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SYNTHESIS OF 2-[2-HYDROXY-5-(3-SUBSTITUTEDIMINO-1, 2, 4-DITHIAZOLO)] AMINO PHENYL INDOLES

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Abstract:

2-[2-Hydroxy-5-(-2,4-substituted-dithiobiureto)]phenylindoles with iodine in ethanol as an oxidative cyclising agent was transformed into the 2-[2-hydroxy-5-(3-substitutedimino-1,2,4-dithiazolo)]aminophenylindoles in one step. Characterization and justification of structure of synthesized compounds were done on the basis of conventional elemental analysis, chemical characteristics and spectral studies.

Key Words: *2-[2-Hydroxy-5-(2,4-ethyldithiobiureto)]phenylindole, iodine, ethanol.*

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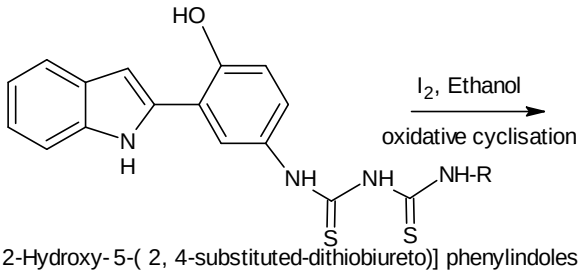
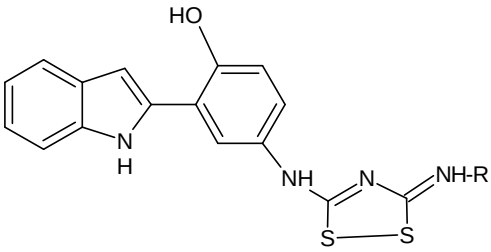
INTRODUCTION:

Diverse biological and pharmacological activities have been reported for thiazoles, dithiazines and related compounds. For instance, thiazole derivatives are in clinical use [1,2] and many dithiazines exhibit antiprotozoal, antiviral, bactericidal and fungicidal properties [3,4], probably by virtue of the presence of the toxophoric (-N=C-S) group. Furthermore, many dithiols and dithiazines are patented as synthetic flavor compounds [5-9] and in photographic developing by a diffusion transfer process.In this laboratory Kawale has designed 9-thiadiazoloacrilidine and studied its antimicrobial activities. Khobragade synthesized thiadizolopyridine and studied antibacterial activities and its effect on germination pattern of on jowar, Bhagwatkar synthesized dithiazole and studied their antibacterial activities. It was concluded that the biological activity can be enhanced or changed by substituting various substitutents on dithiazole ring [10-15].

Taking all these things into consideration, it was thought interesting to investigate the oxidative cyclisation of 2-[-2-hydroxy-5-(-2,4-substituted-dithiobiureto)]phenylindoles by making use of iodine in ethanol as an oxidative agent for synthesizing yet novel series of 2-[-2-hydroxy-5-(3-substitutedimino-1,2,4-dithiazolo)]aminophenylindole. This is easiest, cheaper, suitable, convenient and less time consumable method for the synthesis of dithiazoles which is depicted below in **(Scheme-I)**.



2-[2-Hydroxy- 5-(2, 4-substituted-dithiobiureto)] phenylindoles



2-[2-Hydroxy- 5-(3-substitutedimino- 1, 2, 4-dithiazolo)]aminophenylindoles

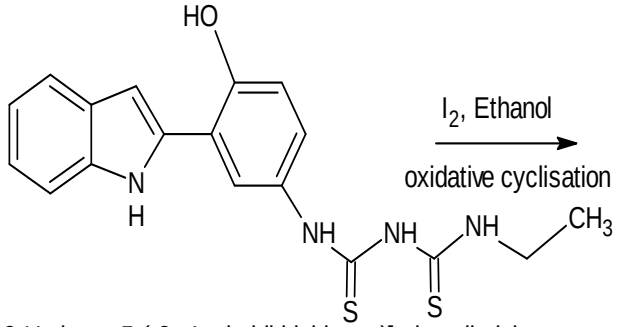
Where, R = -methyl, -ethyl, -t-butyl -phenyl, -p-Cl-phenyl.

