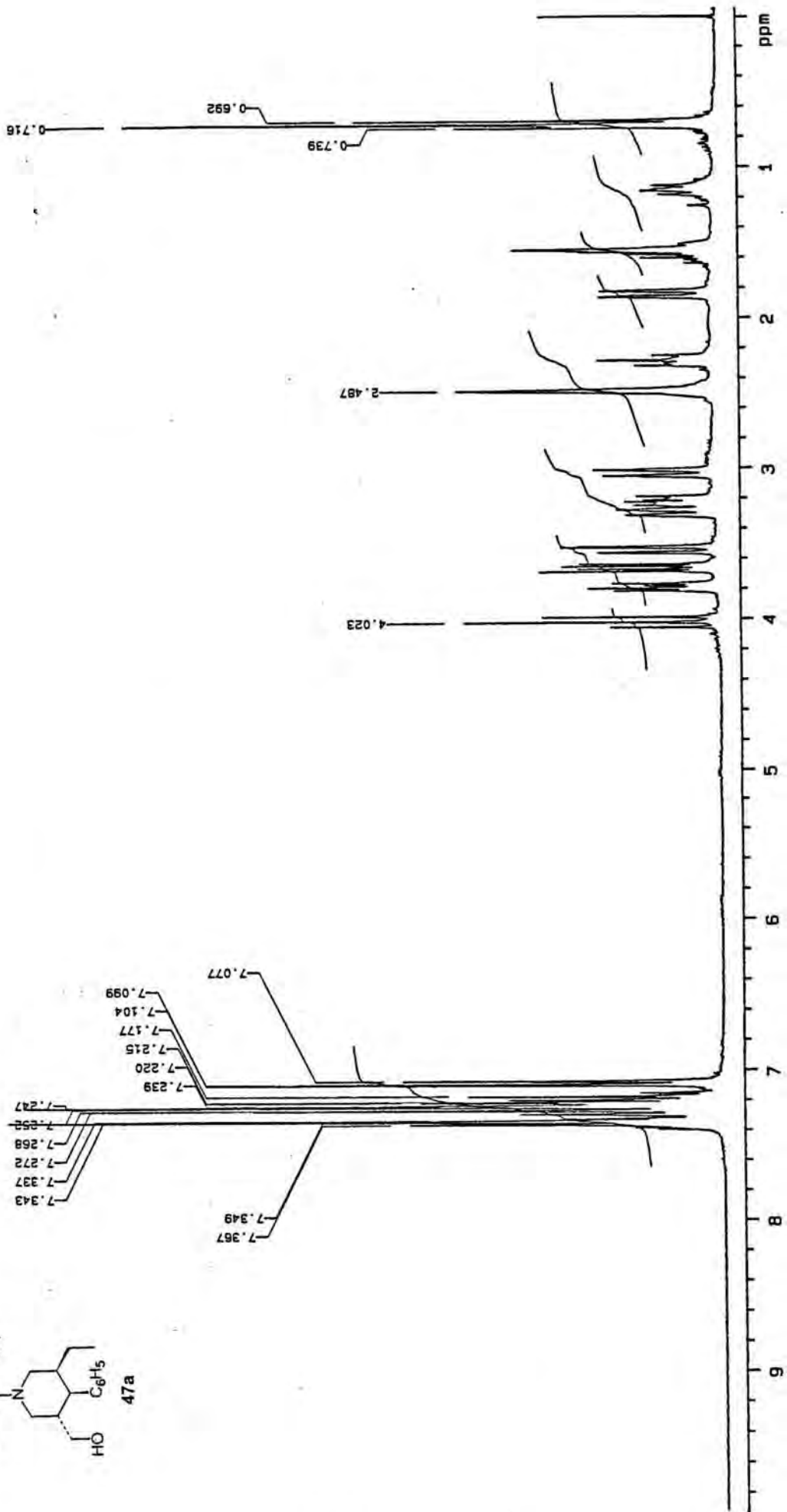
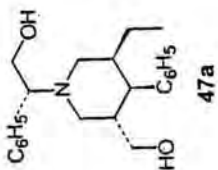


(4S,5S)-5-Ethyl-4-phenyl-2-piperidone (46)

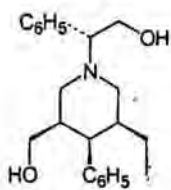


(3S,4R,5S)-5-Etil-4-fenil-1-[(1R)-1-fenil-2-hidroxietil]-3-piperidinametanol (47a)

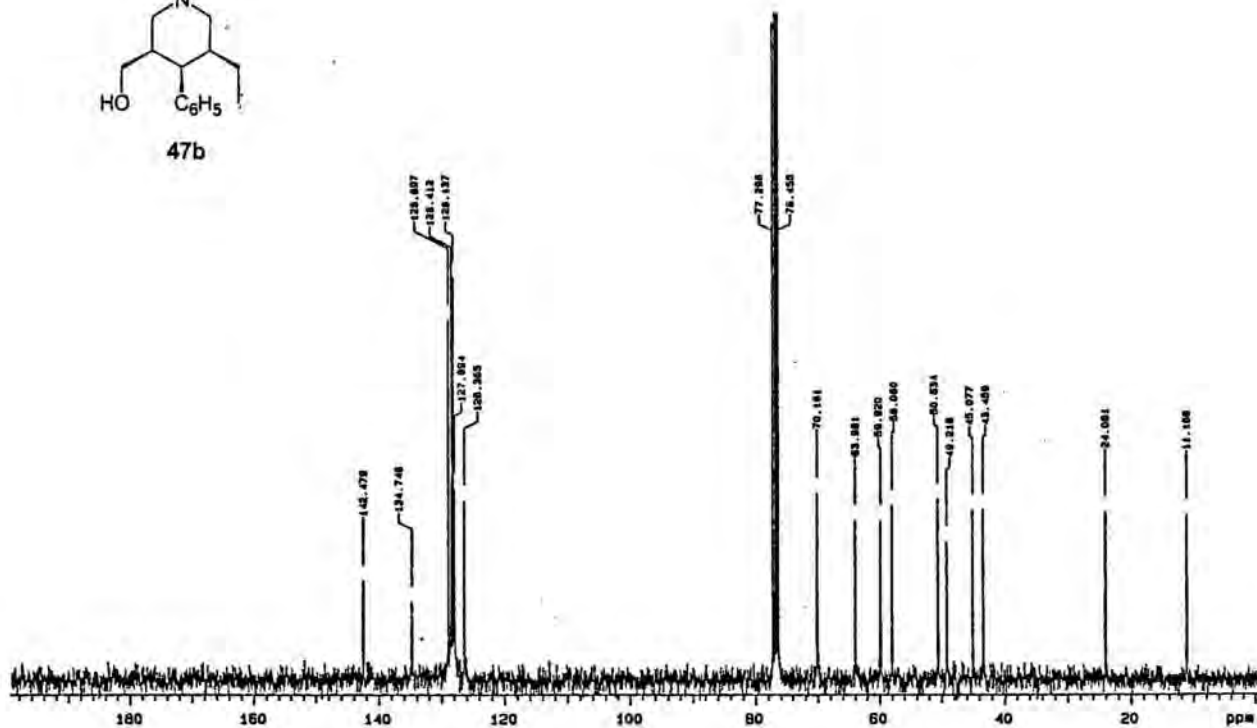




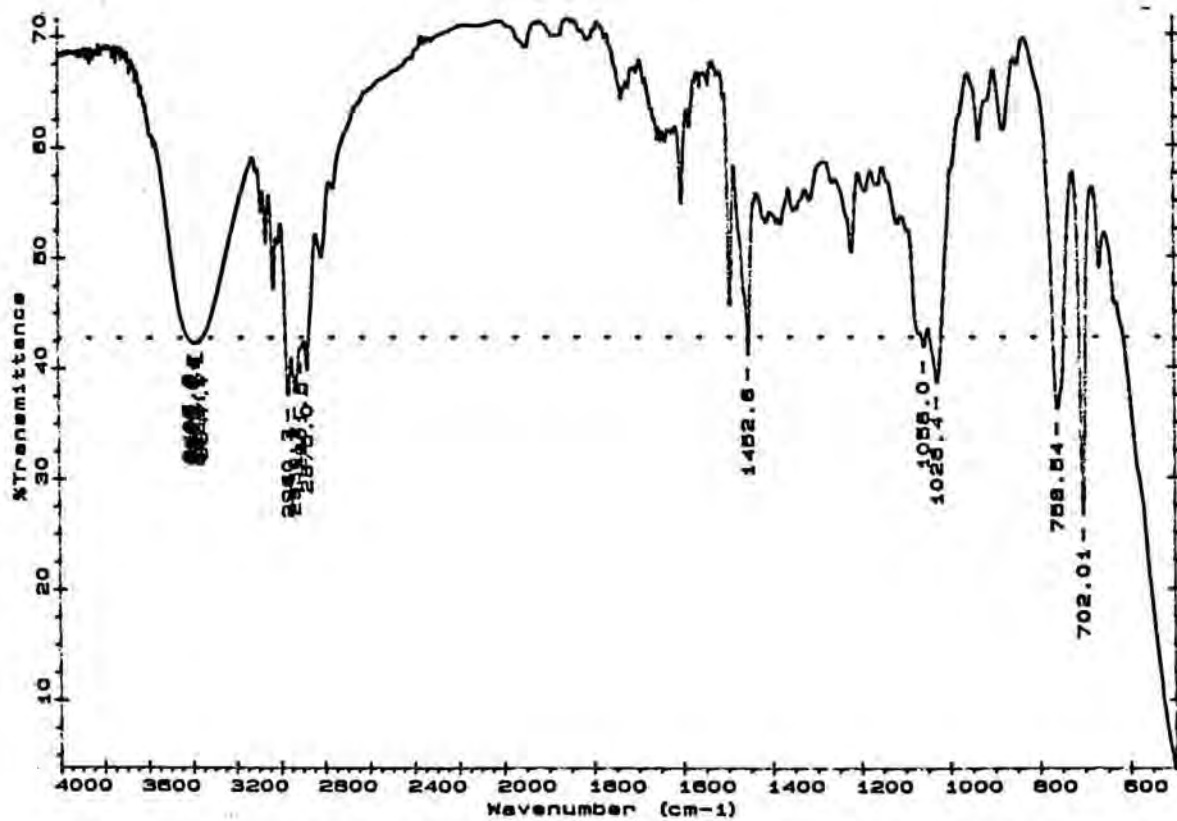
(3S,4R,5S)-5-Ethyl-4-phenyl-1-[(1R)-1-phenyl-2-hydroxyethyl]-3-piperidinametanol (47a)

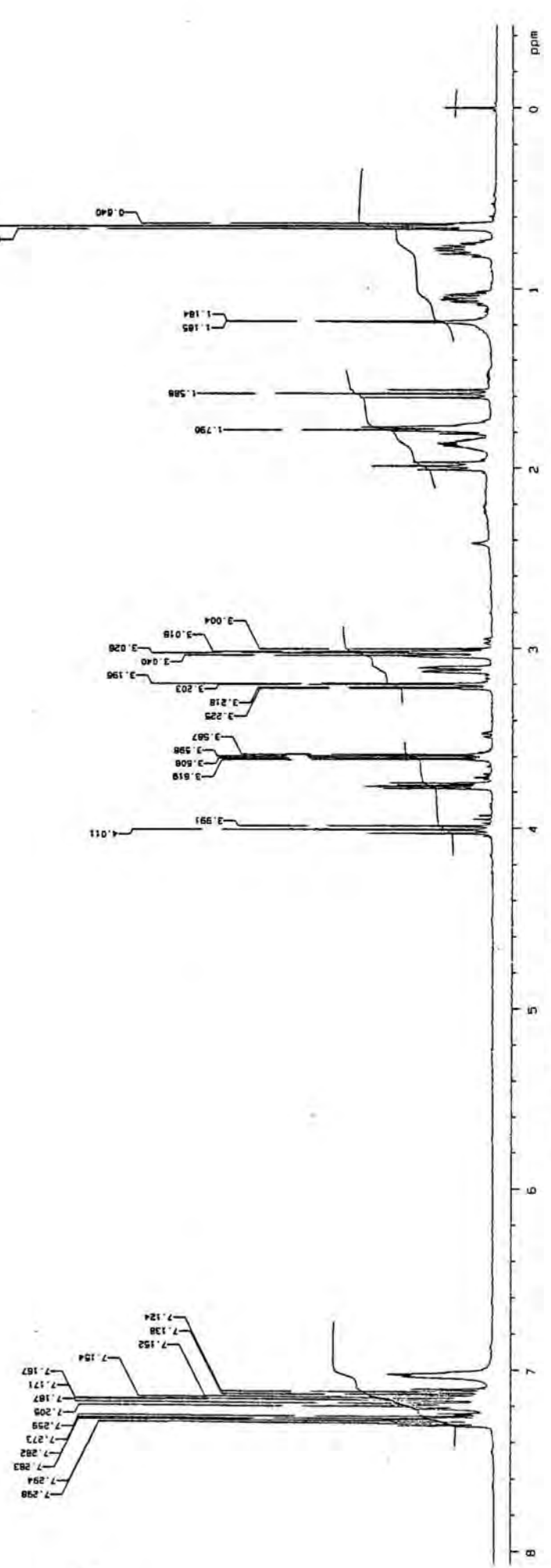
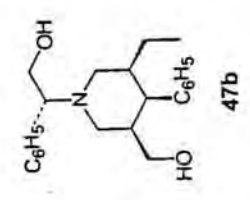


47b

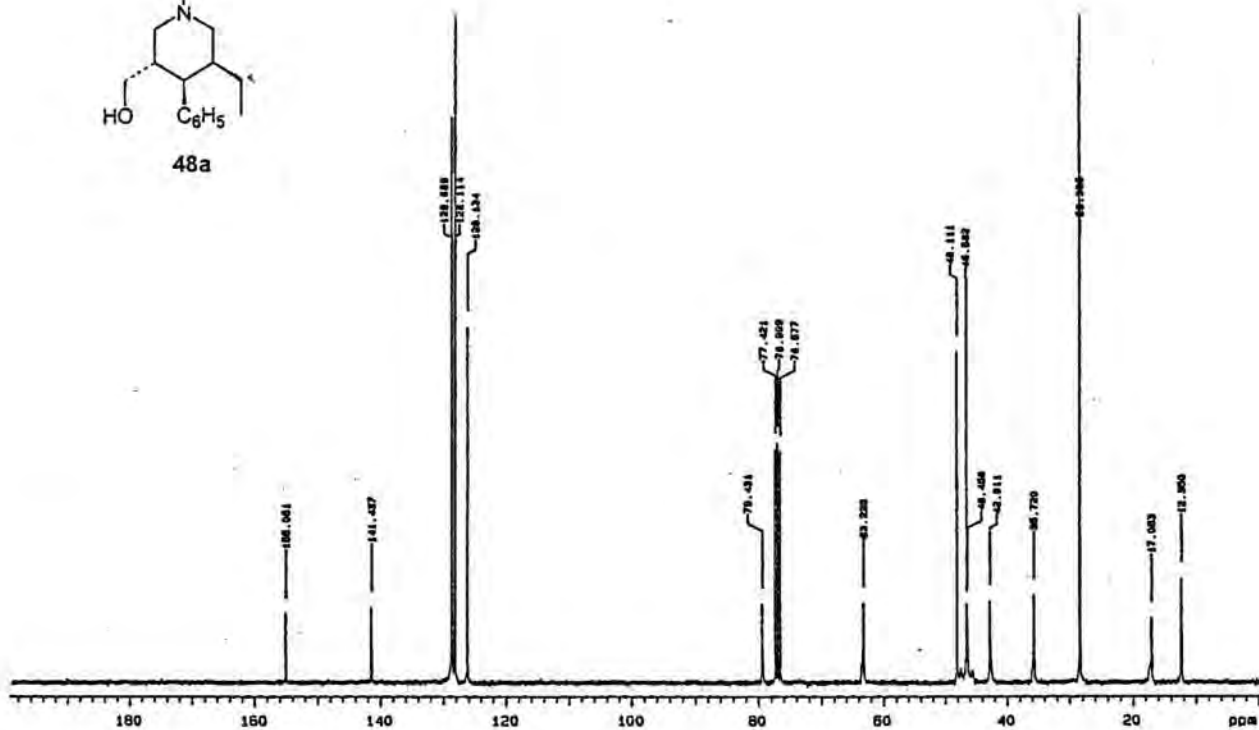
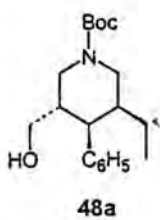


(3R,4R,5S)-5-Etil-4-fenil-1-[(1R)-1-fenil-2-hidroxietil]-3-piperidinametanol (47b)

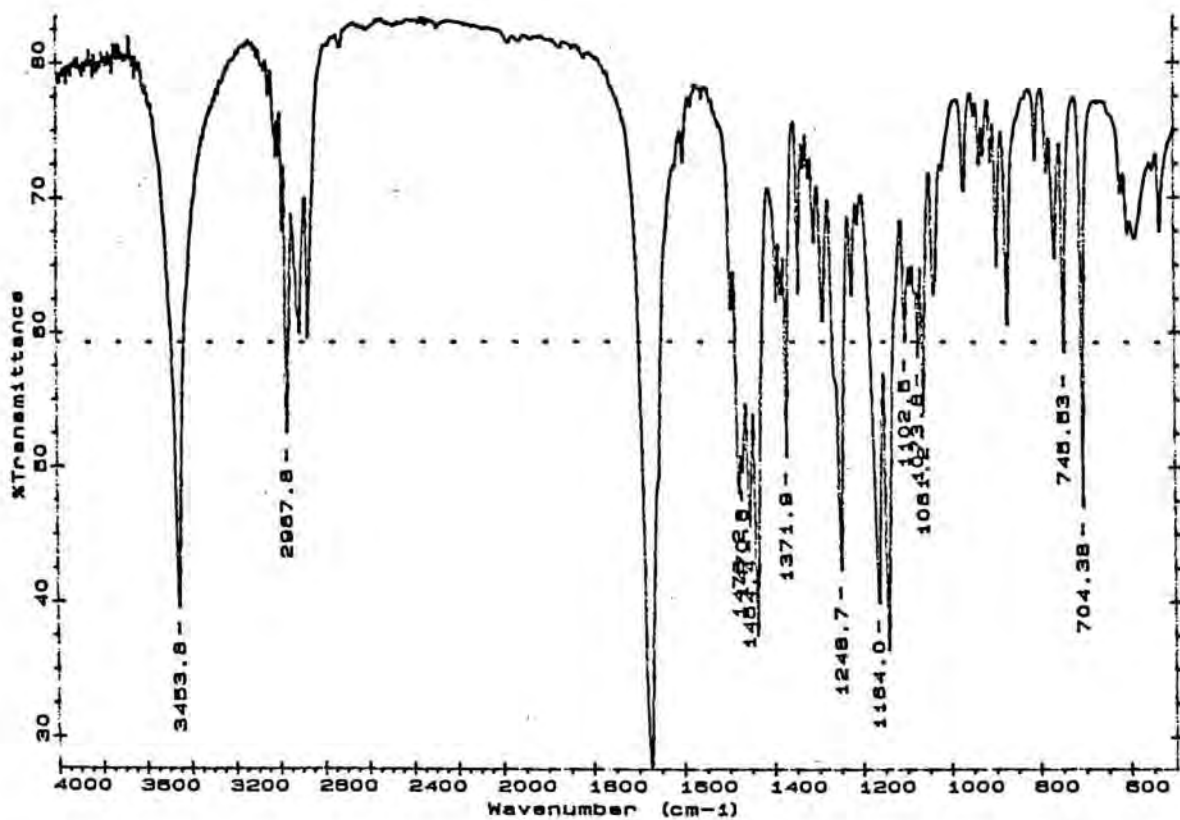


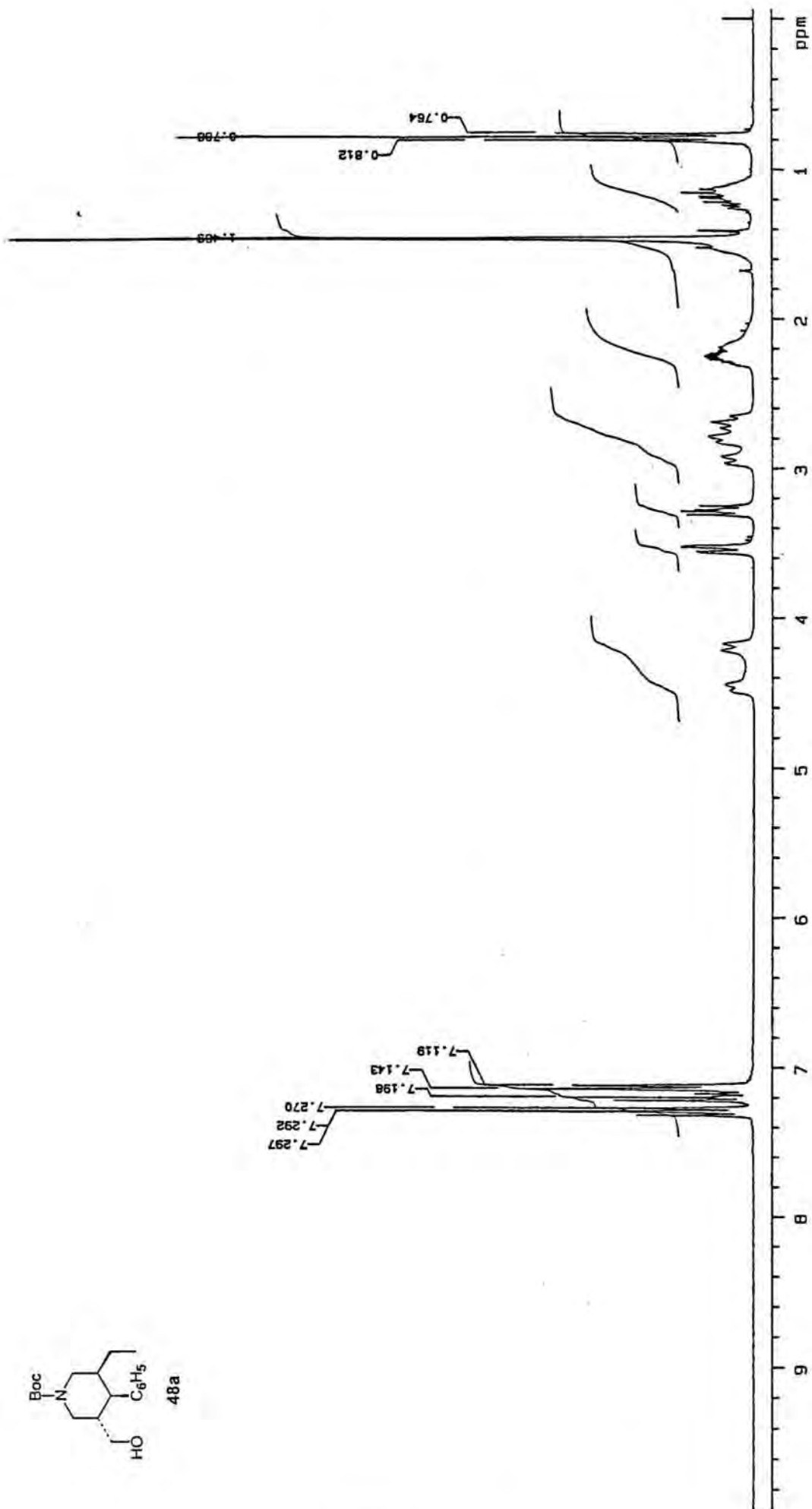
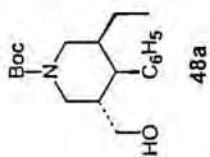


(3*R*,4*R*,5*S*)-5-Etil-4-fenil-1-[(1*R*)-1-fenil-2-hidroxietyl]-3-piperidinametanol (47b)

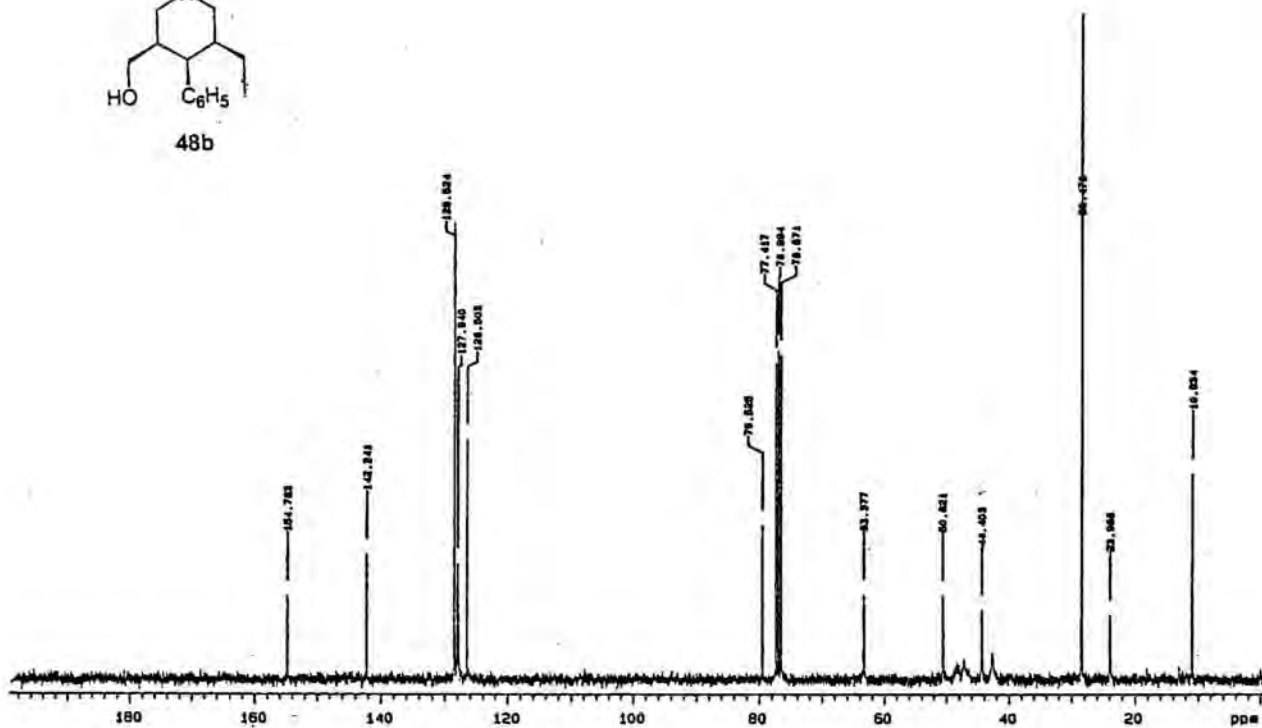
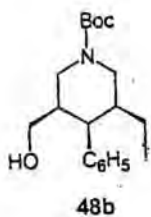


(3S,4R,5S)-N-(*tert*-Butoxycarbonyl)-5-ethyl-4-phenyl-3-piperidinamethanol (48a)

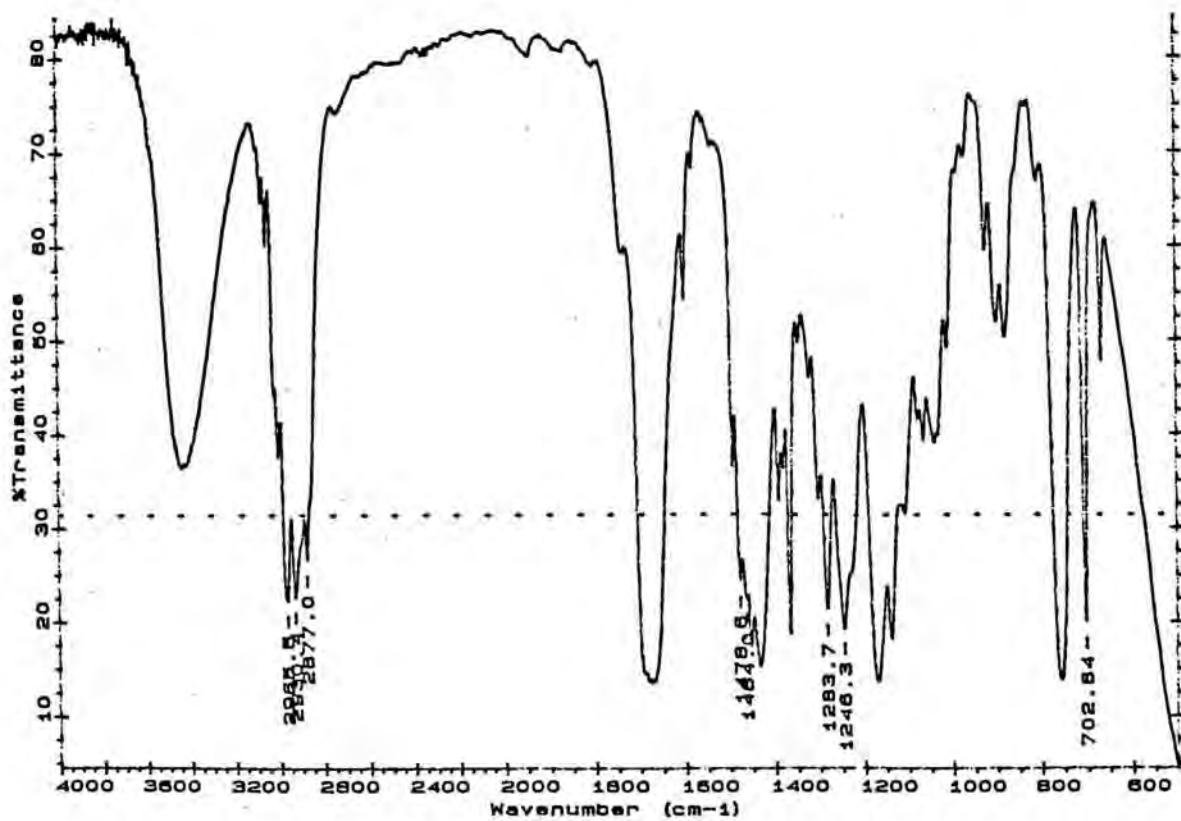


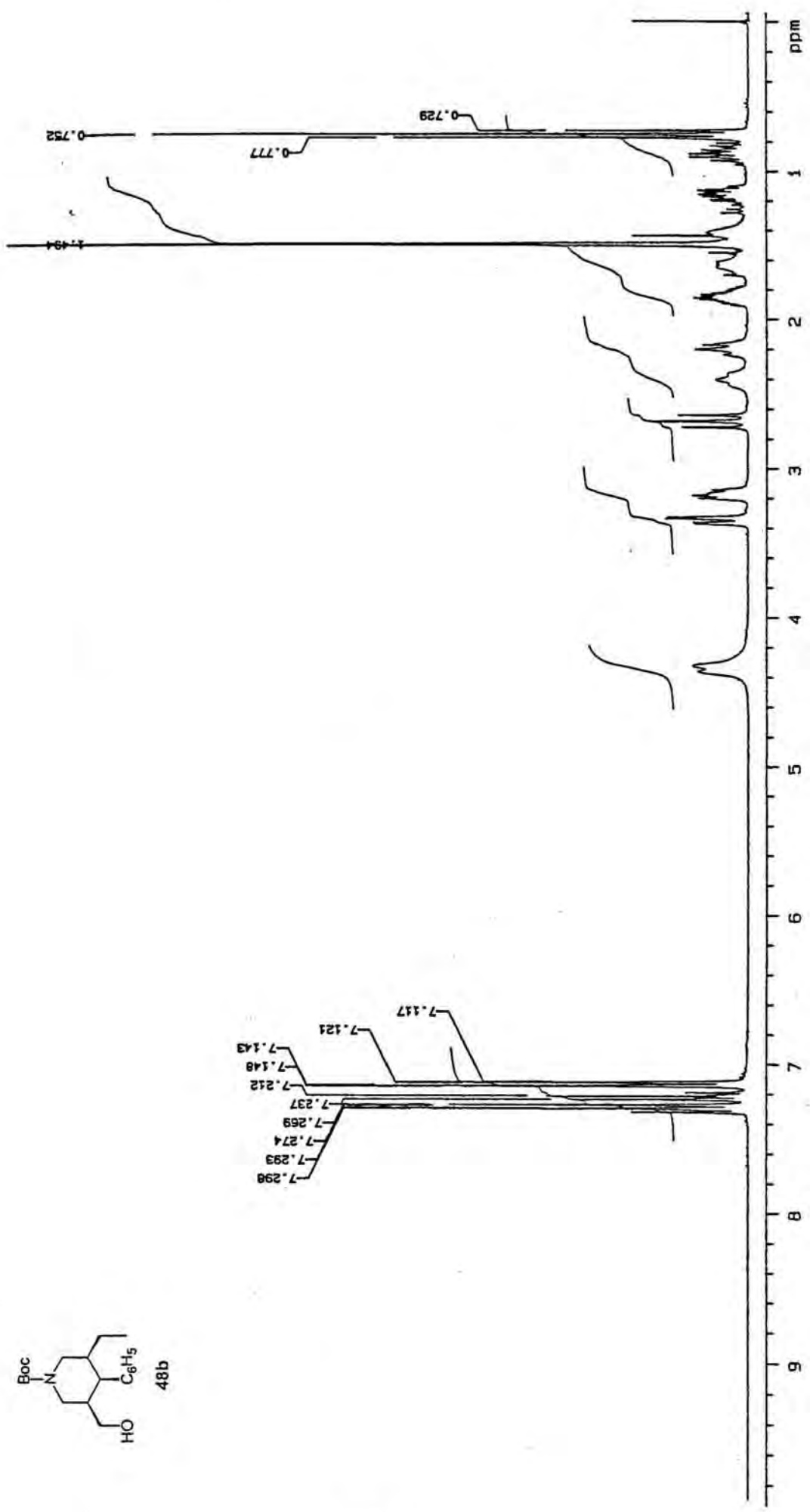


(3*S*,4*R*,5*S*)-*N*-(*tert*-Butoxycarbonyl)-5-ethyl-4-phenyl-3-piperidinametanol (48a)

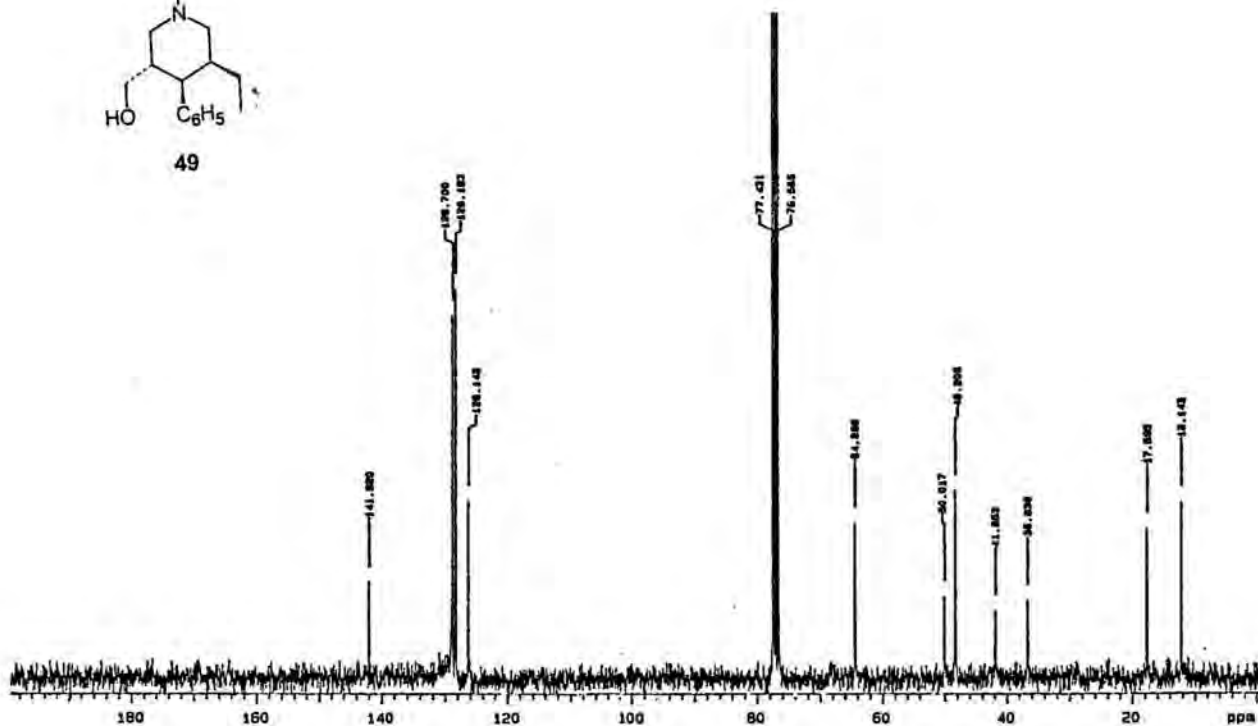
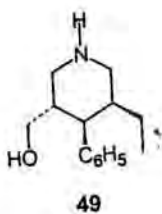


(3R,4R,5S)-N-(*tert*-Butoxycarbonyl)-5-ethyl-4-phenyl-3-piperidinamethanol (48b)



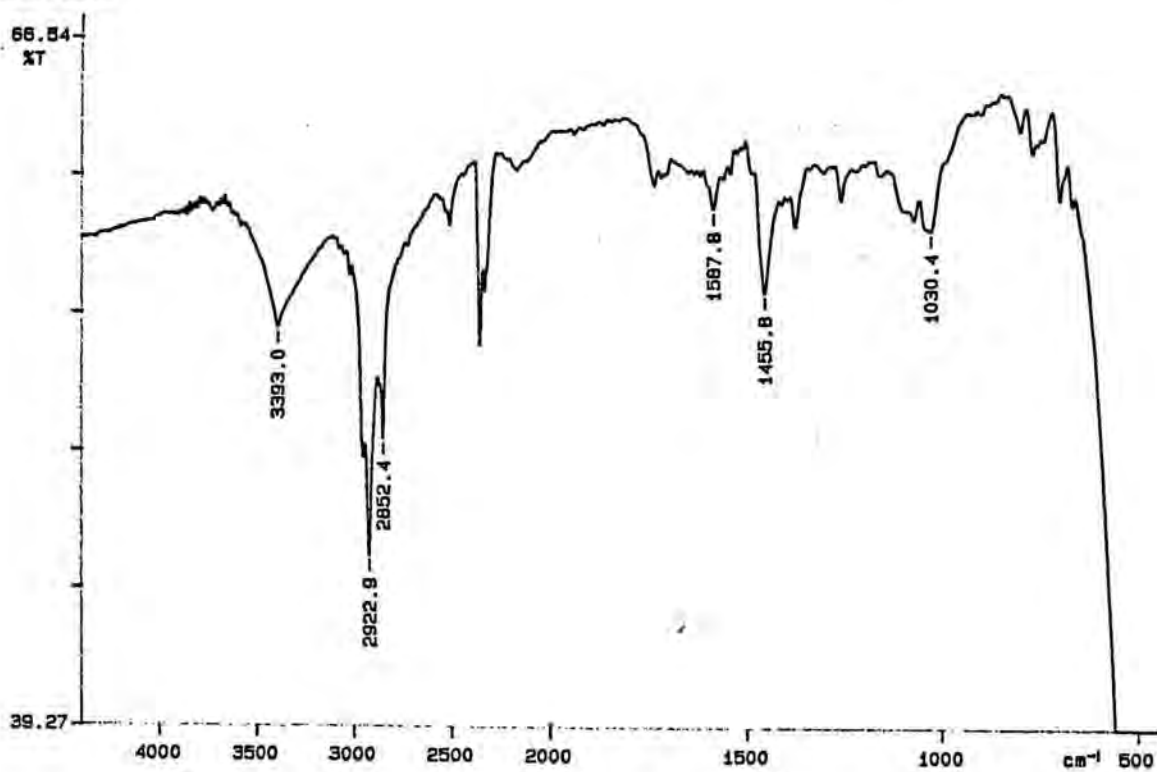


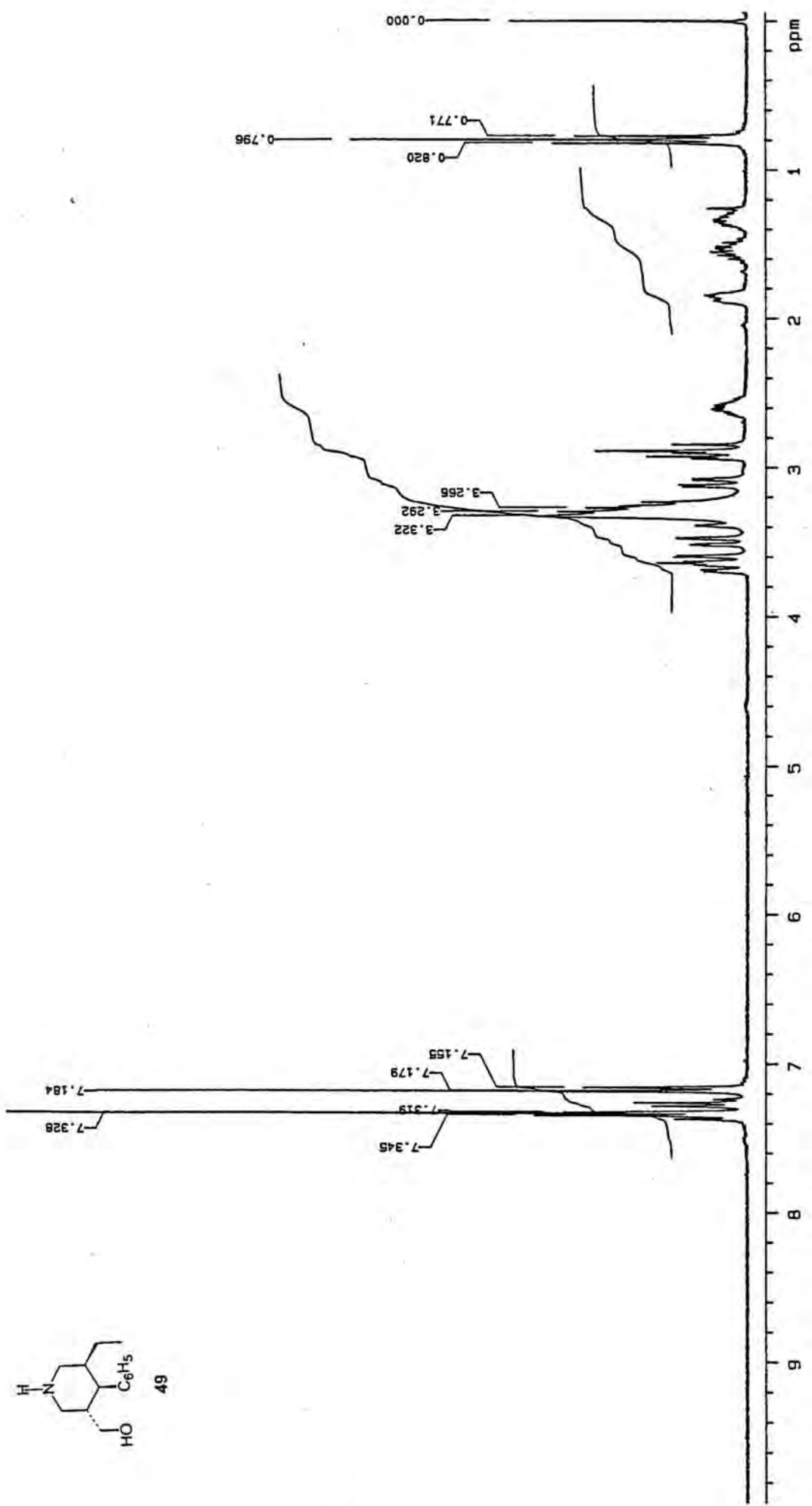
(3R,4R,5S)-N-(tert-Butoxycarbonyl)-5-ethyl-4-phenyl-3-piperidinametanol (48b)



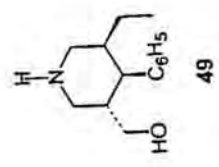
(3S,4R,5S)-5-Etil-4-fenil-3-piperidinametanol (49).

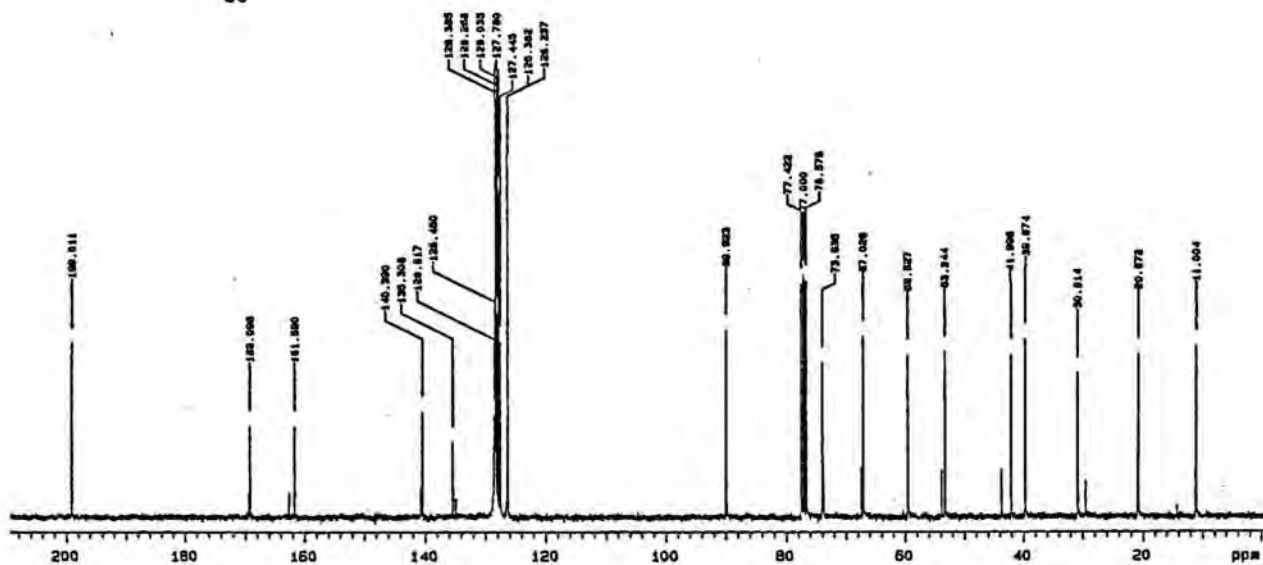
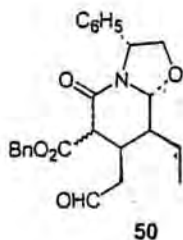
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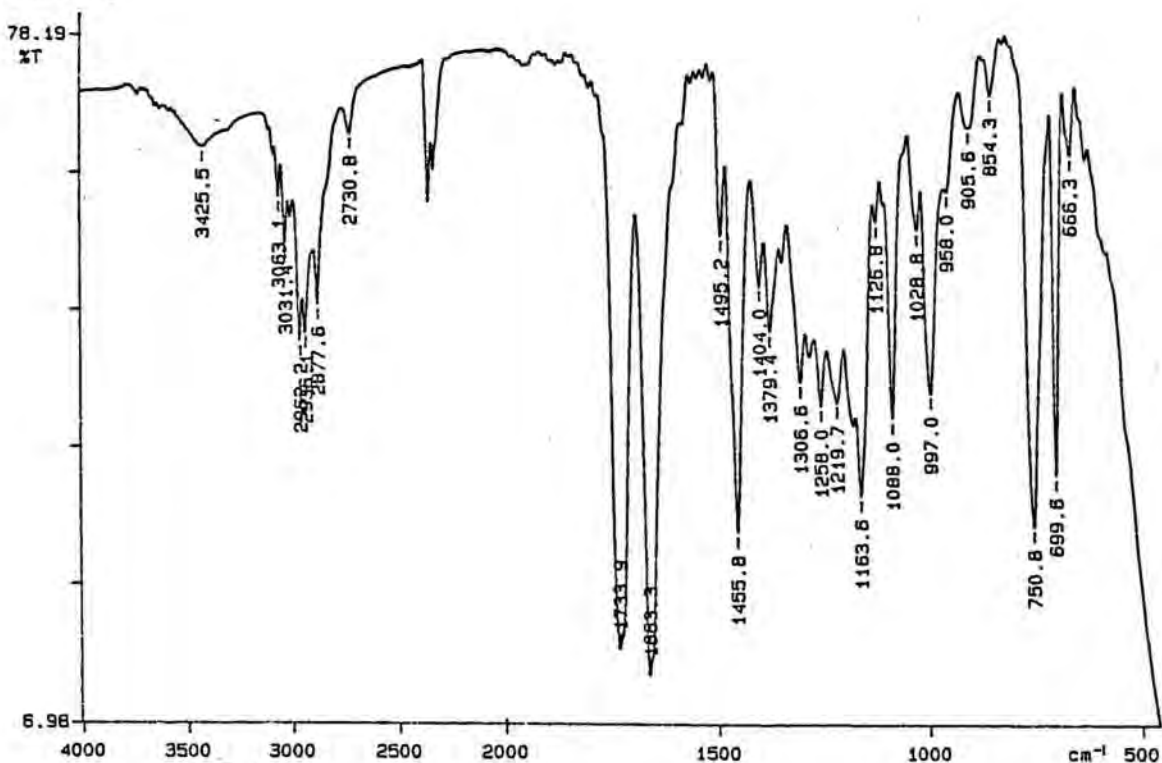
(3S,4R,5S)-5-Etil-4-fenil-3-piperidinametanol (49)

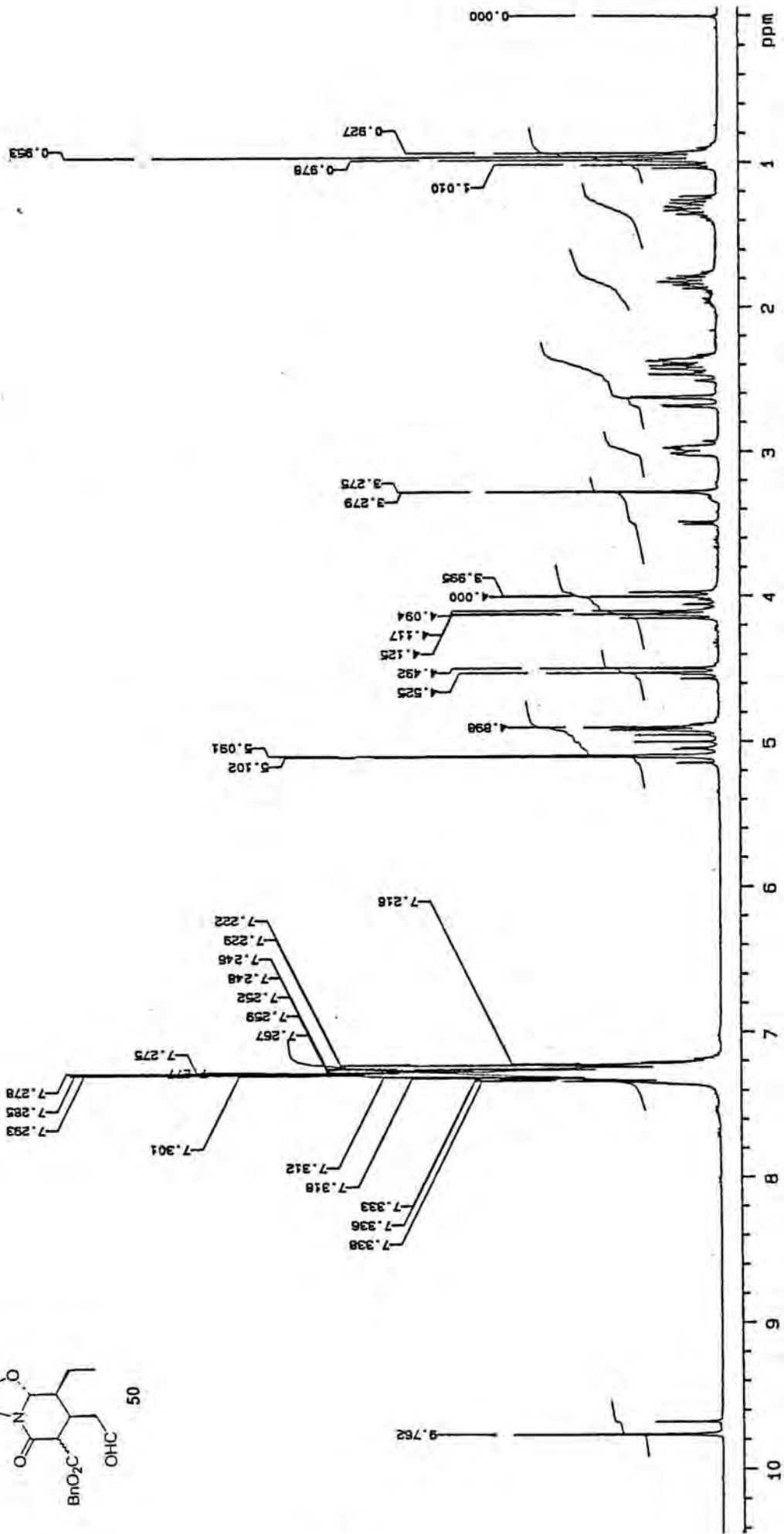
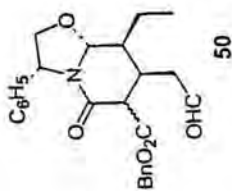




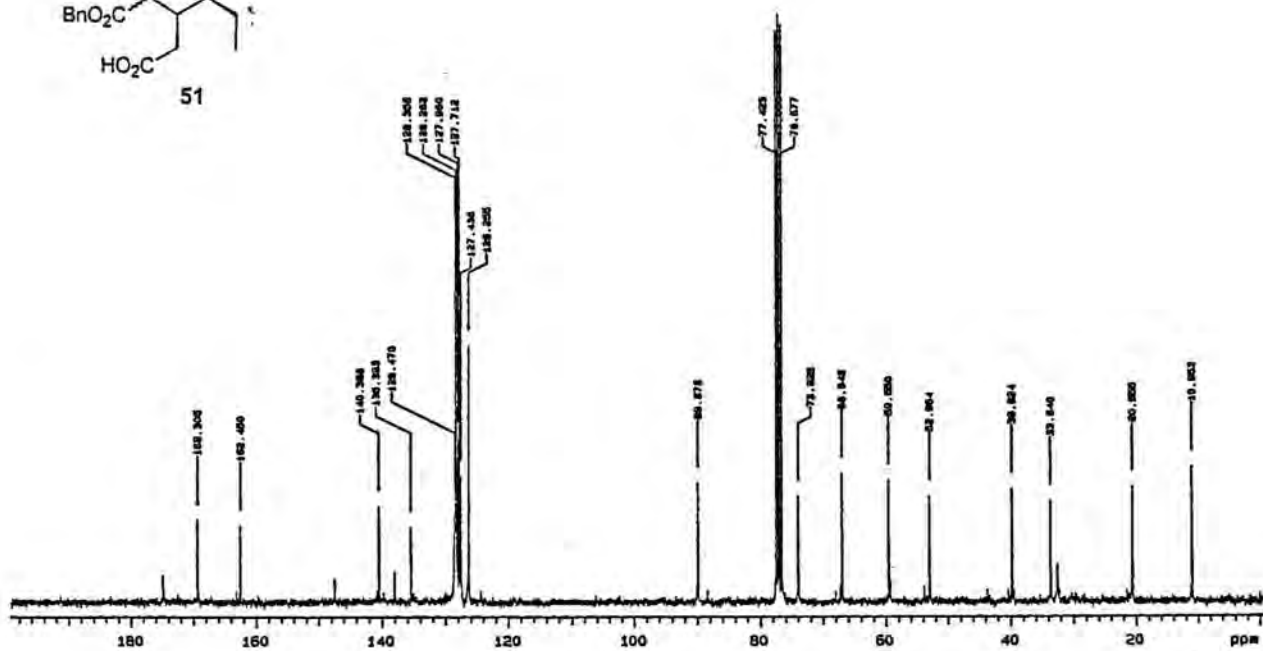
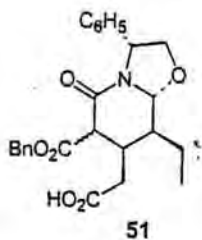
(3*R*,7*R*,8*S*,8*aR*)-6-(Benziloxycarbonil)-8-etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo [3,2-*a*]piridina-7-acetaldehid (50)

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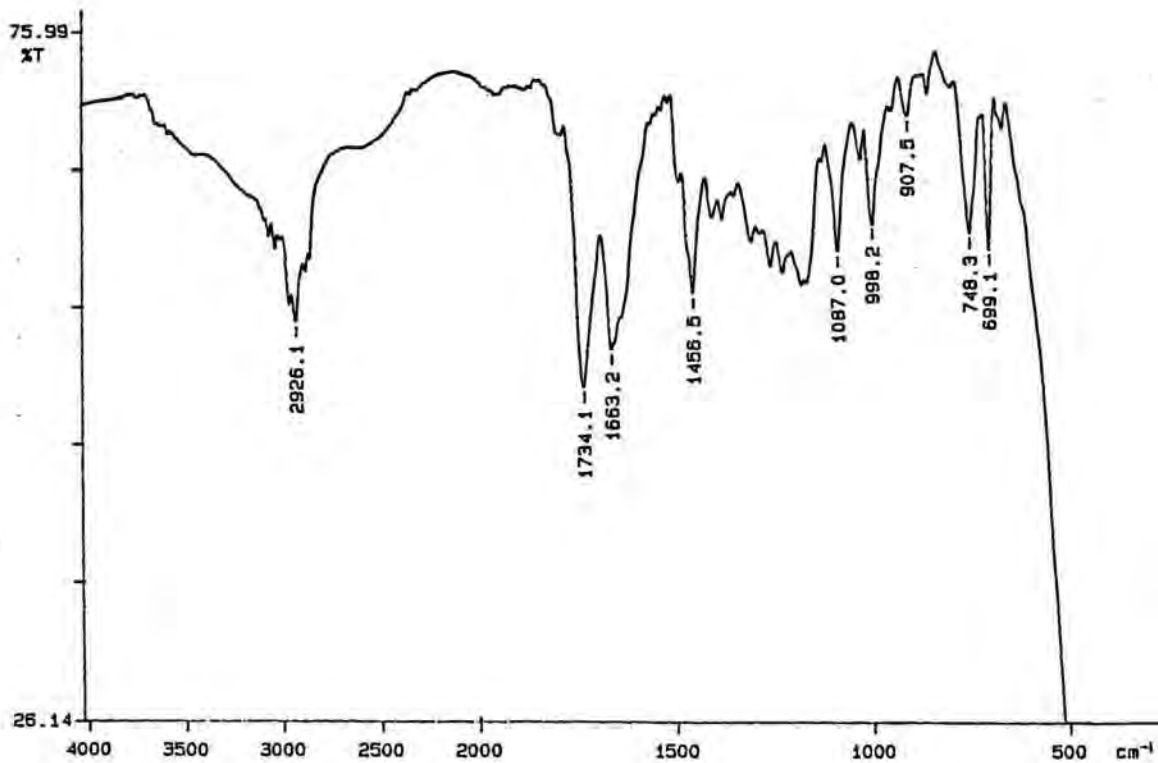


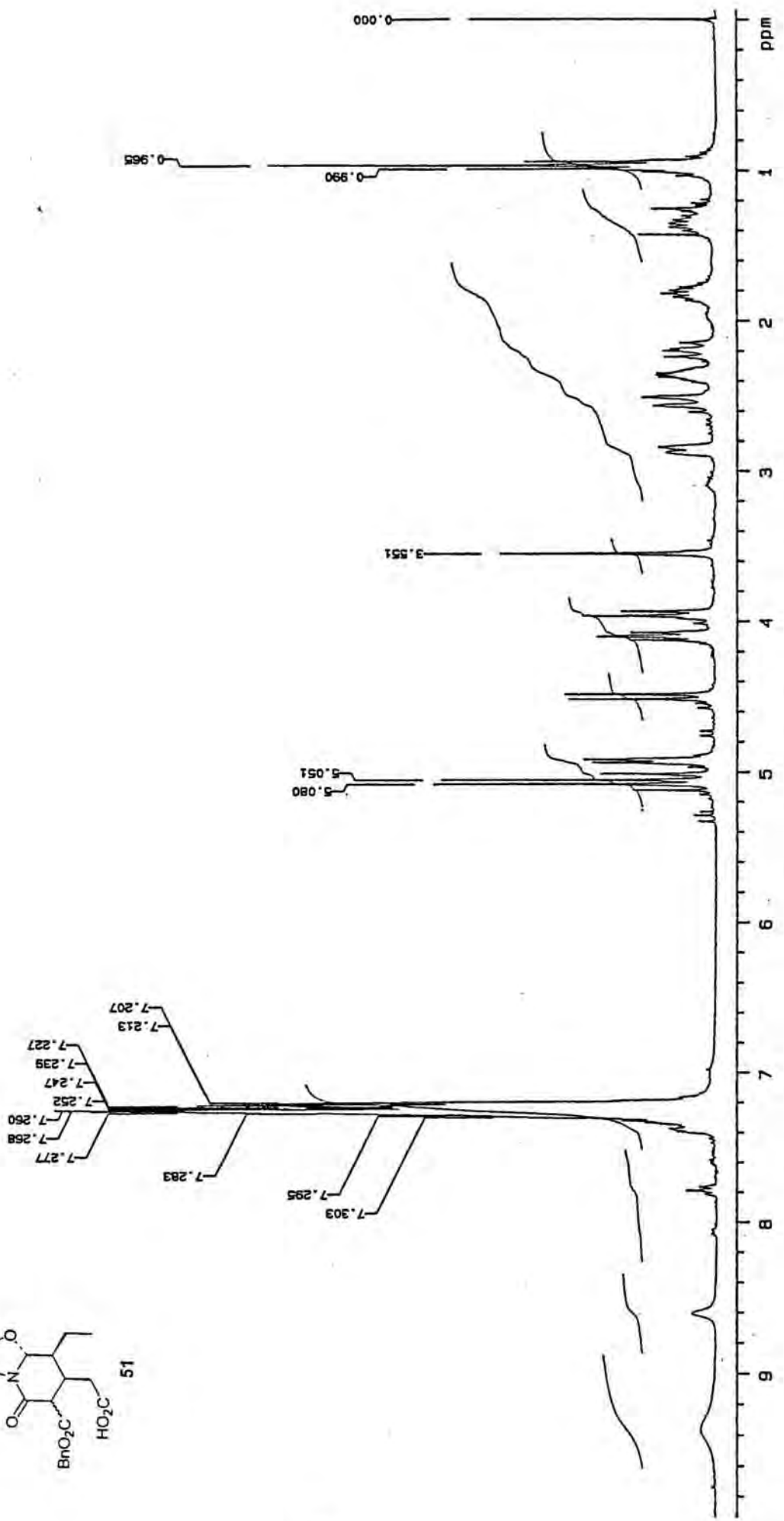
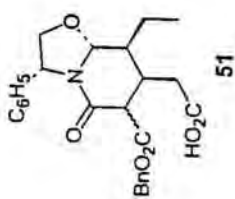
(3*R*,7*R*,8*S*,8*aR*)-6-(Benzoyloxycarbonyl)-8-ethyl-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetaldehid (50)



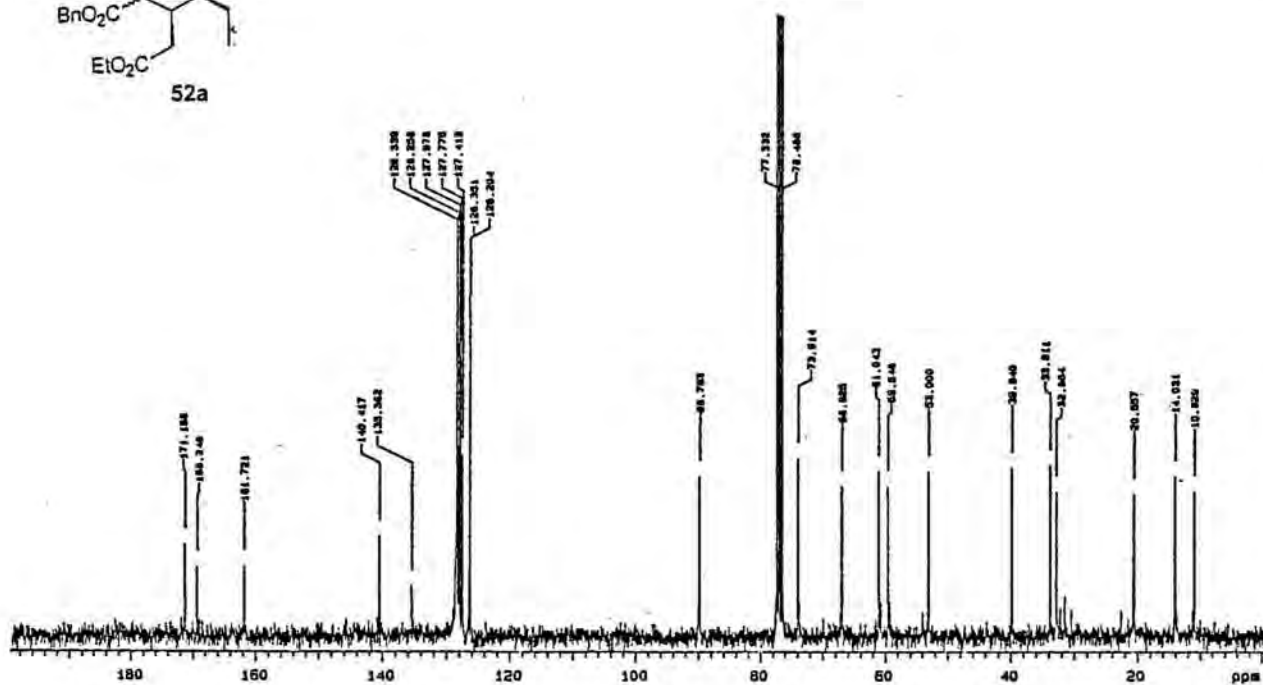
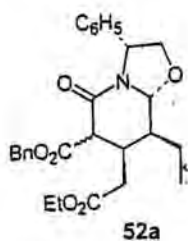
Àcid(3*R*,7*R*,8*S*,8*aR*)-6-(Benziloxicarbonil)-8-etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-
 oxazolo[3,2-*a*]piridina-7-acètic (51)

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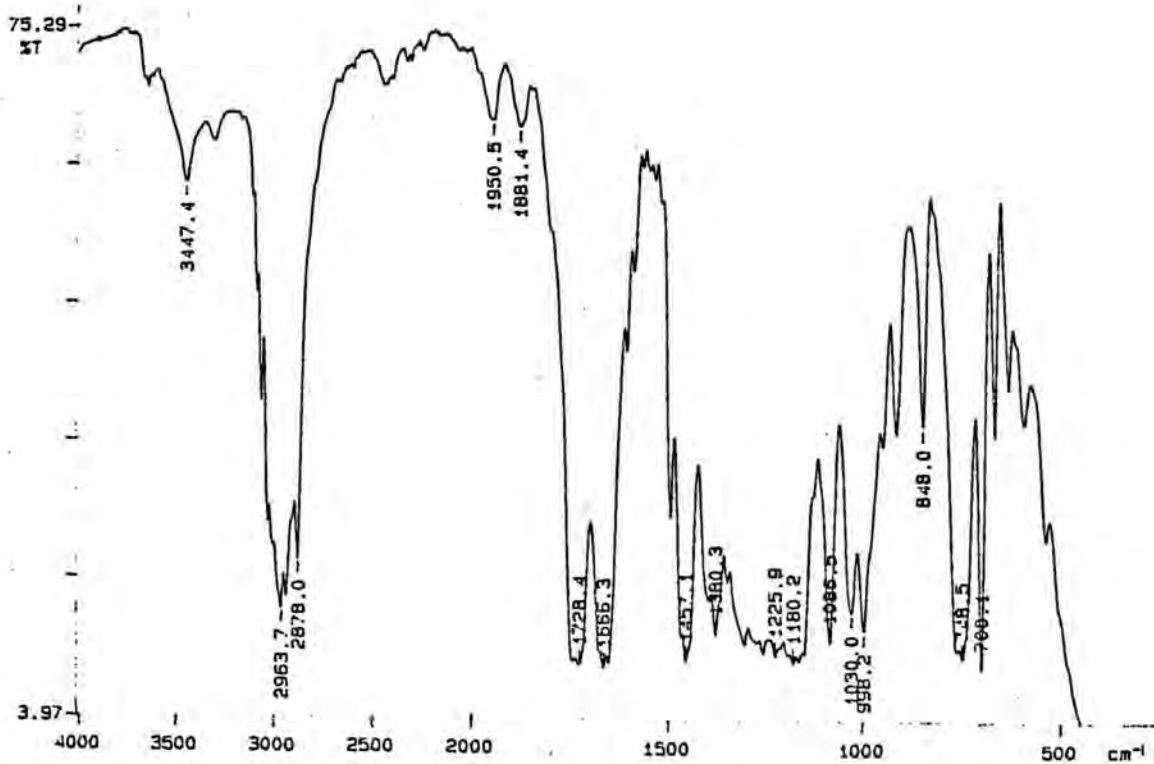


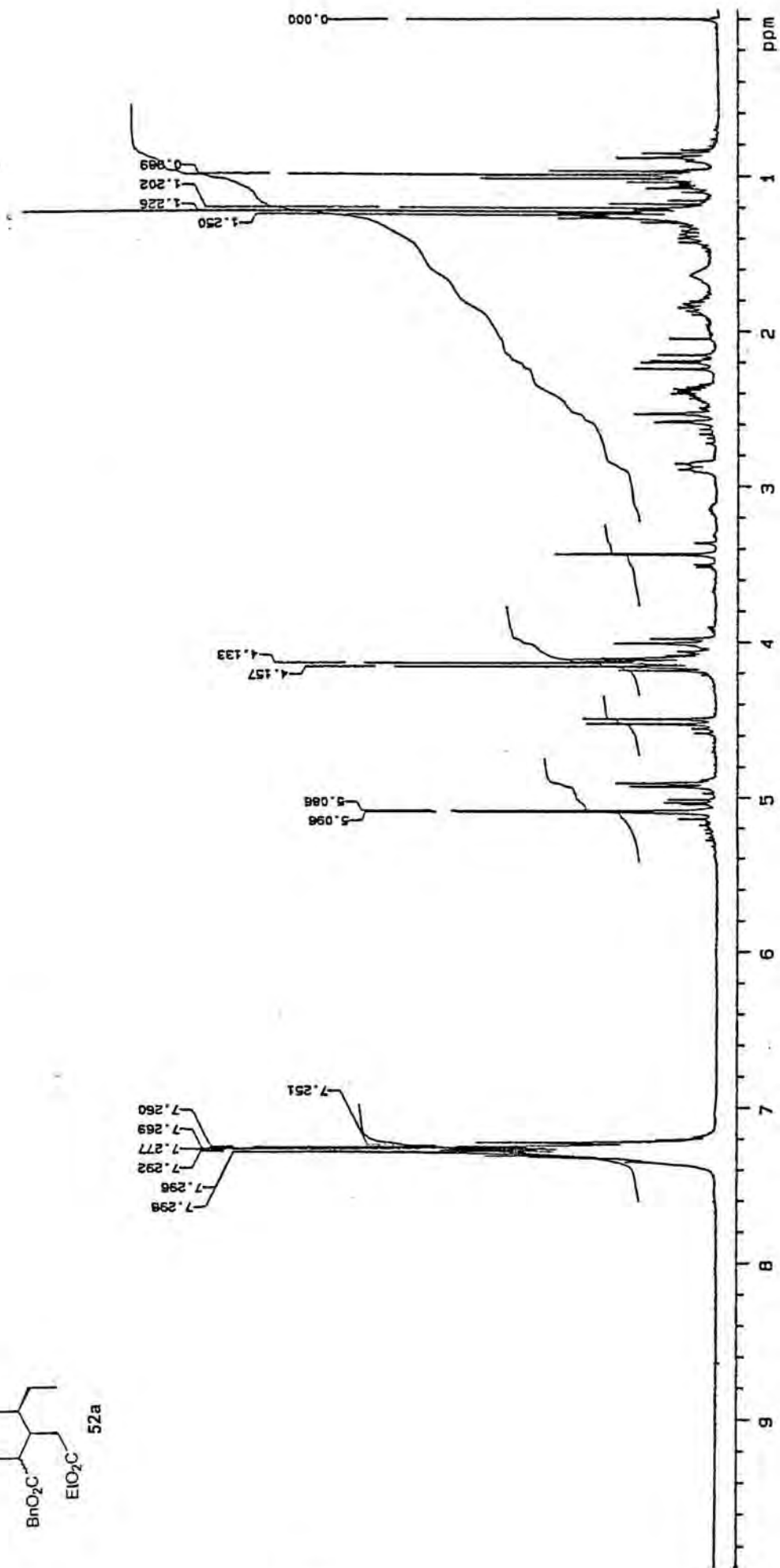
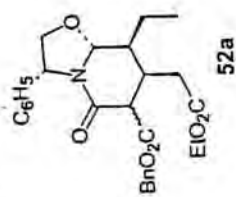
Àcid(3R,7R,8S,8aR)-6-(Benziloxicarbonil)-8-etil-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolol[3,2-a]piridina-7-acètic (51)



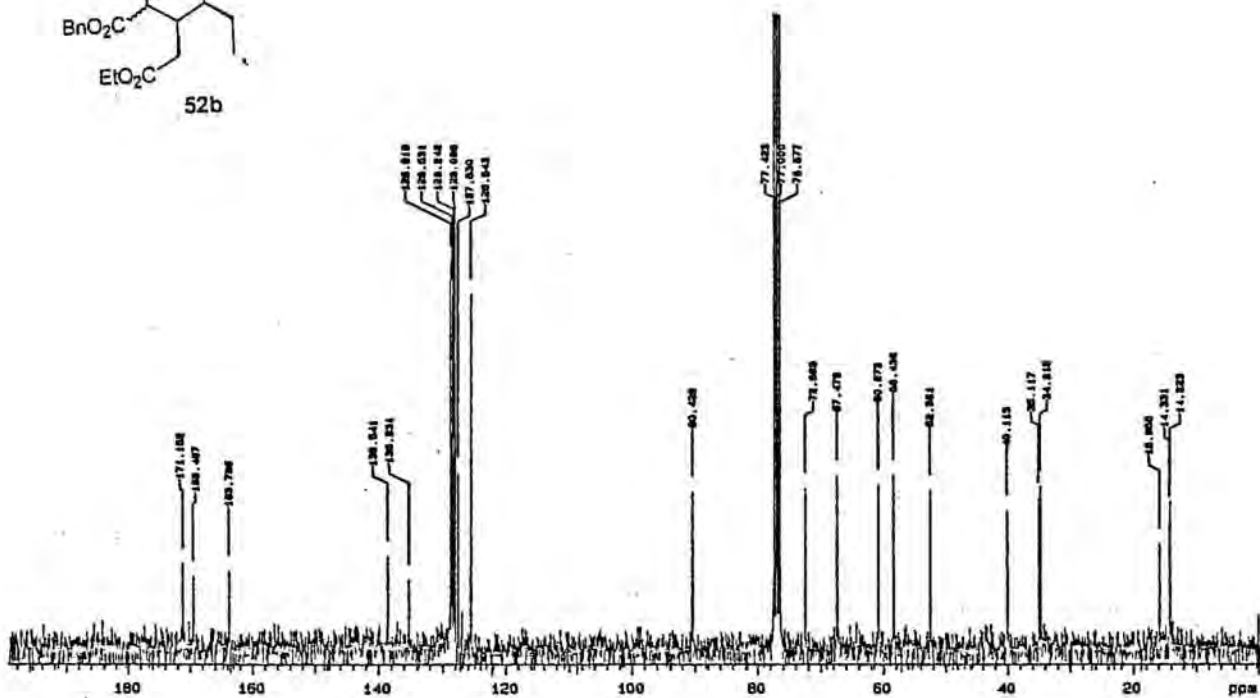
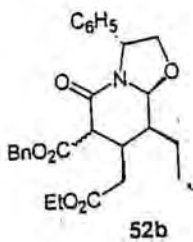
(3*R*,7*R*,8*S*,8*aR*)-6-(Benziloxycarbonil)-8-etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo
 [3,2-*a*]piridina-7-acetat d'etil (52a)

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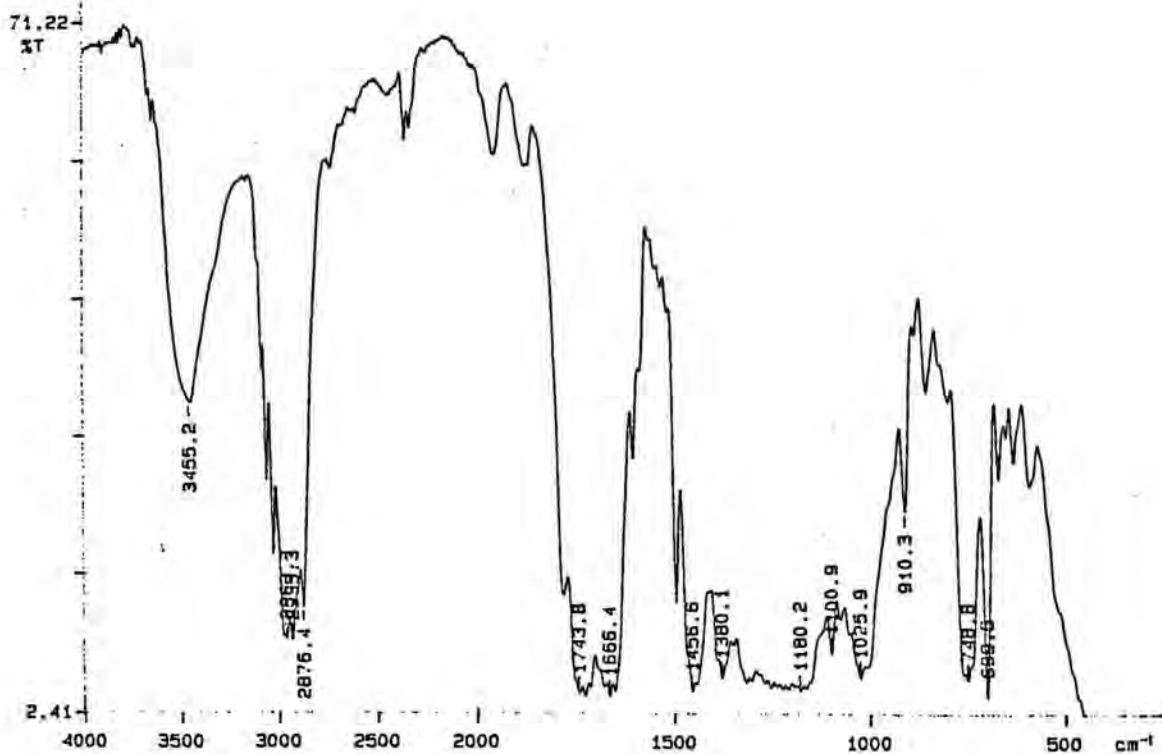


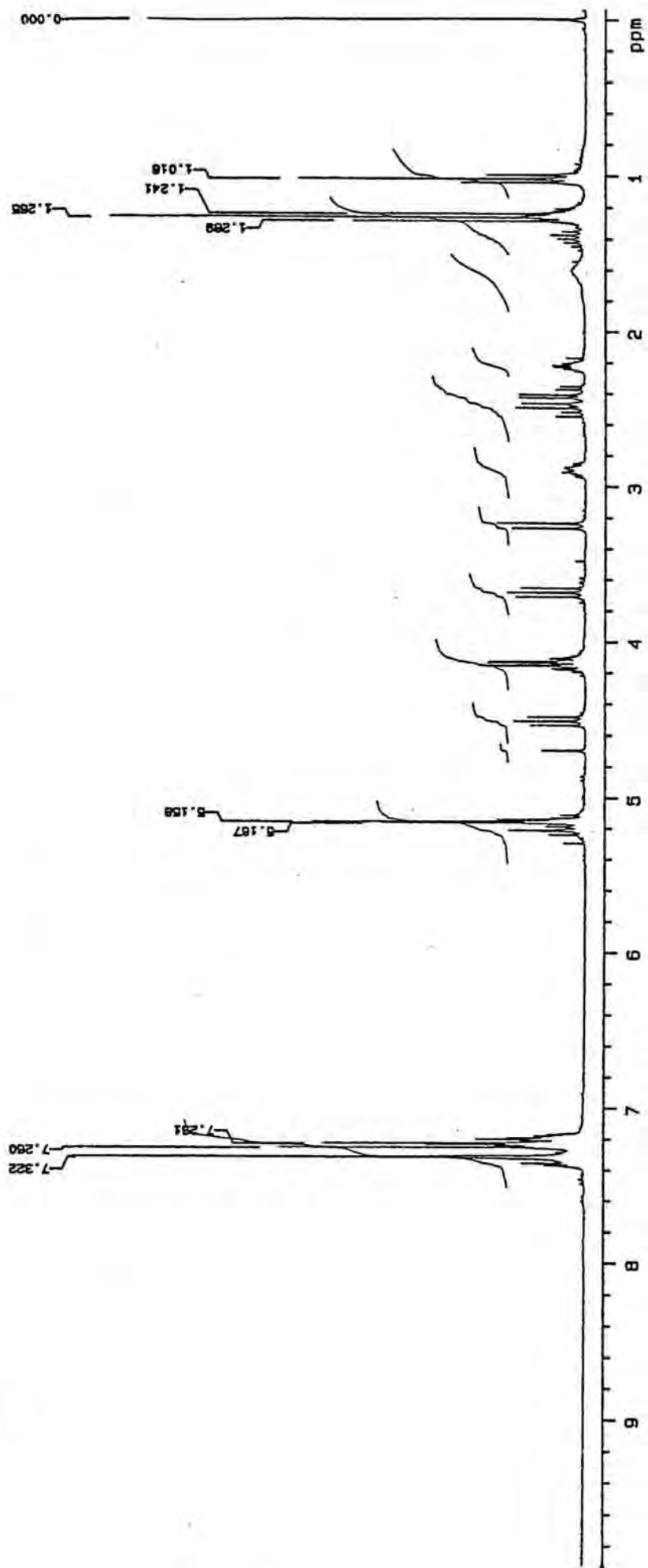
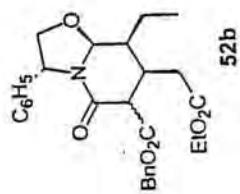
(3*R*,7*R*,8*S*,8*aR*)-6-(Benziloxycarbonil)-8-etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat d'etil (52a)



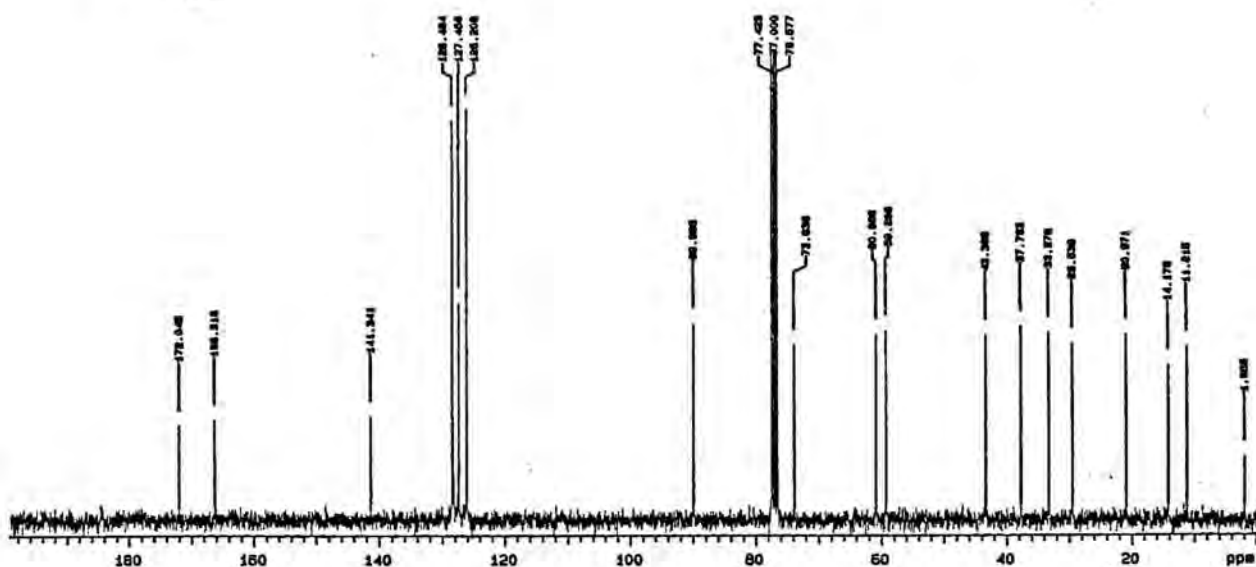
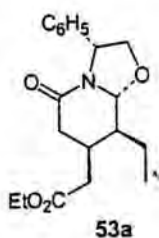
(3*R*,7*R*,8*S*,8*aS*)-6-(Benziloxycarbonil)-8-etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo
 [3,2-*a*]piridina-7-acetat d'etil (52b)

PERKIN ELMER



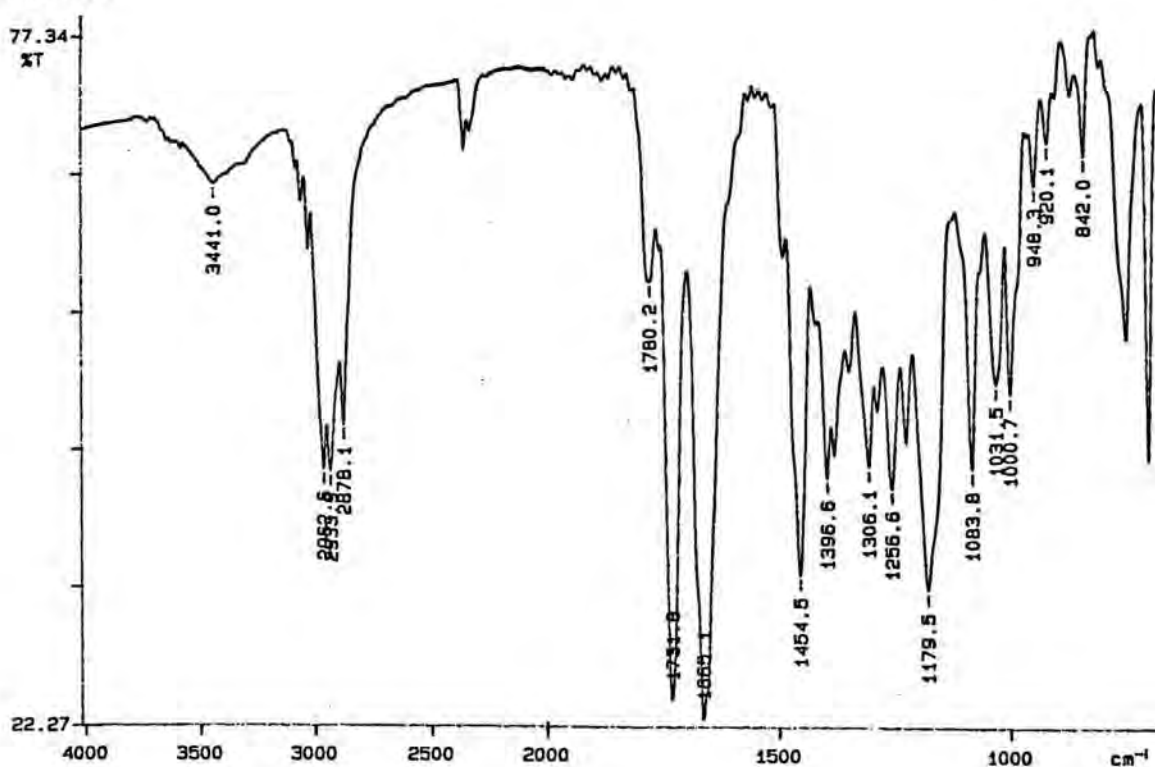


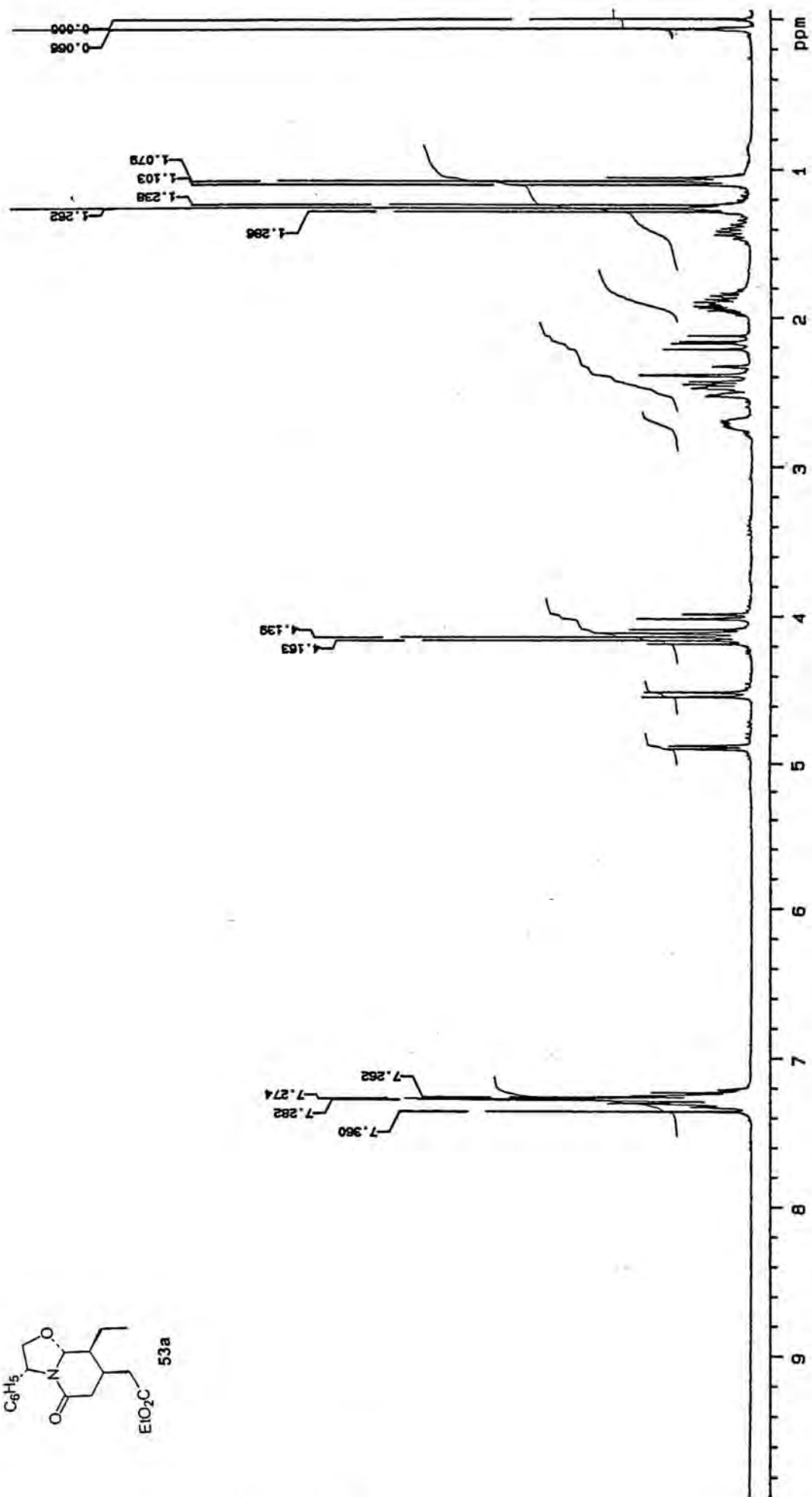
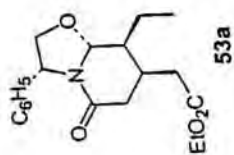
(3*R*,7*R*,8*S*,8*aS*)-6-(Benziloxycarboni)-8-etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat d'etil (52b)



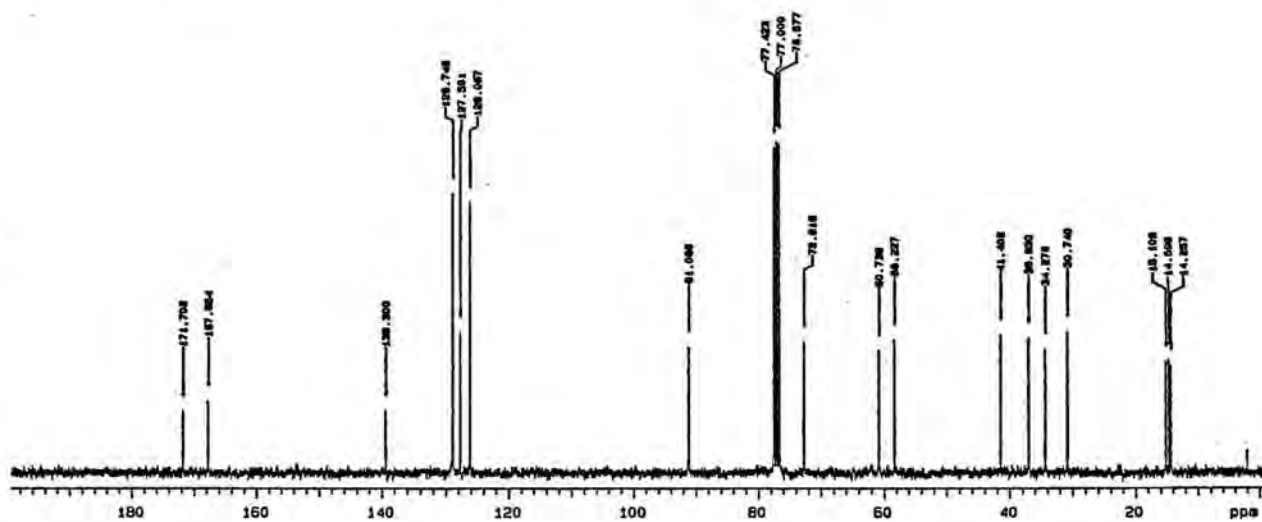
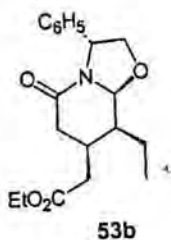
(3R,7R,8S,8aR)-8-Etil-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat d'etil (53a)

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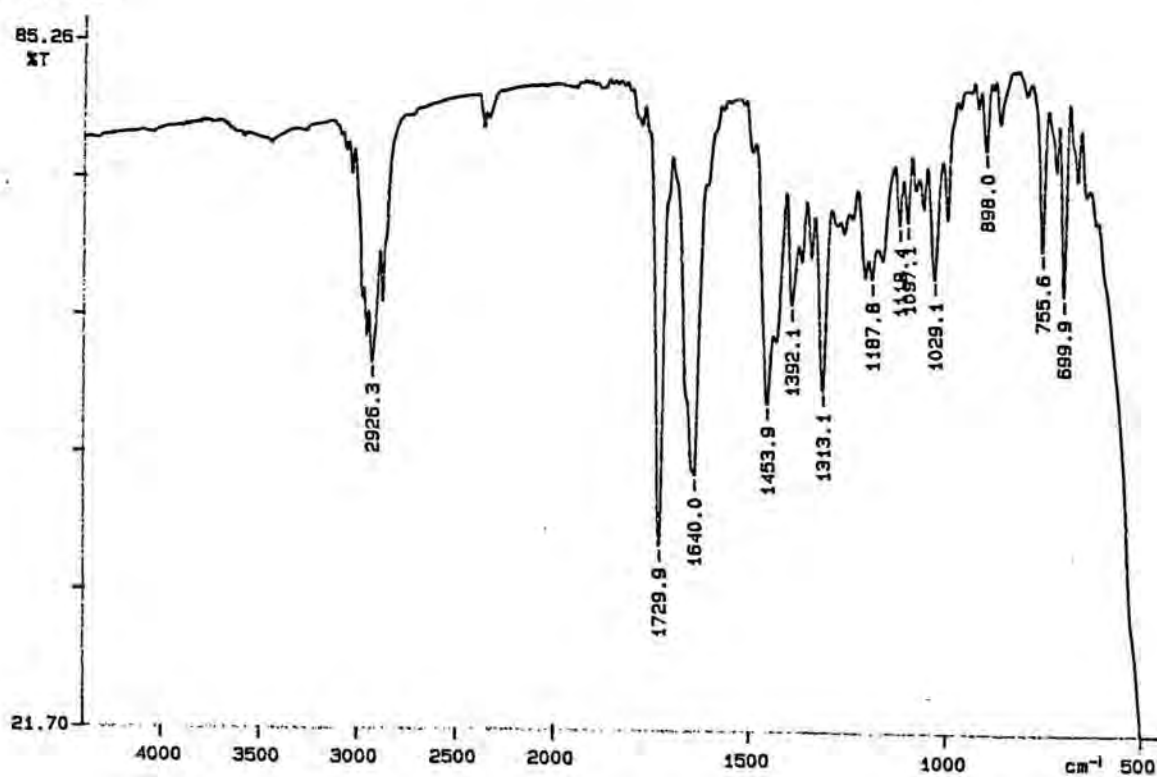


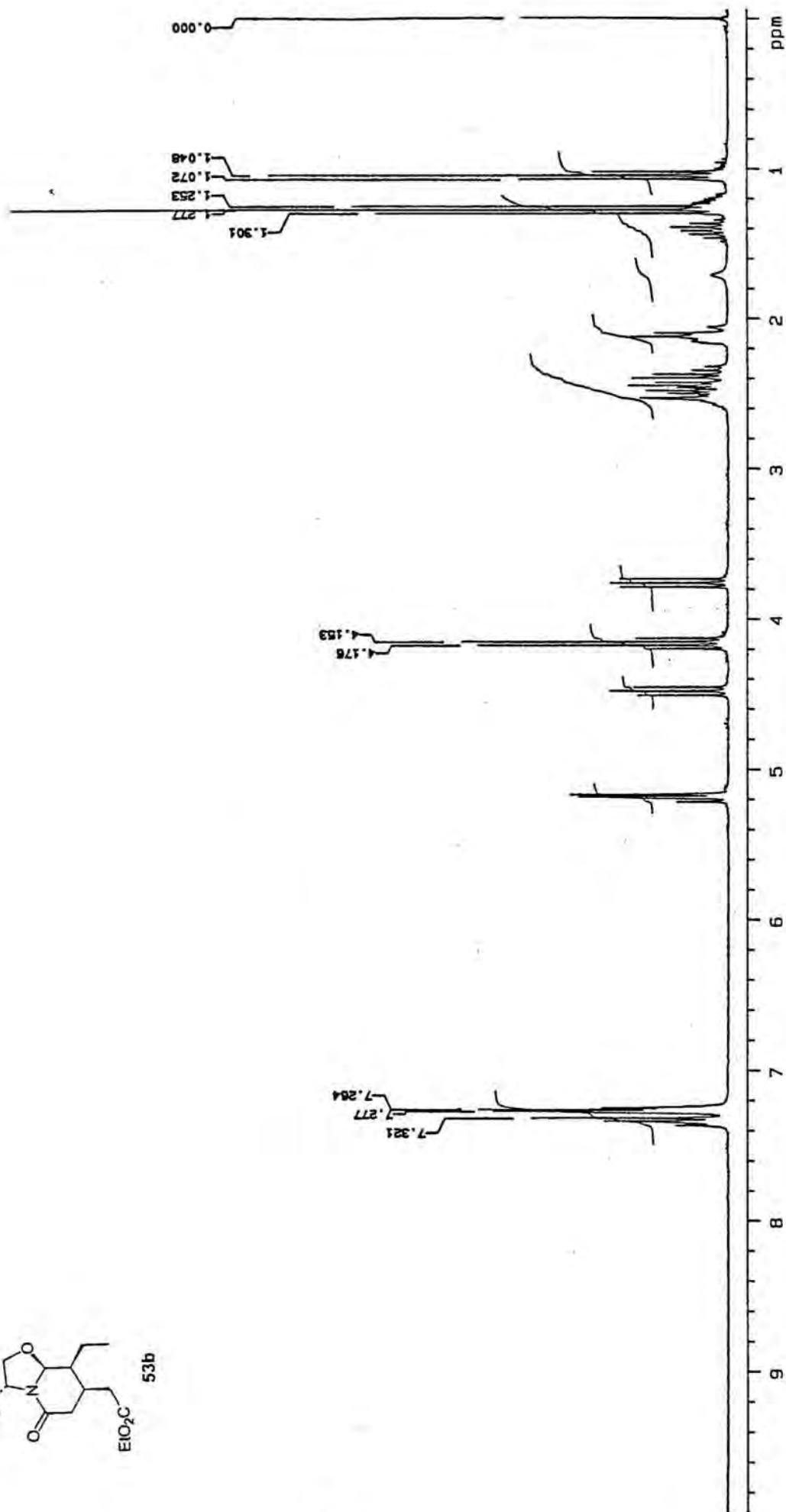
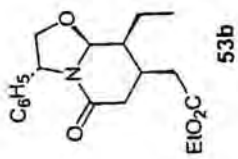
(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat d'etil (53a)



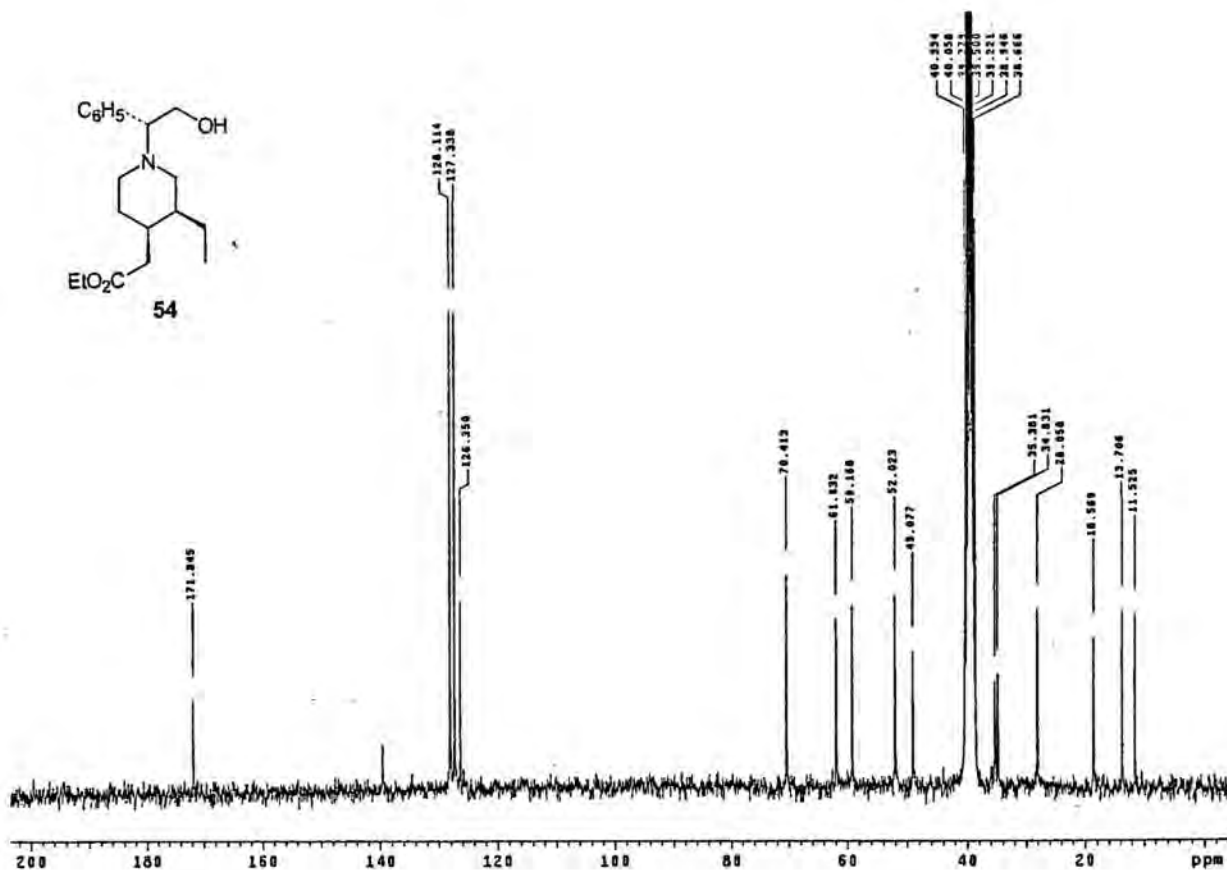
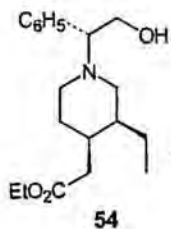
(3R,7R,8S,8aS)-8-Etil-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat d'etil (53b)

