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Review Article

NOVEL SUBSTITUTED ISATIN DERIVATIVES: A REVIEW

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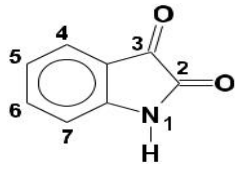
The synthetic versatility of isatin has led to the extensive use of this compound in organic synthesis. A graphical survey of the application of isatin in the synthesis of other heterocyclic systems is presented in ESI 2, and ESI 3 contains a summary of metal complexes and some organometallic derivatives of isatin. The method developed by Sandmeyer is the oldest and the most frequently used for the synthesis of isatin. In this view we have made an attempt in reviewing the literature on substituted indole for their medicinal significance with help of chemical abstract, journals and internet sites.

Key Words: isatin, graphical survey, aniline, chloral hydrate active biomolecules, bioavailability, pharmacological properties, antibacterial effects.

INTRODUCTION

Isatin (1H-indole-2,3-dione, Figure 1) was first obtained by Erdman and Laurent in 1841 as a product from the oxidation of indigo by nitric and chromic acids.



The synthetic versatility of isatin has led to the extensive use of this compound in organic synthesis. Three reviews have been published regarding the chemistry of this compound: the first by Sumpter, in 1954[1], a second by Popp in 1975[2], and the third on the utility of isatin as a precursor for the synthesis of other heterocyclic compounds[3].

In nature, isatin is found in plants of the genus *Isatis*[4], in *Calanthe discolor* LINDL.[5] and in *Couroupita guianensis* Aubl.[6], and has also been found as a component of the secretion from the parotid gland of *Bufo* frogs[7], and in humans as it is a metabolic derivative of adrenaline[8-10]. Substituted isatins are also found in plants, for example the melosatin alkaloids (methoxy phenylpentyl isatins) obtained from the Caribbean tumorigenic plant *Melochia tomentosa*[11-13] as well as from fungi: 6-(3'-methylbuten-2'-yl)isatin was isolated from *Streptomyces albus*[14] and 5-(3'-methylbuten-2'-yl)isatin from *Chaetomium globosum*[15]. Isatin has also been found to be a component of coal tar[16]. Its synthesis, chemical reactivity and pharmacological properties during the period from 1975 to 1999. The biological and

pharmacological data obtained from the scientific literature are summarized in Electronic Supplementary Information (ESI) 1. A graphical survey of the application of isatin in the synthesis of other heterocyclic systems is presented in ESI 2, and ESI 3 contains a summary of metal complexes and some organometallic derivatives of isatin. These ESI are available at http://www.sbq. org.br/jbcs/2001/vol12_n3/. The databases used for the preparation of this review were Chemical Abstracts, MEDLINE (www.healthgate.com), Beilstein (chemweb.com), Web of Science ISIS (webofscience.fapesp.br) and the IBM intellectual property network.

Ramachandran S *et al.,* (2011)[6] Novel schiff and mannich bases of isatin derivatives were synthesized. The structures of these compounds were established by means of IR, ^{1}H-NMR analysis. All the compounds were evaluated for analgesic and ulcerogenic activities. Most of the

compounds shown significant analgesic activity and lesser ulcerogenic property, when compared with the standard drugs.

K. Meenakshi1, *et al.,* (2015)[7] A new series of Schiff bases of 5-sulphamoyl isatin (V) were synthesized by reacting various substituted aromatic aldehydes with 3-hydrazino-5 sulphamoylisatin (IV). The 3-hydrazino-5-sulphamoylisatin was synthesized by reacting 5-sulphamoylisatin with hydrazine hydrate. All the synthesized compounds were characterized by means of their IR, ^{1}HNMR and Mass spectroscopic data. The designed compounds were screened for anticancer activity against Ehrlich Ascites Carcinoma (EAC) in Swiss Albino mice. Antitumor effect was determined by evaluating tumor volume, viable tumor cells count, non-viable tumor cells count and mean survival time. The standard antitumor drug used was 5 Fluorouracil. The results suggest that the compounds Ve, Vf, Vi and Vj exhibited significant antitumor activity.