Synthesis of 2-[-2-hydroxy-5-(3-ethylimino-1,2,4-dithiazolo)]aminophenylindole

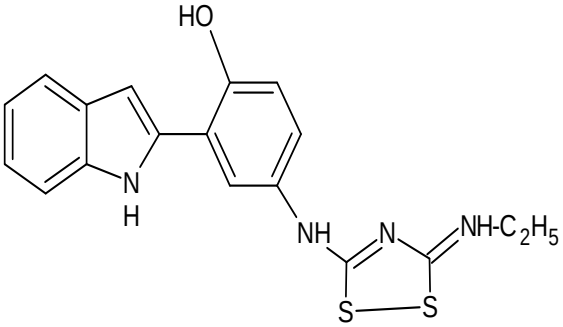
In a china dish paste of 2-[2-hydroxy-5-(2,4-ethyldithiobiureto)]phenylindole was prepared in ethanol to it iodine in ethanol was added with constant stirring, till colour of iodine persists to reaction mixture. Then it was kept at room condition for 4 hours. On basification with dilute ammonium hydroxide solution, dark yellow crystals were obtained, recrystallized from ethanol, yield 84%, m.p. 179^{0}C.

The probable reaction and mechanism for the formation of is depicted below,

Reaction



2-[2-Hydroxy- 5-(2, 4-ethyldithiobiureto)] phenylindoles



2-[2-Hydroxy- 5-(3-ethylimino- 1, 2, 4-dithiazolo)]aminophenylindoles

RESULT AND DISCUSSION:

Molecular formula $C_{18}H_{16}N_4O_1S_2$ **%Composition:** found(calculated) C-57.32 (58.69), H-4.02 (4.34), N-15.20 (15.21), S-16.35 (17.39)., **IR (KBr Pellets) ν cm^{-1}**- 3405.2 (O-H; s), 3356 (N-H; s), 3131 (Ar-CH; s), 1688 (N=C-N; s), 1636 (Ar-C=C), 1294 (C-N; s)., 2866.22(C-H), 1524 **(**C = N**)** 777(C-S; s) **The PMR Spectrum:** PMR Spectrum of compound was carried out in $CDCl_3$ and DMSO-d_6. This spectrum distinctly displayed the signals due to indole -NH proton at δ 12.8560, ppm, -NH proton at 9.6265 ppm flanked thioamido δ Ar-OH proton at 4.0025δ ppm, Ar-H protons at δ 7.4358-6.8254 ppm, -CH_2 protons at δ 2.5367-2.3605 ppm, -CH_3 protons at δ 1.6202 ppm.

Similarly, 2-[-2-hydroxy-5-(3-methylimino-1,2,4-dithiazolo)]aminophenyl-indole, 2-[-2-hydroxy-5-(3-t-butylimino-1,2,4-dithiazolo)]aminophenylindole, 2-[-2-hydroxy-5-(3-phenyl- imino-1,2,4-dithiazolo)]aminophenylindole and 2-[-2-hydroxy-5-(3-p-chlorophenyl imino-1,2,4-dithiazolo)]aminophenylindole were synthesized by the oxidative cyclisation of 2-[2-hydroxy-5-(-2,4-methyldithiobiureto)]phenylindole, 2-[2-hydroxy-5-(2,4-t-butyl dithiobiureto)]phenylindole, 2-[2-hydroxy-5-(-2,4-phenyldithiobiureto)] phenylindole and 2-[2-hydroxy-5-(2,4-p-chlorophenyldithiobiureto)]phenyl indole respectively by making use of iodine in ethanol as oxidative cyclising agent by the above mentioned and enlisted in **Table No.-1**

Sr. No.	2-[-2-Hydroxy-5-(3-***substitued***imino-1,2,4-dithiazolo)]aminophenylindoles **(VIa-e)**	**Yield (%)**	**M.P. ^{0}C**
1.	.....***methyl***……..	89	178
3.	.....***t-butyl***……..	86	188
4.	.....***phenyl***……..	93	156
5.	.....***p-chlorophenyl***…..	87	187

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