Reaction of Ketenes with *N,N*-Disubstituted α-Aminomethyleneketones. XX. Synthesis of 2*H*-Pyrano[2,3-*f*]quinazoline Derivatives

Luisa Mosti, Giulia Menozzi and Pietro Schenone*

Istituto di Scienze Farmaceutiche dell'Università, Viale Benedetto XV - 3,
16132 Genova, Italy

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The polar 1,4-cycloaddition of dichloroketene to *N,N*-disubstituted (*E*)-6-aminomethylene-7,8-dihydro-(2-methyl)(2-phenyl)quinazolin-5(6*H*)-ones **III**, prepared in good yields from 7,8-dihydro-(2-methyl)-(2-phenyl)quinazolin-5(6*H*)-ones *via* their 6-hydroxymethylene derivatives **I** and **II**, gave in satisfactory to excellent yields *N,N*-disubstituted 4-amino-3,3-dichloro-3,4,5,6-tetrahydro-(8-methyl)(8-phenyl)-2*H*-pyrano-[2,3,-*f*]quinazolin-2-ones **IV**, which are derivatives of the new heterocyclic system pyrano[2,3-*f*]quinazoline. This cycloaddition occurred both in the case of aliphatic and aromatic *N*-substitution only with 2-phenyl-enaminones **III**, whereas with 2-methyl derivatives **III** the reaction took place only in the case of aromatic *N*-monosubstitution.

Dehydrochlorination of **IV** with DBN afforded, generally in excellent yields, *N,N*-disubstituted 4-amino-3-chloro-5,6-dihydro-(8-methyl)(8-phenyl)-2*H*-pyrano[2,3-*f*]quinazolin-2-ones, which were dehydrogenated with DDQ to give *N,N*-disubstituted 4-amino-3-chloro-(8-methyl)(8-phenyl)-2*H*-pyrano[2,3-*f*]quinazolin-2-ones in excellent yields.

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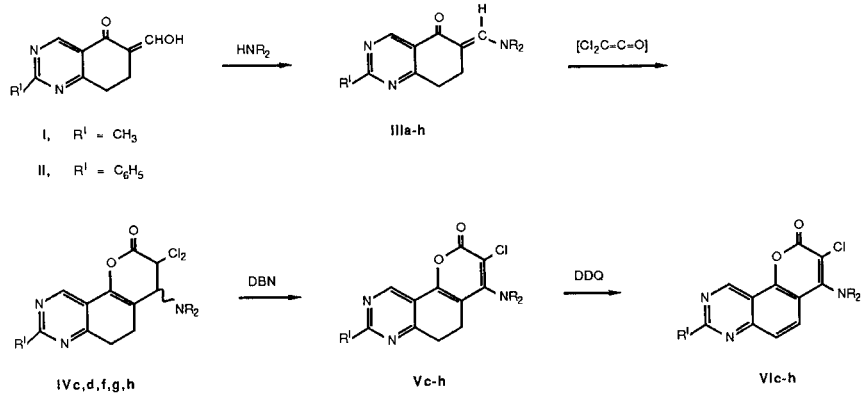
We recently described a convenient synthesis of a number of substituted 7,8-dihydro-5(6*H*)-quinazolinones [1]. In pursuing our work on heterocyclic systems having the 2*H*-pyran ring incorporated in potential pharmacologically active molecules, we have chosen 2-methyl and 2-phenyl 7,8-dihydro-5(6*H*)-quinazolinones as synthons for the building up of a new heterocyclic system, namely 2*H*-pyrano[2,3-*f*]quinazoline.

Reaction of the above quinazolinones with ethyl formate and sodium methoxide in toluene solution [2,3] gave the 6-hydroxymethylene derivative **I** in moderate yield, and **II** in excellent yield (Table I).