PERKIN ELMER



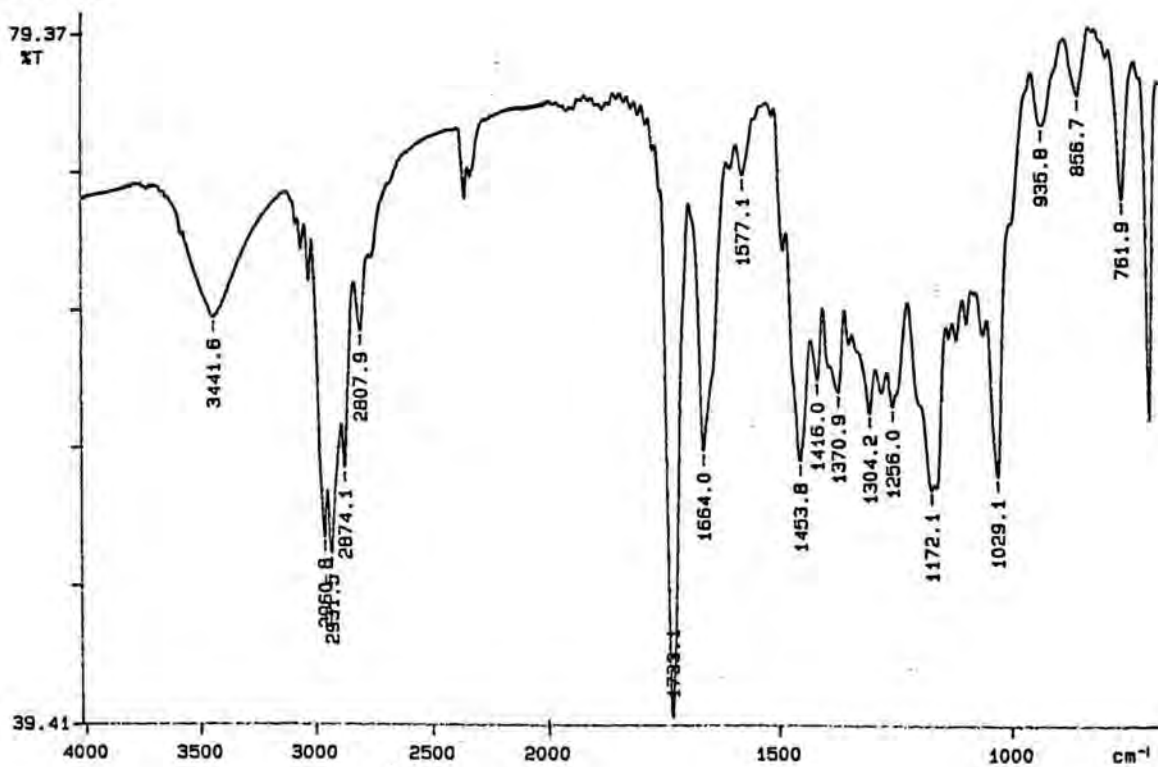


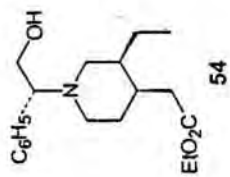
(3*R*,7*R*,8*S*,8*a**S*)-8-Etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat d'etil (53b)



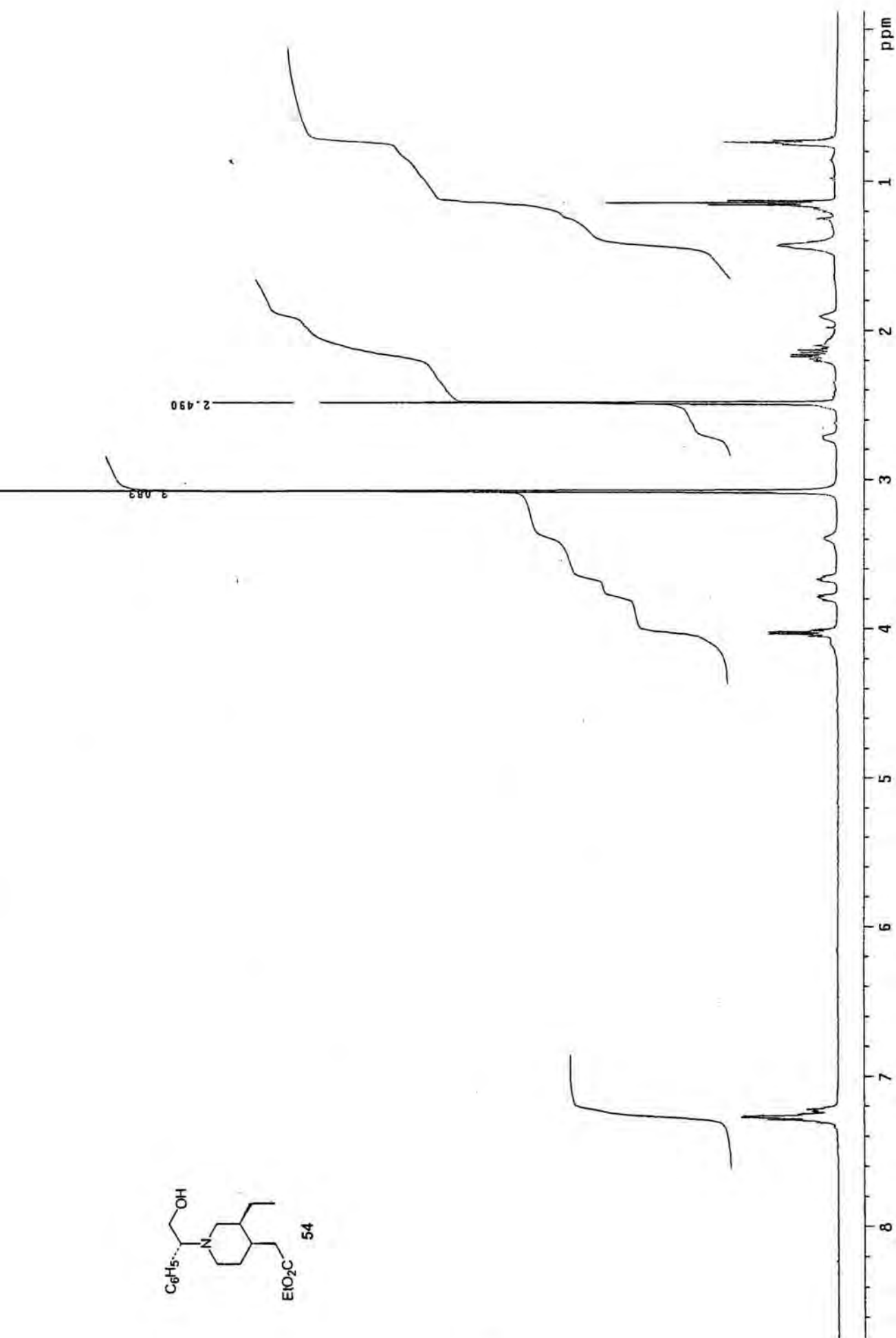
(3S,4R)-3-Etil-1-[(1R)-1-fenil-2-hidroxietyl]piperidina-4-acetat d'etil (54)

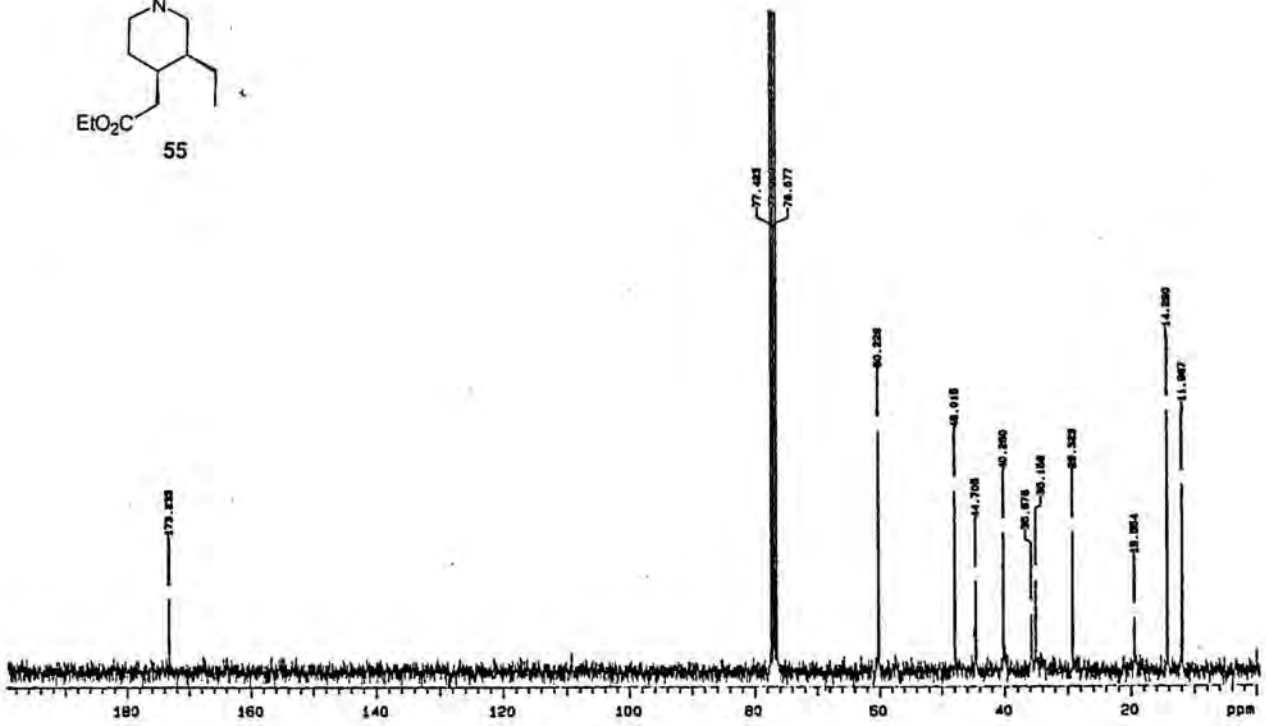
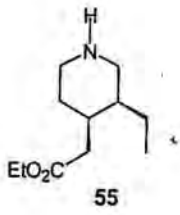
PERKIN ELMER



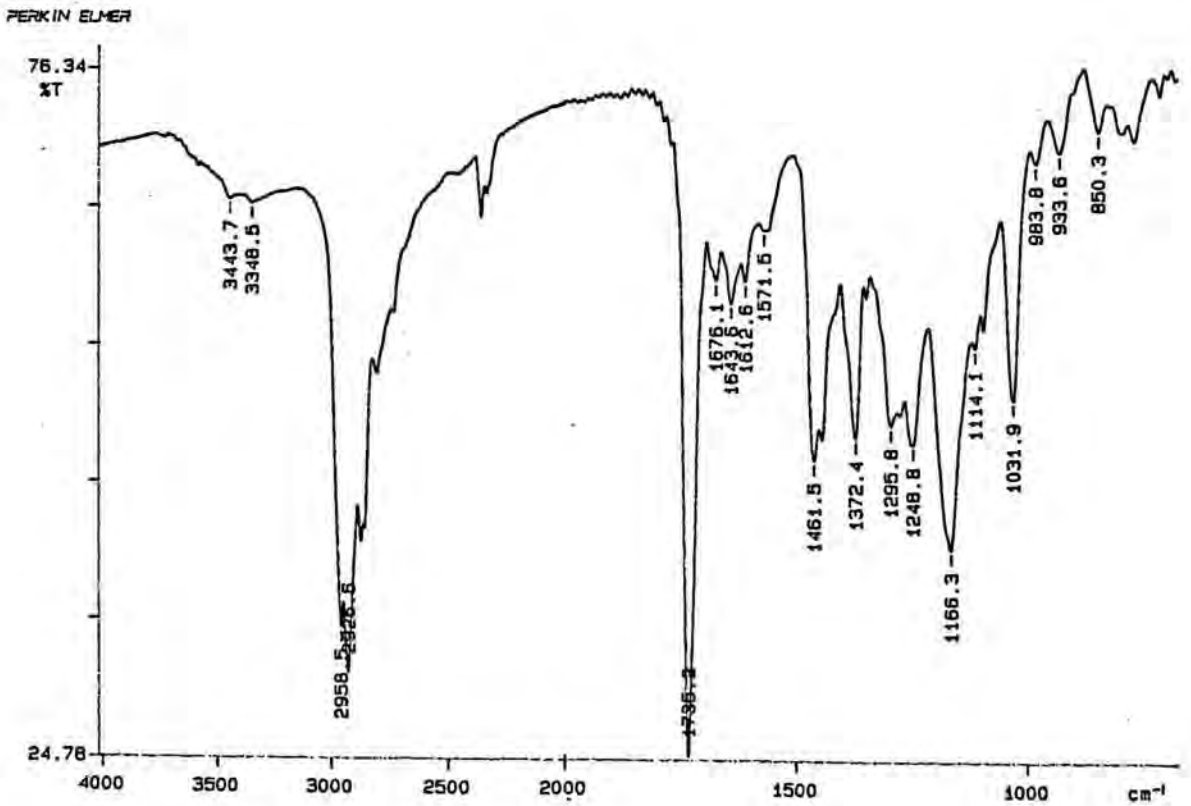


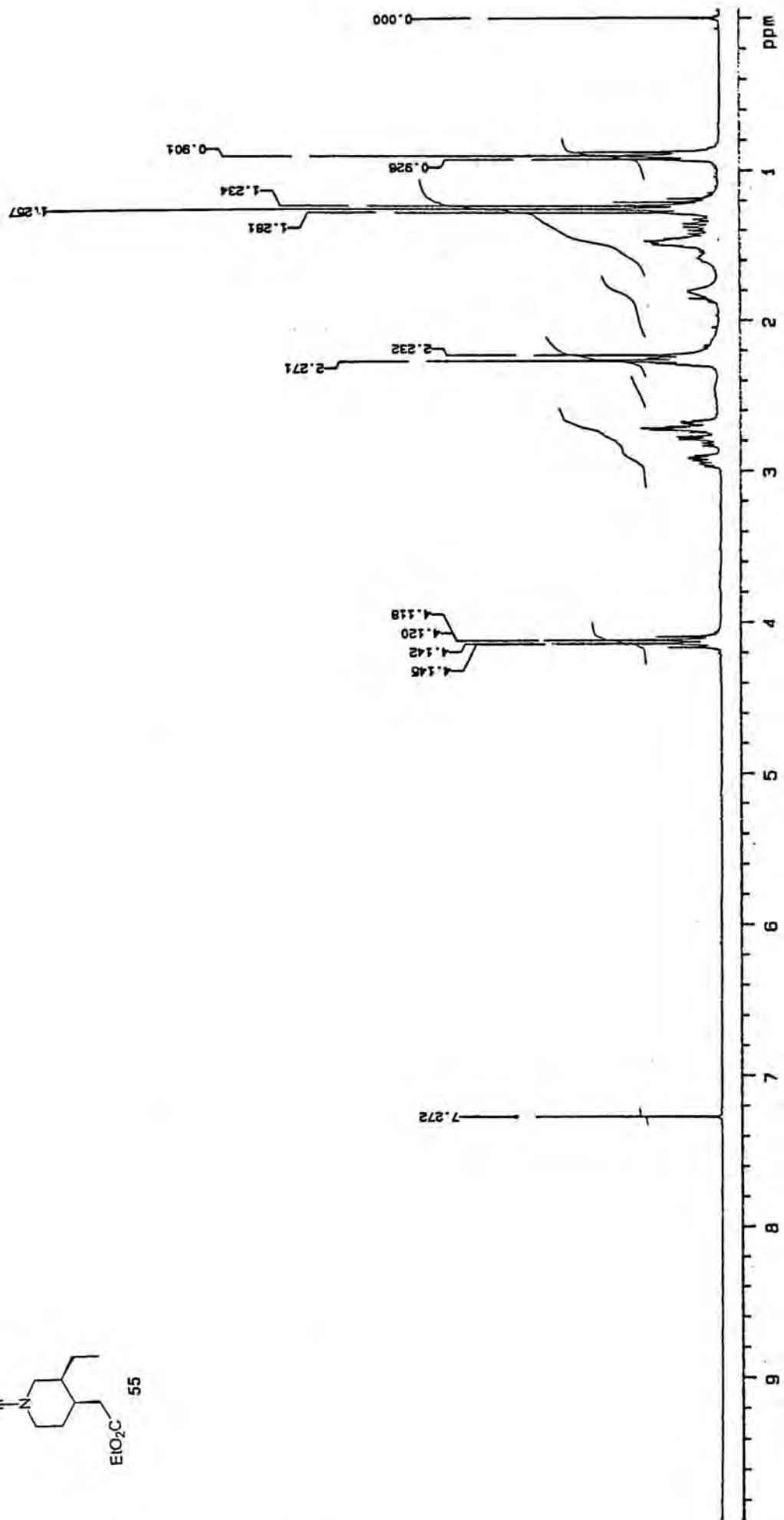
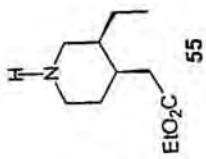
(3*S*,4*R*)-3-Etil-1-[(1*R*)-1-fenil-2-hidroxietil]piperidina-4-acetat d'etil (54)



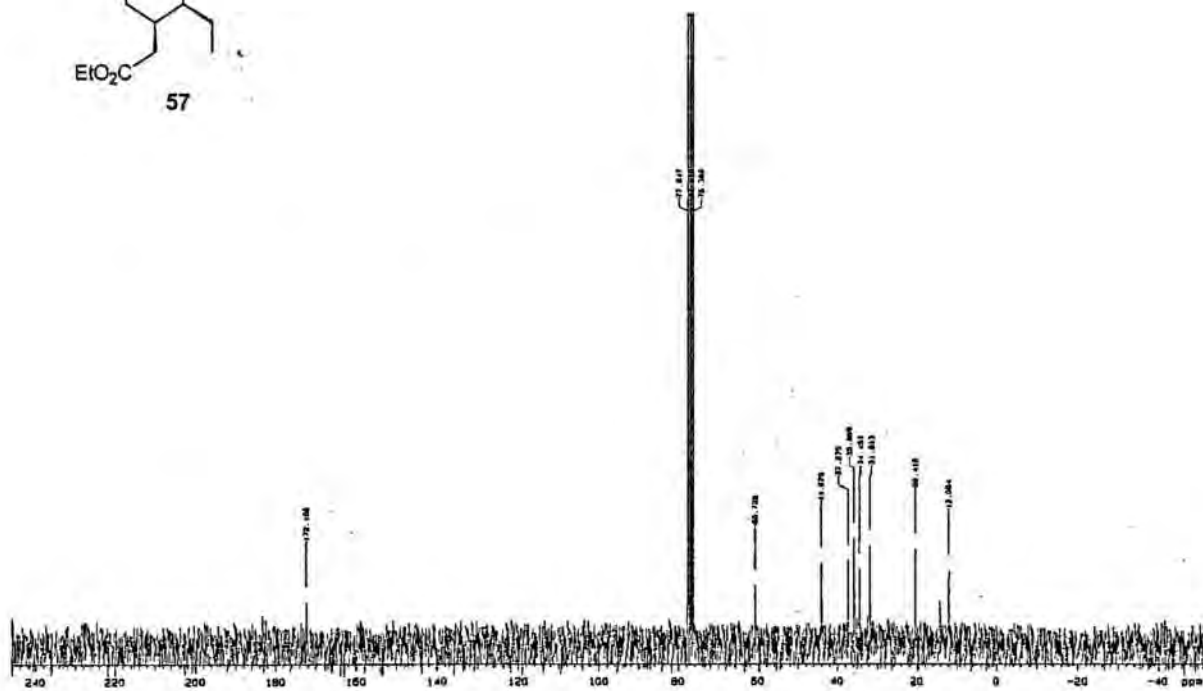
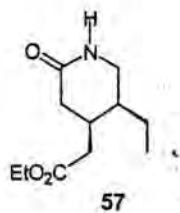


(3S,4R)-3-Etilpiperidina-4-acetat d'etil (55)

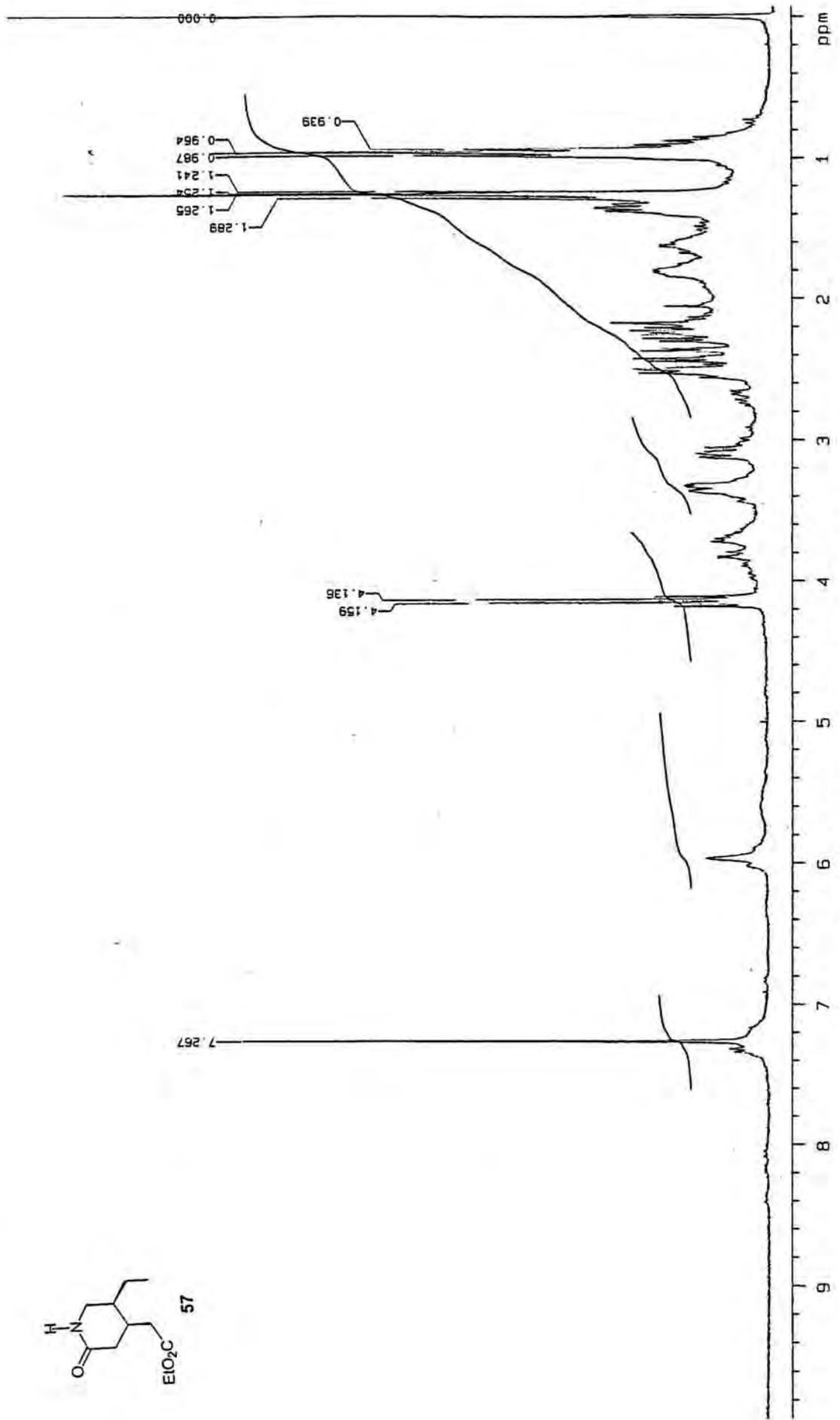
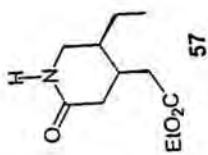




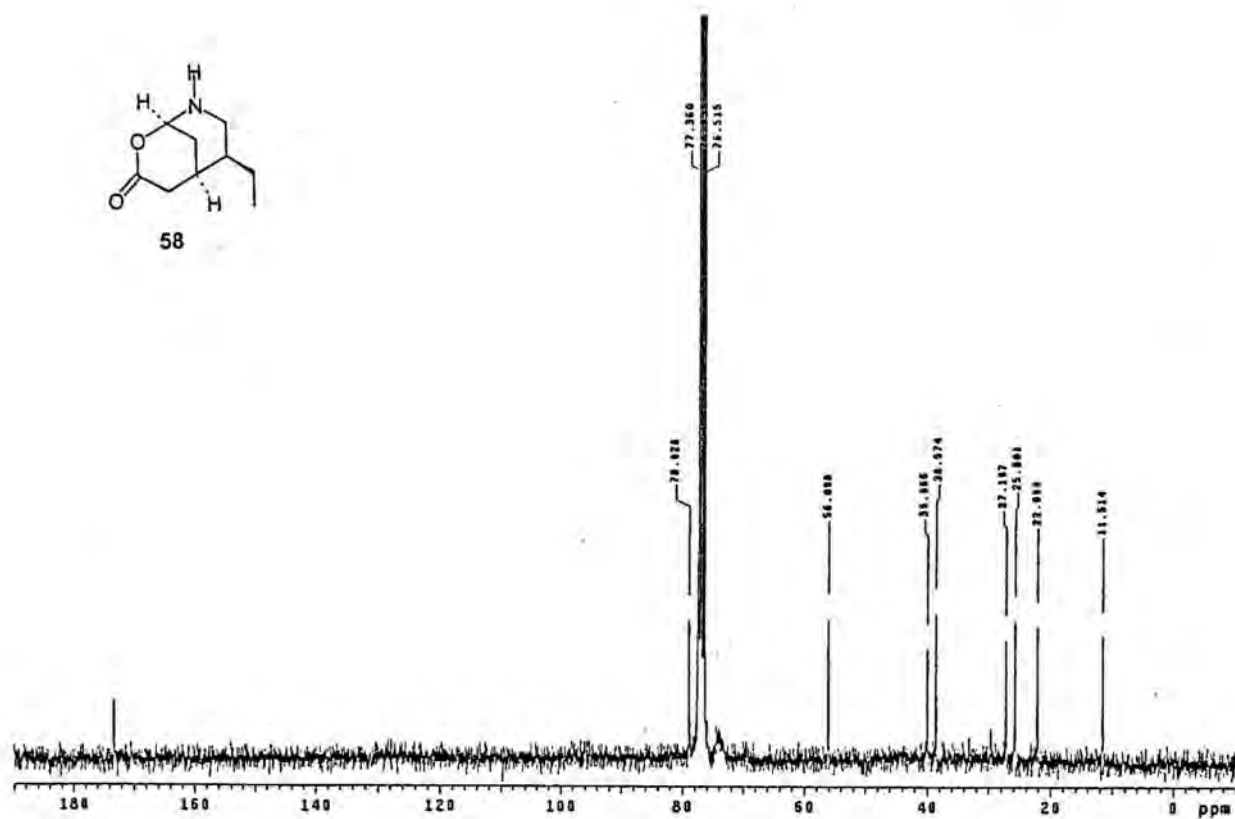
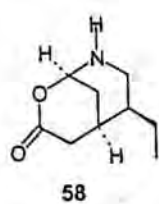
(3S,4R)-3-Etilpiperidina-4-acetat d'etil (55)



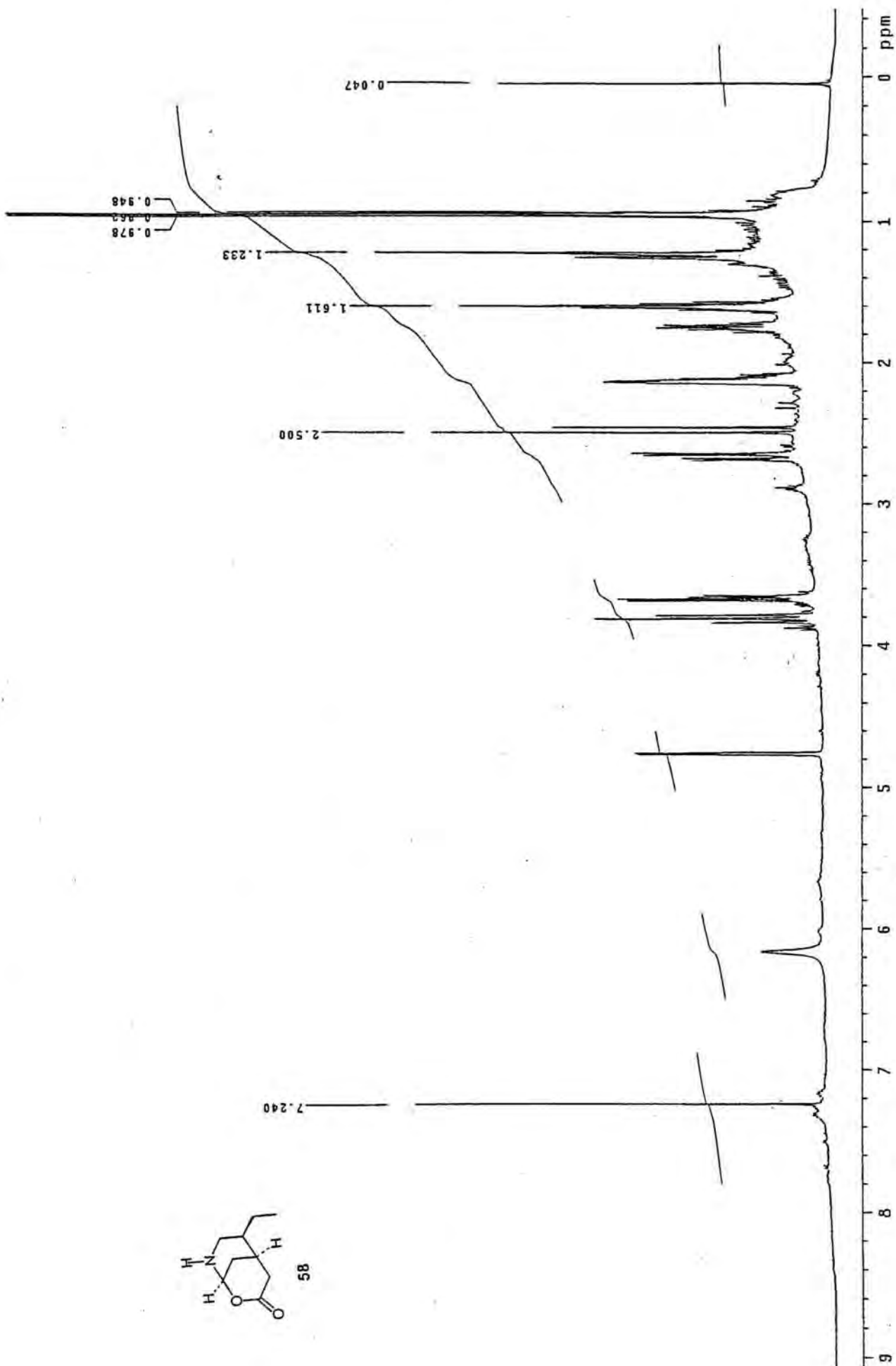
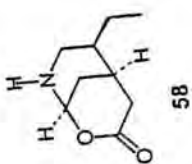
(4R,5S)-5-Etil-2-piperidona-4-acetat d'etil (57)



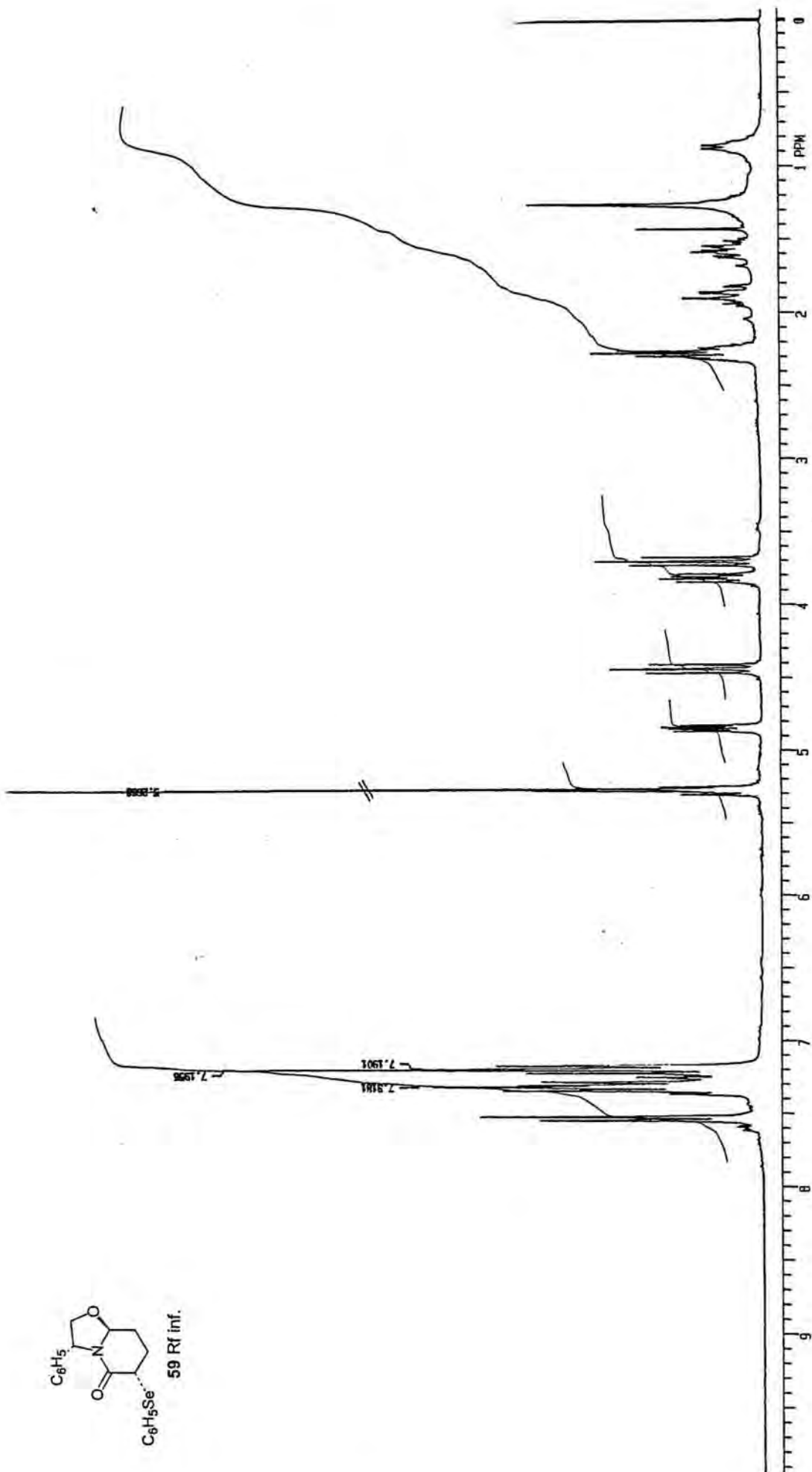
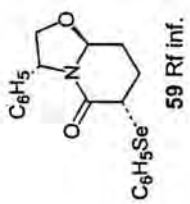
(4R,5S)-5-Etil-2-piperidona-4-acetat d'etil (57)



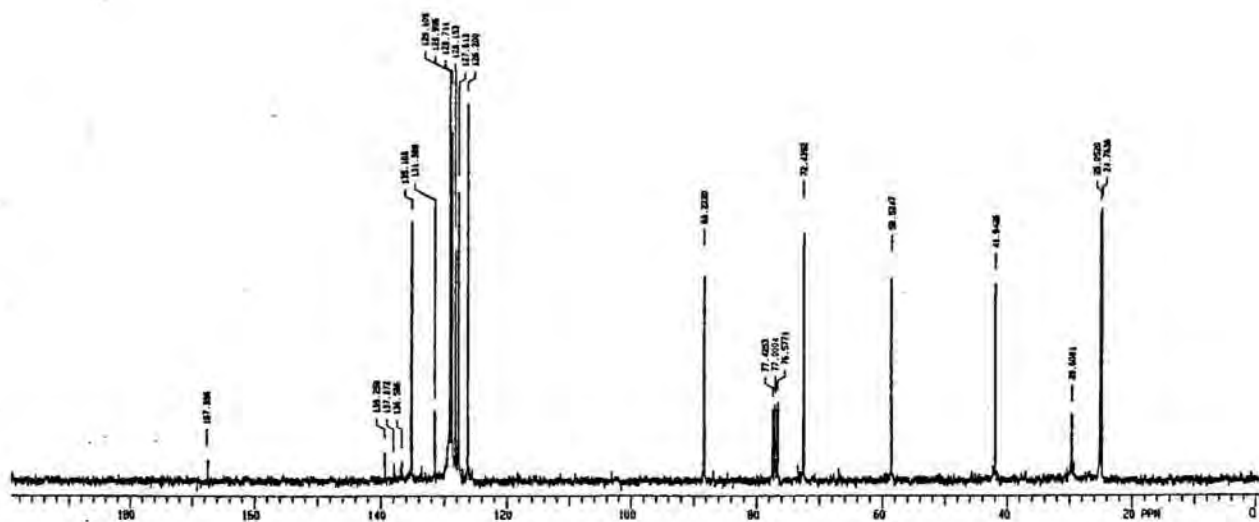
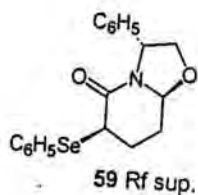
6-Etil-2-oxa-8-aza-biciclo[3.3.1]nonan-3-ona (58)



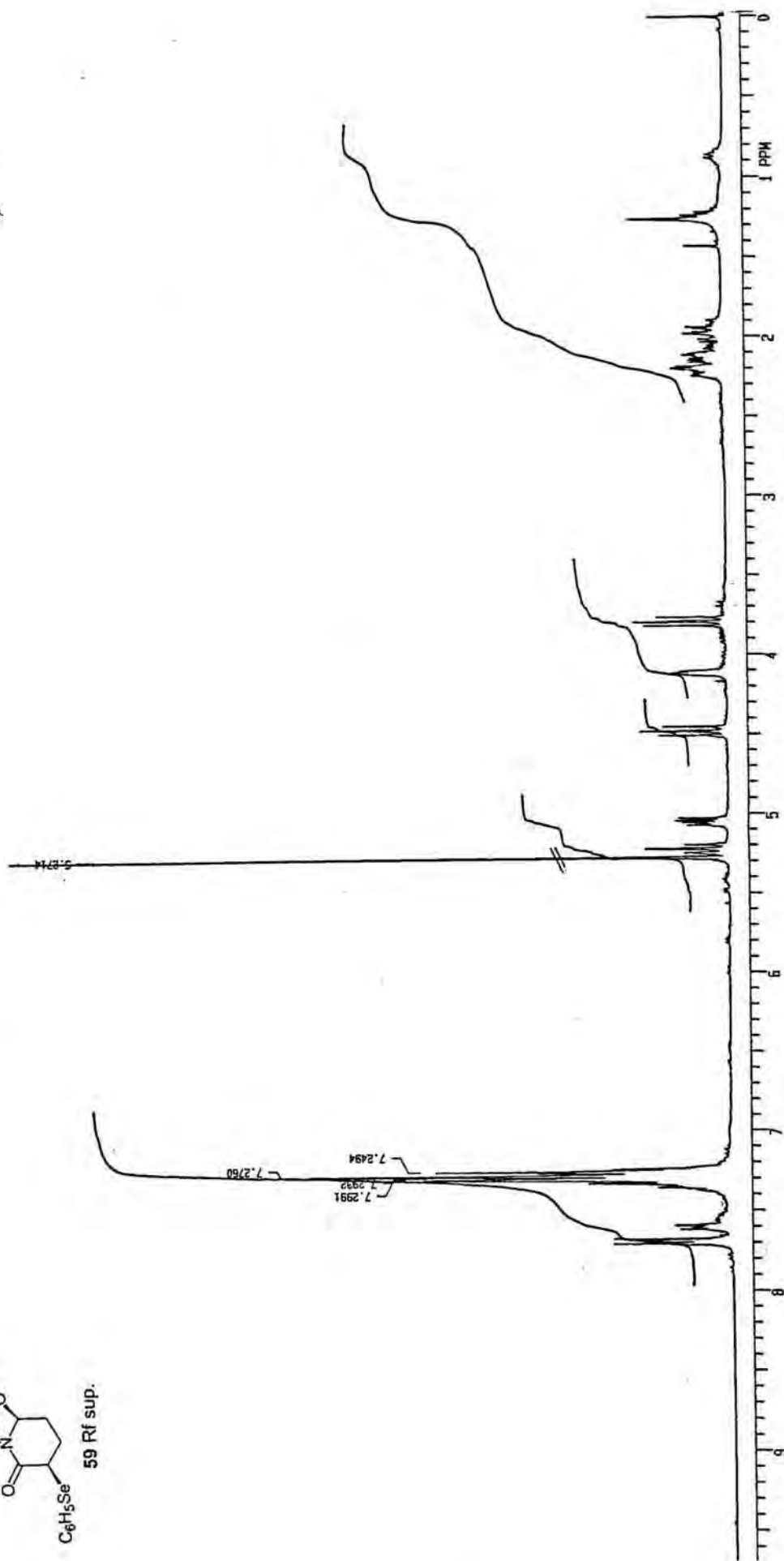
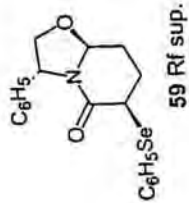
6-Etil-2-oxa-8-aza-biciclo[3.3.1]nonan-3-ona (58)



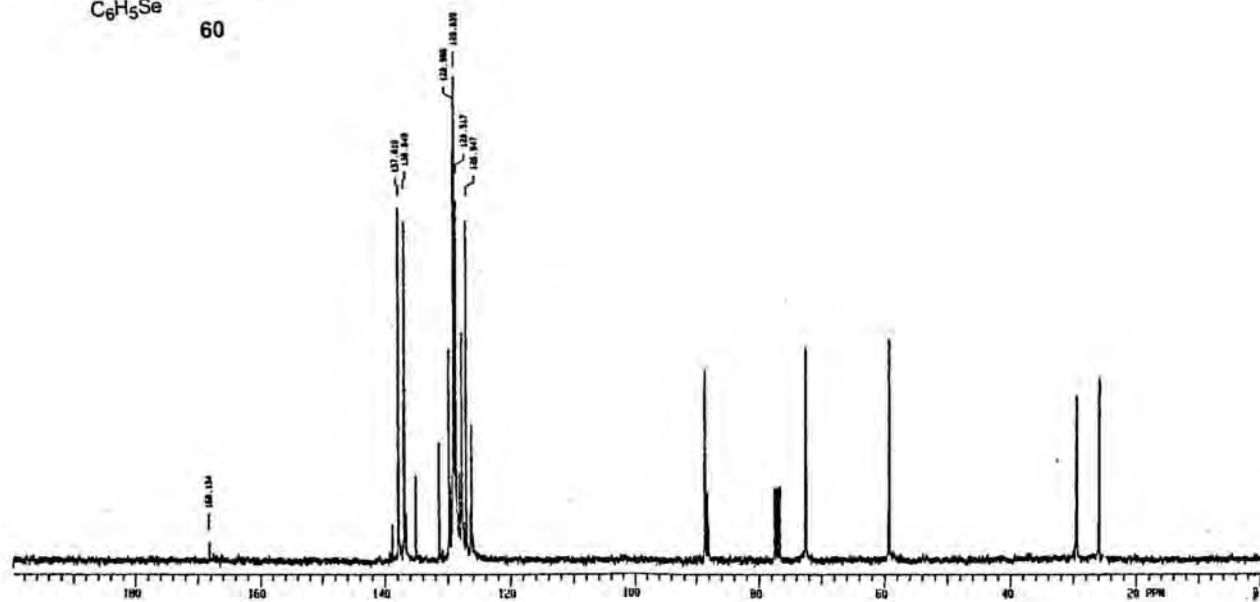
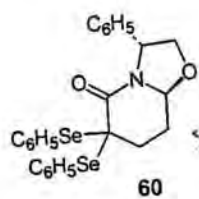
(3*R*,6*R*,8*aS*)-3-phenyl-6-(phenylselanyl)-5-oxo-2,3,6,7,8,8*a*-hexahydro-5*H*-oxazolo[3,2-*a*]pyridine (59)



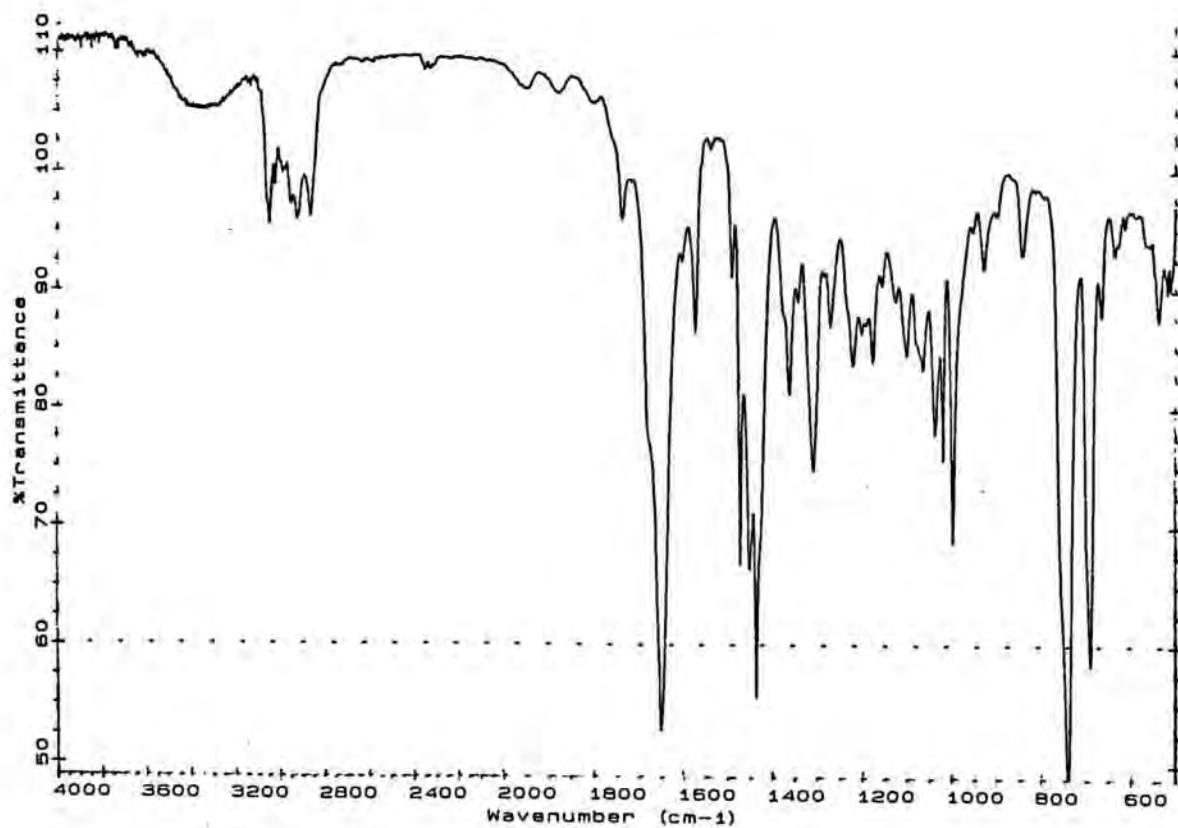
(3*R*,6*R*,8*aS*)-3-Fenil-6-(fenilselanil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (59)

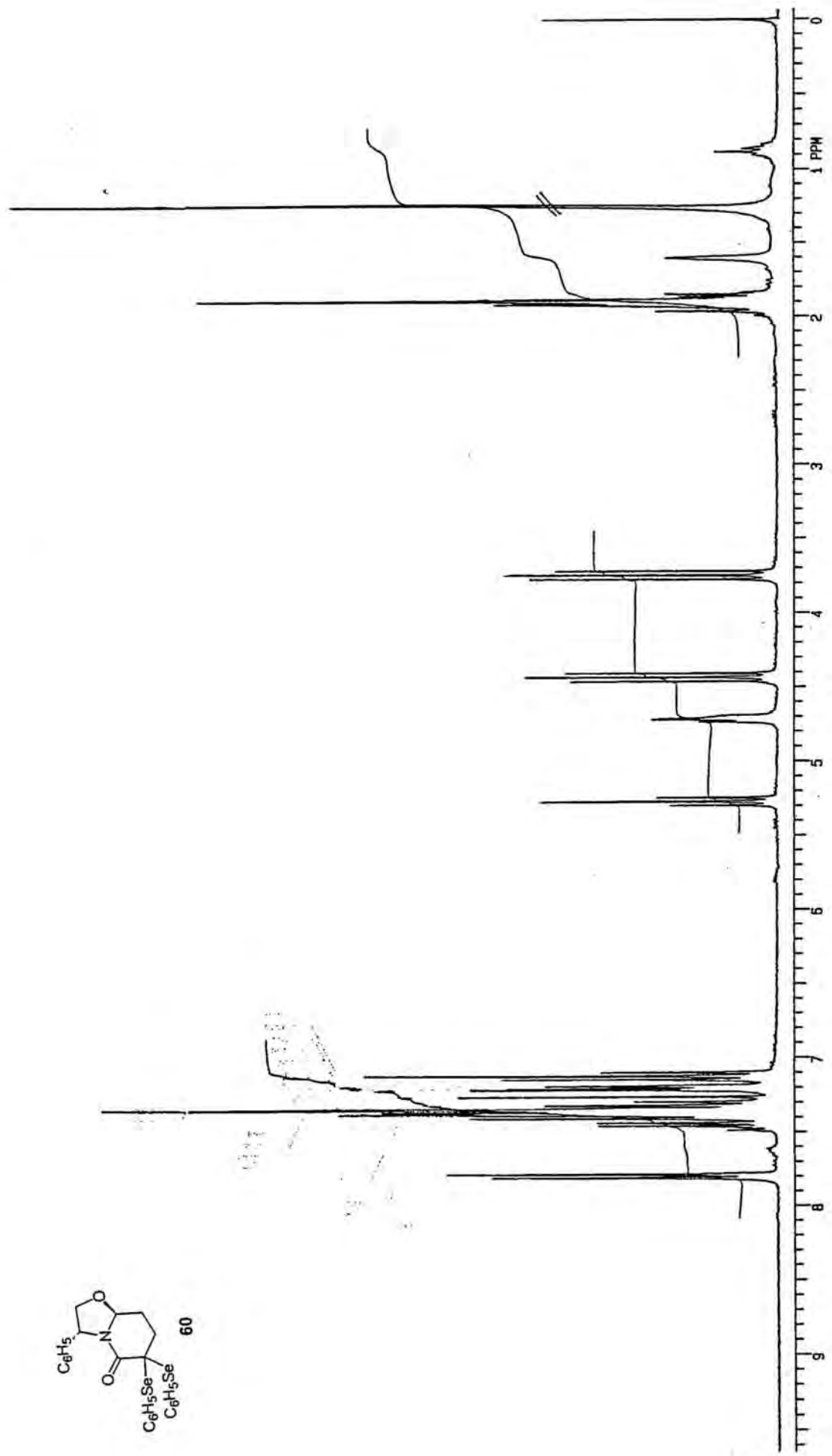
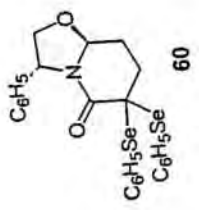


(3R,6S,8aS)-3-Fenil-6-(fenilselanil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (59)

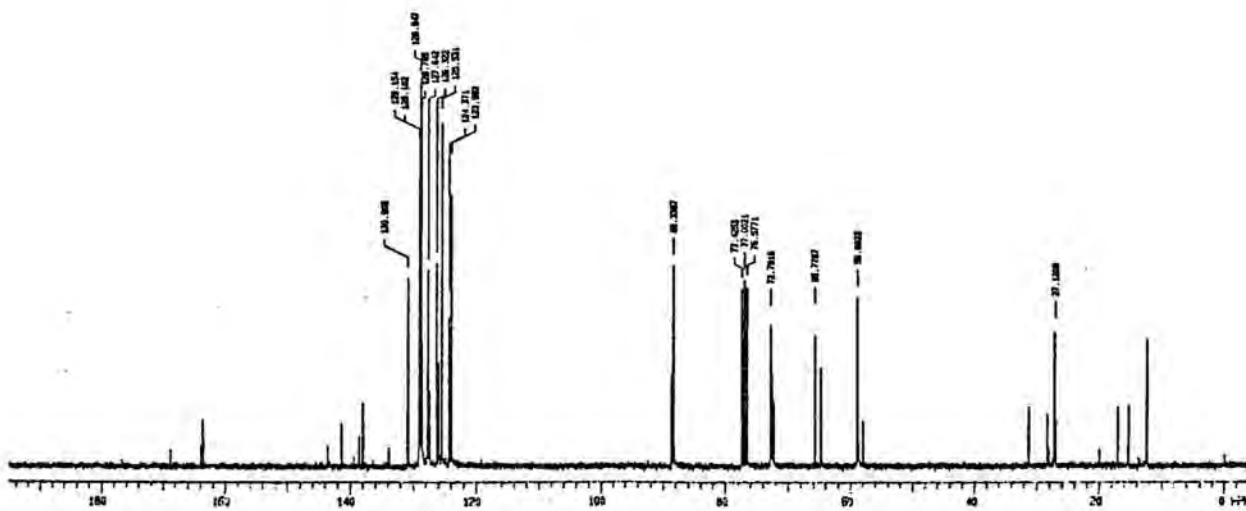
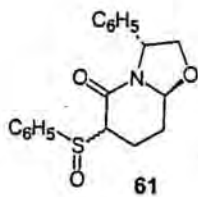


(3*R*,8*aS*)-3-Fenil-6-bis(fenilselanil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (60)

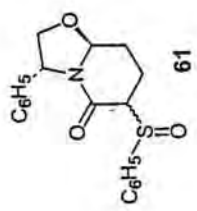
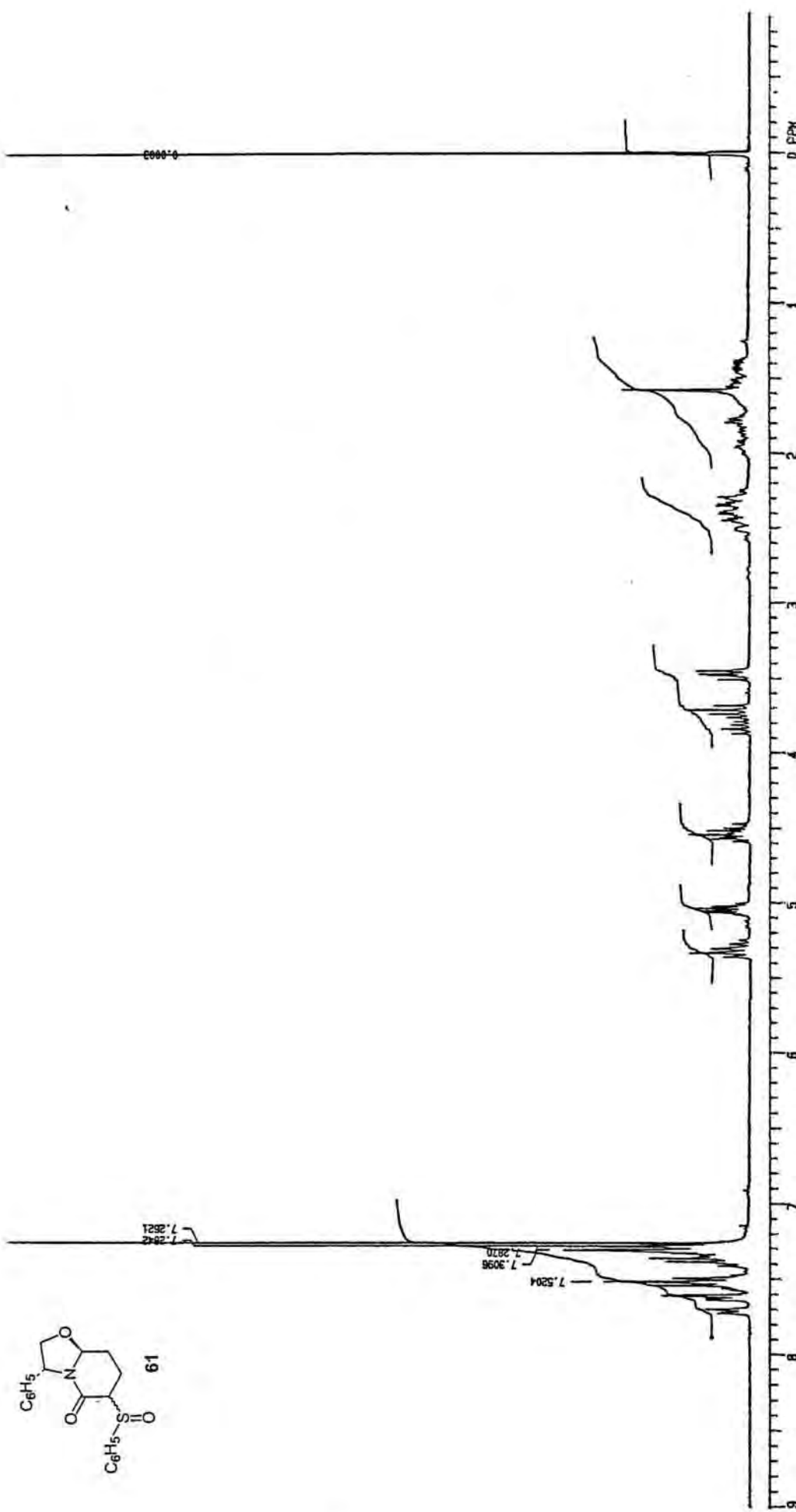




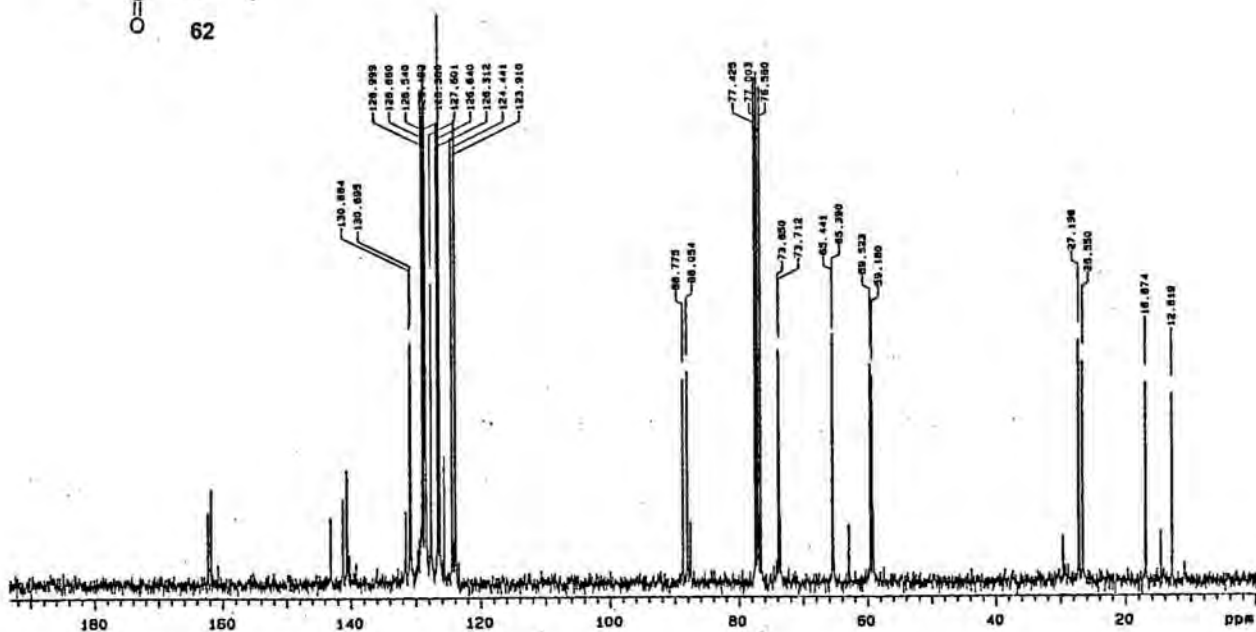
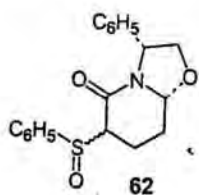
(3*R*,8*aS*)-3-Phenil-6-bis(phenilselanil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (60)



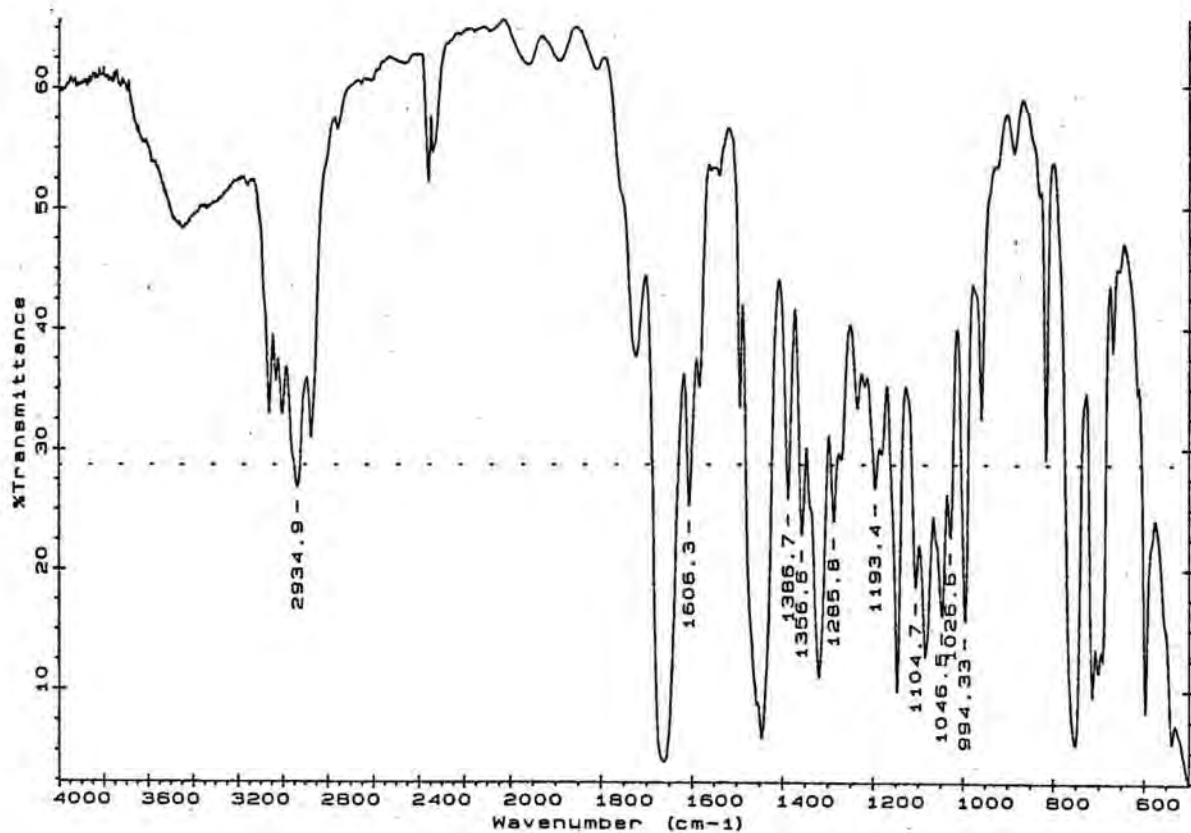
(3R,8aS)-3-Fenil-6-(fenilsulfinil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (61)

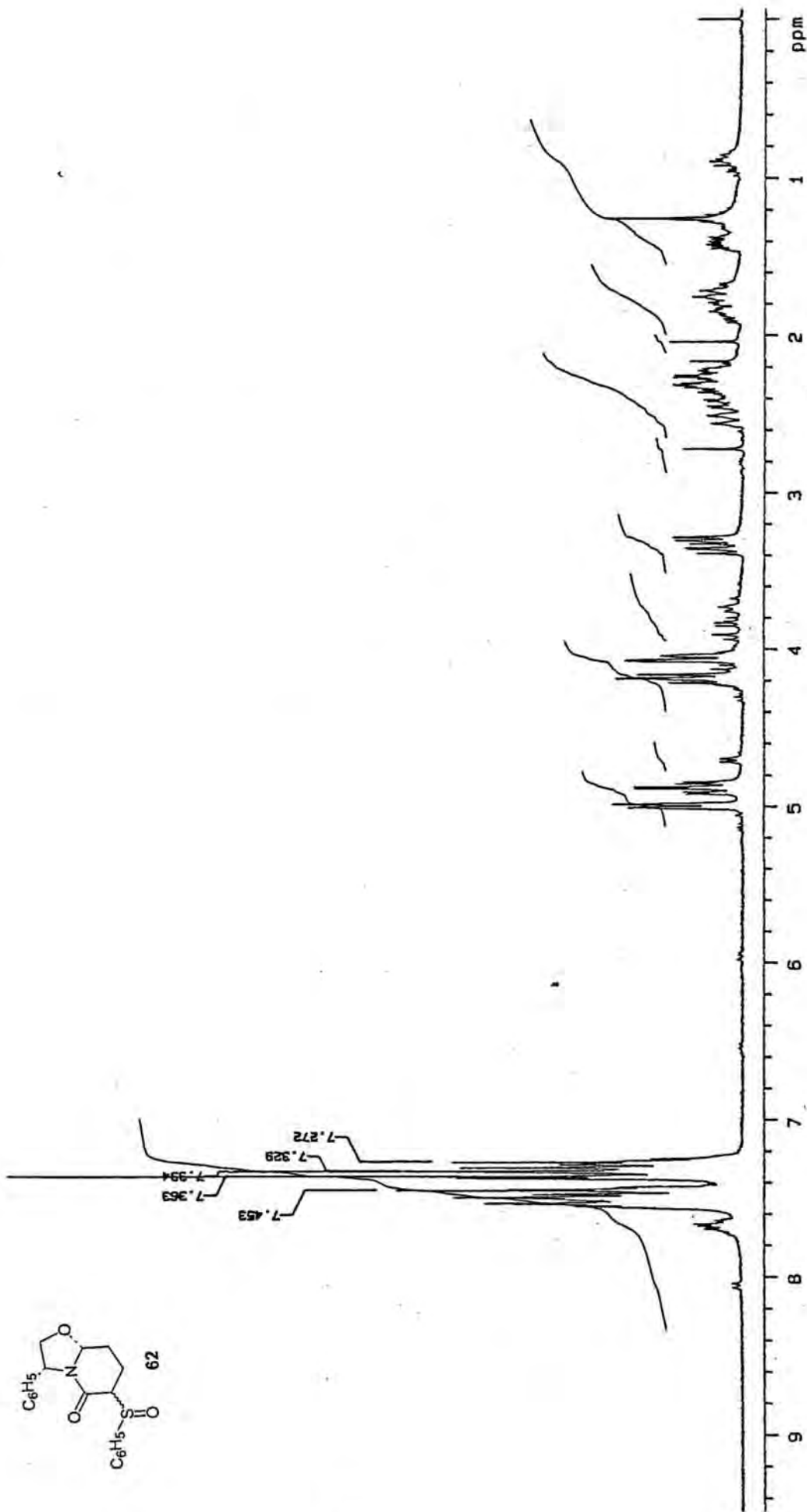


(3*R*,8*aS*)-3-*Fenil-6*-(*fenilsulfonil*)-5-*oxo-2,3,6,7,8,8a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (61)

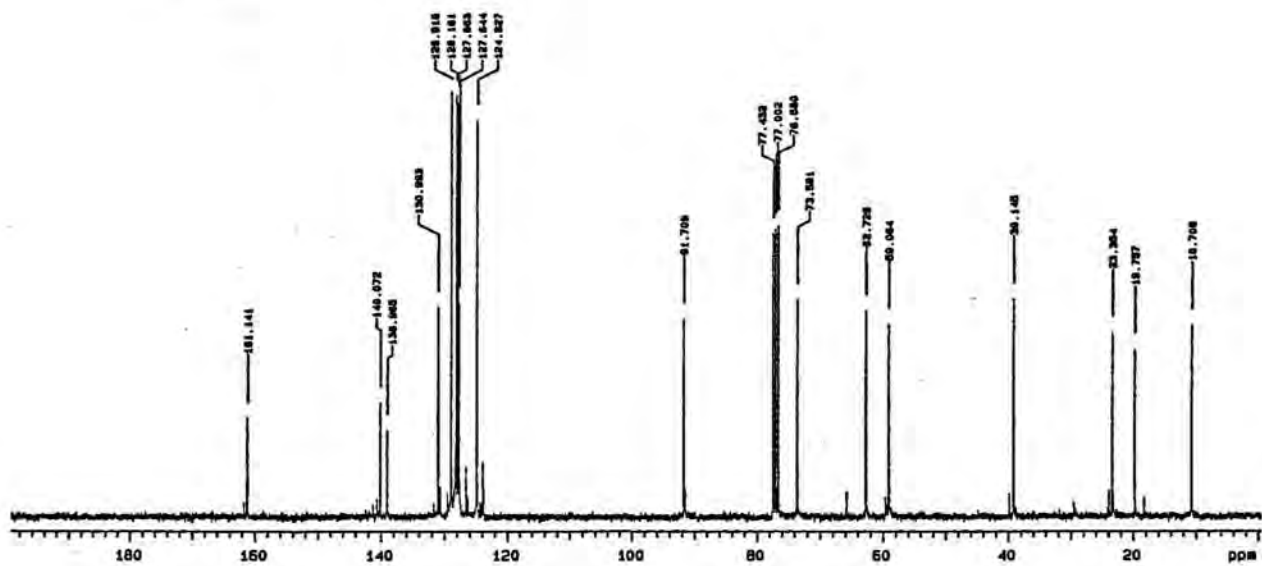
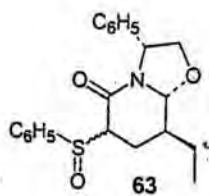


(3*R*,8*aR*)-3-Phenyl-6-(phenylsulfinyl)-5-oxo-2,3,6,7,8,8*a*-hexahydro-5*H*-oxazolo[3,2-*a*]piridina (62)

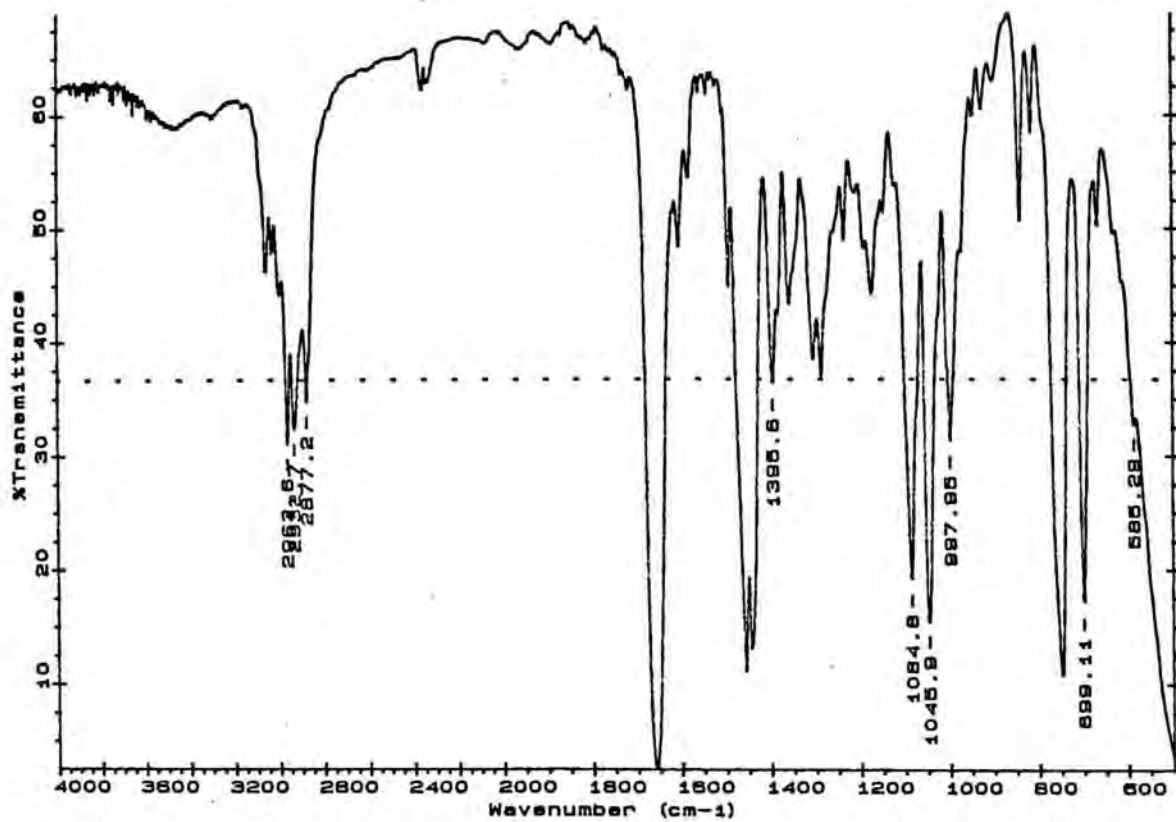


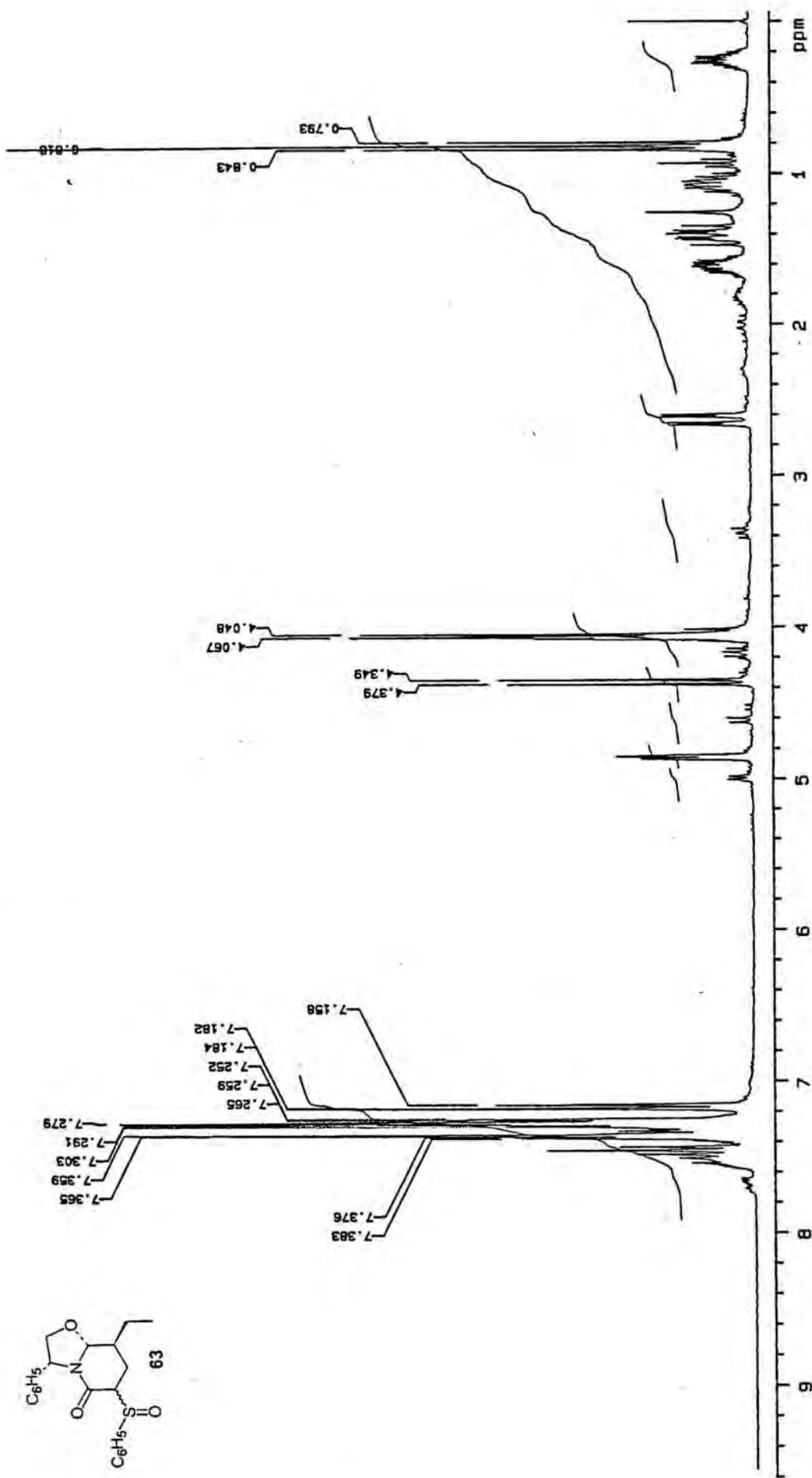


(3R,8aR)-3-Phenyl-6-(phenylsulfinyl)-5-oxo-2,3,6,6,7,8,8a-hexahydro-5H-oxazolo[3,2-a]pyridina (62)

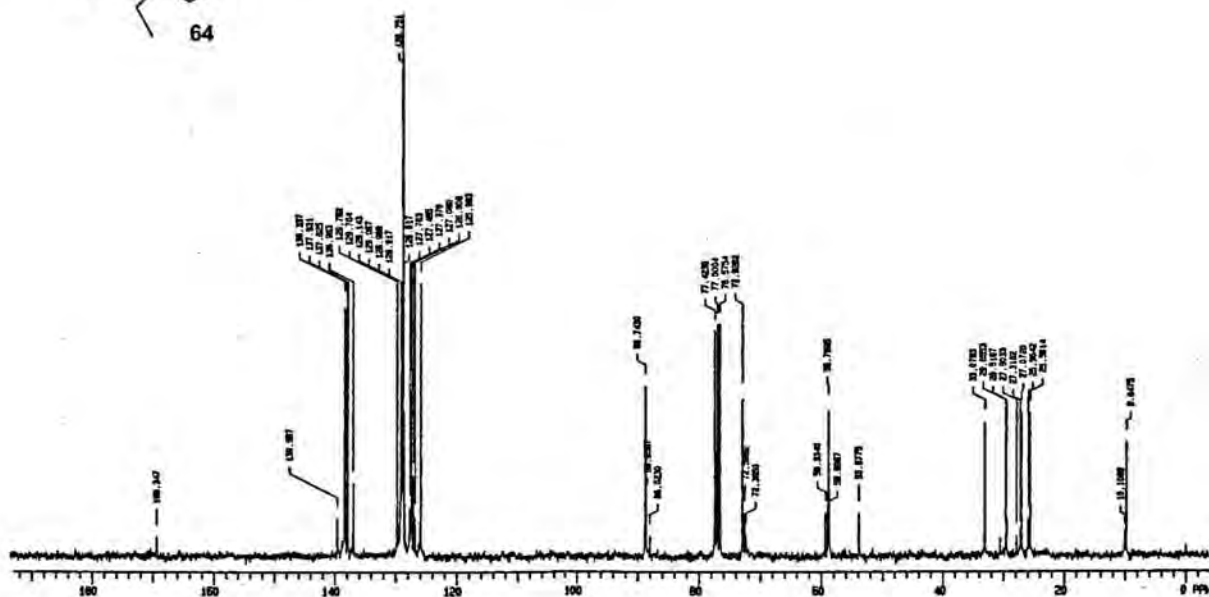
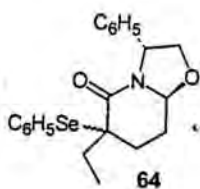


(3*R*,8*S*,8*aR*)-8-Etil-3-fenil-6-(fenilsulfinil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo [3,2-*a*]piridina (63)

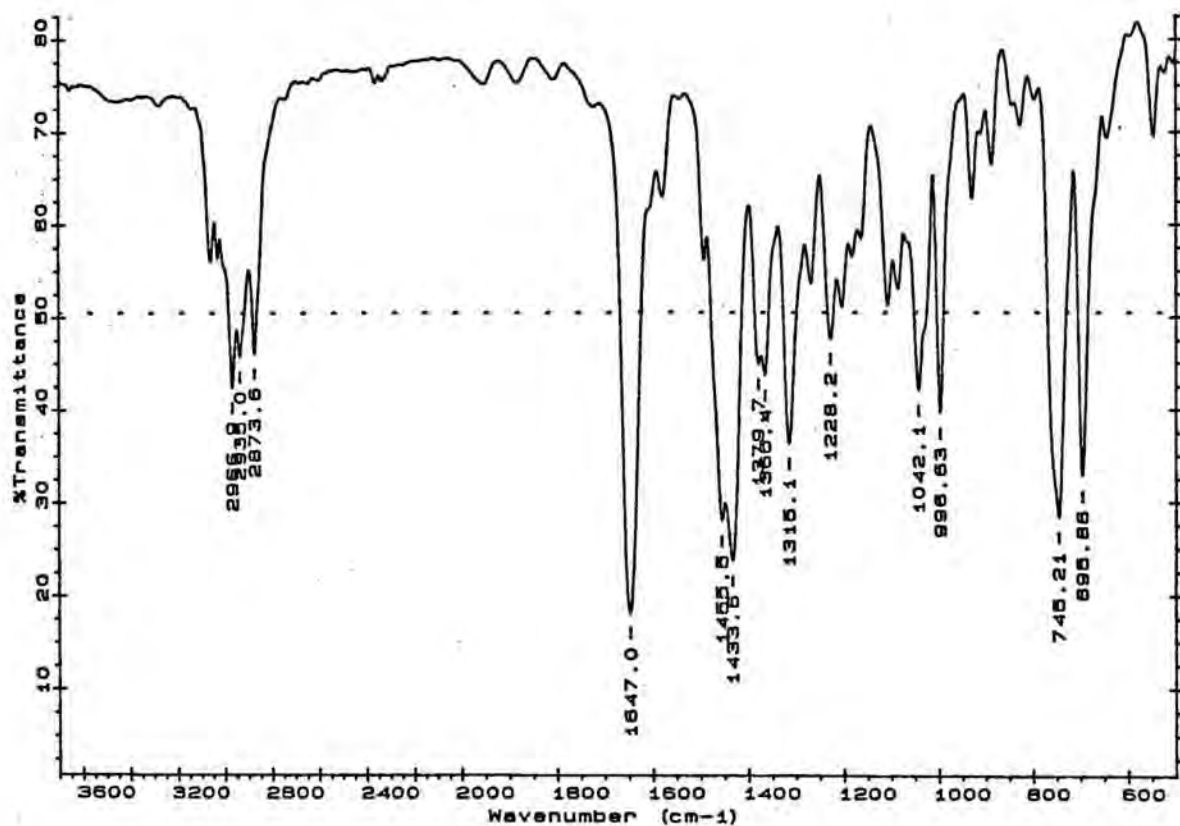


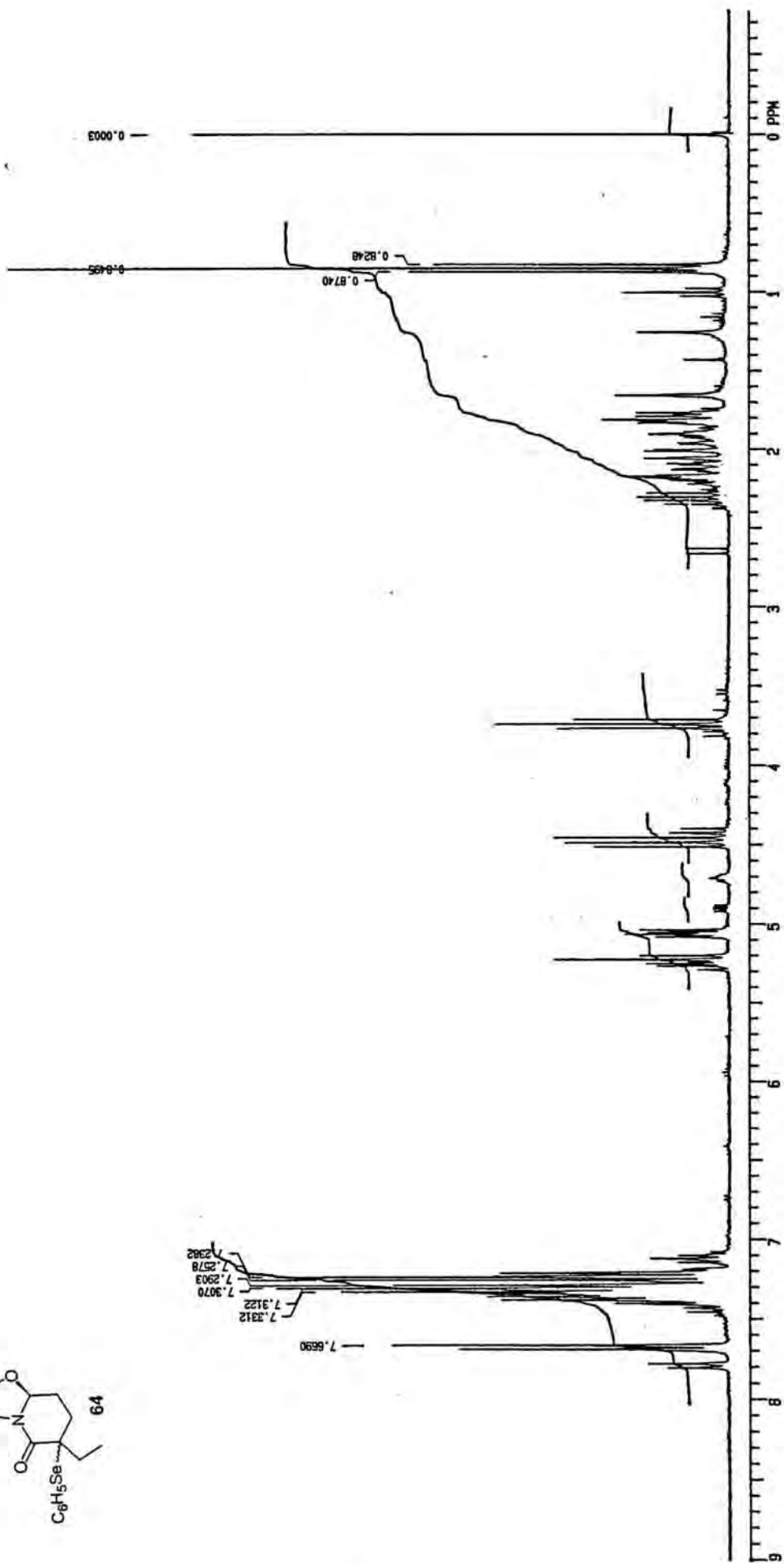
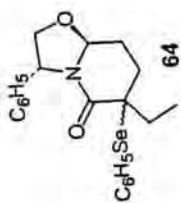


(3R,8S,8aR)-8-Etil-3-fenil-6-(fenilsulfonil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (63)

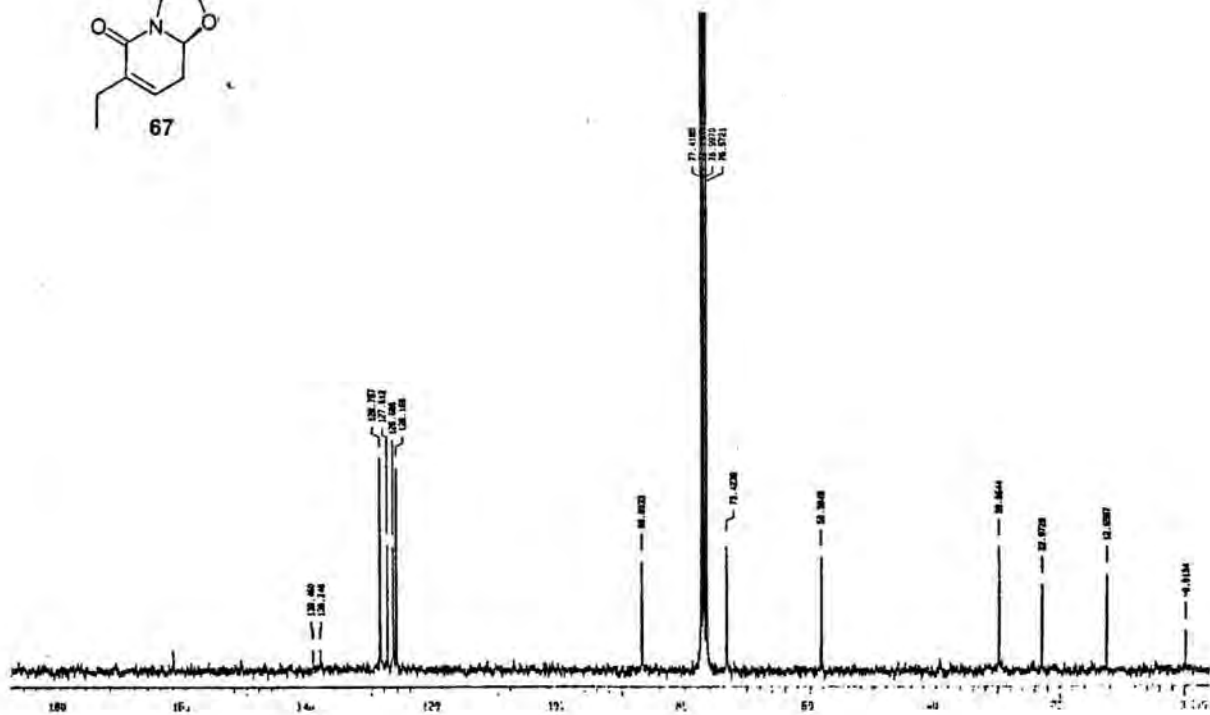
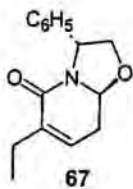


(3*R*,8*aS*)-6-Etil-3-fenil-6-(senilselanil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo [3,2-*a*]piridina (64)

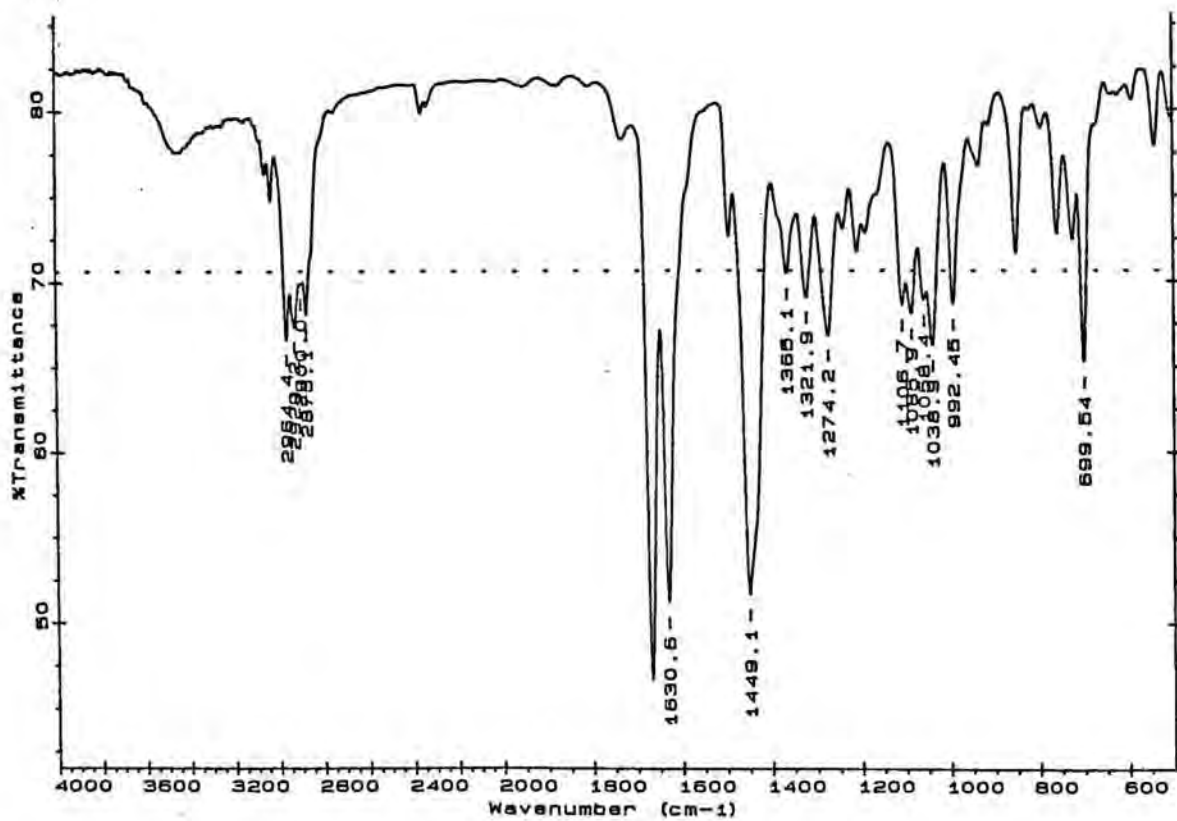


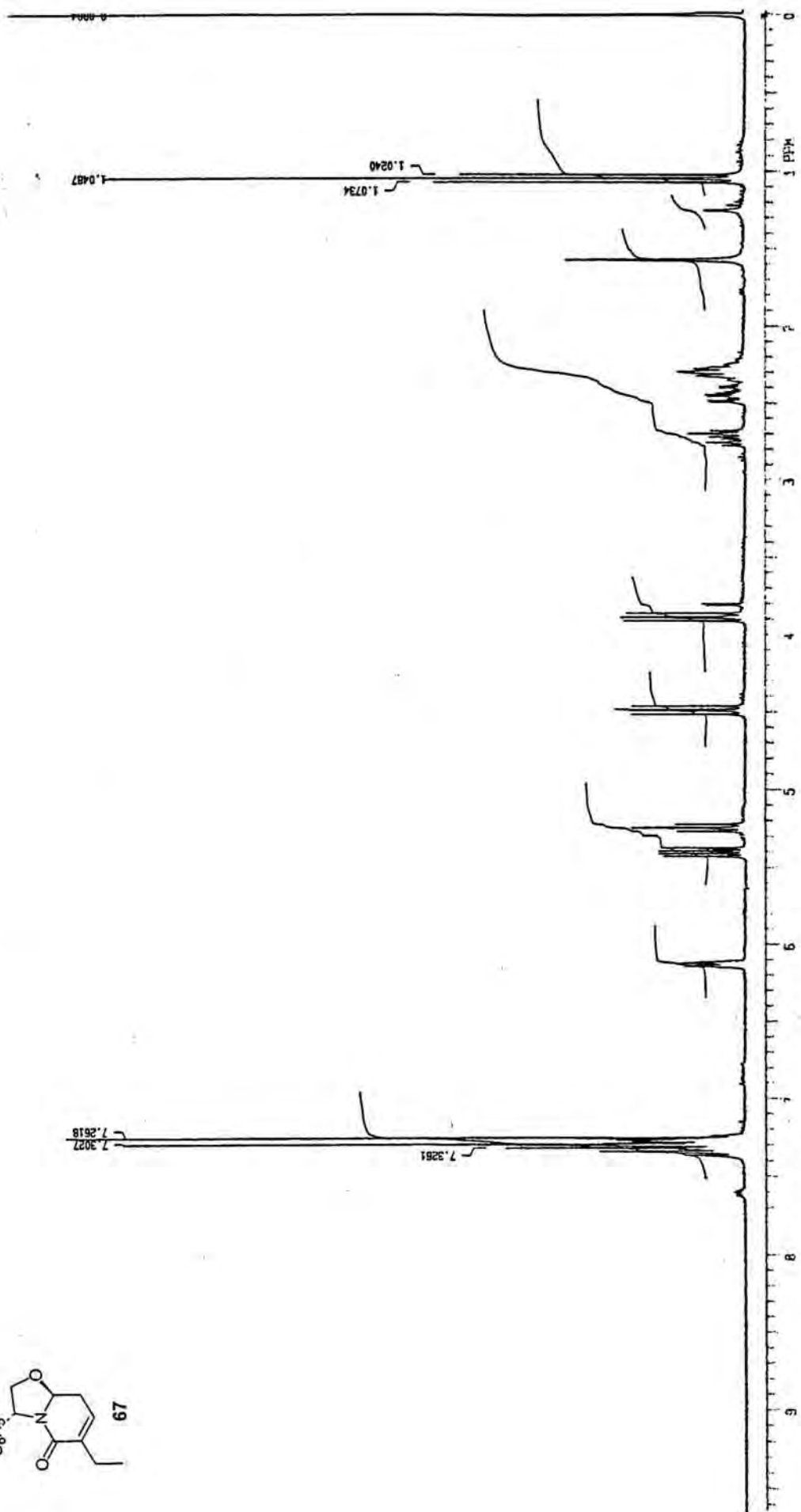
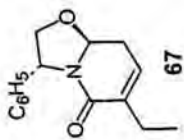


(3*R*,8*aS*)-6-Etil-3-fenil-6-(fenilselanil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (64)

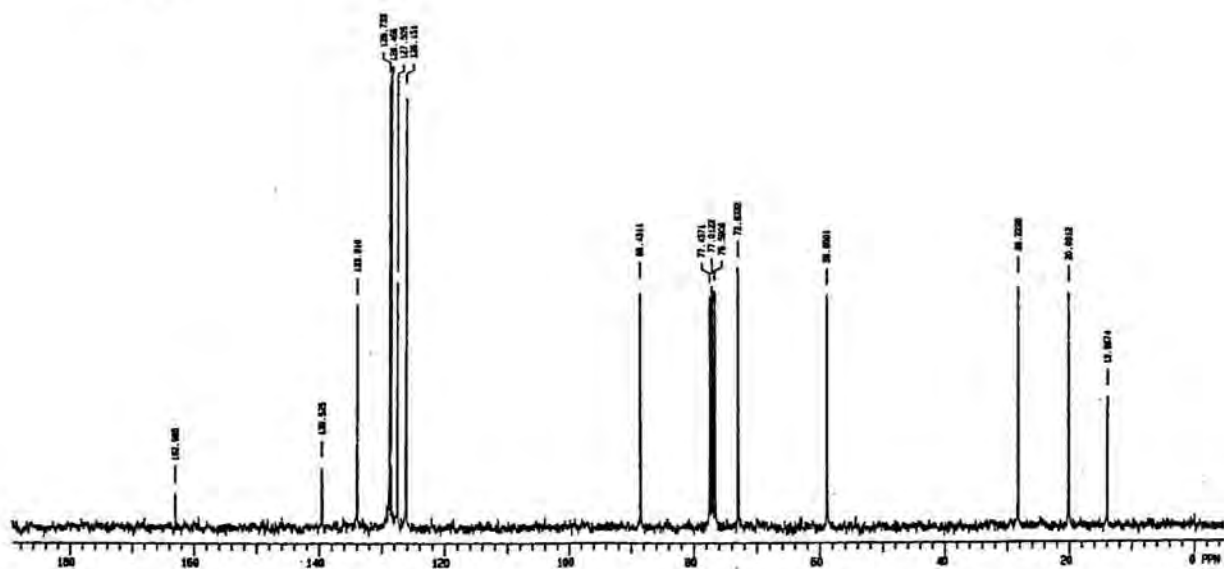
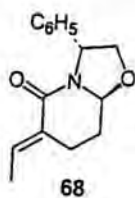


(3*R*,8*aS*)-6-Etil-3-fenil-5-oxo-2,3,8,8*a*-tetrahidro-5*H*-oxazolo[3,2-*a*]piridina (67)

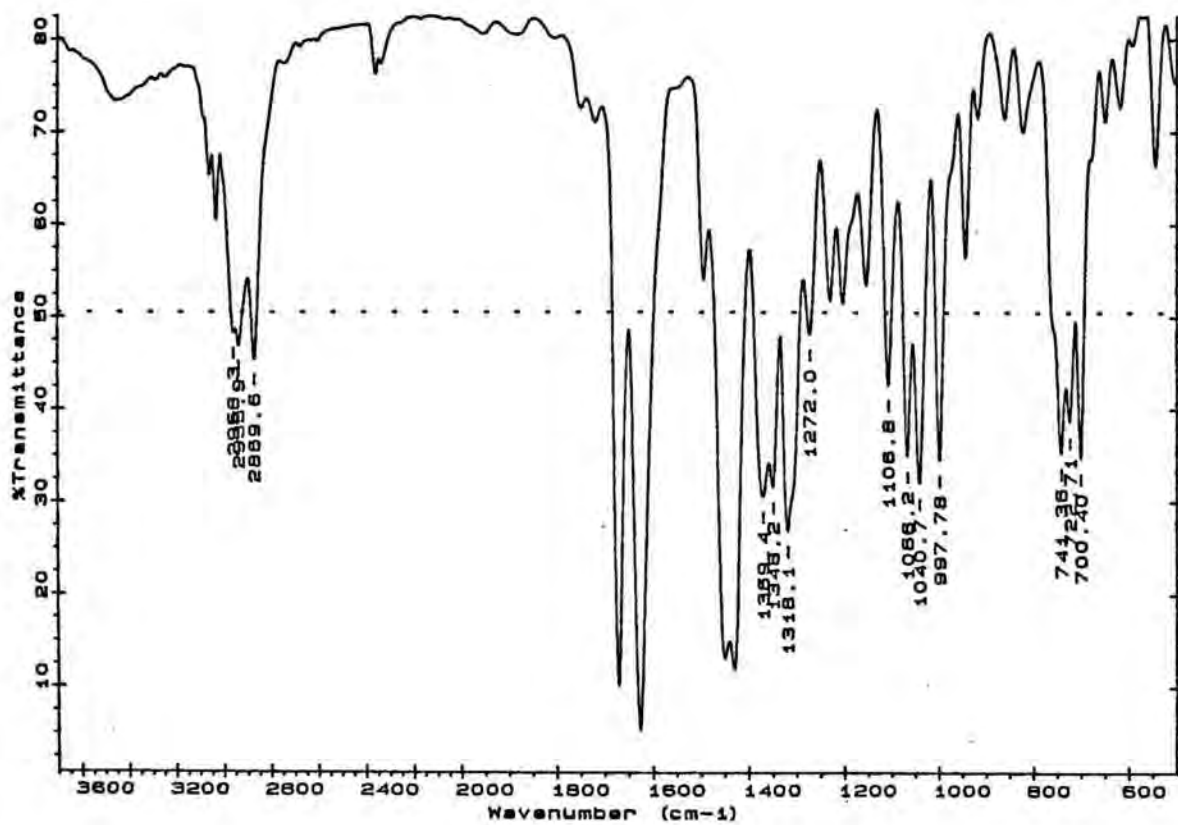


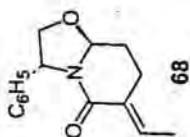


(3*R*,8*aS*)-6-Etil-3-fenil-5-oxo-2,3,8,8*a*-tetrahidro-5*H*-oxazolo[3,2-*a*]piridina (67)

