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REVIEW ON SYNTHESIS AND BIOLOGICAL ACTIVITY OF DERIVATIVES OF 1-(2, 4-DIHYDROXYPHENYL)-3-PHENYLPROPANE-1, 3-DIONE

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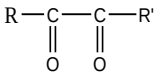
ABSTRACT:-
Substituted β-diketones form an important class of ketones. Since long ago these compounds analogues and their derivatives have attracted strong interest in medicinal chemistry due to their biological and pharmacological properties. The various 1,3-heterocyclic β-disubstitued derivatives synthesized have been found to possesses numerous biological properties like -antitumor, antimicrobial, anti-inflammatory, anticonvulsant, antiviral, anti HIV, antioxidant, . These activities are also possessed by its long chain substituted derivatives as well. The present review outlines some commonly developed derivatives of 1-(2, 4-dihdroxyphenyl)-3-phenylpropane-1, 3-dione with different biological activities.

Keywords: β-diketone, 1-(2, 4-dihdroxyphenyl)-3-phenylpropane-1, 3-dione, biological activity.

1 INTRODUCTION

Diketones are the compounds having two carbonyl groups or oxo groups in their molecule. Depending upon the position of these carbonyl groups, they are designated as α-diketone 1, β-diketone 2 and γ-diketone 3.



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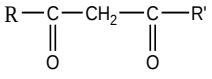

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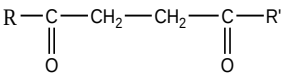

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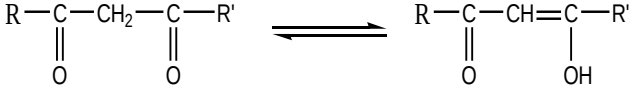
β-Diketones:

β-Diketones have been important intermediates in organic synthesis since the discovery of the Claisen condensation more than a century ago.[1-10] In β-diketones2 a methylene group is flanked by two carbonyl groups. β-Diketones are also regarded as propane-1, 3-diones or diacylmethanes. β-Diketones are well known to have two forms, that is keto4 and enol5 forms. One of the most interesting properties is the interconversion between the two forms, which is termed as keto-enol tautomerism.[11]



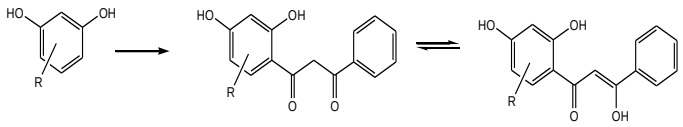
For most β-diketones, the enol form is predominant than keto form in a solution. The tautomeric equilibrium can be affected by various factors such as solvent polarity, substitution groups,

pH values, and UV light irradiation. The photoinducedketonization of β-diketones generally occurs after UV irradiation, this process is reversible, the keto form can be converted back into the cis-enol form in darkness. Recently, a fluorescence behavior based on reversible keto-enoltautomerism is reportedsuch reversible behavior provided an opportunity in designing a novel class of molecular switches and devices. Several spectroscopic methods have been employed for the characterization of keto-enoltautomerism such as IR, UV–vis, Raman,^{1}H and ^{13}C NMR spectroscopy. Recently, Gilli et al. reported the X-ray crystallographic structures of keto-enoltautomers and their H-bonding effects. Kenaret al., synthesized long-chain β-diketone compounds and investigated their keto-enoltautomeric equilibrium.

MATERIALS AND METHODS:

The starting compound required for the synthesis of macrocycles is 1-(2,4-dihydroxy phenol)-3-phenyl propane-dione, which can be obtained by the resorcinol when treated with acetic acid in presence of zinc chloride, resulted as acetophenone which was a dark pink coloured compound, is treated with benzoyl chloride in presence of 10%sodium hydroxide resulted as aroyloxyacetophenone . The yield of the compound is very high, it was crystallized from

95% ethanol and it was undergoes the Baker-Venkataraman rearrangement reaction with potassium hydroxide 6 in pyridine resulted as1-(2,4-dihydroxy phenyl)-3-phenyl-propane –1,3-dione (diketone), which was a yellow solid and crystallized from ethanol.



Scheme:Synthesis of of 1-(2,4-dihdroxyphenyl)-3-phenylpropane-1,3-dione

BIOLOGICAL ACTIVITY:

Like all diketones 1-(2,4-dihdroxyphenyl)-3-phenylpropane-1,3-dione shows valuable medicinal activities. We are listing some of derivatives reported to have a brief review on medicinal activity of these diketones

1. ANTIMICROBIAL AND ANTIOXIDANT:

A novel series of 1-(4′-O-β-d-glucopyranosyloxy-2′-hydroxyphenyl)-3-aryl-propane-1,3-diones have been evaluated for their biopharmaceutical, antimicrobial and antioxidant, properties.