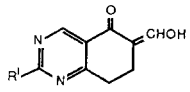
The starting enaminones **IIIa-h** (Table II) were prepared in good yields from **I**, **II** and secondary amines [4]. They are probably *E* isomers, at least as can be seen from the strong upfield shift of the C-7 protons (0.65-0.9



	R'	NR_2
a	CH_3	$N(CH_3)_2$
b	CH_3	1-Piperidinyl
c	CH_3	$N(CH_3)C_6H_5$
d	C_6H_5	$N(CH_3)_2$
e	C_6H_5	$N(C_2H_5)_2$
f	C_6H_5	1-Piperidinyl
g	C_6H_5	$N(CH_3)C_6H_5$
h	C_6H_5	$N(C_6H_5)_2$

Table I

7,8-Dihydro-6-hydroxymethylene-(2-methyl)-(2-phenyl)quinazolin-5(6*H*)-ones **I**, **II**



Formula Number	R'	Yield %	Mp °C [a]	Molecular Formula	Analyses % Calcd./Found C	H	N
I	CH_3	49	161	$C_{10}H_{10}N_2O_2$	63.15	5.30	14.73
					63.11	5.46	14.59
II	C_6H_5	91	143	$C_{15}H_{12}N_2O_2$	71.42	4.79	11.10
					71.32	4.62	11.31

UV, IR and NMR Spectral Data

	UV, λ max nm (log ε)	IR, cm^{-1}	NMR, δ
I	235 (4.24) 250 sh (4.10) 320 (4.13) 348 sh (4.05)	1643, 1585	2.78 (s, CH_3), 2.5-3.3 (m, CH_2-7 + CH_2-8), 8.25 (s, =CH-0), 9.04 (s, CH-4), 13.70 (broad s, OH; disappears with deuterium oxide)
II	216 (3.97) 289 (4.18)	1638,1572	2.66 (near t, J = 6.6, CH_2-7), 3.05 (near t, J = 6.6, CH_2-8), 7.50 (mc, 2 H ar *m* + 1 H ar *p*), 8.24 (s, =CH-0), 8.49 (mc, 2 H ar *o*), 9.13 (s, CH-4), 13.98 (broad s, OH; disappears with deuterium oxide)

[a] From anhydrous diethyl ether.

ppm) caused by the phenyl group(s) in compounds **IIIc** and **IIIg,h** in comparison with **IIIa,b** and **IIId,e,f**, respectively (Table III).

The reaction of enaminones **IIId-h** (R' = C_6H_5) with dichloroacetyl chloride and triethylamine (dichloroketene prepared *in situ* [3]) occurred readily both in the case of aliphatic and aromatic *N*-substitution to give in satisfactory to excellent yields *N,N*-disubstituted 4-amino-3,3-dichloro-3,4,5,6-tetrahydro-8-phenyl-2*H*-pyrano[2,3-*f*]-quinazolin-2-ones **IVd,f-h** (Table IV), whose structure was confirmed by their ir and nmr spectral data (Table V). Enaminone **IIIe** afforded directly the dehydrochlorinated compound **Ve** in good yield.

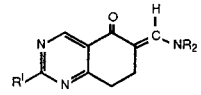
On the contrary, the reaction with enaminones **IIIa-c** (R' = CH_3) occurred only in the case of partial aromatic *N*-substitution (**IIIc**) to afford the cycloadduct **IVc** (Tables IV and V) in good yield.

It seems therefore that an increase of basicity caused in enaminones **III** by the 2-methyl group in the pyrimidine ring [5] is detrimental for the cycloaddition of dichloroketene, a fact already observed by us in the case of strongly basic 3-aminomethylene derivatives of 1-methyl-4-piperidones and of 2,3-dihydro-1-methyl-4(1*H*)-quinolones, where the reaction did not occur [6].

