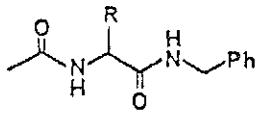
Table 42. ^{13}C NMR Spectral Properties for the Polar Analogues 107a-e of 2-Acetamido-N-benzylpropionamide (68a).[a]



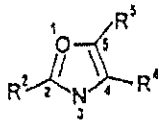
107

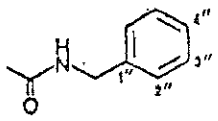
No.	R	CH_3	$COCH_3$	CH	COCH	CH_2	$C_{1''}$	$C_{2''}$,$C_{3''}$	$C_{4''}$	R
68e[b]	H	22.50	169.00[c]	42.50	169.60[c]	42.00	139.30	126.10[d,e] 128.10[d,e]	126.50	-
68a[b]	CH_3	22.50	169.5	42.00	174.3	42.10	139.70	127.10[d,e] 128.30[d,e]	126.70	15.80
107a[f]	CN	22.07	162.81	44.22	169.69	42.64	138.38	126.90[d,e] 127.11[d,e]	128.23	116.45
107b	$CONH_2$	22.48	168.53[c]	57.28	169.41[c]	42.22	138.99	127.02[d,e] 128.19[d,e]	126.73	166.87

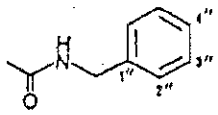
107c	$COOCH_2CH_3$	22.50	167.41	58.81	170.42	43.67	137.45	127.39[d,g] 128.50[d,e]	127.39[g]	13.81 (CH 62.29 (CH 165.19 (C
107d	CH_2OH	22.19	169.86[c]	54.87	169.08[c]	41.58	138.90	128.53[d,e] 127.71[d,e]	126.15	61.30
107e[d]	CH_2OCH_3	23.19	169.96[c]	52.40	169.96[c]	43.55	h	127.44[d,e] 128.70[d,e]	127.49	59.06 (CH 71.65 (CH

[a]The ^{13}C NMR spectra were taken in DMSO-d_6 unless otherwise indicated. The number in each entry is the chemical shift value observed in p million relative to TMS. The information in parentheses in select cases is the proposed assignment. [b]Ref. 103. [c] This set of resonances may b terchangeable. [d] The close proximity of these two peaks did not permit the assignment of these resonances. [e] This peak had approximately tw intensity of nearby peaks. [f] The ^{13}C NMR spectrum was taken in $CDCl_3$. [g] This peak had approximately three times the intensity of nearby peak discrete signal for this carbon resonance was not observed.

Table 43. ^{13}C NMR Spectral Properties for Oxazole Derivatives 111 and 114.[a]



No.	R_2	R_4	R_5	C_2	C_4	C_5	R_2	R_4	R_5
119b	H	H	H	150.60	125.40	138.10	-	-	-
112	CH_3	$COOCH_2CH_3$	OCH_2CH_3	161.53[b]	107.30	151.01	13.90	14.46 (CH_3) 60.30 (CH_2) 161.48[b] (CO)	15.00(CH_3) 69.11(CH_2)
111[c]	CH_3		OCH_2CH_3	159.42[b]	104.55	141.74	13.94	41.69 (CH_2) 126.68 (C_4') 126.94 (C_2'' or C_3'') 128.28[d], (C_2'' or C_3'') 139.96 (C_1'') 154.50[b](CO)	14.83(CH_3) 64.44(CH_2)

114	CH_3		NH_2	163.40[b]	106.37	149.40	13.29	42.51 (CH_2) 127.10 ($C_{4''}$) 127.44[d,e] ($C_{2''}$ or $C_{3''}$) 128.45[d,e] ($C_{2''}$ or $C_{3''}$) 138.50 ($C_{1''}$) 156.65[b] (CO)

[a] The ^{13}C NMR spectra were taken in $CDCl_3$, unless otherwise indicated. The number in each entry is the chemical shift value in parts per million re tive to TMS. [b] This set of resonances may be interchangeable. [c] The ^{13}C NMR spectra was taken in DMSO-d_6. [d] This set of resonances may b Interchangeable. [e] This peak had approximately twice the intensity of nearby peaks.

gen atoms at carbons -4 and -5 by an electron - withdrawing and a electron-donating groups, respectively, led to a pronounced shift in the resonances of the corresponding carbon atoms. The carbon-4 signal moved upfield (19.03 - 20.85 ppm) from 119b, while the resonance for the carbon-5 atom was shifted downfield (11.30 - 13.64 ppm) from that observed in 119b. This perturbation in the ^{13}C NMR spectra for 111, 112 and 114 is attributed to the push-pull resonance effects exerted by the carbon-5 and carbon-4 substituents.

3. Pharmacological Evaluation.

The 2-substituted-2-acetamido-N-benzylacetamides 107a-d and the oxazole derivative 111 prepared in this study were submitted to the Eli Lilly Corporation, Indianapolis, Indiana, for evaluation of their anticonvulsant activity. They were tested using the same protocols described in Chapter I. Pharmacological data for these functionalized amino acids are listed in Table 44.

Compounds 107a-c did not exhibit significant activity in the MES seizure test. The lack of anticonvulsant properties of these adducts was interesting in light of the pronounced activity of the methyl analogue 68a. A tentative explanation for this dichotomy of results can be offered. In a first approximation compounds 68a and 107a-c all contain relatively small substituents. The primary difference between the two sets of compounds is the presence of an electron-donating (68a) or an electron-withdrawing (107a-c) moiety at the α-carbon. Our previous studies have indicated that

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