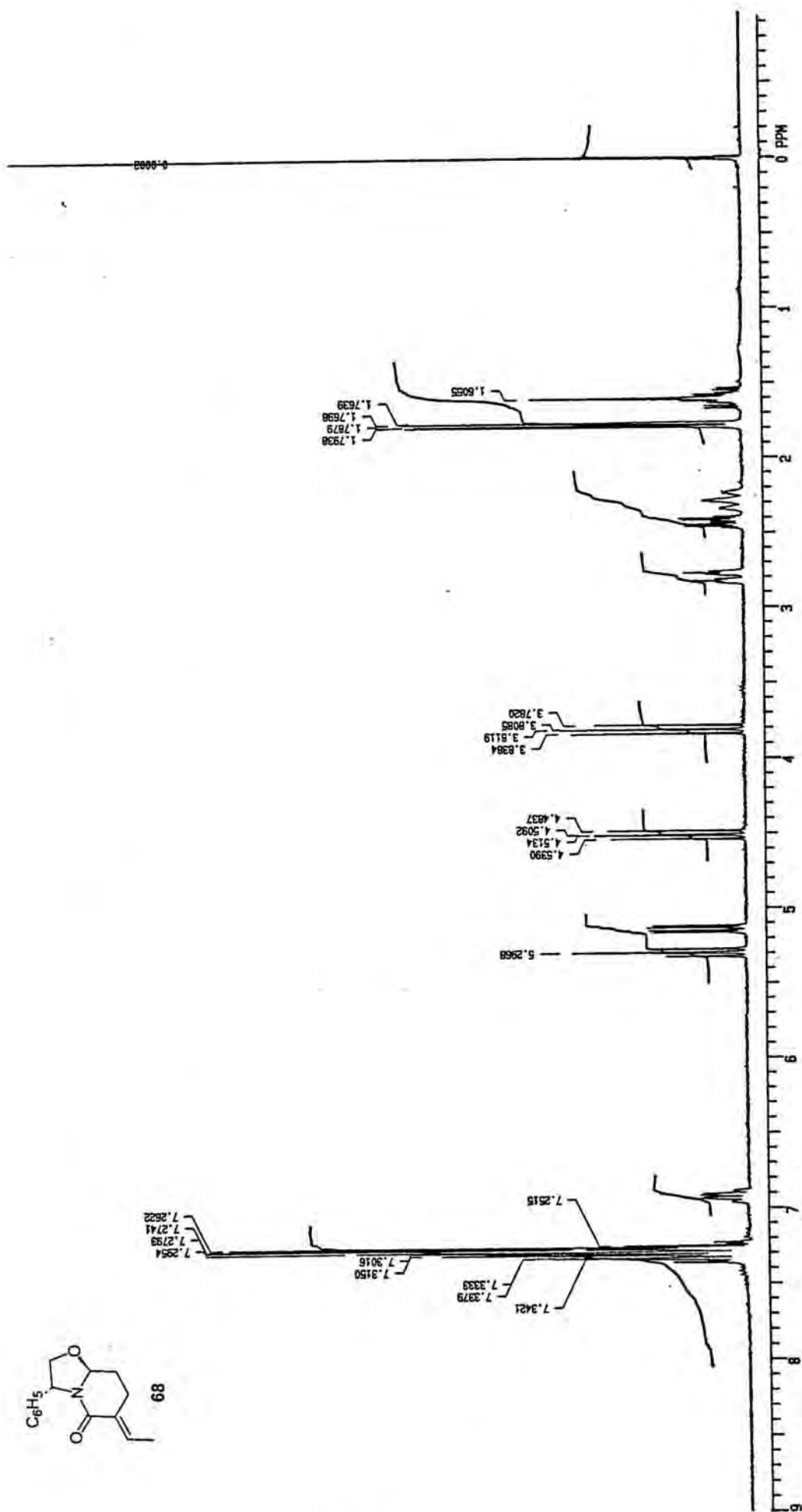


(3R,8aS)-(6E)-Etiliden-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (68)

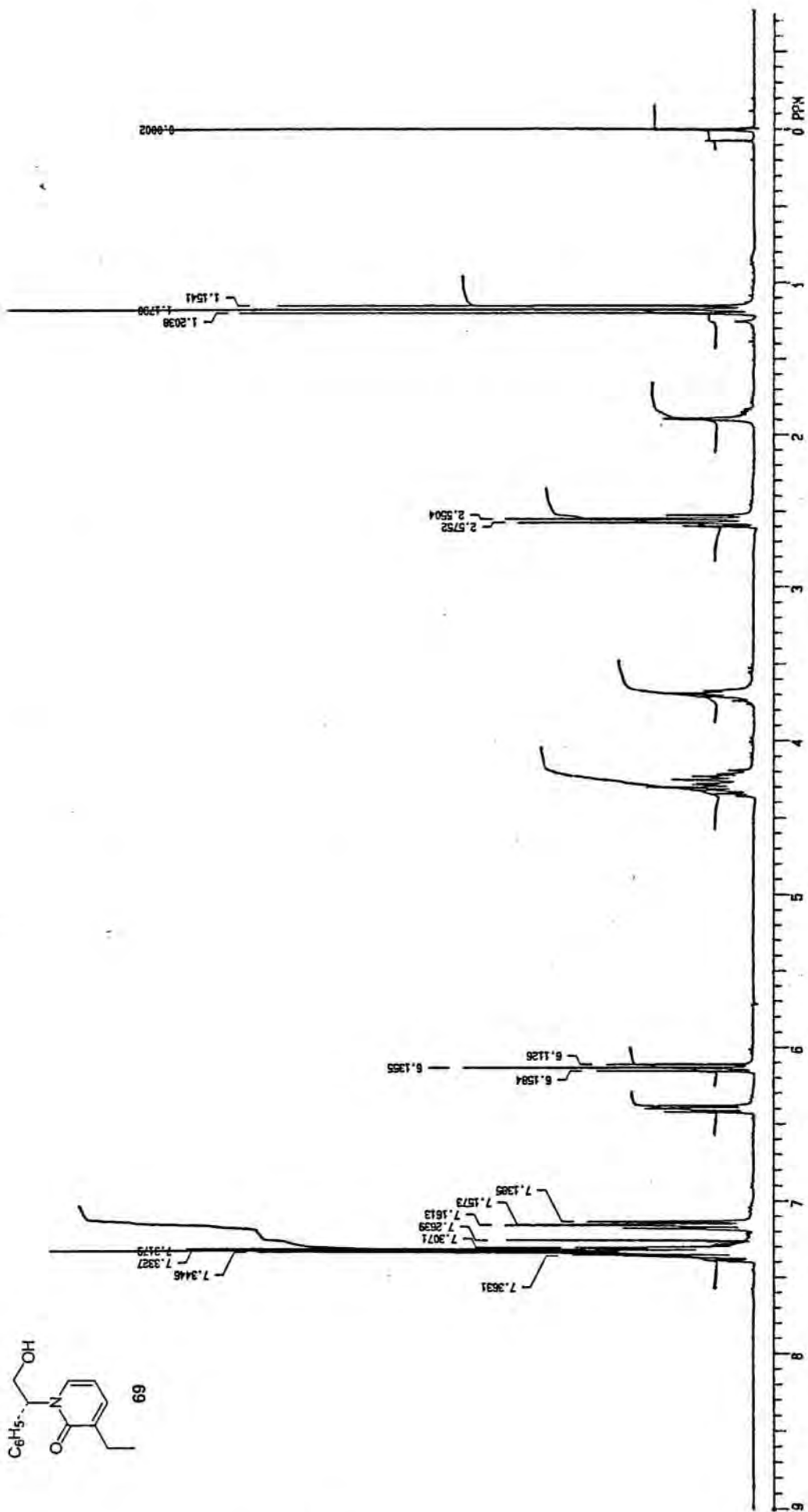
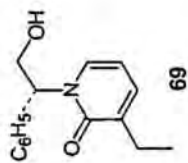




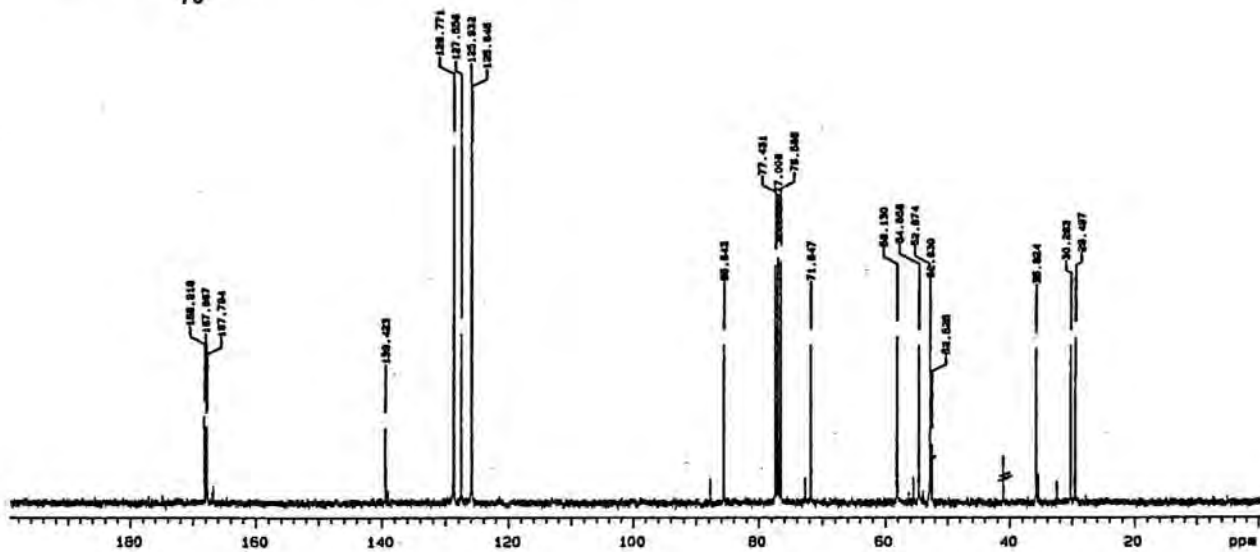
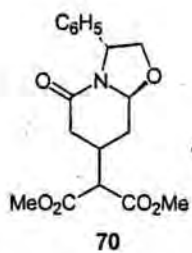
68



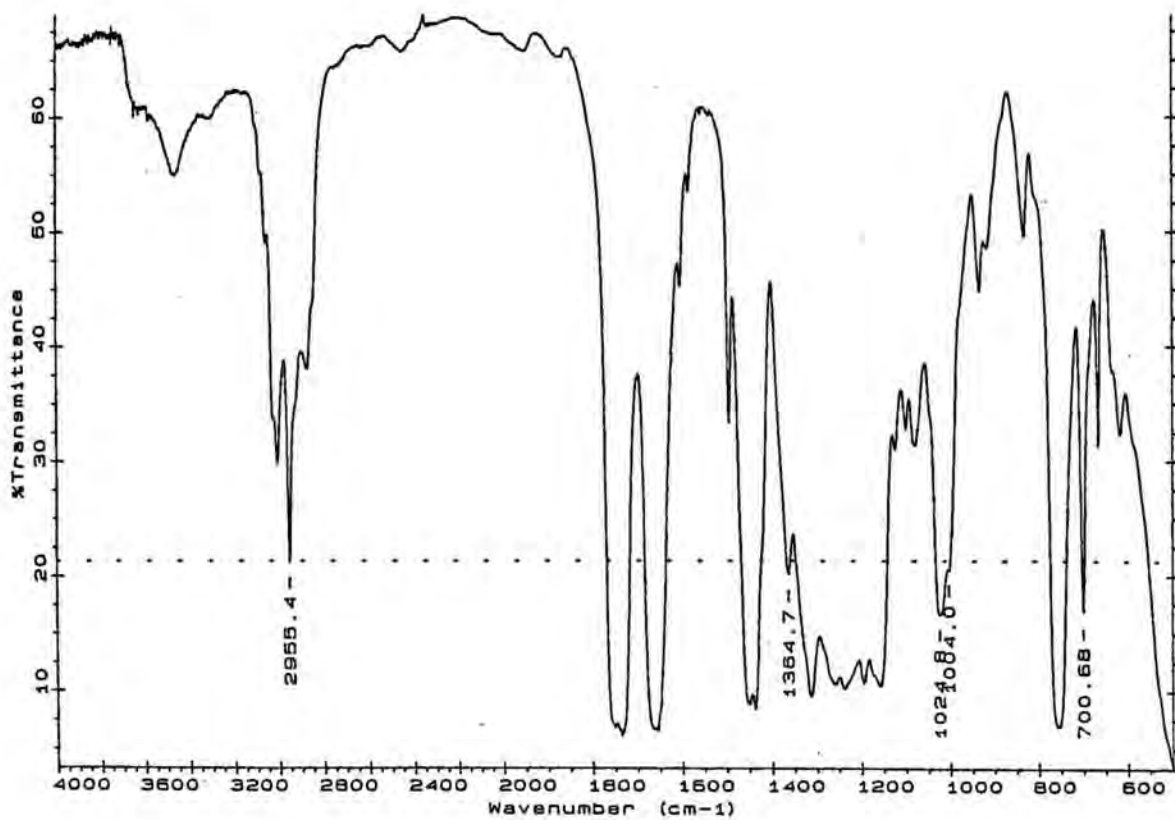
(3*R*,8*aS*)-(6*E*)-Etiliden-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (68)

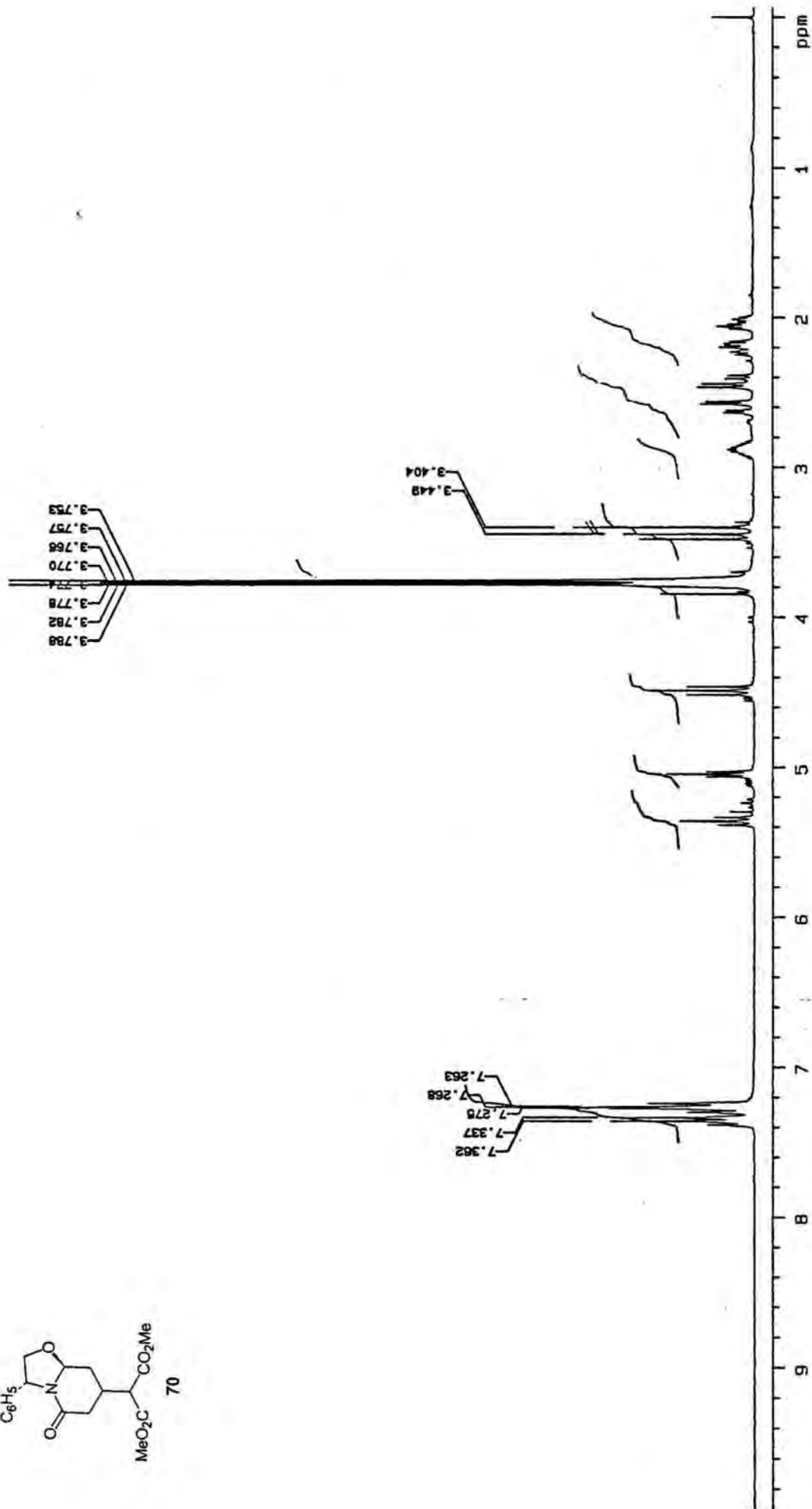
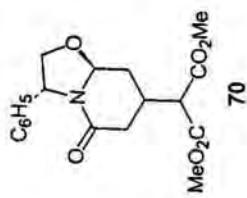


3-Etil-1-[(1R)-1-fenil-2-hidroxi-etil]-1H-2-piridona (69)

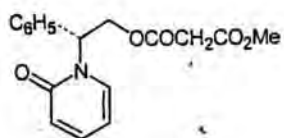


(3*R*,8*aS*)-3-Fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-malonat de dimetil (70)

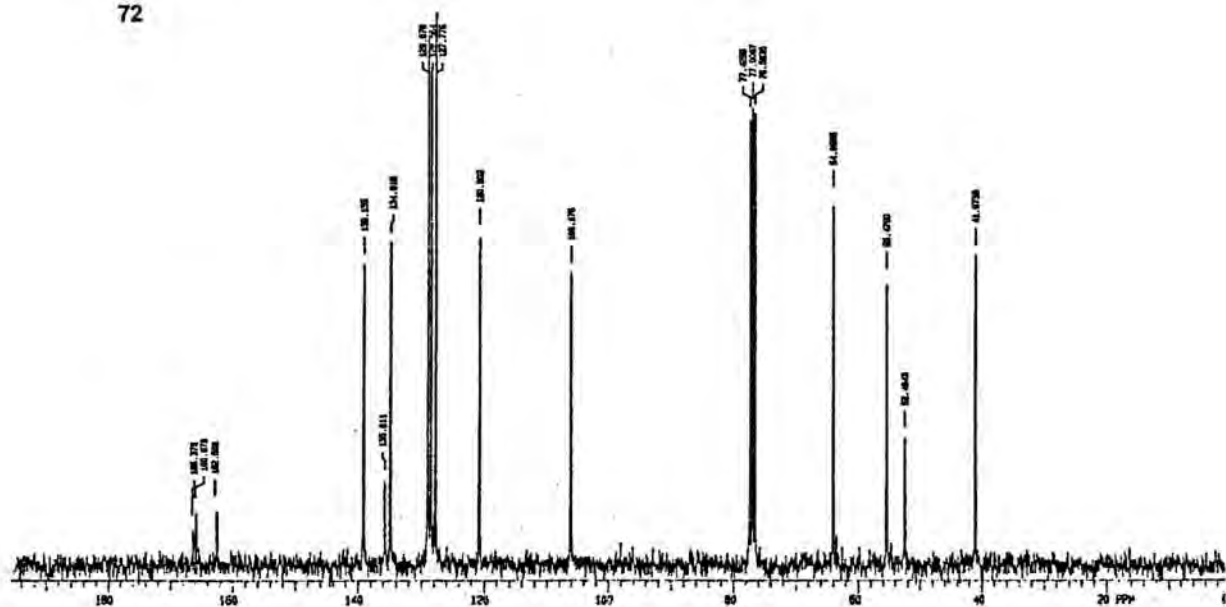




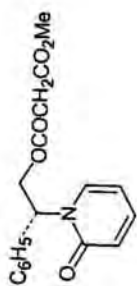
(3*R*,8*aS*)-3-Fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-malonat de dimetil (70)



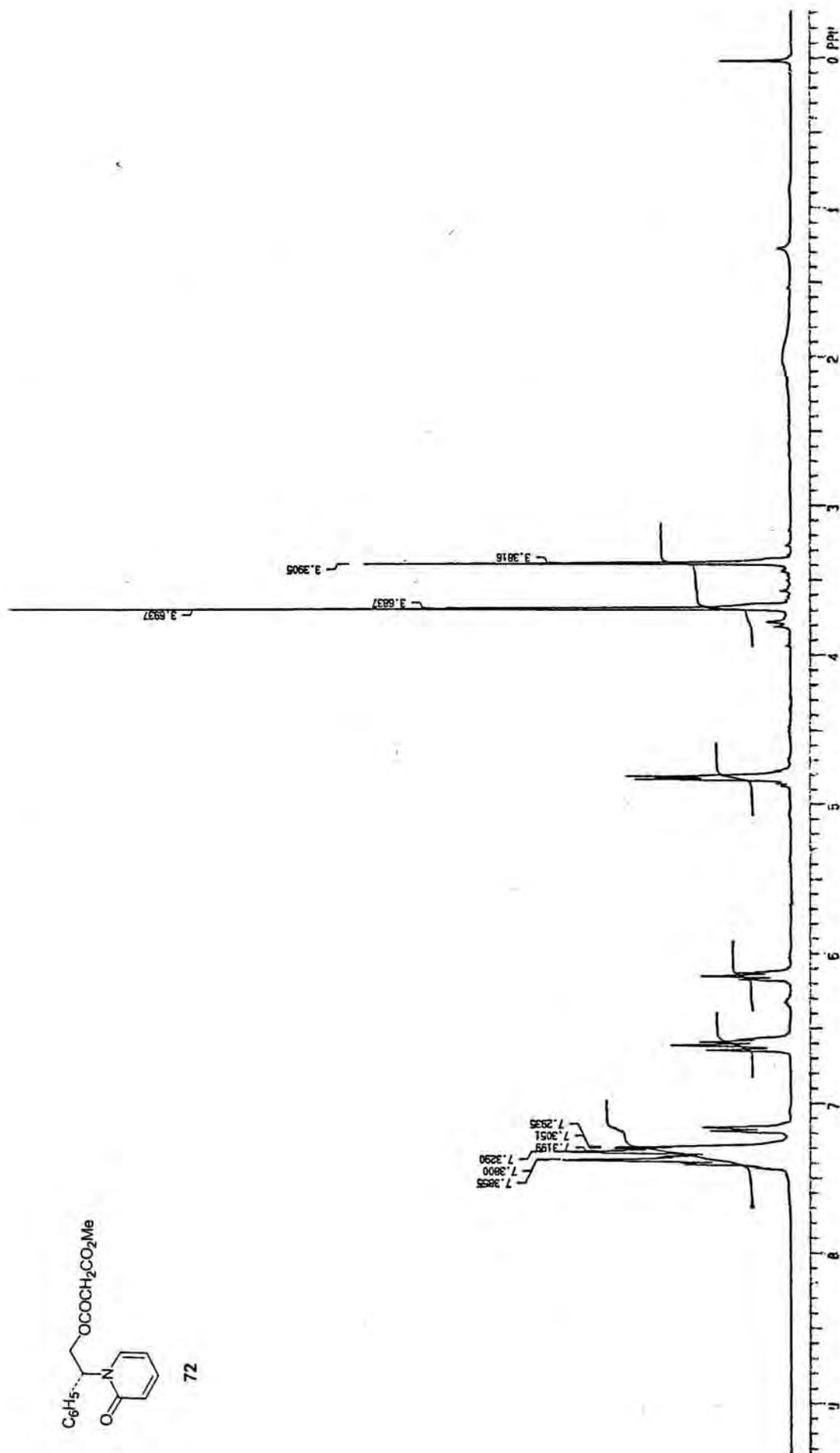
72



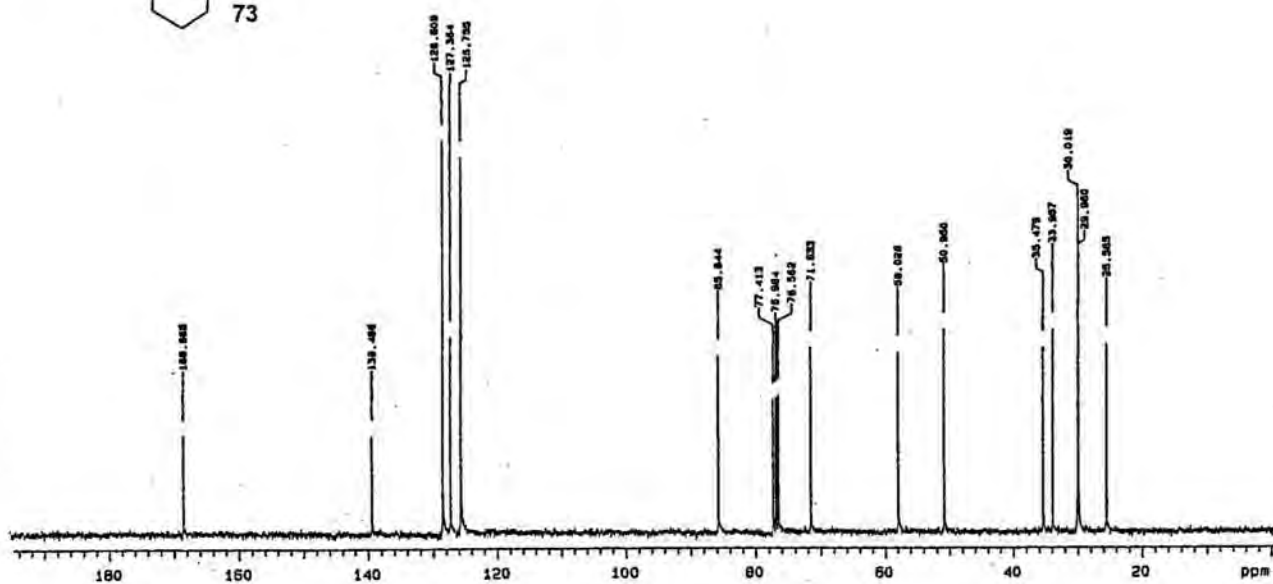
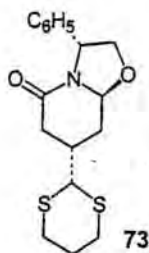
Malonat de metil i de 2-fenil-2-(2-oxo-1,2-dihidro-1-piridil)etil (72)



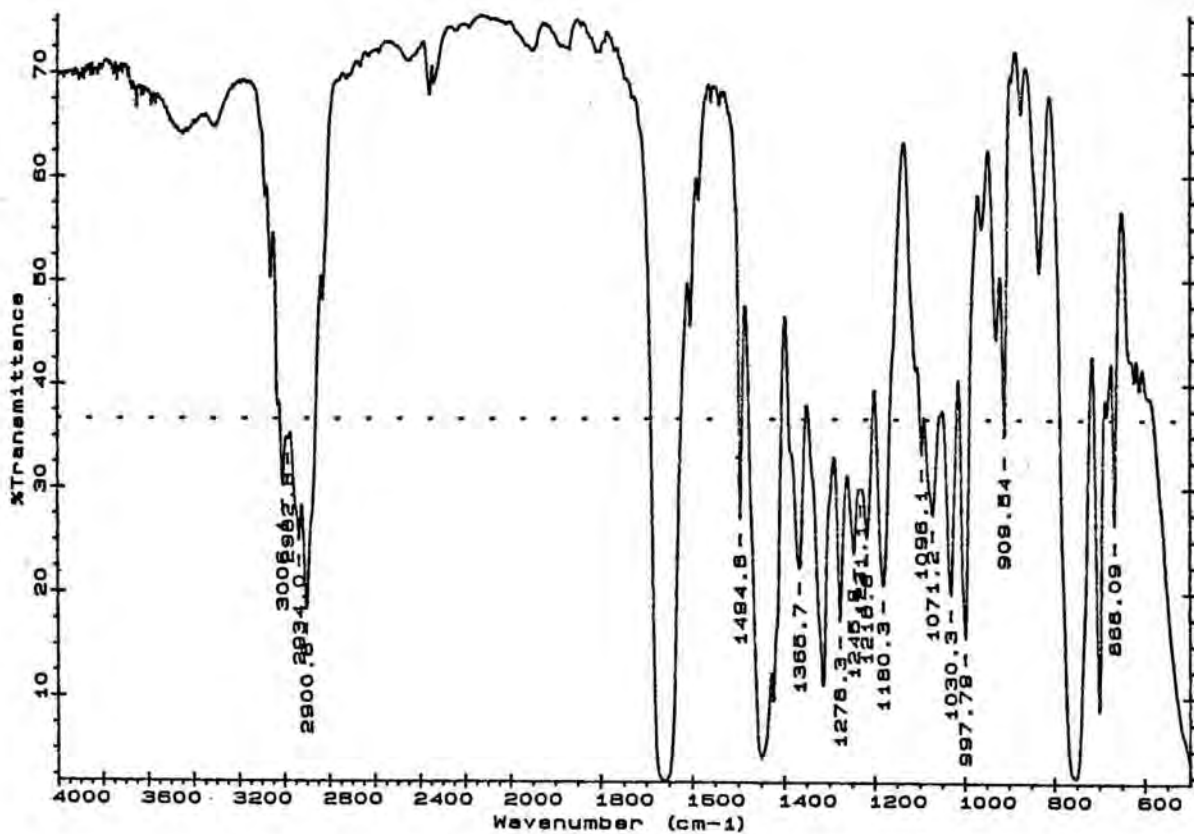
72

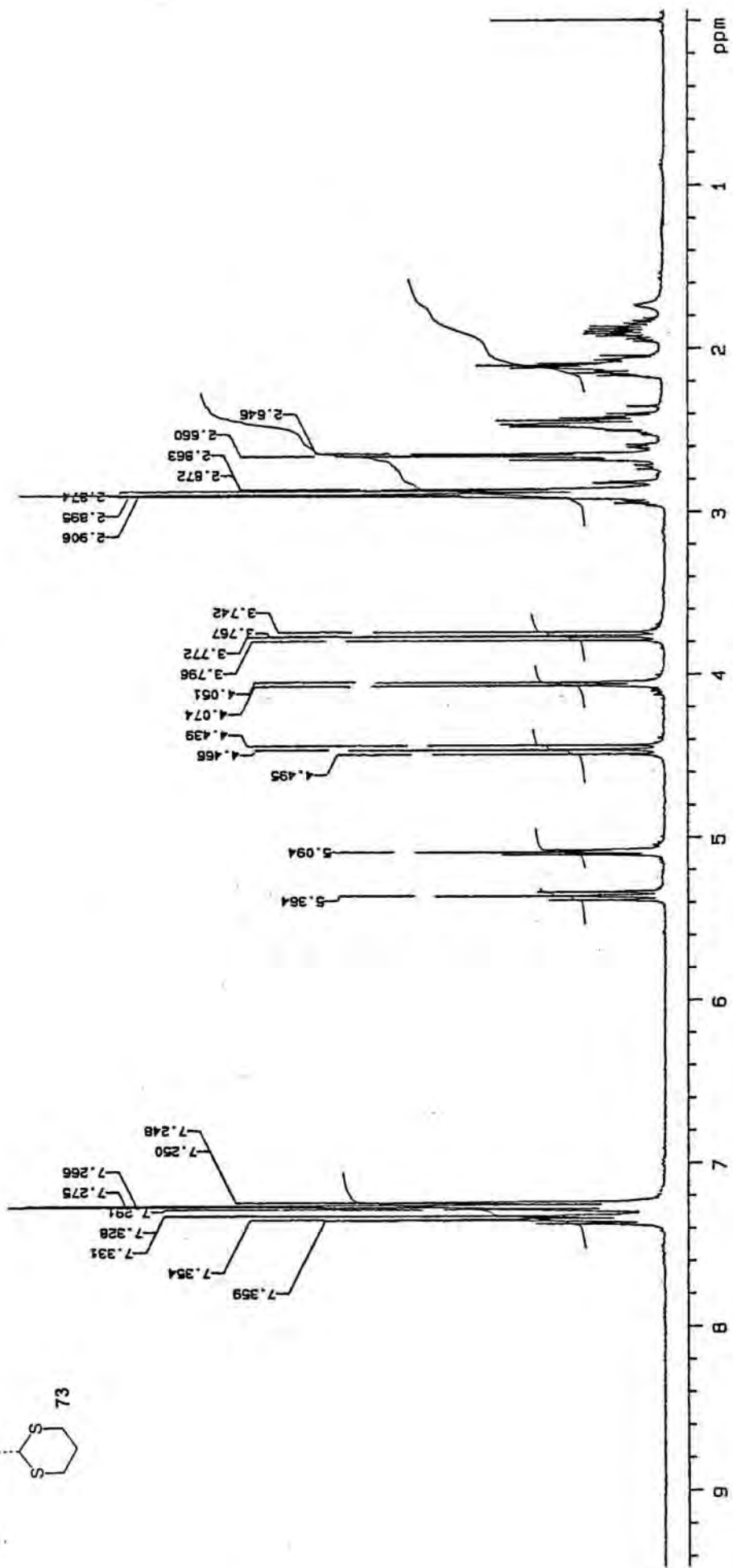
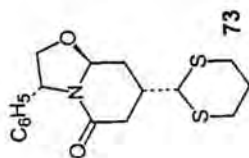


Malonat de metil i de 2-fenil-2-(2-oxo-1,2-dihidro-1-piridil)etil (72)

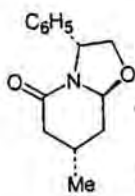


(3R,7S,8aS)-7-(1,3-Dithian-2-yl)-3-phenyl-5-oxo-2,3,6,7,8,8a-hexahydro-5H-oxazolo[3,2-a]piridina (73)

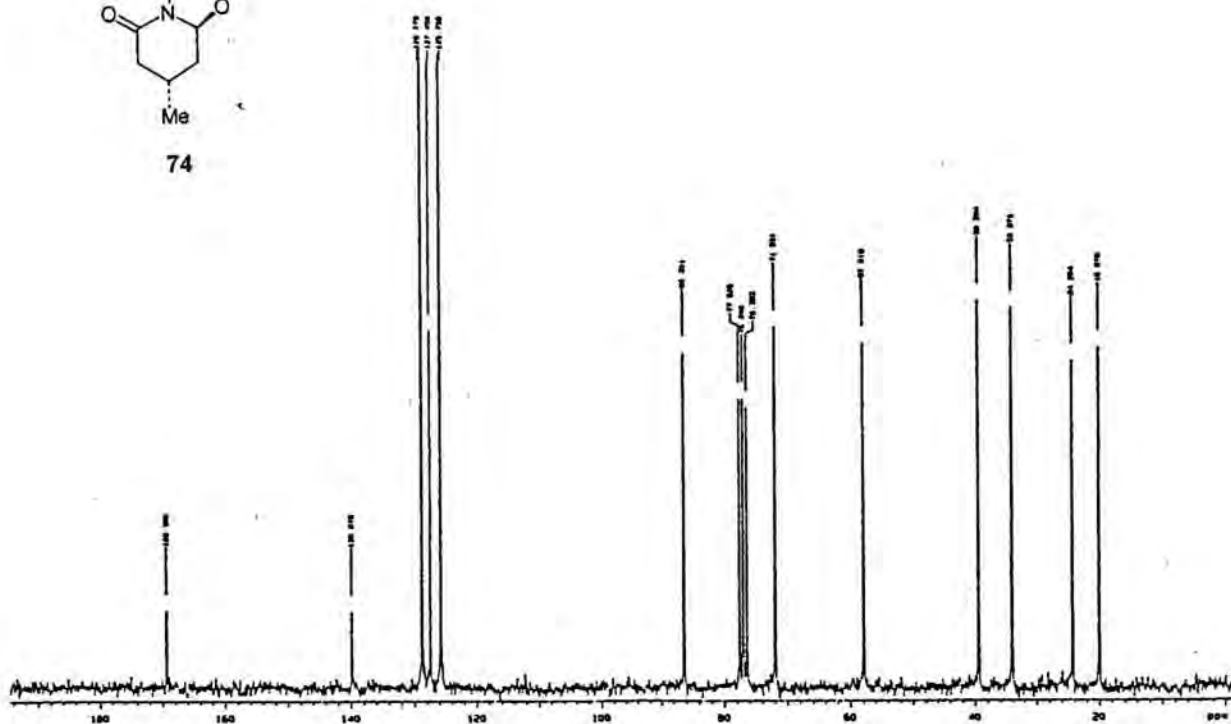




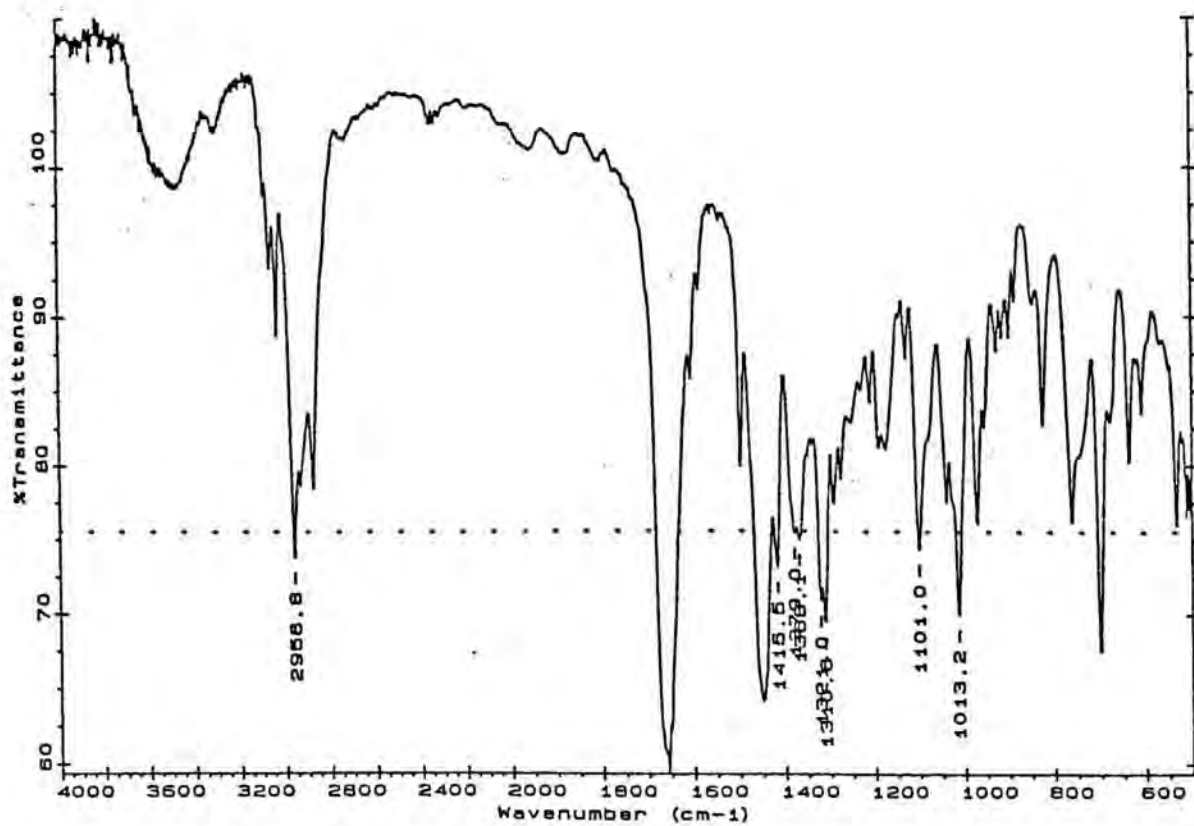
(3R,7S,8aS)-7-(1,3-Dithian-2-yl)-3-phenyl-5-oxo-2,3,6,7,8,8a-hexahydro-5H-oxazolo[3,2-a]pyridina (73)

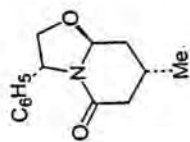


74

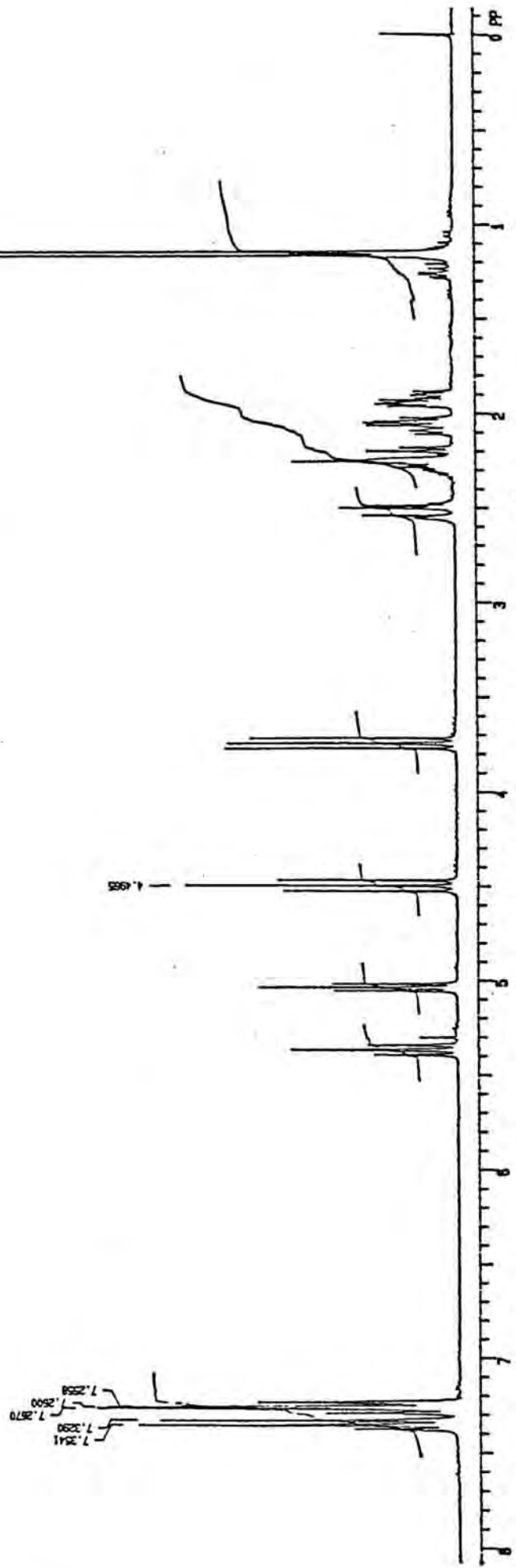


(3*R*,7*S*,8*aS*)-3-Fenil-7-metil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (74)

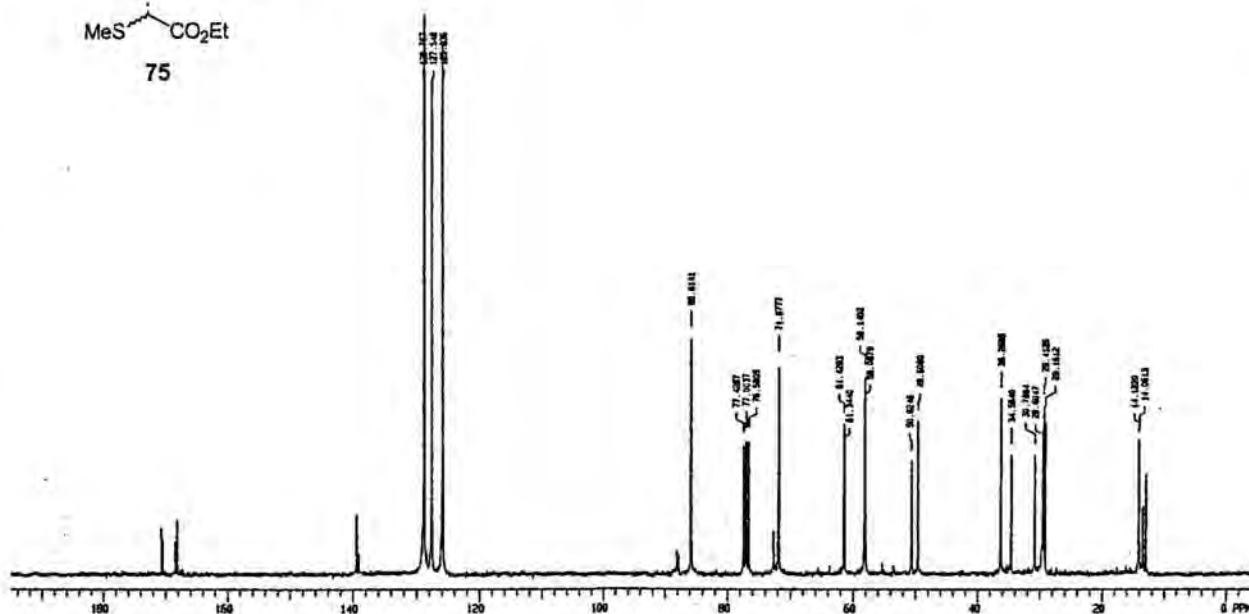
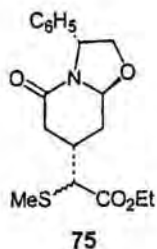




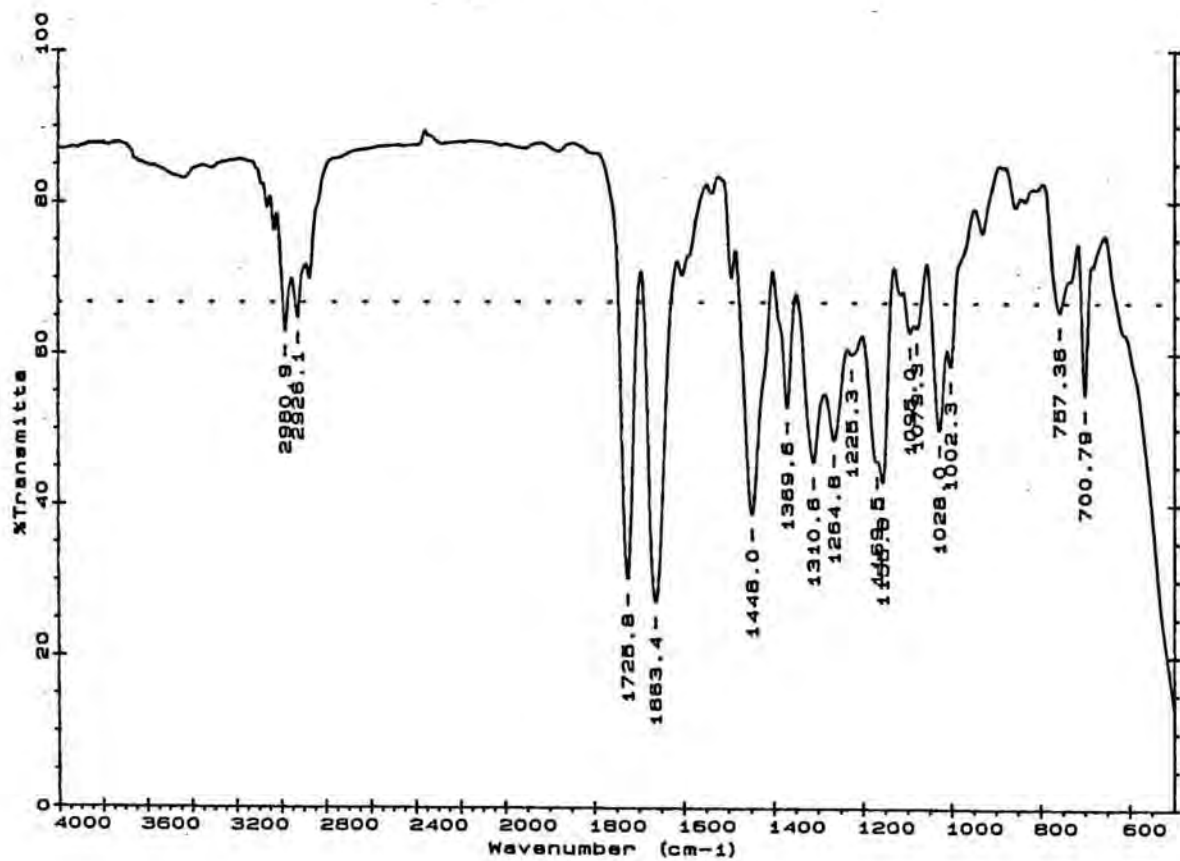
74

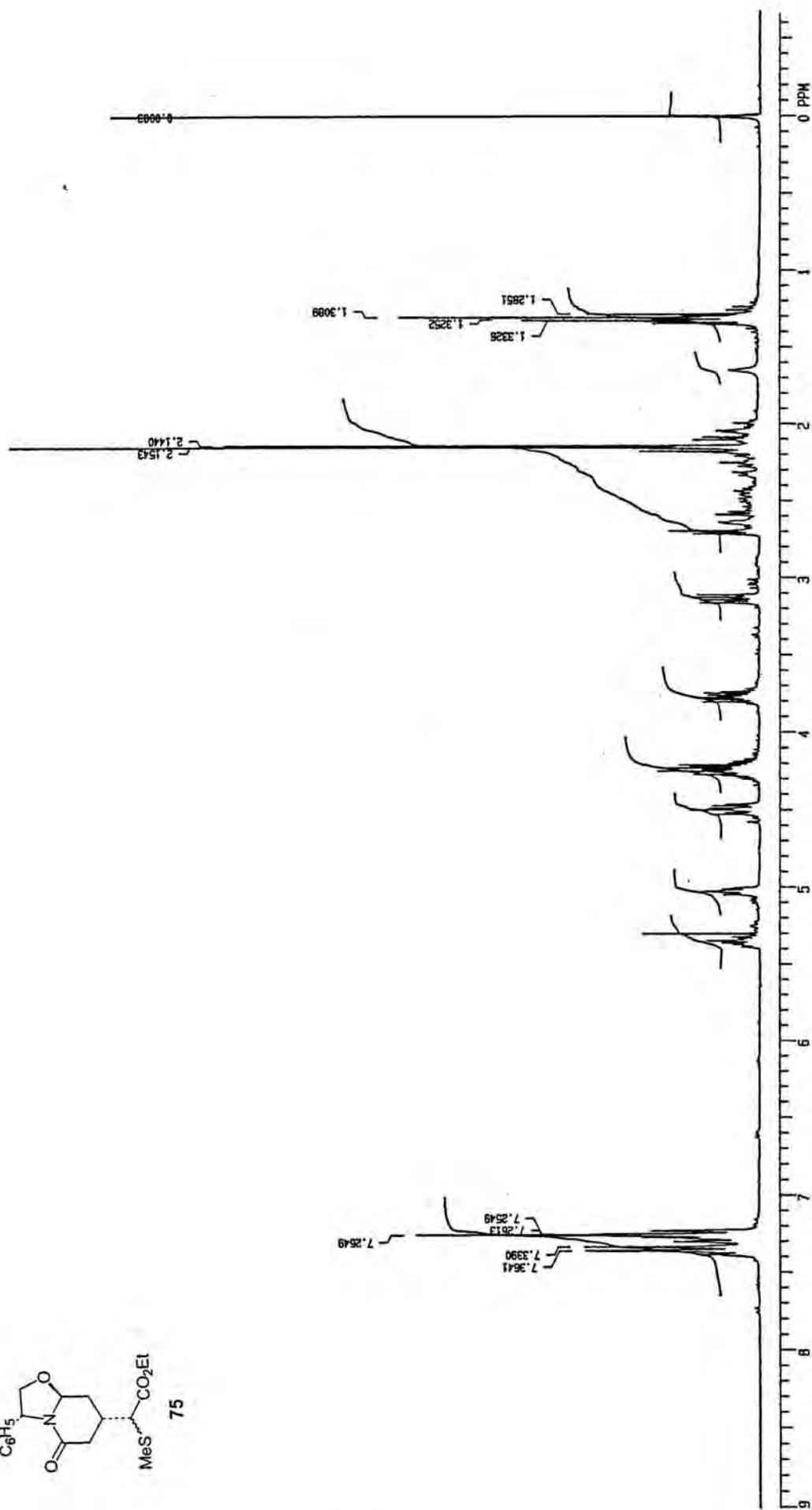
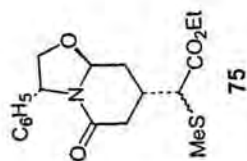


(3R,7S,8aS)-3-Fenil-7-metil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (74)

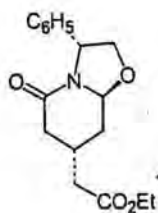


(3*R*,7*S*,8*aS*)-3-Fenil- α -(metiltio)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat d'etil (75)

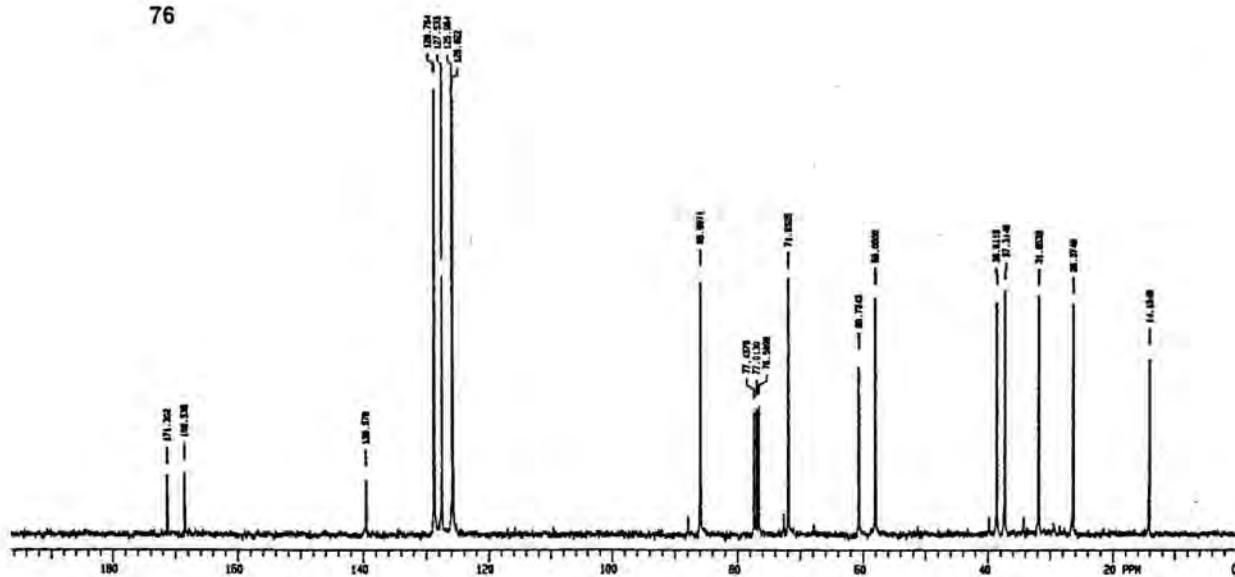




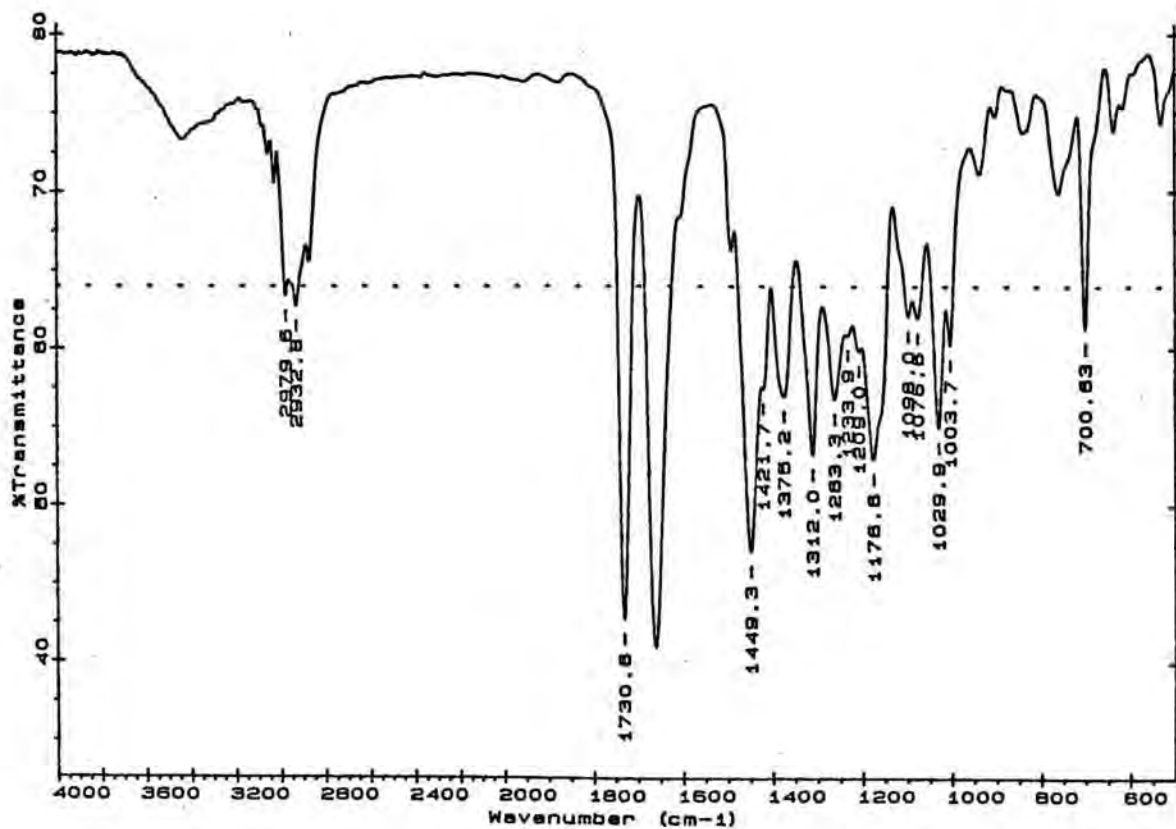
(3*R*,7*S*,8*aS*)-3-Phenil- α -(metilitio)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat d'etil (75)

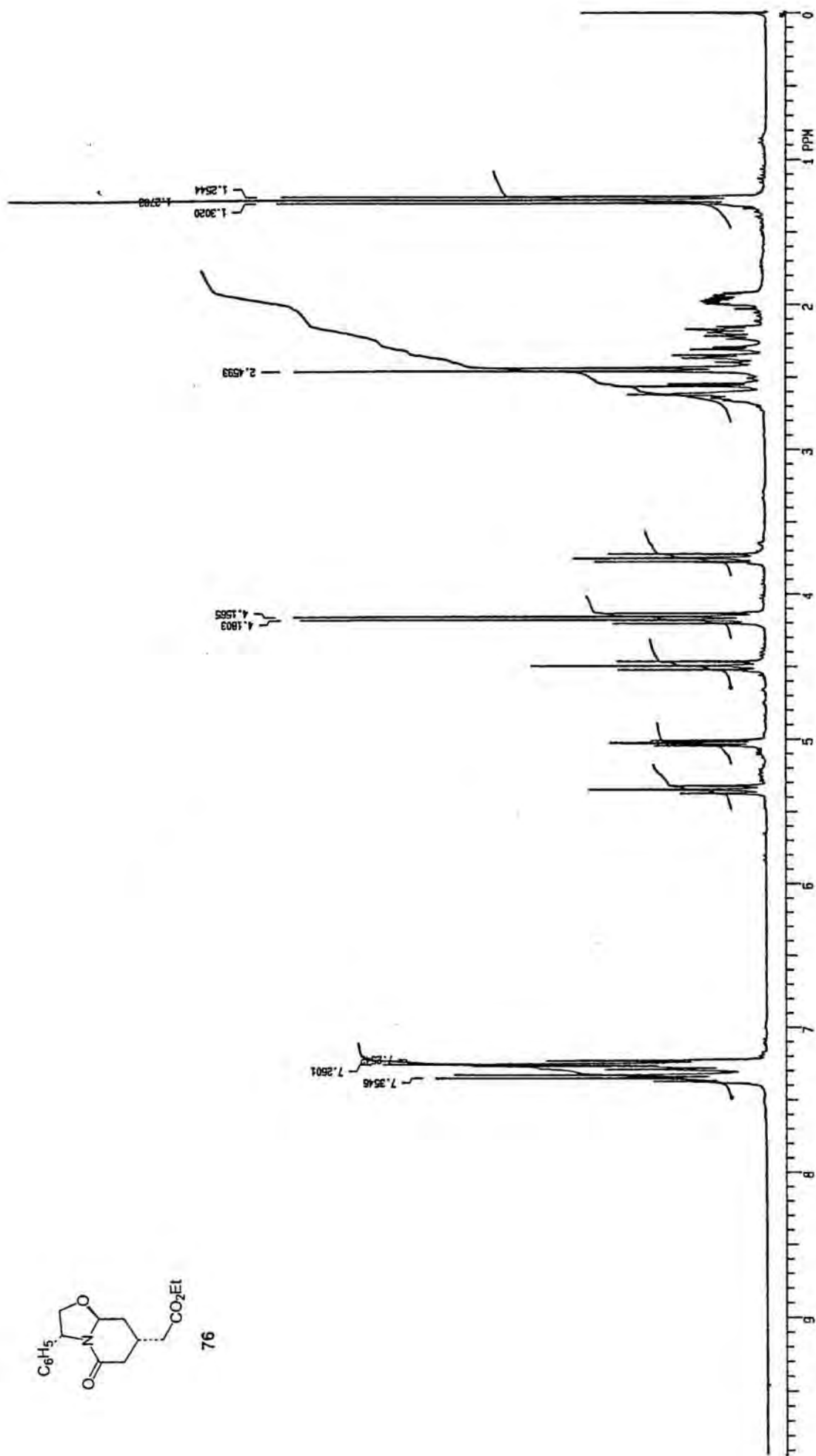
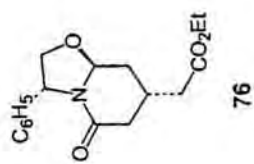


76

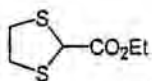


(3*R*,7*S*,8*aS*)-3-Fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat d'etil (76)

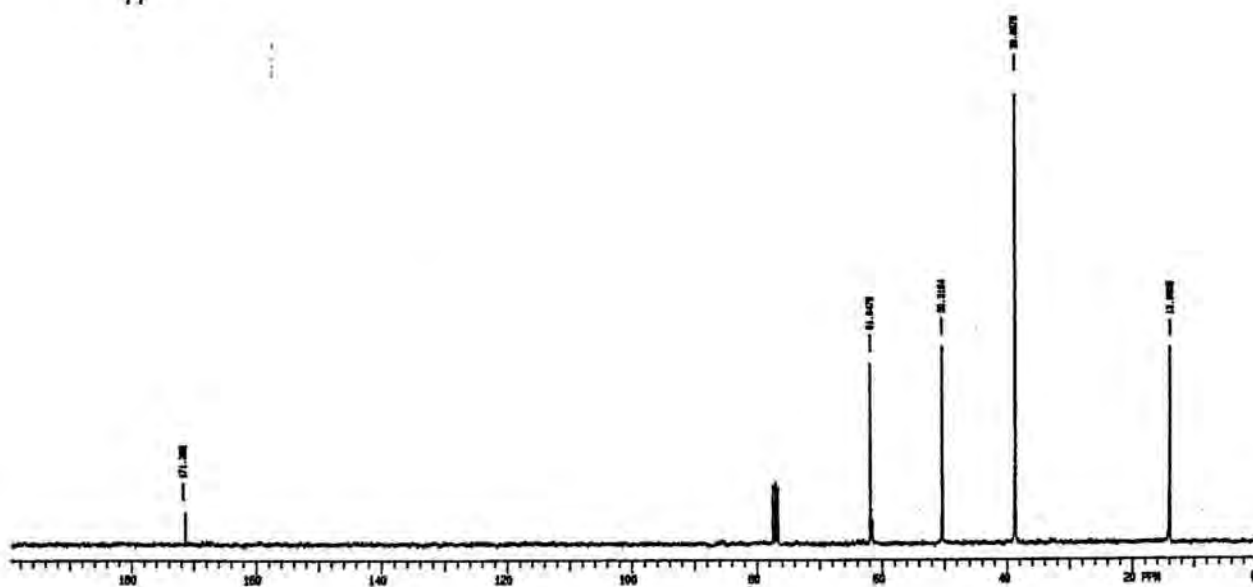




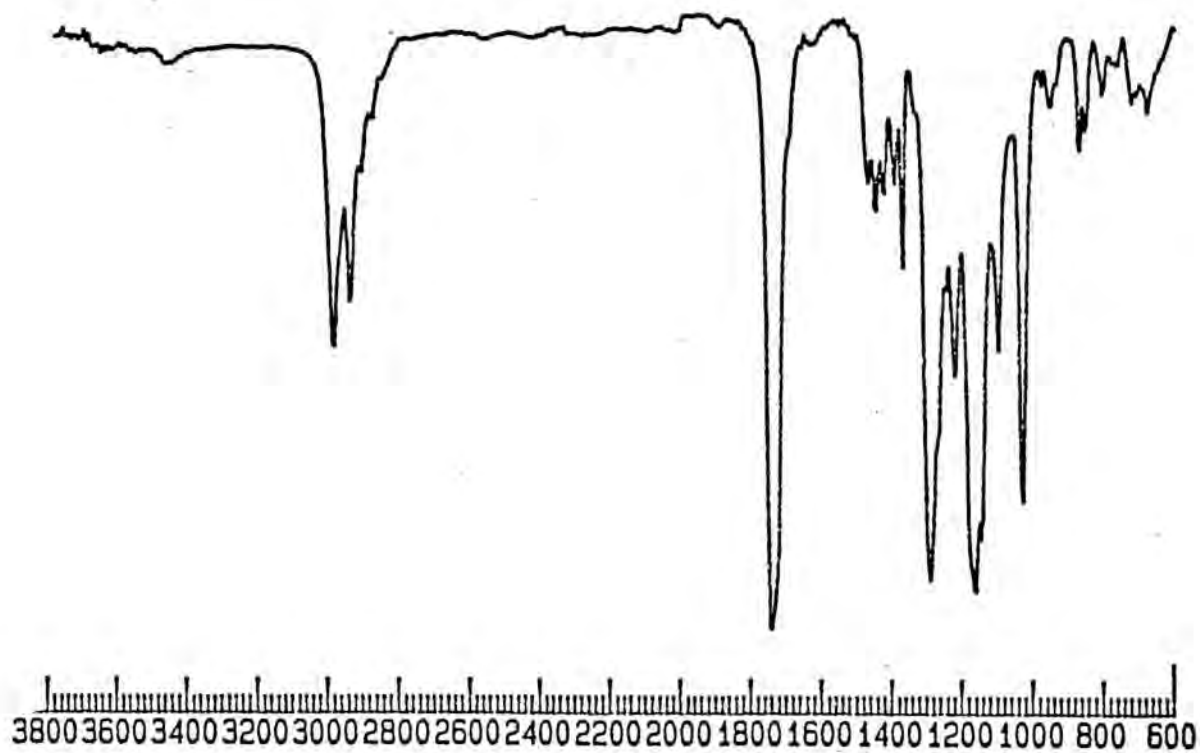
(3R,7S,8aS)-3-Fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat d'etil (76)

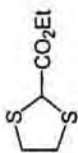


77

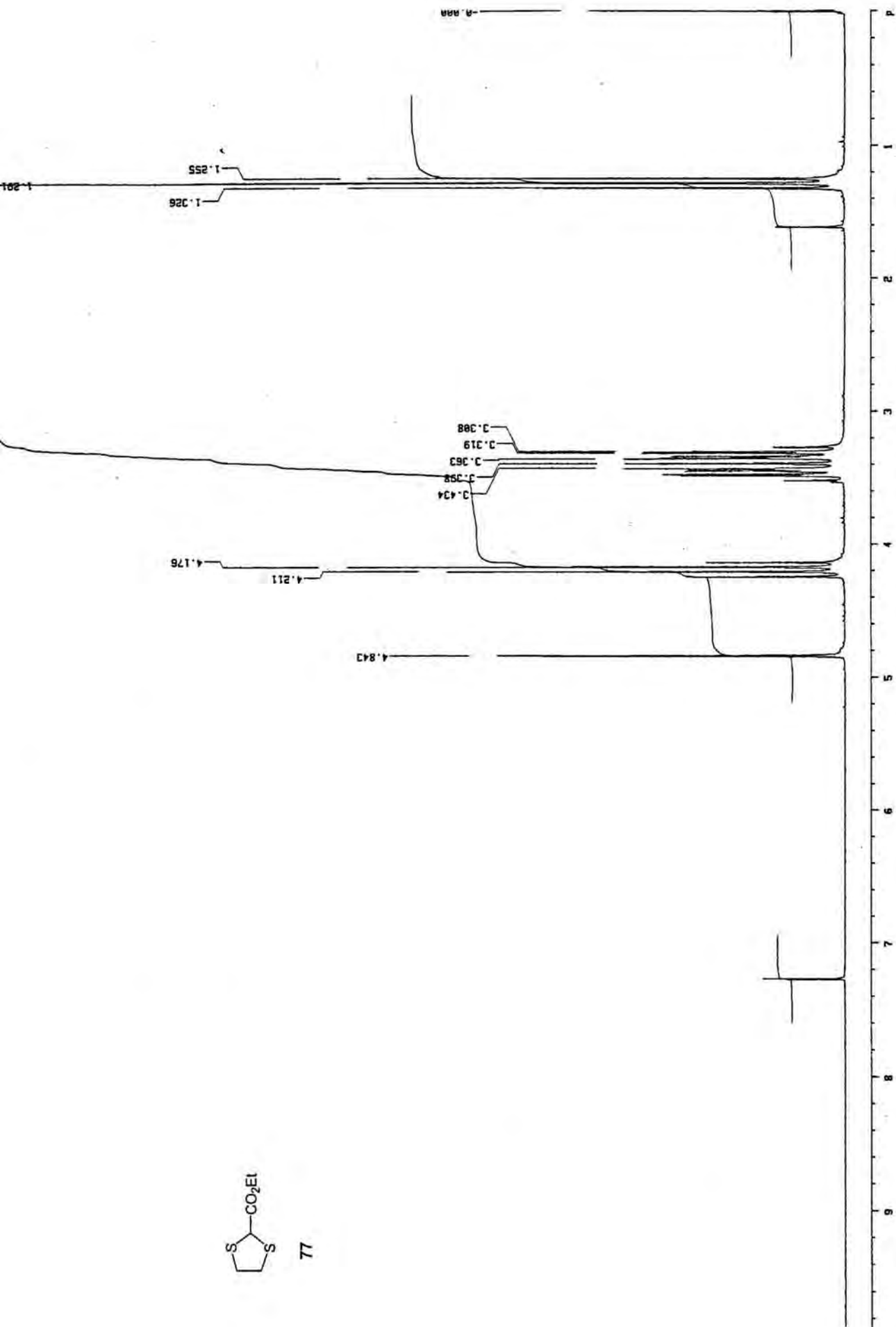


1,3-Dithiolan-2-carboxilat d'etil (77)

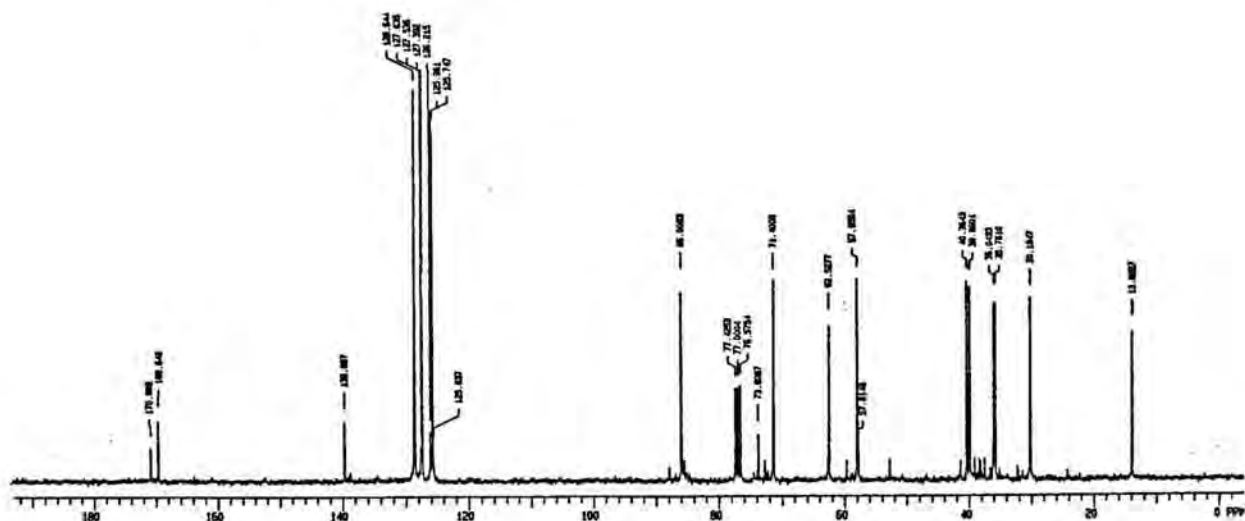
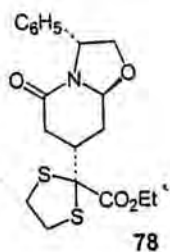




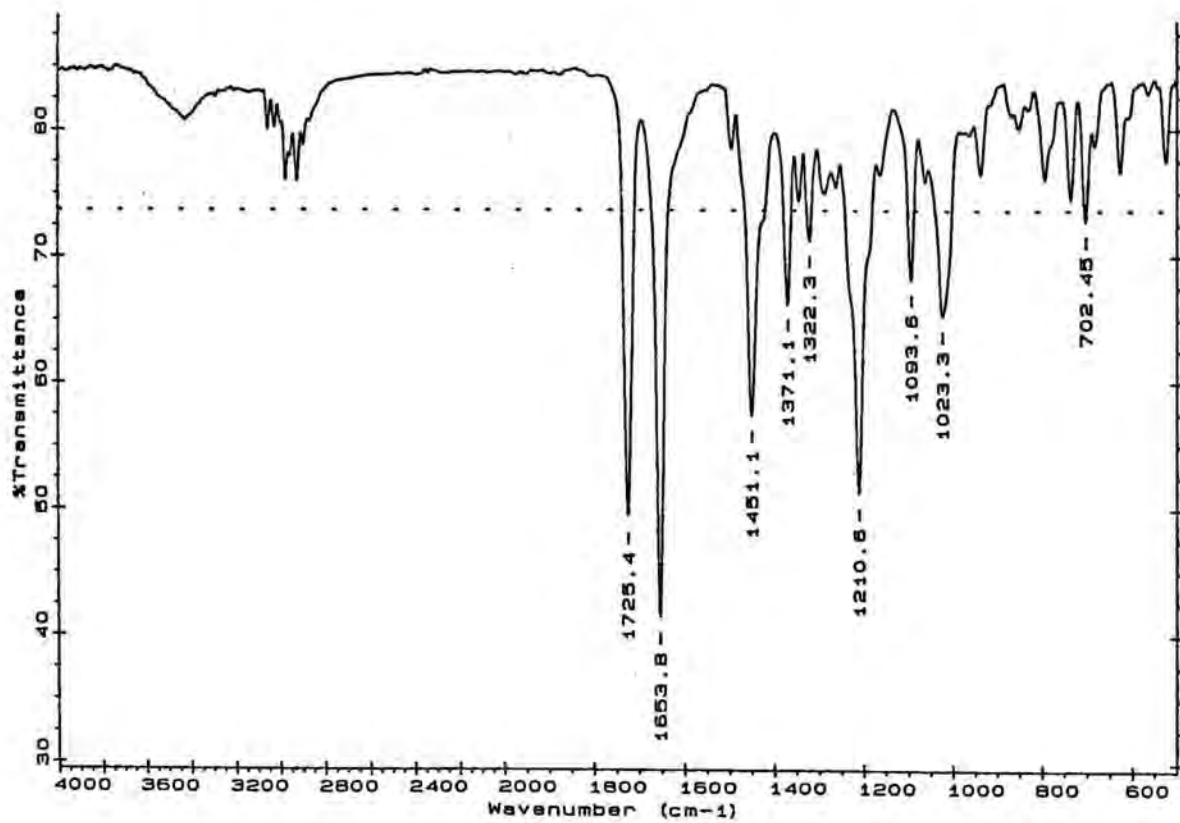
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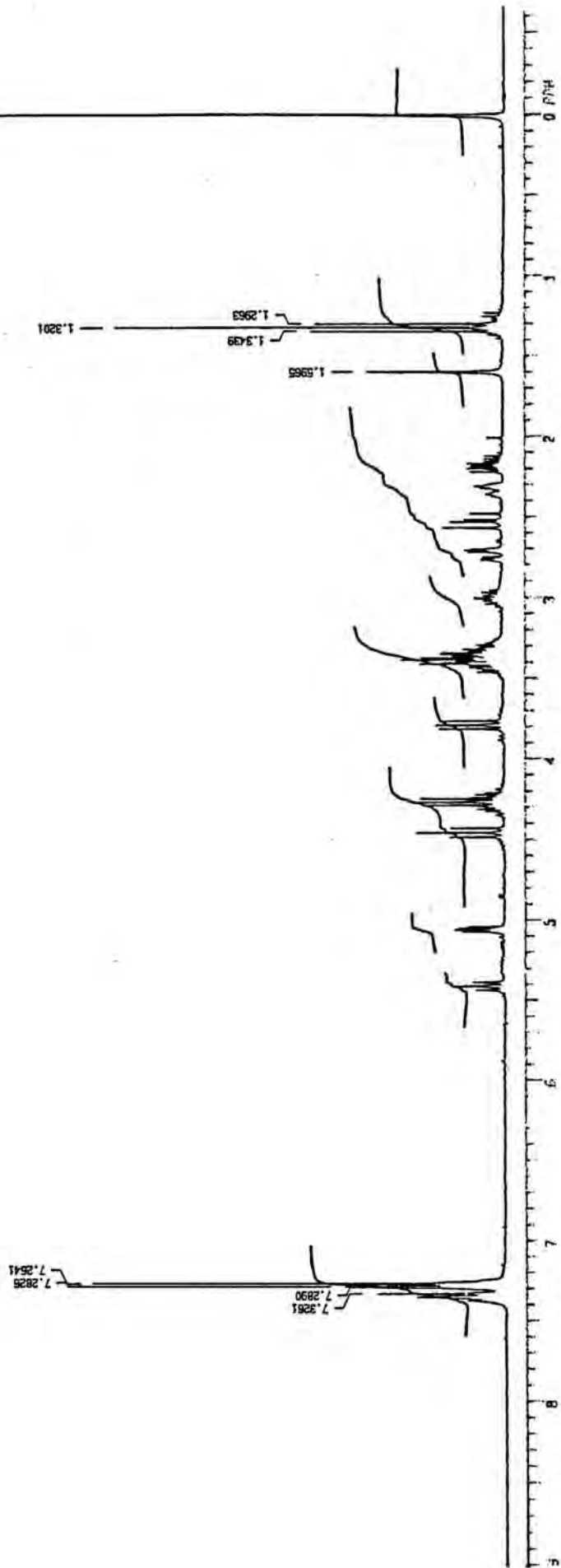
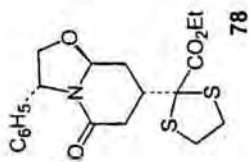


1,3-Dithiolan-2-carboxylat d'etil (77)

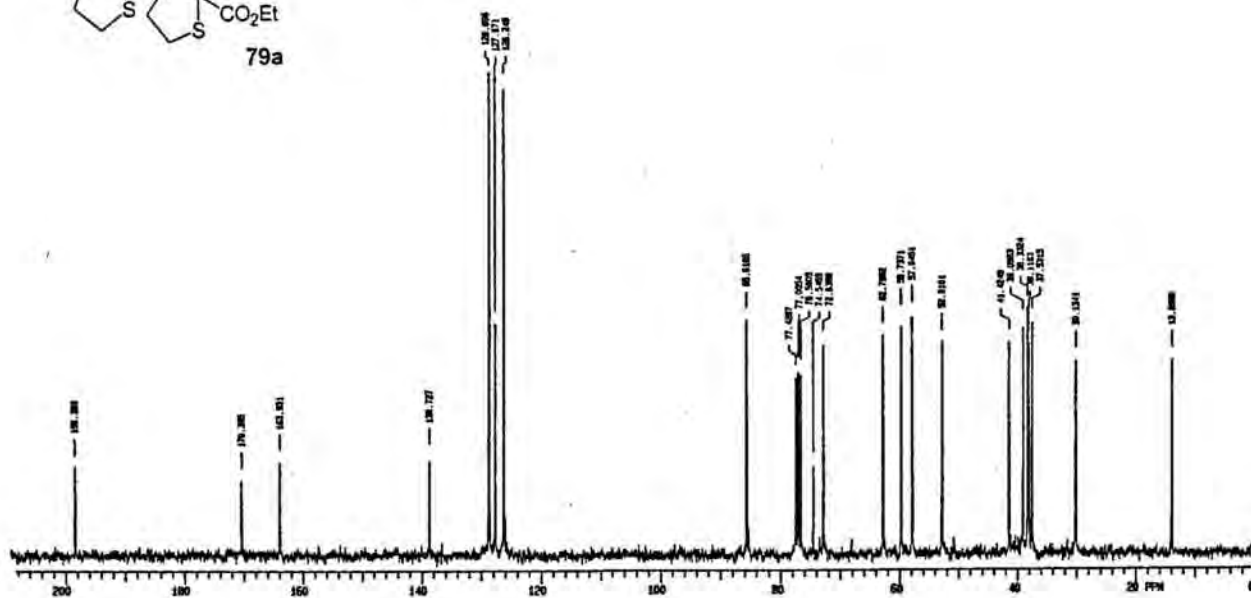
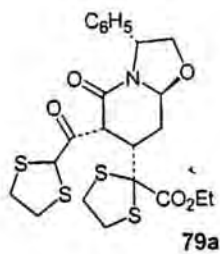


(3R,7S,8aS)-7-[2-(Etoxicarbonil)-1,3-ditiolan-2-il]-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (78)

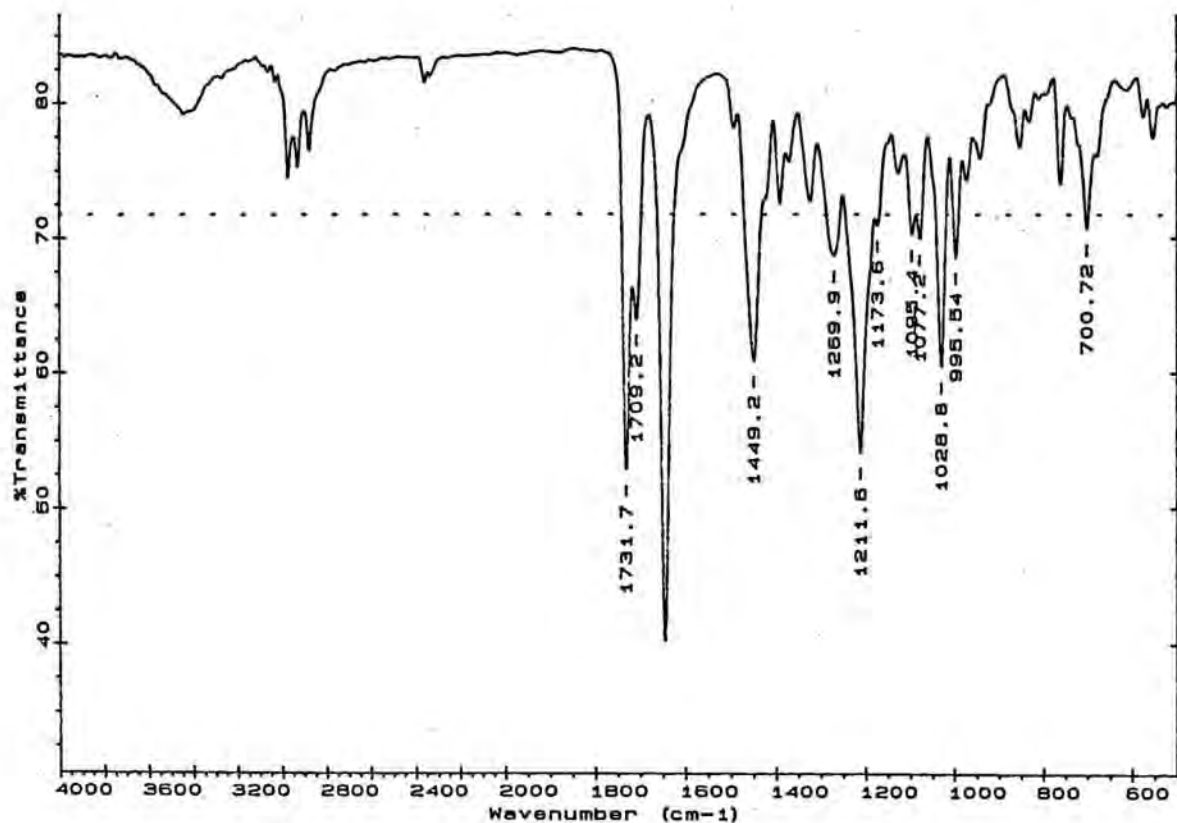


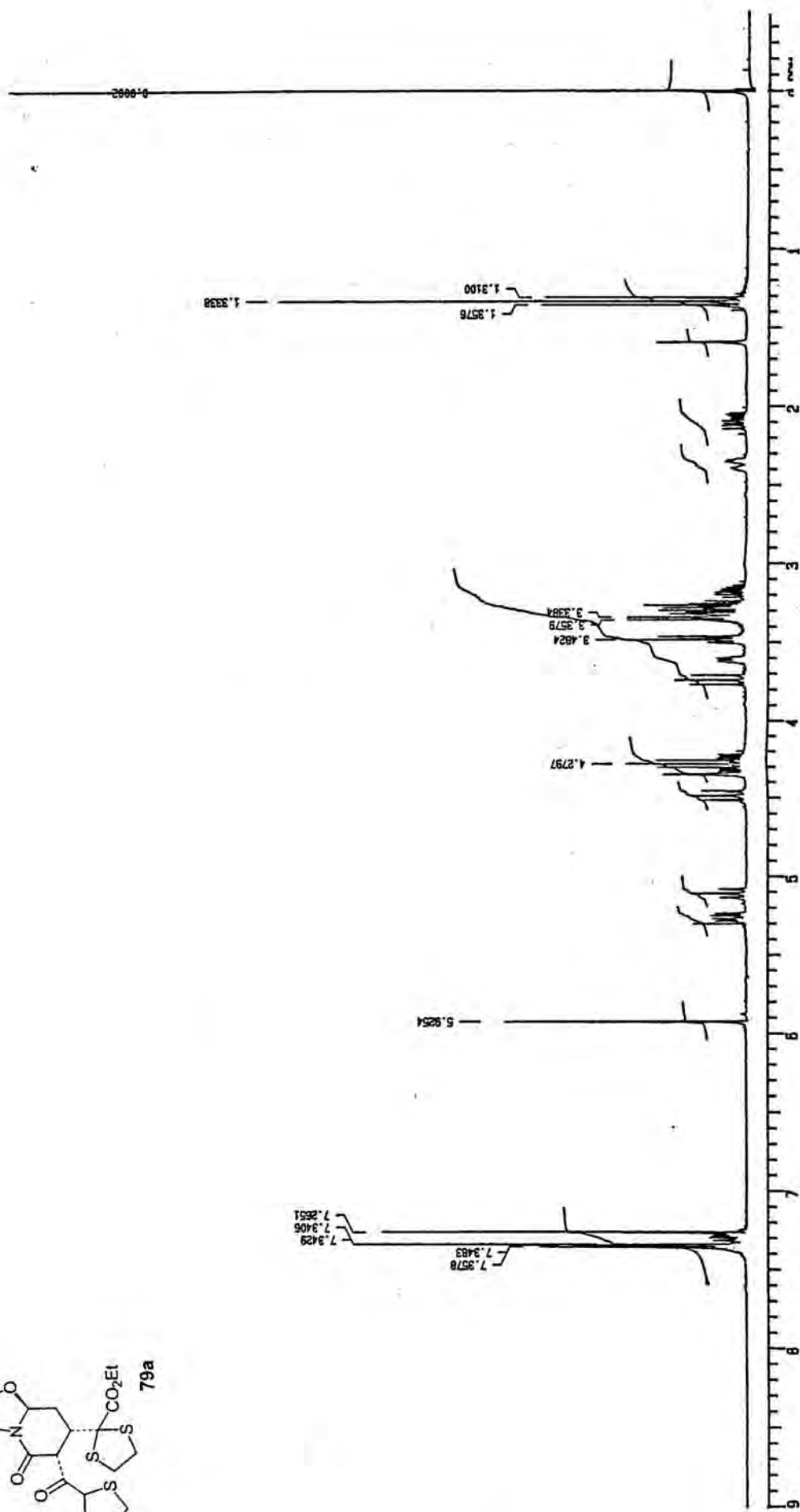
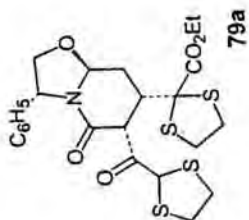


(3R,7S,8aS)-7-[2-(EtOxycarbonyl)-1,3-dithiolan-2-yl]-3-phenyl-5-oxo-2,3,6,7,8,8a-hexahydro-5H-oxazolo[3,2-a]piridina (78)

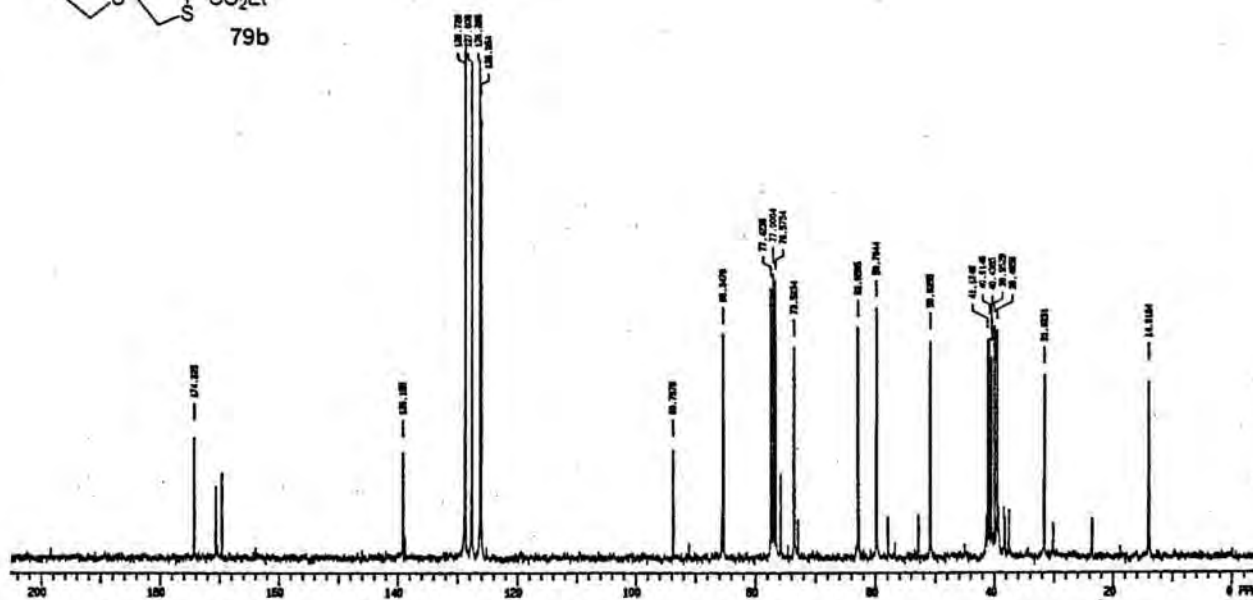
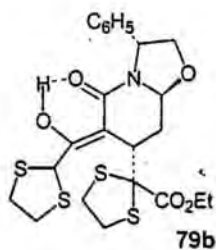


(3*R*,7*R*,8*a*S)-6-[(1,3-Dithiolan-2-il)carbonil]-7-[2-(etoxicarbonil)-1,3-dithiolan-2-il]-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (79)

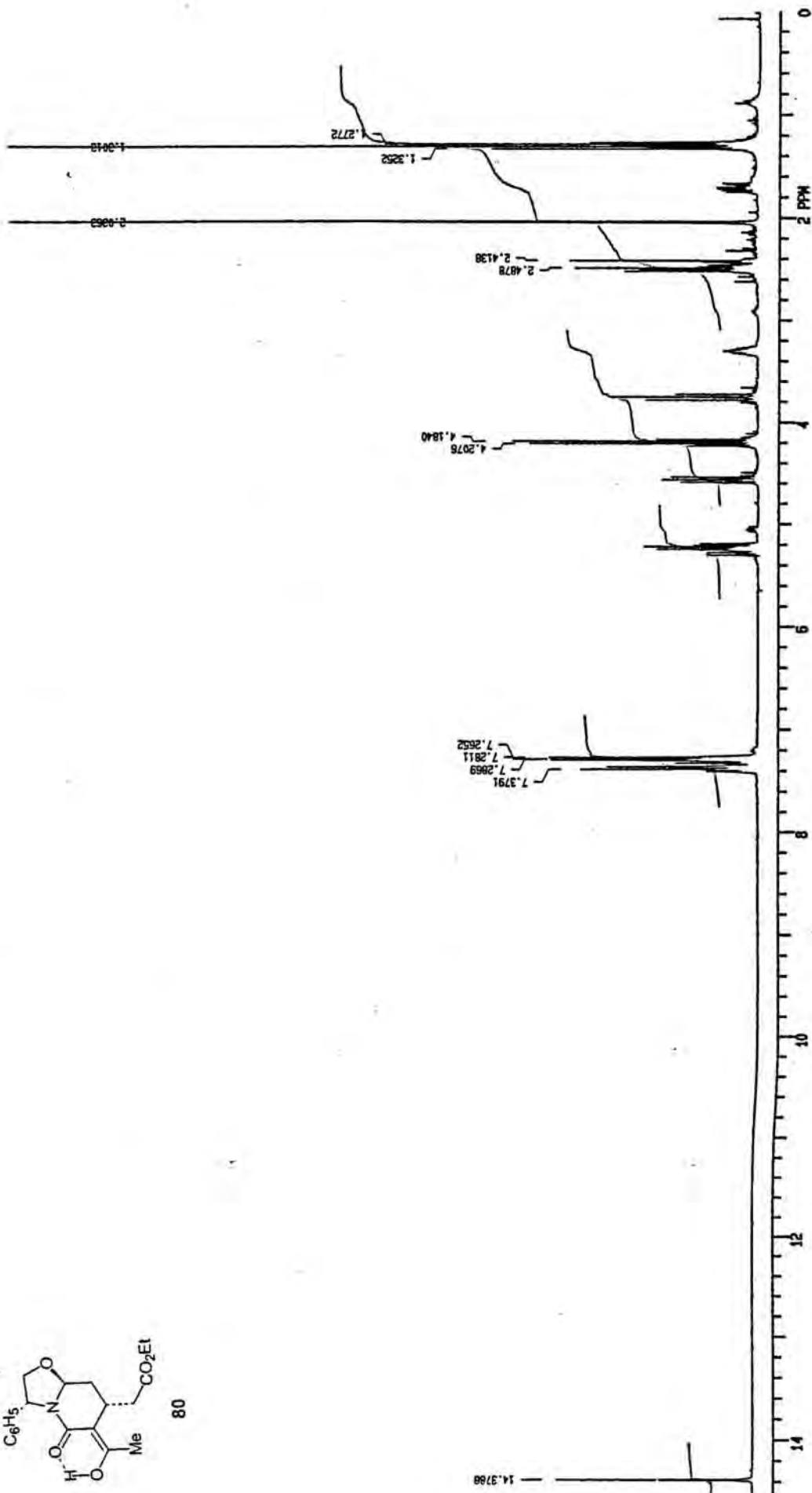
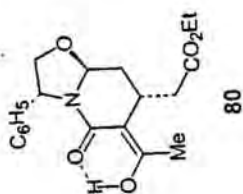




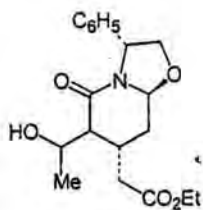
(3*R*,7*R*,8*aS*)-6-[(1,3-Dithiolan-2-yl)carbonil]-7-[2-(etoxycarbonil)-1,3-dithiolan-2-yl]-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolol[3,2-*a*]piridina (79)



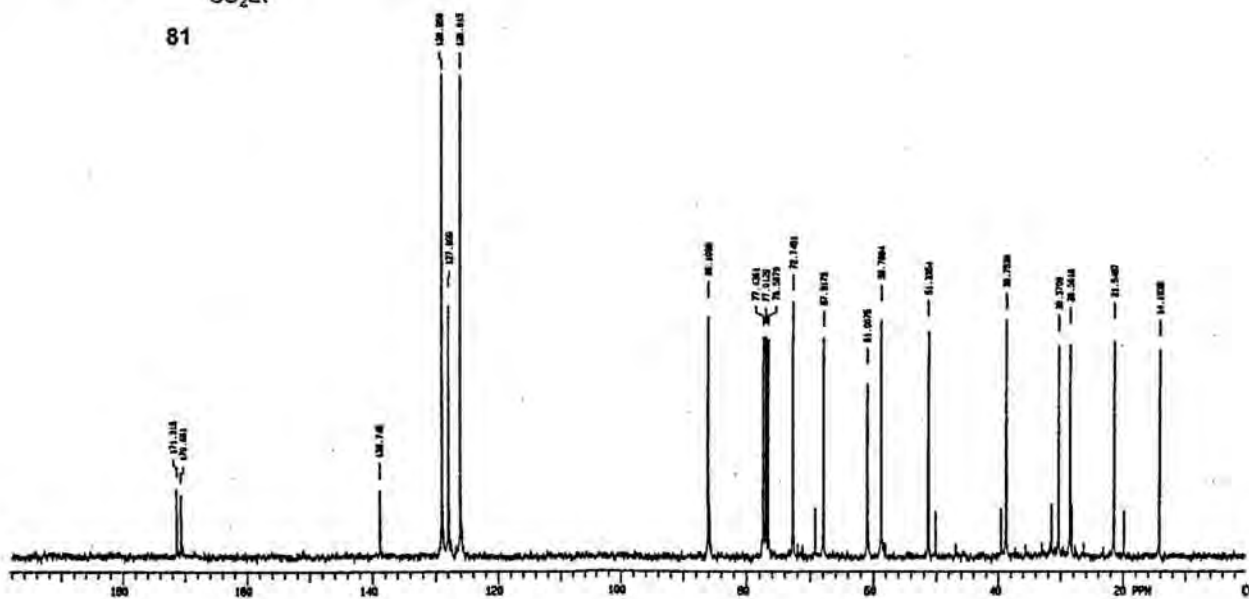
(3*R*,7*R*,8*aS*)-6-[(1,3-Dithiolan-2-il)carbonil]-7-[2-(etoxicarbonil)-1,3-dithiolan-2-il]-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (79)



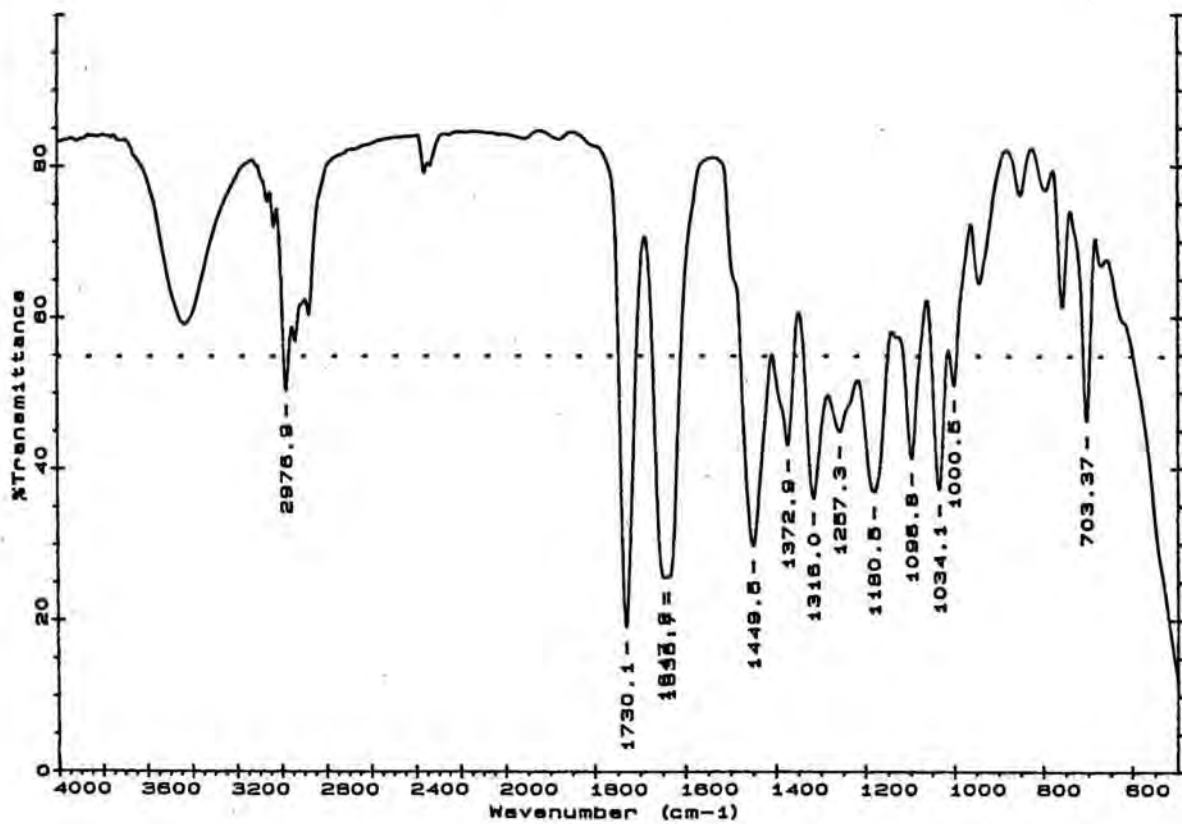
(3R,7R,8aS)-6-Acetil-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat d'etil (80)