All the adducts **IV** were dehydrochlorinated with 1,5-diazabicyclo[4.3.0]non-5-ene (DBN) in refluxing toluene according to [3] to give in excellent yields *N,N*-disubsti-

Table II

N,N-Disubstituted 6-Aminomethylene-7,8-dihydro-(2-methyl)(2-phenyl)quinazolin-5(6*H*)-ones **IIIa-h**



Formula Number	R'	NR_2	Yield %	Mp °C	Molecular Formula	Analyses % Calcd./Found C	H	N
IIIa	CH_3	$N(CH_3)_2$	84	143 [a]	$C_{12}H_{15}N_3O$	66.34	6.96	19.34
						66.48	6.90	19.42
IIIb	CH_3	1-Piperidinyl	72	128 [a]	$C_{15}H_{19}N_3O$	70.01	7.44	16.33
						69.86	7.34	16.34
IIIc	CH_3	$N(CH_3)C_6H_5$	87	126 [a]	$C_{17}H_{17}N_3O$	73.10	6.13	15.04
						73.06	6.11	15.13
IIId	C_6H_5	$N(CH_3)_2$	86	208 [b]	$C_{17}H_{17}N_3O$	73.10	6.13	15.04
						73.32	5.95	14.83
IIIe	C_6H_5	$N(C_2H_5)_2$	72	164 [b]	$C_{19}H_{21}N_3O$	74.24	6.89	13.67
						74.01	6.67	13.52
IIIf	C_6H_5	1-Piperidinyl	74	156 [b]	$C_{20}H_{21}N_3O$	75.21	6.63	13.16
						75.31	6.84	13.27
IIIg	C_6H_5	$N(CH_3)C_6H_5$	90	131 [b]	$C_{22}H_{19}N_3O$	77.40	5.61	12.31
						77.62	5.70	12.23
IIIh	C_6H_5	$N(C_6H_5)_2$	80	235 [b]	$C_{27}H_{21}N_3O$	80.37	5.25	10.41
						80.23	5.28	10.46

[a] From anhydrous diethyl ether. [b] From ethyl acetate.

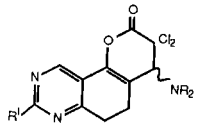
Table III

UV, IR and NMR Spectral Data of Compounds **IIIa-h**

Compound	UV, λ max nm (log ε)	IR, cm^{-1} C=O	IR, cm^{-1} C=C	NMR, δ
IIIa	241.5 (4.26) 248 sh (4.22) 385 (4.41)	1648	1582	2.76 (s, CH_3-2), 3.00 (s, CH_2-7 + CH_2-8), 3.21 (s, $(CH_3)_2N$), 7.77 (s, =CHN), 9.10 (s, CH-4)
IIIb	242 (4.23) 249 sh (4.20) 387 (4.43)	1645	1583	1.71 (mc, 3 CH_2 pip), 2.75 (s, CH_3-2), 2.97 (s, CH_2-7 + CH_2-8), 3.55 (mc, 2 CH_2N), 7.79 (s, =CHN), 9.09 (s, CH-4)
IIIc	241 (4.21) 259 (4.11) 394 (4.37)	1650	1580	2.32 (mc, CH_2-7), 2.73 (s, CH_3-2), 2.84 (mc, CH_2-8), 3.56 (s, CH_3N), 7.21 (mc, C_6H_5), 7.90 (s, =CHN), 9.12 (s, CH-4)
IIId	216 (3.99) 289 (4.24) 396 (4.13)	1643	1570	3.02 (s, CH_2-7 + CH_2-8), 3.12 (s, $(CH_3)_2N$), 7.50 (mc, 2 H ar *m* + 1 H ar *p*), 7.74 (s, = CHN), 8.55 (mc, 2 H ar *o*), 9.27 (s, CH-4)
IIIe	216 (4.02) 289.5 (4.27) 397 (4.11)	1640	1570	1.26 (t, J = 7.2, 2 CH_3), 3.01 (near s, CH_2-7 + CH_2-8), 3.40 (q, J = 7.2, 2 CH_2N), 7.50 (mc, 2 H ar *m* + 1 H ar *p*), 7.86 (s, =CHN), 8.55 (mc, 2 H ar *o*), 9.29 (s, CH-4)
IIIf	216.5 (4.02) 289 (4.28) 398 (4.18)	1638	1572	1.64 (mc, 3 CH_2 pip), 2.99 (mc, CH_2-7 + CH_2-8), 3.48 (mc, 2 CH_2N), 7.50 (mc, 2 H ar *m* + 1 H ar *p*), 7.77 (s, =CHN), 8.53 (mc, 2 H ar *o*), 9.27 (s, CH-4)
IIIg	293 (4.31) 405 (4.24)	1643	1570	2.35 (t, J = 7.2, CH_2-7), 2.94 (t, J = 7.2, CH_2-8), 3.54 (s, CH_3N), 7.25 (mc, C_6H_5N), 7.50 (mc, 2 H ar *m* + 1 H ar *p*), 7.92 (s, =CHN), 8.54 (mc, 2 H ar *o*), 9.30 (s, CH-4)
IIIh	232 (3.84) 294 (4.26) 413 (4.15)	1648	1572	2.11 (t, J = 6.6, CH_2-7), 2.90 (t, J = 6.6, CH_2-8), 7.27 (mc, 2 C_6H_5N), 7.50 (mc, 2 H ar *m* + 1 H ar *p*), 8.08 (s, =CHN), 8.52 (mc, 2 H ar *o*), 9.33 (s, CH-4)

