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Synthesis and Charecterization of Some Oxopyrimidine Derivativers

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Abstract:

Four new 4-(4-chlorophenylamino)-6-(4-substitutedphenyl)-5,6-dihydropyrimidin-2-(1H)-ones(3a-d) were synthesized by condensation of (E)-N-(4-chlorophenyl) 3-(4-substitutedphenyl) acrylamide (2a-d)with urea in the presence of catalytic amount of 40% KOH respectively. All the compounds were characterized by means of theirs IR, ^{1} H NMR spectroscopic data and elemental analysis.

Key Words:- Synthesis, Oxopyrimidine derivatives.

Introduction:

The search for new potent anti-microbial agents with reduced toxicity and lower side effectsis of continuous process. One of the most frequently encountered groups of organiccompound in medicinal chemistry is oxopyrimidine and their derivatives. Pyrimidines1 haveoccupied unique place in the field of medicinal chemistry. Some antibacterial2-7 andantimalerial8-10 drug is constituted by pyrimidine derivatives. Certain pyrimidine derivativesare known to display as analgesic11, anthelmintic12- 13, antitumor14, antifungal15, antiviral16-18,anticancer19, insecticidal20 and diuretic & anti-inflammatory21-22 activities. Keeping in viewof the biological importance23 and chemotherapeutic properties ofoxopyrimidineandnaphthofuran derivatives, attempts has been made tosynthesize some new oxopyrimidinederivatives linked with naphthofuran and aryl group with the hope that they might displayantimicrobial activity.

Experimental

The melting points of all the products were determined in open capillary tubes and are uncorrected. IR spectra (cm^{-1}) were recorded using KBr on Shimadzu FT-IR 400 PC Spectrometer, ^{1}H NMR spectra ($CDCl_3$) were recorded on Brucker-Avance II-400 NMR Spectrometer using TMS as internal standard(chemical shift in δ ppm).

Preparation of (E)-N-(4-chlorophenyl)- 3-(4- hydroxyphenyl)acrylamide (2b).

To the mixture of 4-chloroacetanlide (0.01 mole) and 4-hydroxy benzaldehyde (1b) (0.01 mole) in ethanol (20 mL), added drop wise 1 ml NaOH (40%) solution with stirring and the reaction mixture was stirred for another 10h at room temperature and then refluxed for 10h. The excess solvent was distilled off and the solid obtained was poured into ice-cold water. The solid thus obtained was filtered, dried and re crystallized from ethanol to get (2b), m.p., 68 ^{o}C , yield 60-65% .

All other compounds(2a,2c-d) were prepared in similar manner by the reaction of 4-chloroacetanlide with aromatic aldehyde (1a, 1c-d) respectively.

Preparation of of 4-(4-chlorophenylamino)-6-(4-hydroxyphenyl)-5,6-dihydropyrimidine -2-(1H)-one (3b).

A mixture of (E)-N-(4-chlorophenyl) 3-(4-hydroxyphenyl)acrylamide**(2b)** (0.01 mol) and urea (0.01 mol) was refluxed in presence of ethanol containing alcoholic KOH (1 mL) for 12 hr. The excess solvent was distilled off and the residue was neutralized with dilute HCl. The separated solid was filtered out and crystallized from ethanol to afford (3b)m.p., 205 ^{o}C , yield 89%.

All other compounds (3a, 3c-d) were synthesized in similar manner by treatment of (2a,2c-d) with urea.

Spectral Data of (3b):

IR (KBr, cm^{-1}): 3600-3400 (O-H), 1679 (C=O), 1587 (C=N), 3300 (N-H), 3044-3091 Ar (C-H), 1427 (C-N), 1321 (C-Cl), 680-757 (monosubstituted benzene ring);

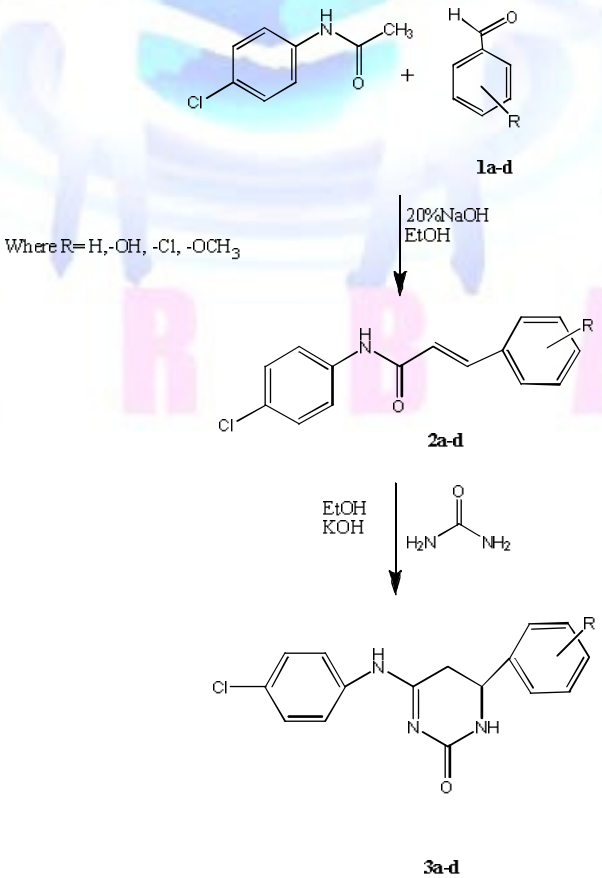
^{1}H NMR (EtOH): δ 1.23 (s, 1H, OH), 2.53 (s, 2H, CH), 4.63 (s, 1H NH), 7.88 (d, 2H, Ar-H), 7.68 (d, 2H, Ar-H), 7.50 (d, 2H, Ar-H), 7.28 (d, 2H, Ar-H).