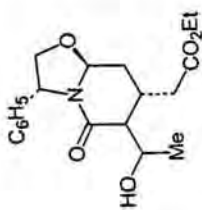


81

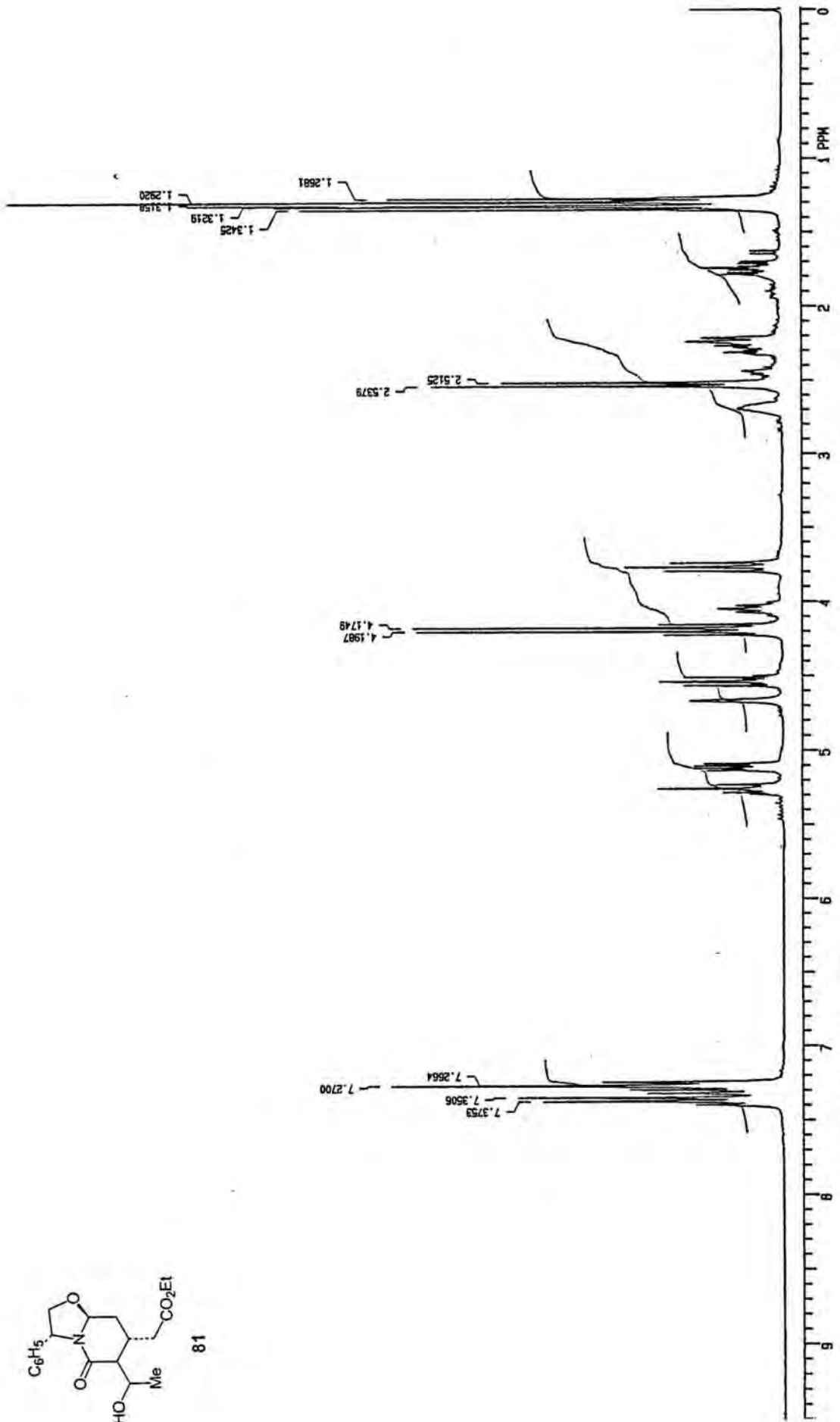


(3*R*,7*R*,8*aS*)-3-Fenil-6-(1-hidroxietyl)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[2,3-*a*]piridina-7-acetat d'etil (81)

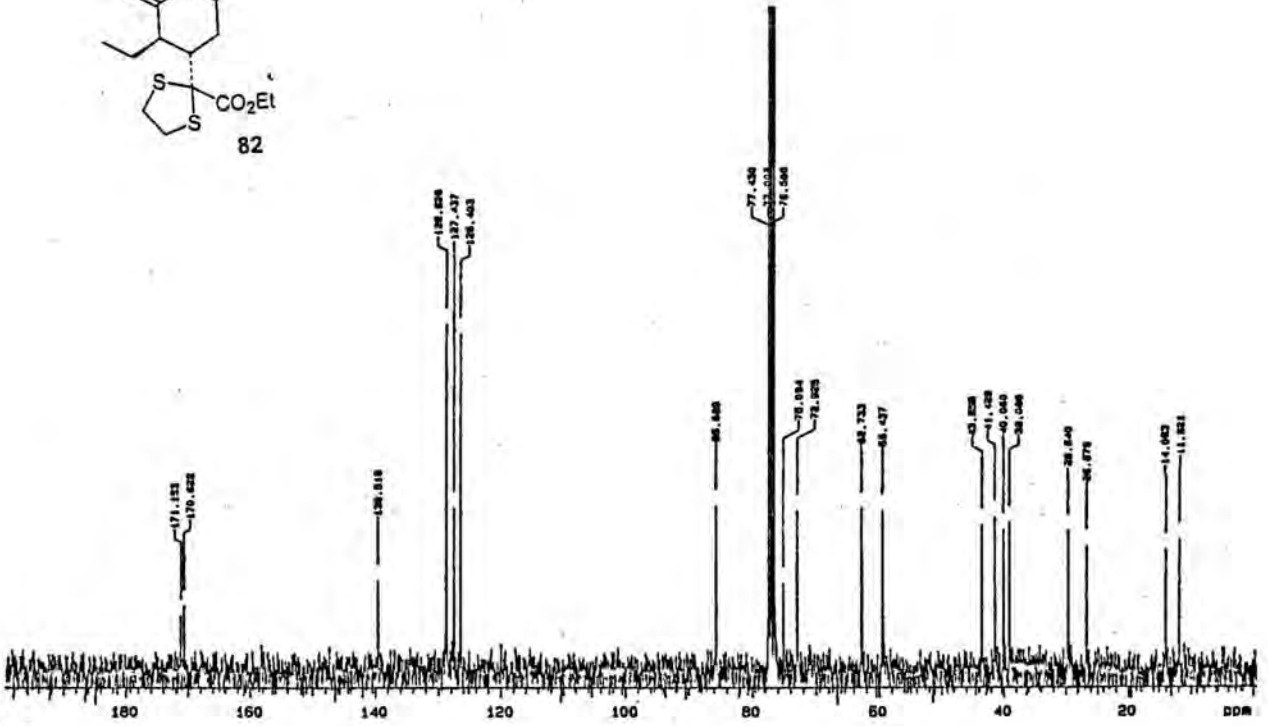
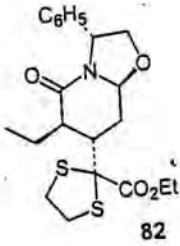




81



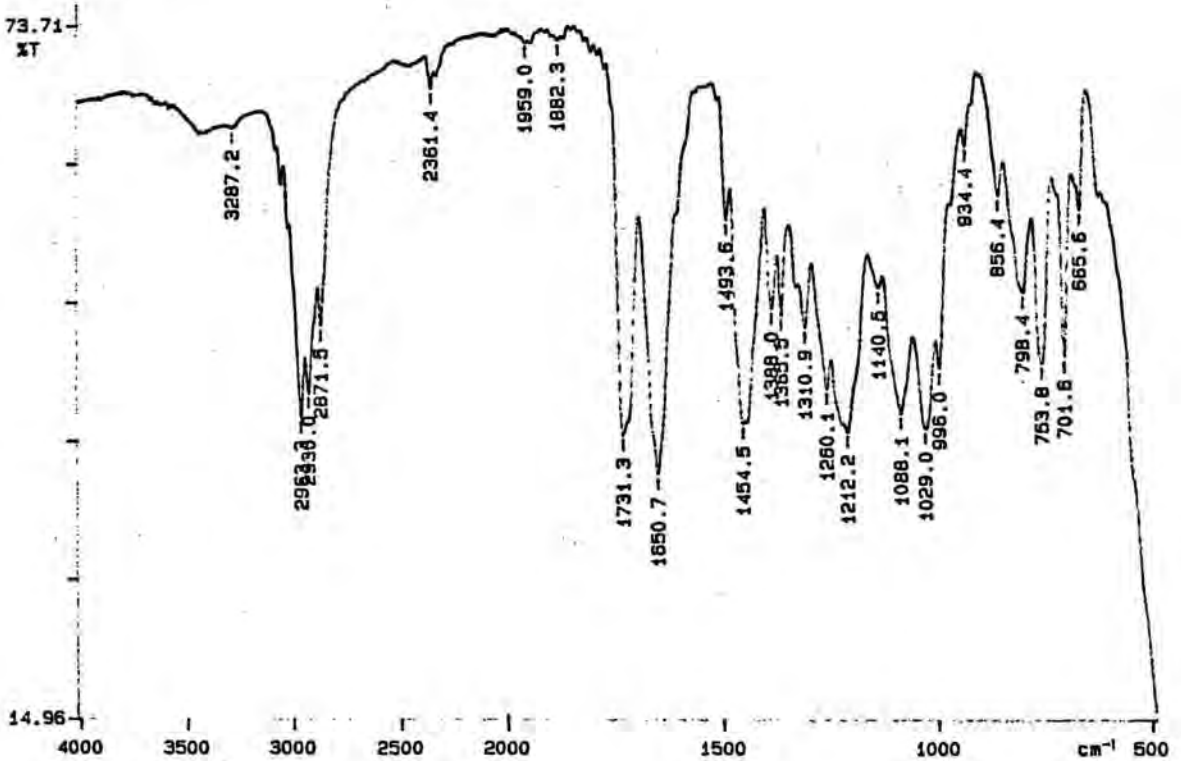
(3R,7R,8aS)-3-Fenil-6-(1-hidroxietil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[2,3-a]piridina-7-acetat d'etil (81)

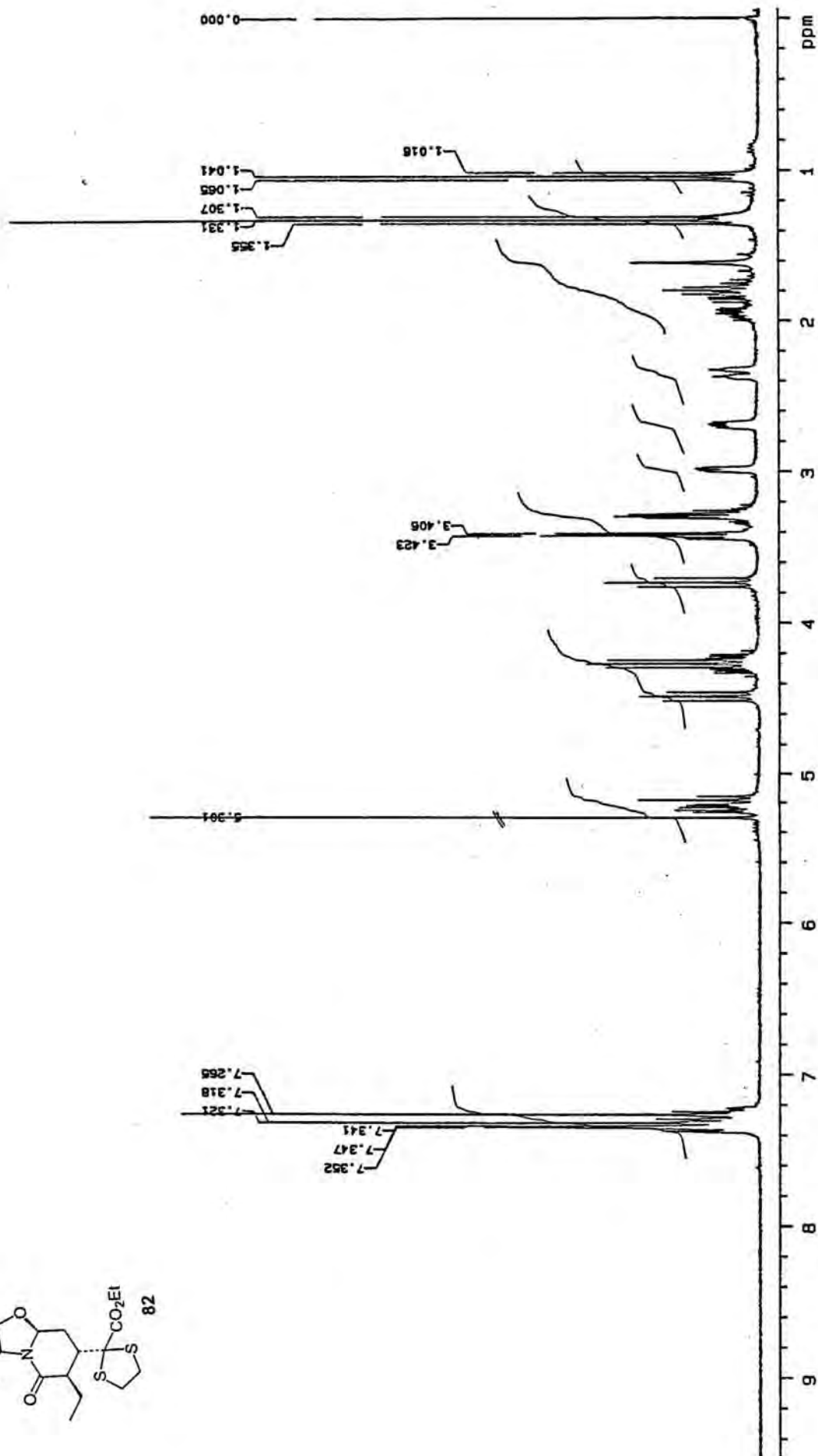
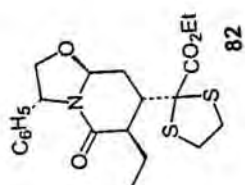


(3R,6S,7S,8aS)-6-Etil-7-[2-(etoxicarbonil)-1,3-ditiolan-2-il]-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (82)

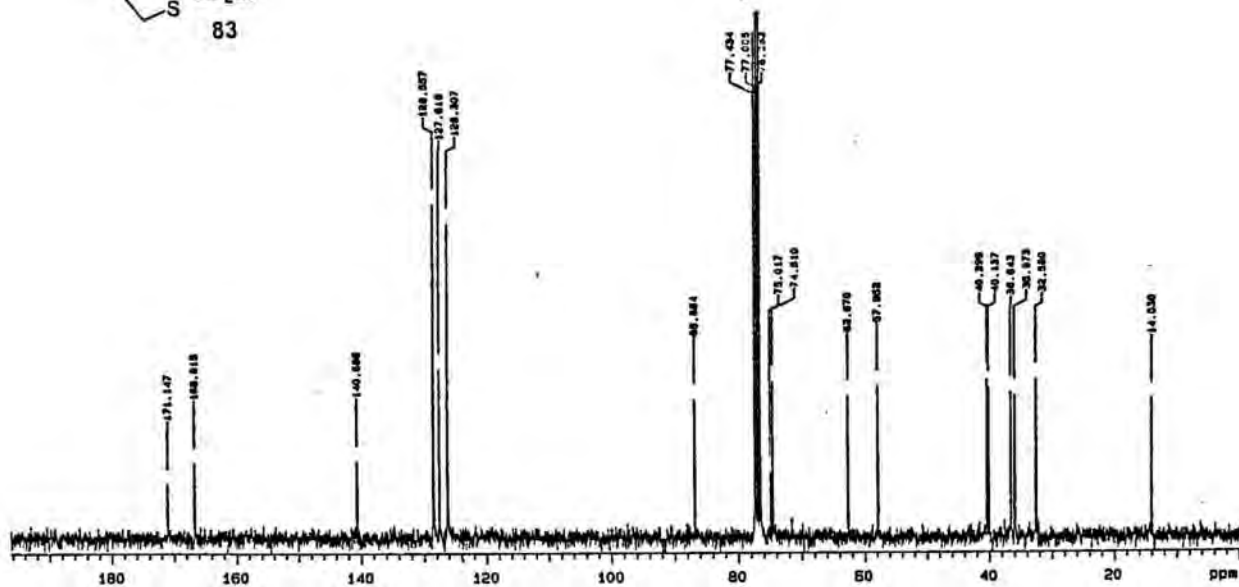
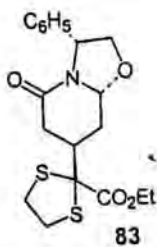
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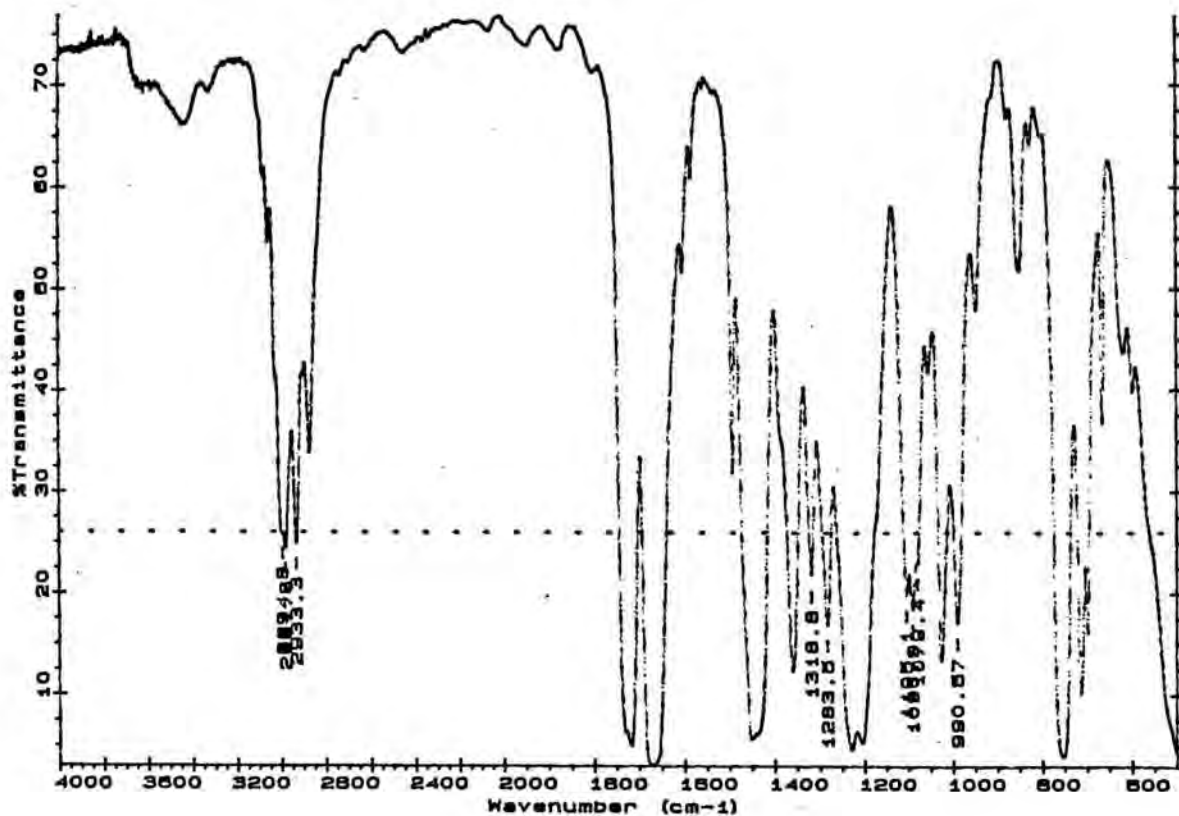


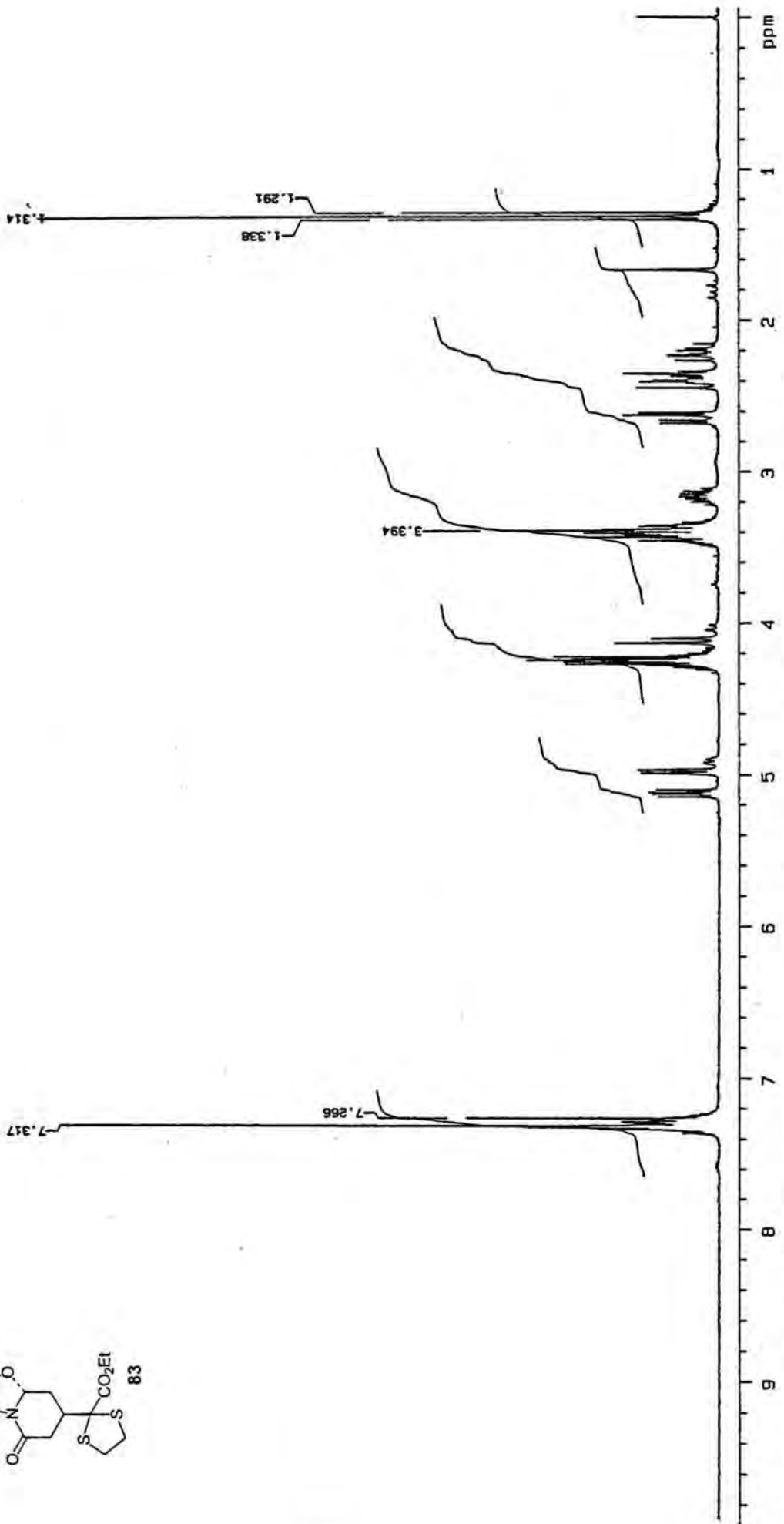
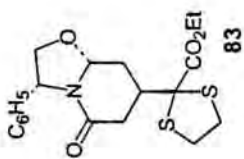


(3*R*,6*S*,7*S*,8*aS*)-6-Etil-7-[2-(etoxicarbonil)-1,3-ditiolan-2-il]-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (82)

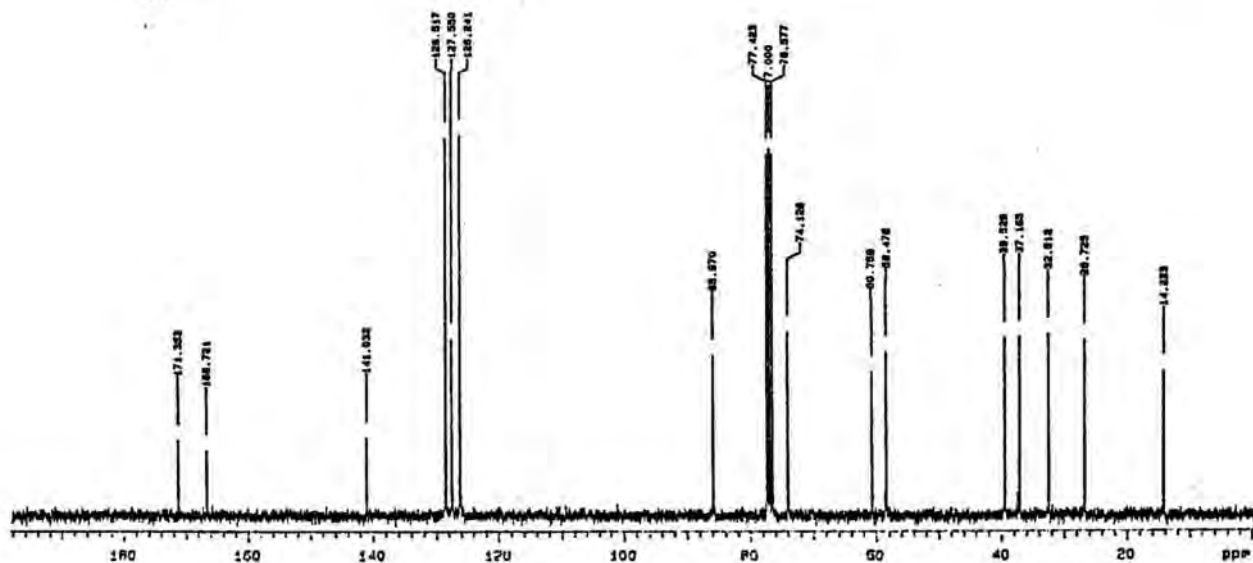
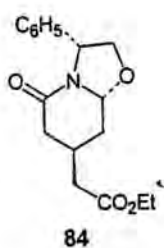


(3*R*,7*R*,8*aR*)-7-[2-(Etoxicarbonil)-1,3-ditiolan-2-il]-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (83)



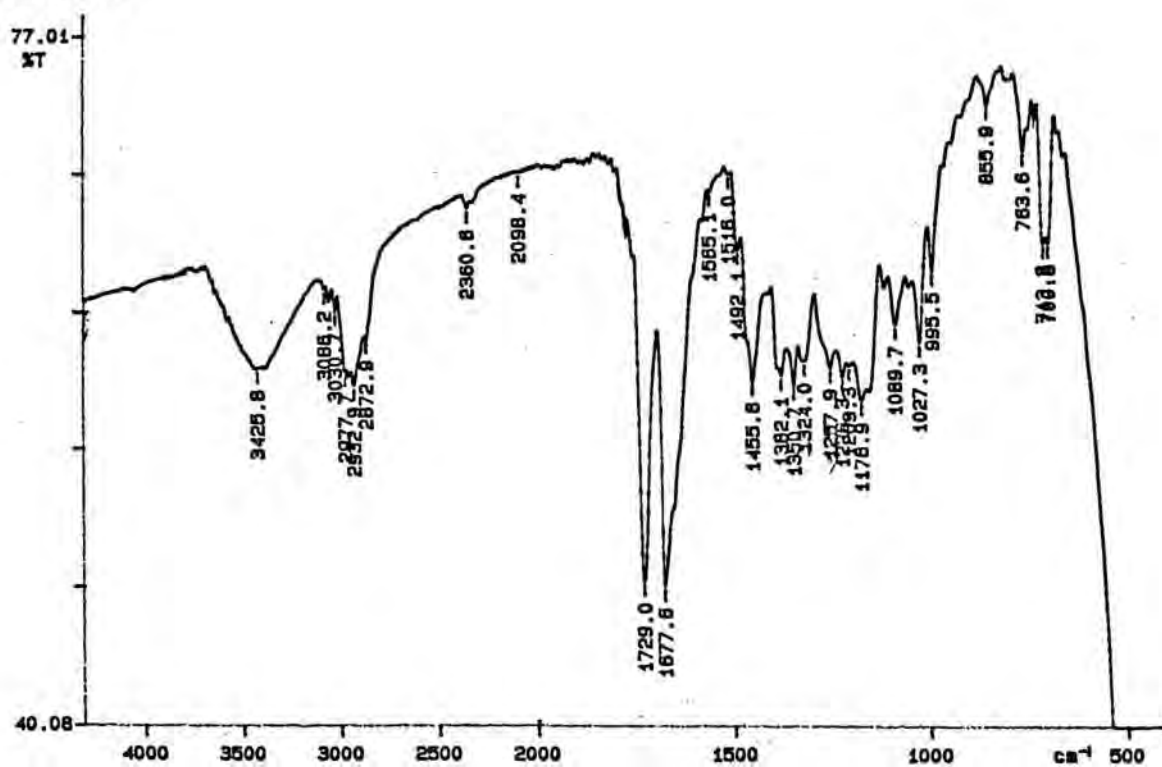


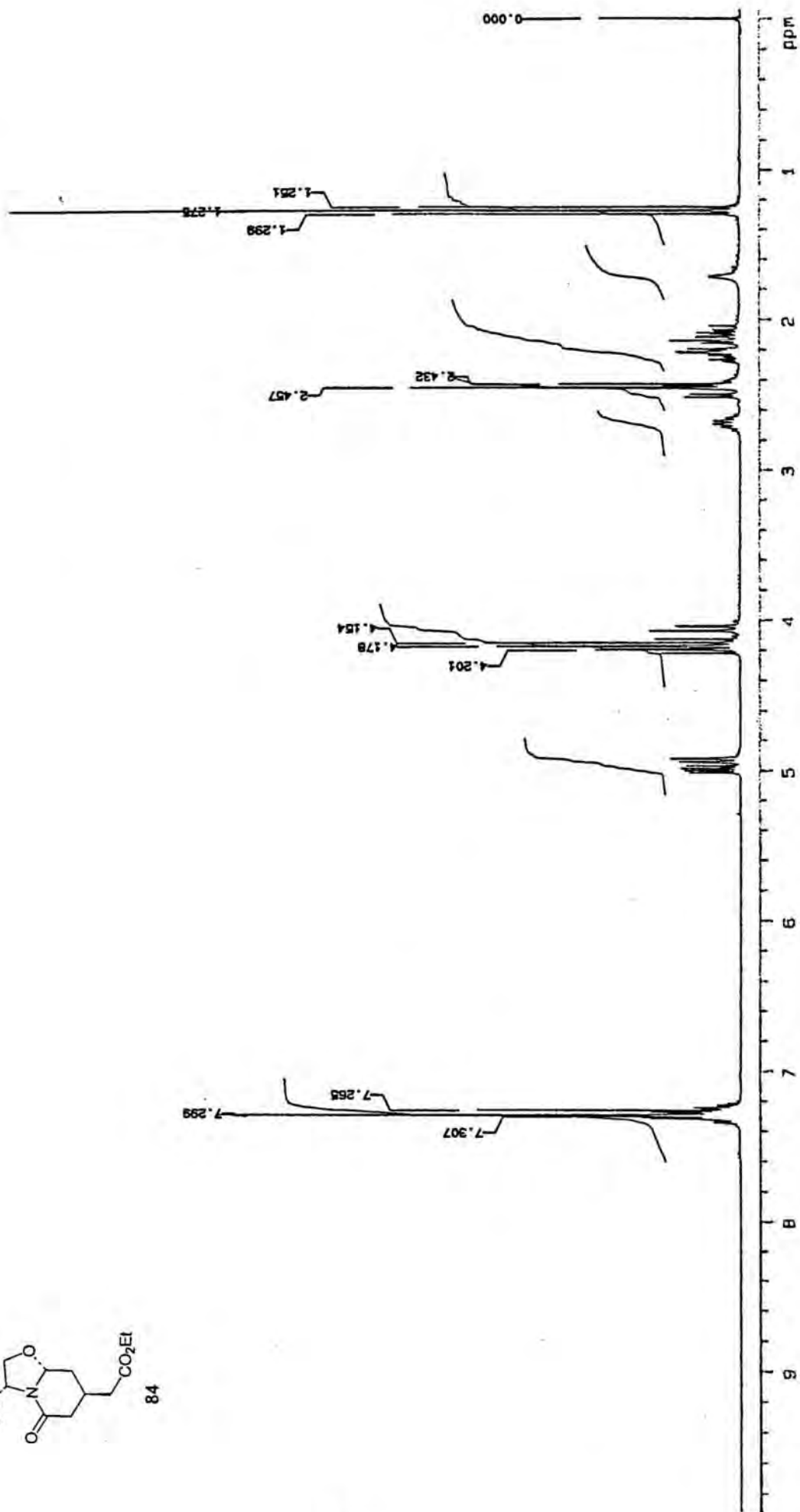
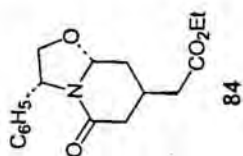
(3R,7R,8aR)-7-[2-(EtOxycarbonil)-1,3-dithiolan-2-yl]-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina (83)



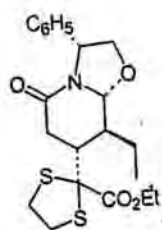
(3*R*,7*R*,8*aR*)-3-Fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat d'etil (84)

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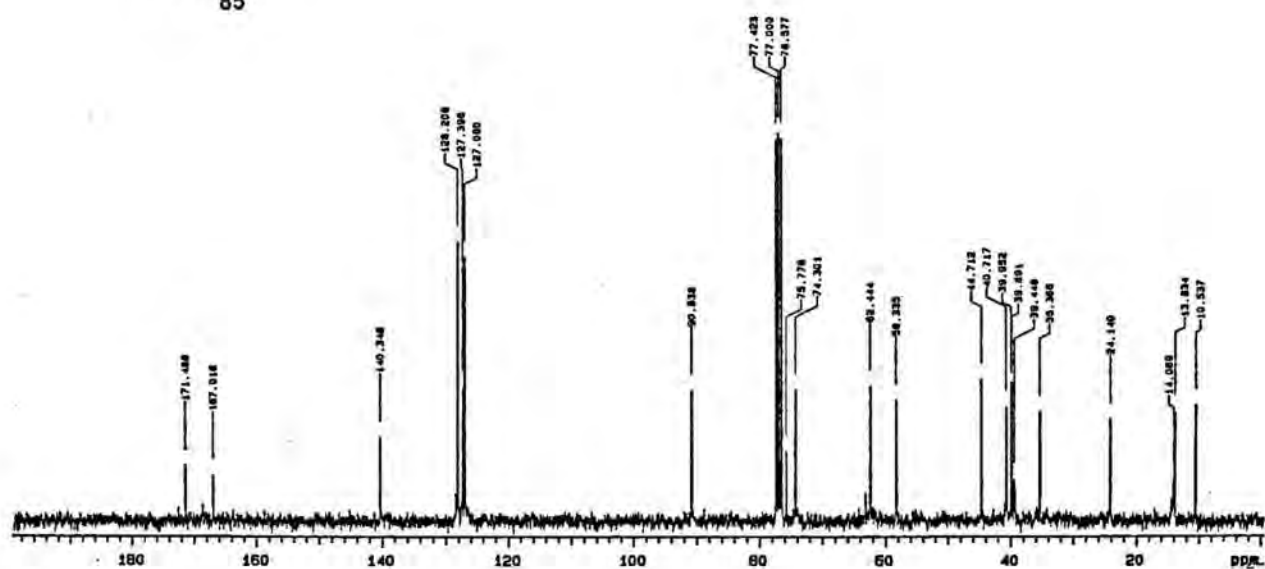




(3*R*,7*R*,8*aR*)-3-Fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat d'etil (84)



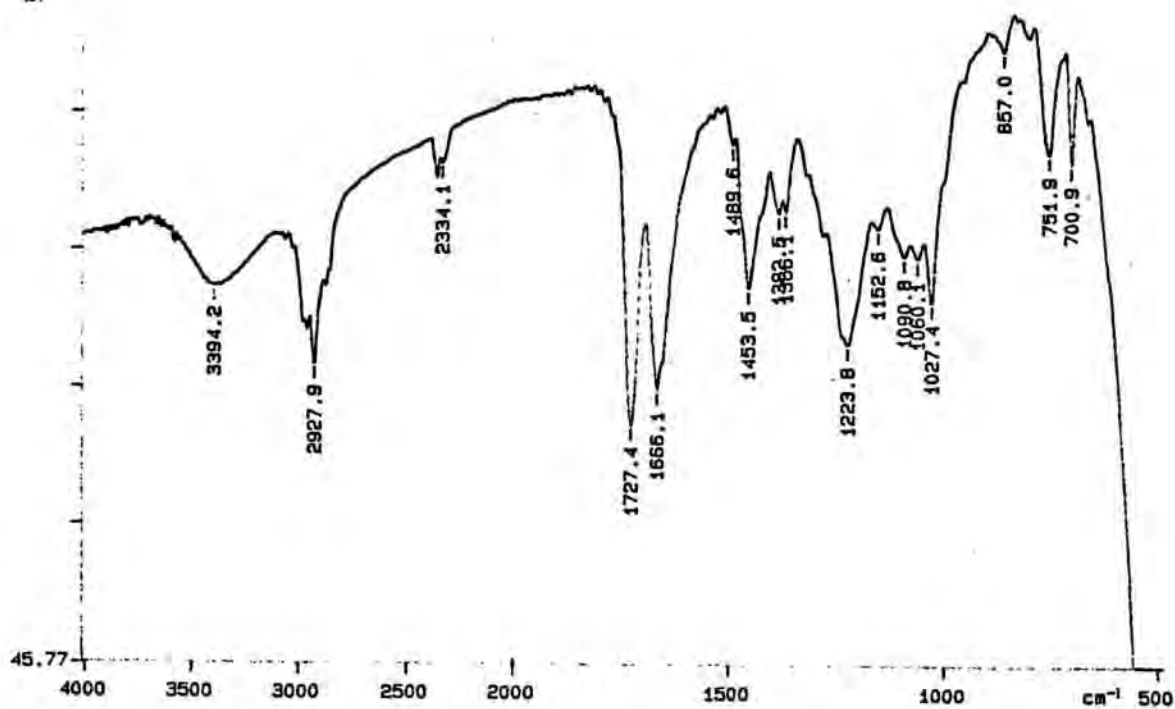
85

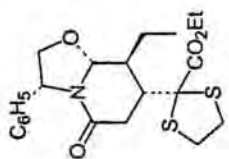


(3*R*,7*R*,8*S*,8*aR*)-8-Etil-7-[2-(etoxicarbonil)-1,3-ditiofan-2-il]-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (85)

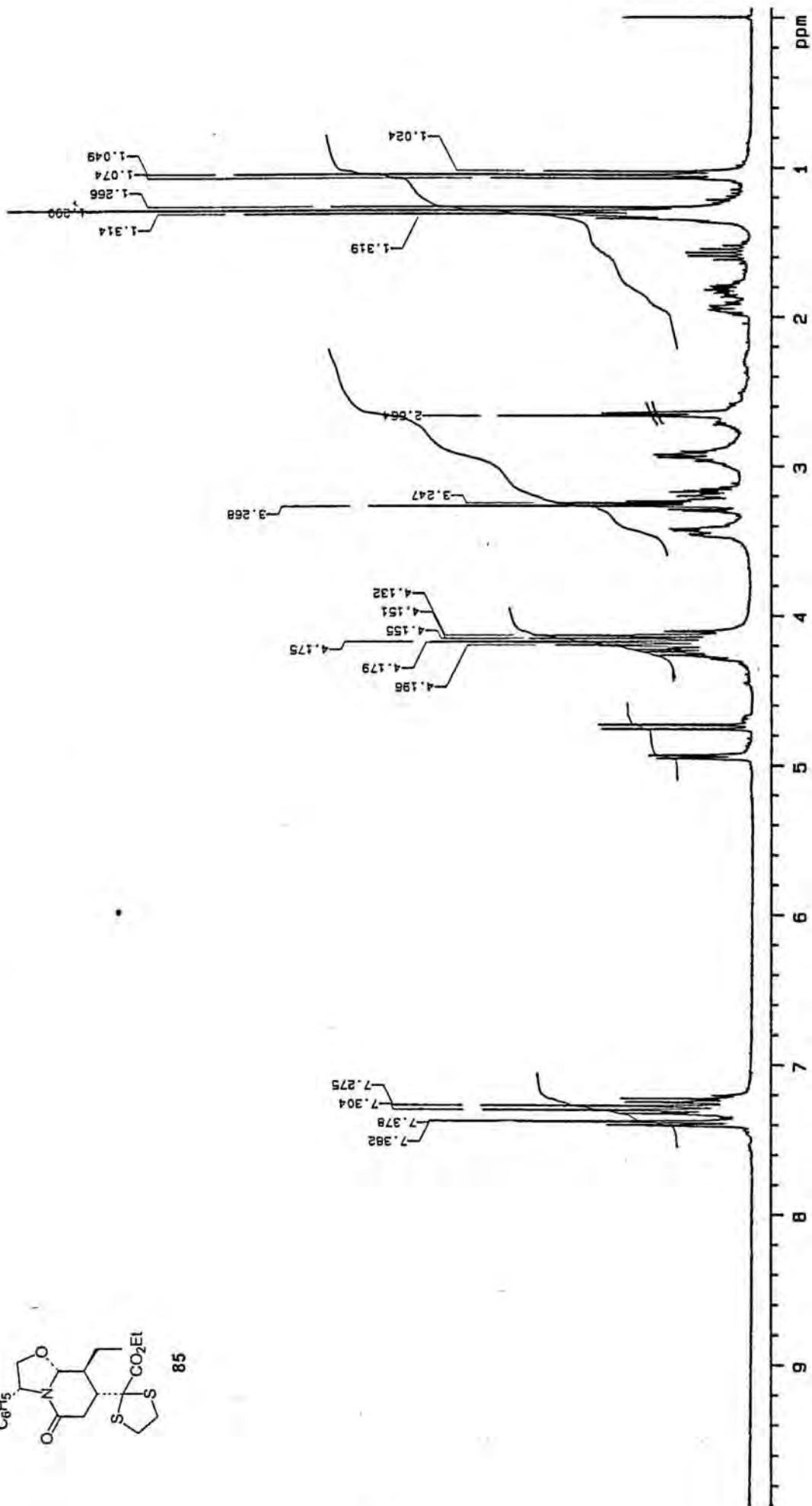
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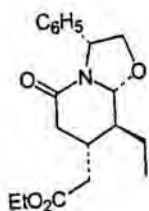




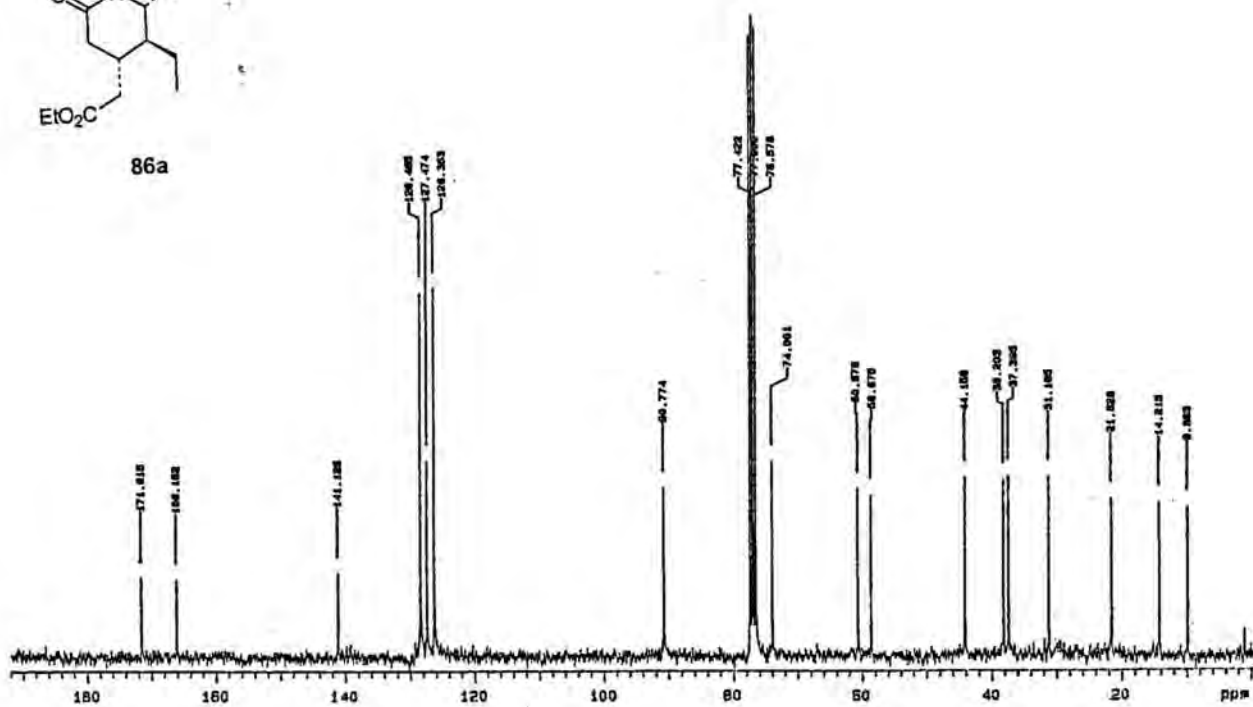
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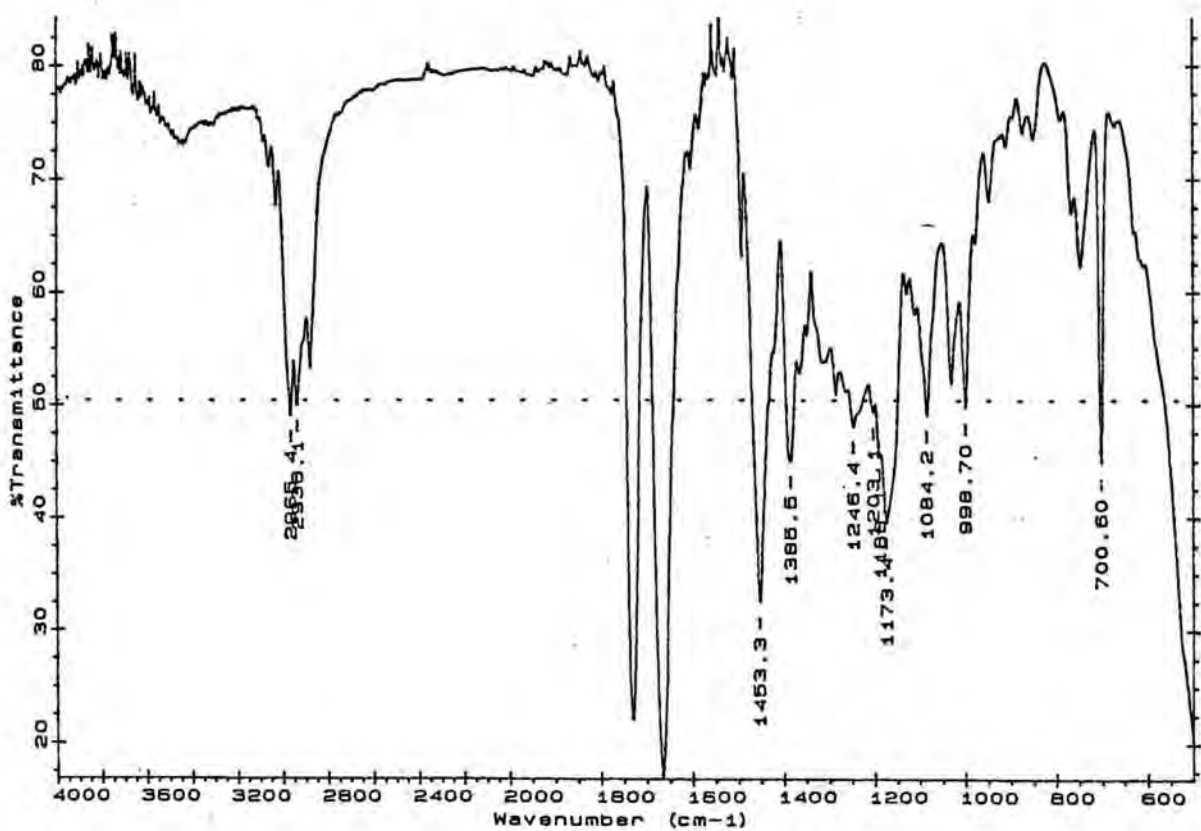
(3R,7R,8S,8aR)-8-Etil-7-[2-(etoxicarbonil)-1,3-ditiolan-2-il]-3-fenil-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazololo[3,2-a]piridina (85)

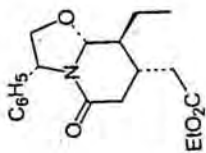


86a

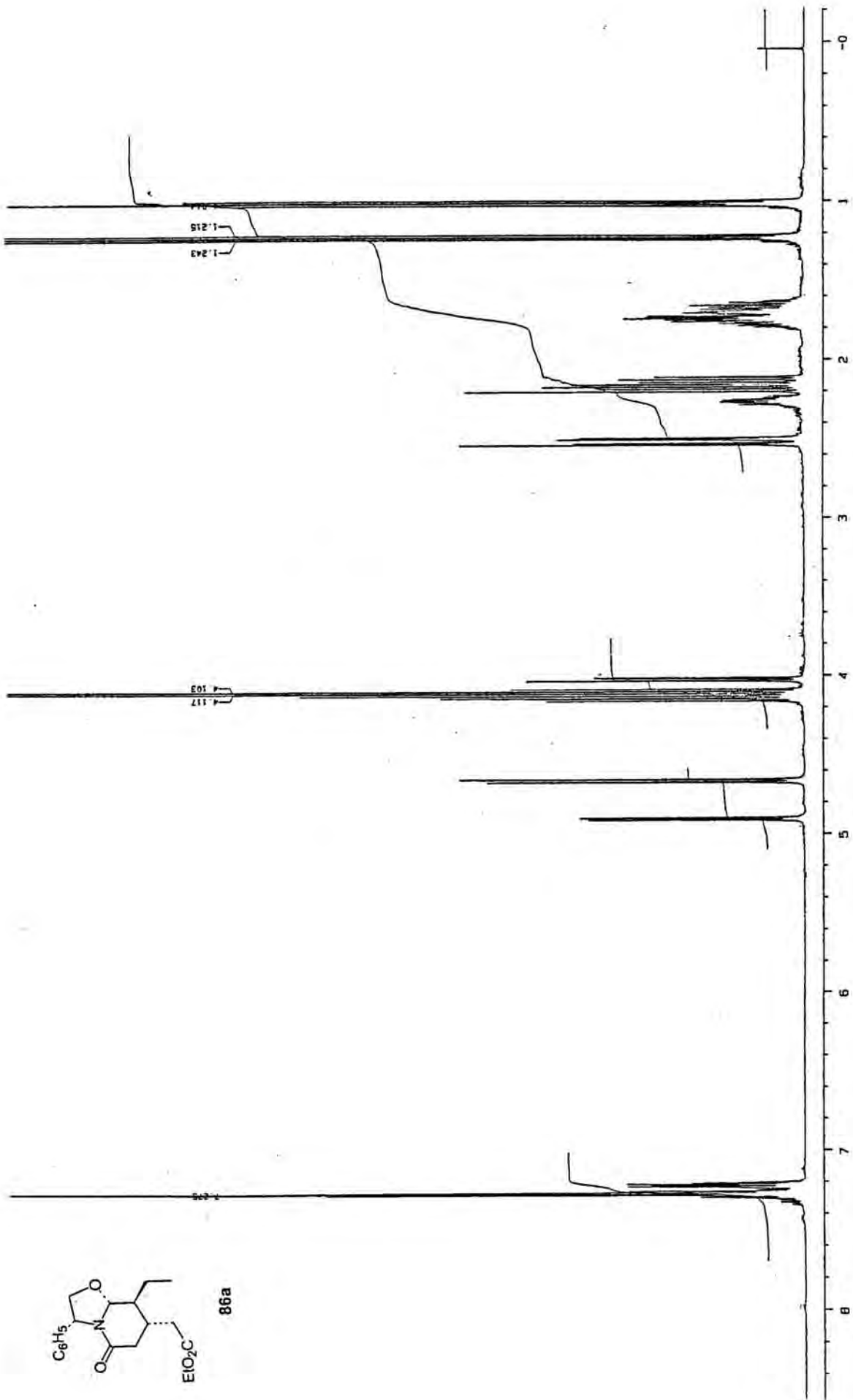


(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat d'etil (86a)

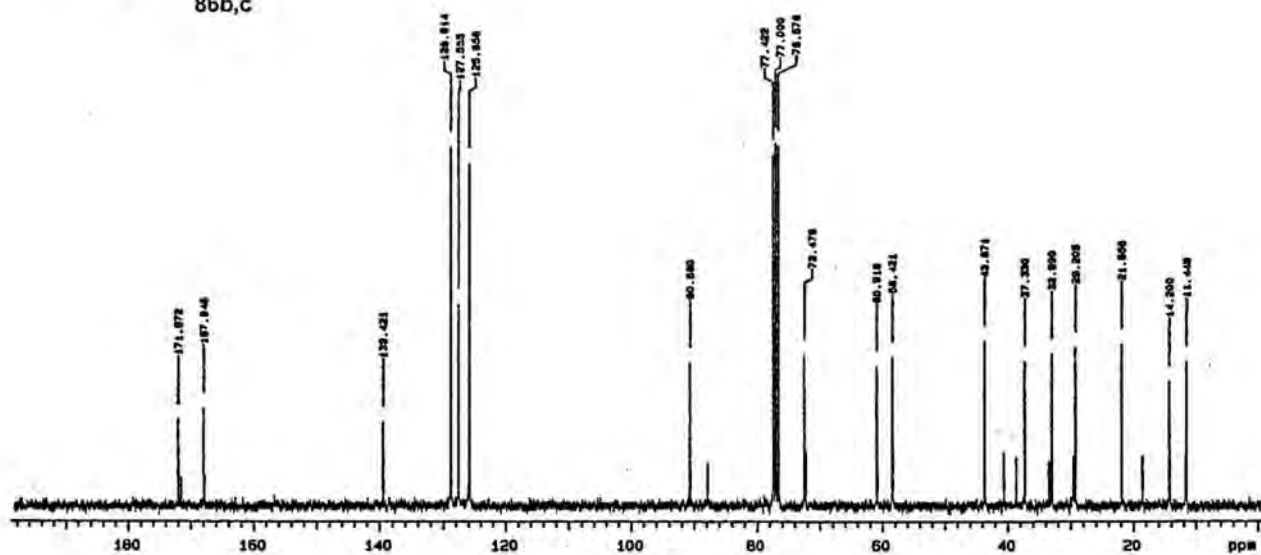
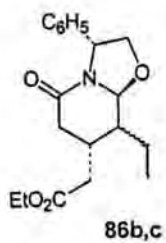




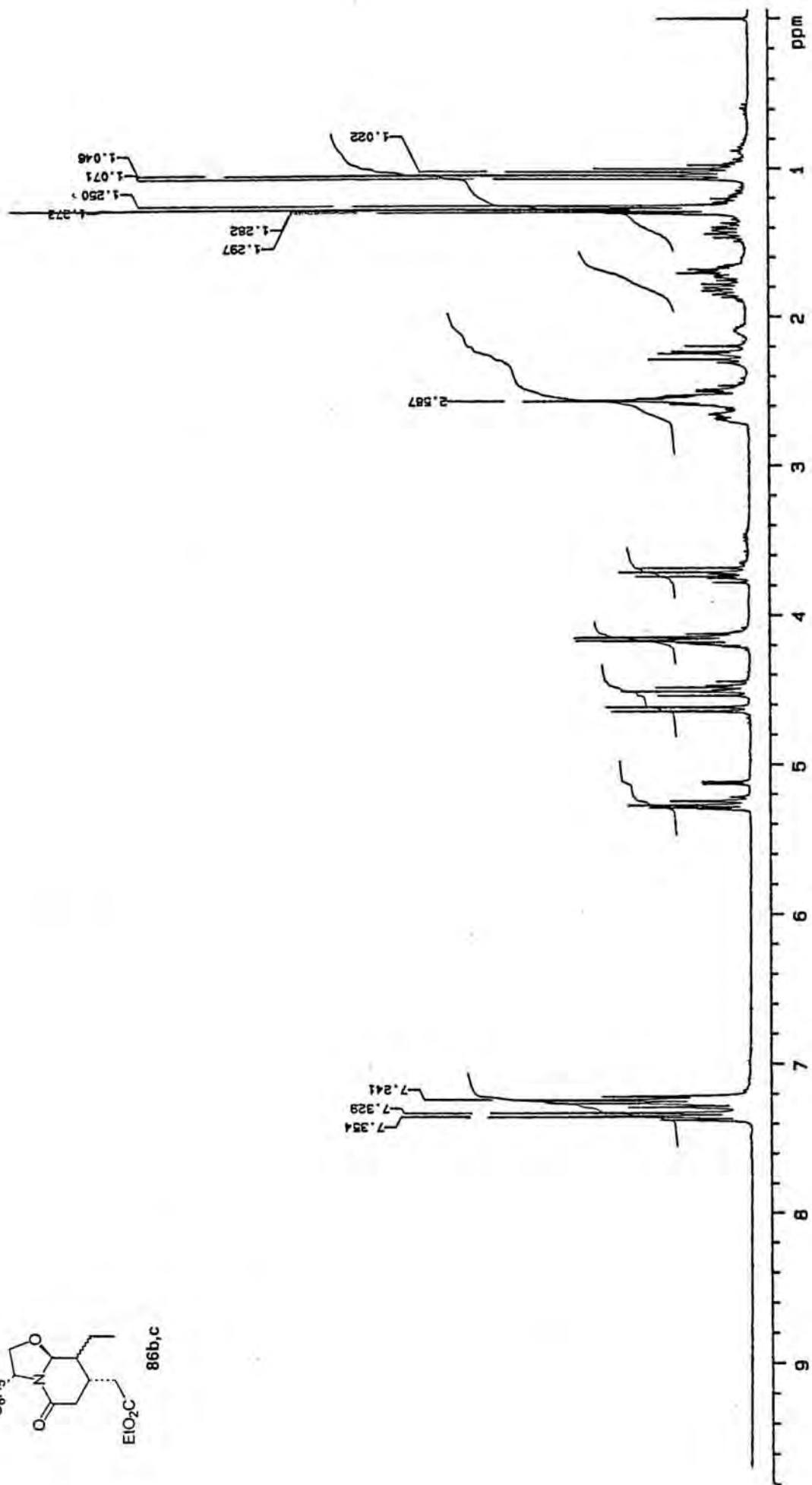
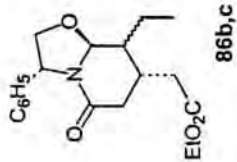
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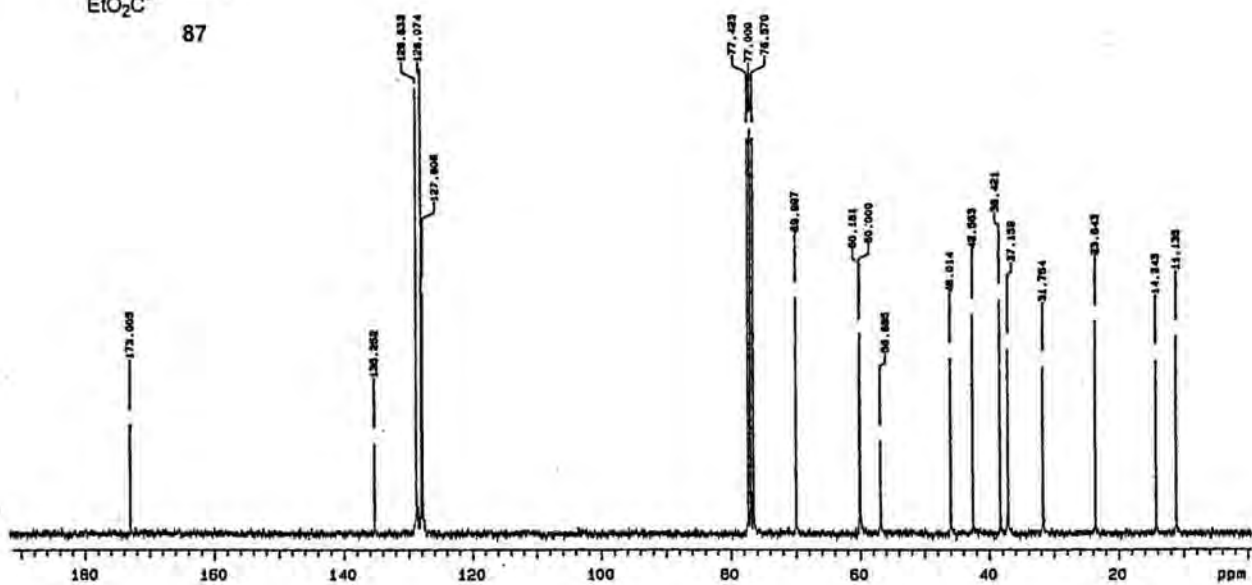
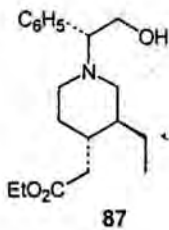
(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat d'etil (86a)



(3*R*,7*R*,8*aS*)-8-Etil-3-fenil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat d'etil
(86b,c)

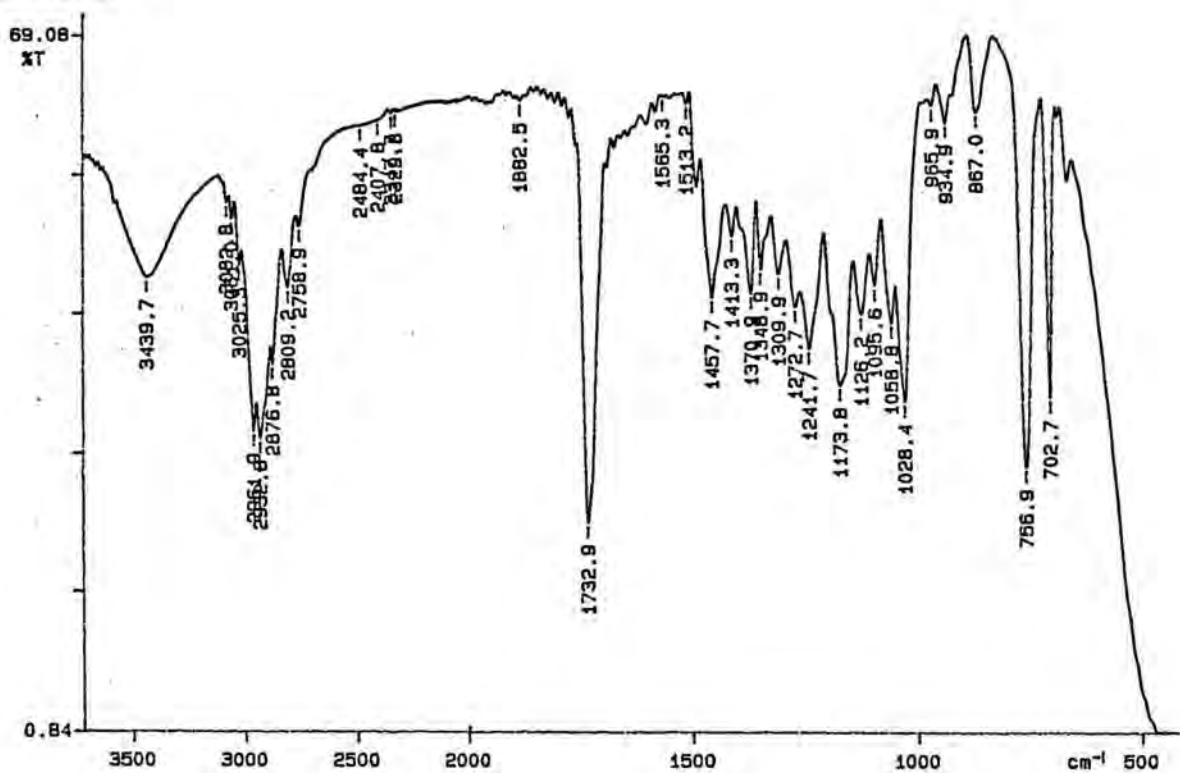


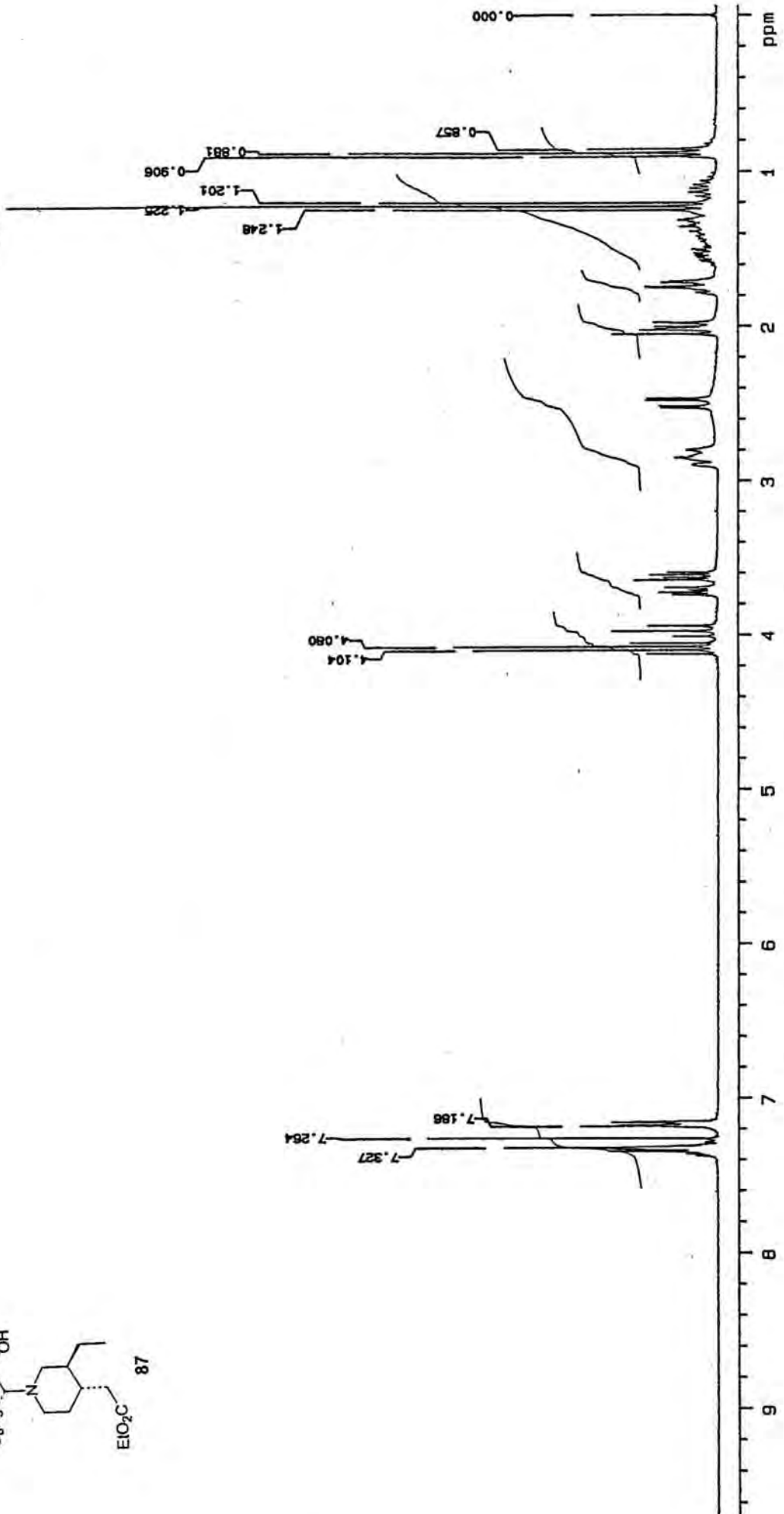
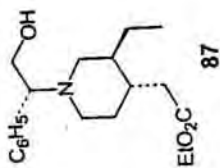
(3R,7R,8aS)-8-Ethyl-3-phenyl-5-oxo-2,3,6,7,8,8a-hexahydro-5H-oxazolo[3,2-a]pyridina-7-acetat d'etil (86b,c)



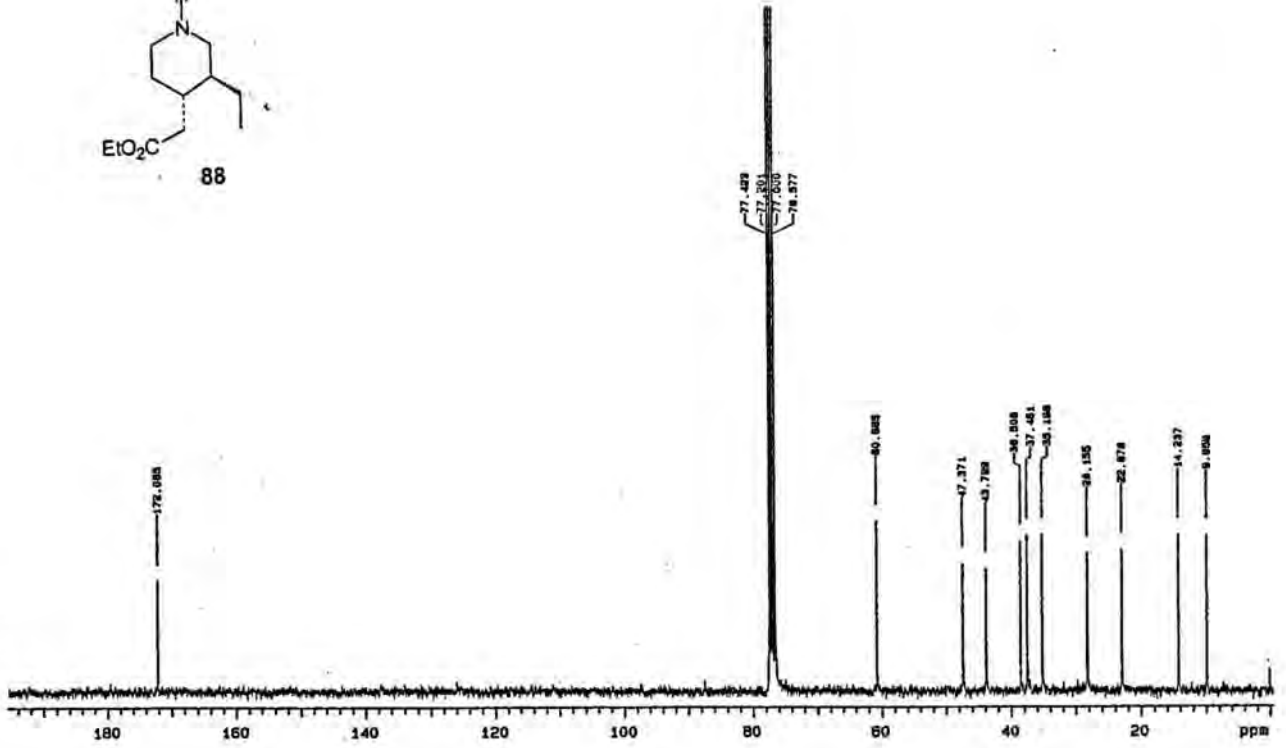
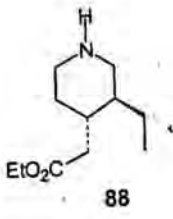
(3S,4S)-3-Etil-1-[(1R)-1-fenil-2-hidroxietyl]piperidina-4-acetat d'etil (87)

PERKIN ELMER



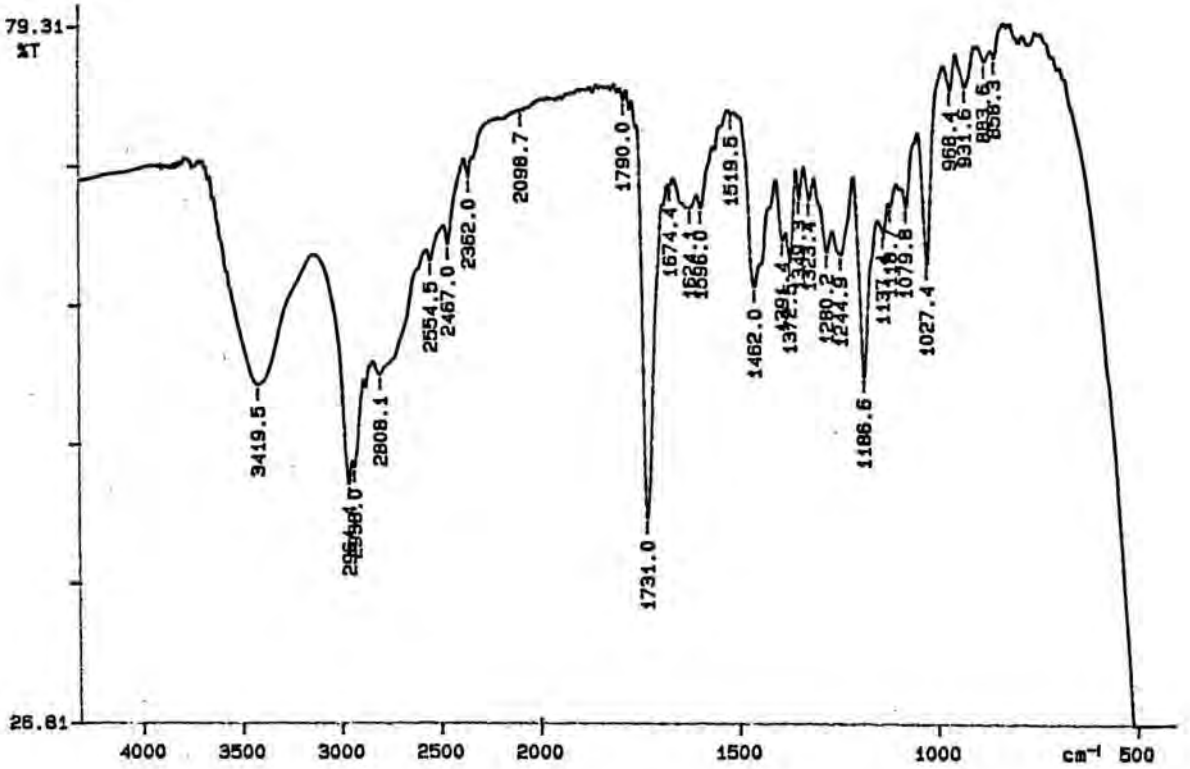


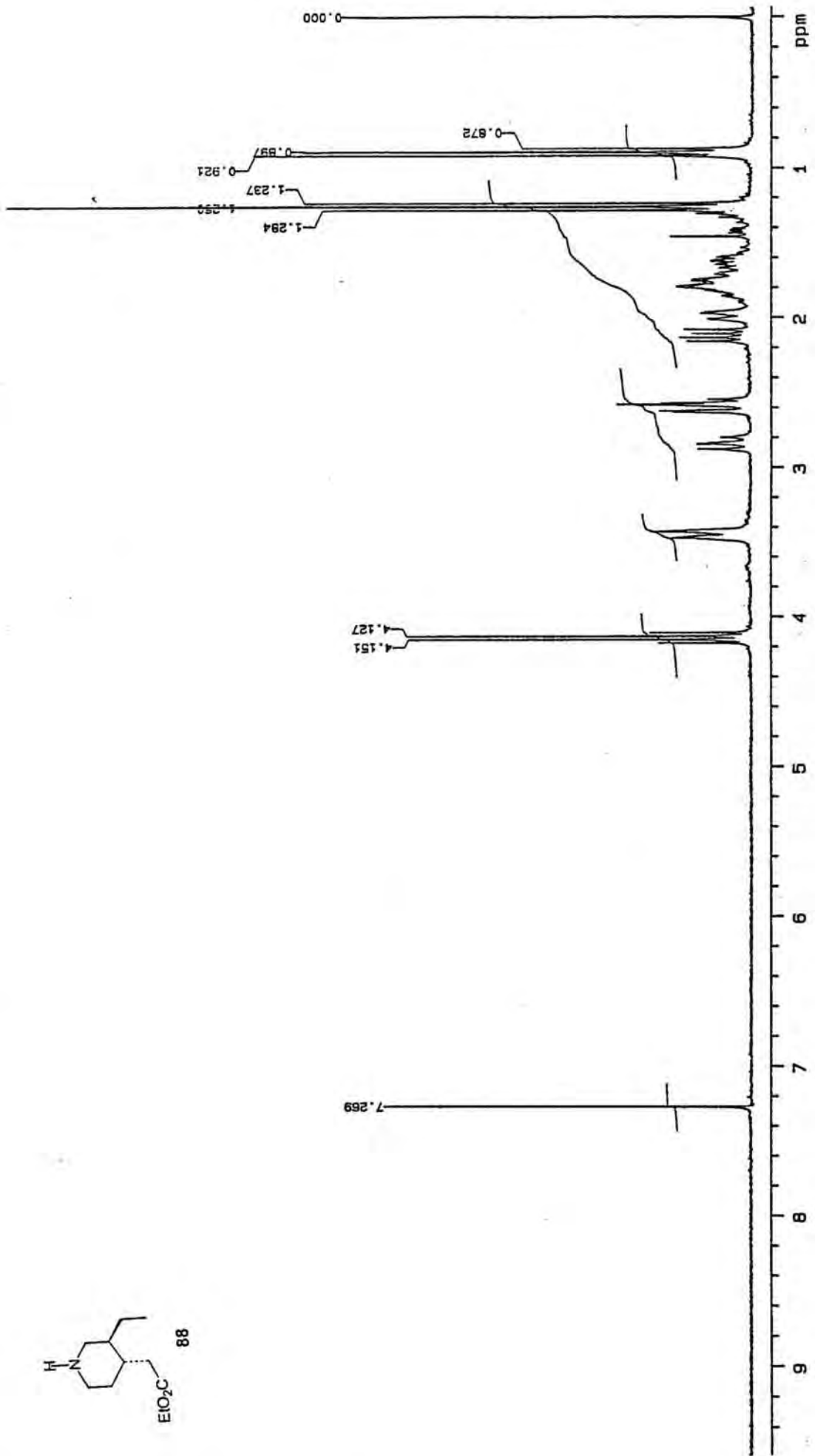
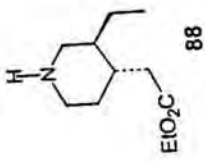
(3S,4S)-3-Etil-1-[(1R)-1-fenil-2-hidroxietyl]piperidina-4-acetat d'etil (87)



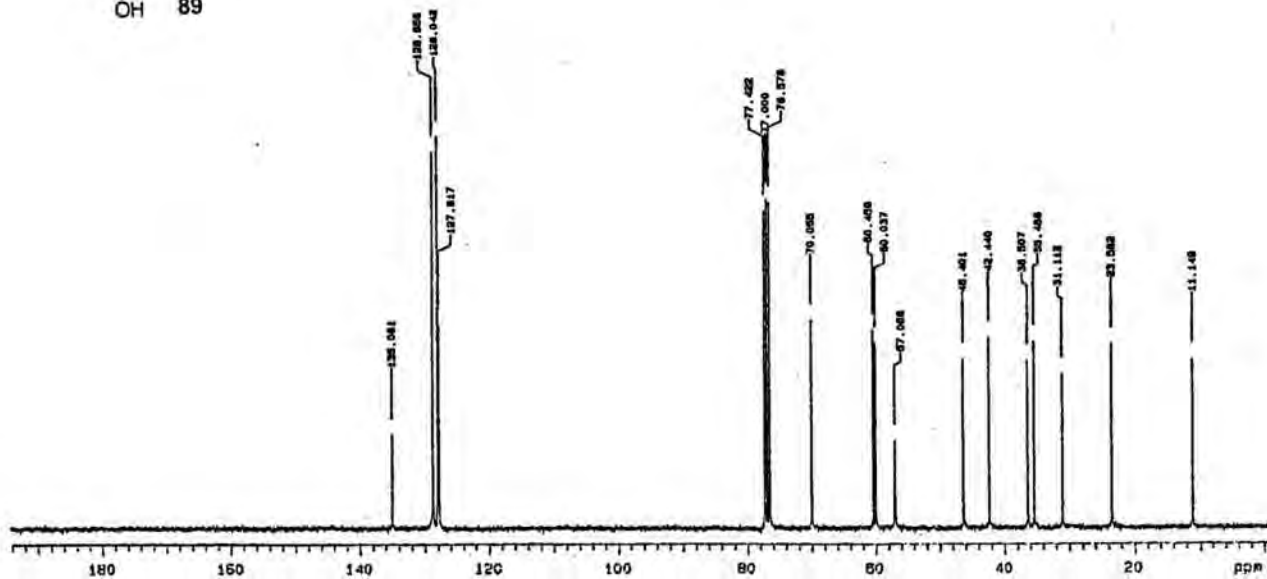
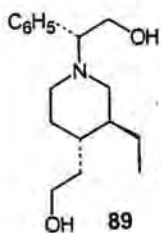
(3S,4S)-3-Etilpiperidina-4-acetat d'etil (88)

PERKIN ELMER



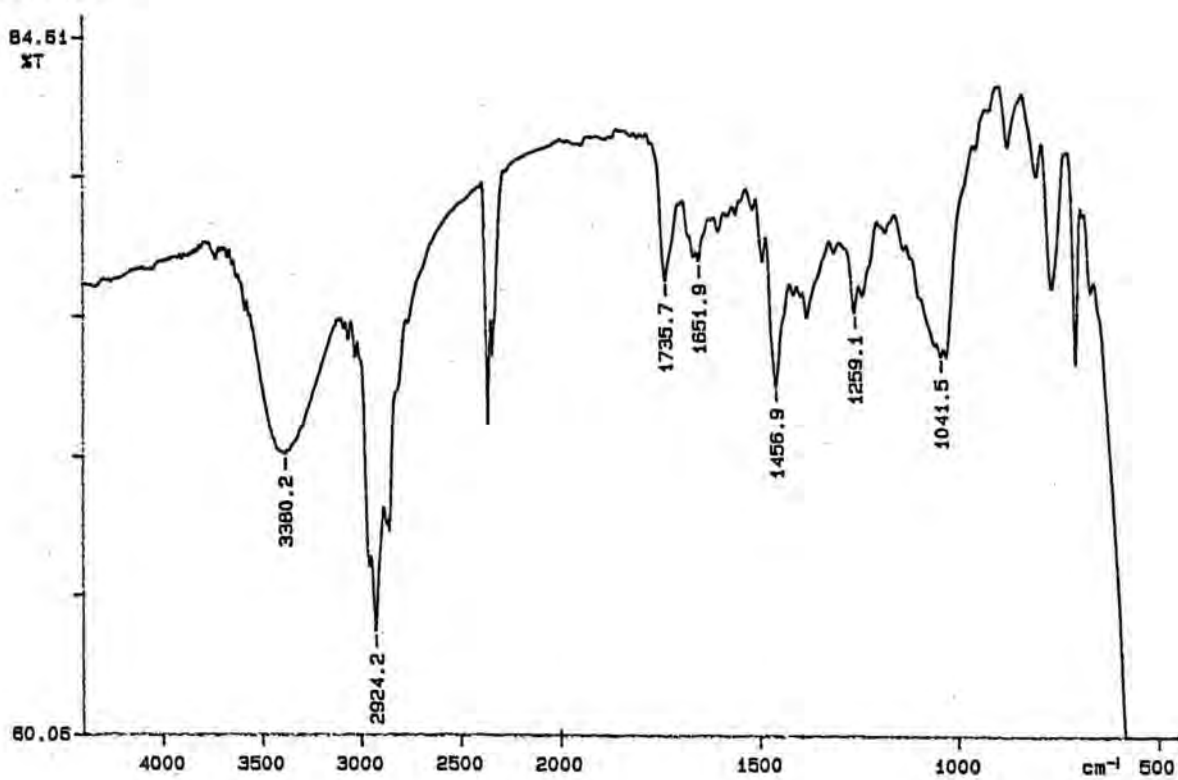


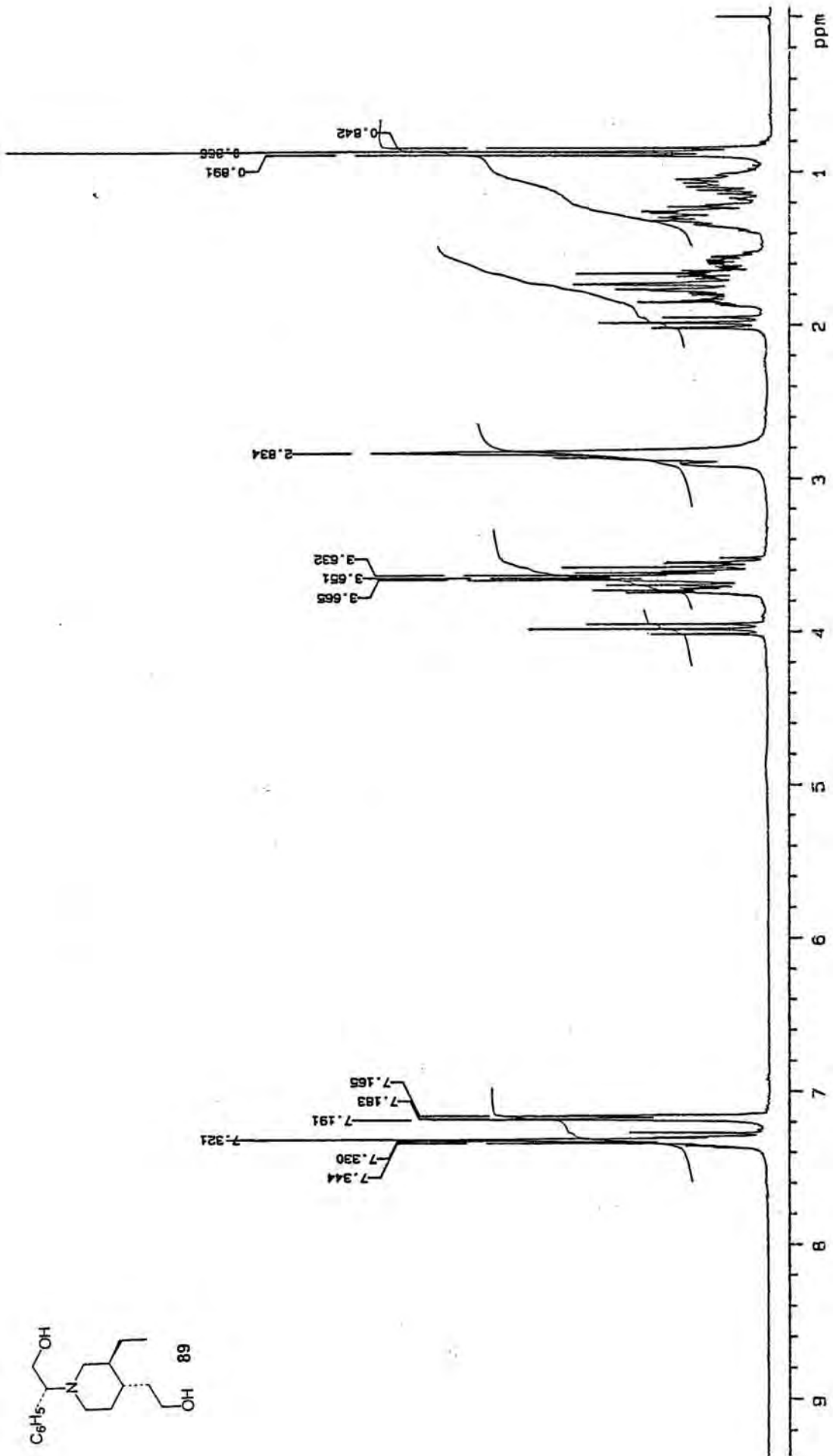
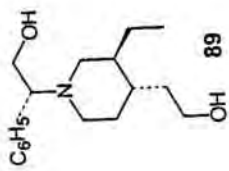
(3S,4S)-3-Etilpiperidina-4-acetat d'etil (88)



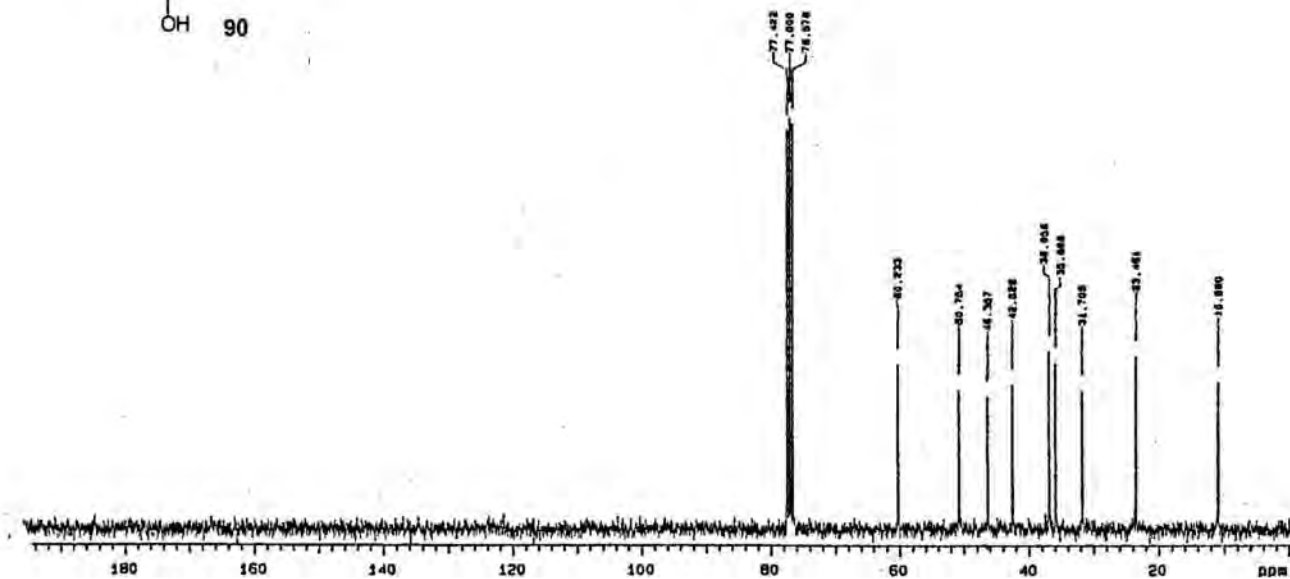
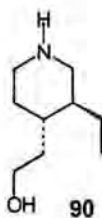
(3S,4S)-3-Etil-1-[(1R)-1-fenil-2-hidroxietyl]-4-piperidinaetanol (89)

PERKIN ELMER



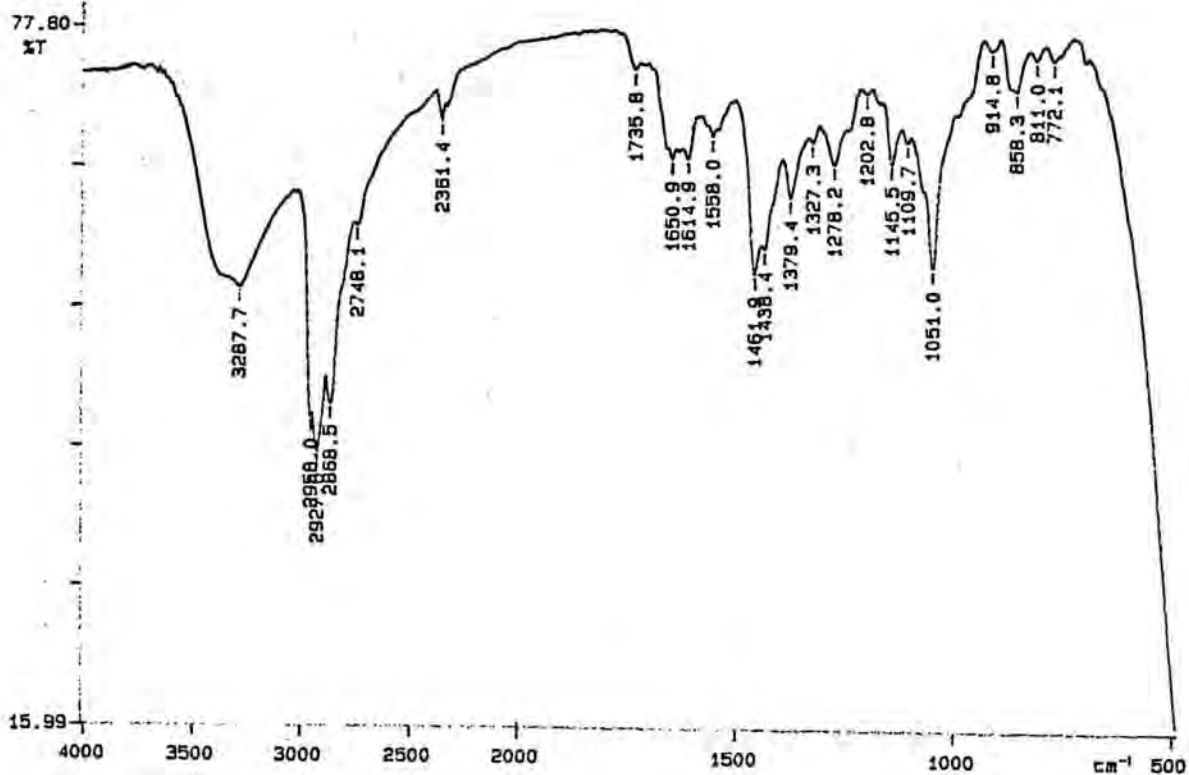


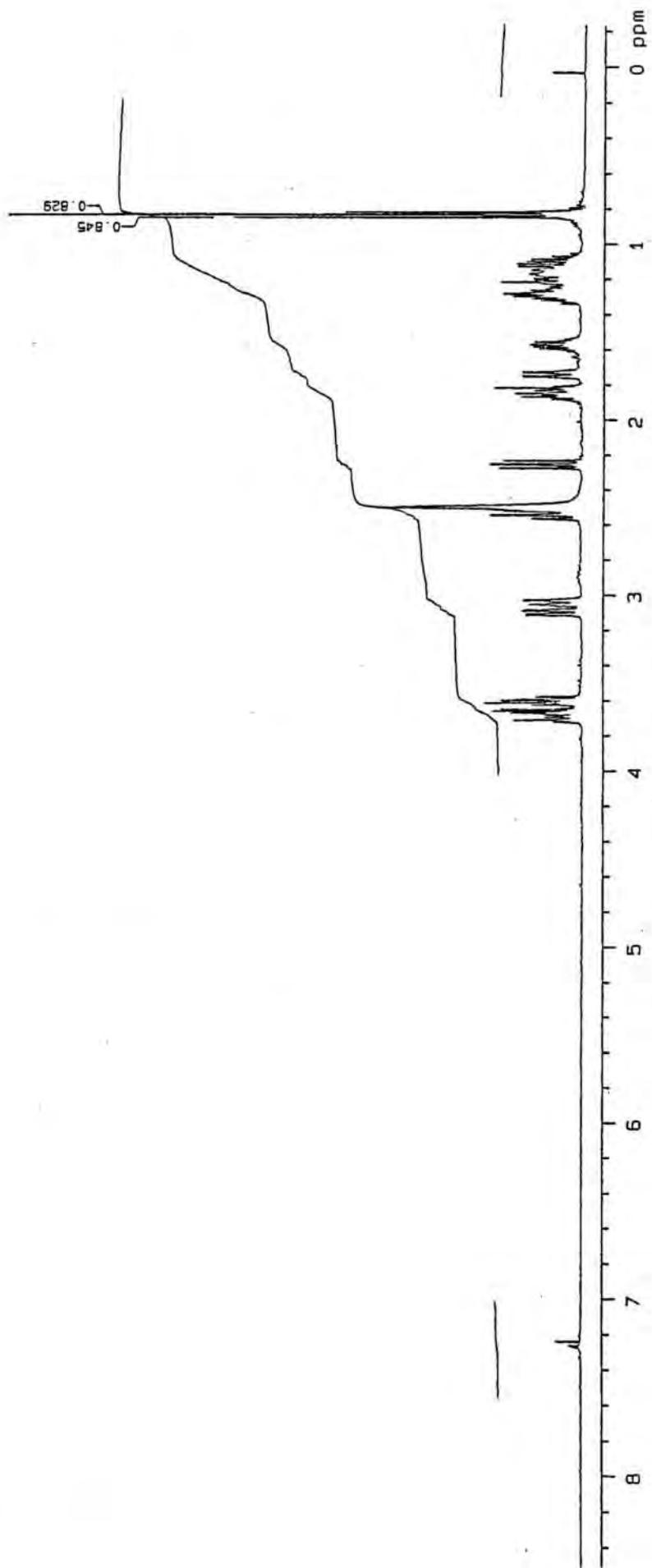
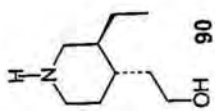
(3S,4S)-3-Etil-1-[(1R)-1-fenil-2-hidroxietyl]-4-piperidinaetanol (89)



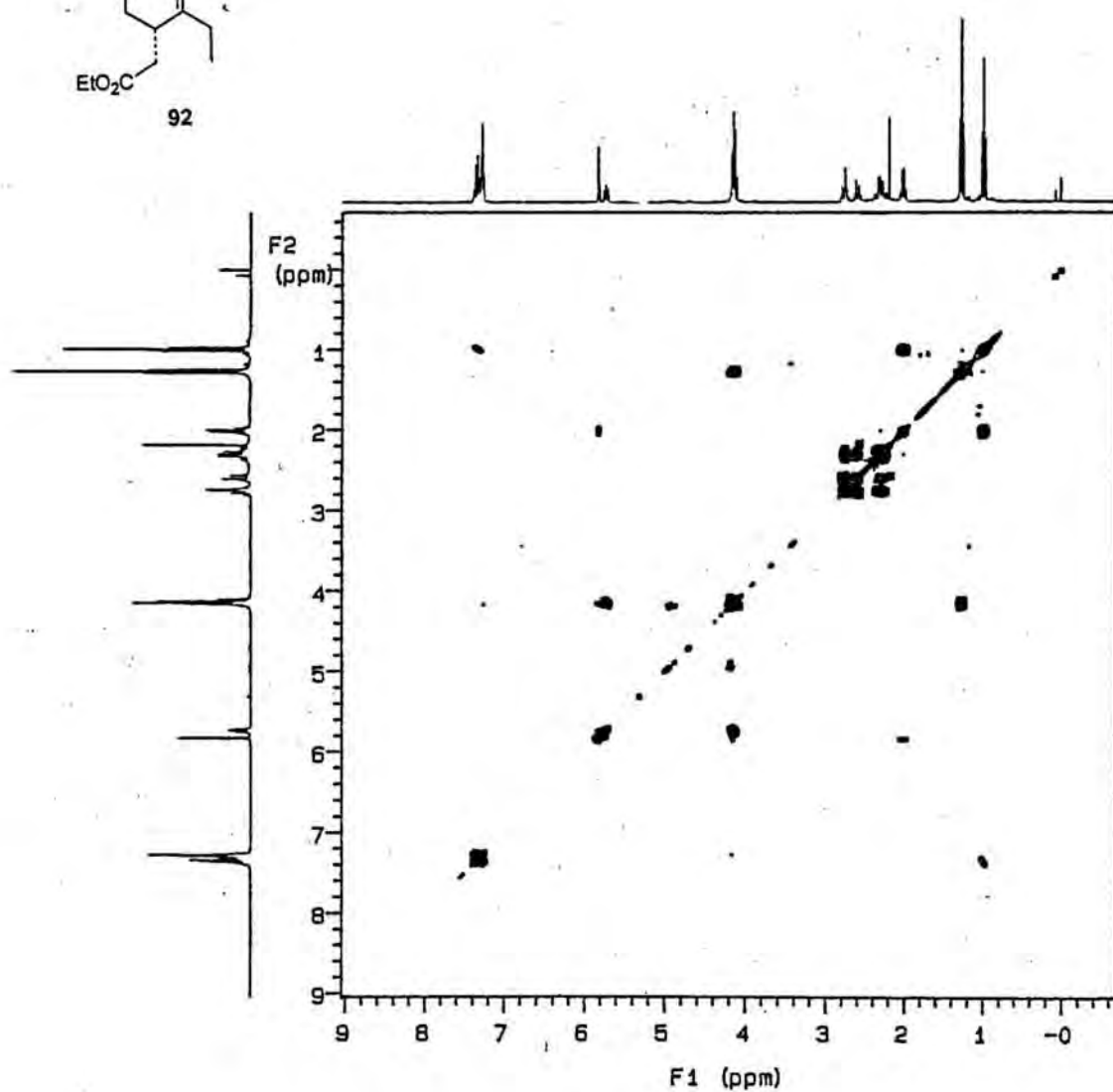
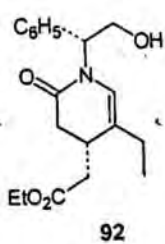
(3S,4S)-3-Etil-4-piperidinaetanol (90)

PERKIN ELMER

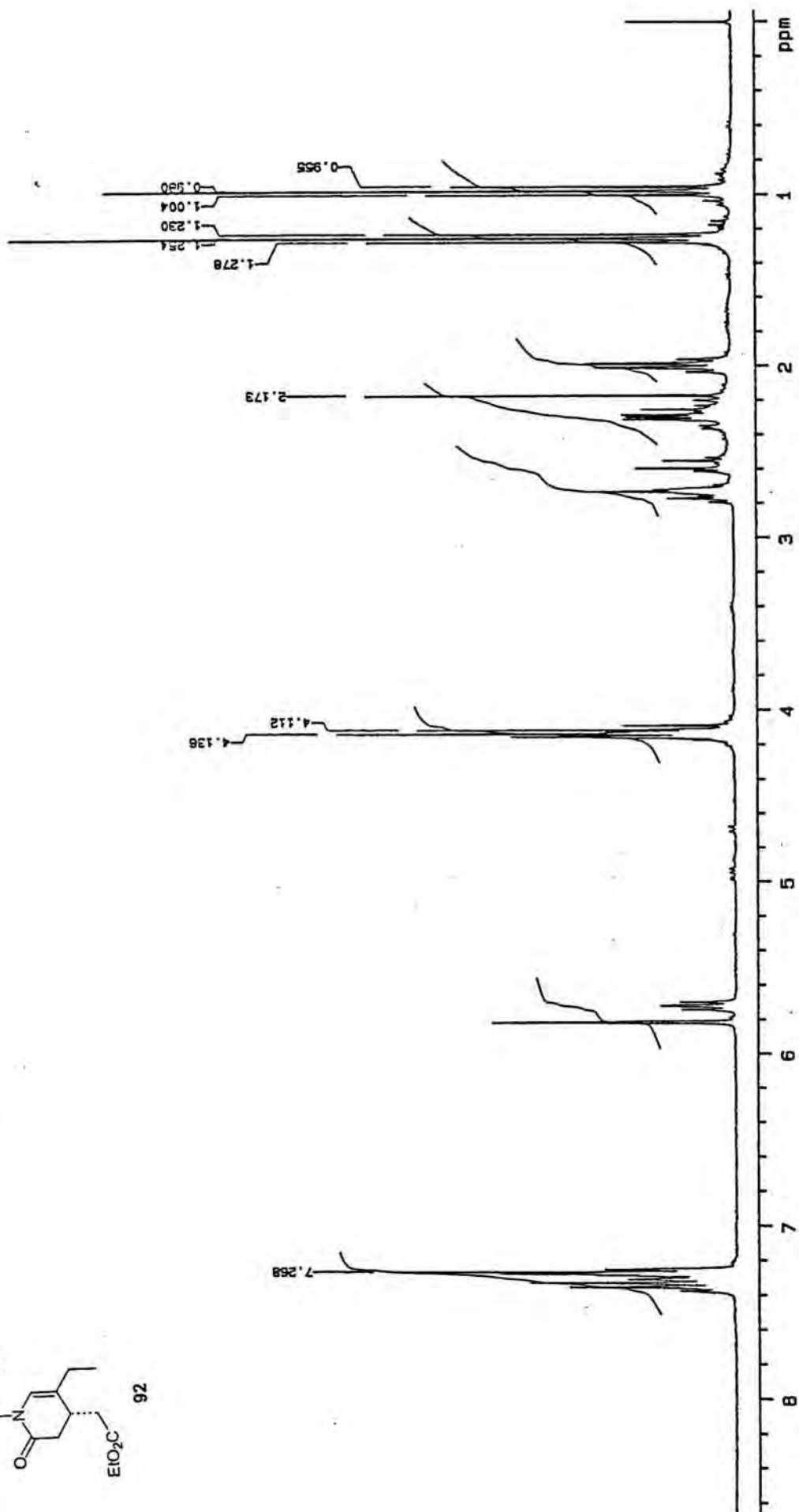
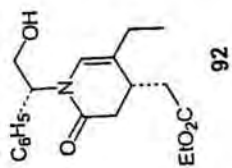




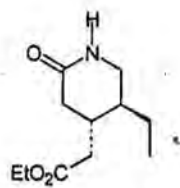
(3S,4S)-3-Etil-4-piperidinaetanol (90)