Table IV

N,N-Disubstituted 4-Amino-3,3-dichloro-3,4,5,6-tetrahydro-(8-methyl)(8-phenyl)-2*H*-pyrano[2,3-*f*]quinazolin-2-ones **IVc,d,f,g,h**



Formula Number	R'	NR_2	Yield %	Mp °C	Molecular Formula	Analyses % Calcd./Found C	H	N
IVc	CH_3	$N(CH_3)C_6H_5$	71	155 dec [a]	$C_{19}H_{17}Cl_2N_3O_2$	58.47 58.47	4.39 4.43	10.77 10.93
IVd	C_6H_5	$N(CH_3)_2$	71	120 dec [b]	$C_{19}H_{17}Cl_2N_3O_2$	58.47 58.61	4.39 4.34	10.77 10.74
IVf	C_6H_5	1-Piperidinyl	61	140 dec [a]	$C_{22}H_{21}Cl_2N_3O_2$	61.40 61.32	4.92 4.94	9.76 10.02
IVg	C_6H_5	$N(CH_3)C_6H_5$	87	168 dec [a]	$C_{24}H_{19}Cl_2N_3O_2$	63.73 63.99	4.23 4.31	9.29 9.45
IVh	C_6H_5	$N(C_6H_5)_2$	91	205 dec [c]	$C_{29}H_{21}Cl_2N_3O_2$	67.71 67.97	4.11 4.18	8.17 8.22

[a] From anhydrous diethyl ether. [b] From anhydrous diethyl ether-petroleum ether bp 40-70° 7:3. [c] From ethyl acetate.

Table V

IR and NMR Spectral Data of Compounds **IVc, d, f, g, h**

Compound	IR, cm^{-1} C=O	C=C	NMR, δ
IVc	1797	1688	2.63 (mc, CH_2-5), 2.75 (near s, 2 CH_3), 3.10 (mc, CH_2-6), 4.98 (near s, CH-4), 6.97 (mc, 2 H ar *m* + 1 H ar *p*), 7.37 (mc, 2 H ar *o*), 8.73 (s, CH-10)
IVd	1788	1692	2.57 (s, $(CH_3)_2N$), 2.67 (mc, CH_2-5), 3.25 (mc, CH_2-6) 3.79 (s, CH-4), 7.50 (mc, 2 H ar *m* + 1 H ar *p*), 8.48 (mc, 2 H ar *o*), 8.80 (s, CH-10)
IVf	1790	1679	1.48 (mc, 3 CH_2 pip), 2.78 (mc, 2 CH_2N + CH_2-5), 3.13 (mc, CH_2-6), 3.72 (s, CH-4), 7.49 (mc, 2 H ar *m* + 1 H ar *p*), 8.45 (mc, 2 H ar *o*), 8.78 (s, CH-10)
IVg	1788	1681	2.61 (mc, CH_2-5), 2.76 (s, CH_3N), 3.15 (near t, J = 7.8, CH_2-6), 4.98 (s, CH-4), 6.98 (mc, 2 H ar *m* + 1 H ar *p*), 7.30 (mc, 2 H ar *o*), 7.50 (mc, 2 H ar *m* + 1 H ar *p*), 8.50 (mc, 2 H ar *o*), 8.86 (s, CH-10)
IVh	1790	1683	3.02 (mc, CH_2-5 + CH_2-6), 5.34 (s, CH-4), 7.15 (mc, 2 C_6H_5N), 7.48 (mc, 2 H ar *m* + 1 H ar *p*), 8.45 (mc, 2 H ar *o*), 8.56 (s, CH-10)