Spectral Data of (3c):

IR (KBr, cm^{-1}): 3266 (N-H), 2922 (Ar C-H), 1681 (C=O), 1488 (Ar C=C), 1425 (C=N), 1321 (C-N), 808 (p-disubstituted benzene ring), 759 (C-Cl)

^{1}H NMR ($CDCl_3$): δ 4.52 (s,1H, NH), 7.96 (d,2H,Ar -H), 7.86 (d,2H,Ar -H), 7.61 (d,2H,Ar-H),7.47 (d,2H,Ar-H),2.53 (s,2H,Allylic-H).

Reaction Scheme :-



Results and Discussion:

Present work deals with synthesis of 4-(4-chlorophenylamino)-6-(4-substitutedphenyl)-5,6-dihydropyrimidin-2-(1H)-ones(3a-d) have been synthesized by the condensation of (E)-N-(4-chlorophenyl) 3-(4-substitutedphenyl) acrylamide (2a-d) with urea in the presence of catalytic amount of 40% KOH respectively. The starting material (E)-N-(4-chlorophenyl) 3-(4-substitutedphenyl) acrylamide (2a-d) were prepared by condensation of 4-chloroacetanilide with different aromatic aldehyde (1a-d). All these synthesized compounds were characterized on the basis their spectral data.

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References:

1. **Maria T. C. (2009),**CenzoCongiu, Antonio Maccioni and Valentina Onnis, *J Het Chem.,***31(2)**, Pp.329.

2. **Mahadevan K. M. and Vaidya V. P., (2003)***Indian J Pharm Sci.*, ,**65**, Pp.128.

3. **Burger A. (1961),** Medicinal Chemistry, Wiley Inter Science., New York.

4. **Vagdevi H.M, Latha K. P., Vaidya V. P. and Vijay Kumar M.L., (2001)***Indian J Pharm Sci.*, **63(4)**,Pp. 286.

5. **Foye W. O.,(1981)** Principles of Medicinal Chemistry, Lea and Febiger, Philadelphia.

6. **Pershin G. N., Sherbakova L. I. and Zykova T. N., (1972)***Sakolova Farmakol Aksikol*,**35,** Pp.466-471, *ChemAbstr.***,** 1972, 77, 1555802.

7. Kodihalli C. R., Hosadu M. V. and Vijayvithal P. V.(2008), *ARKIVOC,* **XI**, Pp.1-10.

8. **Steck E. A.,(1971),** Chemotherapy of protozoan Diseases; Walter Reed, Army Institute of Res., Washington D.C.

9. **Thompson P. E. and Werbal L. M.(1972),** Antibacterial Agents Chemistry Pharmacology,Academic press, New York.

10. **Falco E. A. and Brit (1961)***J Pharmacol.*, **6,** Pp.185.

11. **Pemmisin M., Lue-Due C., Hoguet F. and Gaultier C., Narcisse J.(1988),***Eur J Chem.*, **23**, Pp.534.

12. **Ram V. J.,(1989),***Pak J Chem.,* **331,** Pp893.

13. **Ram V. J., Haque N. and Guru P. Y.,(1992)***Eur J Med Chem.,***27,** Pp.851-855.

14. **Suguira K., Schimid M. M. and Brown F.G., (1973),***Cancer Chemother Rep.*, **2,**Pp.231-233.

15. **Basavraj P., Vaidya V. P. and Vijay Kumar M. L.,(2002),***Indian J Heterocyclic Chem.,***12,** Pp.89-94.

16. **Balzarini J. and McGuigan C.,(2002)***J Antimicrob Chemothr.,***50(1),** Pp.5-9.

17. **Mercer F. L., Lindhorst L. E. and Commoner B.,(1953)***Science,* , **117,** Pp.558.

18. **Nasr M.N. and Gineinah M. M.,(2002),***Arch Pharm.,***335**, Pp.289-295.

19. **EI-Gaby M. S., Abdel-Hamide S. G. and Ghorab M. M. and El-Sayed S. M.,(1999),***ActaPharm.,* **49**, Pp.149.

20. **Cheng C. C.,(1969)***Progr Med Chem.*, **6,**Pp.67-134.

21. **Kumarswamy M. N., Prathima Matthias D. A., Chandrasekhar C. andVaidya V. P.,(2006),** *Indian J Pharm Sci.,* **68(6),** Pp.731-736.

22. **Nega S., Aionso J., Diazj A. and Junquere F.,(1990),***J Het Chem.,* **27**, Pp.269.

23. **Sondhi S. M., Johar M., Rajvanshi S., Dastidar S. G.,(2001),** *Australian J Chem.,* **54**,Pp.69-74.