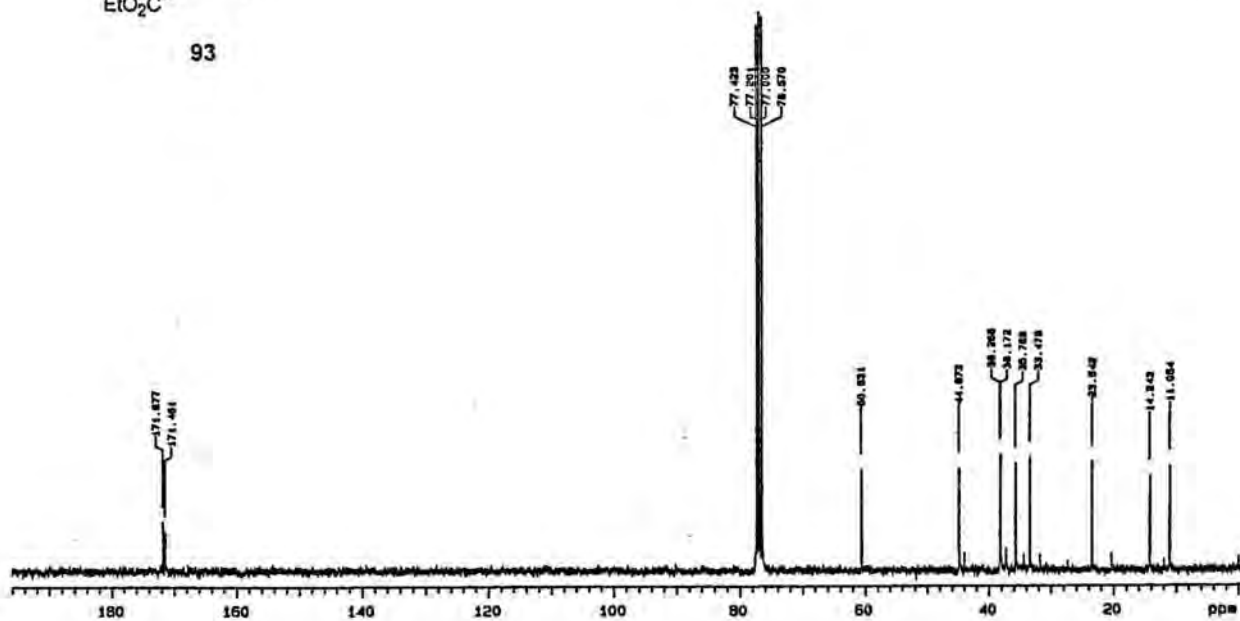
(4S)-5-Etil-1-[(1R)-1-fenil-2-hidroxietil]-2-oxo-1,2,3,4-tetrahidropiridina-4-acetat d'etil (92)



(4S)-5-Etil-1-[(1R)-1-fenil-2-hidroxi-2-etil-1,2,3,4-tetrahidropiridina-4-acetat d'etil] (92)

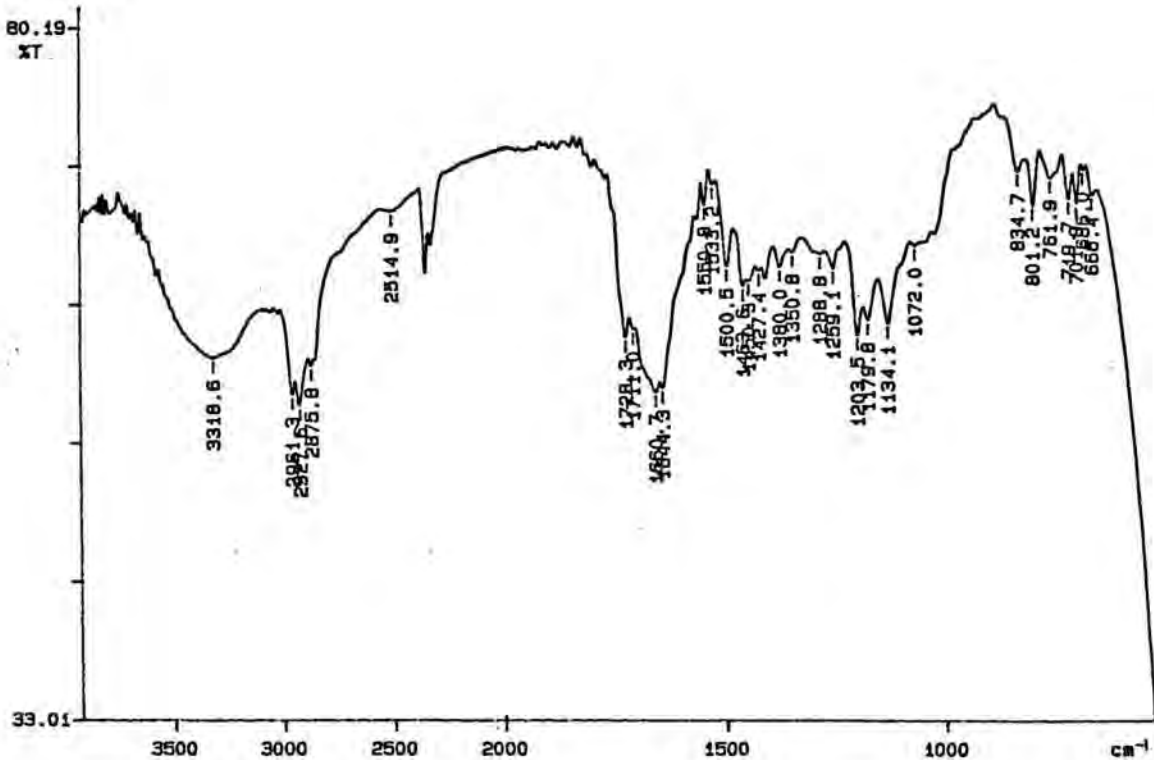


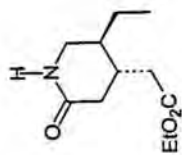
93



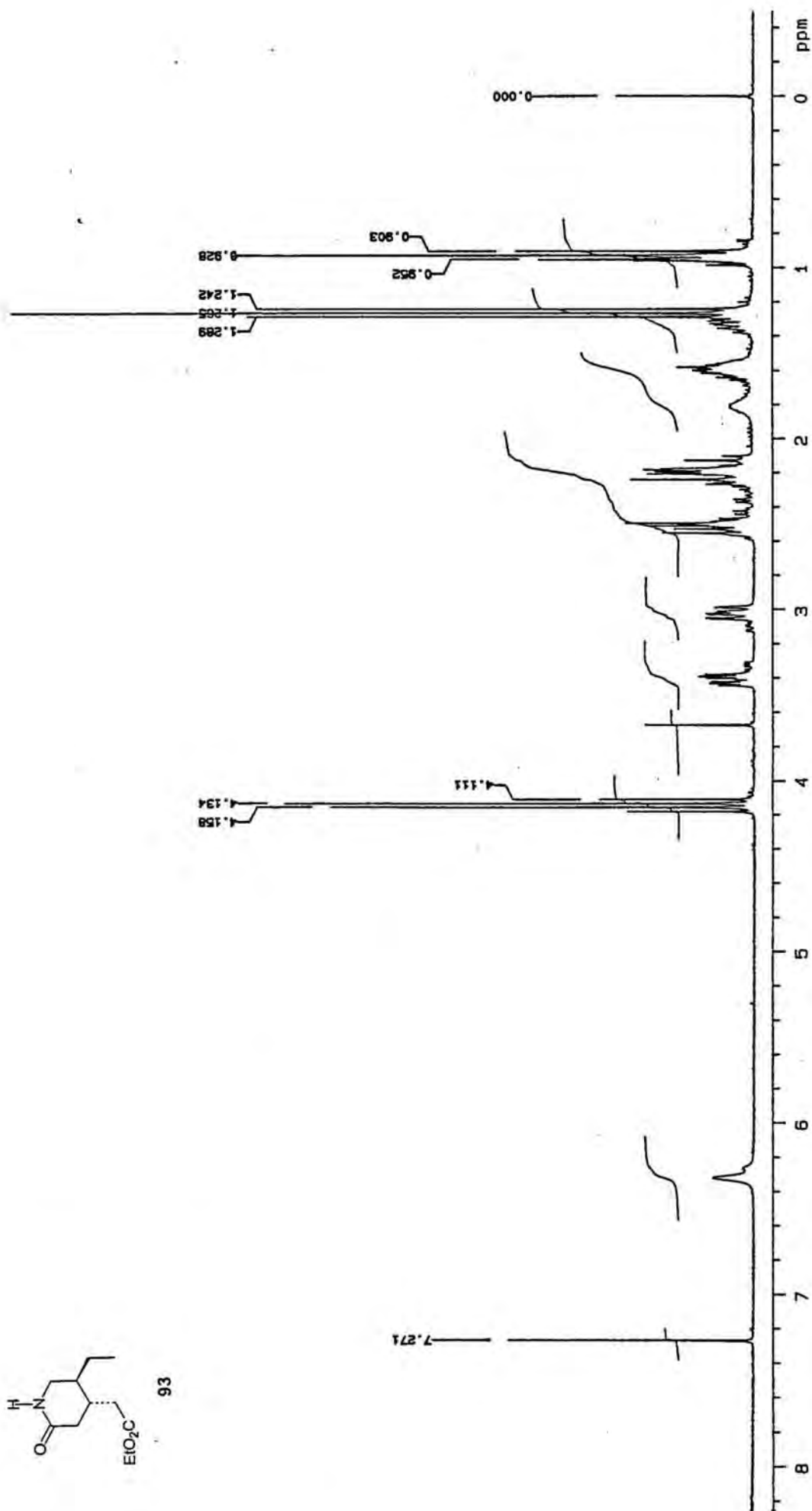
(4S,5S)-5-Etil-2-oxopiperidina-4-acetat d'etil (93)

PERKIN ELMER

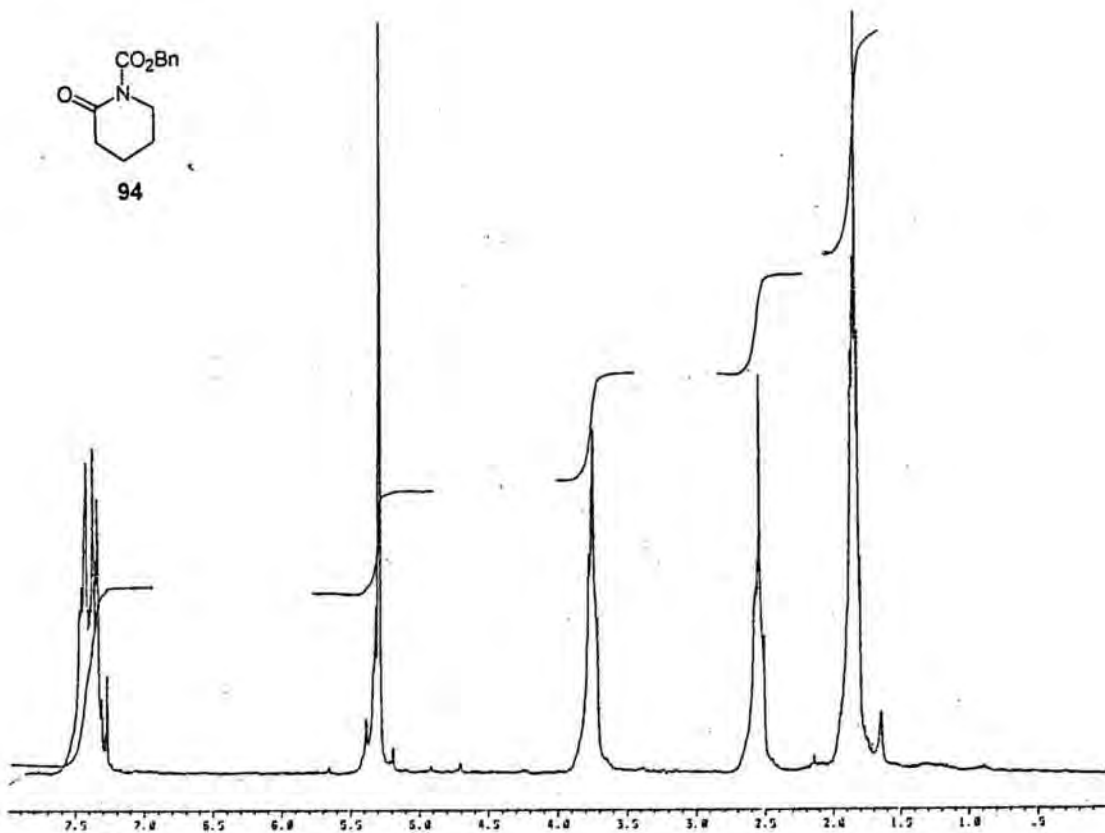
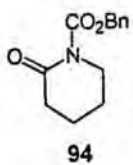




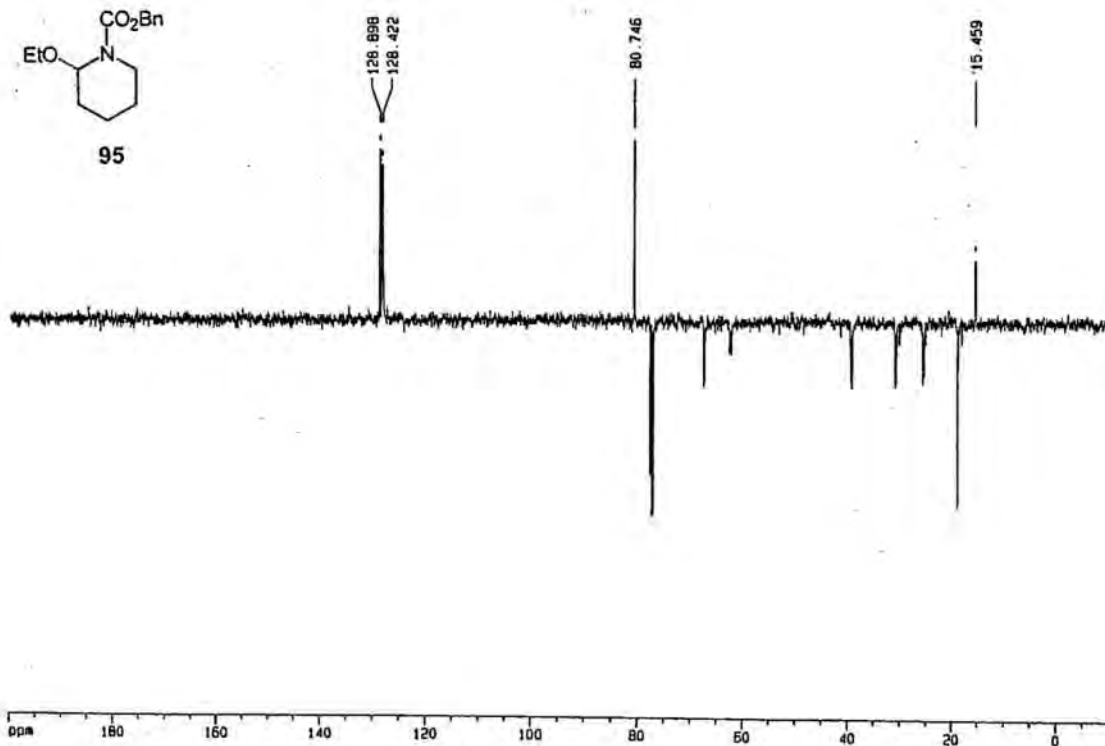
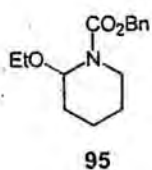
93



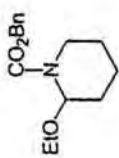
(4S,5S)-5-Etil-2-oxopiperidina-4-acetat d'etil (93)



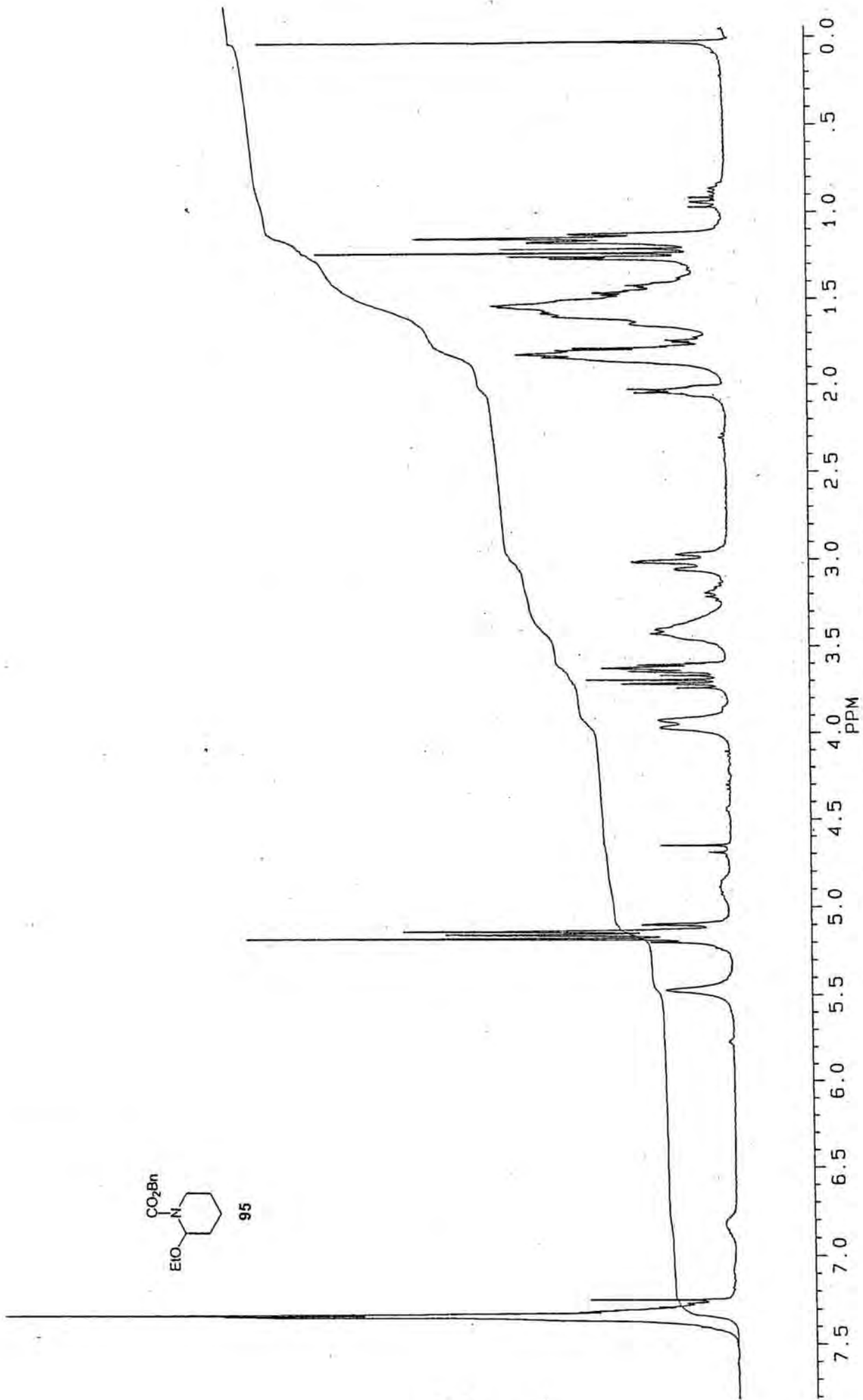
1-(Benziloxycarbonil)-2-piperidona (94)



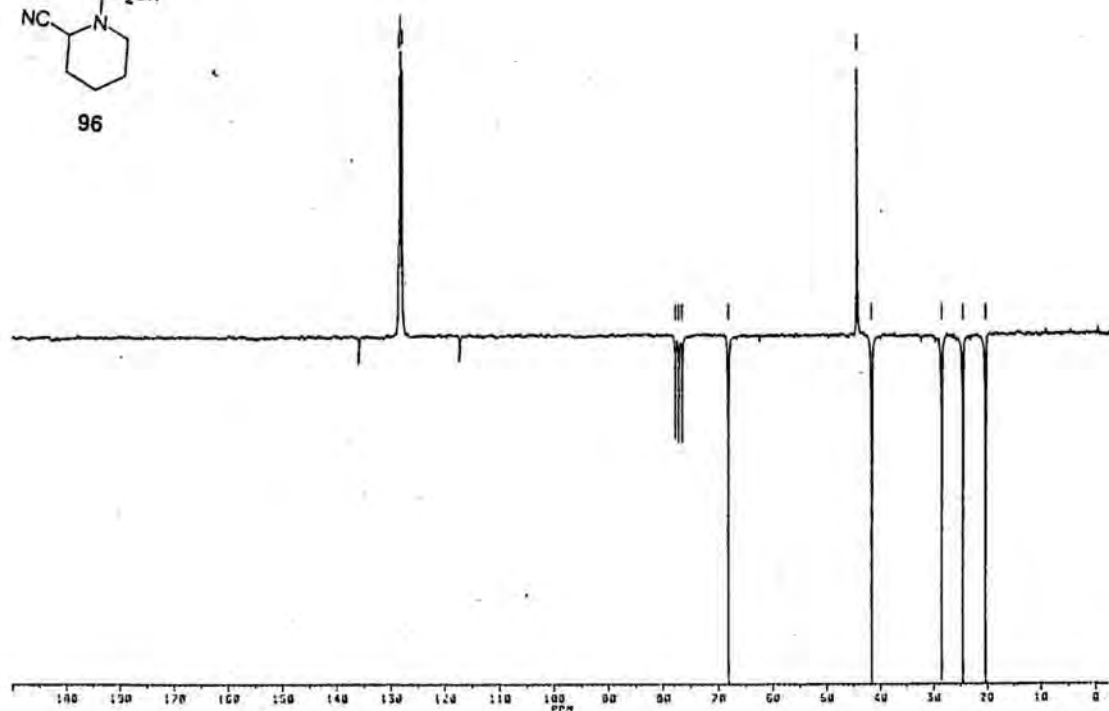
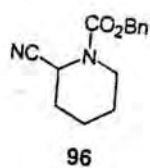
1-(Benziloxycarbonil)-2-etoxipiperidina (95)



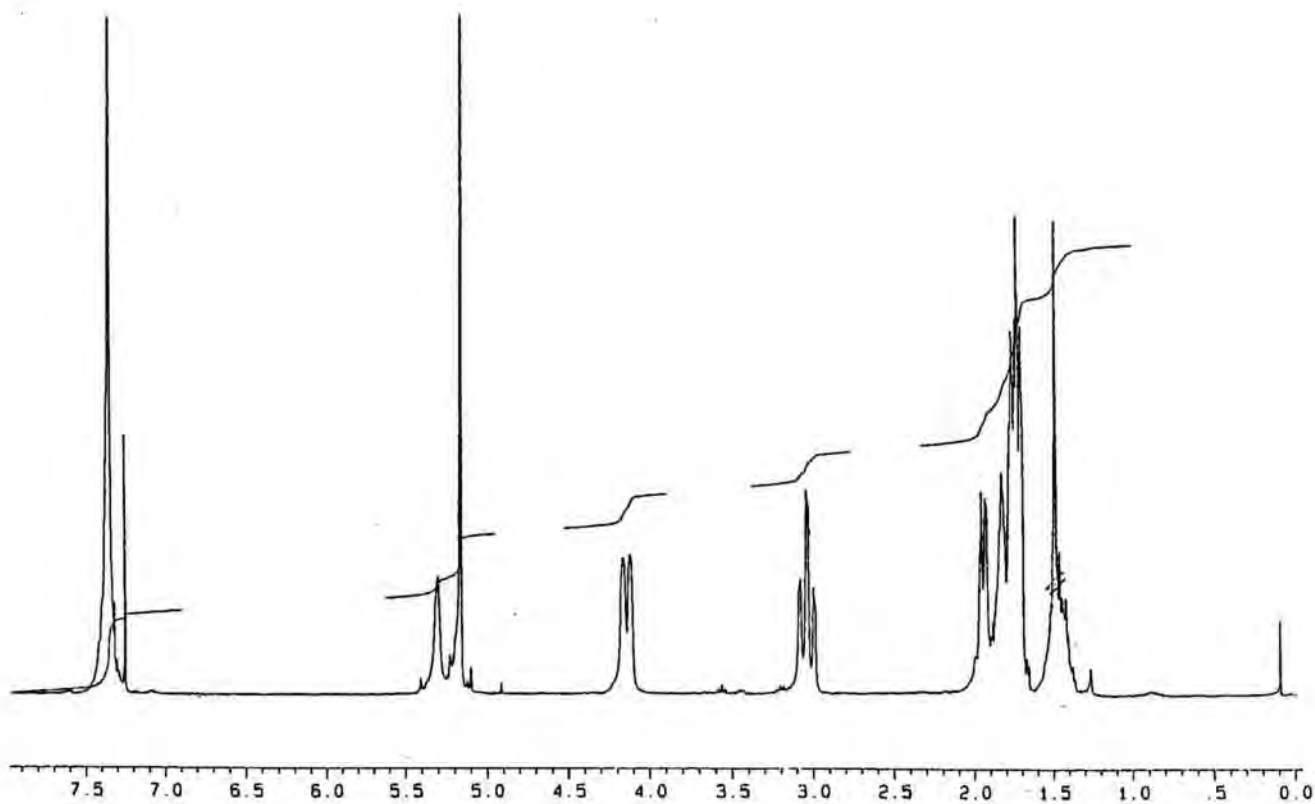
95

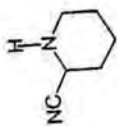


1-(Benziloxycarbonil)-2-etoxipiperidina (95)

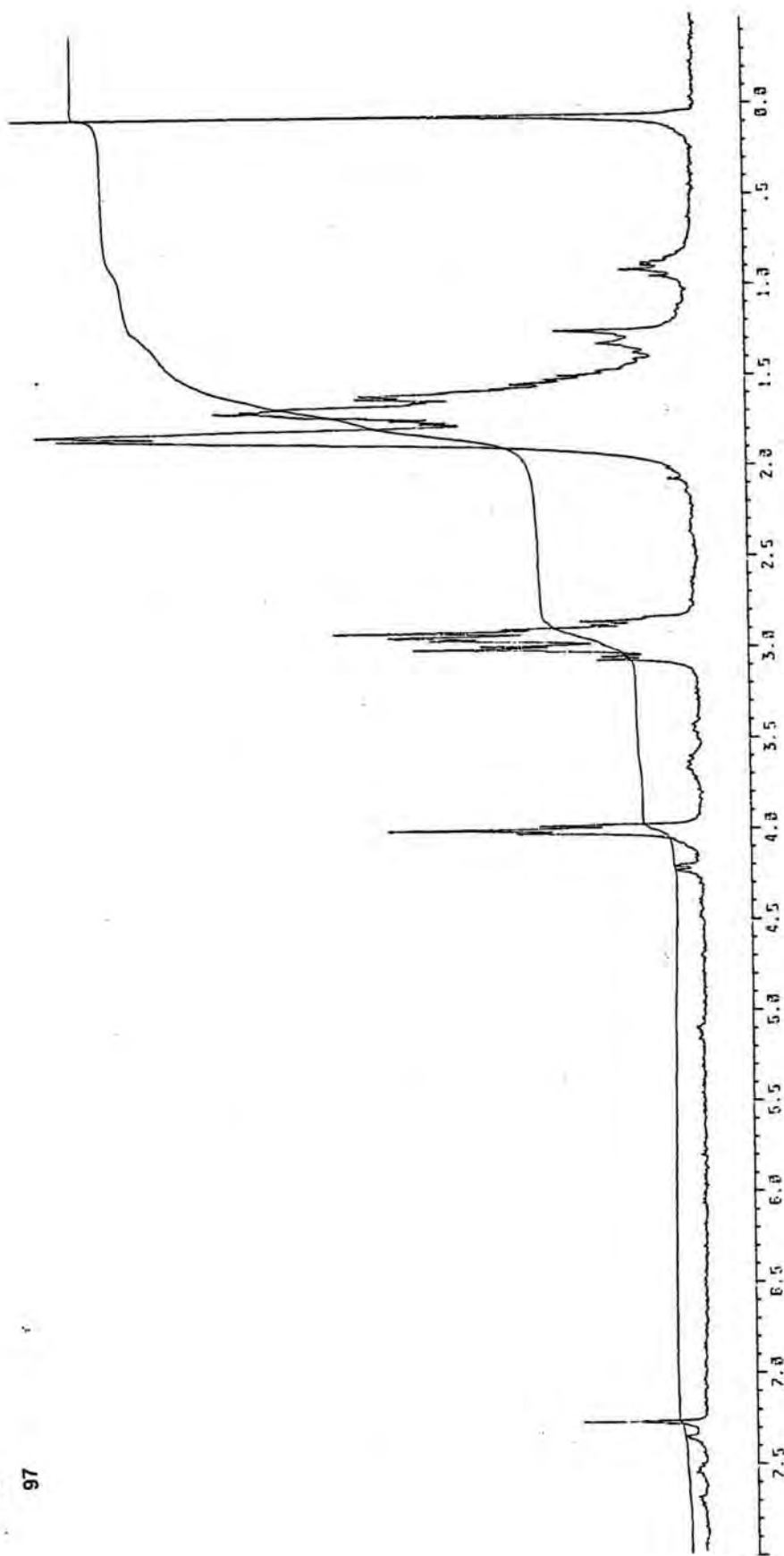


1-(Benziloxycarbonil)-2-cianopiperidina (96)

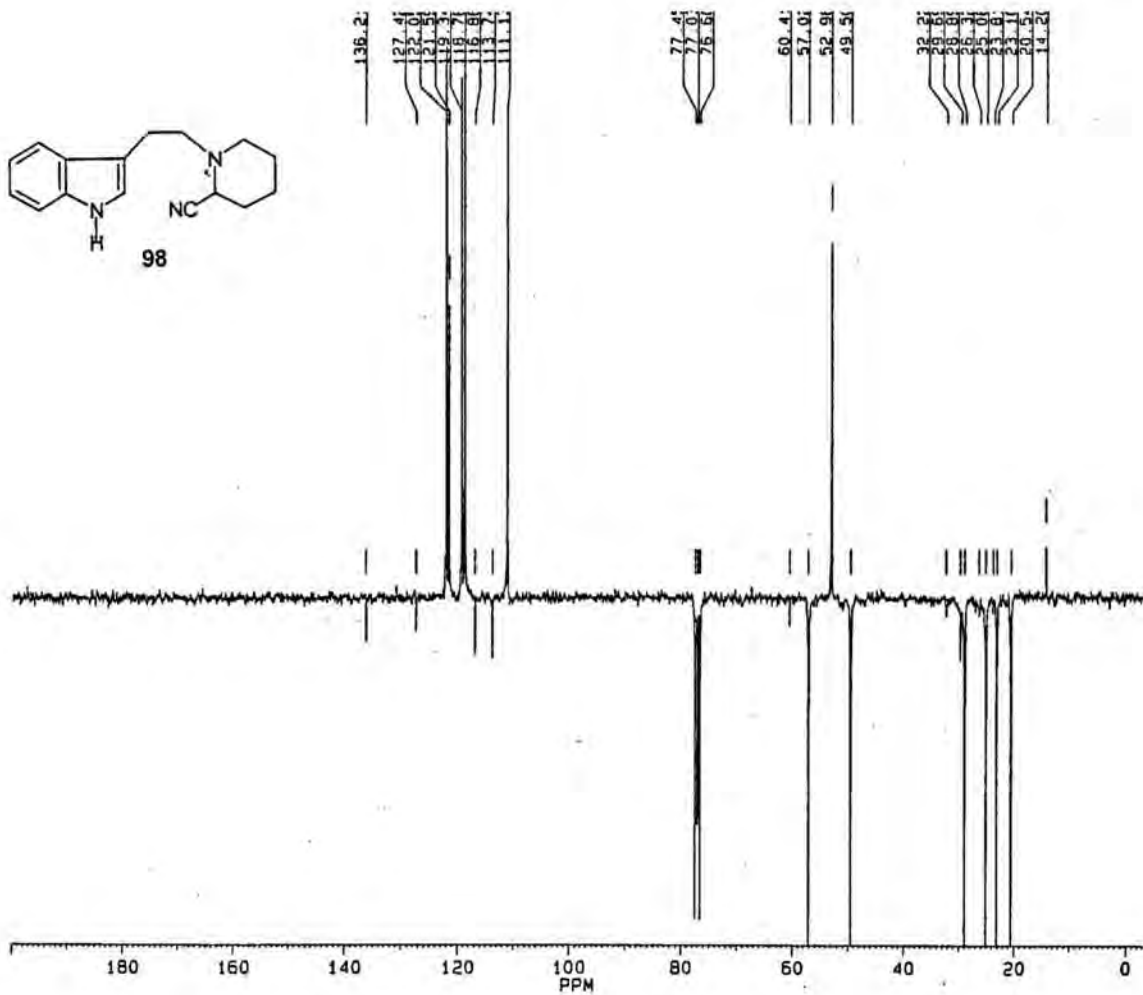




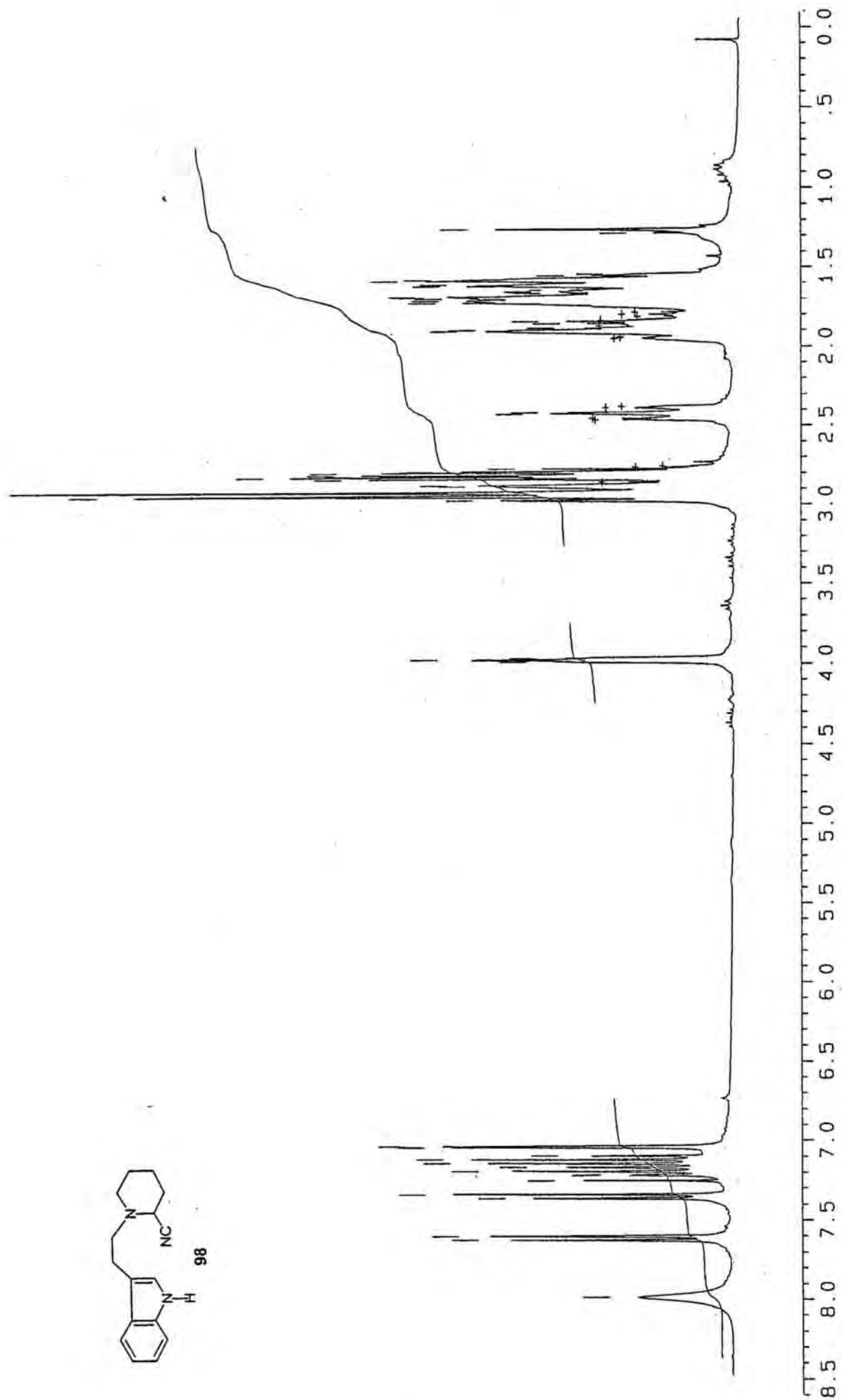
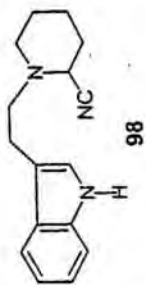
97



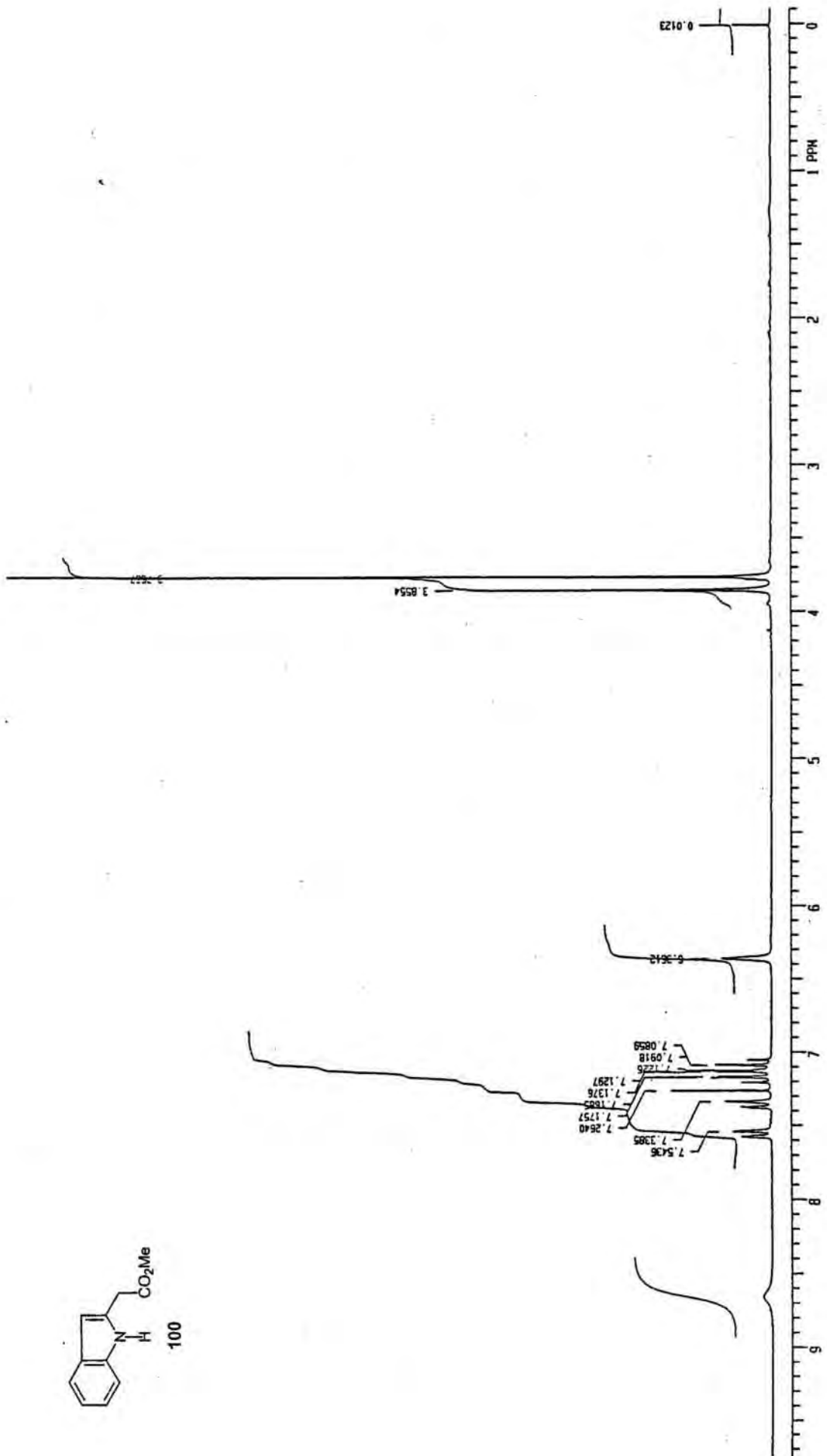
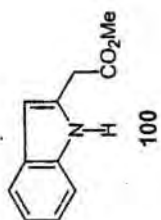
2-Cianopiperidina (97)



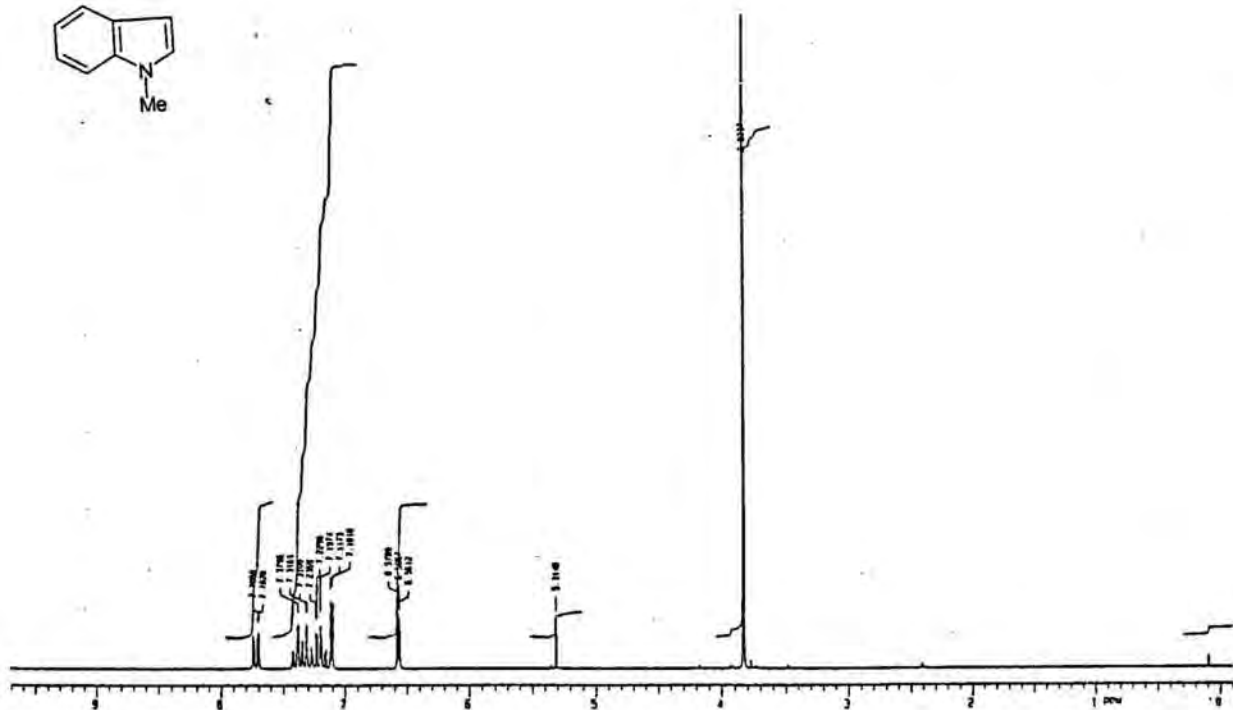
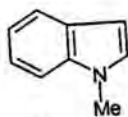
1-[2-(3-Indolil)etil]-2-cianopiperidina (98)



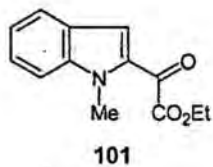
1-[2-(3-Indolil)etil]-2-cianopiperidina (98)



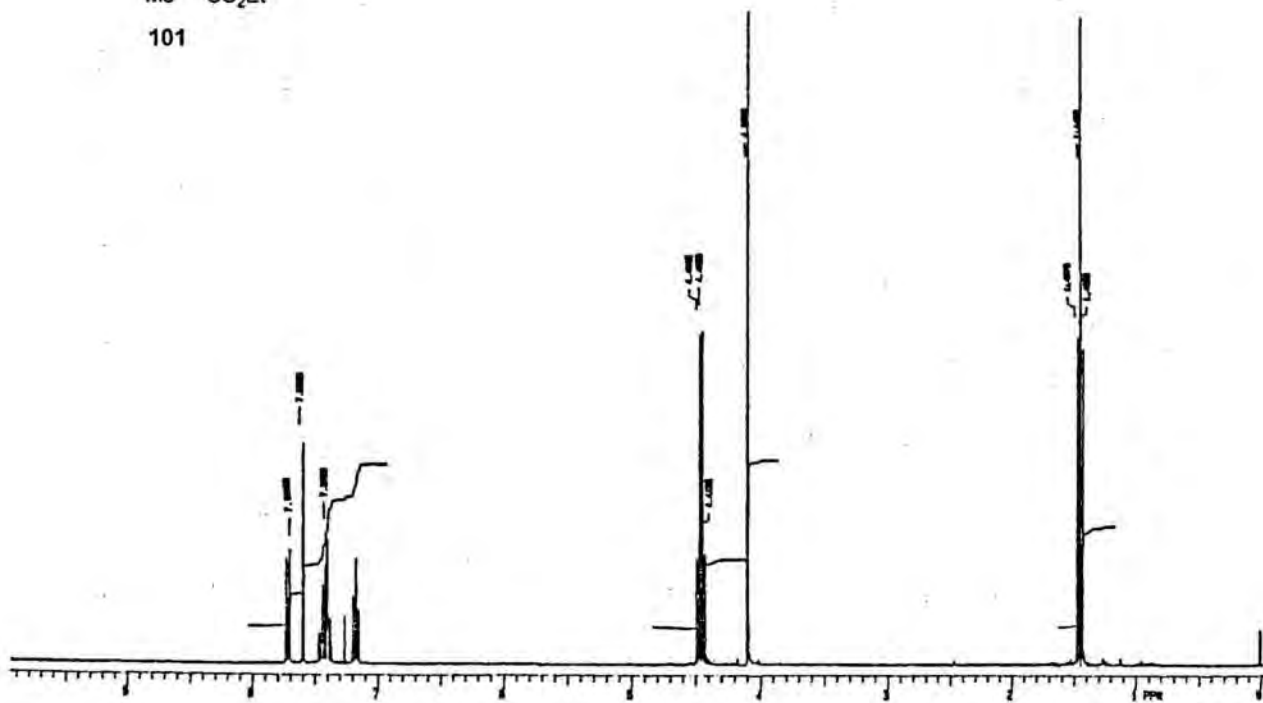
2-Indoleacetat de metil (100)



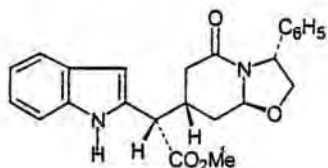
1-Metilindole



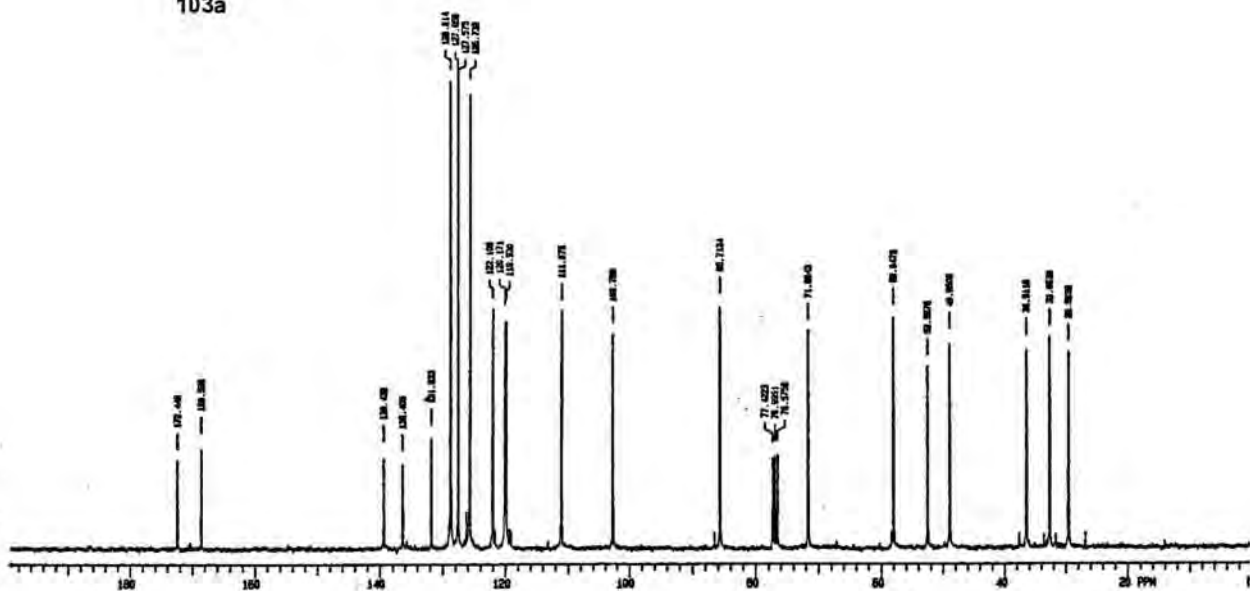
101



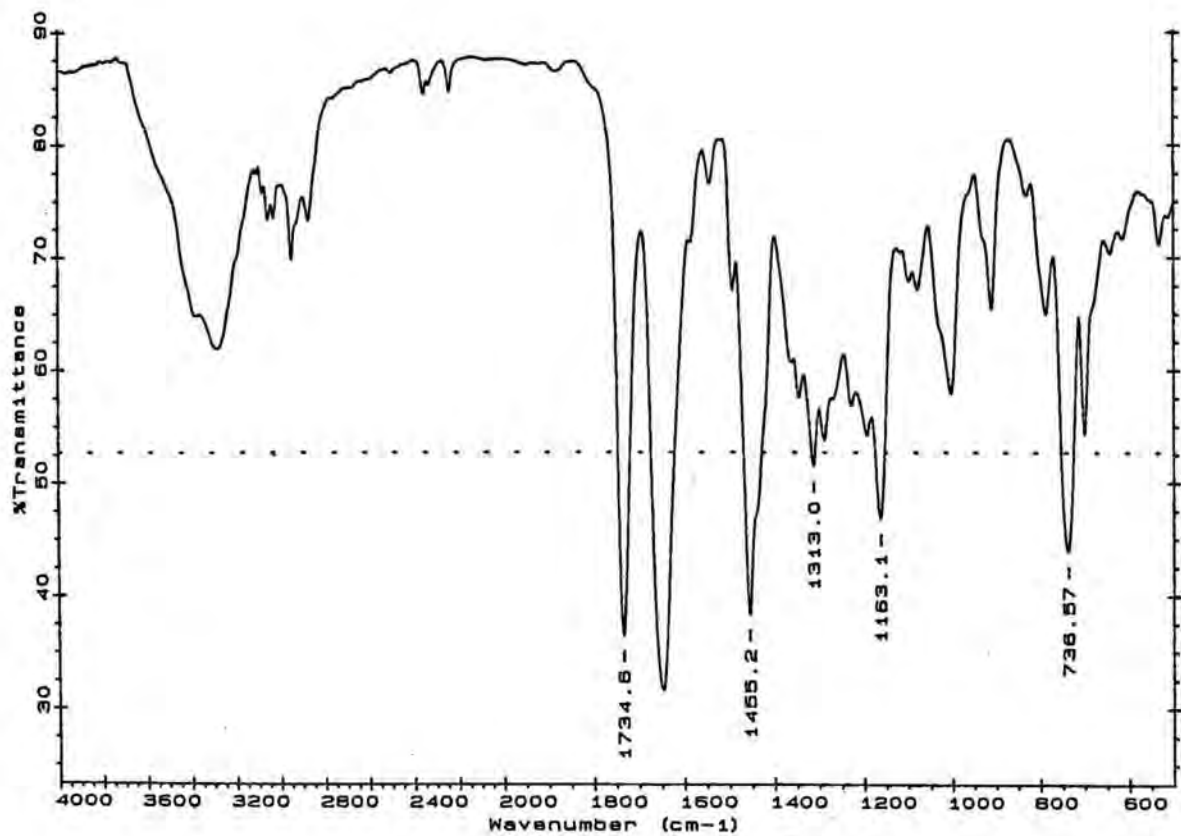
1-Metil-2-indoleglioixilat d'etil (101)

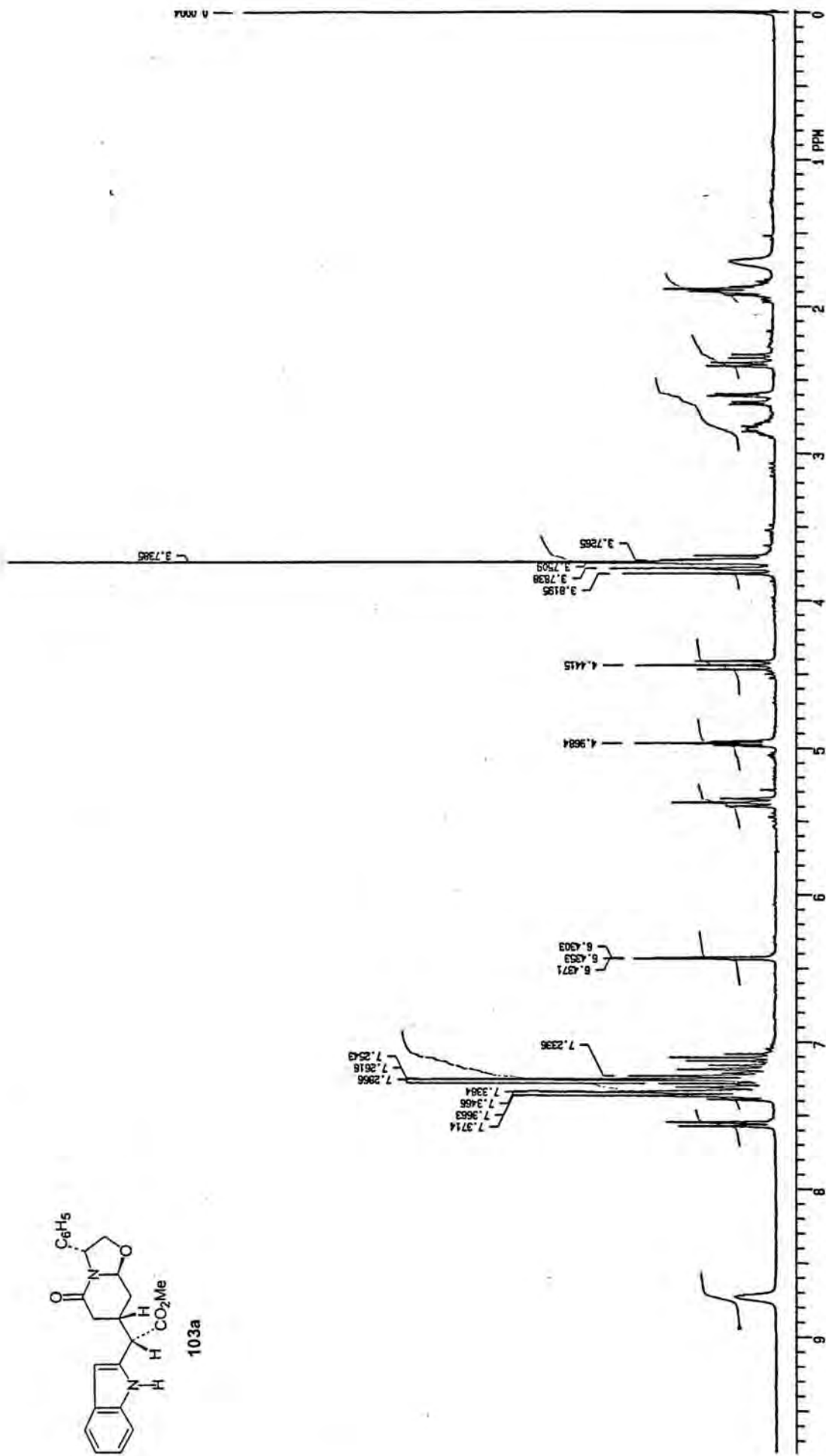
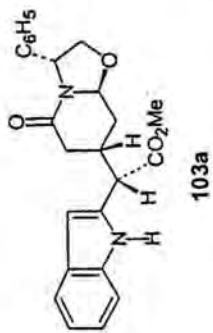


103a

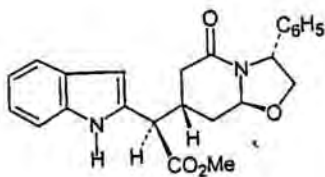


(3*R*,7*S*,8*aS*)-3-Fenil- α -(2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (103a)

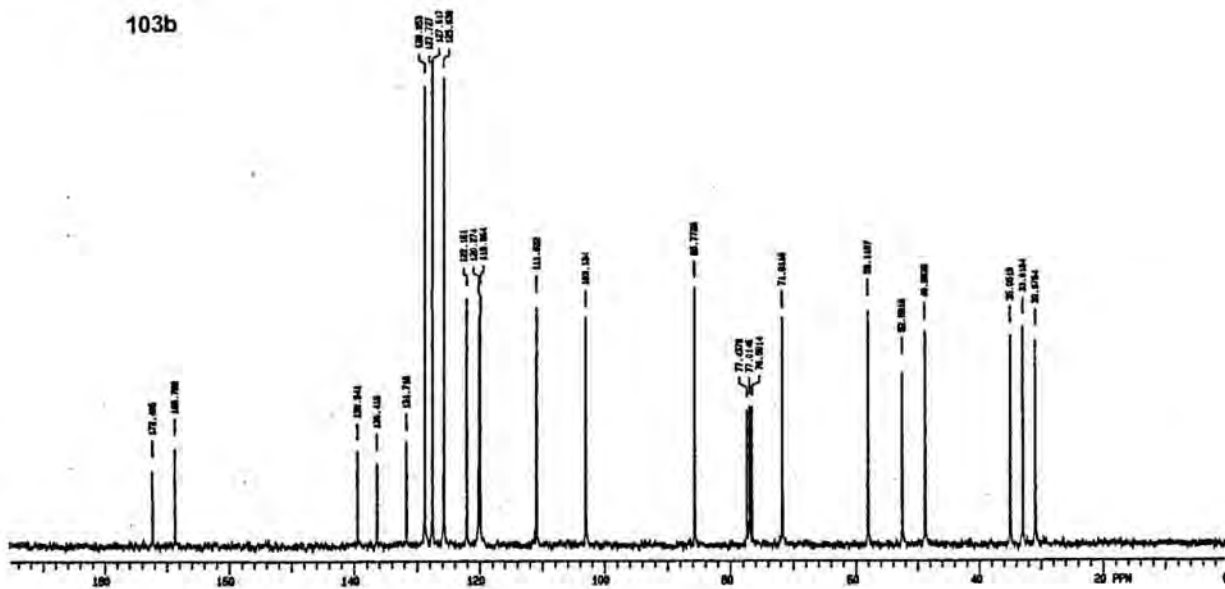




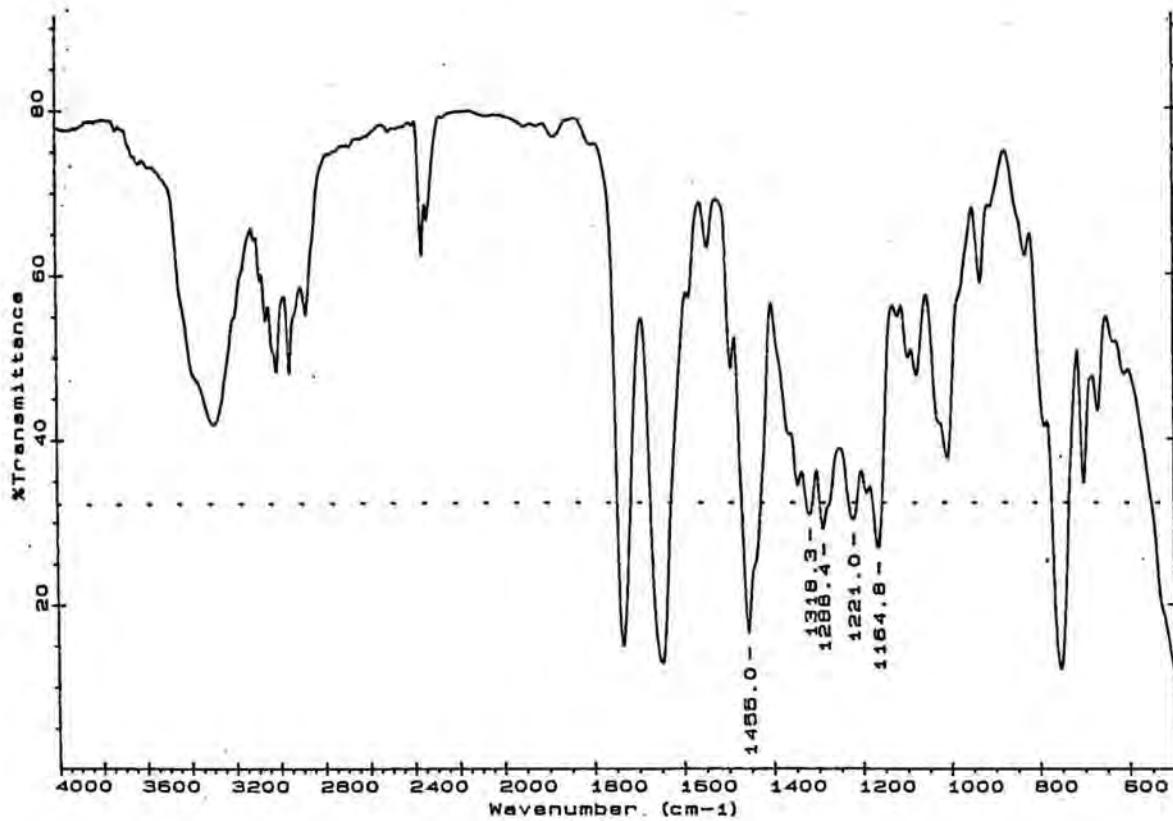
(3*R*,7*S*,8*aS*)-3-Phenyl- α -(2-indolyl)-5-oxo-2,3,6,7,8,8*a*-hexahydro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (103a)

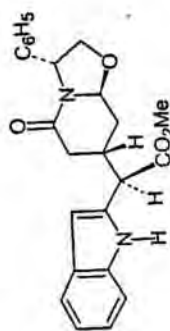


103b

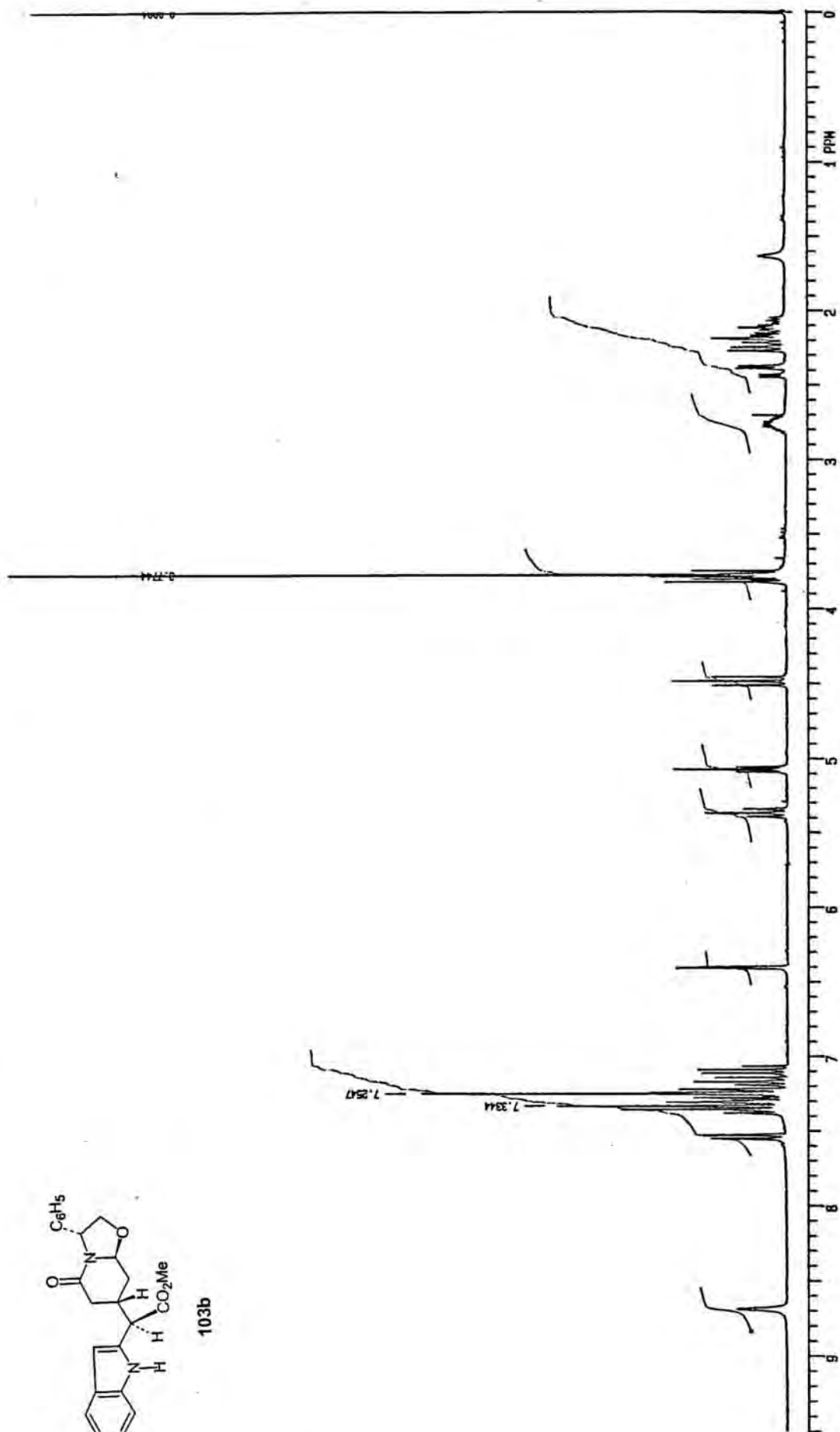


(3R,7S,8aS)-3-Fenil- α -(2-indolil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat de metil (103b)

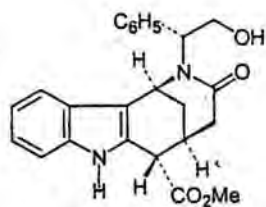




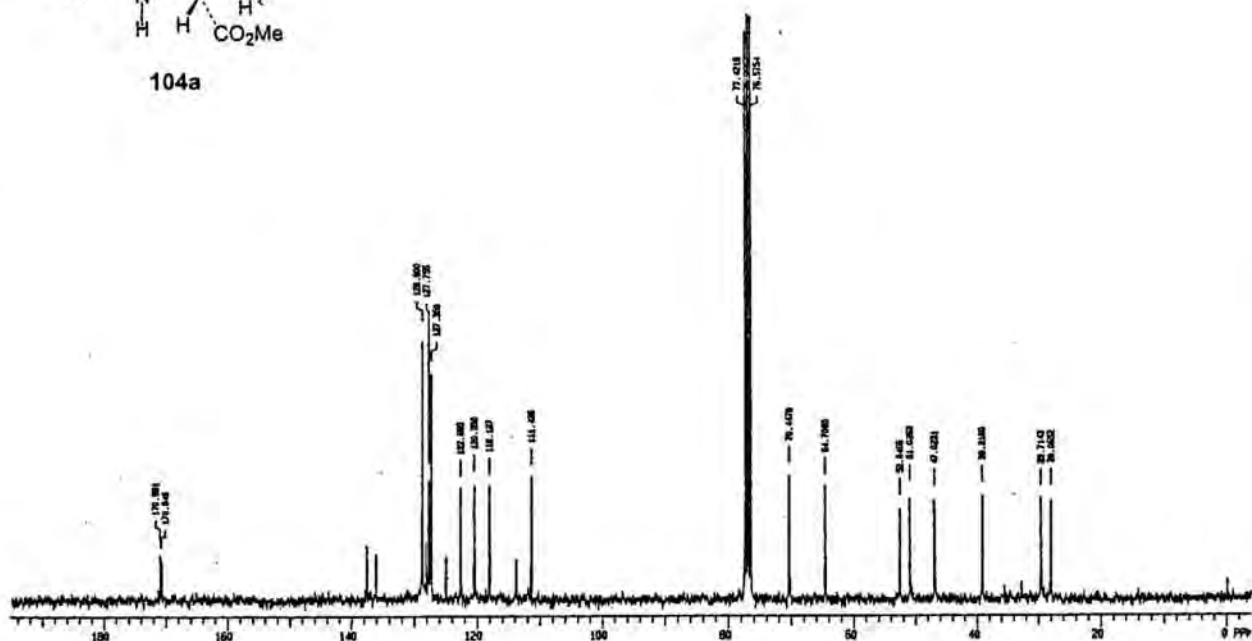
103b



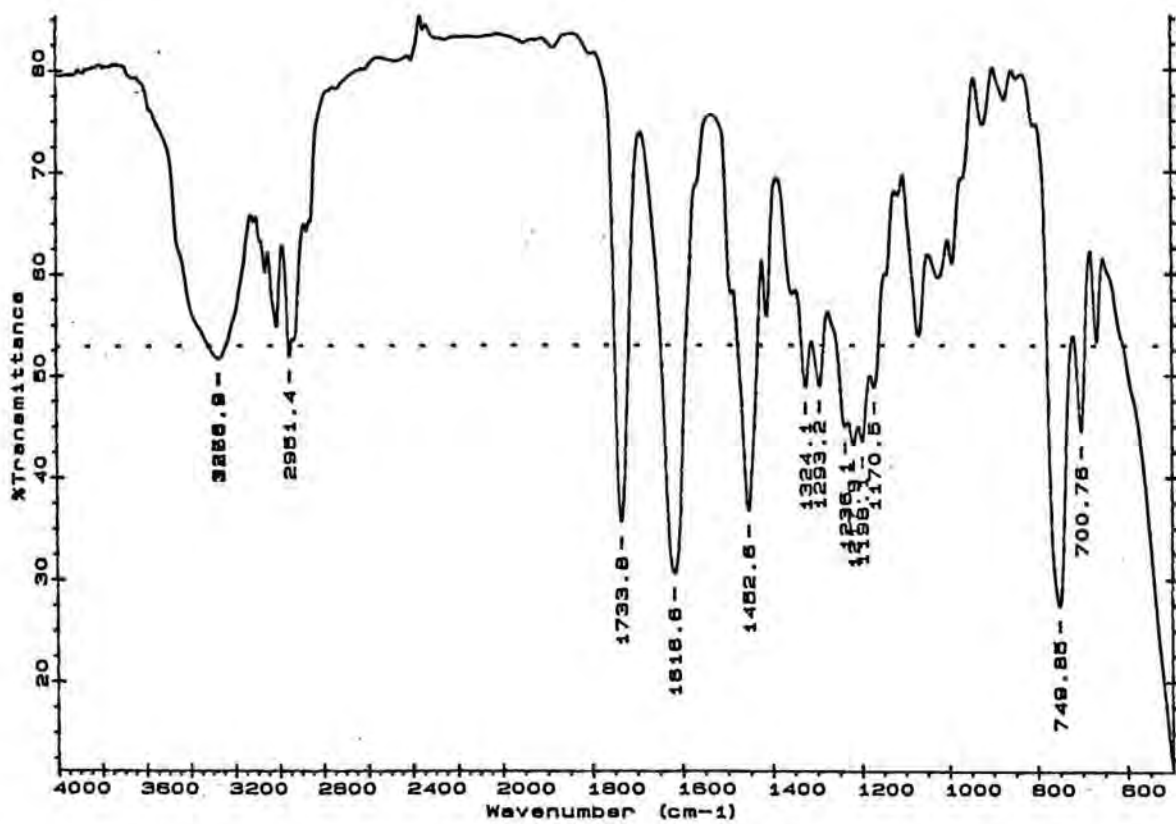
(3R,7S,8aS)-3-Phenyl- α -(2-indolyl)-5-oxo-2,3,6,7,8,8a-hexahydro-5H-oxazolo[3,2-a]piridina-7-acetat de metil (103b)

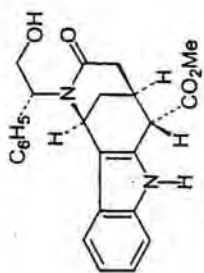


104a

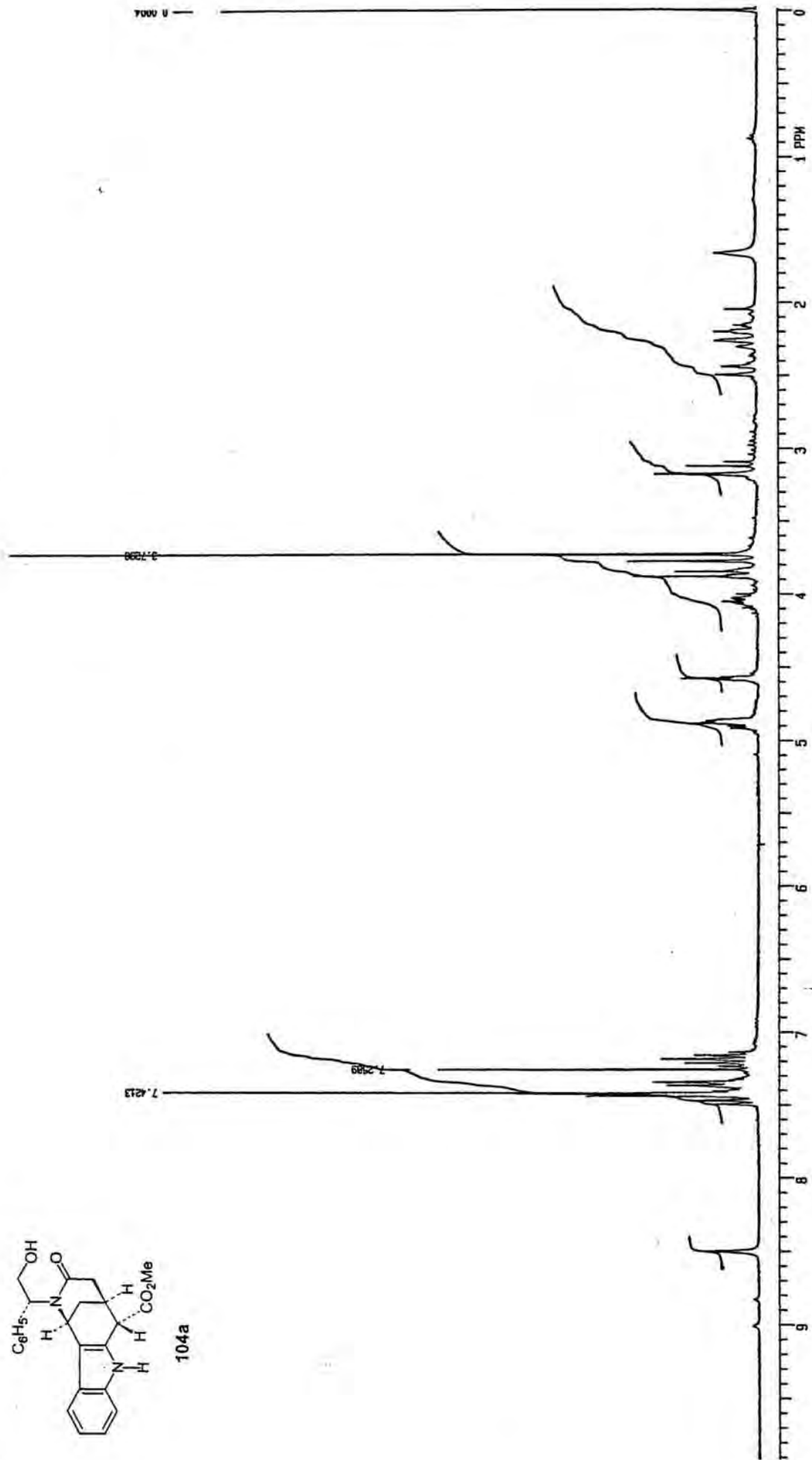


(1S,5S,6S)-2-[(1R)-1-Fenil-2-hidroxietyl]-6-(metoxicarbonil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-b]indole (104a)

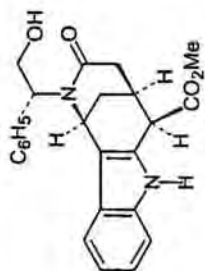




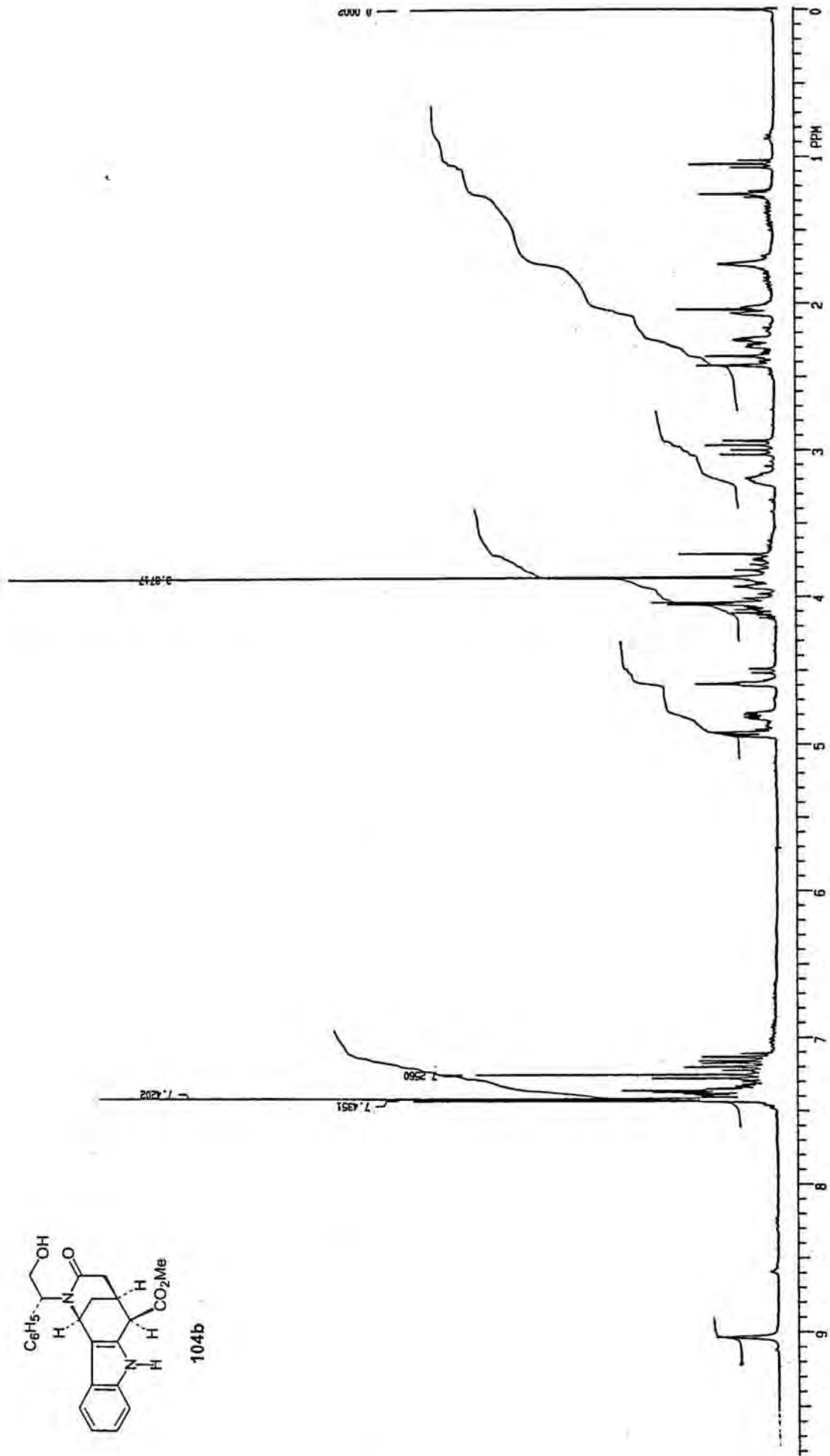
104a



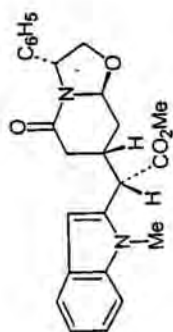
(1*S*,5*S*,6*S*)-2-[(1*R*)-1-*Fenil*-2-*hidroxi*etil]-6-(*metoxi*carbonil)-3-*oxo*-1,2,3,4,5,6-*hexahidro*-1,5-*metanoazocino*[4,3-*b*]indole (104a)



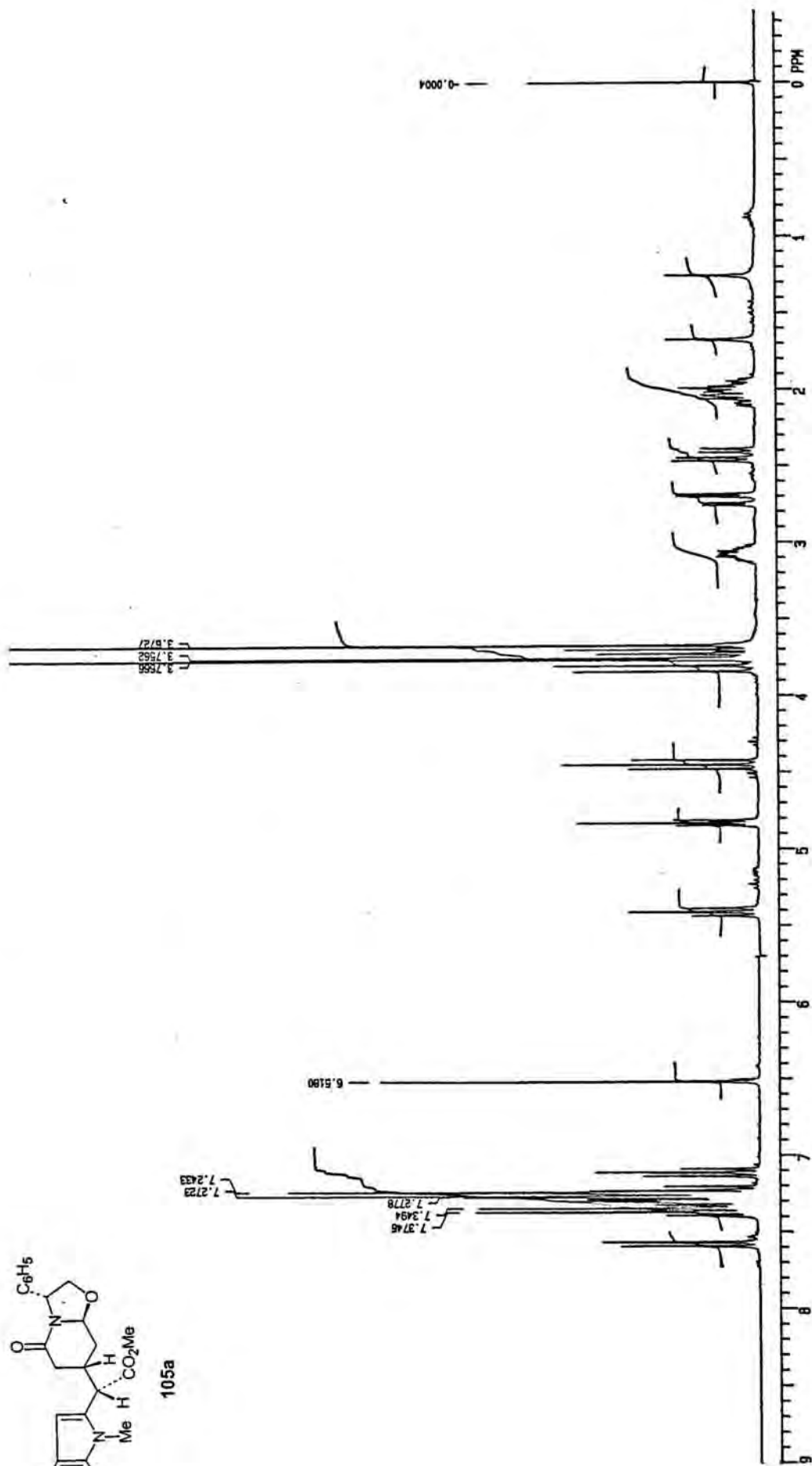
104b



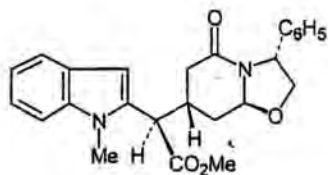
(1*S*,5*S*,6*R*)-2-[(1*R*)-1-*Fenil*-2-*hidroxi*etil]-6-(*metoxi*carbonil)-3-*oxo*-1,2,3,4,5,6-*hexahidro*-1,5-*metano*azocino[4,3-*b*]indole (104b)



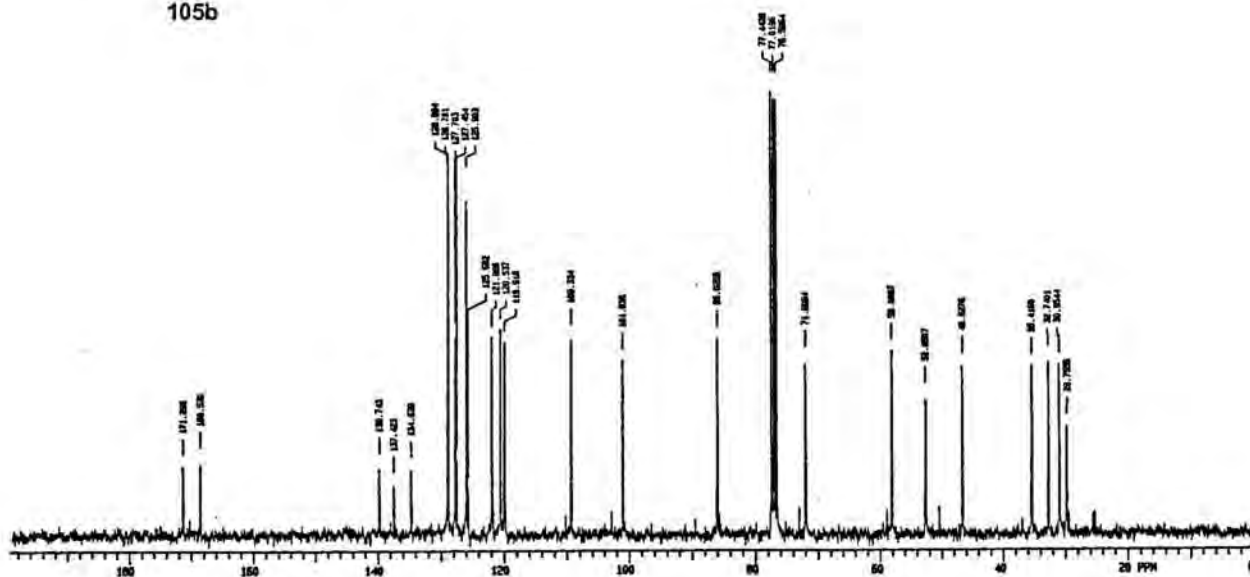
105a



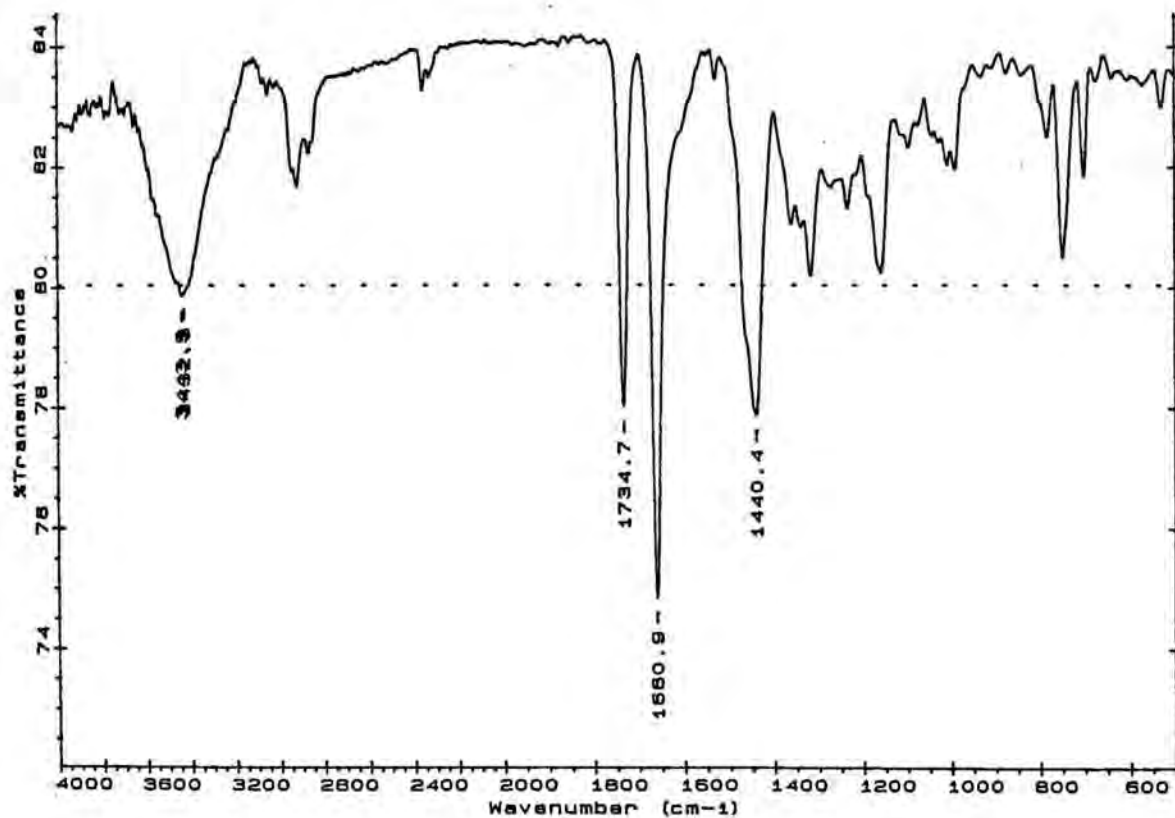
(3*R*,7*S*,8*aS*)-3-phenyl- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (105a)

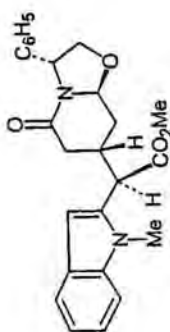


105b

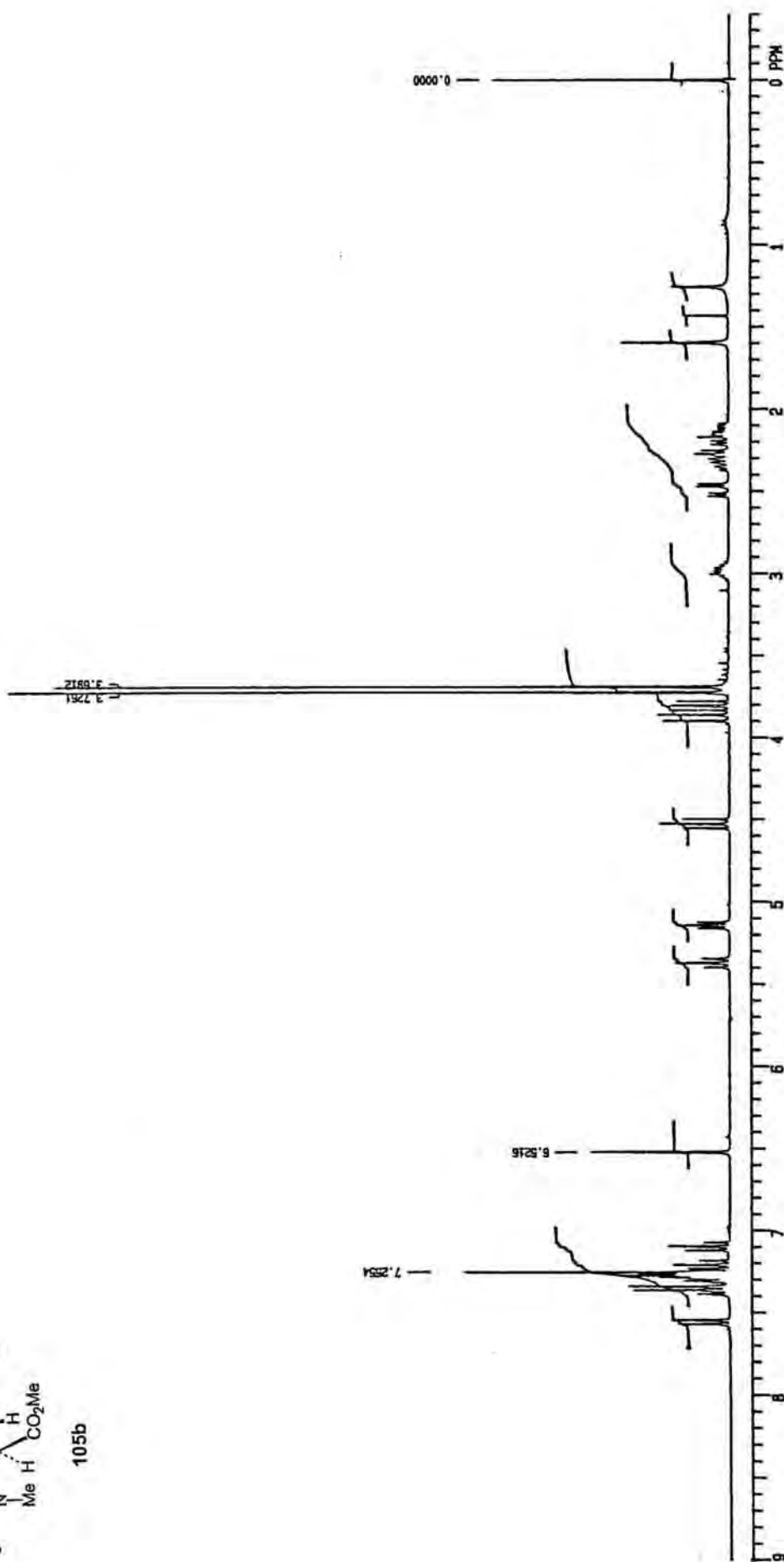


(3R,7S,8aS)-3-Fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat de metil (105b)

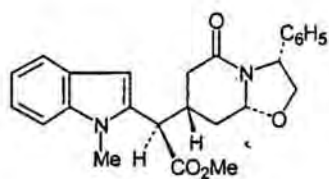




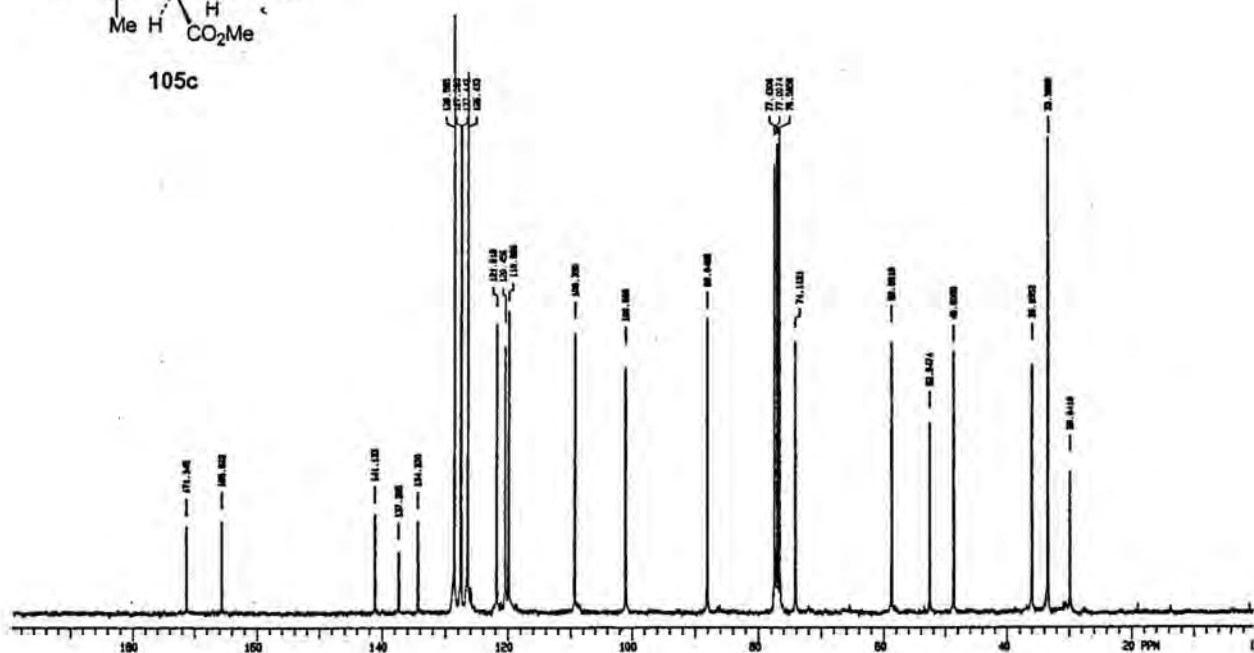
105b



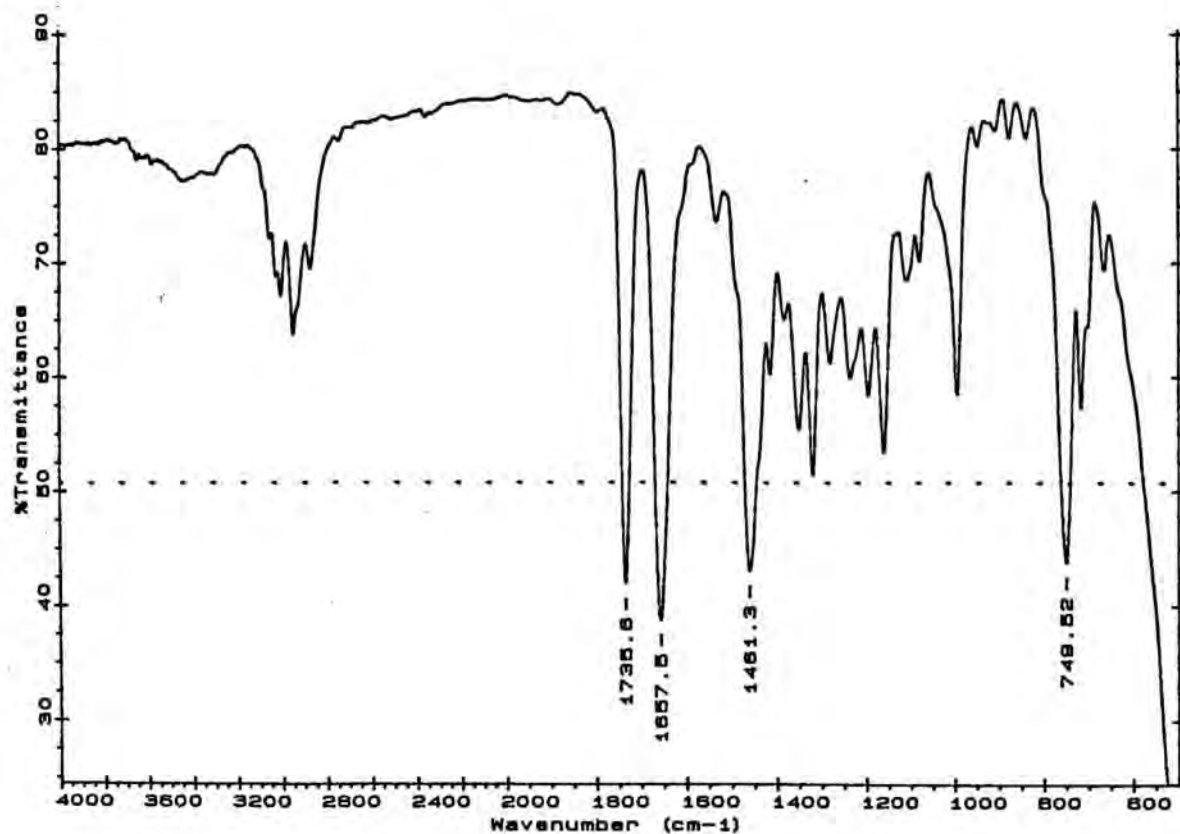
(3R,7S,8aS)-3-Fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat de metil (105b)

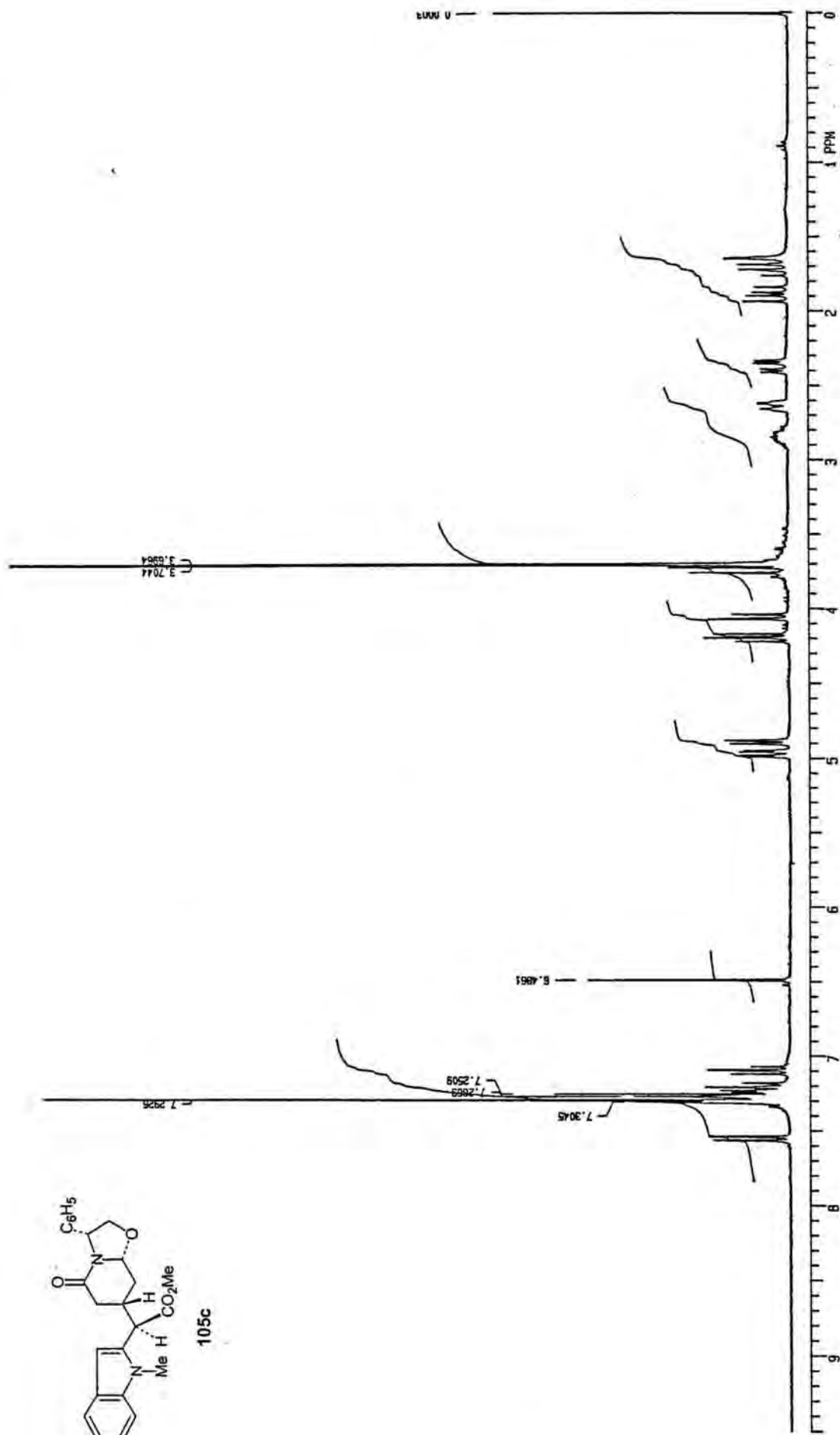
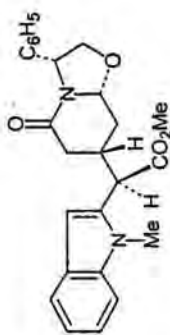