tuted 4-amino-3-chloro-5,6-dihydro-(8-methyl)(8-phenyl)-2*H*-pyrano[2,3-*f*]quinazolin-2-ones **Vc-d,f-h** (Tables VI and VII).

Table VII

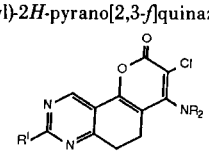
UV, IR and NMR Spectral Data of Compounds Vc-h

Compound	UV, λ max nm (log ε)	IR, cm^{-1} C=O	C=C	NMR, δ
Vc	241 (4.19) 283 (3.94) 355 (4.28)	1720	1630 1547	2.45 (mc, CH_2-5), 2.72 (s, CH_3-8), 2.93 (mc, CH_2-6), 3.44 (s, CH_3N), 6.83 (mc, 2 H ar *m* + 1 H ar *p*), 7.35 (mc, 2 H ar *o*), 8.91 (s, CH-10)
Vd	280 (4.56) 346 (4.70)	1705	1630 1523	[a]
Ve	228 sh (4.08) 280 (4.34) 356 (4.55)	1705	1625 1534	1.16 (t, J = 7.2, 2 CH_3), 2.92 (mc, CH_2-5 + CH_2-6), 3.32 (q, J = 7.2, 2 CH_2N), 7.47 (mc, 2 H ar *m* + 1 H ar *p*), 8.43 (mc, 2 H ar *o*), 8.94 (s, CH-10)
Vf	280 (4.34) 350 (4.51)	1703	1625 1535	1.70 (mc, 3 CH_2 pip), 2.90 (mc, CH_2-5 + CH_2-6), 3.27 (mc, 2 CH_2N), 7.44 (mc, 2 H ar *m* + 1 H ar *p*), 8.40 (mc, 2 H ar *o*), 8.86 (s, CH-10)
Vg	236 (4.51) 280 (4.53) 370.5 (4.78)	1715	1623 1532	[a]
Vh	273 (4.80) 374.5 (4.87)	1715	1625 1533	2.36 (near t, J = 7.2, CH_2-5), 2.97 (near t, J = 7.2, CH_2-6), 7.20 (mc, 2 C_6H_5N), 7.48 (mc, 2 H ar *m* + 1 H ar *p*), 8.47 (mc, 2 H ar *o*), 9.09 (s, CH-10)

[a] The product was insufficiently soluble in the common solvents employed for nmr measurement.

Table VI

N,N-Disubstituted 4-Amino-3-chloro-5,6-dihydro-(8-methyl)(8-phenyl)-2*H*-pyrano[2,3-*f*]quinazolin-2-ones **Vc-h**