Parvaneh Pakravan *et al.,* (2013)[8] Isatin, 1H-indole-2,3-dione, is a heterocyclic compound of significant importance in medicinal chemistry. It is a synthetically versatile molecule, a precursor for a large number of pharmacologically active compounds. Isatin and its derivatives have aroused great attention in recent years due to their wide variety of biological activities, relevant to application as insecticides and fungicides and in a broad range of drug therapies, including anticancer drugs, antibiotics and antidepressants. The purpose of this review is to provide an overview of the pharmacological activities of isatin and its synthetic and natural derivatives. Molecular

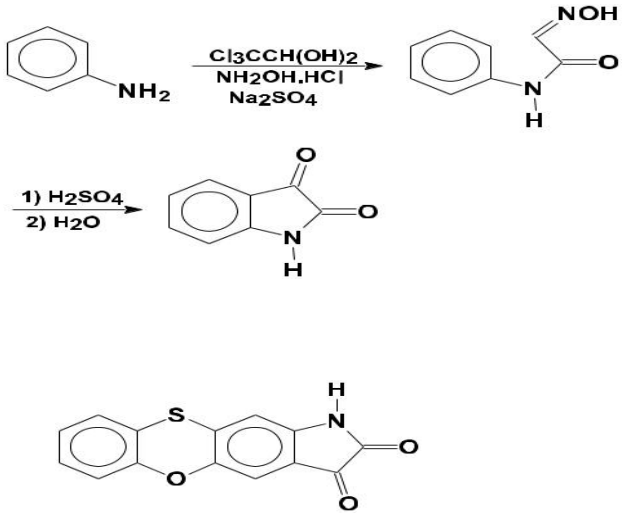
modifications to tailor the properties of isatin and its derivatives are also discussed.

V. Ravichandran *et al.*, (2007)[9] A series of 2,3-dihydro-2-oxo-1,3-disubstituted indoles were prepared by the reaction of 2,3-dihydro-2-oxo-3-substituted indoles with 2-[(2,6 dichlorophenyl) amino]phenylacetic acid in the presence of formaldehyde. The newly synthesized compounds were characterized on the basis of elemental analysis, IR, 1H NMR and mass spectra. All the synthesized compounds were tested for their antibacterial activities against Gram + and Gram – bacteria, and antifungal activities.Among the synthesized compounds RS II showed moderate antibacterial activity against *B. subtilis*, RS I, RS II, RS III and RS VI showed moderate antibacterial activity against *P. aeruginosa*, RS V and RS VI showed good anti fungal activity against *P. notatum*.

Negar Lashgari *et al.*, (2012)[10] This review gives an overview of the advances in the use of isatin in the synthesis of various heterocyclic compounds via 1,3-dipolar cycloaddition reactions during the period from 2000 to 2011.

Synthesis of Isatins

The method developed by Sandmeyer is the oldest and the most frequently used for the synthesis of isatin. It consists in the reaction of aniline with chloral hydrate and hydroxylamine hydrochloride in aqueous sodium sulfate to form an isonitrosoacetanilide, which after isolation, when treated with concentrated sulfuric acid, furnishes isatin in >75% overall yield[17]. The method applies well to anilines with electron-withdrawing substituents, such as 2-fluoroaniline[18], and to some heterocyclic amines, such as 2-aminophenoxathine[19] (Scheme 1).



SUMMARY AND CONCLUSION

We had made an attempt in reviewing the literature on substituted Benzimidazole derivatives for their medicinal significance with help of chemical abstract, journals and internet sites. All synthesized compounds will tested for the preliminary tests, physical constants and TLC.

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.Conflict of Interest

The authors declare that they have no conflict of interest