105c

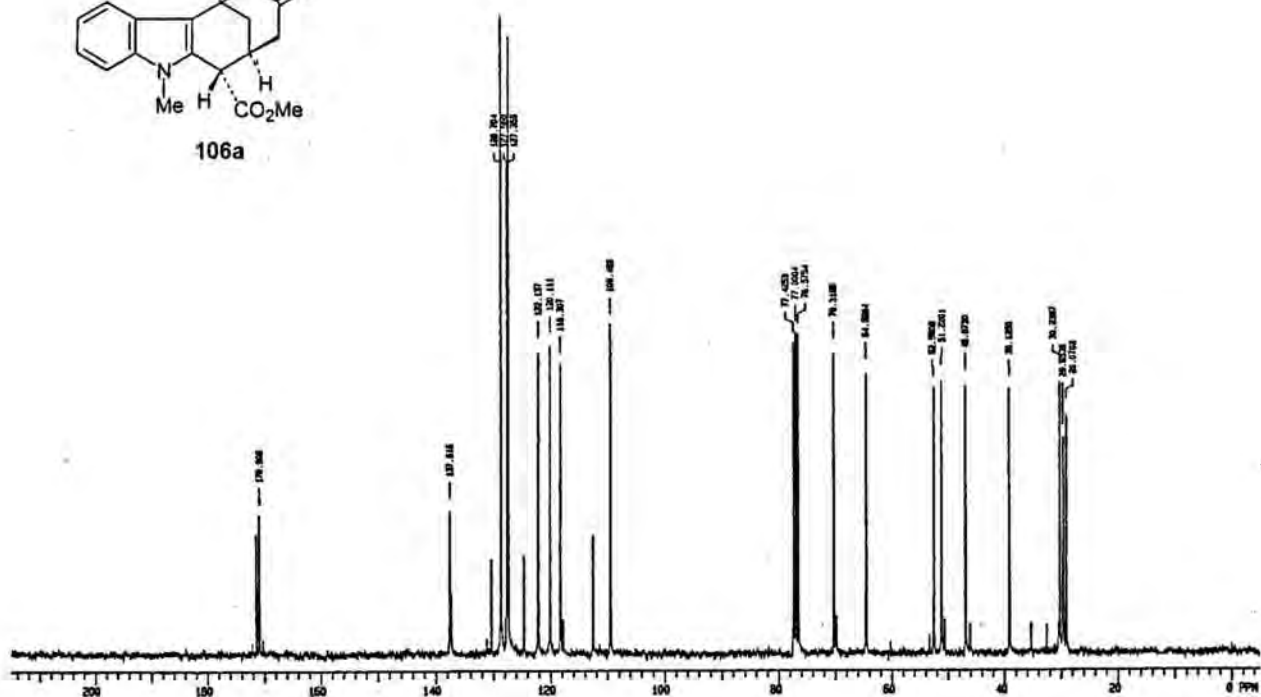
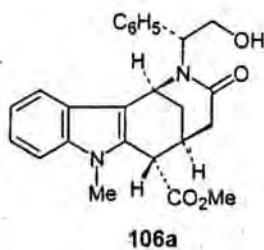


(3*R*,7*S*,8*aR*)-3-Fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (105c)

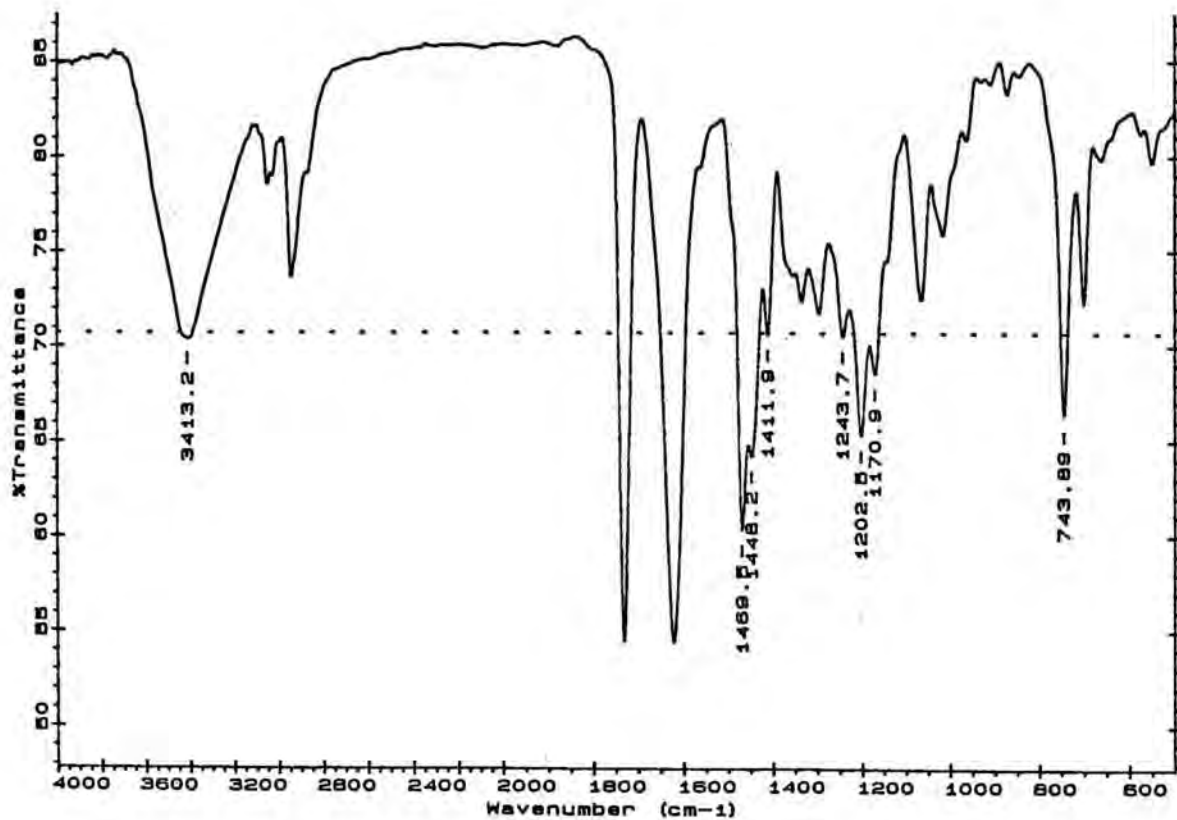


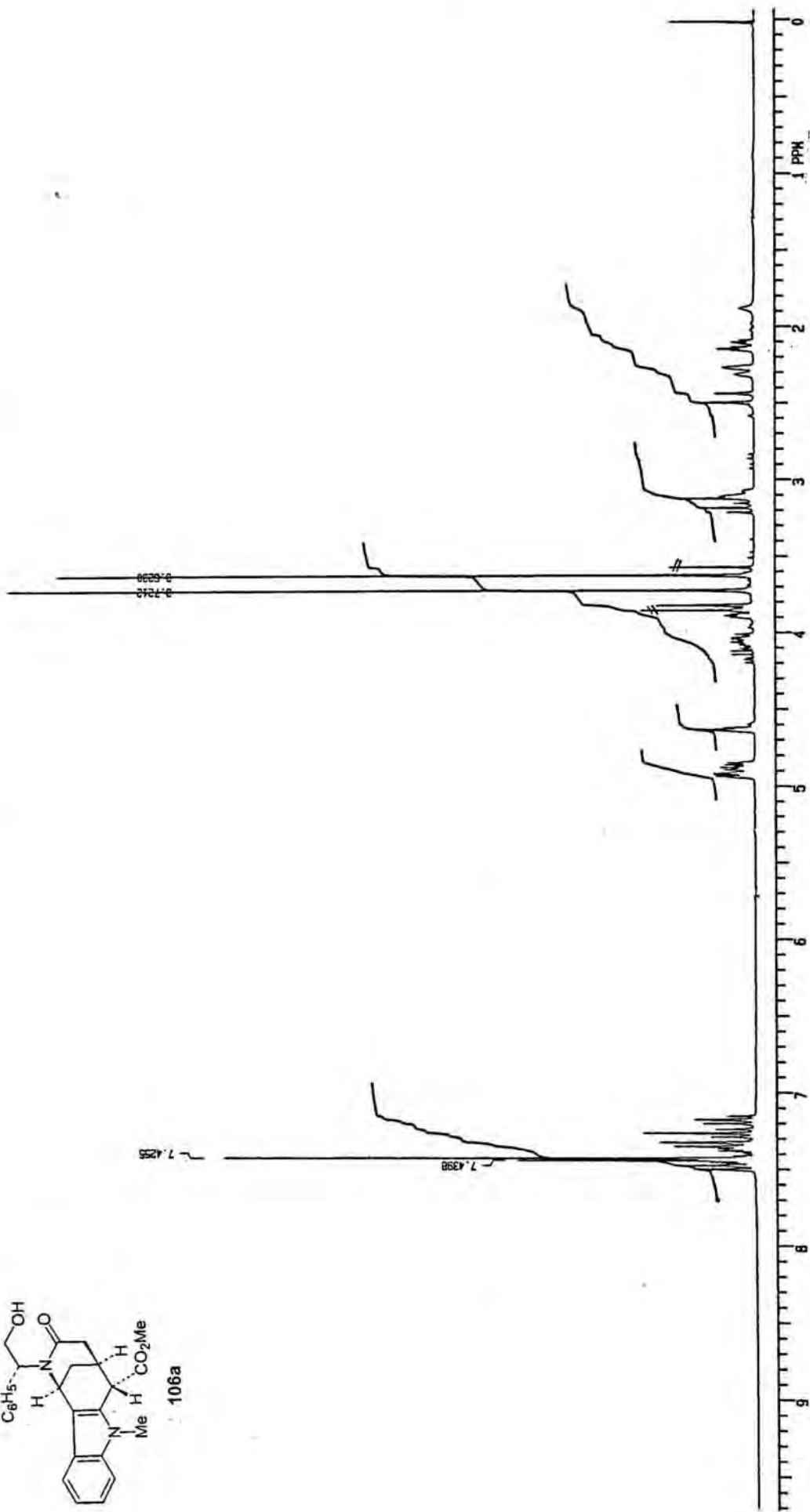
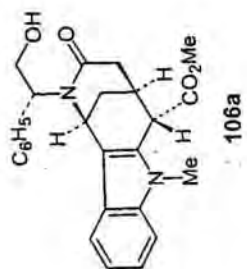


(3R,7S,8aR)-3-Fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat de metil (105c)

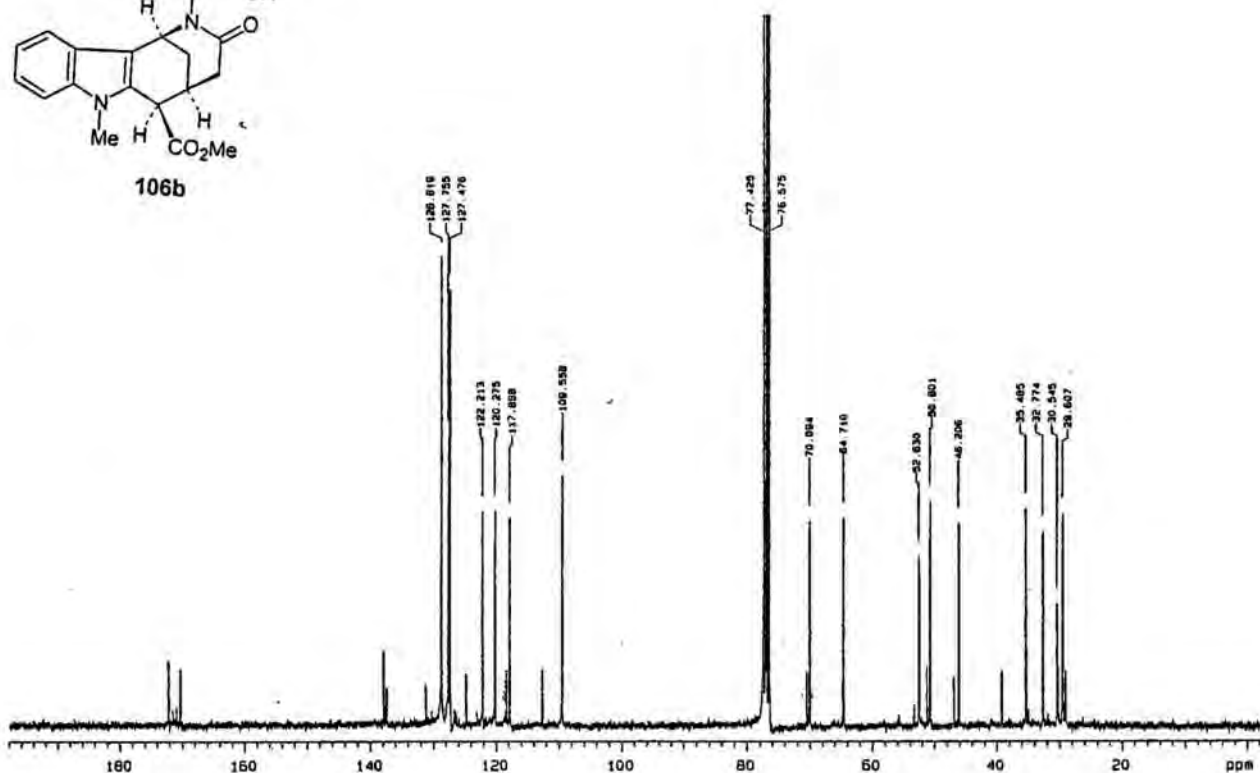
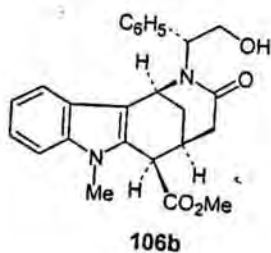


(1S,5S,6S)-2-[(1R)-1-Fenil-2-hidroxietyl]-7-metil-6-(metoxicarbonil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-b]indole (106a)

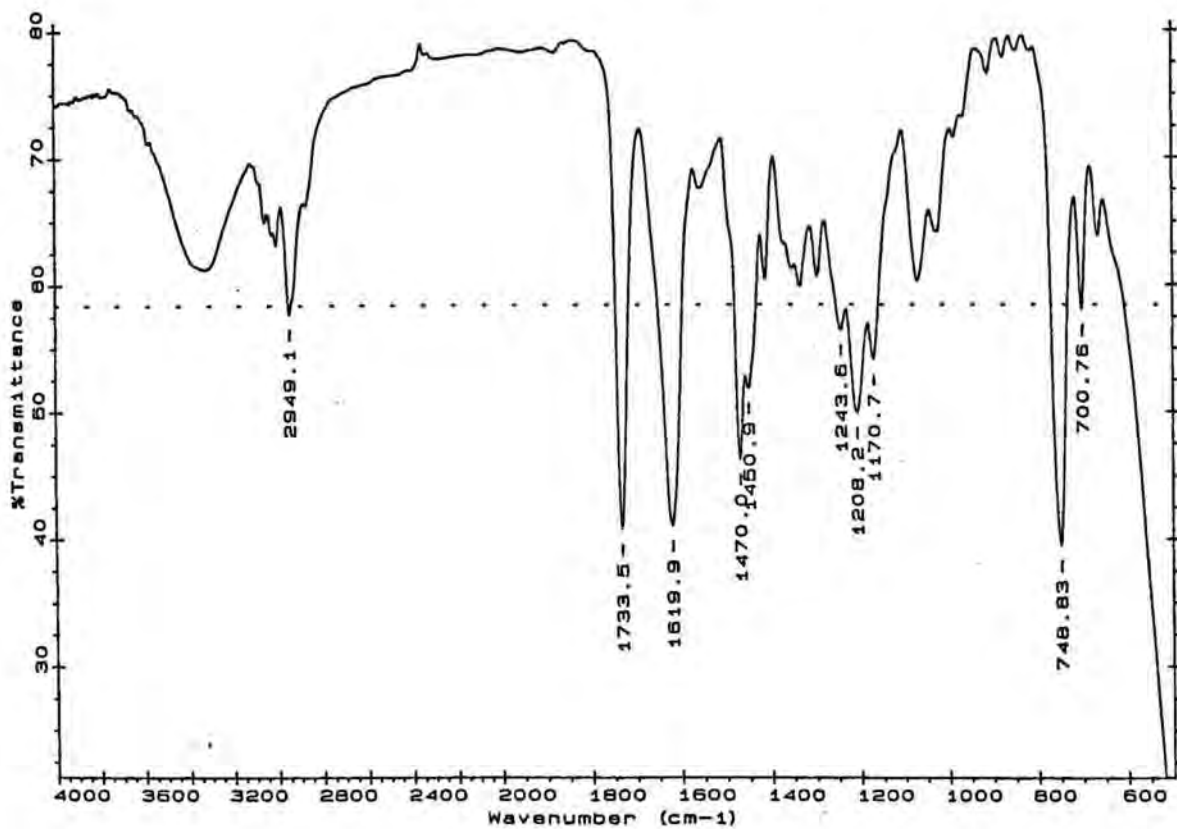


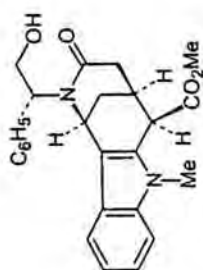


(1*S*,5*S*,6*S*)-2-[(1*R*)-1-*Fenil*-2-*hidroxi*etil]-7-*metil*-6-(*metoxi*karbonil)-3-*okso*-1,2,3,4,5,6-*heksahidro*-1,5-*metano*azocino[4,3-*b*]indole (106a)

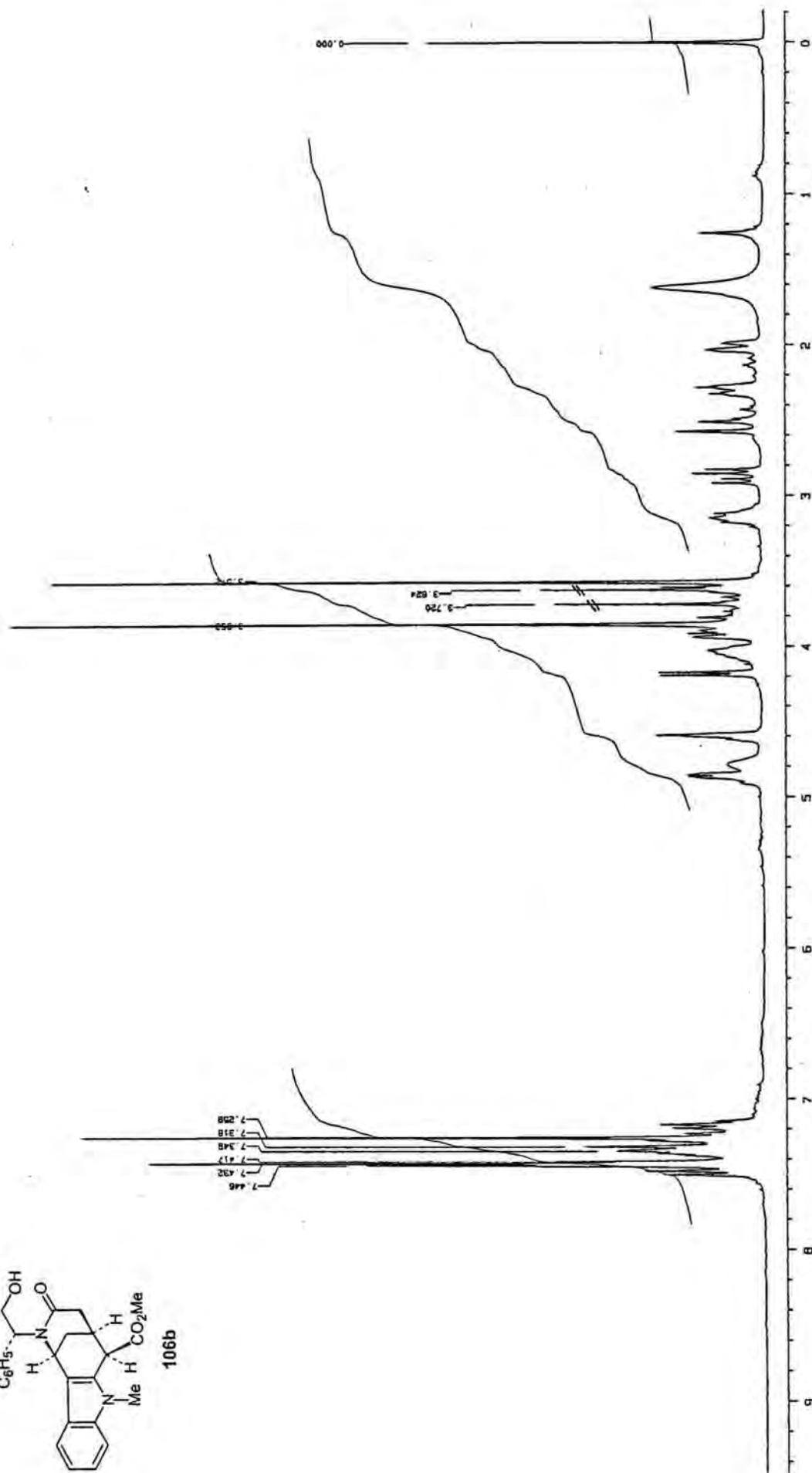


(1S,5S,6R)-2-[(1R)-1-Fenil-2-hidroxietil]-7-metil-6-(metoxicarbonil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-b]indole (106b)

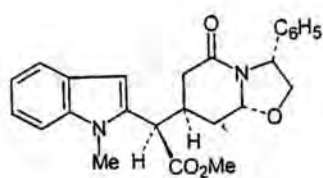




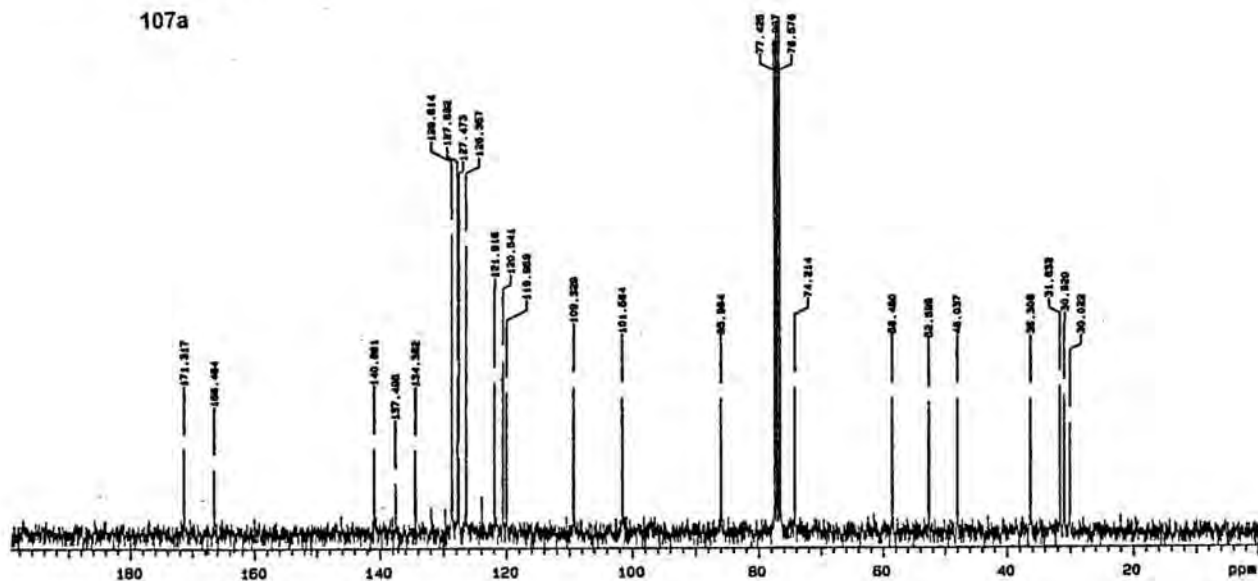
106b



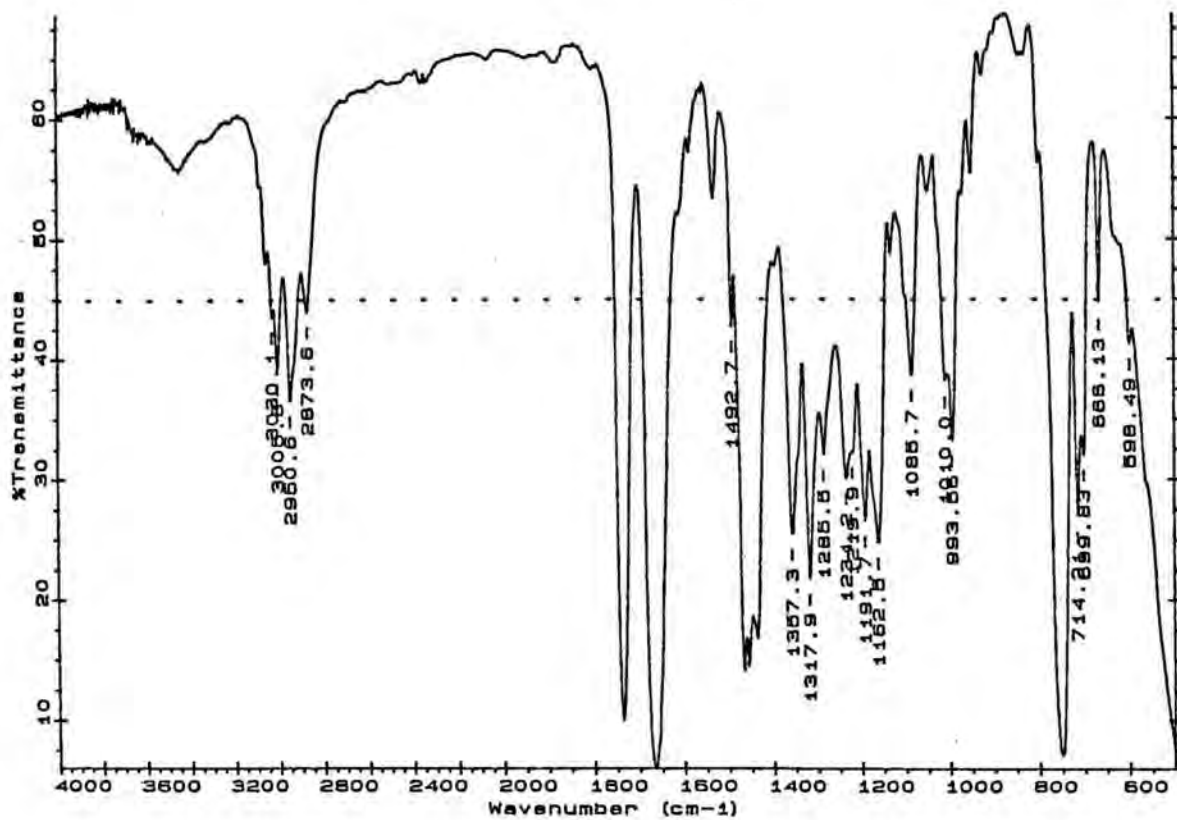
(1*S*,5*S*,6*R*)-2-[[1*R*]-1-*Fenil*-2-*hidroxi*etil]-7-*metil*-6(-*metoksi*karbonil)-3-*okso*-1,2,3,4,5,6-*heksahidro*-1,5-*metano*azocino[4,3-*b*]indole (106b)

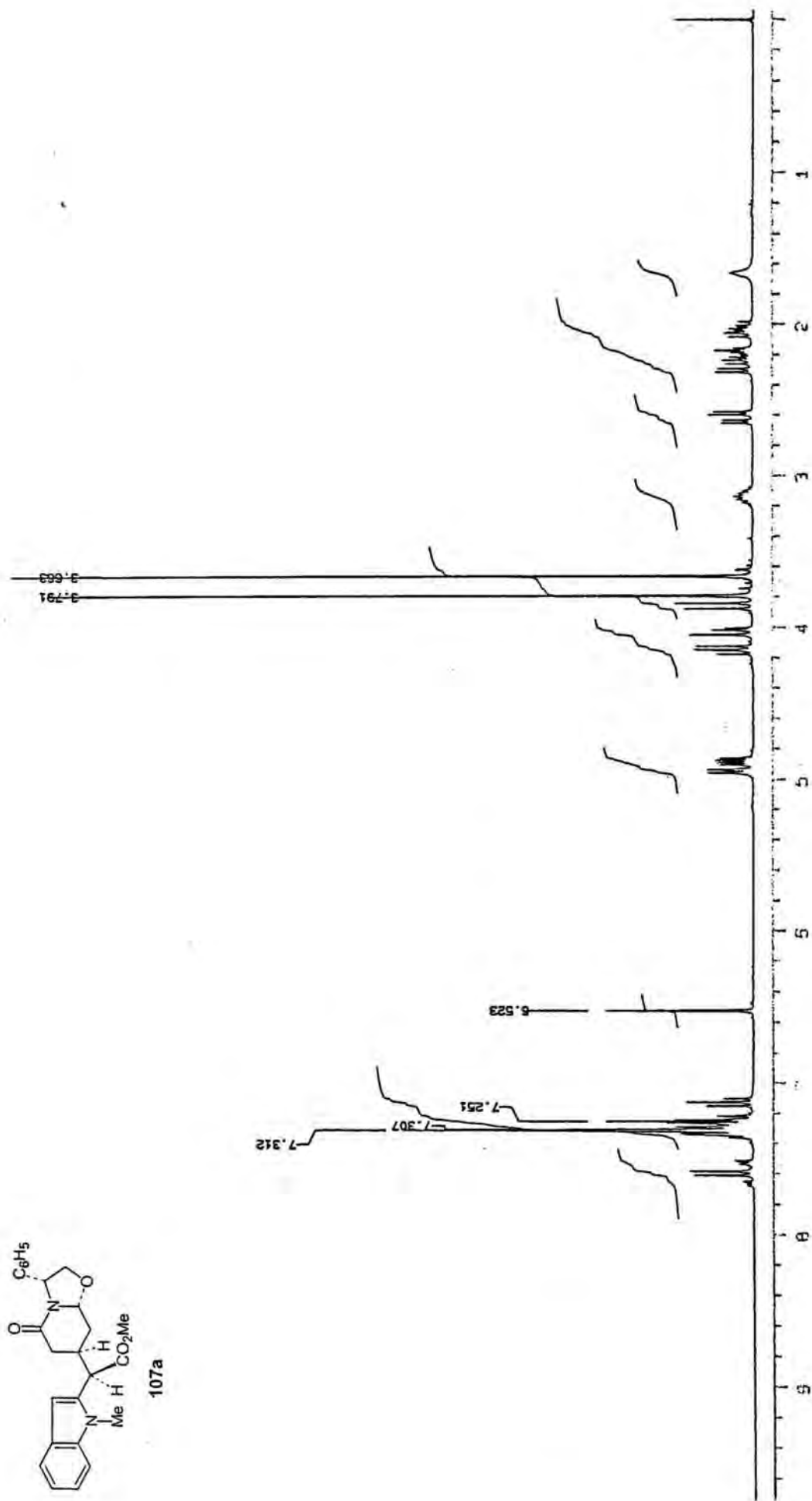
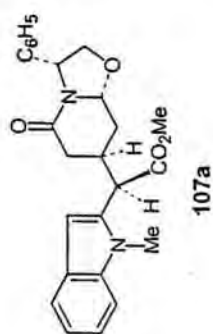


107a

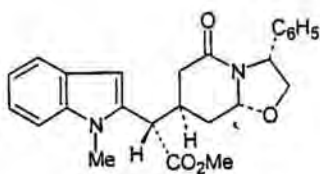


(3*R*,7*R*,8*aR*)-3-Fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (107a)

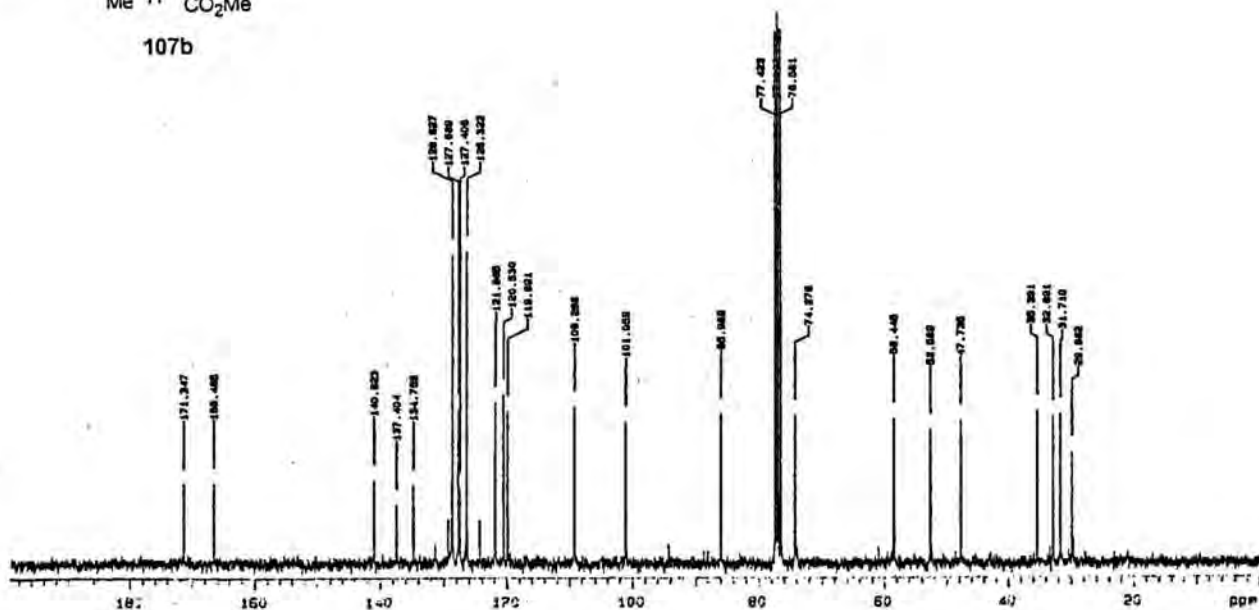




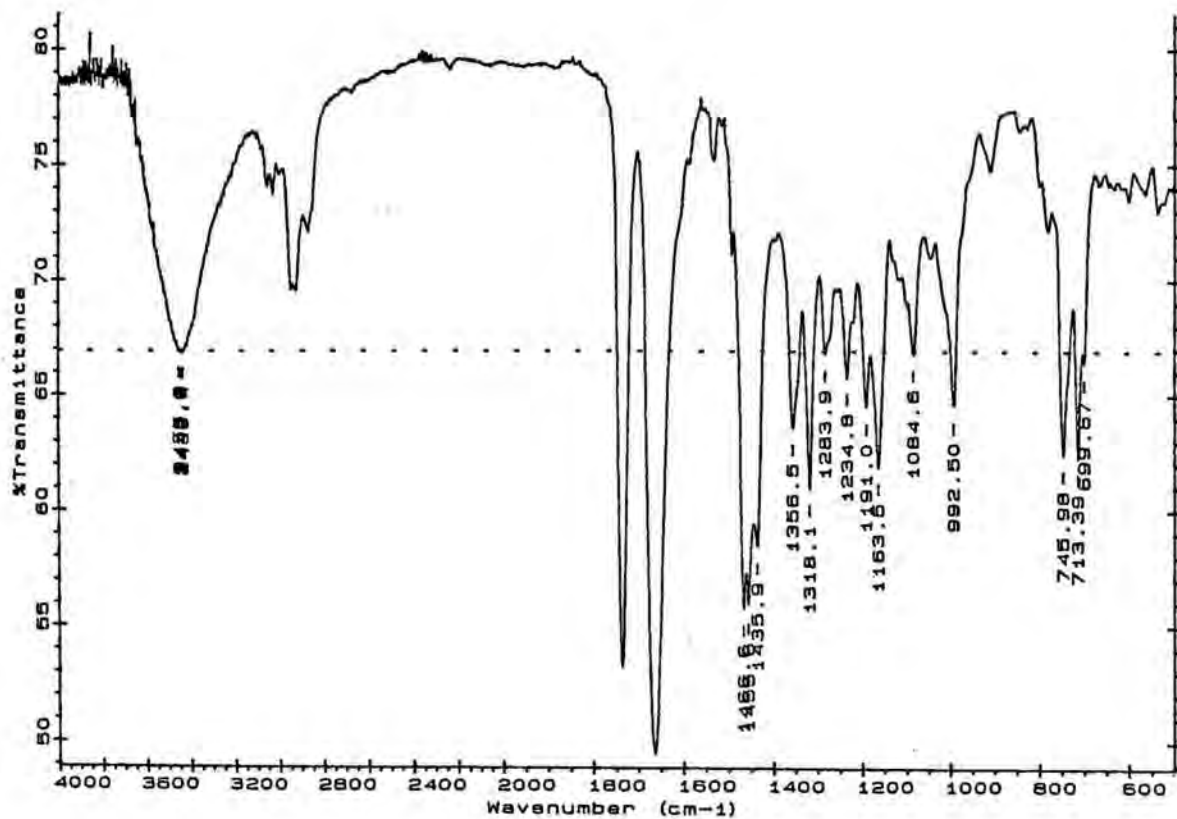
(3R,7R,8aR)-3-Fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat de metil (107a)

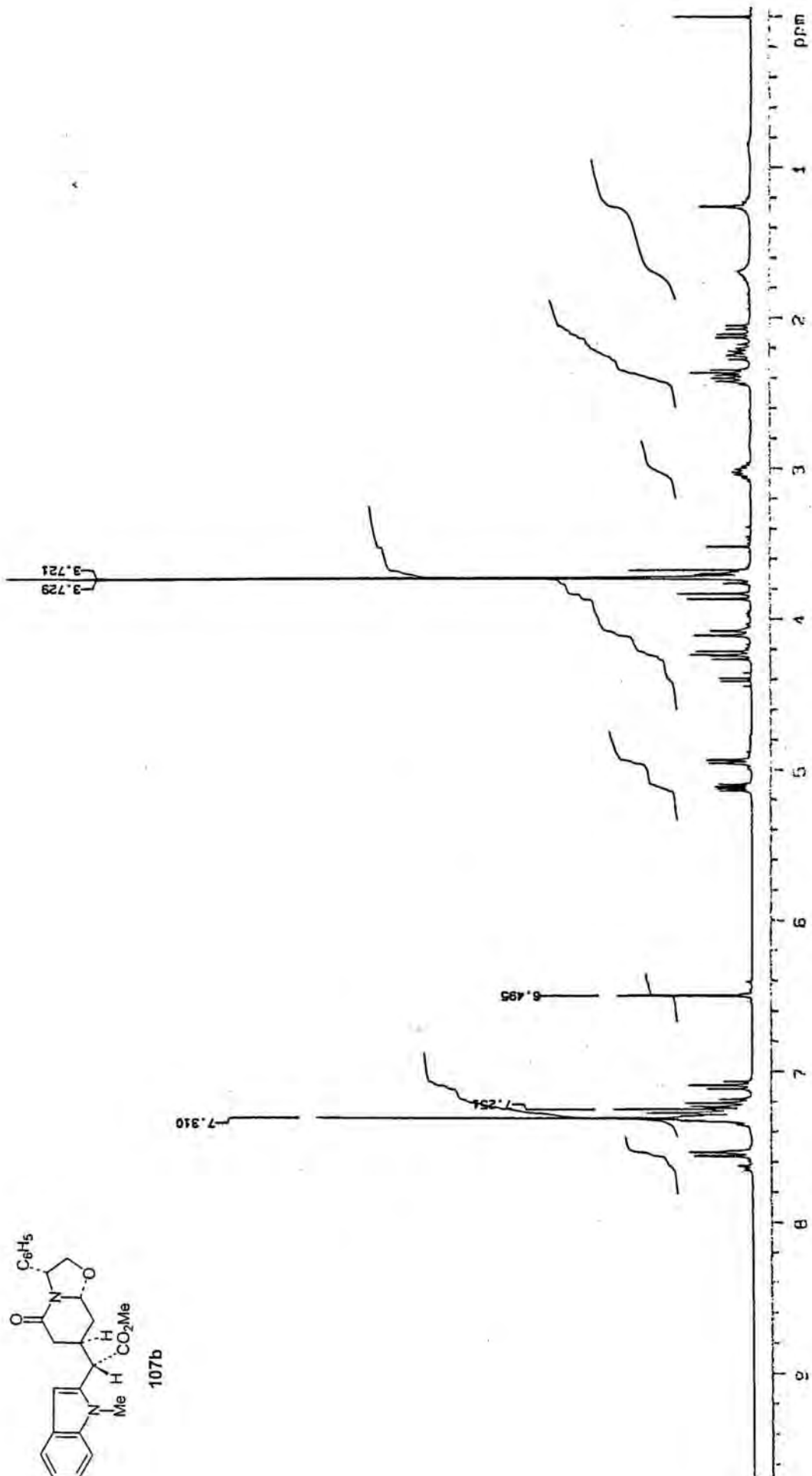
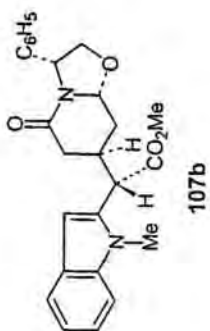


107b

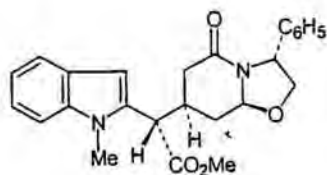


(3*R*,7*R*,8*aR*)-3-Fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (107b)

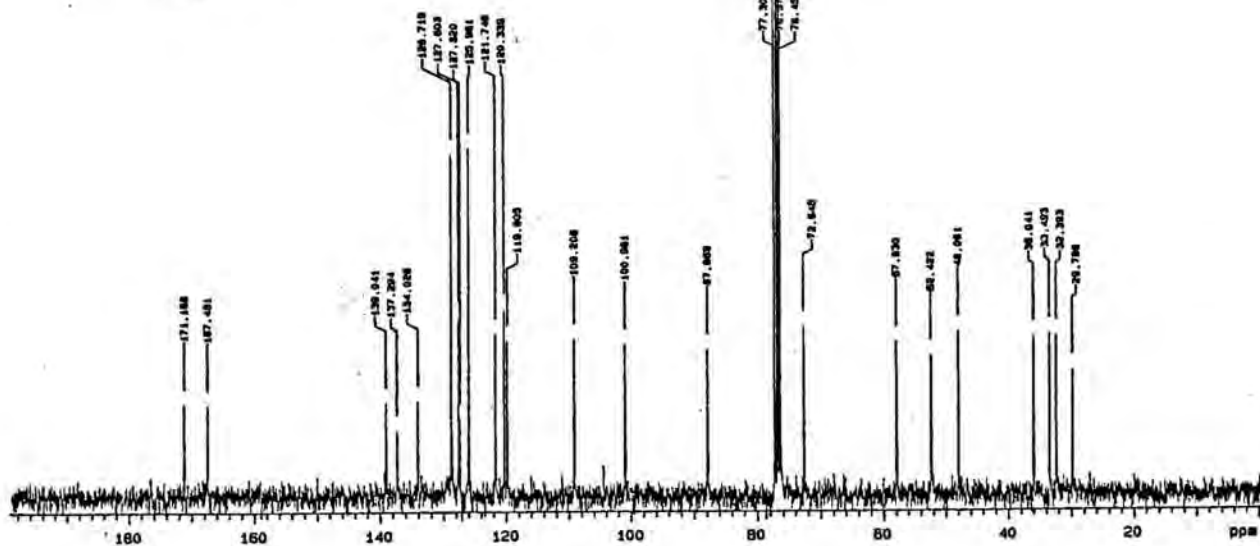




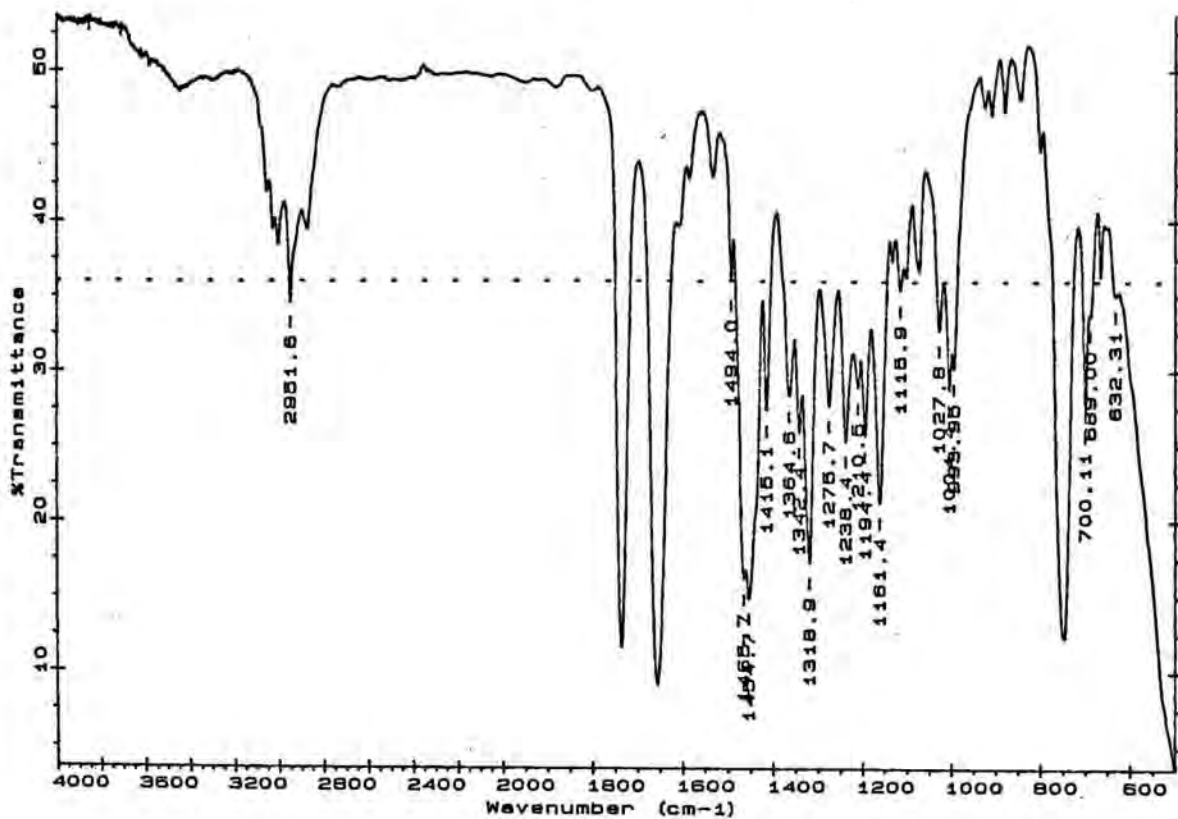
(3R,7R,8aR)-3-Fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat de metil (107b)

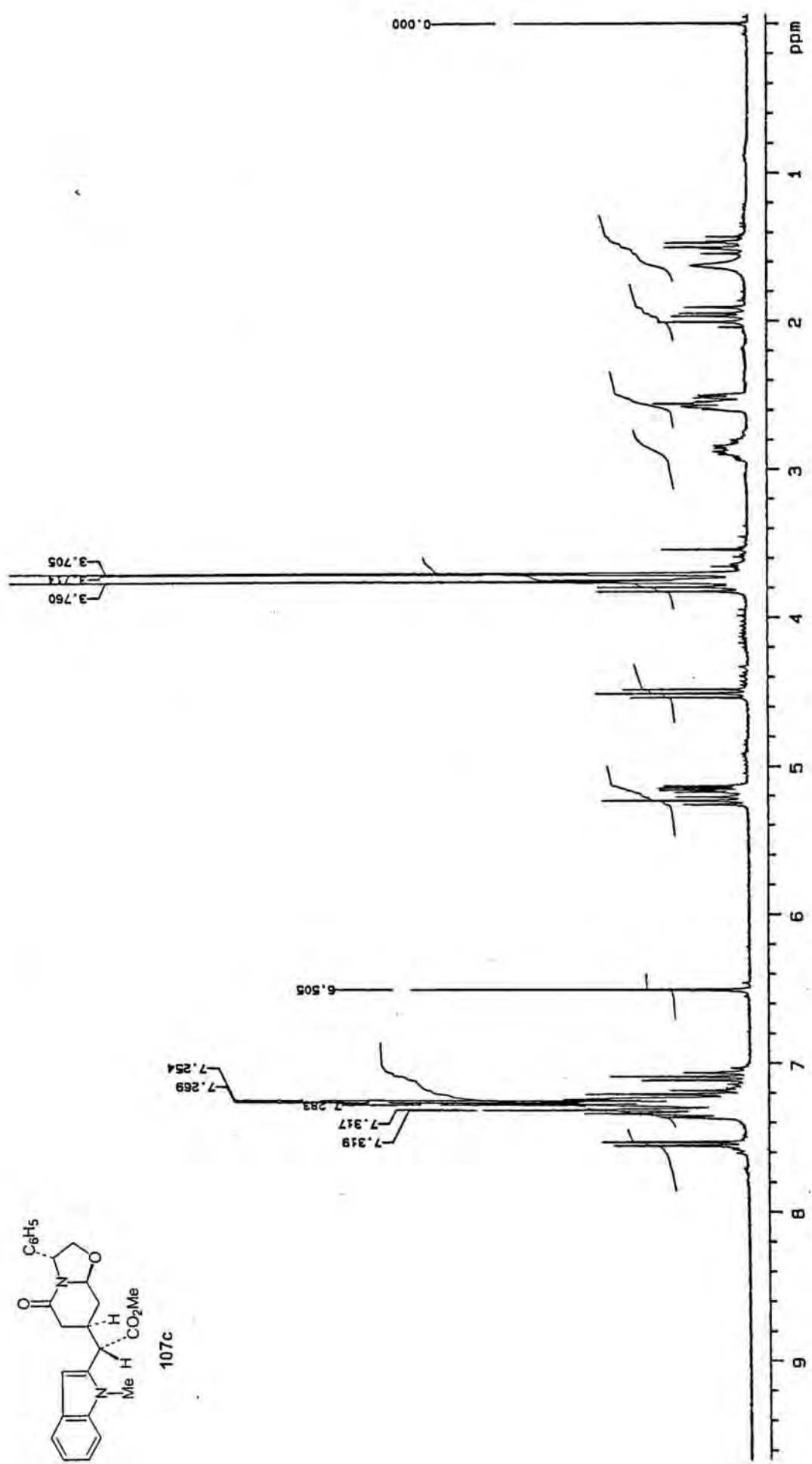
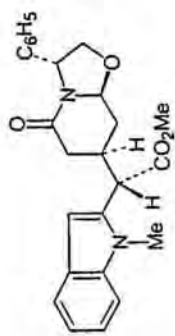


107c

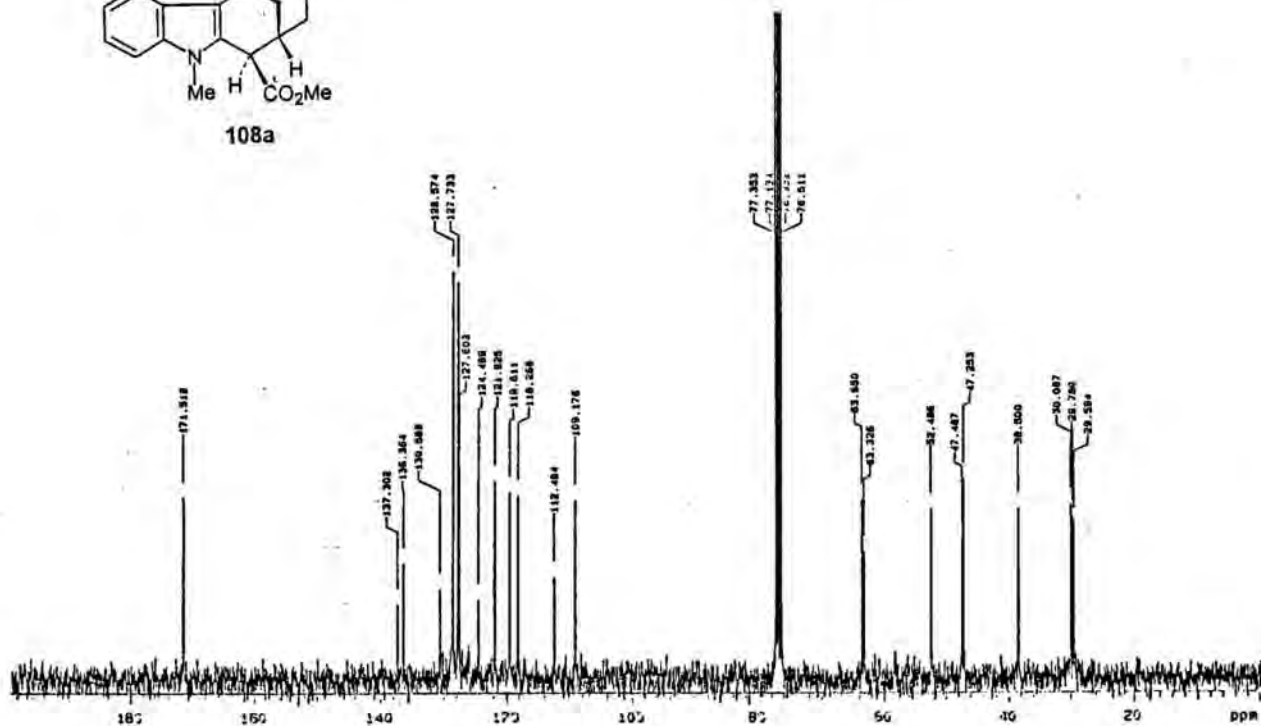
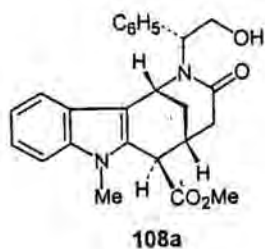


(3*R*,7*R*,8*aS*)-3-Fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (107c)

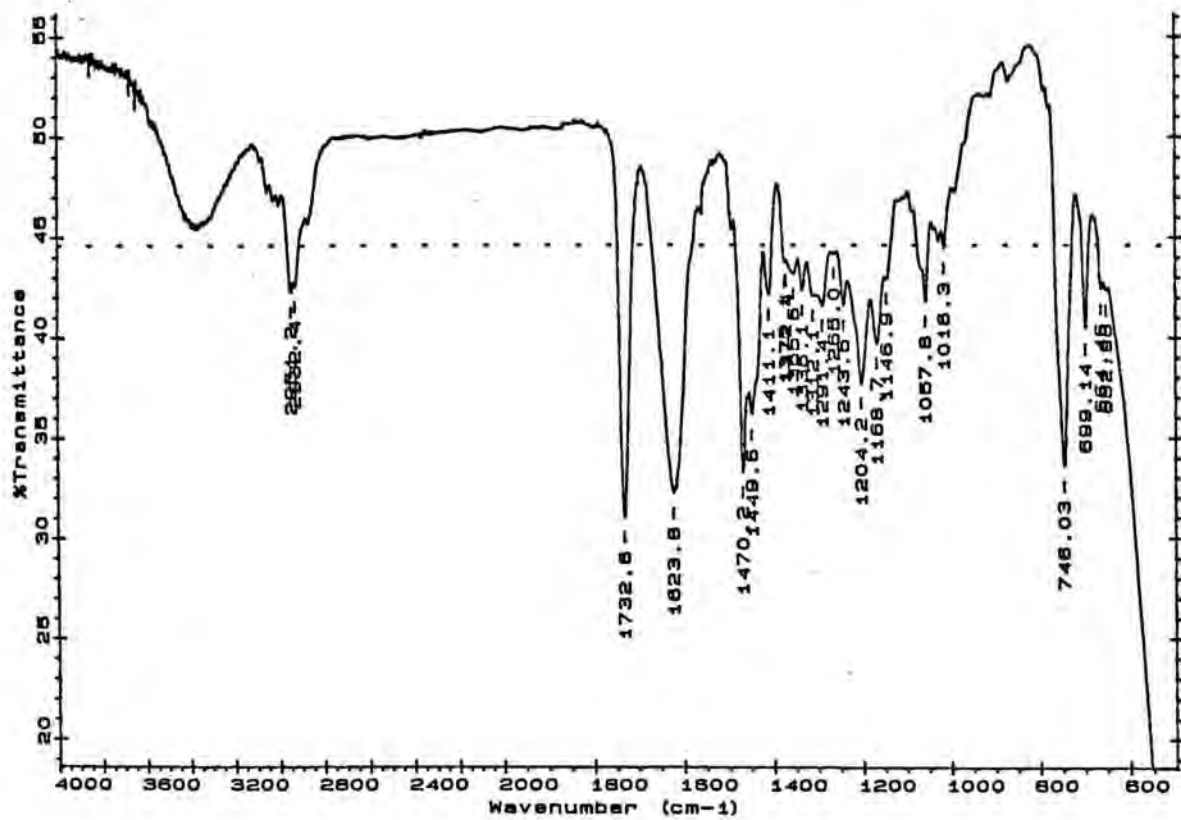


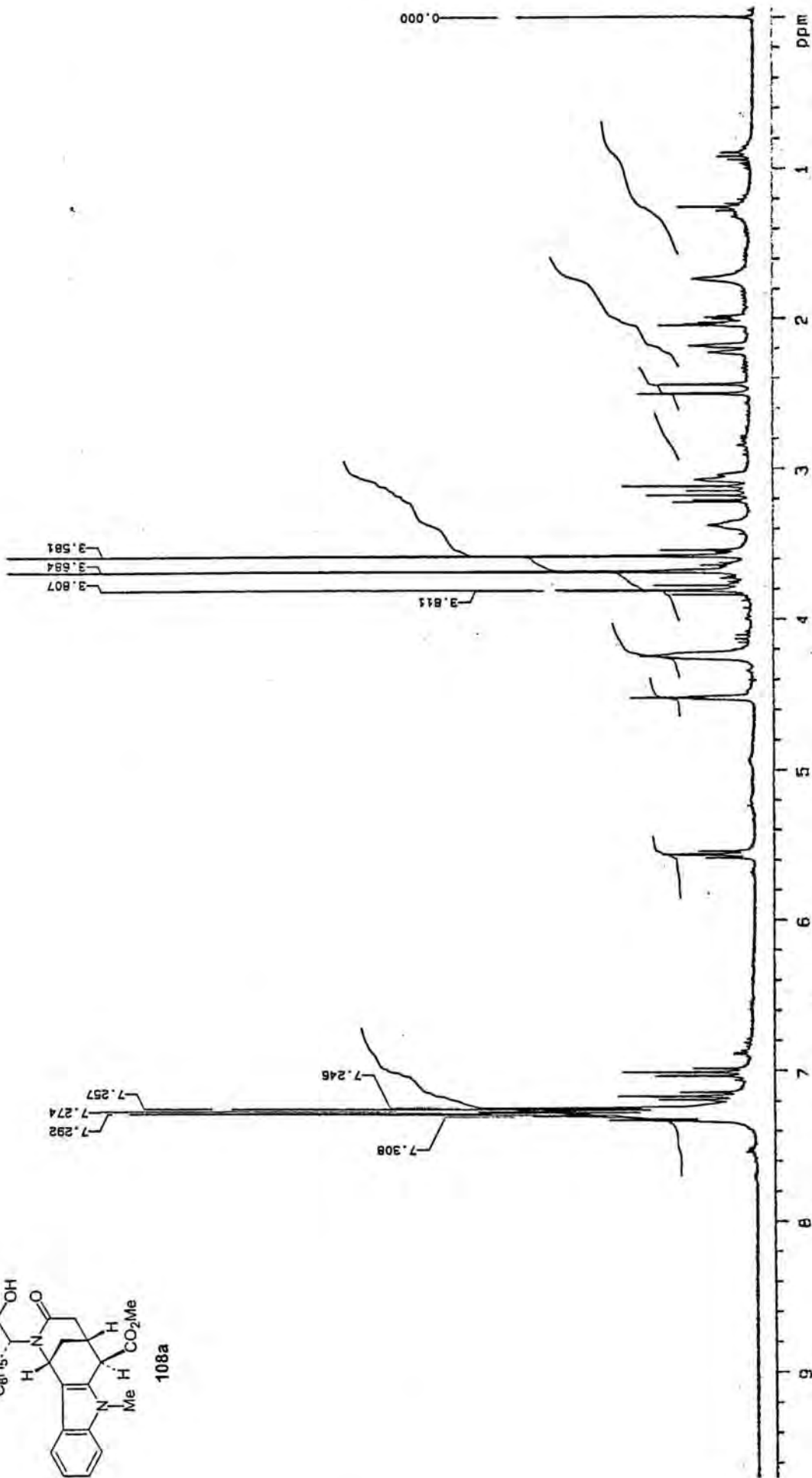
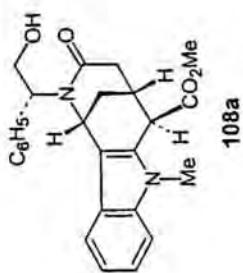


(3*R*,7*R*,8*aS*)-3-Fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (107c)

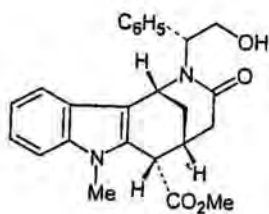


(1*R*,5*R*,6*R*)-2-[(1*R*)-1-*Fenil*-2-*hidroxietil*]-7-*metil*-6-(*metoxicarbonil*)-3-*oxo*-1,2,3,4,5,6-*hexahidro*-1,5-*metanoazocino*[4,3-*b*]indole (108a)

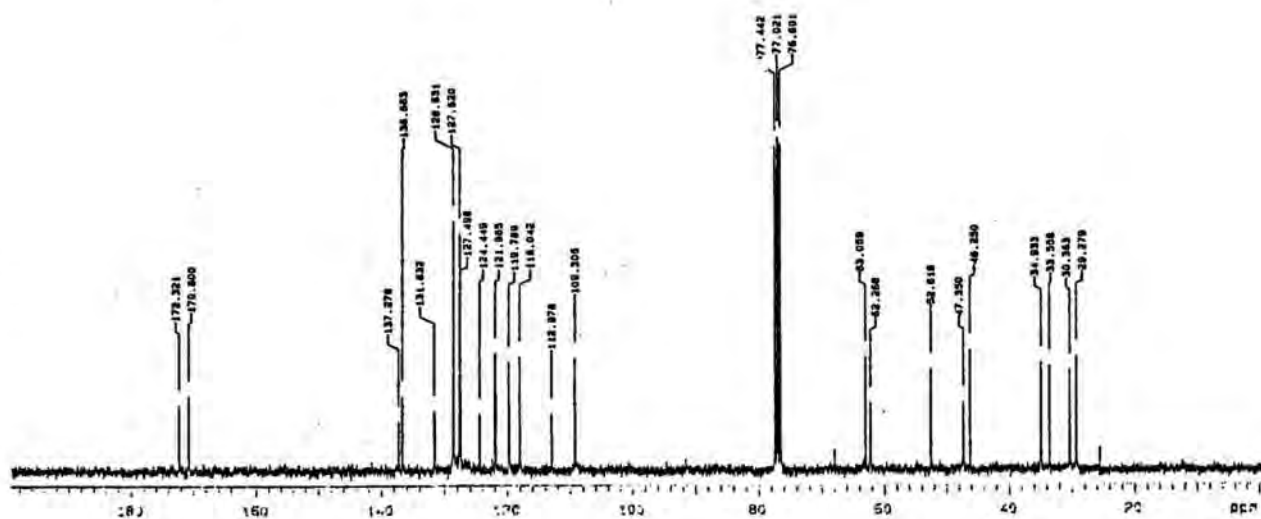




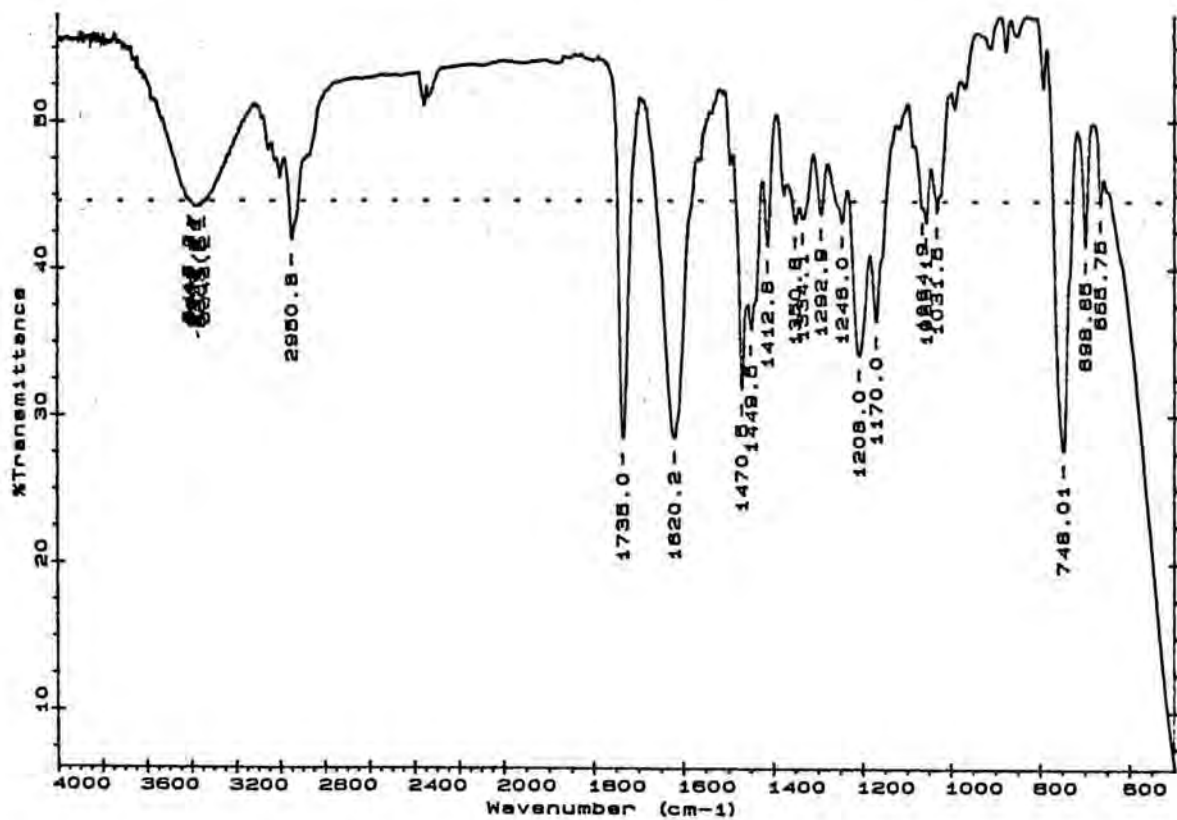
(1*R*,5*R*,6*R*)-2-[(1*R*)-1-*Fenil*-2-*hidroxi*etil]-7-*metil*-6-(*metoxicarbonil*)-3-*oxo*-1,2,3,4,5,6-*hexahidro*-1,5-*metanoazocino*[4,3-*b*]indole (108a)

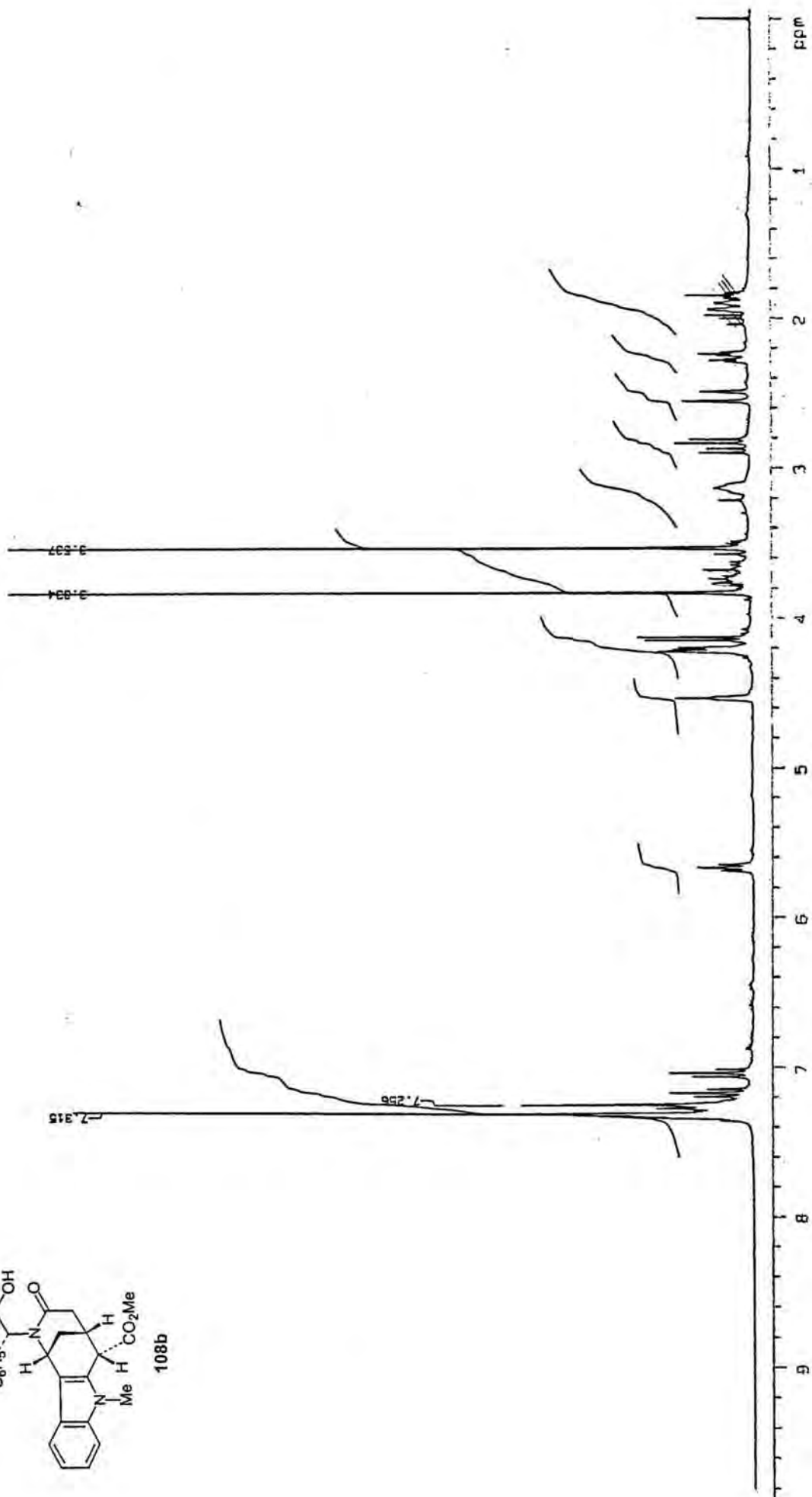
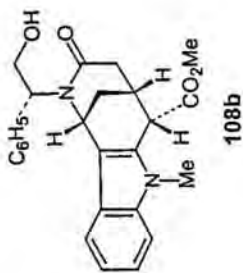


108b

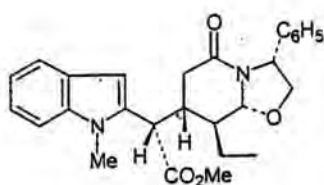


(1*R*,5*R*,6*S*)-2-[(1*R*)-1-*Fenil*-2-*hidroxietil*]-7-*metil*-6-(*metoxicarbonil*)-3-*oxo*-1,2,3,4,5,6-*hexahidro*-1,5-*metanoazocino*[4,3-*b*]indole (108b)

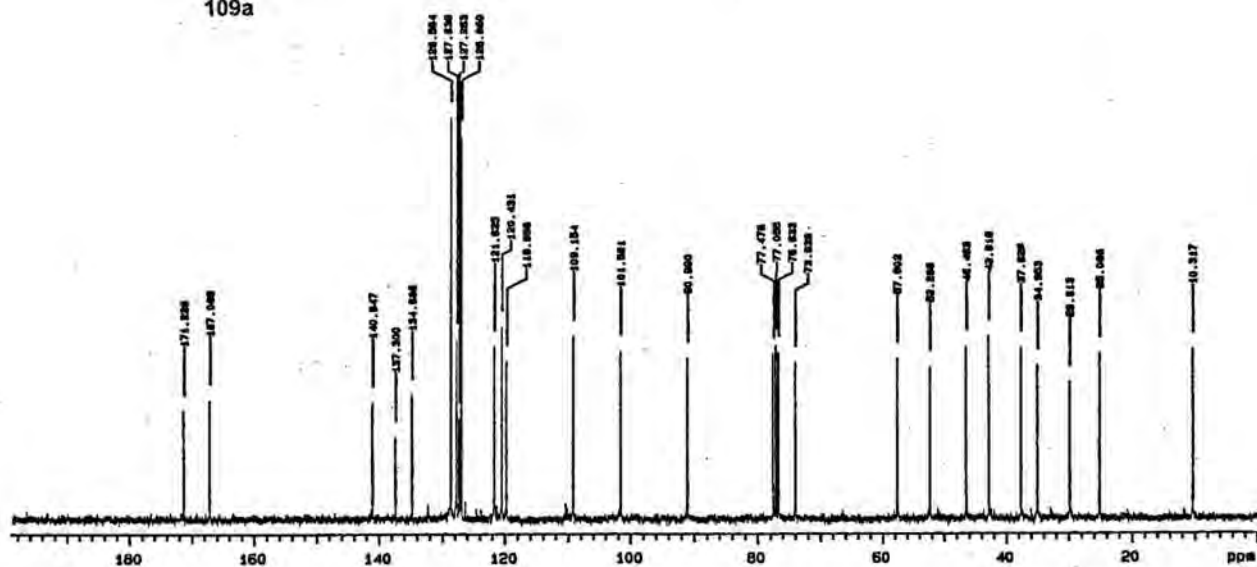




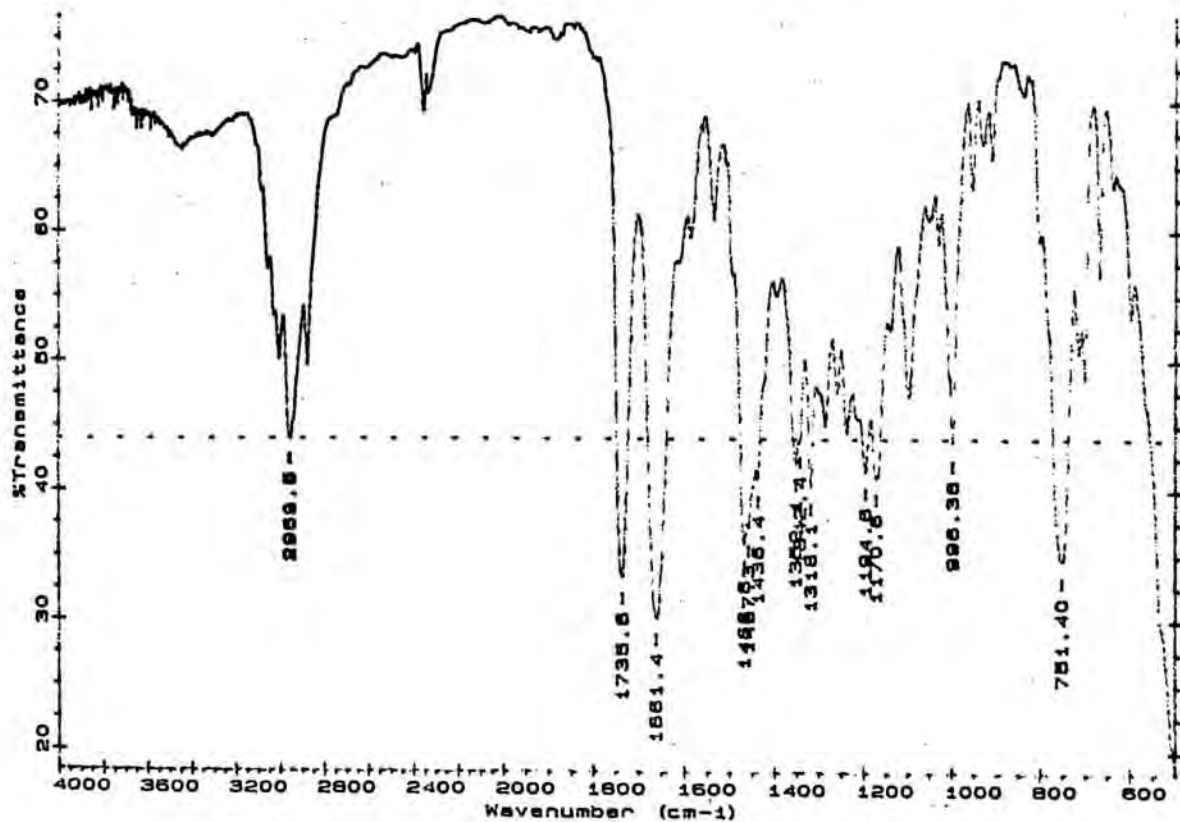
(1*R*,5*R*,6*S*)-2-[[*(1R)*-1-*Phenyl*-2-*hydroxyethyl*]-7-*metil*-6-(*metoxycarbonil*)-3-*oxo*-1,2,3,4,5,6-*hexahidro*-1,5-*metanoazocino*]-4,3-*bjindole* (108b)

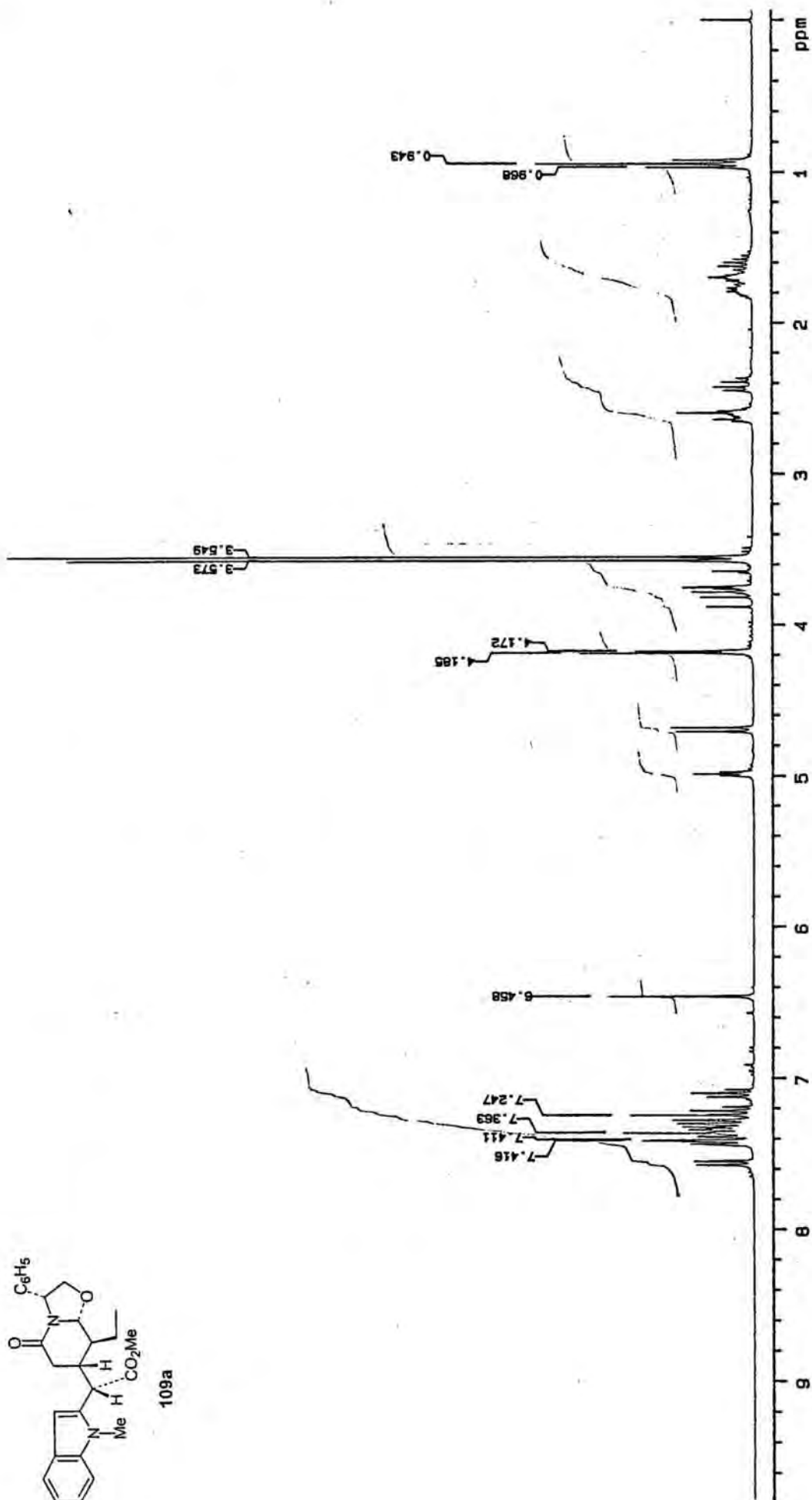
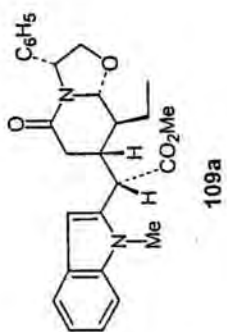


109a

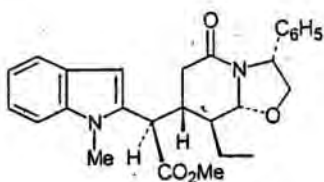


(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3-fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo [3,2-*a*]piridina-7-acetat de metil (109a)

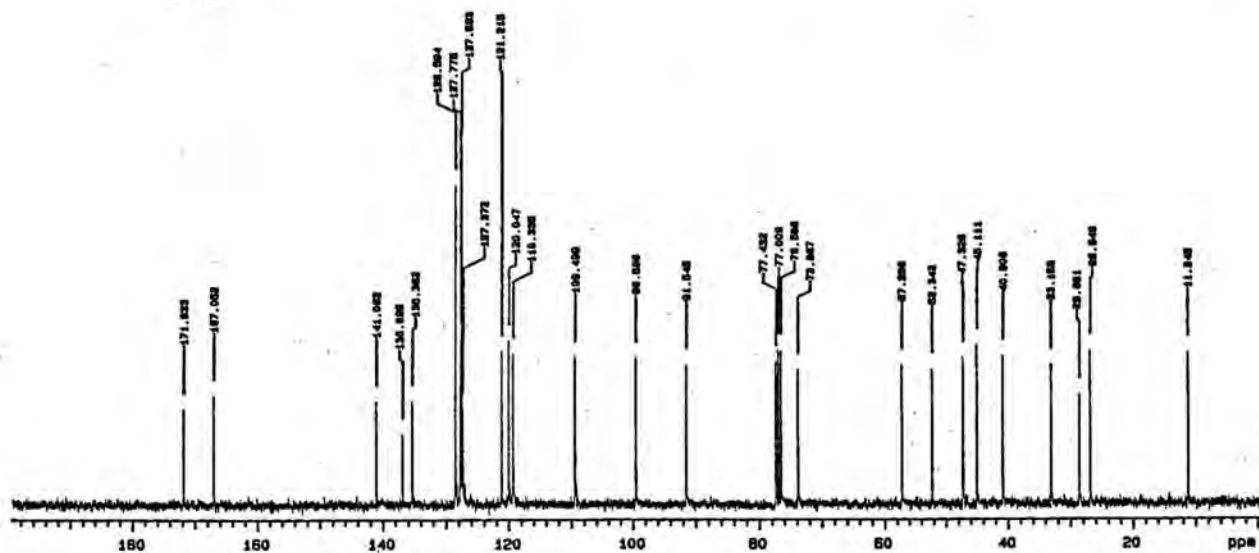




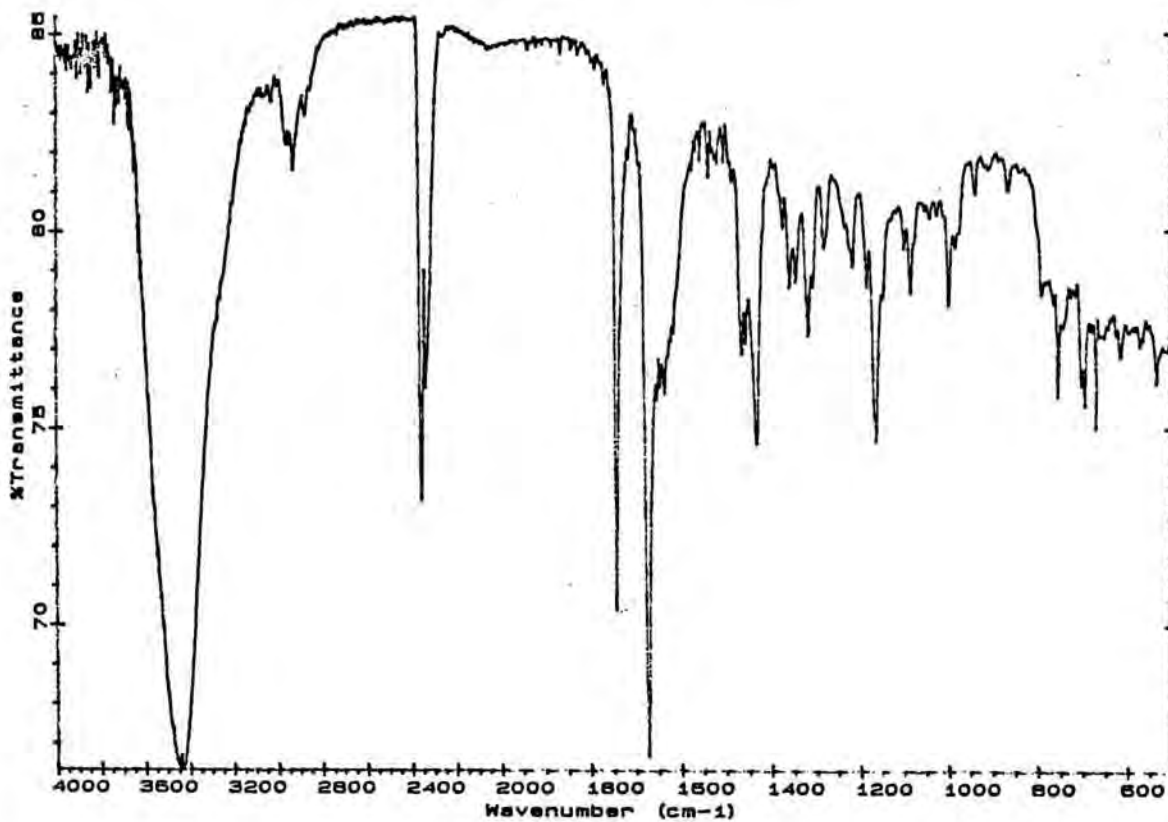
(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3-fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (109a)

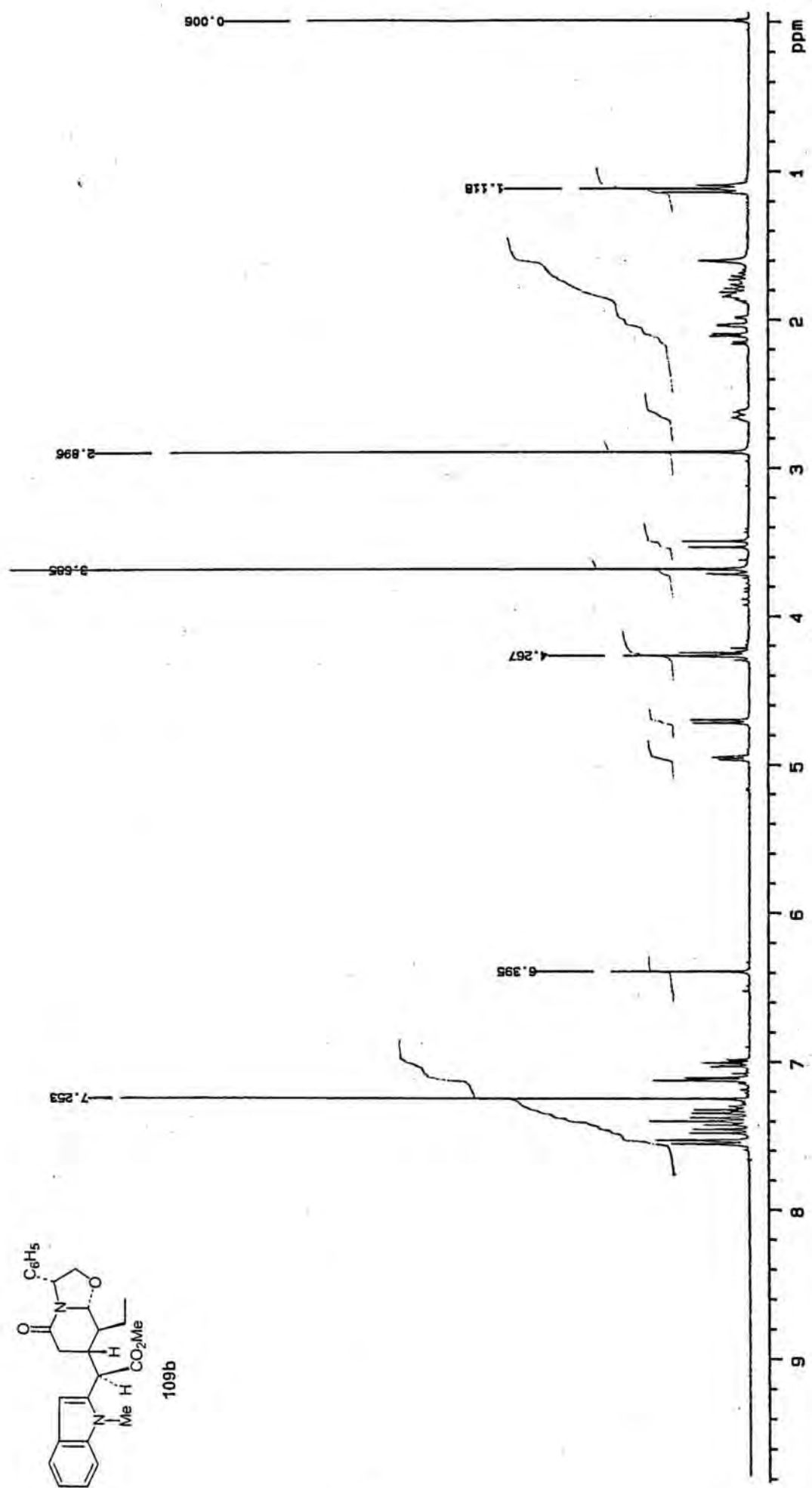
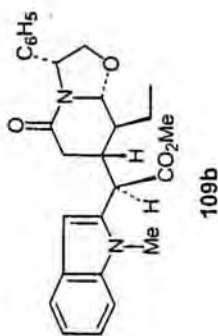


109b

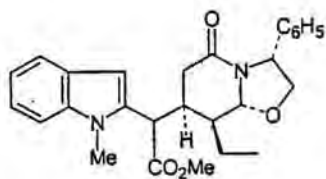


(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3-fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo [3,2-*a*]piridina-7-acetat de metil (109b)

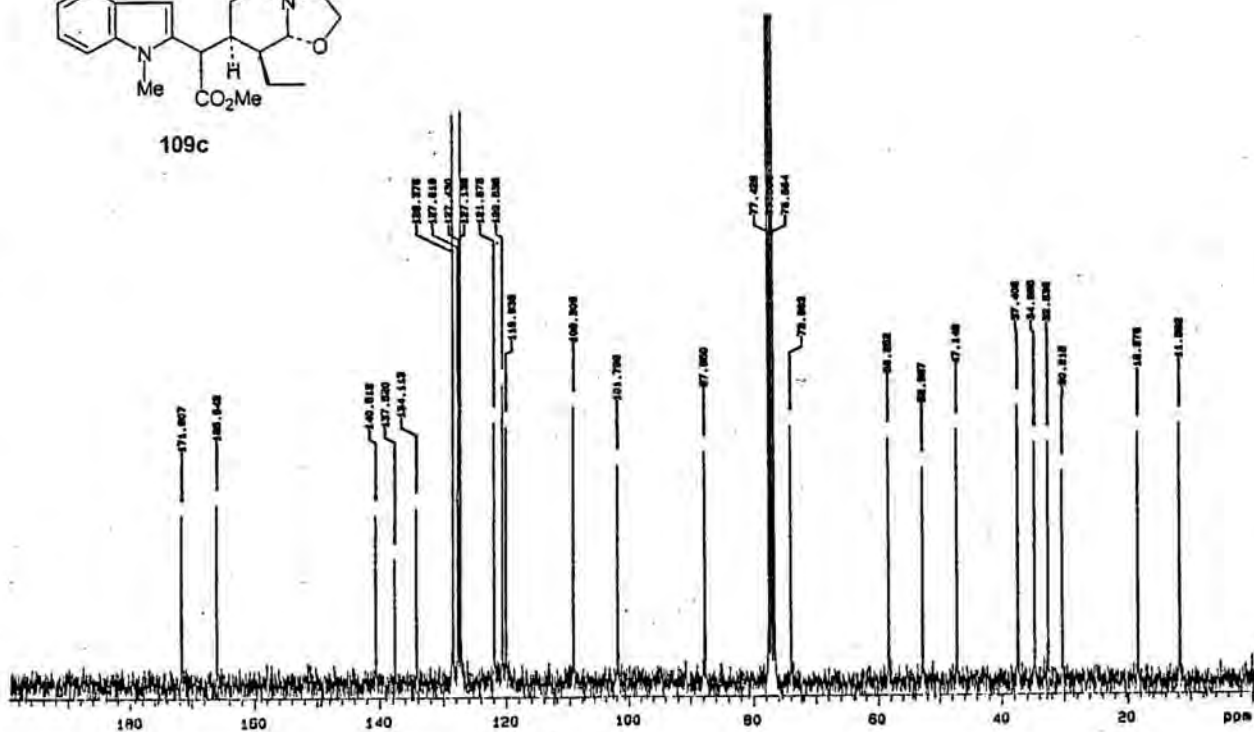




(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3-fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolof[3,2-*a*]piridina-7-acetat de metil (109b)

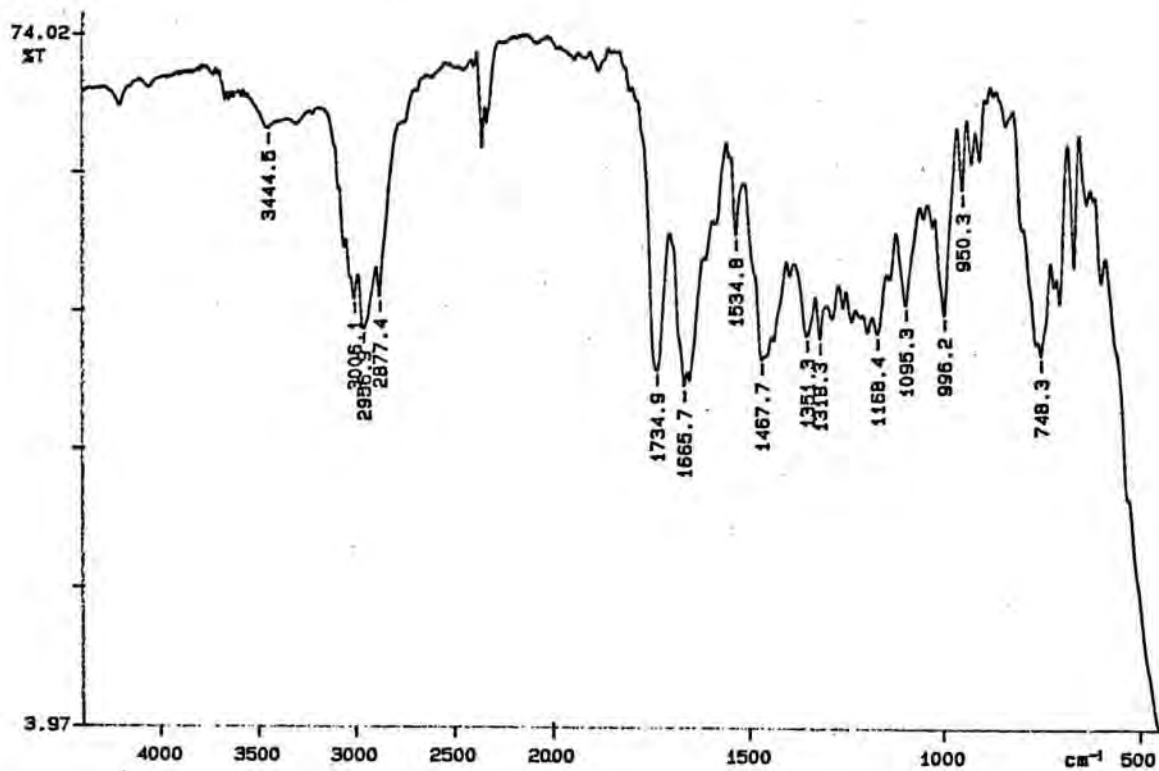


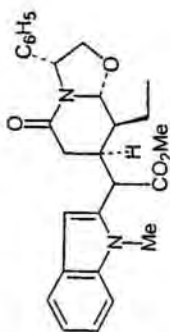
109c



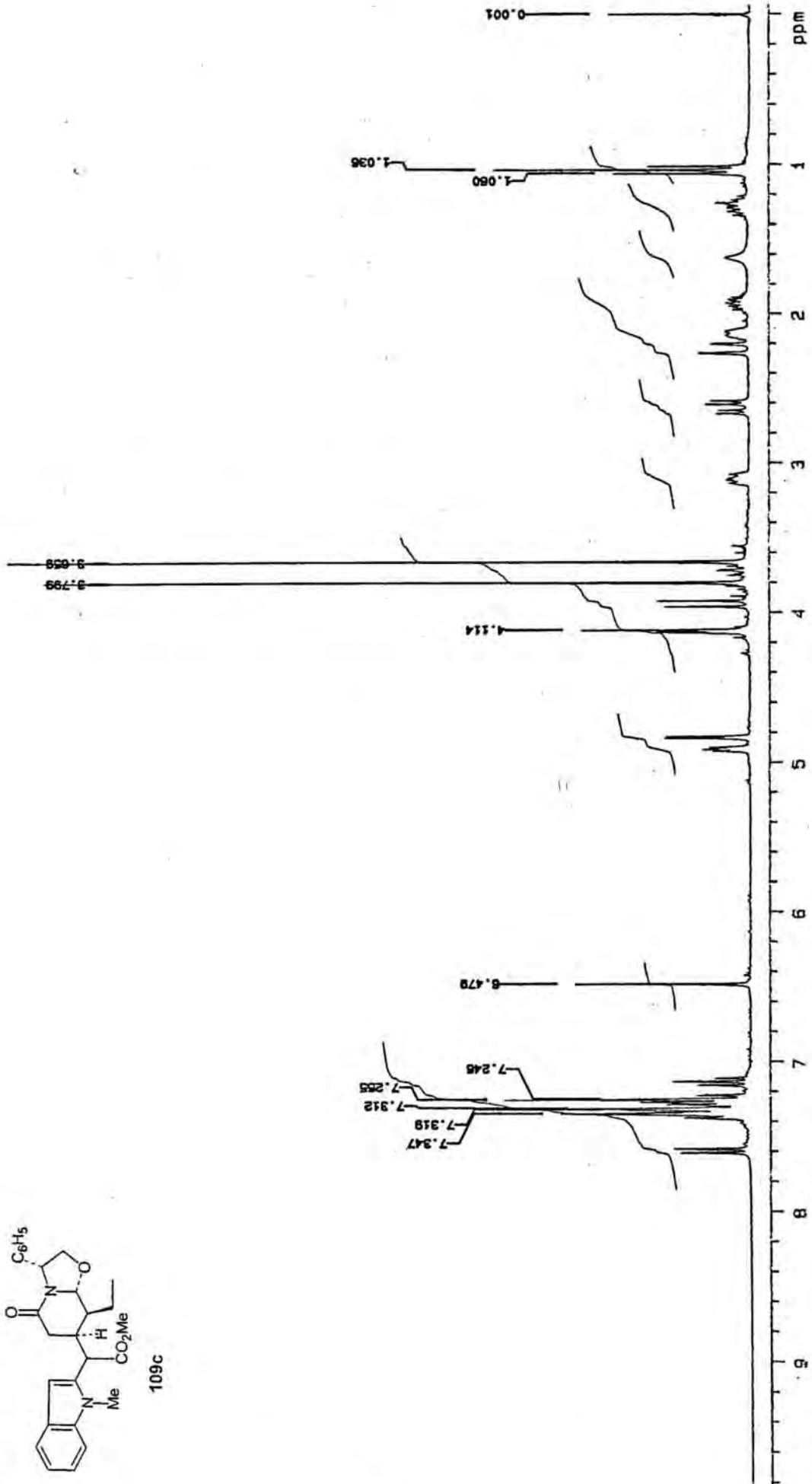
(3*R*,7*S*,8*S*,8*aR*)-8-Etil-3-fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo [3,2-*a*]piridina-7-acetat de metil (109c)

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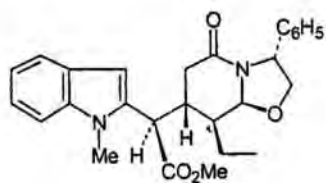