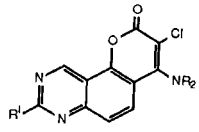


Formula Number	R'	NR_2	Yield %	Mp °C [a]	Molecular Formula	Analyses % Calcd./Found C	H	N
Vc	CH_3	$N(CH_3)C_6H_5$	85	209	$C_{19}H_{16}ClN_3O_2$	64.50	4.56	11.88
						64.27	4.60	12.03
Vd	C_6H_5	$N(CH_3)_2$	76	254	$C_{19}H_{16}ClN_3O_2$	64.50	4.56	11.88
						64.38	4.59	11.64
Ve	C_6H_5	$N(C_2H_5)_2$	79	158	$C_{21}H_{20}ClN_3O_2$	66.05	5.28	11.00
						65.75	5.50	10.73
Vf	C_6H_5	1-Piperidinyl	92	221	$C_{22}H_{20}ClN_3O_2$	67.09	5.12	10.67
						67.11	5.09	10.69
Vg	C_6H_5	$N(CH_3)C_6H_5$	90	264	$C_{24}H_{18}ClN_3O_2$	69.31	4.36	10.10
						69.42	4.48	10.16
Vh	C_6H_5	$N(C_6H_5)_2$	87	271	$C_{29}H_{20}ClN_3O_2$	72.88	4.22	8.79
						72.74	4.20	8.84

[a] From ethyl acetate.

Table VIII

N,N-Disubstituted 4-Amino-3-chloro-(8-methyl)(8-phenyl)-2*H*-pyrano[2,3-*f*]quinazolin-2-ones **VIc-h**



Formula Number	R'	NR_2	Reaction time (hours)	Yield %	Mp °C [a]	Molecular Formula	Analyses % Calcd./Found C	H	N
VIc	CH_3	$N(CH_3)C_6H_5$	50	83	168	$C_{19}H_{14}ClN_3O_2$	64.87	4.01	11.94
							64.83	3.98	12.03
VId	C_6H_5	$N(CH_3)_2$	96	89	236	$C_{19}H_{14}ClN_3O_2$	64.87	4.01	11.94
							64.57	3.99	12.03
VIe	C_6H_5	$N(C_2H_5)_2$	50	86	176	$C_{21}H_{18}ClN_3O_2$	66.40	4.78	11.06
							66.36	4.82	10.96
VIf	C_6H_5	1-Piperidinyl	140	90	222	$C_{22}H_{18}ClN_3O_2$	67.43	4.63	10.72
							67.32	4.57	10.73
VIg	C_6H_5	$N(CH_3)C_6H_5$	62	89	262	$C_{24}H_{16}ClN_3O_2$	69.65	3.90	10.15
							69.61	3.96	9.93
VIh	C_6H_5	$N(C_6H_5)_2$	76	69	>300	$C_{29}H_{18}ClN_3O_2$	73.19	3.81	8.83
							73.17	3.99	8.66

[a] From ethyl acetate.

Table IX

UV, IR and NMR Spectral Data of Compounds **VIc-h**

Compound	UV, λ max nm (log ε)	IR, cm^{-1} C=O	C=C	NMR, δ
VIc	223 (4.55) 235 sh (4.49) 271 (4.49) 279 (4.47) 332.5 (4.17) 341 sh (4.16) 385 (3.73)	1735	1631 1542	2.93 (s, CH_3-8), 3.54 (s, CH_3N), 6.88 (mc, 2 H ar *m* + 1 H ar *p*), 7.20 (mc, 2 H ar *o*), 7.68 (near s, CH-5 + CH-6), 9.94 (s, CH-10)
VId	279 (4.69) 285 (4.69) 327 (4.47) 349 sh (4.40) [a]	1715	1630 1542	3.24 (s, $(CH_3)_2N$), 7.52 (mc, 2 H ar *m* + 1 H ar *p*), 7.82 and 8.08 (2 d, J = 9, CH-5 + CH-6), 8.61 (mc, 2 H ar *o*), 10.05 (s, CH-10)
VIe	280 (4.66) 288 sh (4.54) 335 (4.44) 351 sh (4.40) 437 (3.37)	1720	1632 1535	1.21 (t, J = 6.6, 2 CH_3), 3.55 (q, J = 6.6, 2 CH_2N), 7.50 (mc, 2 H ar *m* + 1 H ar *p*), 7.82 and 8.02 (2 d, J = 9.6, CH-5 + CH-6), 8.55 (mc, 2 H ar *o*), 9.91 (s, CH-10)
VIf	214 (4.60) 278 (4.84) 285 sh (4.23) 330 (4.64) 348 sh (4.59)	1718	1633 1537	1.81 (mc, 3 CH_2 pip), 3.49 (mc, 2 CH_2N), 7.50 (mc, 2 H ar *m* + 1 H ar *p*), 7.72 and 8.00 (2 d, J = 10, CH-5 + CH-6), 8.50 (mc, 2 H ar *o*), 9.81 (s, CH-10)
VIg	241.5 (4.59) 279.5 (4.69) 290 sh (4.65) 343 (4.54) 352.5 (4.55) 371 sh (4.38) [a]	1720	1625 ~1540	3.53 (s, CH_3N), 6.7-7.4 (m, C_6H_5), 7.55 (mc, 2 H ar *m* + 1 H ar *p*), 7.71 (s, CH-5 + CH-6), 8.65 (mc, 2 H ar *o*), 10.15 (s, CH-10)
VIh	272.5 (4.72) 281 sh (4.71) 344 sh (4.48) 354 (4.50) 372 sh (4.37) [a]	1735 [b]	1625 1535	[c]

