NOTE

Synthesis and Characterization of Some Pyrimidinone Derivatives

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A series of pyrimidinone derivatives have been prepared through cyclocondensation reaction of asparagine with aromatic aldehyde derivatives to give 2-(substituted phenyl)-6-carboxy-1,2,3,5,6-pentahydro-4-(1*H*)-pyrimidinone.

Key Words: Synthesis, Characterization, Pyrimidinone derivatives.

Pyrimidinone derivatives have been reported to show selective antitumor¹, antiviral², antitubercular³ and antifungal activity⁴. Many workers have synthesized heterocyclic compounds containing pyrimidinone moiety with the aim of obtaining some novel systems with potentially enhanced biological properties⁵. Botta *et al*⁶ have synthesized pyrimidinone and pyrimidindione derivatives by solid phase procedure.

Konopelski *et al*⁷⁻¹⁰ developed some new synthetic methodologies and their application toward the synthesis of complex organic molecules which have interesting biological activity and medicinal properties *e.g.* enantiomerically pure β -amino acids from pyrimidinone derivatives.

Although asparagine has been cyclized with acetone¹¹, cycloaddition with aldehydes has not been described in detail except for tetrahydropyrimidinone formation using formaldehyde. In present note, new pyrimidinone derivatives *via* reaction of several aromatic aldehydes with asparagine have been reported.

Melting points were determined in an open capillary tubes and are uncorrected. All the chemicals and solvents used were of laboratory grade, the reaction was monitored by TLC. IR (KBr, cm⁻¹) were recorded on a Unicam SP 1200 spectrophotometer , ¹H NMR spectra were recorded on a Brucker spectrometer (300 MHz) using TMS as internal standard (chemical shift in δ ppm) in CDCl₃ and DMSO-d₆.

All the synthesized compounds gave satisfactory C, H, N analyses on Perkin-Elmer instrument, 2400 series.

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General procedure for preparation of 2-phenyl-6-carboxy-1,2,3,5,6-pentahydro-4-pyrimidinone (III):



X = H, *p*-OCH₃, *p*-Cl, *p*-OH, *p*-N(CH₃)₂ and *p*-NO₂, respectively.

In a round bottomed flask equipped with magnetic stirrer, potassium hydroxide (2.2 g) was placed and 25 mL of water was added. Asparagine (5 g) was added with stirring followed by benzaldehyde (4.5 mL), the stirring was continued vigorously for 6 h at room temperature, the reaction was monitored by TLC. Precipitation of the pyrimidinone (**III**) was accomplished by slow addition of 10% hydrochloric acid (12 mL). The resulting solid was collected by filtration, washed with cold water and dried in a desiccator. All other compounds (**IIIb-f**) were prepared in a similar way.

The reaction scheme is outlined above and the physical and spectral data of the products are recorded in Tables 1 and 2.

Compd.	m.f.	m.w.	m.p. (°C)	Yield (%)	Elemental analysis (%) Calcd. (Found)			
					С	Н	Ν	Cl
IIIa	$C_{11}H_{12}N_2O_3$	220.0	187	66	60.00	5.45	12.72	_
					(60.30)	(5.05)	(13.12)	
IIIb	$C_{12}H_{14}N_2O_4$	250.0	156	73	57.60	5.60	11.20	_
					(57.20)	(5.26)	(10.86)	
IIIc	$C_{11}H_{11}N_2O_3Cl$	254.5	201	54	51.86	4.32	11.00	13.94
					(51.33)	(4.03)	(11.45)	(13.68)
IIId	$C_{11}H_{12}N_2O_4$	236.0	172	75	55.93	5.08	11.86	_
					(55.67)	(5.43)	(11.52)	
IIIe	$C_{13}H_{17}N_3O_3$	263.0	189	68	59.31	6.46	15.96	-
					(59.74)	(5.82)	(15.40)	
IIIf	$C_{11}H_{11}N_3O_5$	265.0	225	60	49.81	4.15	15.84	_
					(49.28)	(4.43)	(15.39)	

TABLE-1 PHYSICAL DATA OF COMPOUNDS **IIIa-f**

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SILCINAL DATA OF COMPOUNDS Ha-							
Infrared (ν , cm ⁻¹)	¹ H NMR (δ ppm)						
3283, 2966, 1720, 1100,	2.8 (d, 2H), 4.5 (t, 1H), 5.3 (s, 1H),						
780	7.0-8.0 (m, 5H)						
3280, 2970, 1720, 1450,	2.7 (d, 2H), 3.8 (s, 3H), 4.6 (t, 1H),						
1108, 1020, 830	5.3 (s, 1H), 6.9-7.3 (m, 5H)						
3278, 2968, 1720, 1110,	2.7 (d, 2H), 4.5 (t, 1H), 5.0 (s, 1H),						
840	6.9-7.7 (m, 4H)						
3600, 3278, 2970, 1719,	2.8 (d, 2H), 4.5 (t, 1H), 5.3 (s, 1H),						
1112, 830	6.7-7.9 (m, 4H), 9.9 (s, 1H)						
3286, 2983, 1720, 1440,	2.8 (d, 2H), 3.0 (s, 6H), 4.5 (t, 1H),						
1230, 760	5.3 (s, 1H), 6.6-7.8 (m, 4H)						
3290, 2993, 1720, 1500,	2.8 (d, 2H), 4.5 (t, 1H), 5.3 (s, 1H),						
1112, 830	7.7-8.3 (m, 4H)						
	Infrared (v, cm ⁻¹) 3283, 2966, 1720, 1100, 780 3280, 2970, 1720, 1450, 1108, 1020, 830 3278, 2968, 1720, 1110, 840 3600, 3278, 2970, 1719, 1112, 830 3286, 2983, 1720, 1440, 1230, 760 3290, 2993, 1720, 1500, 1112, 830						

TABLE-2 SPECTRAL DATA OF COMPOUNDS IIIa-f

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