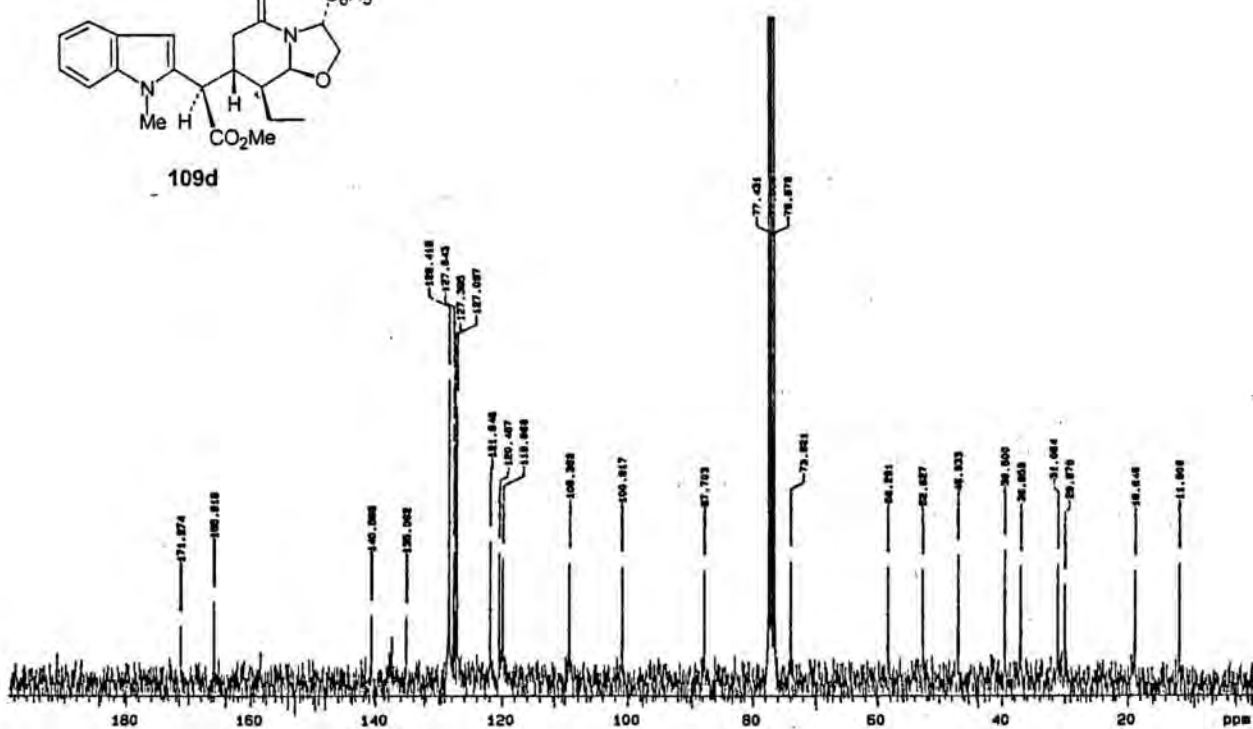
109c



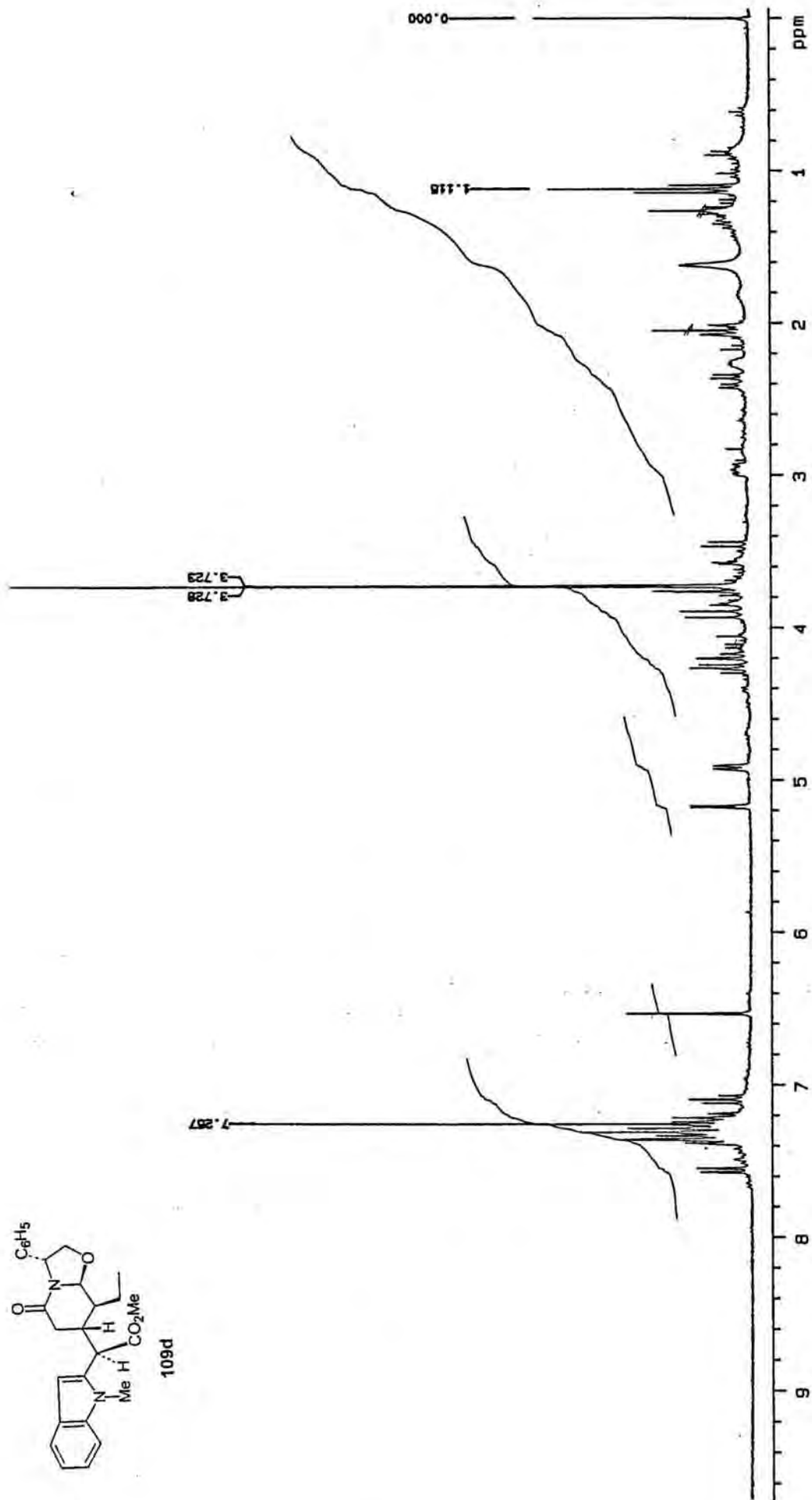
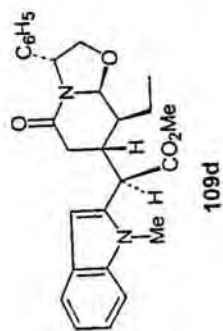
(3R,7S,8S,8aR)-8-Etil-3-fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat de metil (109c)



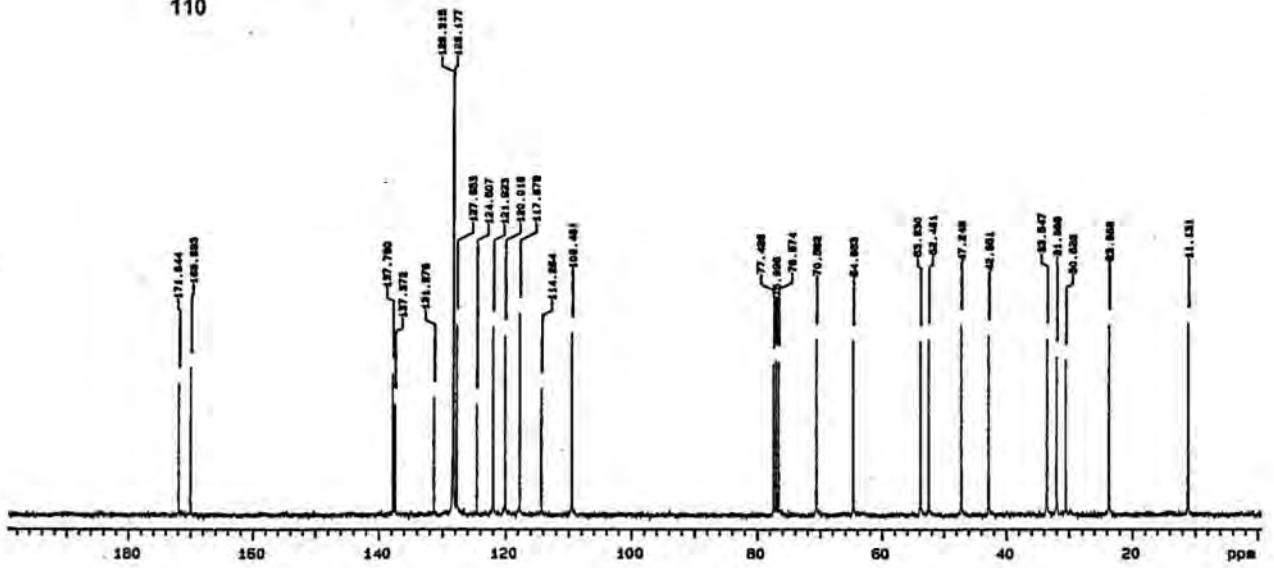
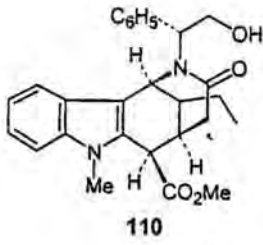
109d



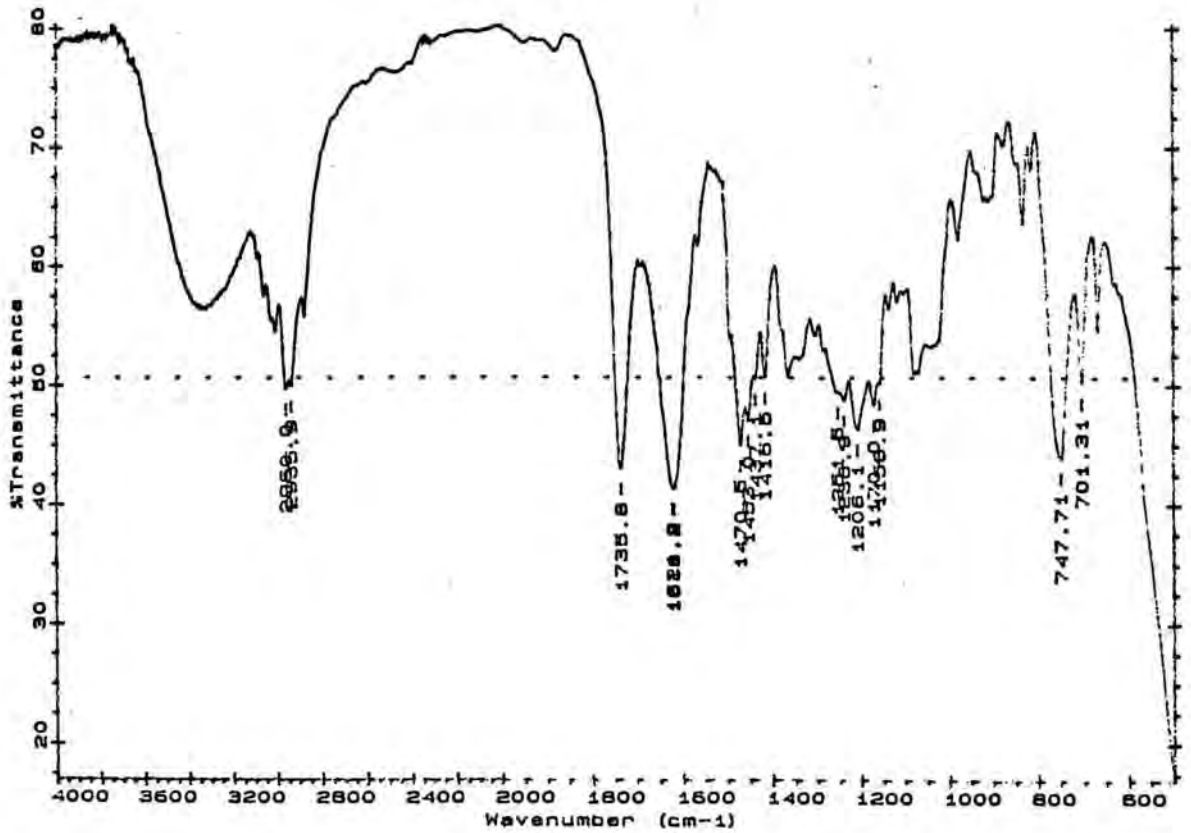
(3*R*,7*R*,8*S*,8*aS*)-8-Etil-3-fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo [3,2-*a*]piridina-7-acetat de metil (109d)

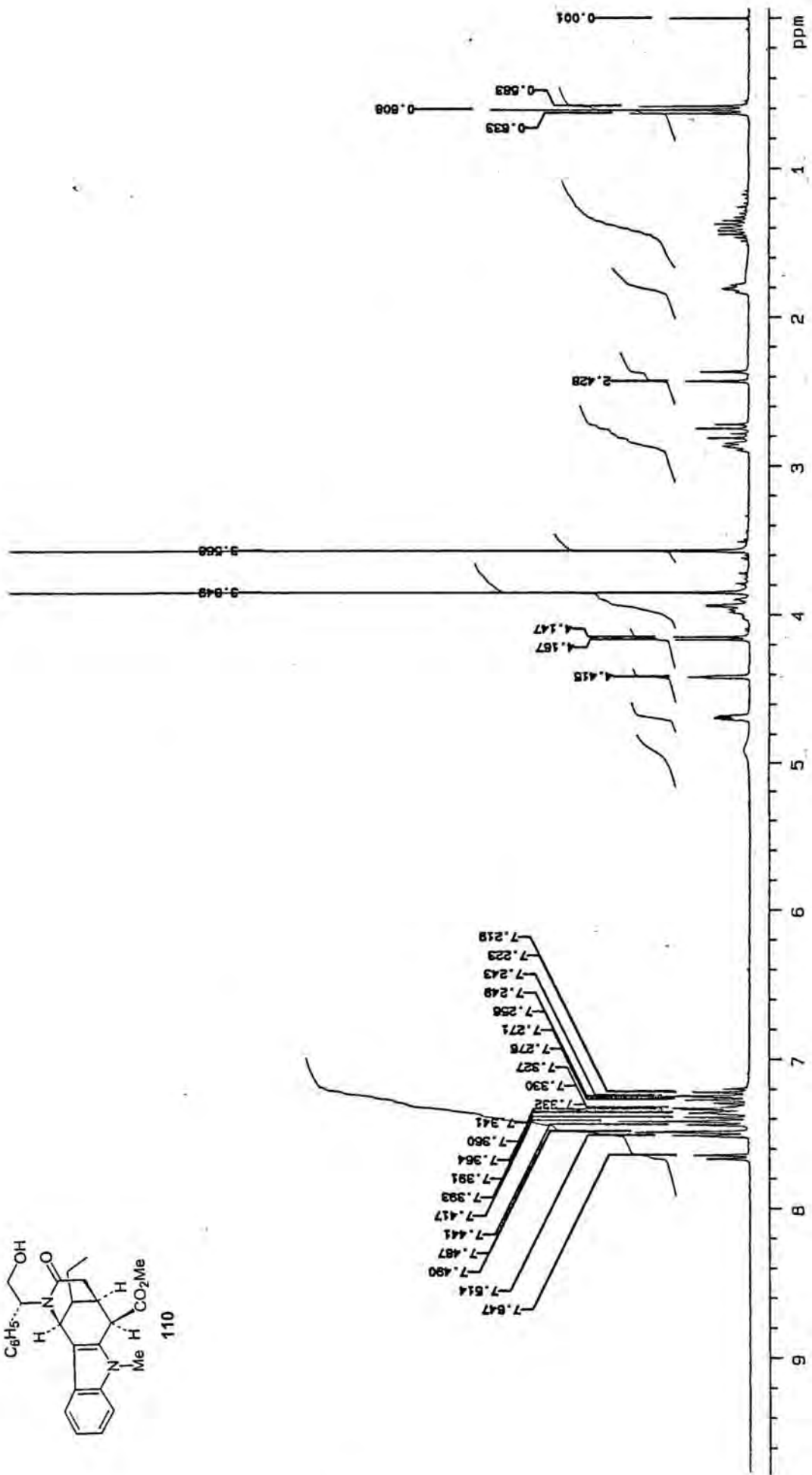
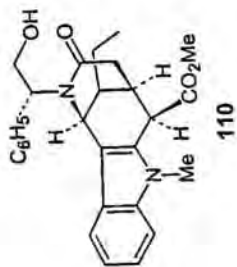


(3R,7R,8S,8aS)-8-Etil-3-fenil- α -(1-metil-2-indolil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat de metil (109d)

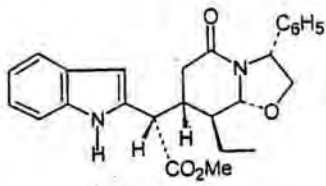


(1*S*,5*R*,6*R*,12*S*)-12-Etil-2-[(1*R*)-1-fenil-2-hidroxietyl]-7-metil-6-(metoxicarbonil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-*b*]indole (110)

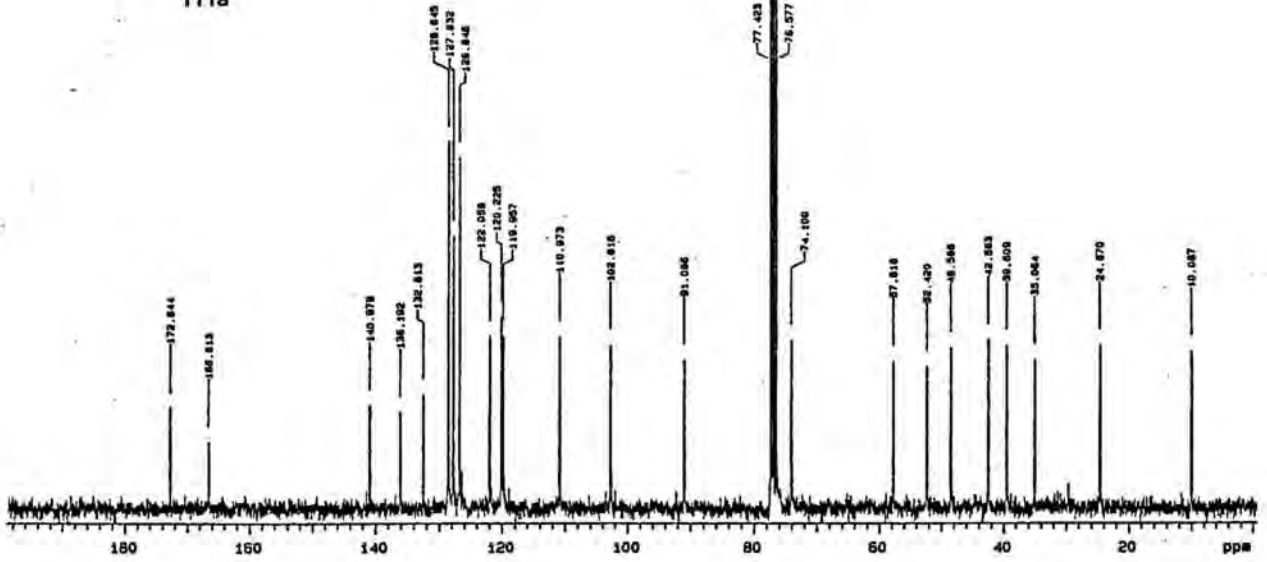




(1S,5R,6R,12S)-12-Etil-2-[(1R)-1-fenil-2-hidroxitetil]-7-metil-6-(metoxicarbonil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-b]indole (110)

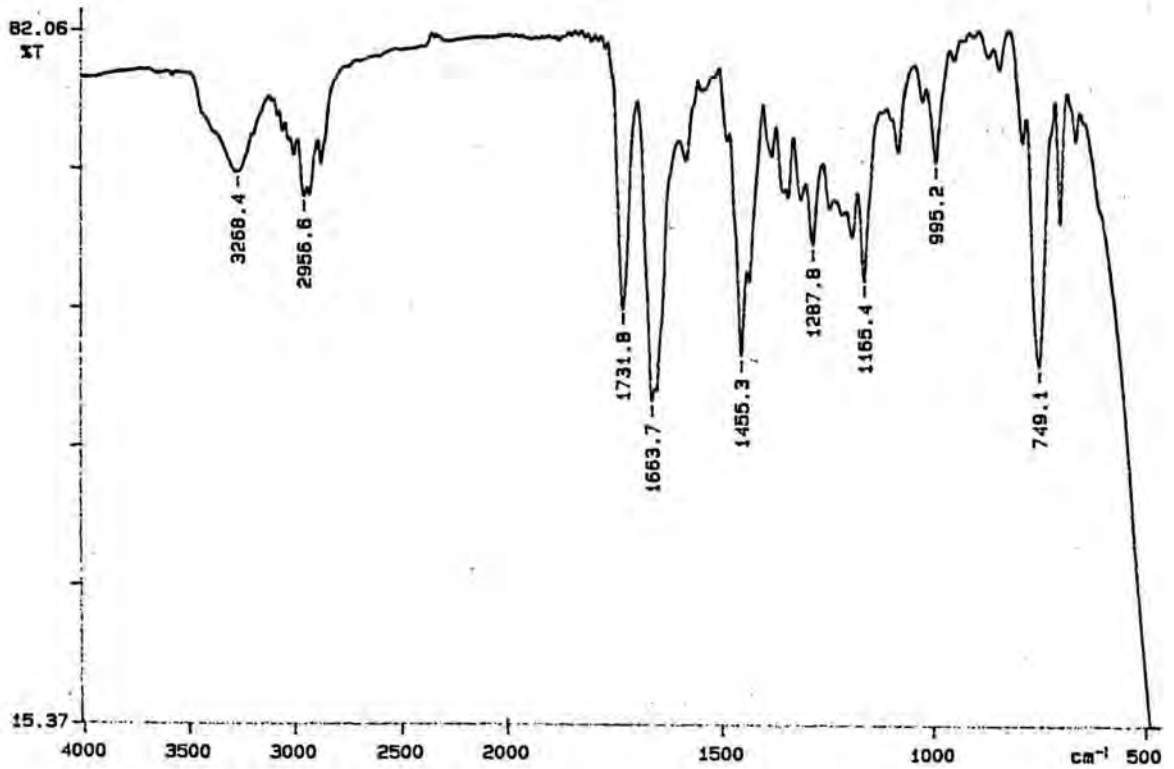


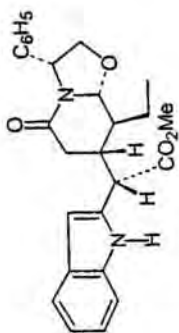
111a



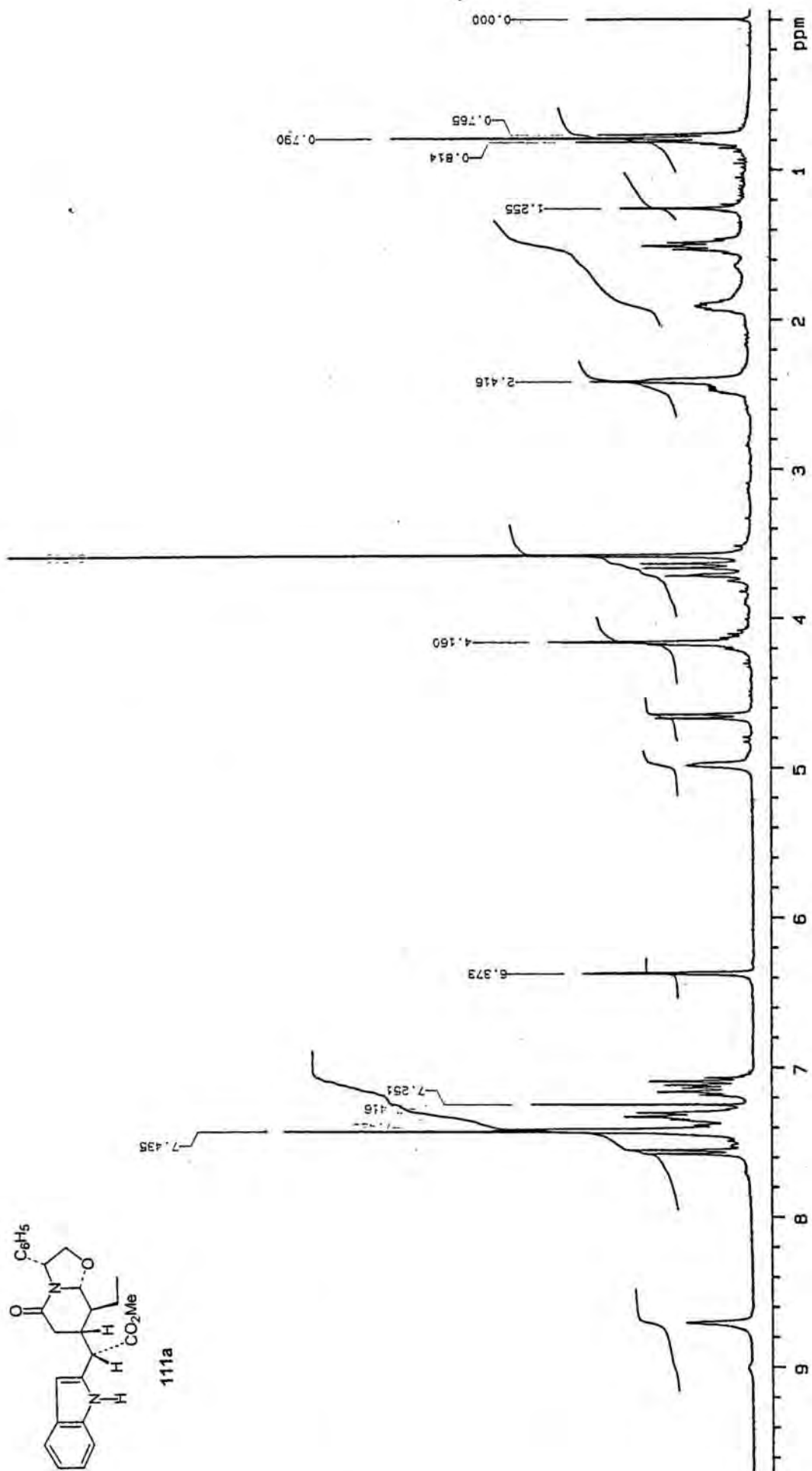
(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3-fenil- α -(2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (111a)

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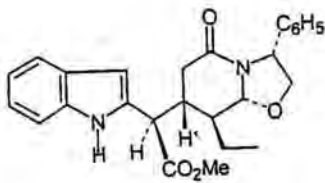




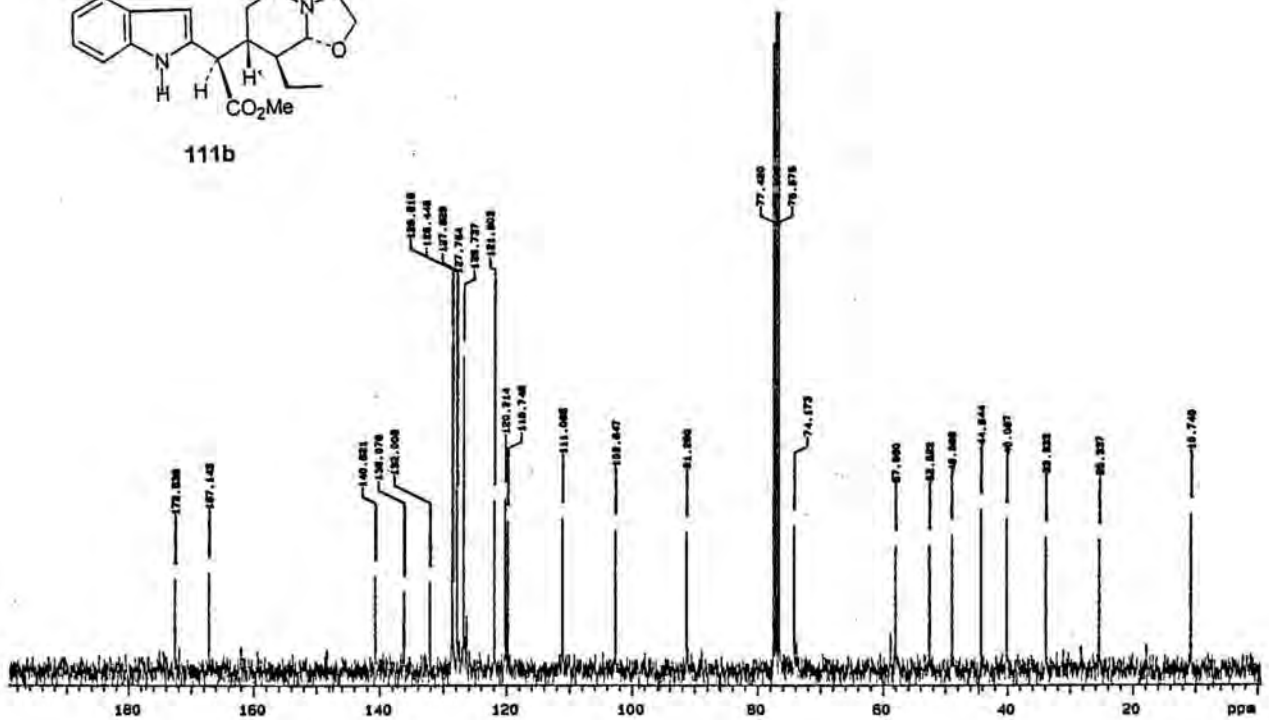
111a



(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3-fenil- α -(2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (111a)



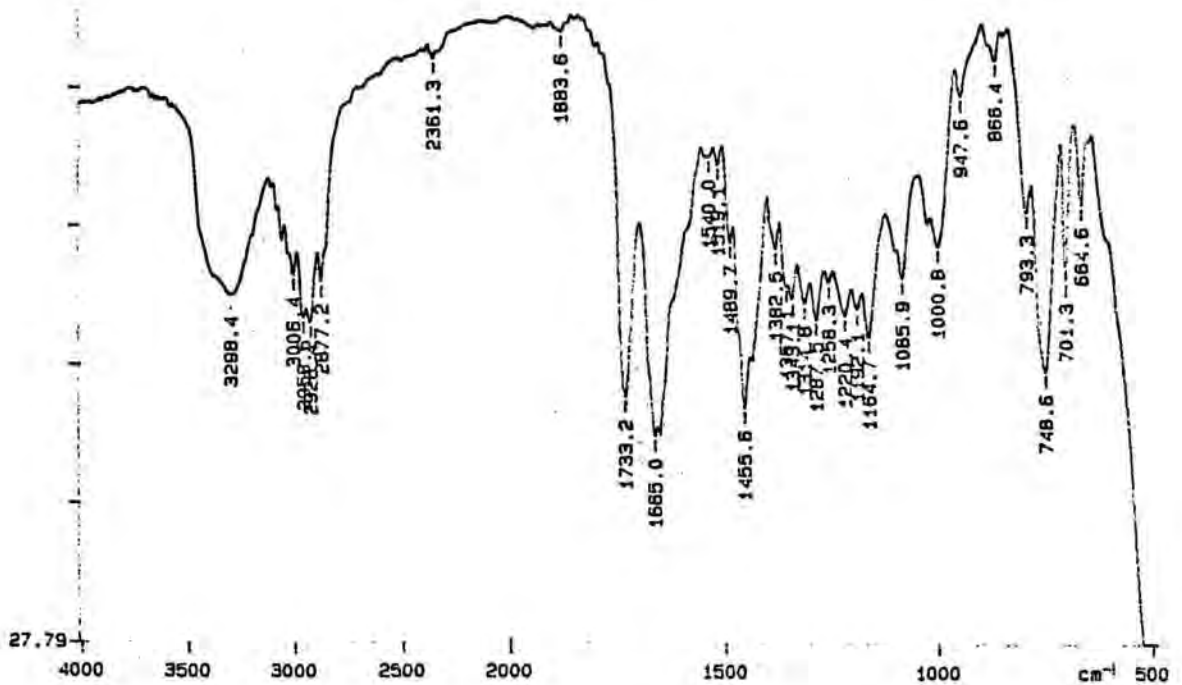
111b

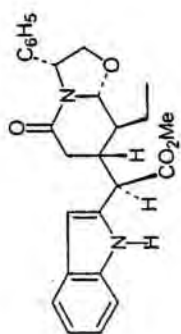


(3R,7R,8S,8aR)-8-Etil-3-fenil- α -(2-indolil)-5-oxo-2,3,6,7,8,8a-hexahidro-5H-oxazolo[3,2-a]piridina-7-acetat de metil (111b)

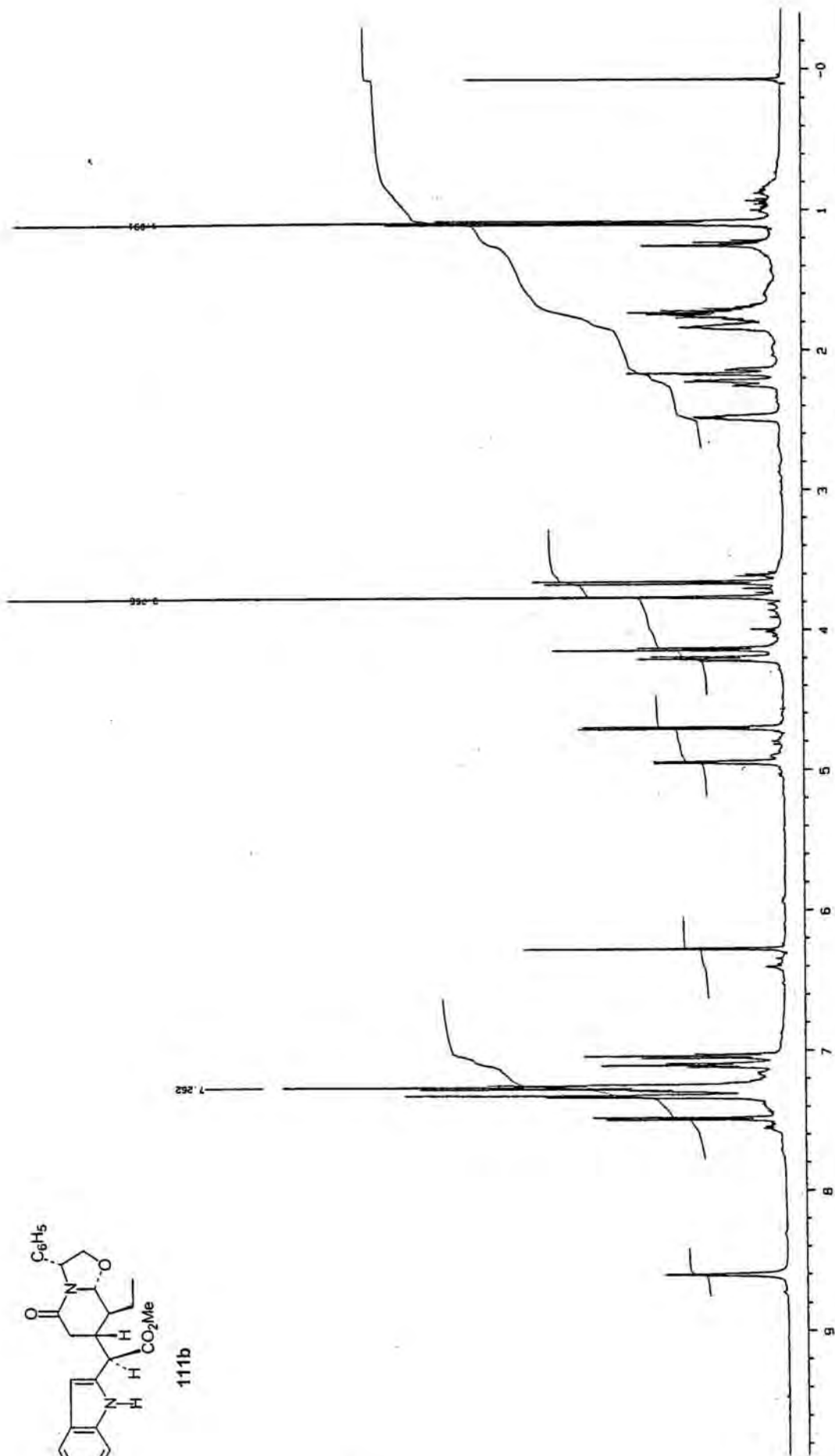
PERKIN ELMER

77.65
%T

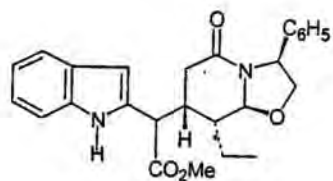




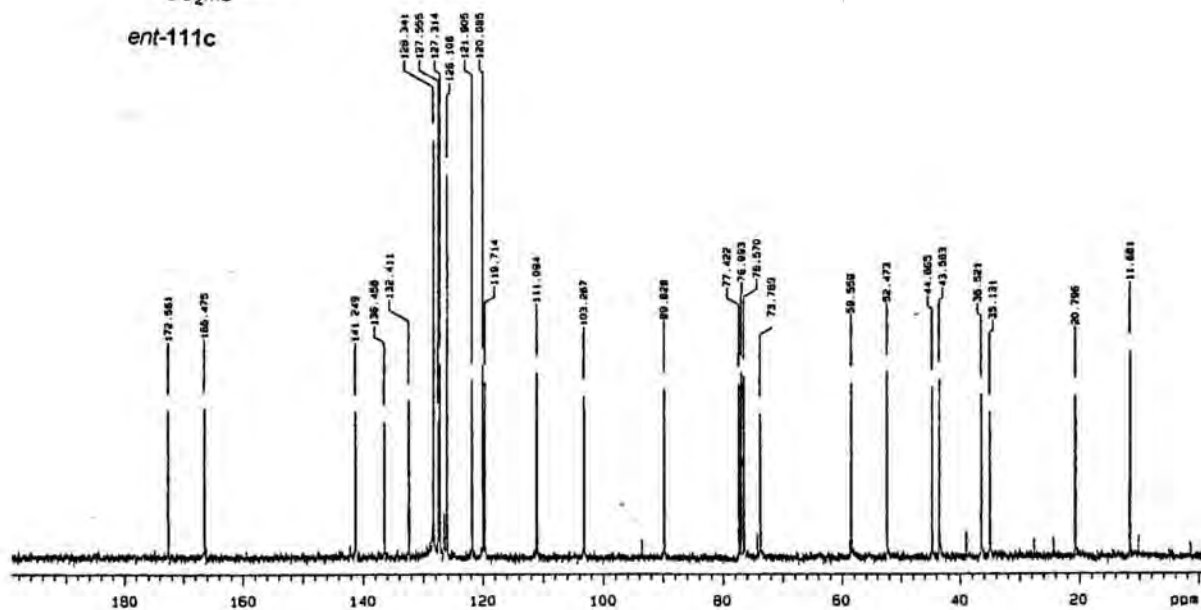
111b



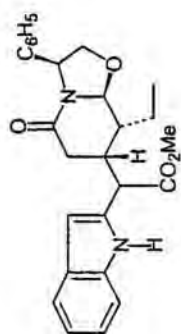
(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3-fenil- α -(2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (111b)



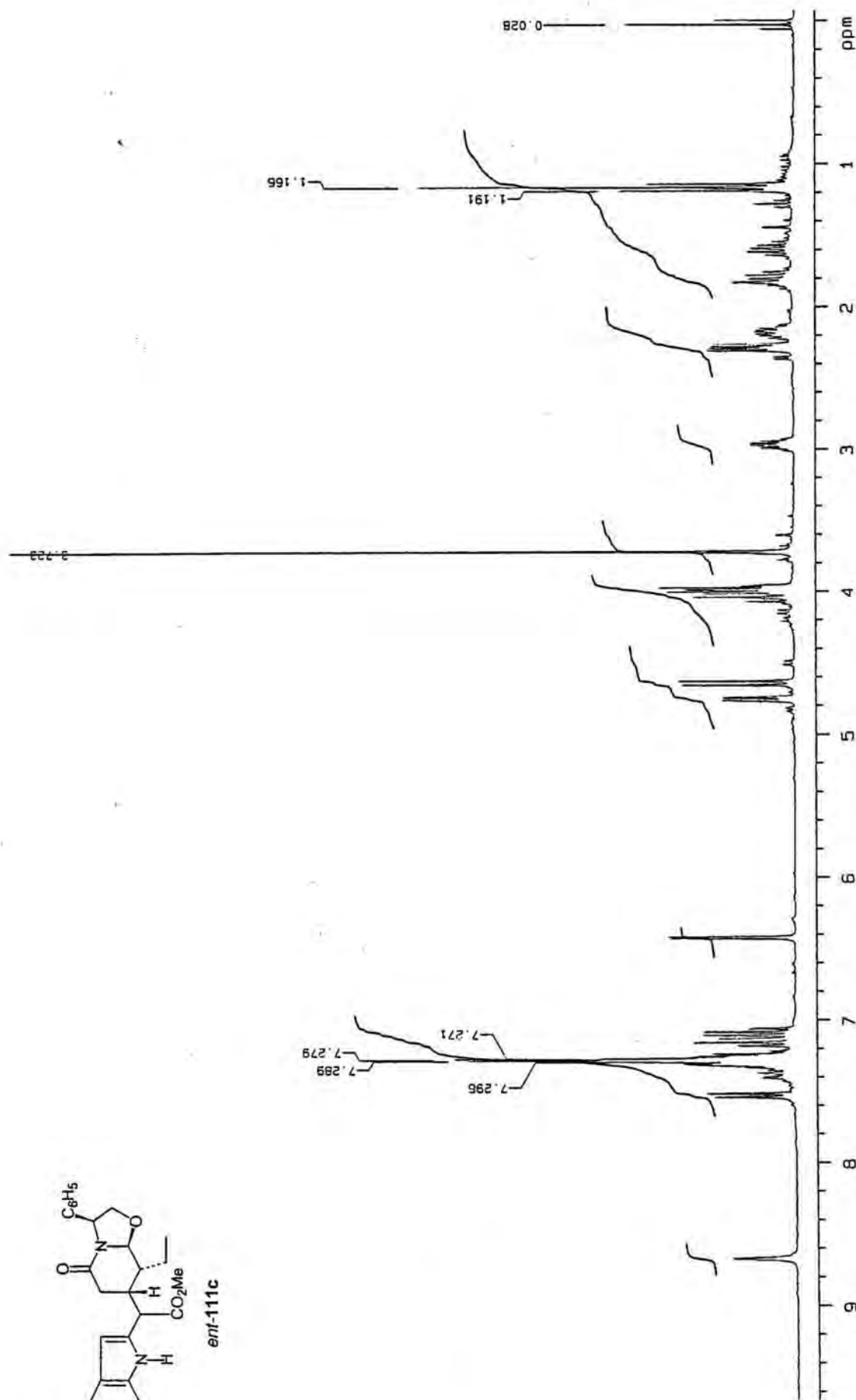
ent-111c



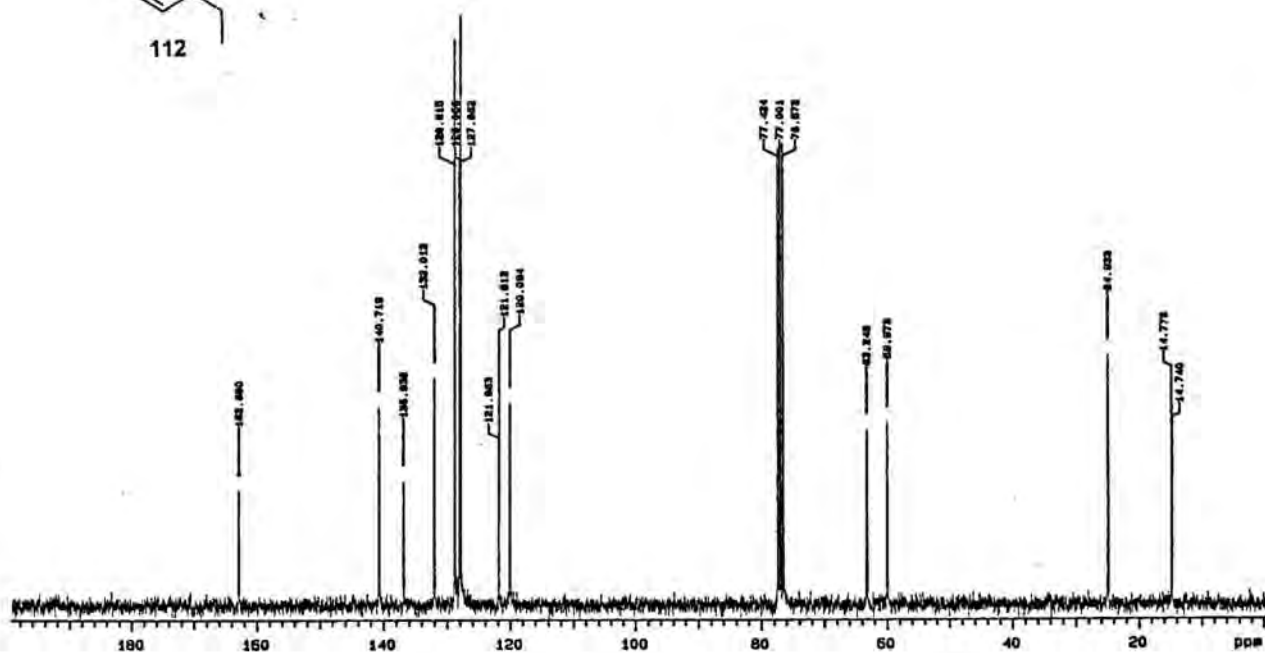
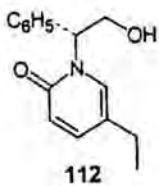
(3*S*,7*R*,8*R*,8*aS*)-8-Etil-3-fenil- α -(2-indolil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (*ent*-111c)



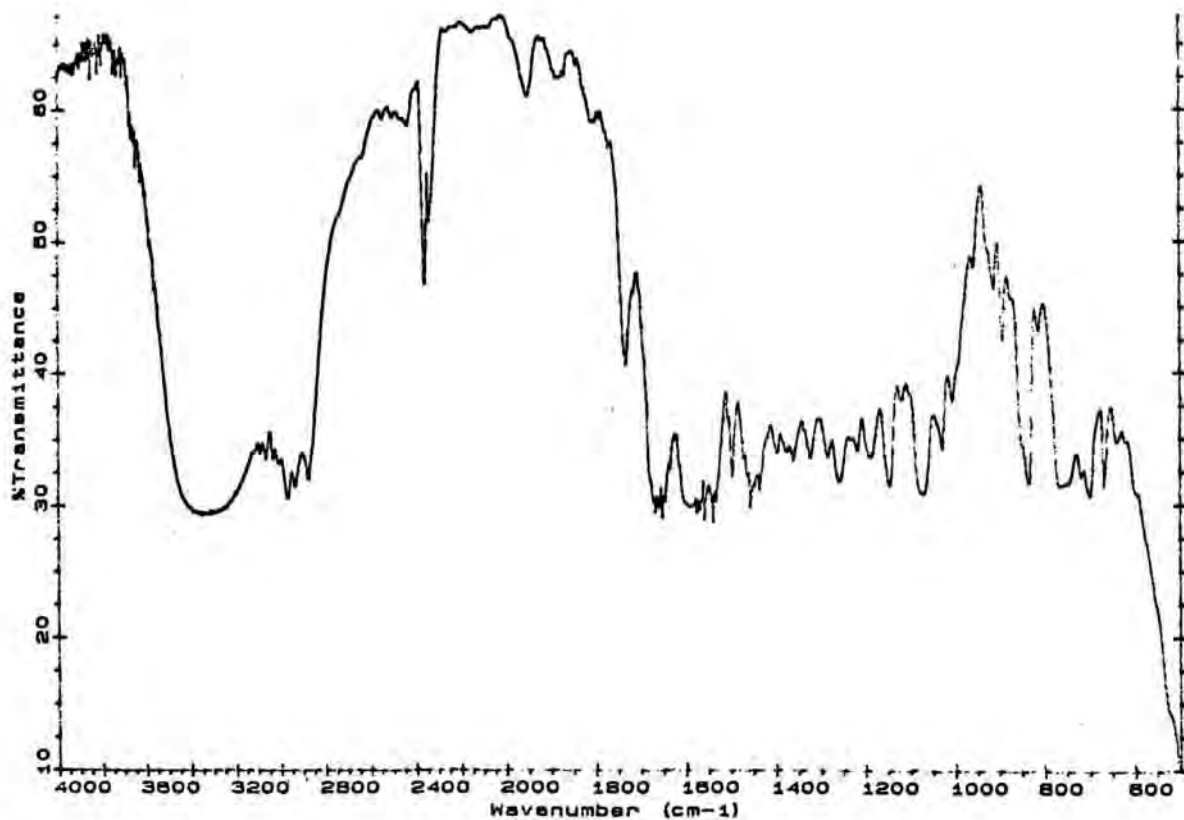
ent-111c

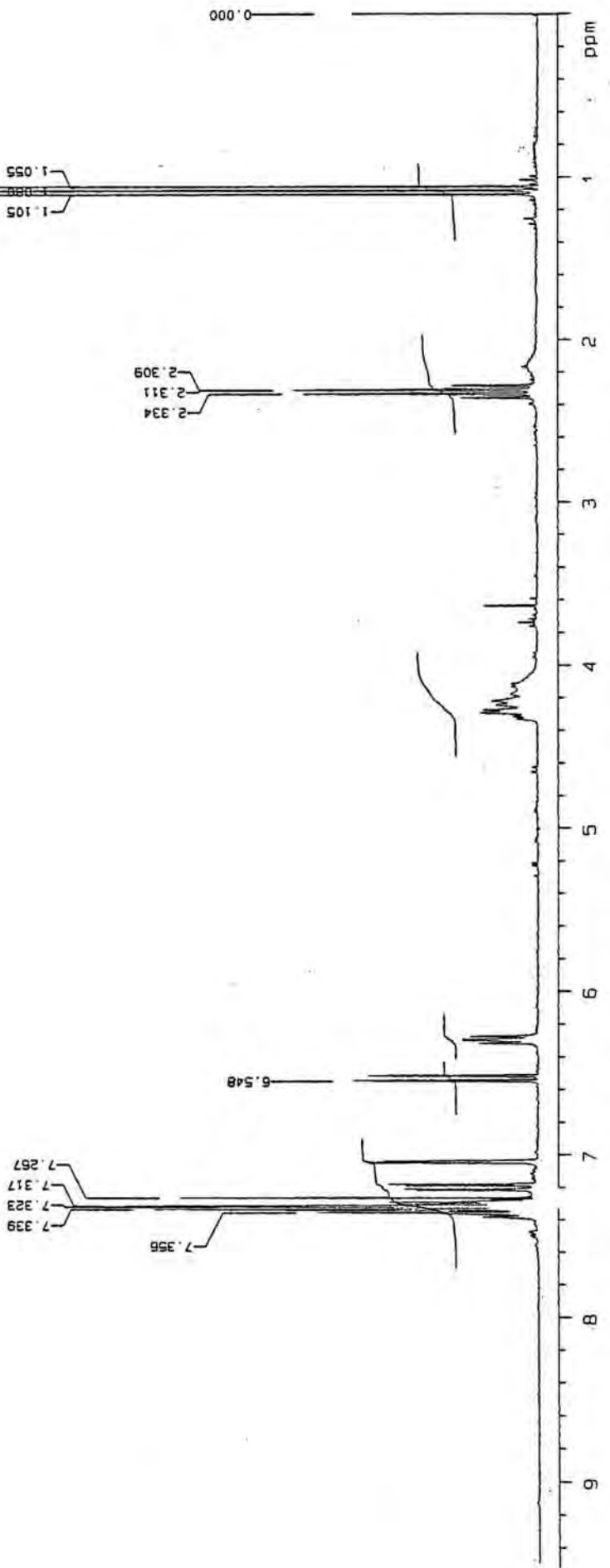
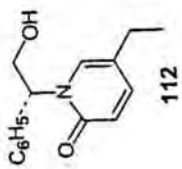


(3*S*,7*R*,8*R*,8*aS*)-8-Etil-3-fenil- α -(2-indoil)-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina-7-acetat de metil (*ent*-111c)

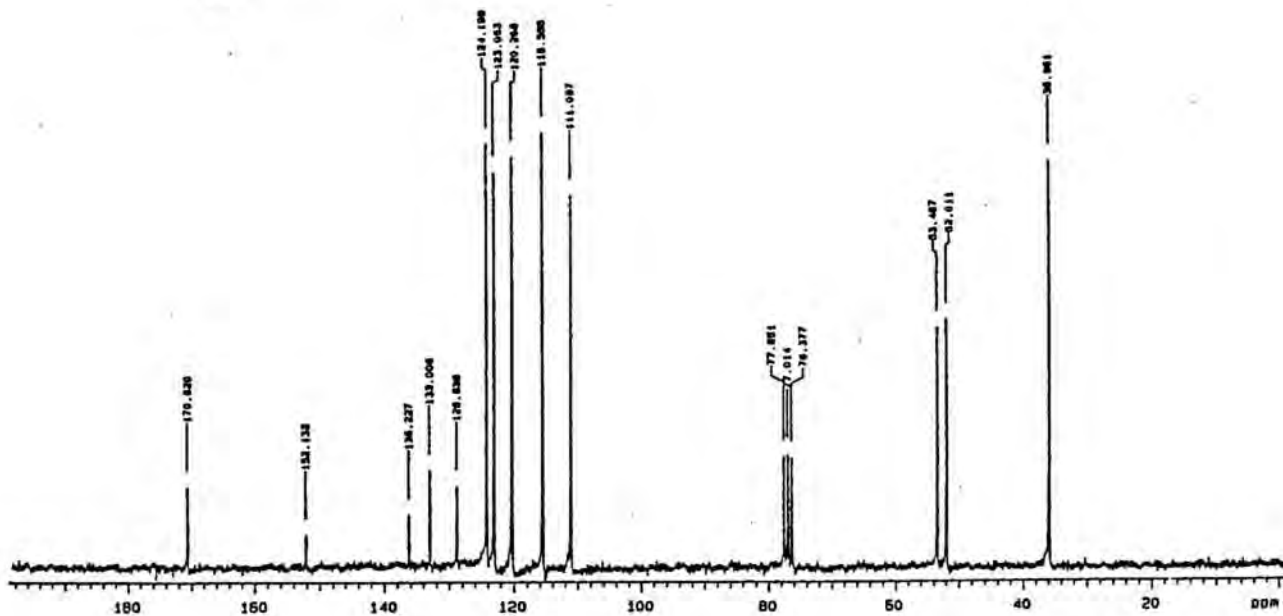
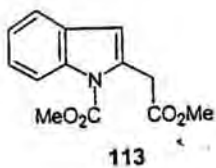


5-Etil-1-[(1R)-1-fenil-2-hidroxietil]-1H-2-piridona (112)

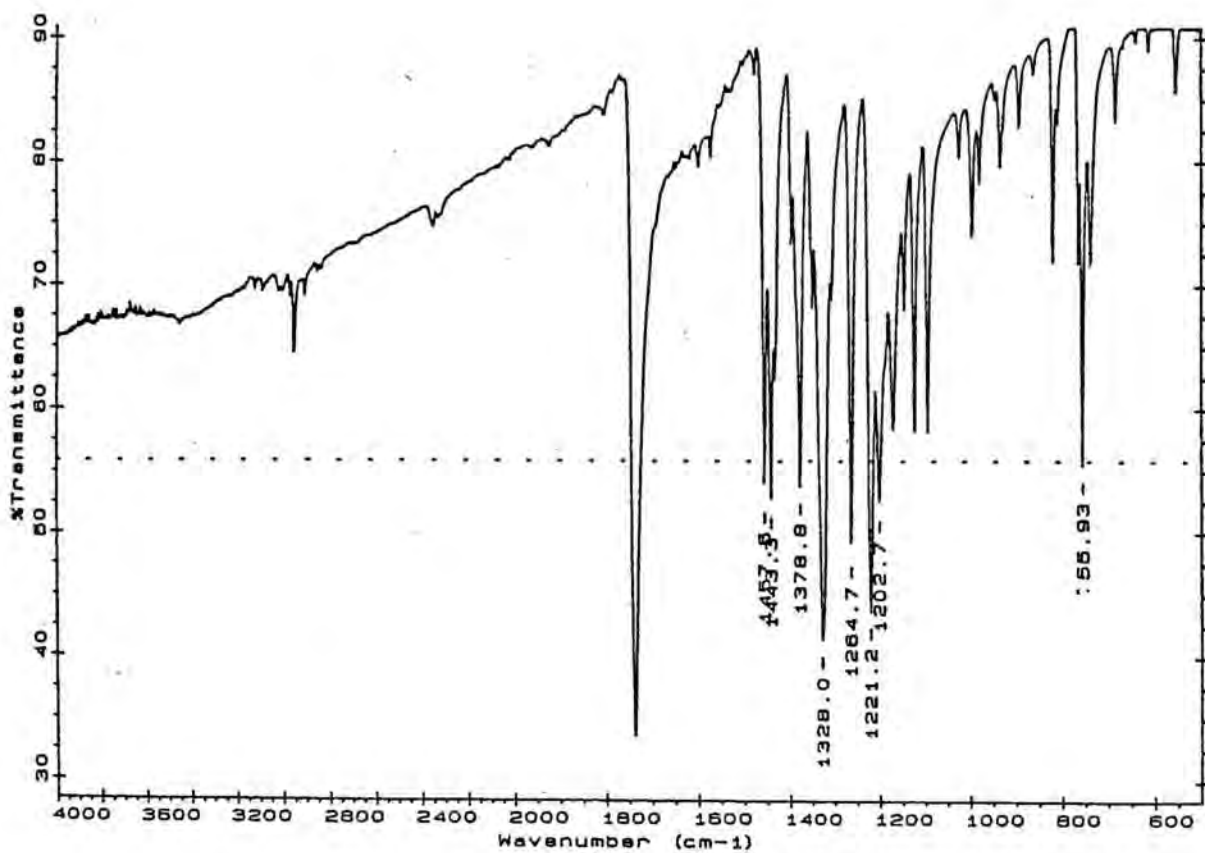


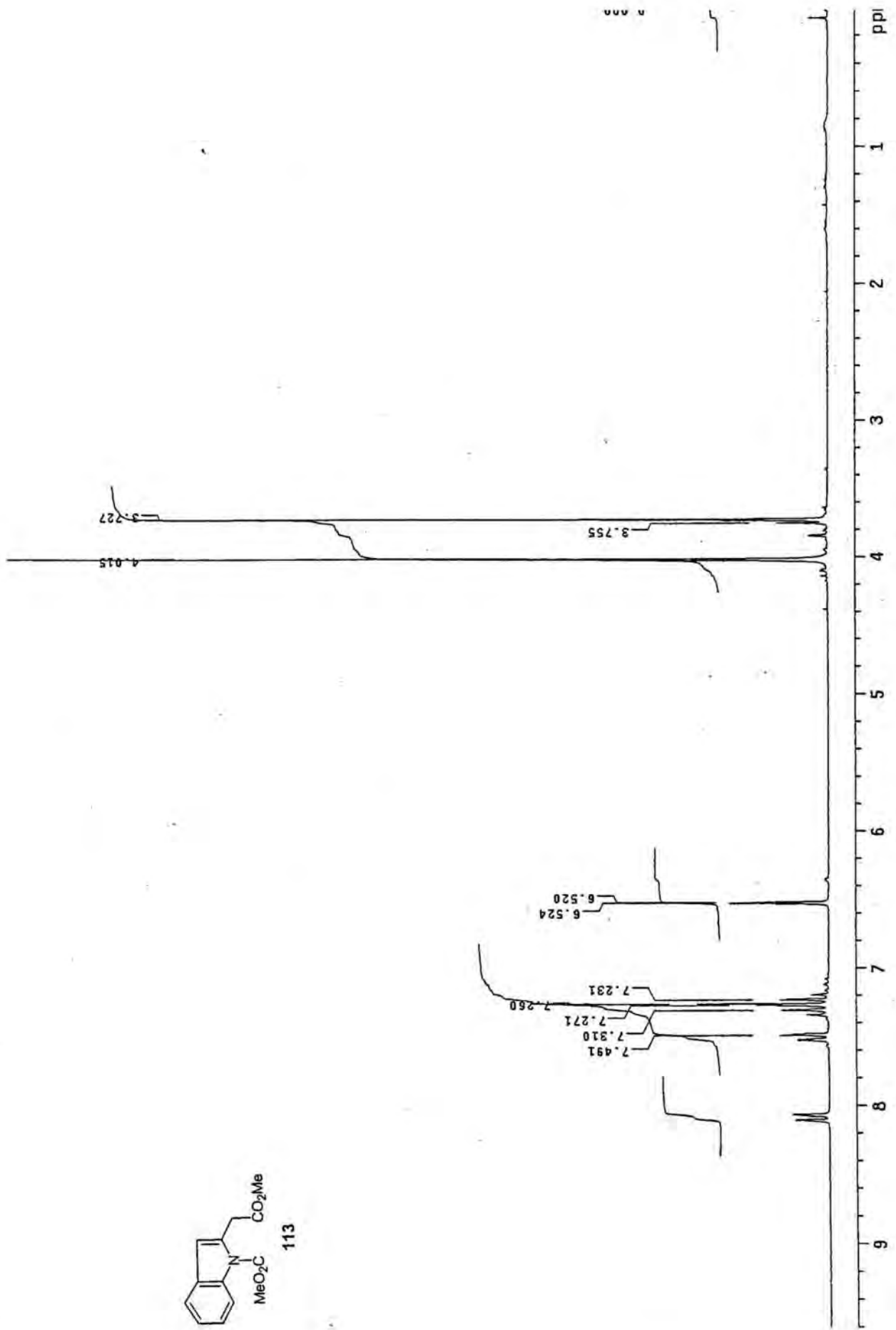
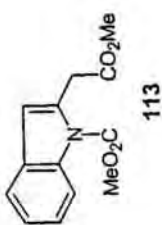


5-Etil-1-[(1R)-1-fenil-2-hidroxi-etil]-1H-2-piridona (112)

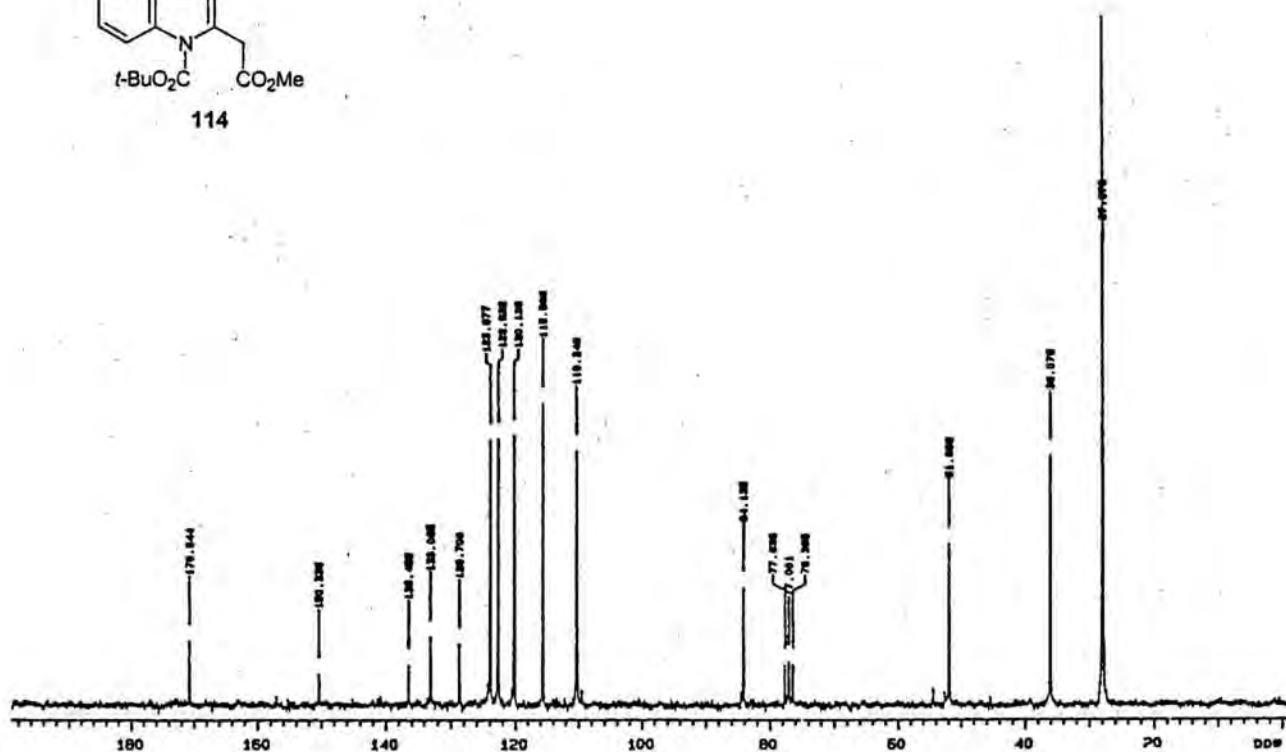
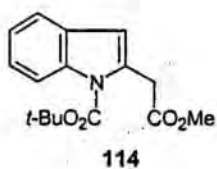


1-(Metoxicarbonil)-2-indoleacetat de metil (113)

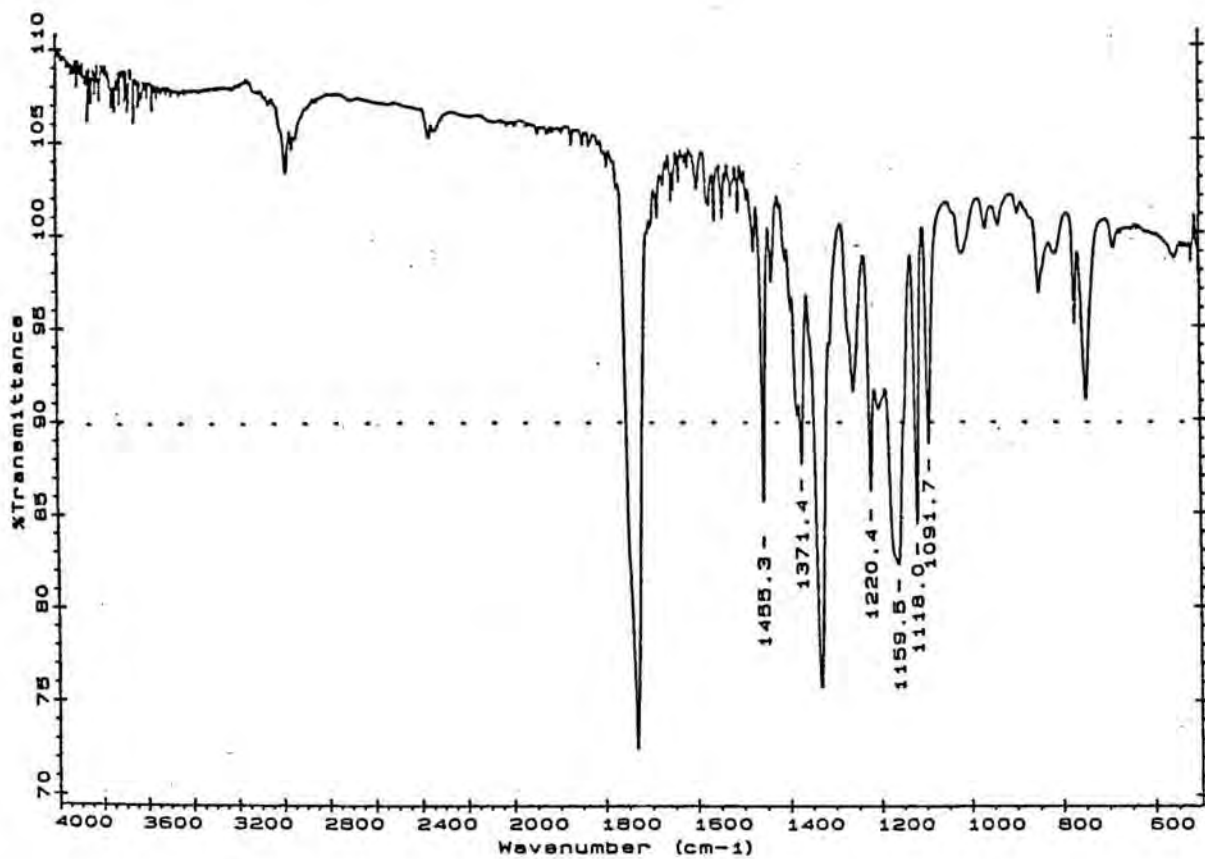


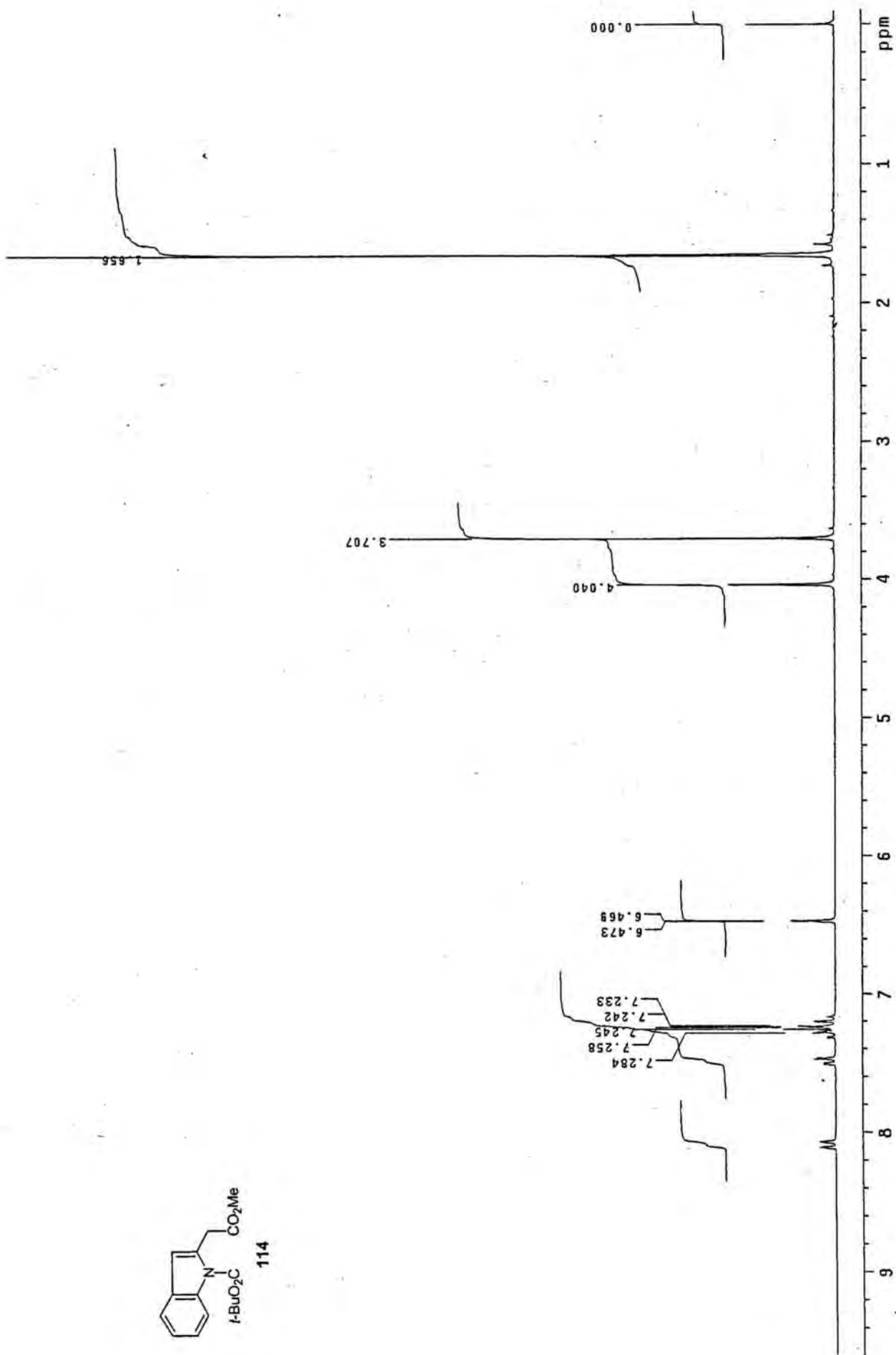
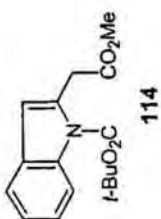


1-(Methoxycarbonyl)-2-indoleacetate de metil (113)

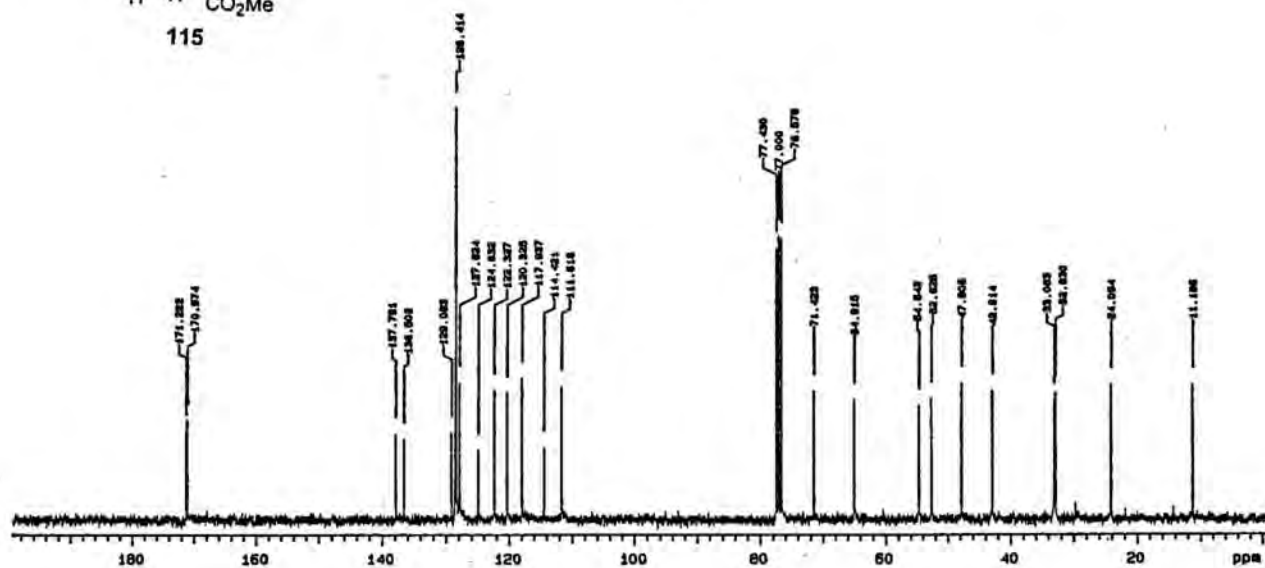
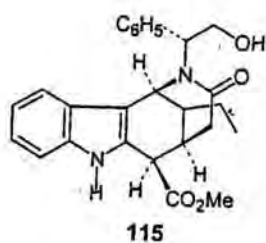


1-(*tert*-Butoxicarbonil)-2-indoleacetat de metil (114)



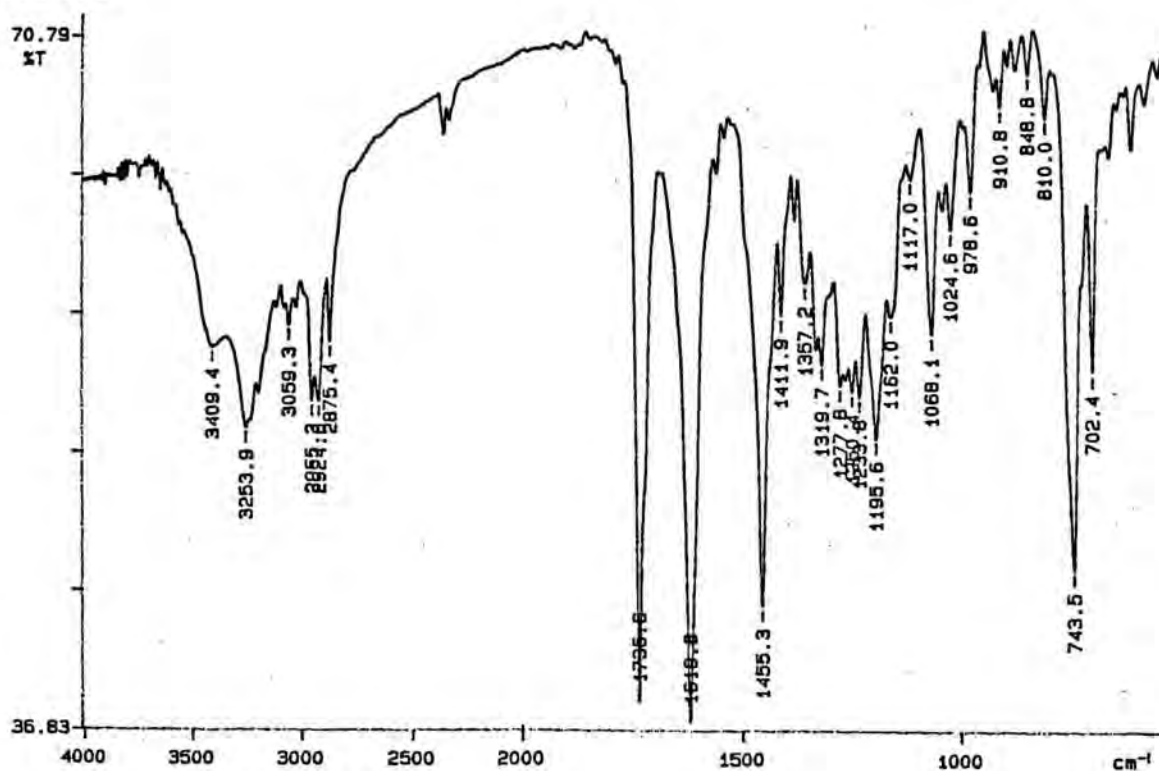


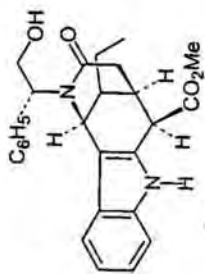
1-(*tert*-Butoxycarbonyl)-2-indoleacetate de metil (114)



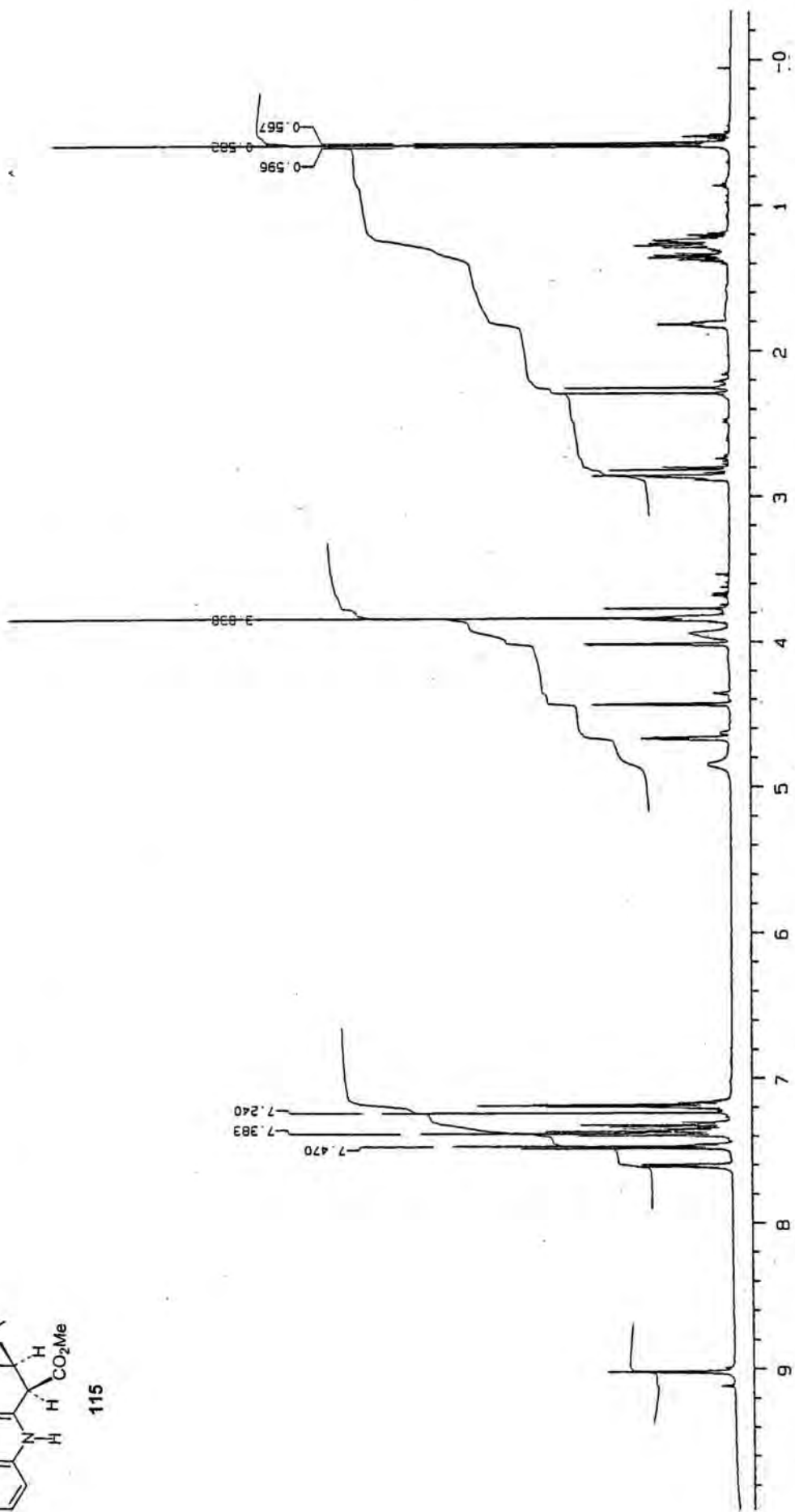
(1*S*,5*R*,6*R*,12*S*)-12-Etil-2-[(1*R*)-1-fenil-2-hidroxi-etil]-6-(metoxicarbonil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-*b*]indole (115)

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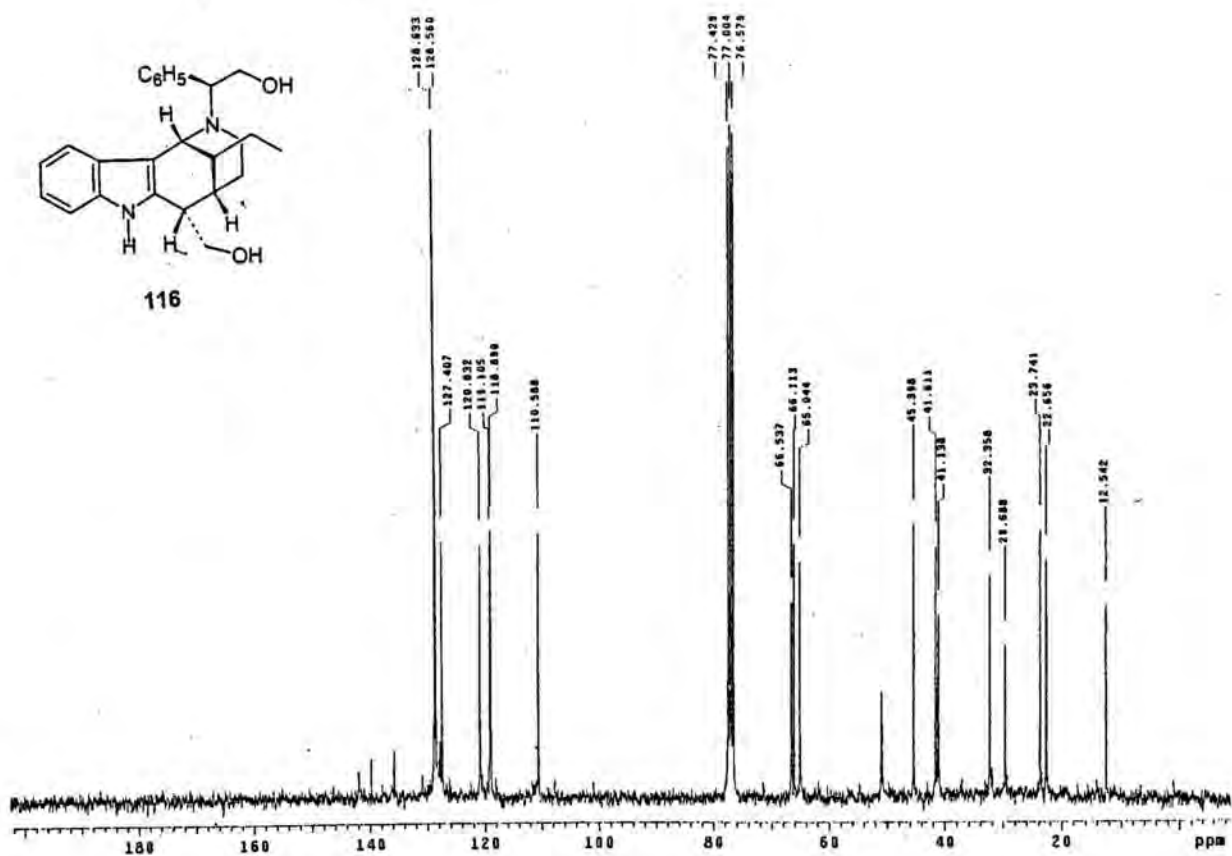




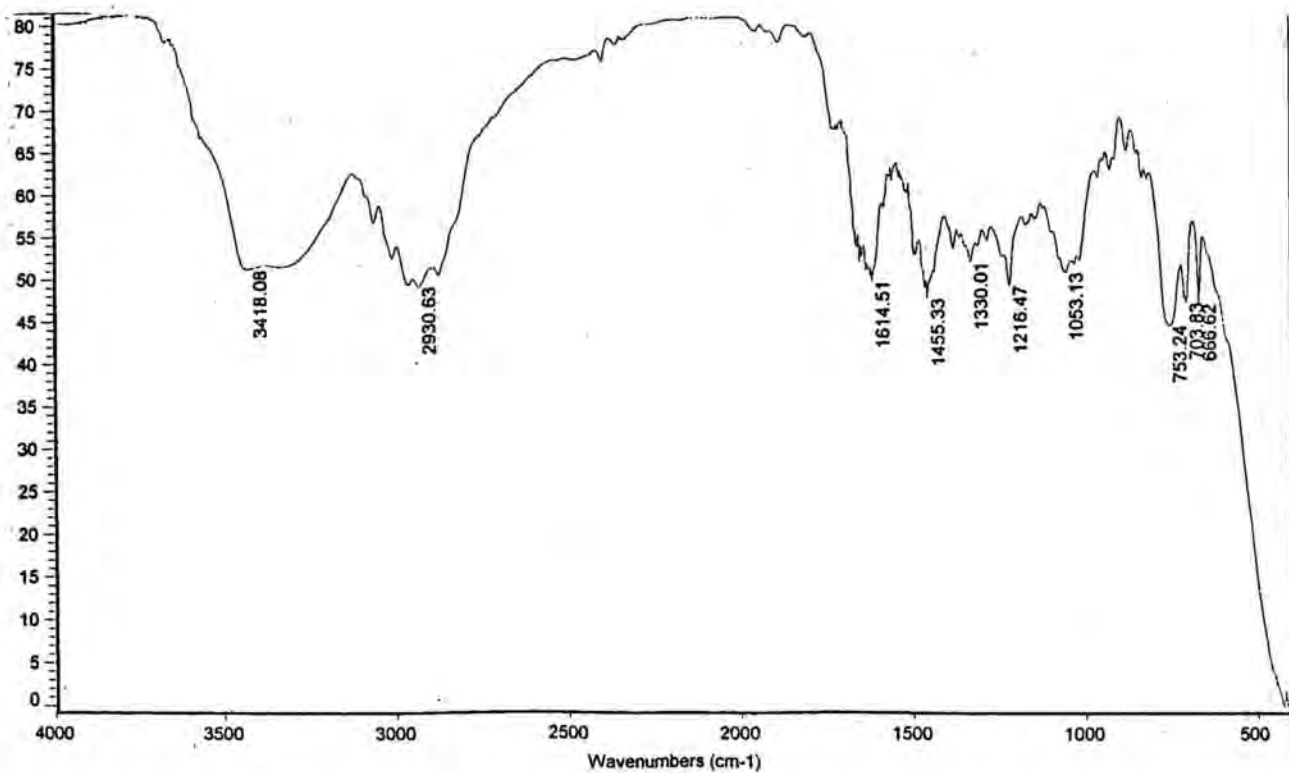
115

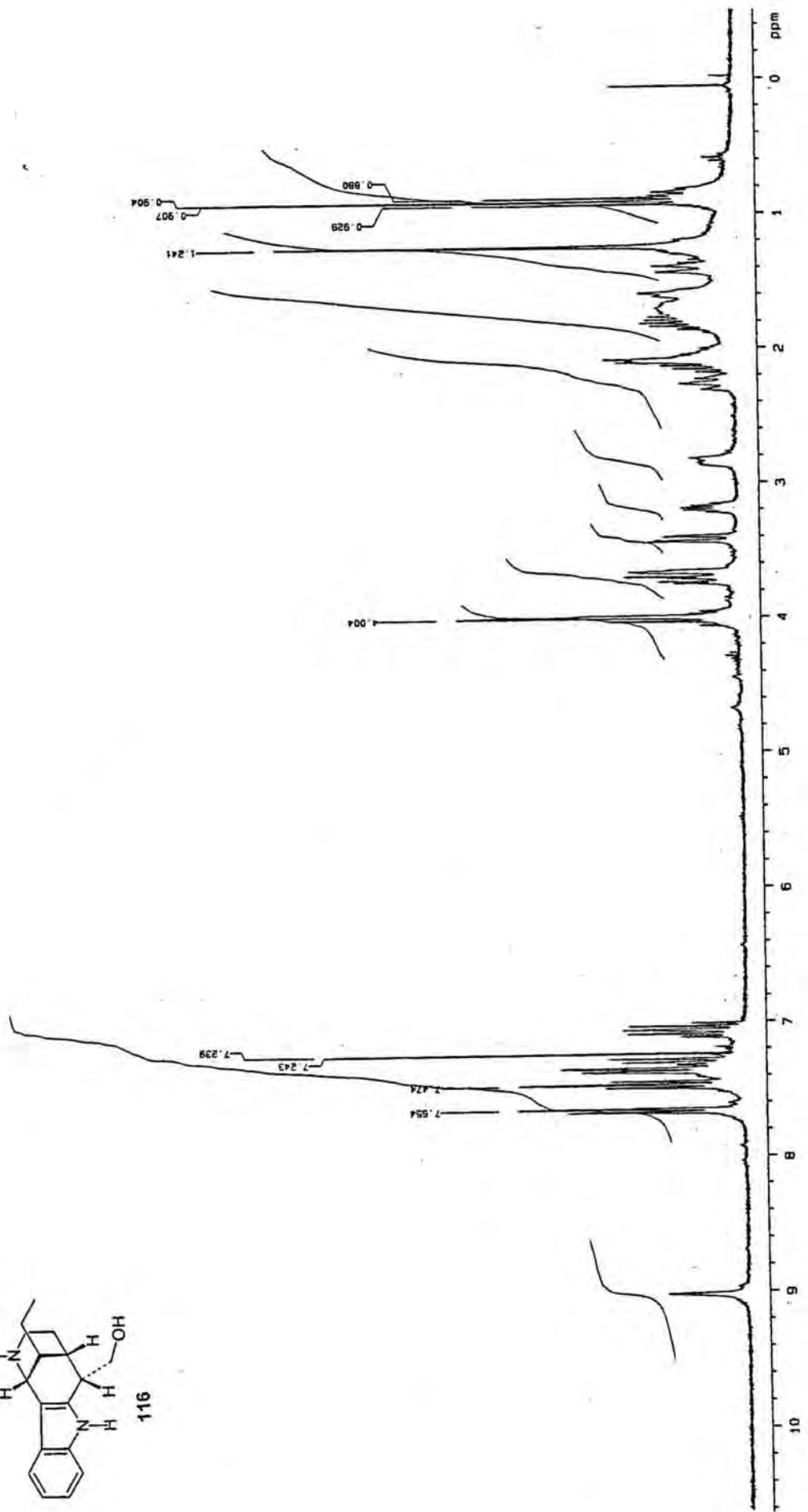
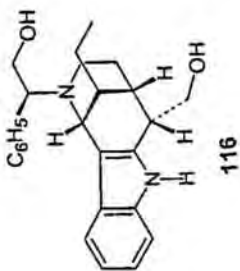


(1S,5R,6R,12S)-12-Etil-2-[[1R]-1-fenil-2-hidroxi-2-[[6-(metoxicarbonil)3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-b]indole (115)

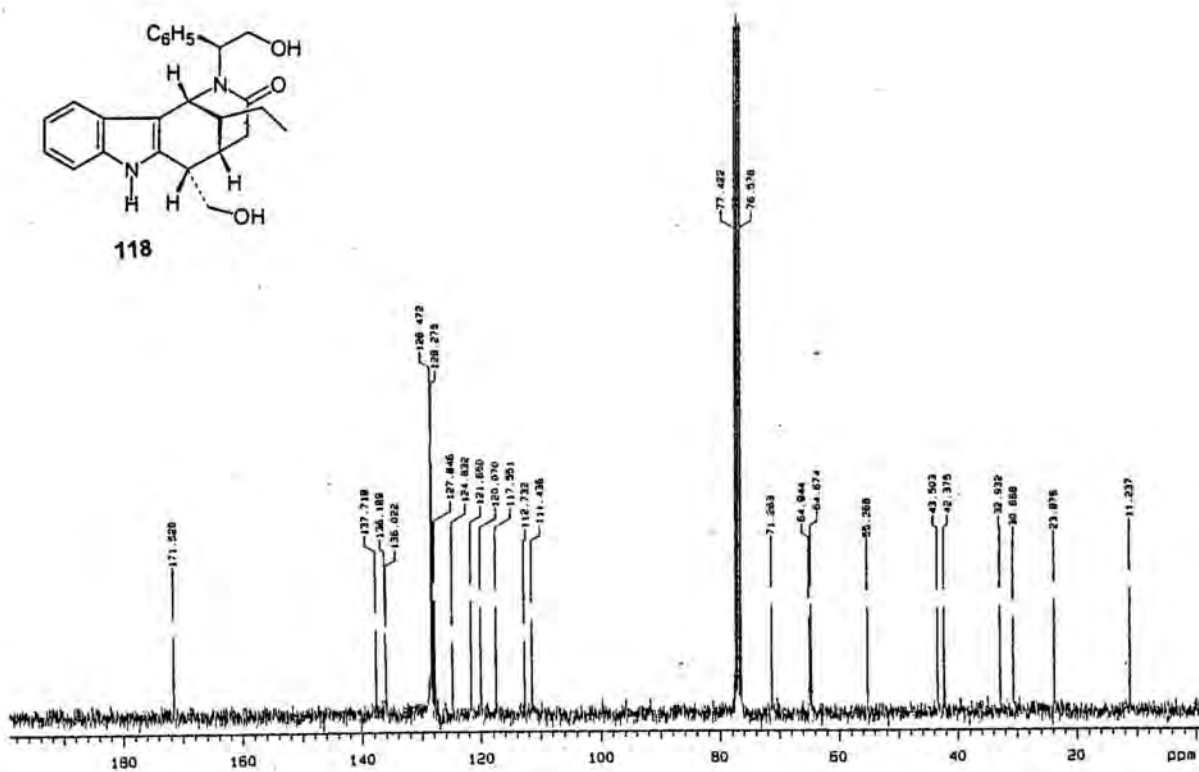
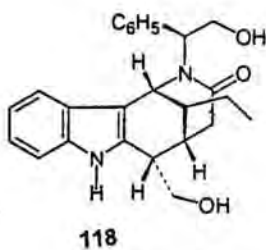


(1*R*,5*S*,6*S*,12*R*)-12-Etil-2-[(1*S*)-1-fenil-2-hidroxietyl]-6-(hidroxi metil)-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-*b*]indole (116)

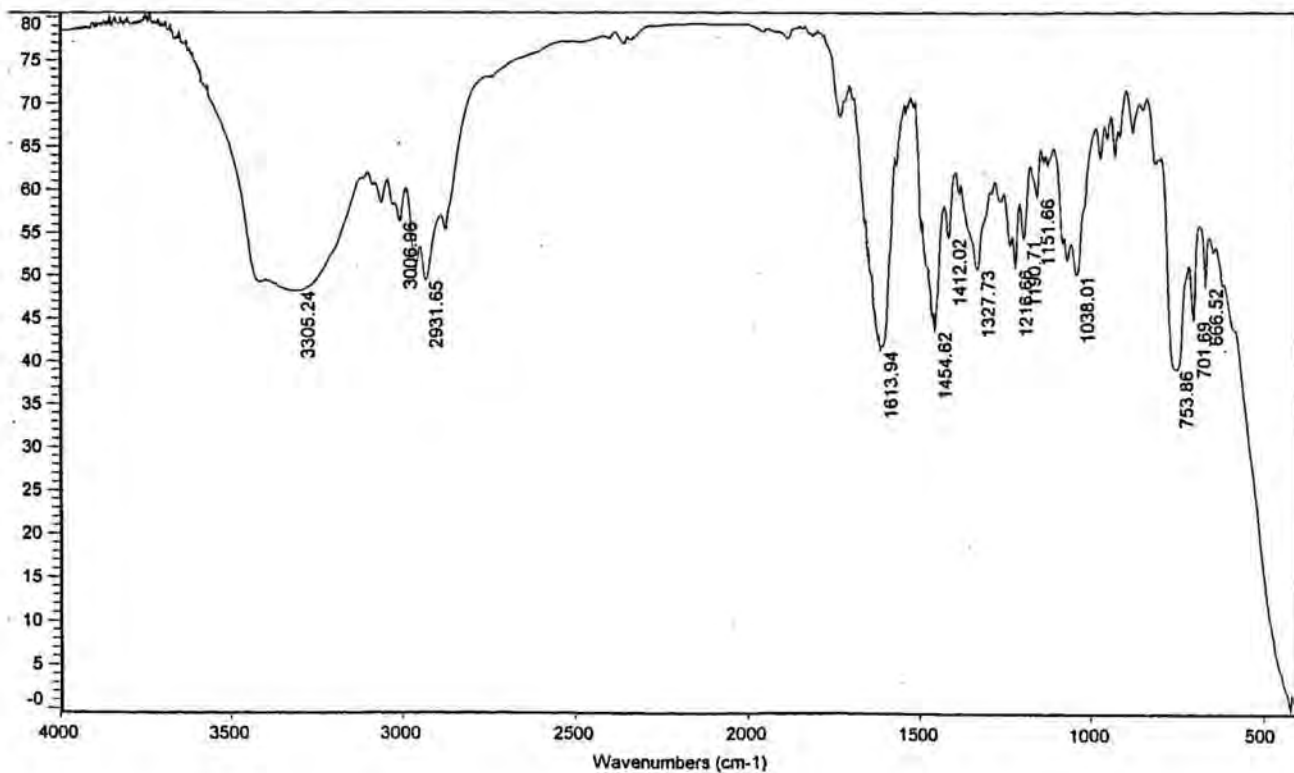


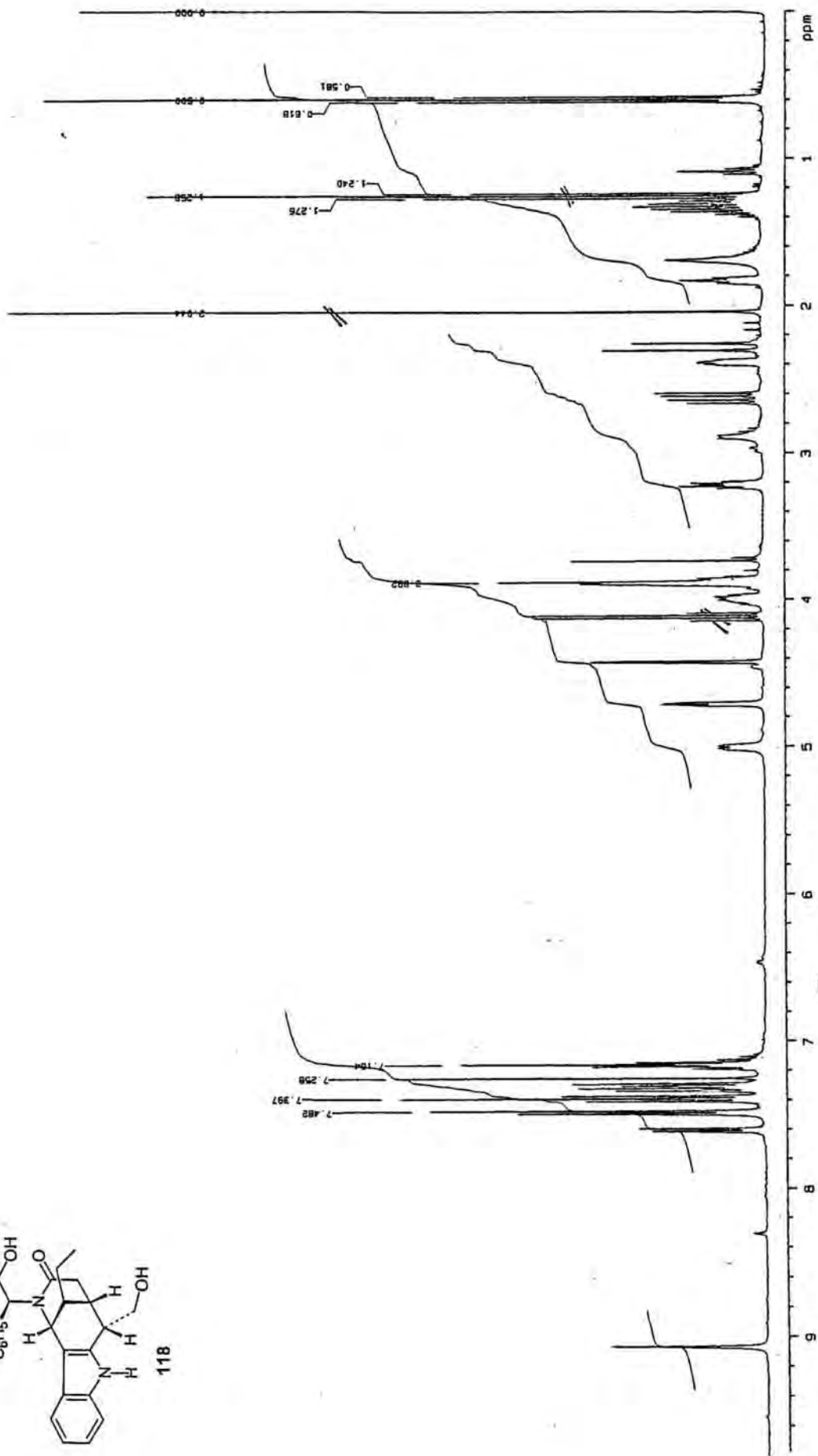
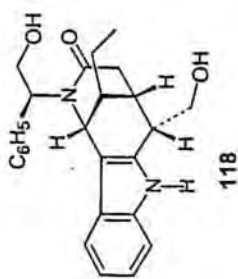


(1*R*,5*S*,6*S*,12*R*)-12-Etil-2-[(1*S*)-1-fenil-2-hidroxi-etil]-6-(hidroxi-metil)-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-*b*]indole (116)

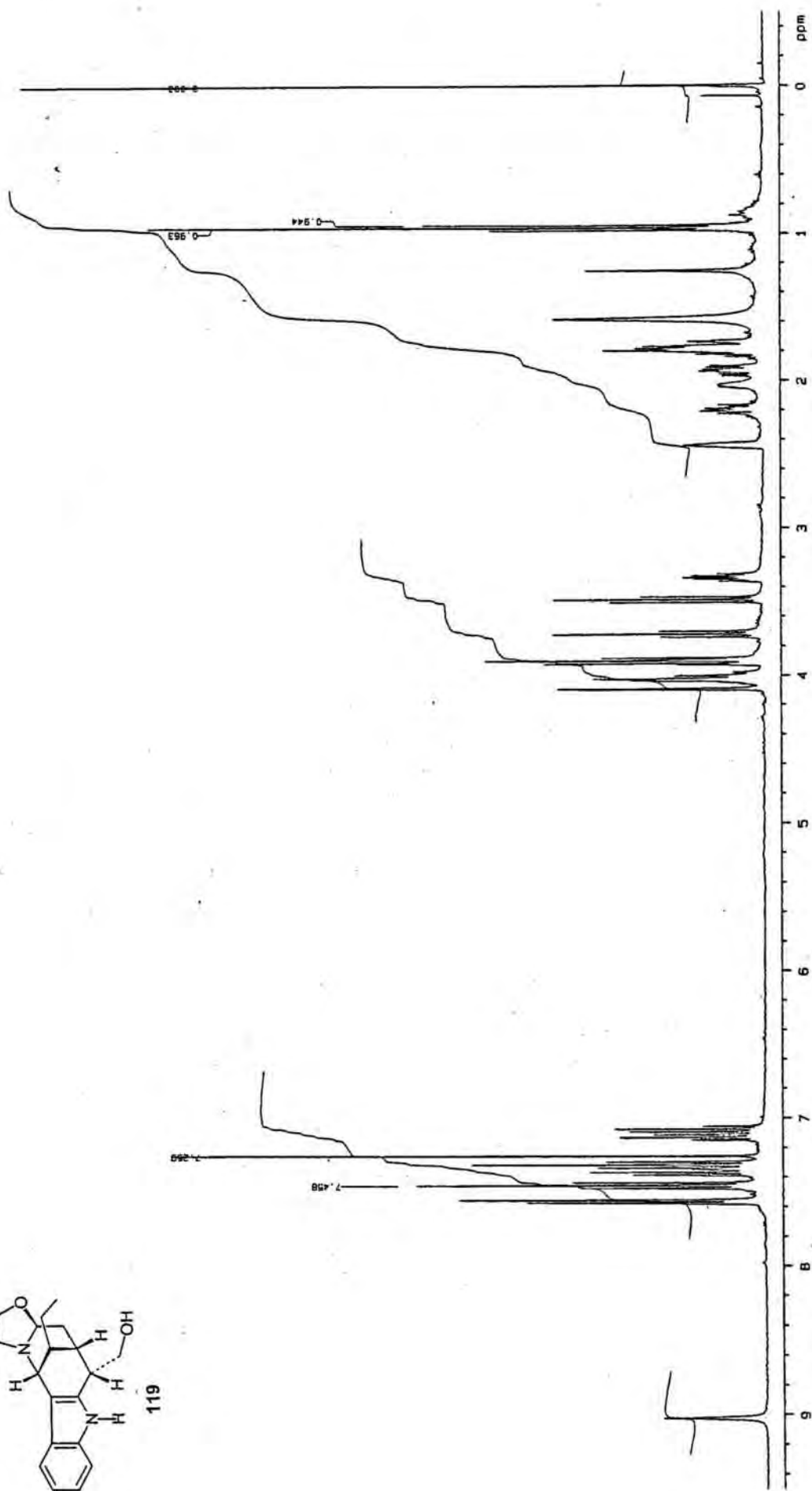
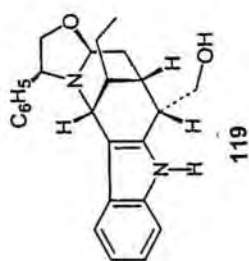