[a] In ethanol 95%-tetrahydrofuran 96:4. [b] In potassium bromide. [c] The product was insufficiently soluble in the common solvents for nmr measurement.

Finally, compounds **Vc-h** afforded by dehydrogenation with 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (DDQ) in refluxing toluene [7] the corresponding *N*,*N*-disubstituted 4-amino-3-chloro-(8-methyl)(8-phenyl)-2*H*-pyrano[2,3-*f*]-quinazolin-2-ones **VIc-h** (Tables VIII and IX) in excellent yields.

EXPERIMENTAL

The uv spectra were measured in 95% ethanol with a Perkin-Elmer Model 550S spectrophotometer. The ir spectra were taken in chloroform on a Perkin-Elmer Model 398 spectrophotometer; the nmr spectra were recorded in deuteriochloroform on a Perkin-Elmer Model R-100 instrument (60 MHz, TMS as internal standard, J in Hz). Melting points were determined with a Fisher-Johns apparatus.

Compounds **I** and **II** (Table I) were obtained from 7,8-dihydro-(2-methyl)(2-phenyl)quinazolin-5(6*H*)-ones [1], ethyl formate and sodium methoxide in toluene solution, following a previously described procedure [2,3].

Enaminones **IIIa-b,d-f** (Table II) were prepared according to the general procedure a) and enaminones **IIIc,g,h** according to the general procedure b) previously described [4].

Adducts **IV** (Table IV) were prepared according to the literature [3], with the exception of **IVd,f**, where anhydrous diethyl ether was employed as the solvent instead of toluene.

Compounds **V** (Table VI) were obtained in general from the corresponding **IV** by dehydrochlorination with DBN in refluxing toluene according to the literature [3].

Compounds **VI** (Table VIII) were prepared by dehydrogenation with DDQ of the corresponding **V** according to the literature [7], using anhydrous toluene as the solvent.

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REFERENCES AND NOTES

[1] L. Mosti, G. Menozzi and P. Schenone, *J. Heterocyclic Chem.*, **20**, 649 (1983).

[2] L. Mosti, P. Schenone and G. Menozzi, *J. Heterocyclic Chem.*, **16**, 913 (1979).

[3] G. Menozzi, L. Mosti and P. Schenone, *J. Heterocyclic Chem.*, **23**, 449 (1986).

[4] L. Mosti, G. Menozzi and P. Schenone, *J. Heterocyclic Chem.*, **21**, 361 (1984).

[5] D. J. Brown, R. F. Evans, W. B. Cowden and M. D. Fenn, "The Pyrimidines", Supplement II, John Wiley and Sons, New York, NY, 1985, p 479-493.

[6] L. Mosti, P. Schenone and G. Menozzi, *J. Heterocyclic Chem.*, **16**, 177 (1979).

[7] L. Mosti, G. Menozzi and P. Schenone, *J. Heterocyclic Chem.*, **18**, 1263 (1981).