A similar kind of study was made by George Mulongo, Jolocam Mbabaz, P. Nnamuyomba and G.B. Mpango et al.They had prepared new derivatives of 1, 3-diketones using aromatic aldehydes and N-benzylN-phenylhydrazine, consequent upon which their biologically active properties had been investiged.These all new derivatives have been found to have antimicrobial activity against gram-positive Cocci and Bacilli as well aas gram-negative Bacilli.

2. ANTIBACTERIAL AND ANTIVIRAL ACTIVITY:

The clinically active functionalized metal complex of β-diketones 1-(2′,4′-dihydroxyphenyl)-3-(2″-substitutedphenyl)-propane-1,3-dione have been synthesized from Baker–Venkataraman transformation of 2,4-diaroyloxyacetophenones by Javed sheikh et.al. and his coworkers. The transition metal complexes have been prepared and characterized by physical, spectral and analytical data.These complexes have been found to show excellent antibacterial and antiviral

3. ANTIFUNGAL ACTIVITY:

In an another report by NIRDOSH PATIL et al. and RAMACHANDRA AKKASALI et al.tetraaza derivatives of 1-(2,4-dihdroxyphenyl)-3-phenylpropane-1,3-dione were reported .when the titled compound is allowed to react with diamines viz.ethylenediamine,diaminoprpane,o-phenylenediamine in the presence of few drops of hydrochloric acid ,the solid reported is teraaza derivative.

The antibacterial activity against Escherichia coli and Pseudomonas aeroginosa and antifungal activity against Aspergillus niger and Candida albicans, were screened by the macrocycles and found very effective.

4. ANTICANCER AND INHIBITION OF HIV-PROTEINASE:

This property is reorted by Jae In Lee, Hwa Soo Son, and Hyun Park et al. in flavones.The flavones are a class of naturally occurring compounds that are widely distributed in vascular plants1 and possess biological activities, such as antioxidant effect, inhibition of HIV-1 proteinase, and anticancer.2 The general methods to obtain flavones are the cyclization of 1,3-diphenylpropane- 1,3-diones or 2'-hydroxychalcones, which are prepared from 2'-hydroxyacetophenones .

RESULT AND DISCUSSION:

As can be understood from the biological ativities shown by almost all diketones and with respect to this review restrict our self on derivatives of 1-(2, 4-dihdroxyphenyl)-3-phenylpropane-1, 3-dione and its derivative, it has two substituents possibilities at 1-postion and 3-postion respectively as well as one reactive methylene group is there which provide a extended possibility for several substituents.Morever the activity of these diones is again enhanced by the keto-enol tautomerism .

Following we are trying to compress a list of some of the more possible derivatives with their biological activities possible which when substituted on either 1/3 positions or as substituent on reactive position we get derivatives of titled dione with enhanced biological activity.

CONCLUSION:

In this review we have summarised the biological importance if β-diones with respect to of 1-(2,4-dihdroxyphenyl)-3-phenylpropane-1,3-dione with the functional activity ofsome reported derivatives.we have listed some could be possible derivatives of the same with their medicinal activities which may be reported in less yield but can open a new door for new researches.

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REFERENCES:

Heller S. and Natarajan S.; Org. Lett., 2006, 8, 2675.

Simoni D., Invidiata F., Rondanin R., Grimaudo S., Cannizzo G., Barbusca E., Porretto F., Alessandro N. and Tolomeo M.; J. Med. Chem., 1999, 42, 4961.

Tang L., Zhang S., Yang J., Gao W., Cui J. and Zhuang T.; Molecules, 2004, 9, 842.

Kumar R. and Joshi Y.; Arkivoc, 2007, 9, 142.

Kuzueva O., Burgart Y., Saloutin V. and Chupakhin O.; Chem. hetero. Compds., 2001, 37, 1130.

Bennett I., Broom N., Cassels R., Elder J., Masson N. and Hanlon P.; Bioorg. & Med. Chem. Lett., 1999, 9, 1847.

Diana G., Carabateas P., Johnson R., Williams G., Pancic F. and J. Collins.; J. Med. Chem., 1978, 21, 889.

Crouse G., McGowan M. and Boisvenue R.; J. Med. Chem., 1989, 32, 2148

NishiyamT. A, Shiotsu S. and Tsujita H.; Polym. Degrad. & Stab., 2002, 76, 435.

Acton N., Brossi A., Newton D. and Sporn M.; J. Med. Chem., 1980, 23, 805.

Andrae I., Bringhen A., Bohm F., Gonzenbach H., Hill T., Mulroy L. and Truscott T.; J. Photochem. & Photobiol. B: Biology, 1997, 37, 147.

Huang M., Lou Y., Xie J., Ma W., Lu Y., Yen P., Zhu B., Newmark H. and Ho C.; Carcinogenesis, 19, 1998, 1697-1700.

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