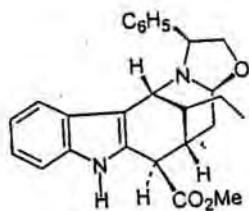
(1R,5S,6S,12R)-12-Etil-2-[(1S)-1-fenil-2-hidroxietyl]-6-(hidroxi metil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-b]indole (118)



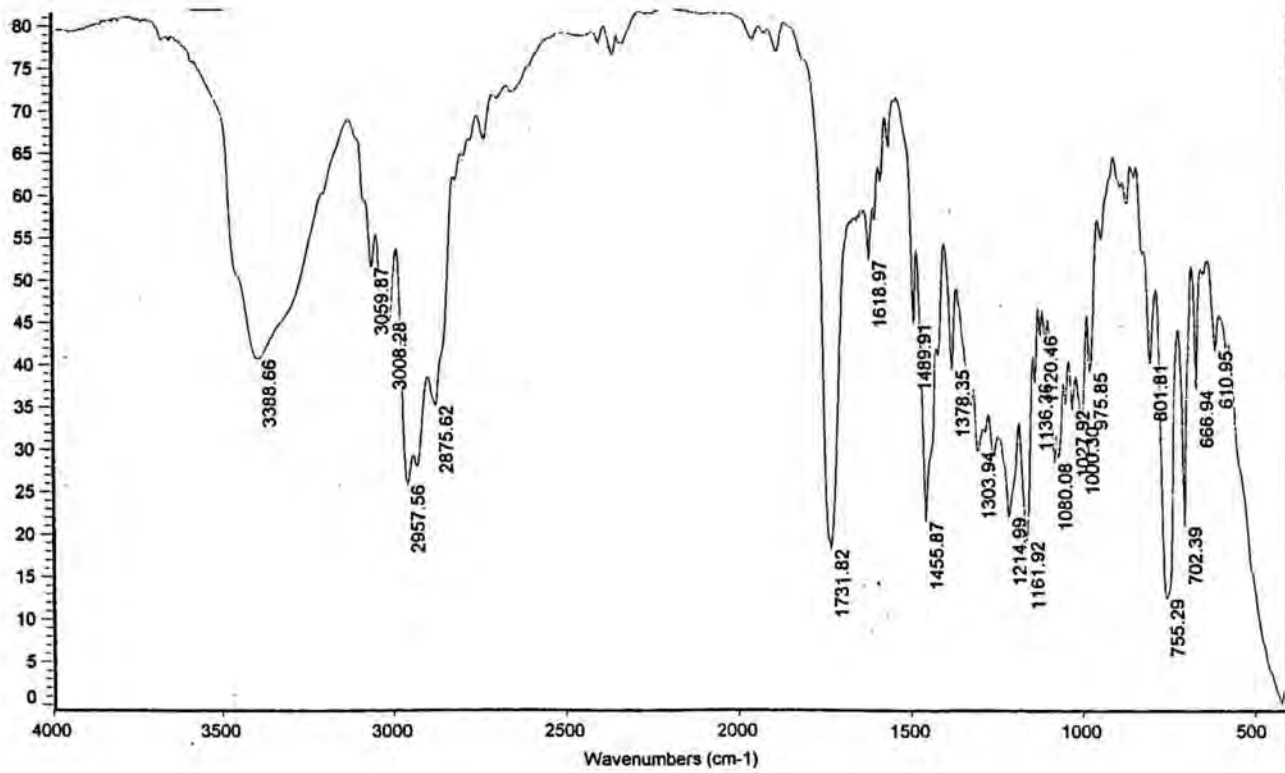
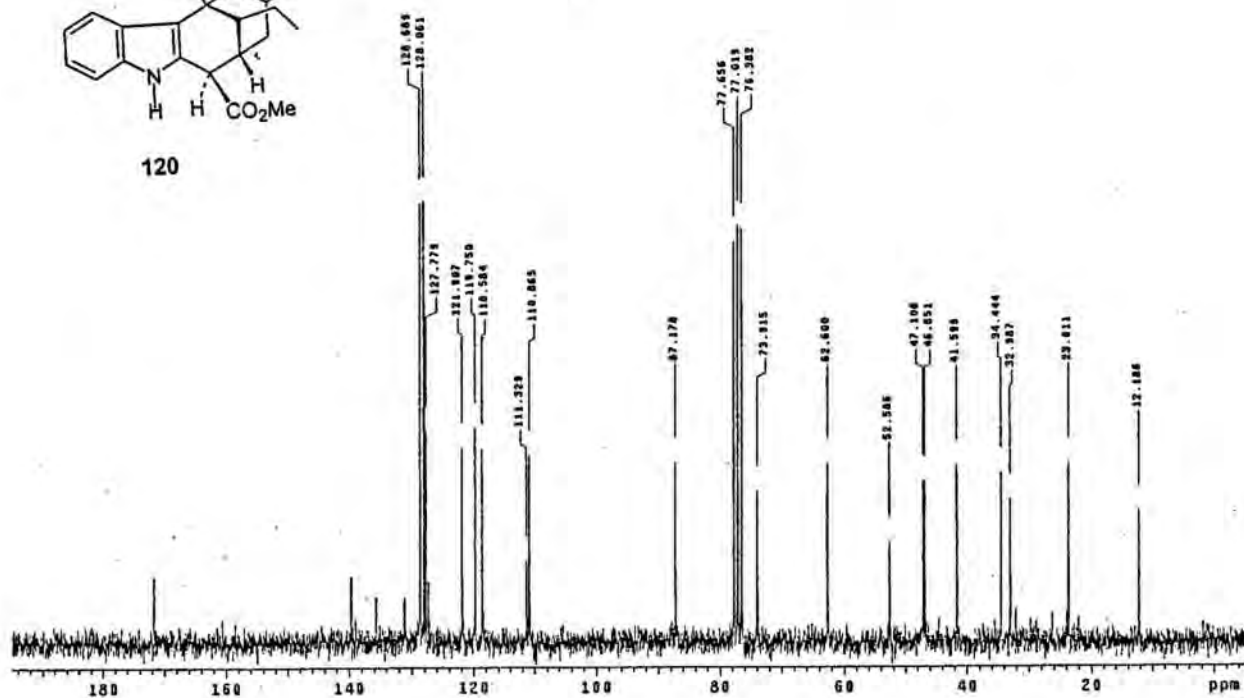


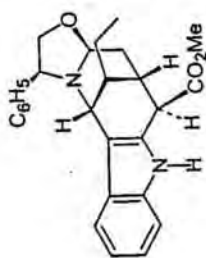
(1*R*,5*S*,6*S*,12*R*)-12-Etil-2-[(1*S*)-1-fenil-2-hidroxietil]-6-(hidroxietil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-*b*]indole (118)



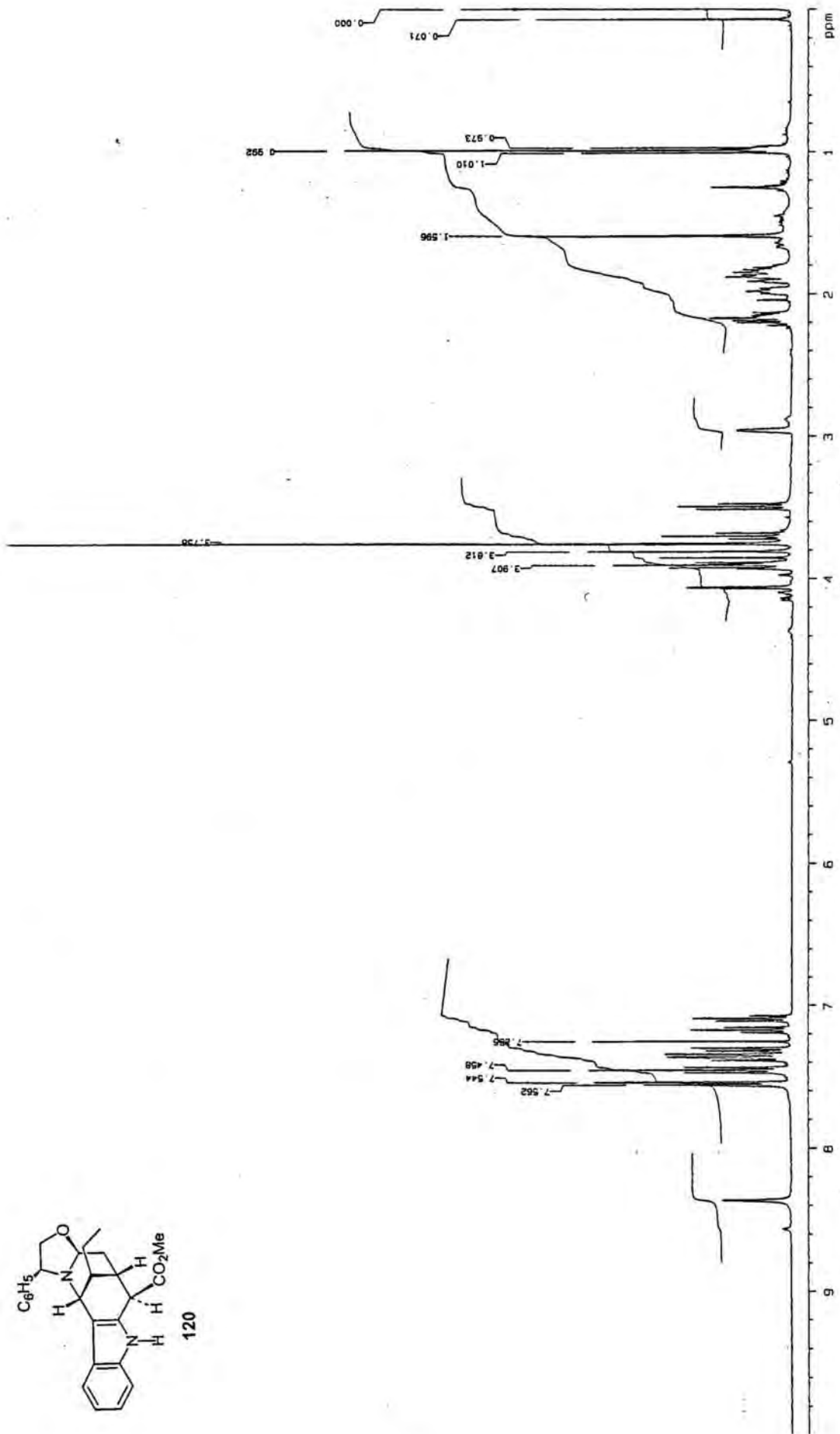


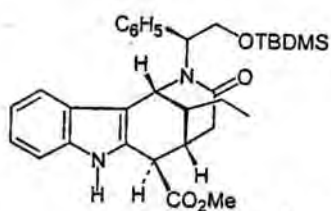
120



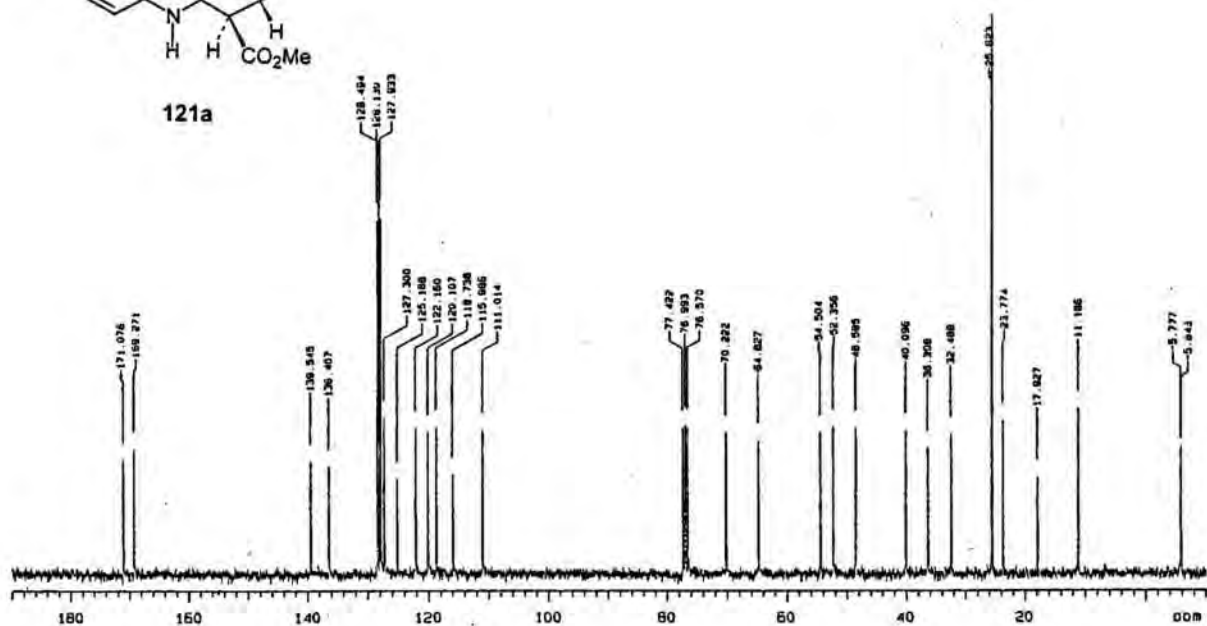


120

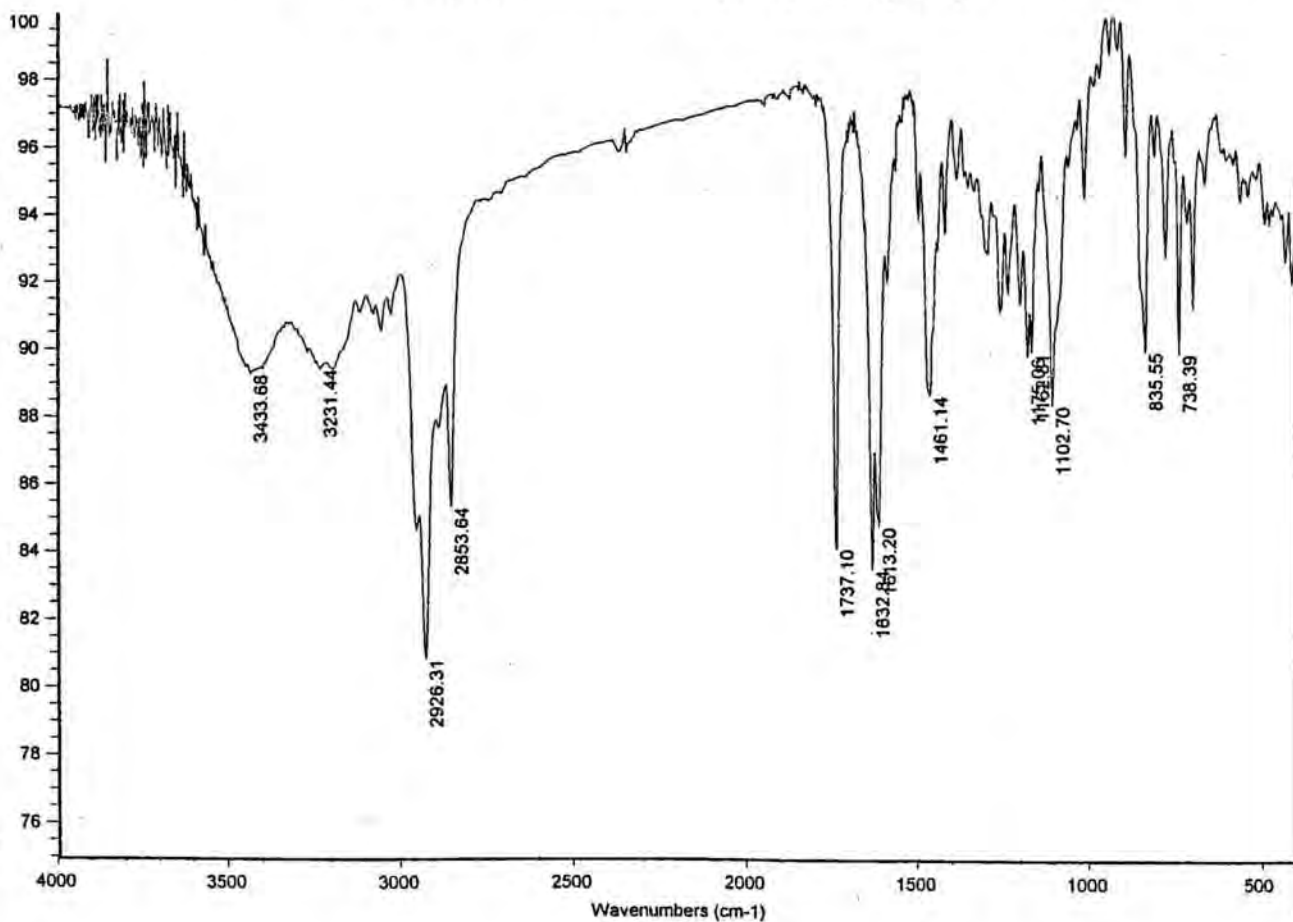


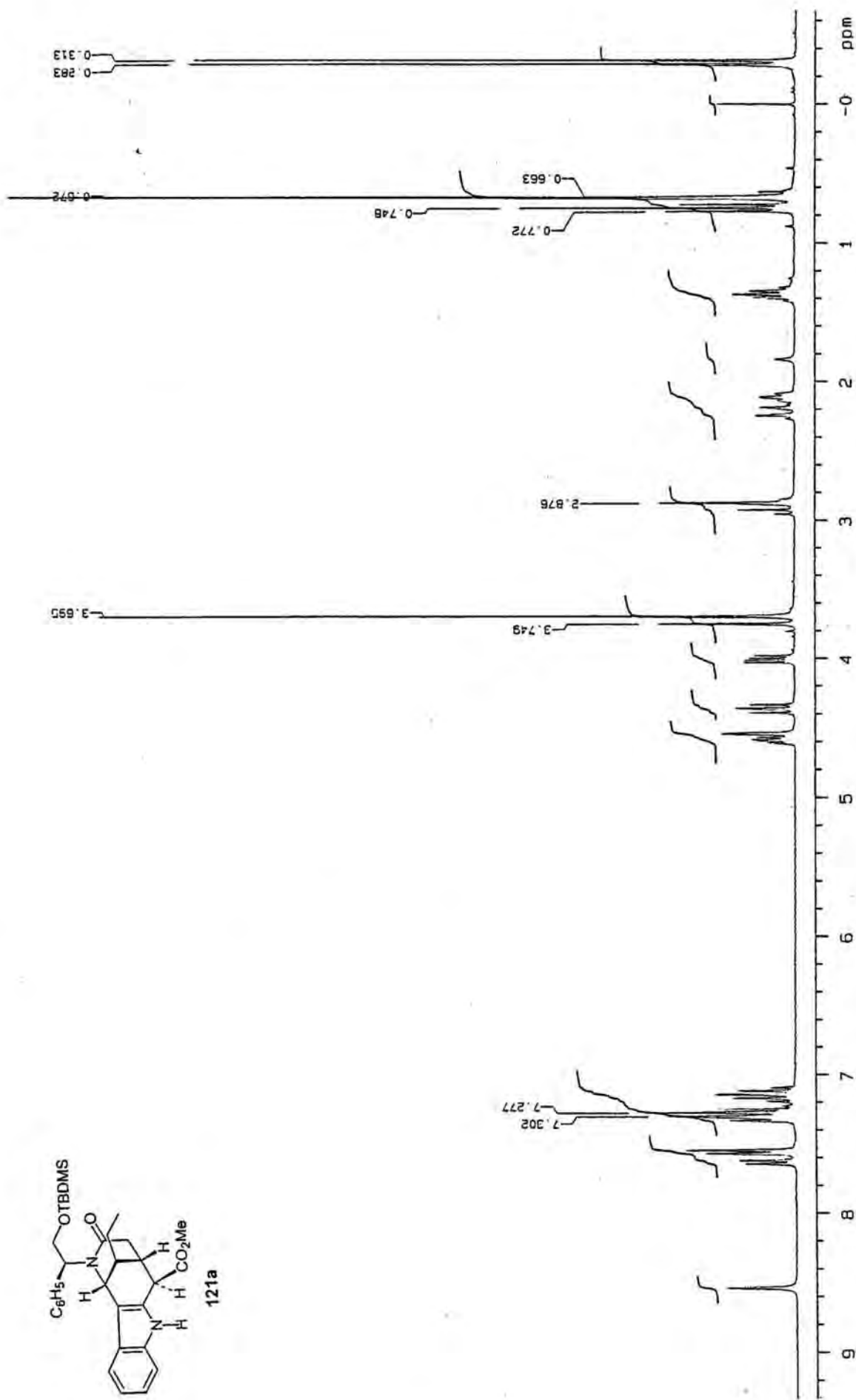
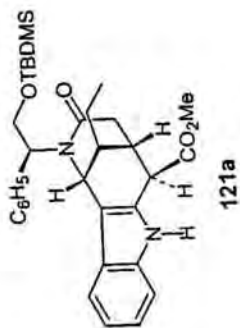


121a

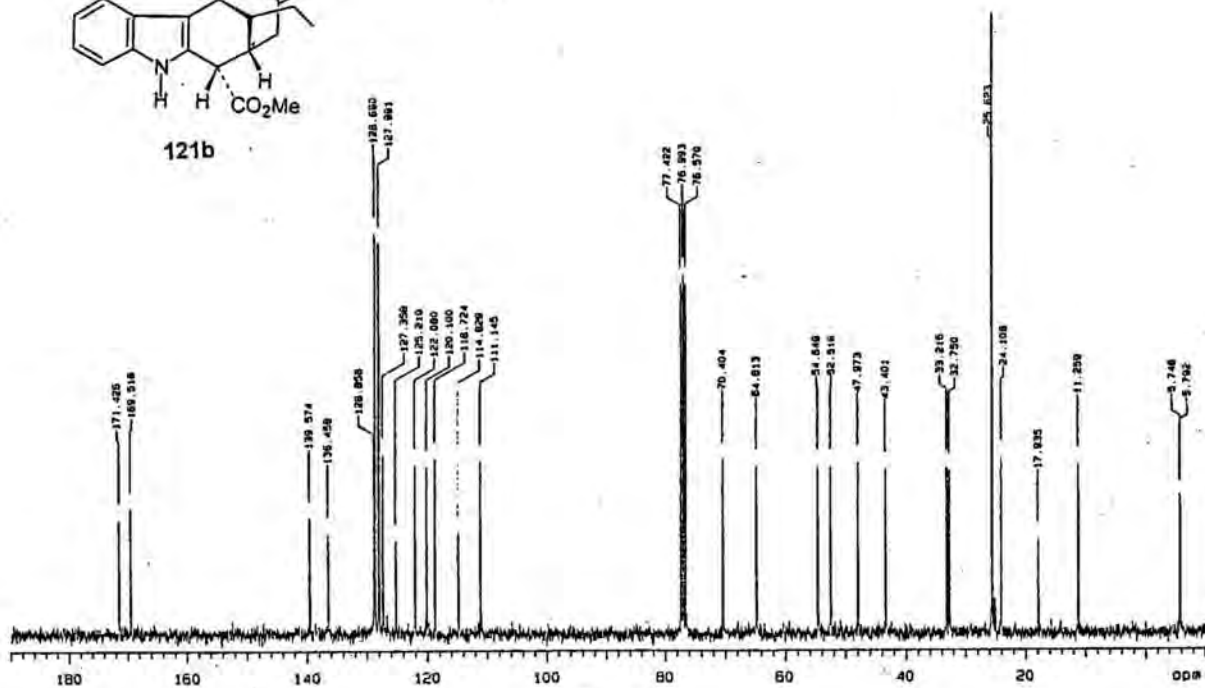
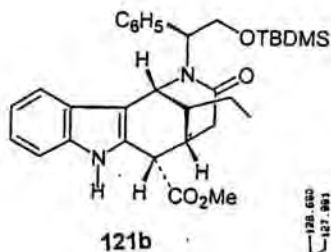


(1*R*,5*S*,6*R*,12*R*)-12-Etil-2-[(1*S*)-1-fenil-2-(*tert*-butildimetil)-sililoxietil]-6-(metoxicarbonil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-*b*]indole (121a)

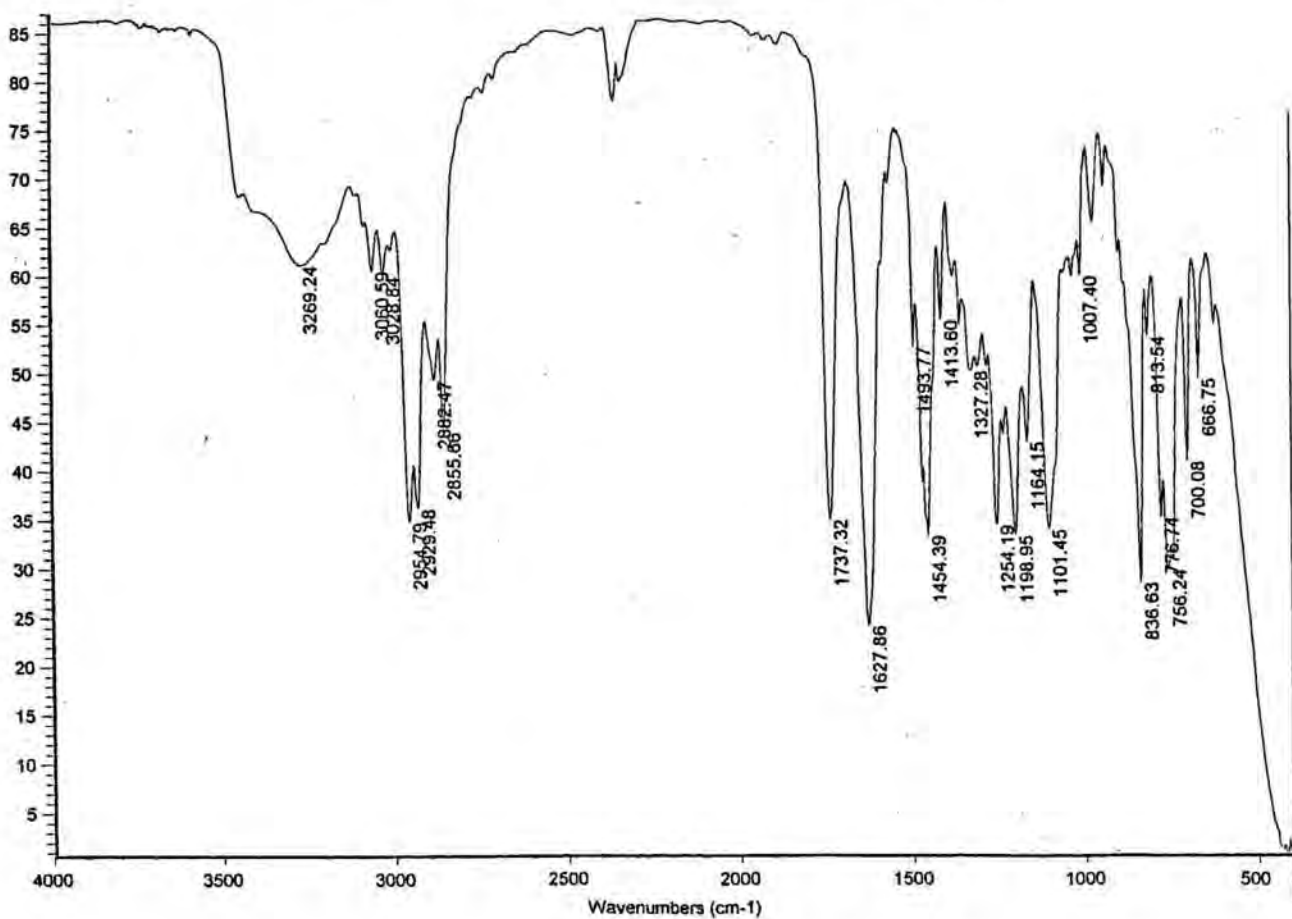


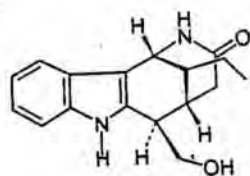


(1R,5S,6R,12R)-12-Ethyl-2-[(1S)-1-phenyl-2-(tert-butyl dimethylsilyloxyethyl)-6-(methoxycarbonyl)-6-(methoxycarbonyl)-3-oxo-1,2,3,4,5,6-hexahydro-1,5-metanoazocino[4,3-b]indole (121a)

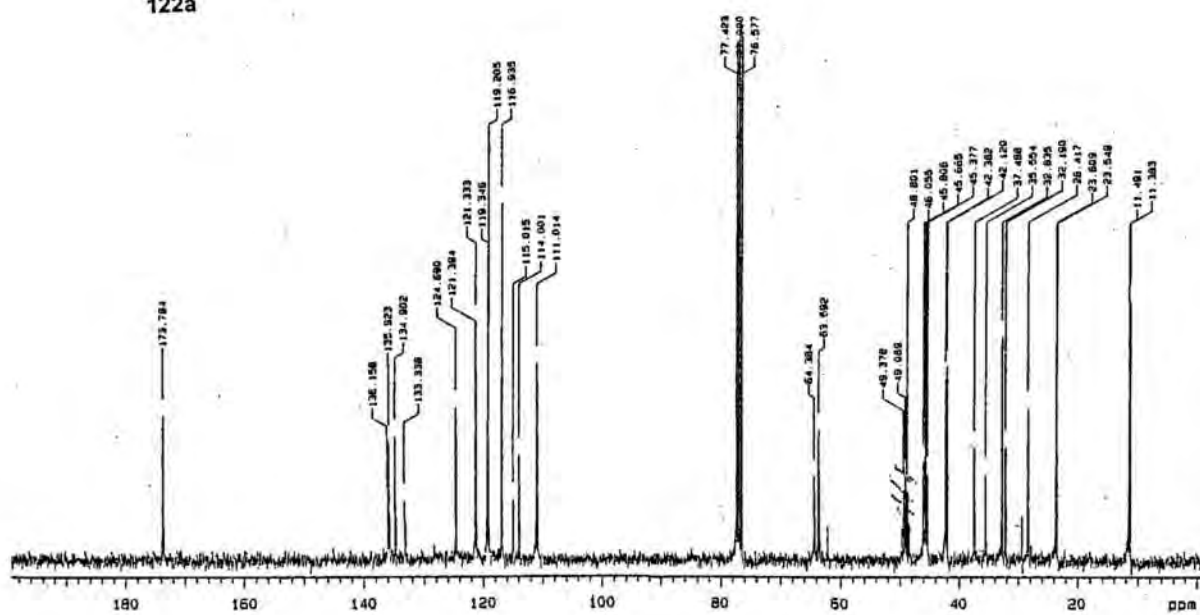


(1R,5S,6S,12R)-12-Etil-2-[(1S)-1-fenil-2-(*tert*-butildimetil)-sillioxietil]-6-(metoxicarbonil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-*b*] indole (121b)

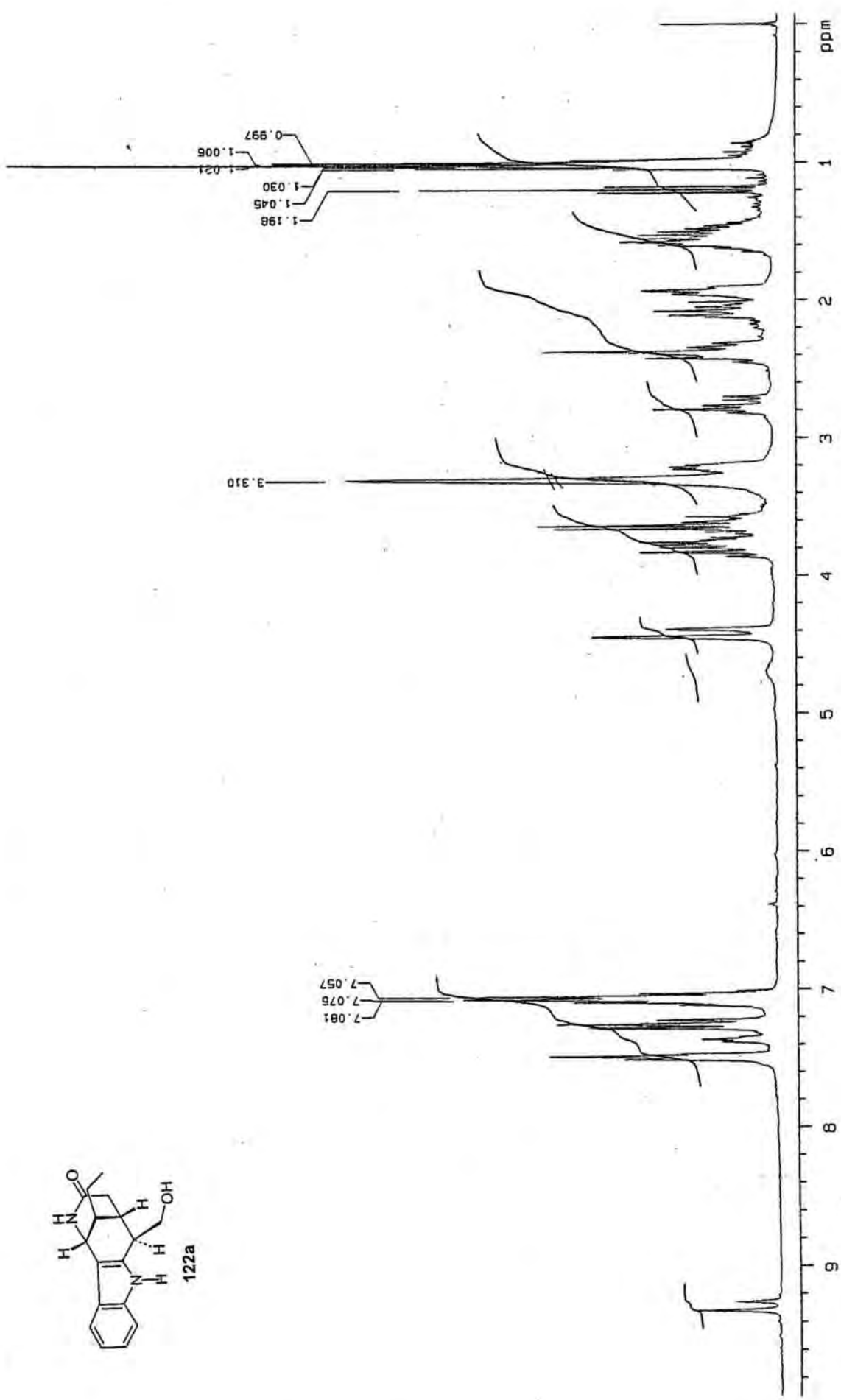
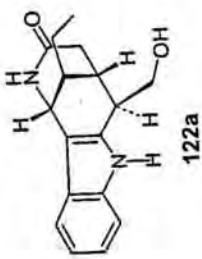




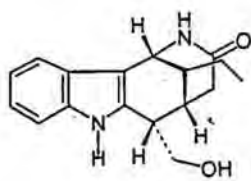
122a



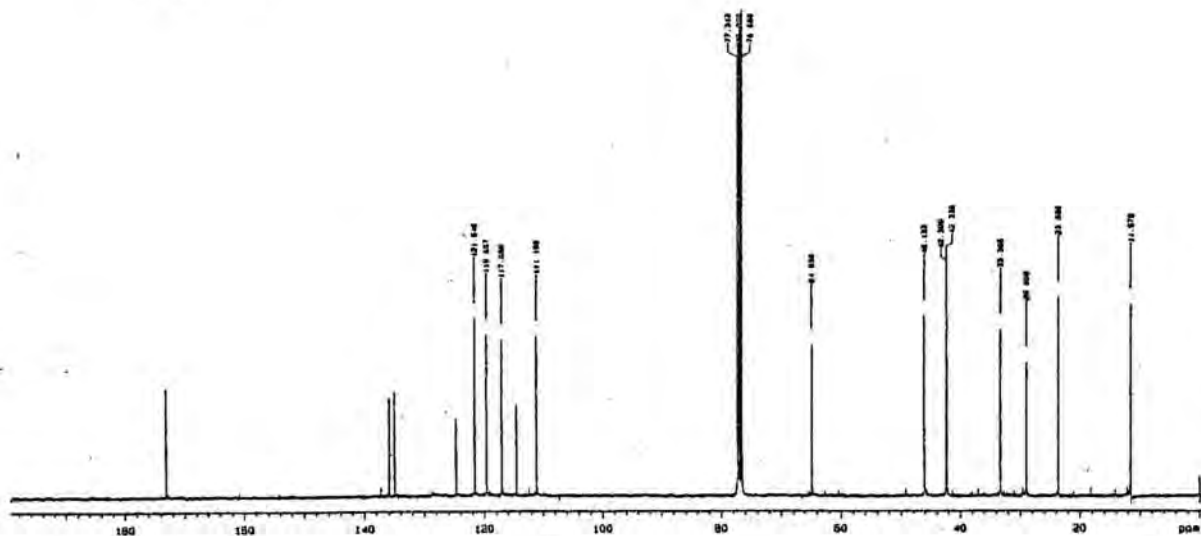
(1R,5S,6R,12R)-12-Etil-6-(hidroximetil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-b]indole (122a)



(1*R*,5*S*,6*R*,12*R*)-12-Etil-6-(hidroximetil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-*b*]indole (**122a**)

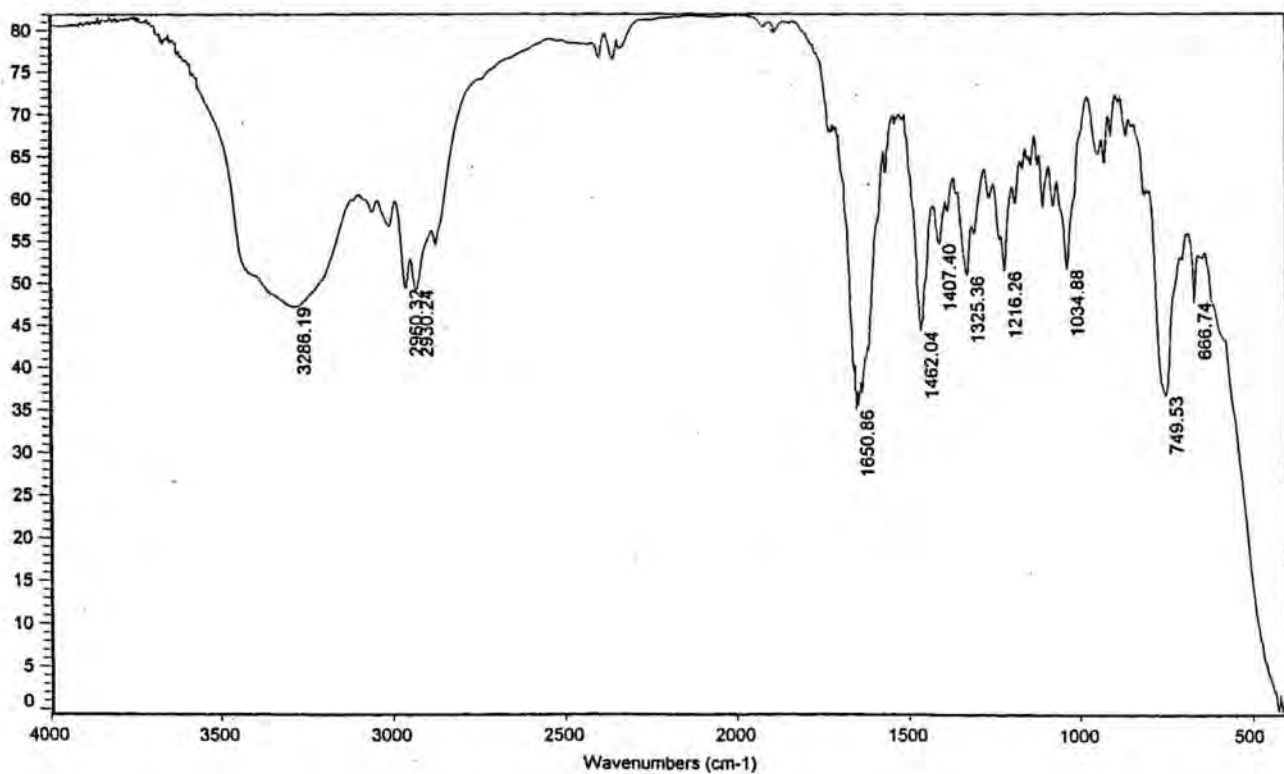


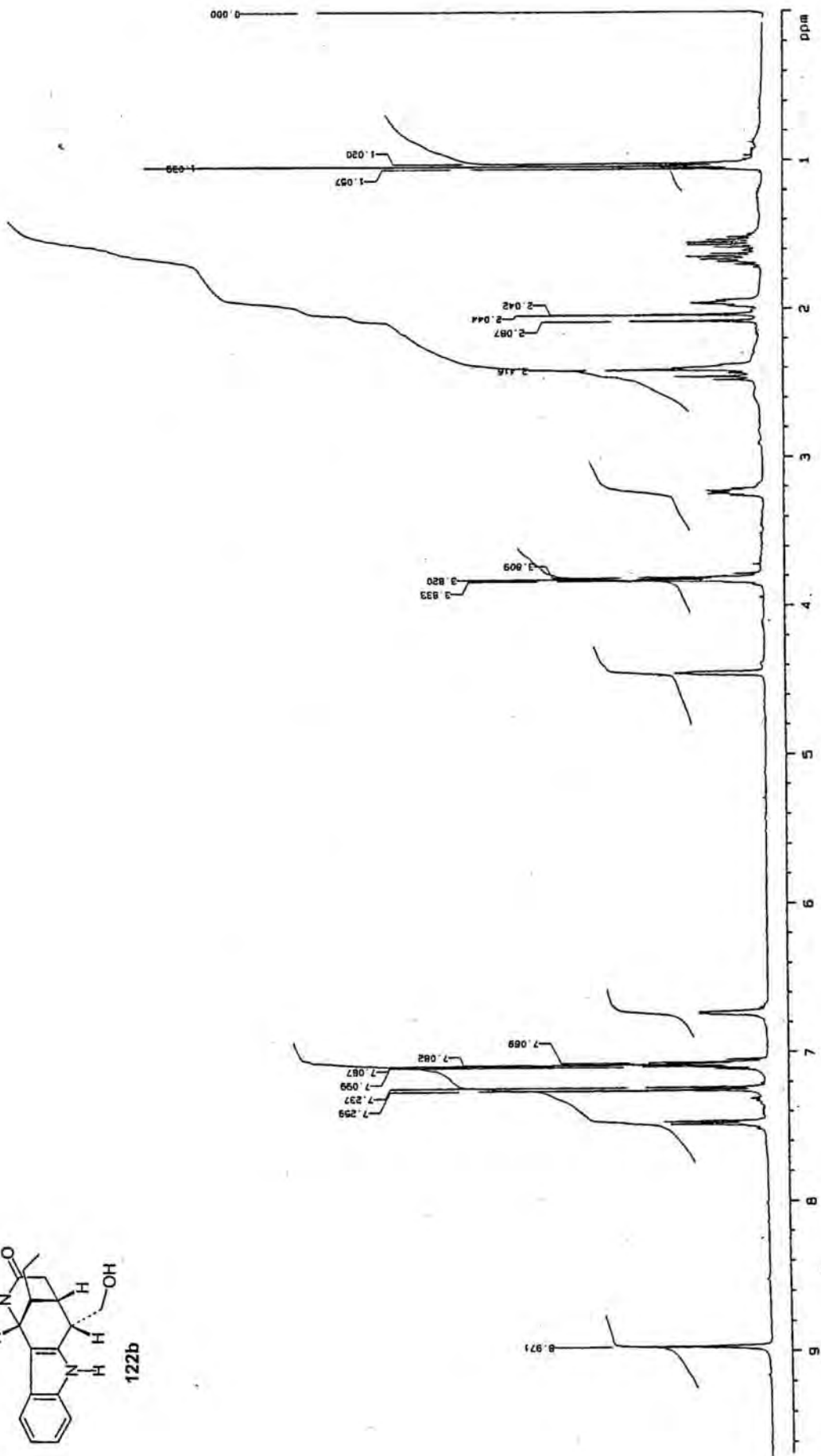
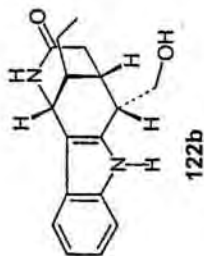
122b



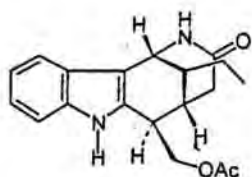
(1R,5S,6S,12R)-12-Etil-6-(hidroximetil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-b]indole

(122b)

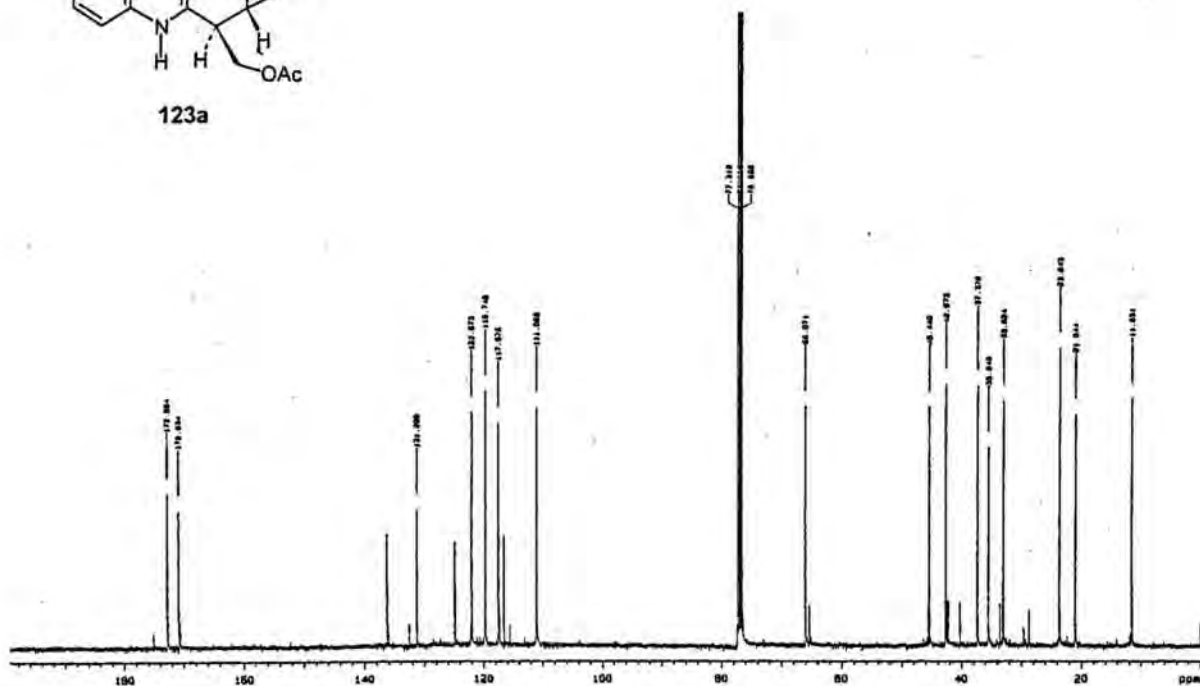




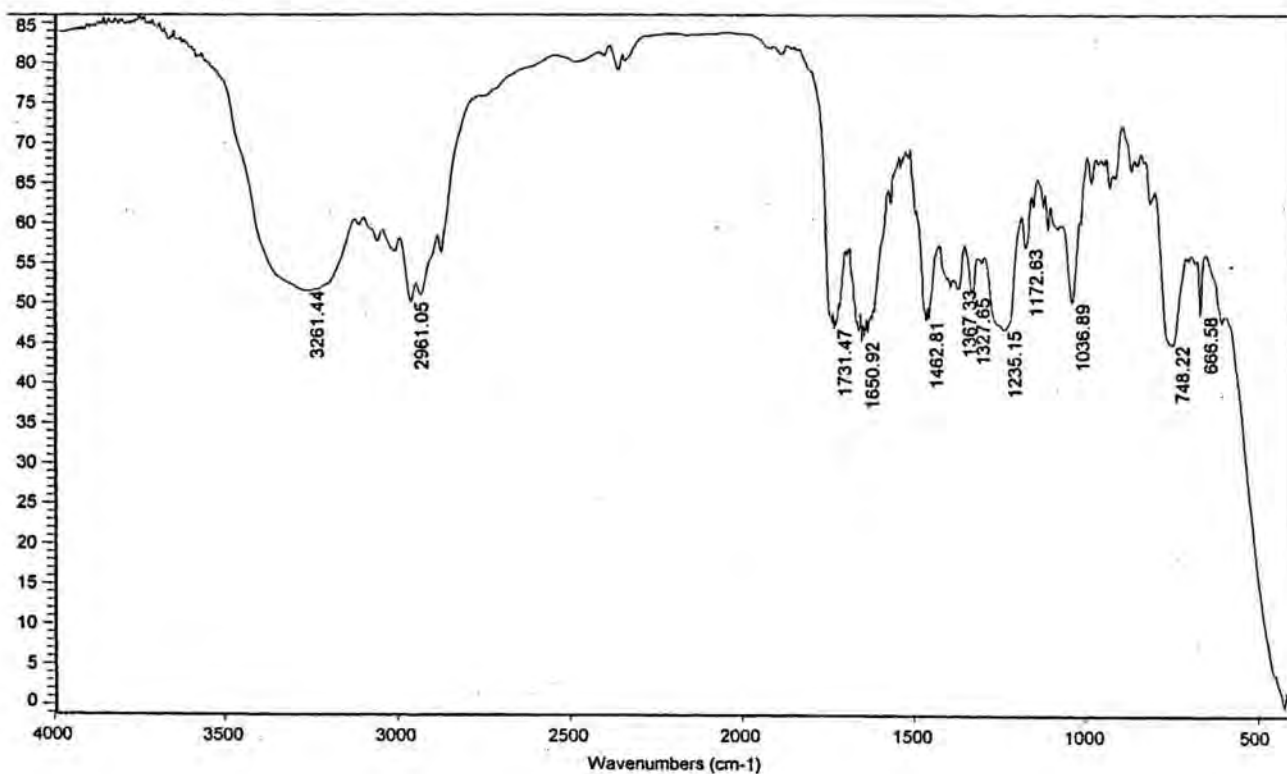
(1R,5S,6S,12R)-12-Etil-6-(hidroximetil)-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-b]indole (122b)

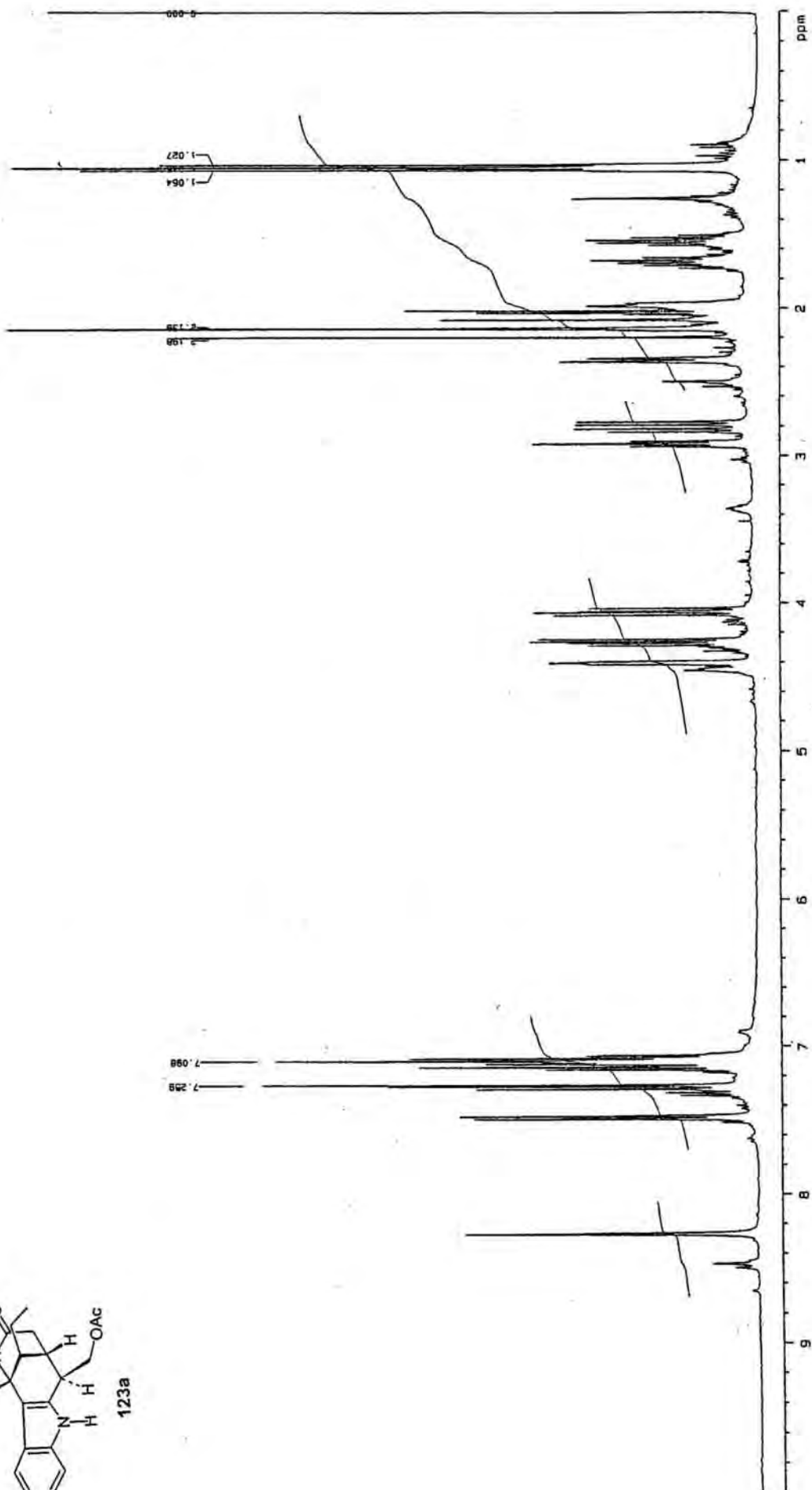
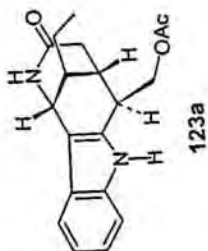


123a

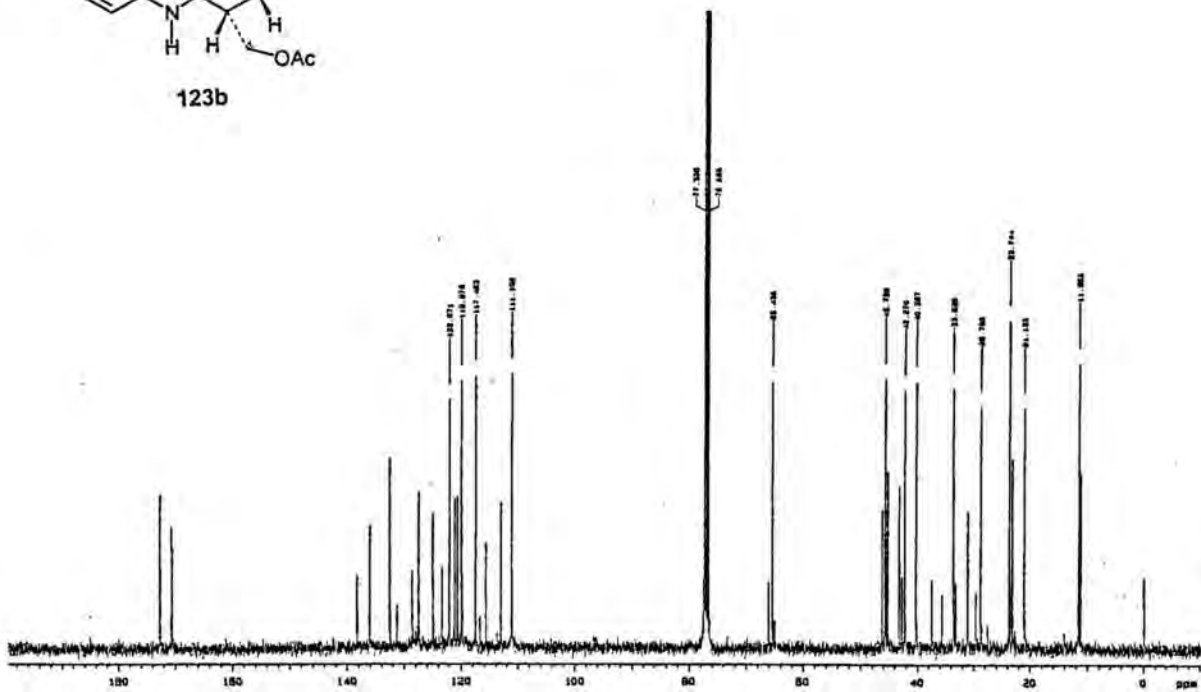
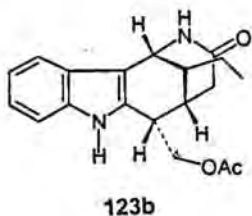


(1*R*,5*S*,6*R*,12*R*)-6-(Acetoximetil)-12-etil-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-*b*]indol (123a)

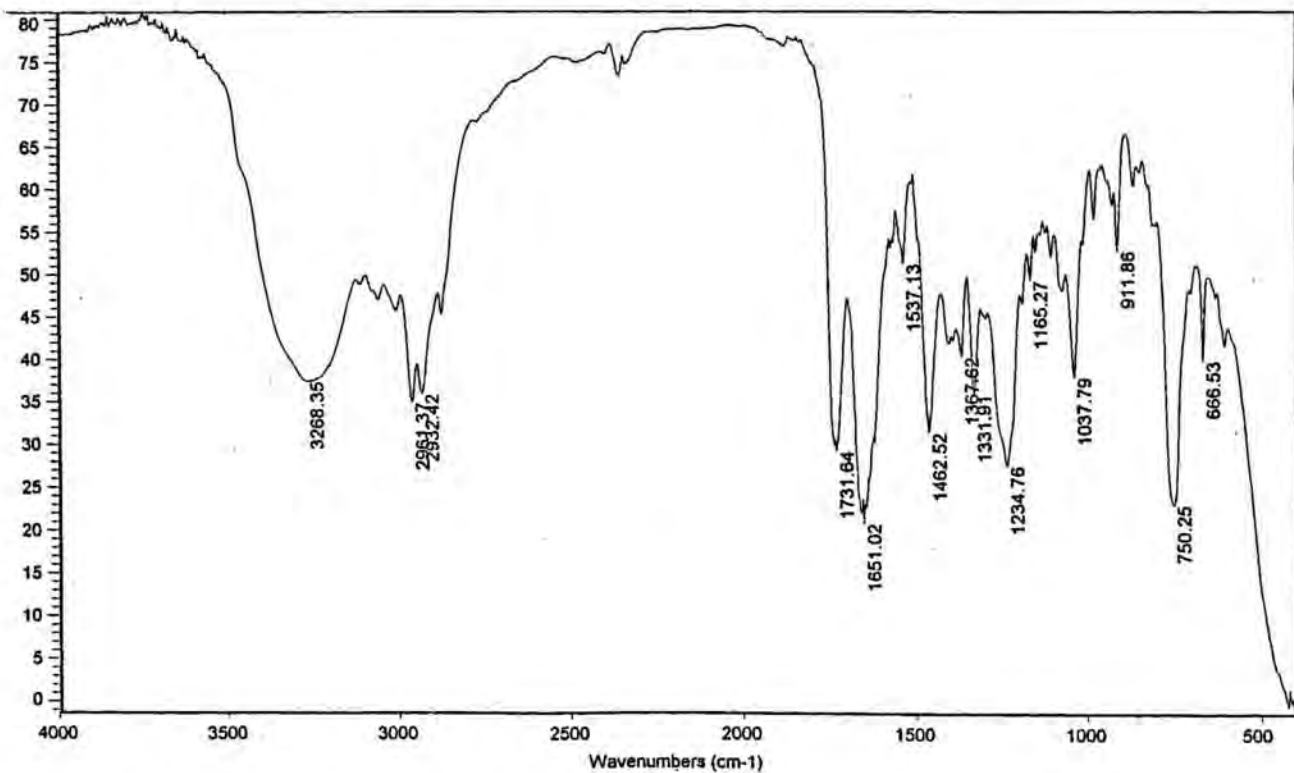


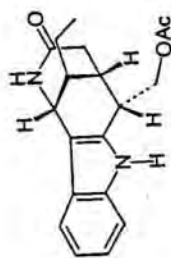


(1R,5S,6R,12R)-6-(Acetoximetil)-12-etil-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-b]indol (123a)

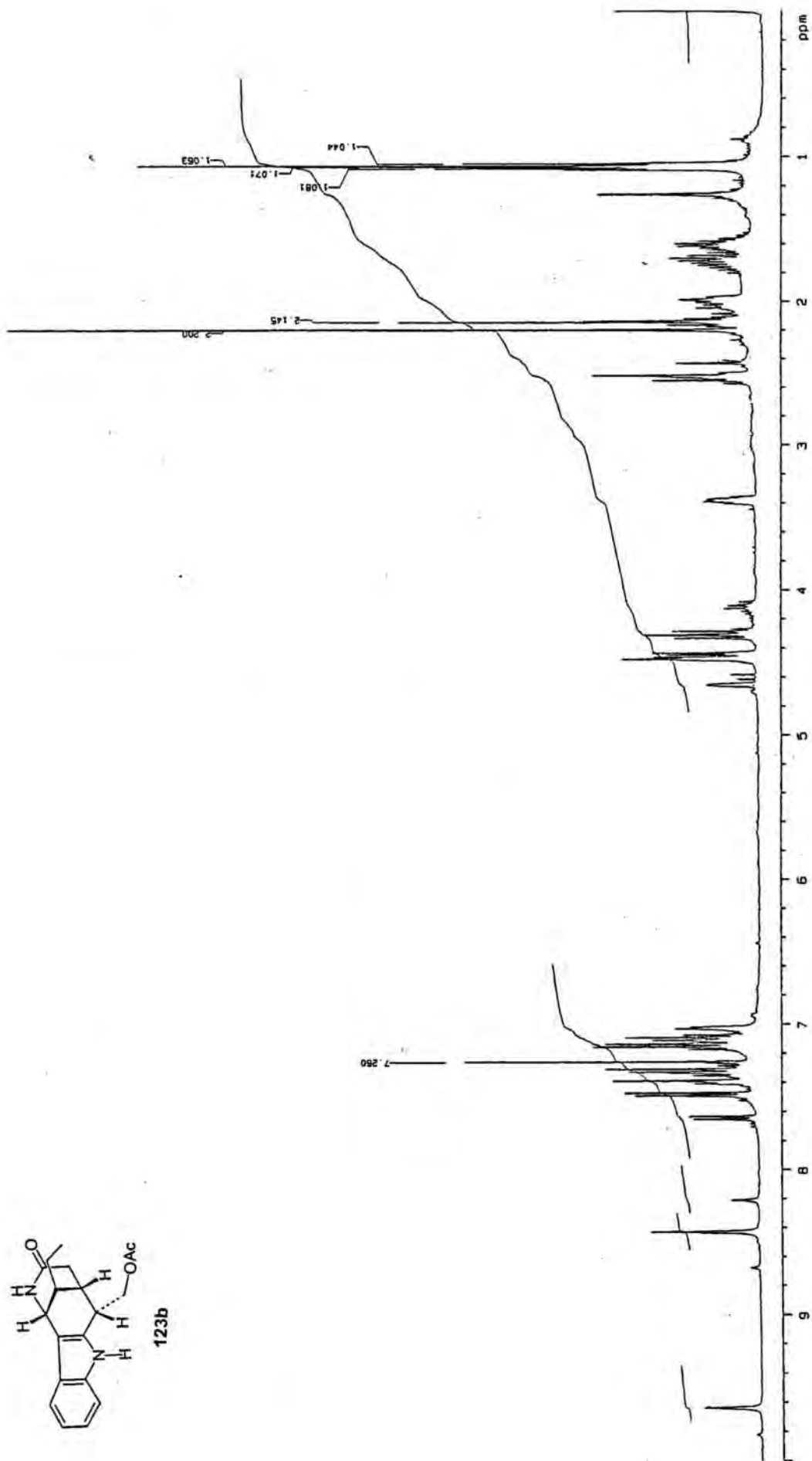


(1*R*,5*S*,6*S*,12*R*)-6-(Acetoximetil)-12-etil-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-*b*]indol (123b)

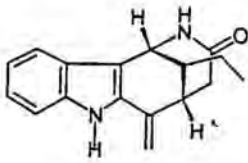




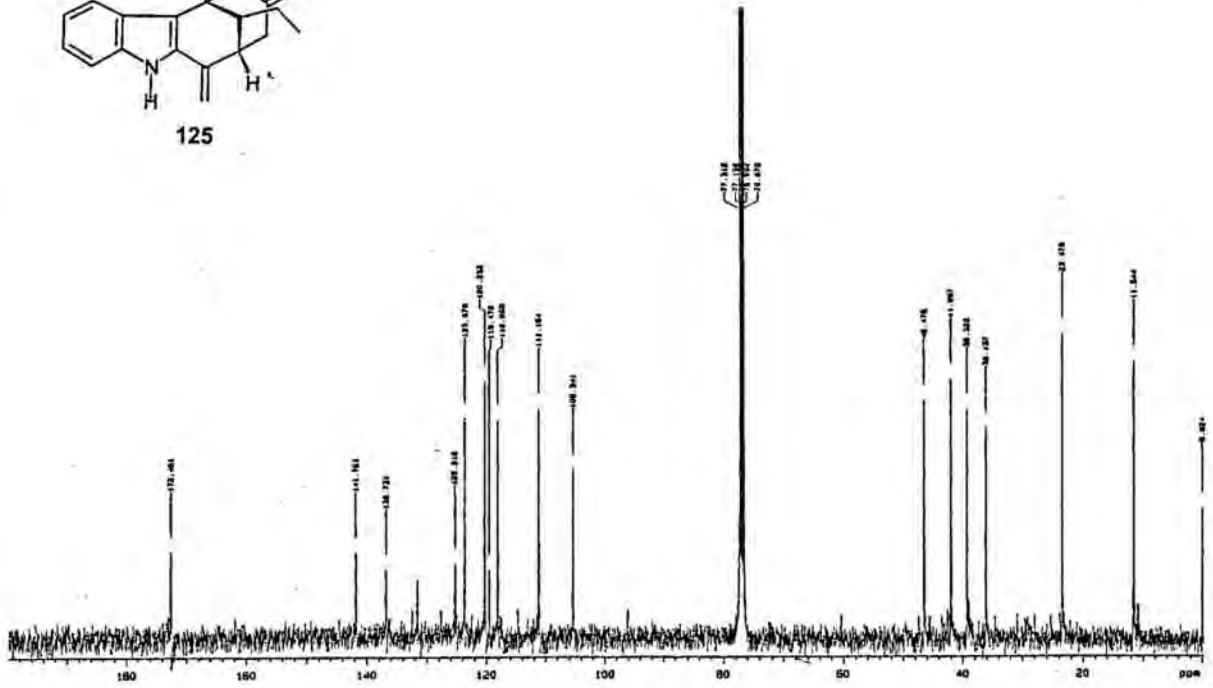
123b



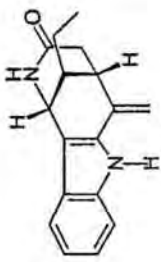
(1R,5S,6S,12R)-6-(Acetoximetil)-12-etil-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-b]indol (123b)



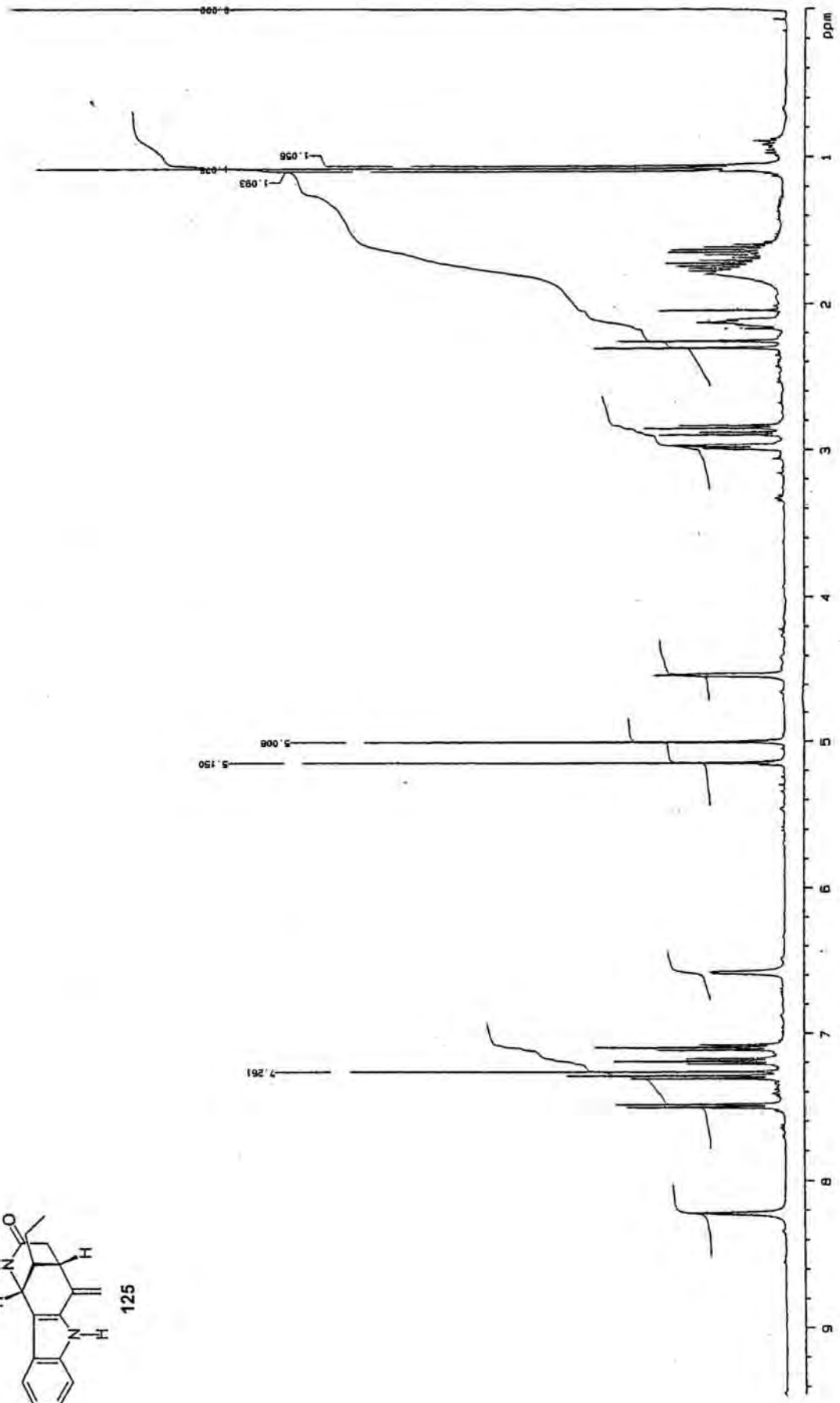
125



(1*R*,5*S*,12*R*)-12-Etil-6-metilen-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-*b*]indole (125)

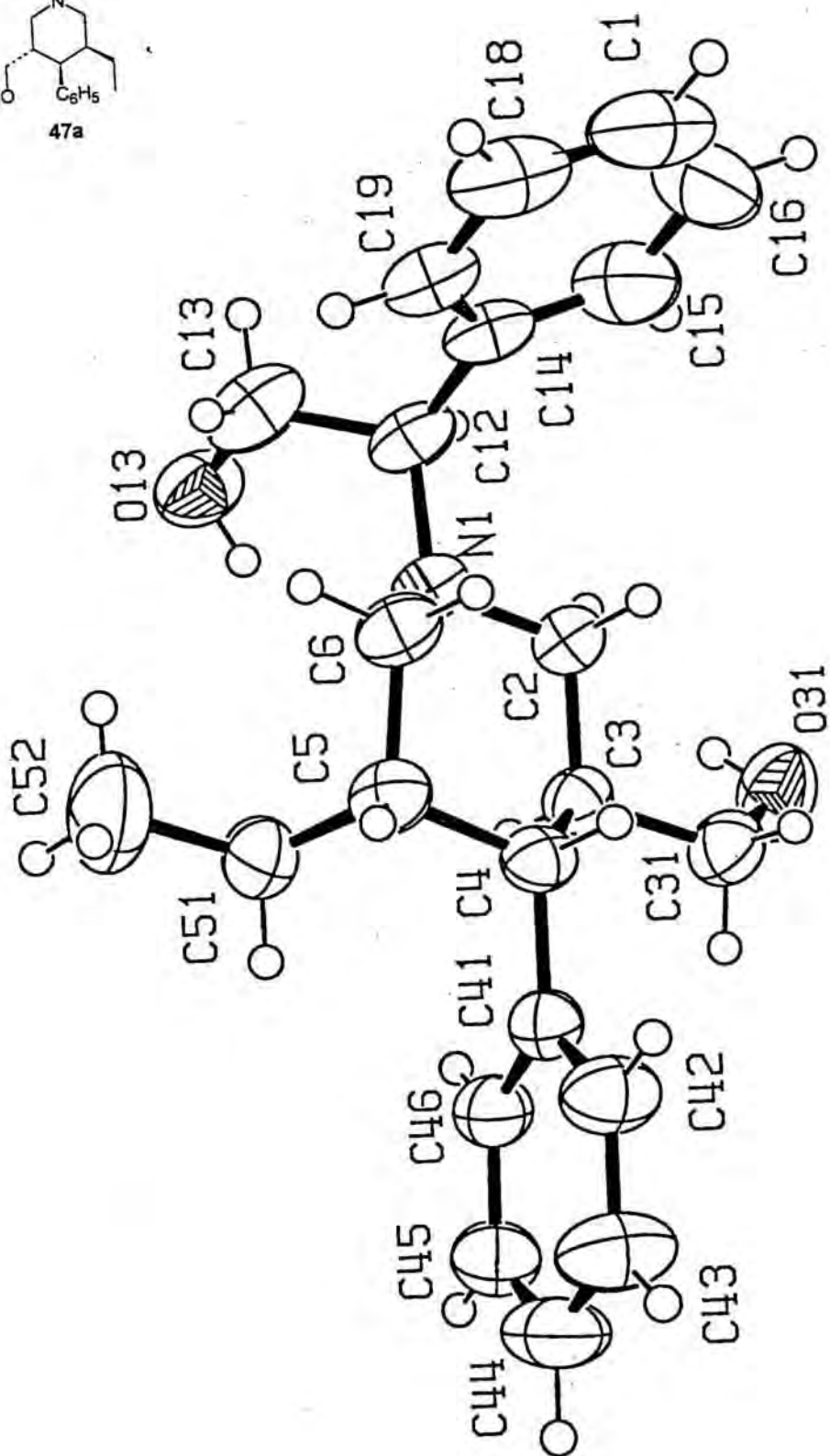
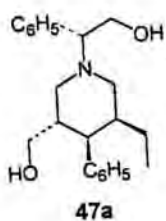


125



(1R,5S,12R)-12-Etil-6-metilen-3-oxo-1,2,3,4,5,6-hexahidro-1,5-metanoazocino[4,3-b]indole (125)

Anàlisis de Raigs-X



(3*S*,4*R*,5*S*)-5-Etil-4-fenil-1-[(1*R*)-1-fenil-2-hidroxietyl]-3-piperidinametanol (47a)

Table 1. Crystal data and structure refinement for 1.

Identification code	jb152
Empirical formula	C ₂₂ H ₂₉ N O ₂
Formula weight	339.46
Temperature	293(2) K
Wavelength	0.71073 Å
Crystal system, space group	Monoclinic, C2
Unit cell dimensions	a = 16.570 (4) Å b = 10.150 (4) Å beta = 96.62 (2) deg. c = 11.597 (2) Å
Volume	1937.4 Å ³
Z, Calculated density	4, 1.164 Mg/m ³
Absorption coefficient	0.073 mm ⁻¹
F(000)	736
Crystal size	0.7 x 0.5 x 0.18 mm
Theta range for data collection	2.36 to 28.42 deg.
Limiting indices	0 ≤ h ≤ 22, -13 ≤ k ≤ 0, -15 ≤ l ≤ 15
Reflections collected / unique	2659 / 2159 [R(int) = 0.0204]
Completeness to theta = 28.42	83.9 %
Refinement method	Full-matrix least-squares on F ²
Data / restraints / parameters	2159 / 1 / 250
Goodness-of-fit on F ²	0.958
Final R indices [I > 2σ(I)]	R1 = 0.0470, wR2 = 0.1096
R indices (all data)	R1 = 0.0968, wR2 = 0.1220
Extinction coefficient	0.0047(11)
Largest diff. peak and hole	0.133 and -0.147 e.Å ⁻³

Table 2. Atomic coordinates ($\times 10^4$) and equivalent isotropic displacement parameters ($\text{\AA}^2 \times 10^3$) for 1. $U(\text{eq})$ is defined as one third of the trace of the orthogonalized U_{ij} tensor.

	x	y	z	$U(\text{eq})$
N(1)	-2106(1)	-7939(3)	-3136(2)	49(1)
O(13)	-3051(3)	-9067(6)	-4949(4)	71(1)
O(13A)	-4112(3)	-8932(8)	-4561(4)	101(2)
O(31)	-902(4)	-4148(5)	-3057(5)	80(2)
O(31A)	-489(4)	-4375(8)	-3518(7)	66(2)
C(2)	-1758(2)	-6648(3)	-2826(3)	48(1)
C(3)	-855(2)	-6596(3)	-2962(3)	45(1)
C(31)	-499(2)	-5260(3)	-2577(3)	58(1)
C(4)	-405(2)	-7699(4)	-2248(2)	45(1)
C(41)	510(2)	-7734(3)	-2299(3)	48(1)
C(42)	1028(2)	-7943(4)	-1304(3)	65(1)
C(43)	1862(2)	-8017(5)	-1318(4)	84(1)
C(44)	2188(2)	-7880(5)	-2345(4)	80(1)
C(45)	1685(2)	-7660(4)	-3341(3)	69(1)
C(46)	862(2)	-7572(4)	-3319(3)	58(1)
C(5)	-811(2)	-9057(3)	-2522(3)	51(1)
C(51)	-670(2)	-9625(4)	-3694(3)	63(1)
C(52)	-970(3)	-11019(5)	-3913(5)	115(2)
C(6)	-1710(2)	-8940(4)	-2361(3)	54(1)
C(12)	-3006(2)	-7934(4)	-3217(3)	57(1)
C(13)	-3319(2)	-9071(5)	-3996(3)	80(1)
C(14)	-3356(2)	-7898(4)	-2068(3)	59(1)
C(15)	-3573(3)	-6697(5)	-1602(4)	85(1)
C(16)	-3877(3)	-6645(6)	-539(5)	97(2)
C(17)	-3975(2)	-7769(7)	68(4)	92(1)
C(18)	-3773(2)	-8957(7)	-376(4)	87(1)
C(19)	-3467(2)	-9026(4)	-1427(3)	66(1)

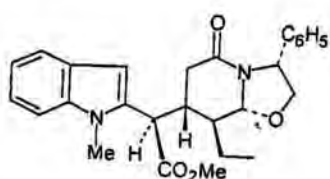
Table 3. Bond lengths [Å] and angles [deg] for 1.

N(1)-C(2)	1.460(4)
N(1)-C(6)	1.461(4)
N(1)-C(12)	1.484(3)
C(2)-C(3)	1.524(4)
C(3)-C(31)	1.525(4)
C(3)-C(4)	1.534(4)
C(31)-O(31)	1.394(6)
C(31)-O(31A)	1.415(8)
C(4)-C(41)	1.524(4)
C(4)-C(5)	1.550(5)
C(41)-C(42)	1.372(4)
C(41)-C(46)	1.388(4)
C(42)-C(43)	1.386(4)
C(43)-C(44)	1.371(5)
C(44)-C(45)	1.362(5)
C(45)-C(46)	1.370(4)
C(5)-C(51)	1.519(5)
C(5)-C(6)	1.527(4)
C(51)-C(52)	1.511(6)
C(12)-C(14)	1.515(4)
C(12)-C(13)	1.520(5)
C(13)-O(13)	1.237(5)
C(13)-O(13A)	1.406(6)
C(14)-C(19)	1.388(5)
C(14)-C(15)	1.397(6)
C(15)-C(16)	1.385(6)
C(16)-C(17)	1.360(7)
C(17)-C(18)	1.369(7)
C(18)-C(19)	1.375(6)
C(2)-N(1)-C(6)	109.7(2)
C(2)-N(1)-C(12)	112.2(3)
C(6)-N(1)-C(12)	114.8(2)
N(1)-C(2)-C(3)	111.7(2)
C(2)-C(3)-C(31)	110.6(3)
C(2)-C(3)-C(4)	109.9(2)
C(31)-C(3)-C(4)	110.1(3)
O(31)-C(31)-O(31A)	39.2(3)
O(31)-C(31)-C(3)	116.9(3)
O(31A)-C(31)-C(3)	112.2(4)
C(41)-C(4)-C(3)	114.8(3)
C(41)-C(4)-C(5)	112.4(3)
C(3)-C(4)-C(5)	111.5(2)
C(42)-C(41)-C(46)	116.8(3)
C(42)-C(41)-C(4)	120.0(3)
C(46)-C(41)-C(4)	123.3(3)
C(41)-C(42)-C(43)	121.8(3)
C(44)-C(43)-C(42)	119.9(3)
C(45)-C(44)-C(43)	119.3(3)
C(44)-C(45)-C(46)	120.5(3)
C(45)-C(46)-C(41)	121.7(3)
C(51)-C(5)-C(6)	113.1(3)
C(51)-C(5)-C(4)	114.4(2)
C(6)-C(5)-C(4)	108.2(3)
C(52)-C(51)-C(5)	115.1(3)
N(1)-C(6)-C(5)	110.7(3)
N(1)-C(12)-C(14)	115.4(2)

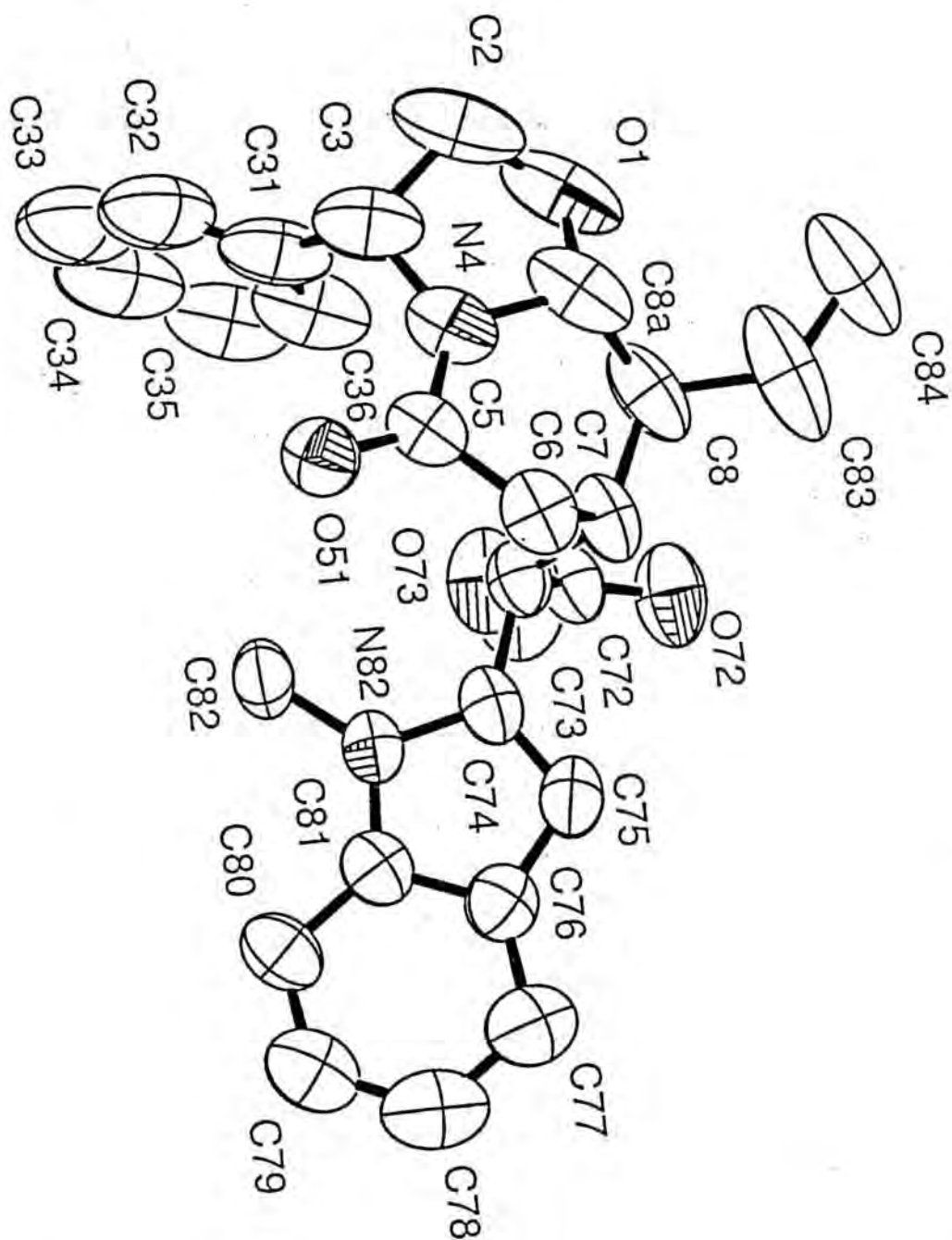
N(1)-C(12)-C(13)	107.9(3)
C(14)-C(12)-C(13)	113.7(3)
O(13)-C(13)-O(13A)	89.8(4)
O(13)-C(13)-C(12)	113.2(4)
O(13A)-C(13)-C(12)	115.9(5)
C(19)-C(14)-C(15)	117.2(3)
C(19)-C(14)-C(12)	122.6(4)
C(15)-C(14)-C(12)	120.2(4)
C(16)-C(15)-C(14)	120.9(4)
C(17)-C(16)-C(15)	120.4(5)
C(16)-C(17)-C(18)	119.7(4)
C(17)-C(18)-C(19)	120.7(5)
C(18)-C(19)-C(14)	121.2(5)

Table 4. Anisotropic displacement parameters ($\text{\AA}^2 \times 10^3$) for 1.
 The anisotropic displacement factor exponent takes the form:
 $-2 \pi^2 [h^2 a^{*2} U_{11} + \dots + 2 h k a^* b^* U_{12}]$

	U11	U22	U33	U23	U13	U12
N(1)	42(1)	53(2)	51(2)	7(2)	1(1)	-9(1)
O(13)	64(3)	97(4)	52(3)	-5(3)	11(2)	-22(3)
O(13A)	53(3)	176(7)	72(3)	12(4)	-6(2)	-45(4)
O(31)	90(4)	49(3)	95(4)	-2(3)	-9(3)	-1(3)
O(31A)	52(4)	55(5)	89(5)	16(4)	4(4)	-11(3)
C(2)	44(2)	49(2)	50(2)	1(2)	2(1)	-4(2)
C(3)	44(2)	50(2)	41(2)	0(2)	6(1)	-8(2)
C(31)	57(2)	55(2)	61(2)	-2(2)	10(2)	-12(2)
C(4)	41(2)	58(2)	38(2)	1(2)	8(1)	-2(2)
C(41)	45(2)	51(2)	49(2)	-3(2)	9(1)	-4(2)
C(42)	50(2)	90(3)	54(2)	8(2)	1(1)	3(2)
C(43)	47(2)	118(4)	85(3)	16(3)	-1(2)	3(2)
C(44)	44(2)	88(3)	110(3)	7(3)	19(2)	3(2)
C(45)	55(2)	78(3)	78(2)	-5(2)	26(2)	-9(2)
C(46)	49(2)	69(3)	56(2)	-5(2)	9(1)	-4(2)
C(5)	50(2)	47(2)	58(2)	11(2)	7(1)	-2(2)
C(51)	66(2)	49(2)	75(2)	-6(2)	16(2)	-7(2)
C(52)	143(5)	68(3)	140(4)	-29(3)	40(4)	-26(3)
C(6)	47(2)	56(2)	57(2)	12(2)	5(1)	-9(2)
C(12)	39(2)	63(2)	65(2)	12(2)	-8(1)	-9(2)
C(13)	64(2)	92(3)	80(3)	7(3)	-13(2)	-24(2)
C(14)	32(1)	75(3)	68(2)	7(2)	-1(1)	-9(2)
C(15)	64(3)	90(3)	102(3)	9(3)	16(2)	3(2)
C(16)	73(3)	115(4)	108(4)	-16(4)	28(3)	17(3)
C(17)	51(2)	145(5)	81(3)	12(4)	12(2)	-8(3)
C(18)	56(2)	126(4)	79(3)	20(3)	9(2)	-18(3)
C(19)	46(2)	73(3)	78(2)	10(2)	8(2)	-16(2)



109b



(3*R*,7*R*,8*S*,8*aR*)-8-Etil-3-fenil-7-[(1-metil-2-indolil) metoxicarbonil]metil-5-oxo-2,3,6,7,8,8*a*-hexahidro-5*H*-oxazolo[3,2-*a*]piridina (109b)

Table 1. Crystal data and structure refinement for 1.

Identification code	jb17
Empirical formula	C ₂₇ H ₃₀ N ₂ O ₄
Formula weight	446.53
Temperature	293(2) K
Wavelength	0.71073 Å
Crystal system, space group	Orthorhombic, P 21 21 21
Unit cell dimensions	a = 8.931(2) Å b = 9.5990(10) Å c = 28.080(9) Å
Volume	2407.3(10) Å ³
Z, Calculated density	4, 1.232 Mg/m ³
Absorption coefficient	0.083 mm ⁻¹
F(000)	952
Crystal size	0.69 x 0.36 x 0.12 mm
Theta range for data collection	2.24 to 28.44 deg.
Limiting indices	0 ≤ h ≤ 11, -12 ≤ k ≤ 0, 0 ≤ l ≤ 37
Reflections unique	3440
Completeness to theta = 28.44	100.0 %
Refinement method	Full-matrix least-squares on F ²
Data / restraints / parameters	3440 / 1 / 309
Goodness-of-fit on F ²	0.978
Final R indices [I > 2σ(I)]	R ₁ = 0.0468, wR ₂ = 0.1340
R indices (all data)	R ₁ = 0.0992, wR ₂ = 0.1467
Extinction coefficient	0.0078(18)
Largest diff. peak and hole	0.167 and -0.209 e.Å ⁻³

Table 2. Atomic coordinates ($\times 10^4$) and equivalent isotropic displacement parameters ($\text{\AA}^2 \times 10^3$) for 1. U(eq) is defined as one third of the trace of the orthogonalized Uij tensor.

	x	y	z	U(eq)
N(4)	4283(3)	2312(3)	8595(1)	78(1)
N(82)	5080(3)	7284(2)	8433(1)	59(1)
O(1)	2846(3)	1131(3)	9119(1)	116(1)
O(51)	5520(2)	3473(2)	8021(1)	71(1)
O(72)	3212(3)	6123(3)	9810(1)	98(1)
O(73)	1844(3)	6526(3)	9165(1)	100(1)
C(2)	2748(5)	398(4)	8673(2)	123(2)
C(3)	3289(4)	1445(3)	8303(2)	96(1)
C(31)	2037(4)	2246(4)	8064(2)	103(1)
C(32)	1655(5)	1914(5)	7593(2)	130(2)
C(33)	431(7)	2533(6)	7385(3)	161(2)
C(34)	-381(7)	3479(7)	7642(4)	178(4)
C(35)	-27(6)	3881(5)	8098(3)	155(3)
C(36)	1229(4)	3227(4)	8320(2)	124(2)
C(5)	5340(3)	3190(3)	8441(1)	62(1)
C(6)	6222(3)	3830(3)	8837(1)	68(1)
C(7)	5159(3)	4329(3)	9239(1)	69(1)
C(71)	4184(3)	5510(3)	9035(1)	62(1)
C(73)	730(5)	7228(6)	9452(2)	143(2)
C(74)	5129(3)	6732(3)	8888(1)	62(1)
C(82)	4117(4)	6823(3)	8044(1)	75(1)
C(75)	6127(3)	7463(3)	9157(1)	73(1)
C(77)	7791(3)	9587(3)	8936(1)	85(1)
C(78)	8132(4)	10461(4)	8556(2)	94(1)
C(79)	7438(4)	10282(4)	8118(1)	89(1)
C(80)	6415(4)	9265(3)	8035(1)	76(1)
C(81)	6069(3)	8381(3)	8414(1)	63(1)
C(76)	6739(3)	8521(3)	8866(1)	68(1)
C(72)	3048(4)	6052(3)	9395(1)	68(1)
C(8)	4268(4)	3089(4)	9441(1)	90(1)
C(8A)	4222(4)	1867(3)	9096(1)	92(1)
C(83)	4965(5)	2557(5)	9914(1)	143(2)
C(84)*	4383(5)	1520(5)	10197(1)	146(3)
C(84a)*	4453(16)	3147(17)	10308(4)	128(5)

* C(84) were refined with a partial occupancy of 0.70, whereas the complementary occupancy of 0.30 is allocated to C(84a).

Table 3. Bond lengths [Å] and angles [deg] for 1.

N(4)-C(5)	1.337(4)
N(4)-C(3)	1.468(4)
N(4)-C(8A)	1.470(4)
N(82)-C(81)	1.376(4)
N(82)-C(74)	1.385(3)
N(82)-C(82)	1.459(3)
O(1)-C(8A)	1.419(4)
O(1)-C(2)	1.439(5)
O(51)-C(5)	1.221(3)
O(72)-C(72)	1.177(3)
O(73)-C(72)	1.334(4)
O(73)-C(73)	1.447(4)
C(2)-C(3)	1.523(5)
C(3)-C(31)	1.514(5)
C(31)-C(36)	1.387(6)
C(31)-C(32)	1.402(7)
C(32)-C(33)	1.374(7)
C(33)-C(34)	1.367(8)
C(34)-C(35)	1.375(11)
C(35)-C(36)	1.429(7)
C(5)-C(6)	1.495(4)
C(6)-C(7)	1.551(4)
C(7)-C(8)	1.539(4)
C(7)-C(71)	1.540(4)
C(71)-C(74)	1.503(4)
C(71)-C(72)	1.523(4)
C(74)-C(75)	1.363(4)
C(75)-C(76)	1.414(4)
C(77)-C(78)	1.389(5)
C(77)-C(76)	1.403(4)
C(78)-C(79)	1.388(5)
C(79)-C(80)	1.357(5)
C(80)-C(81)	1.395(4)
C(81)-C(76)	1.410(4)
C(8)-C(8A)	1.522(5)
C(8)-C(83)	1.555(4)
C(83)-C(84a)	1.325(9)
C(83)-C(84)	1.3760
C(5)-N(4)-C(3)	127.1(3)
C(5)-N(4)-C(8A)	121.2(3)
C(3)-N(4)-C(8A)	110.3(3)
C(81)-N(82)-C(74)	108.0(2)
C(81)-N(82)-C(82)	125.5(2)
C(74)-N(82)-C(82)	126.4(2)
C(8A)-O(1)-C(2)	104.9(3)
C(72)-O(73)-C(73)	116.4(3)
O(1)-C(2)-C(3)	104.6(3)
N(4)-C(3)-C(31)	114.0(3)
N(4)-C(3)-C(2)	100.6(3)
C(31)-C(3)-C(2)	113.8(3)
C(36)-C(31)-C(32)	121.1(4)
C(36)-C(31)-C(3)	119.9(5)
C(32)-C(31)-C(3)	118.8(4)
C(33)-C(32)-C(31)	119.7(6)
C(34)-C(33)-C(32)	119.1(7)
C(33)-C(34)-C(35)	123.7(6)

C(34)-C(35)-C(36)	117.6(6)
C(31)-C(36)-C(35)	118.7(6)
O(51)-C(5)-N(4)	123.1(3)
O(51)-C(5)-C(6)	123.9(3)
N(4)-C(5)-C(6)	113.0(3)
C(5)-C(6)-C(7)	110.2(2)
C(8)-C(7)-C(71)	114.5(2)
C(8)-C(7)-C(6)	110.1(3)
C(71)-C(7)-C(6)	107.6(2)
C(74)-C(71)-C(72)	106.9(2)
C(74)-C(71)-C(7)	111.1(2)
C(72)-C(71)-C(7)	112.4(2)
C(75)-C(74)-N(82)	109.6(3)
C(75)-C(74)-C(71)	128.1(2)
N(82)-C(74)-C(71)	122.3(3)
C(74)-C(75)-C(76)	107.6(2)
C(78)-C(77)-C(76)	118.7(3)
C(79)-C(78)-C(77)	120.4(4)
C(80)-C(79)-C(78)	122.9(3)
C(79)-C(80)-C(81)	117.0(3)
N(82)-C(81)-C(80)	129.6(3)
N(82)-C(81)-C(76)	108.0(2)
C(80)-C(81)-C(76)	122.3(3)
C(77)-C(76)-C(81)	118.6(3)
C(77)-C(76)-C(75)	134.6(3)
C(81)-C(76)-C(75)	106.8(3)
O(72)-C(72)-O(73)	124.0(3)
O(72)-C(72)-C(71)	126.4(3)
O(73)-C(72)-C(71)	109.4(2)
C(8A)-C(8)-C(7)	112.1(2)
C(8A)-C(8)-C(83)	107.6(3)
C(7)-C(8)-C(83)	111.2(3)
O(1)-C(8A)-N(4)	102.7(3)
O(1)-C(8A)-C(8)	112.3(3)
N(4)-C(8A)-C(8)	112.6(3)
C(84a)-C(83)-C(84)	72.3(8)
C(84a)-C(83)-C(8)	115.8(7)
C(84)-C(83)-C(8)	125.5(2)

Table 4. Anisotropic displacement parameters ($\text{\AA}^2 \times 10^3$) for 1.
 The anisotropic displacement factor exponent takes the form:
 $-2 \pi^2 [h^2 a^{*2} U_{11} + \dots + 2 h k a^* b^* U_{12}]$

	U11'	U22	U33	U23	U13	U12
N(4)	68(1)	68(1)	97(2)	9(1)	28(1)	9(1)
N(82)	65(1)	63(1)	49(1)	2(1)	0(1)	10(1)
O(1)	101(2)	74(2)	173(3)	43(2)	66(2)	17(2)
O(51)	74(1)	74(1)	65(1)	-2(1)	16(1)	3(1)
O(72)	105(2)	128(2)	59(1)	2(1)	19(1)	38(2)
O(73)	88(1)	131(2)	82(1)	-4(1)	9(1)	51(2)
C(2)	98(3)	57(2)	215(5)	26(3)	49(3)	12(2)
C(3)	72(2)	64(2)	151(3)	-3(2)	26(2)	3(2)
C(31)	62(2)	64(2)	181(4)	12(3)	21(2)	-13(2)
C(32)	95(3)	86(3)	209(5)	9(4)	-13(3)	-33(3)
C(33)	120(4)	104(4)	257(7)	39(4)	-53(5)	-43(4)
C(34)	95(4)	82(3)	357(12)	51(6)	-29(6)	-23(3)
C(35)	89(3)	82(3)	293(9)	26(5)	28(4)	-9(3)
C(36)	68(2)	80(2)	222(5)	26(3)	27(3)	9(2)
C(5)	55(2)	57(1)	74(2)	6(1)	19(1)	13(1)
C(6)	59(1)	78(2)	68(2)	6(2)	10(1)	17(2)
C(7)	73(2)	82(2)	53(1)	16(1)	13(1)	24(2)
C(71)	68(2)	67(2)	51(1)	3(1)	6(1)	14(2)
C(73)	124(3)	189(5)	116(3)	-16(3)	18(3)	89(4)
C(74)	71(2)	67(2)	49(1)	6(1)	6(1)	19(2)
C(82)	96(2)	77(2)	51(1)	8(1)	-10(1)	5(2)
C(75)	82(2)	85(2)	54(1)	1(2)	-11(2)	7(2)
C(77)	72(2)	72(2)	112(2)	-6(2)	-12(2)	14(2)
C(78)	62(2)	69(2)	150(3)	-7(2)	2(2)	9(2)
C(79)	75(2)	78(2)	112(3)	18(2)	10(2)	12(2)
C(80)	73(2)	67(2)	86(2)	16(2)	11(2)	11(2)
C(81)	60(1)	59(2)	68(2)	4(1)	4(1)	14(2)
C(76)	62(1)	68(2)	73(2)	1(2)	-6(1)	13(2)
C(72)	78(2)	71(2)	57(2)	6(1)	9(1)	21(2)
C(8)	87(2)	98(2)	83(2)	42(2)	37(2)	40(2)
C(8A)	81(2)	73(2)	122(3)	34(2)	49(2)	27(2)
C(83)	144(4)	195(5)	91(3)	78(3)	43(3)	70(4)
C(84)	125(5)	177(7)	135(5)	105(5)	22(4)	30(5)
C(84a)	123(12)	143(13)	118(10)	13(11)	-53(9)	-29(12)

Table 5. Hydrogen coordinates ($\times 10^4$) and isotropic displacement parameters ($\text{\AA}^2 \times 10^3$) for 1.

	x	y	z	U(eq)
H(2A)	1724	117	8608	148
H(2B)	3381	-423	8675	148
H(3)	3881	960	8060	115
H(32)	2228	1278	7421	195
H(33)	158	2311	7075	241
H(34)	-1219	3874	7499	267
H(35)	-586	4554	8257	232
H(36)	1500	3454	8630	185
H(6A)	6792	4614	8716	82
H(6B)	6921	3151	8963	82
H(7)	5772	4716	9497	83
H(71)	3647	5160	8756	74
H(73A)	1161	8048	9592	172
H(73B)	392	6614	9699	172
H(73C)	-103	7488	9255	172
H(82A)	3088	6960	8131	90
H(82B)	4291	5852	7983	90
H(82C)	4339	7353	7763	90
H(75)	6362	7297	9475	110
H(77)	8251	9707	9230	127
H(78)	8831	11170	8596	141
H(79)	7684	10885	7871	133
H(80)	5964	9162	7738	113
H(8)	3240	3394	9503	108
H(8A)	5054	1231	9163	110
H(83A)	5977	2266	9836	172
H(83B)	5063	3369	10117	172
H(84A)	4275	682	10014	218
H(84B)	3422	1804	10316	218
H(84C)	5046	1351	10460	218
H(84D)	4788	4097	10322	192
H(84E)	4821	2649	10580	192
H(84F)	3378	3124	10307	192