J. Indian Chem. Soc.,
Vol. 73, December 1996, p. 695

NOTE

Synthesis and Antifungal Activity of 2-Hydroxy-1-naphthalaniline and its *N*-Phenyl Derivatives

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Manuscript received 6 October 1995, revised 1 June 1995, accepted 14 June 1995

Imines have been reported to possess biological activity[1]. It has also been reported that presence of electron-donating groups like hydroxy, methoxy etc. in the phenyl nucleus increases the activity of the parent compound[2]. The aim of the present work is to study the effect of hydroxy group in C-naphthyl nucleus on this condensation reaction and on the antifungal activity of the products. The present paper describes the synthesis of 2-hydroxy-1-naphthalaniline and its *N*-phenyl derivatives and their antifungal activity.

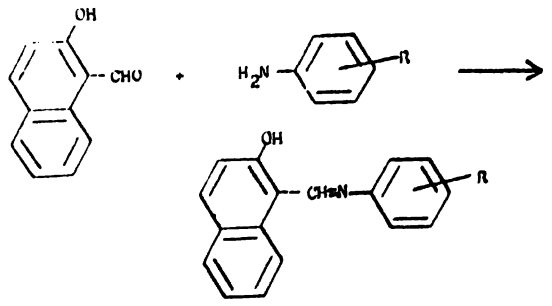
Condensation of 2-hydroxy-1-naphthaldehyde with aniline and substituted anilines resulted in the formation of 2-hydroxy-naphthalaniline and its *N*-phenyl derivatives. These imines revealed λ_{max} 260–270 nm (C=N) which completely disappeared on reduction of the imines with sodium borohydride. The ir spectra indicated a band at 1 620 cm^{-1} (C=N). The lowering in the absorption value is attributed to the presence of intermolecular hydrogen bonding. This band disappeard on reduction of the imines as expected. Pmr spectrum ($CDCl_3$) of 2-hydroxy-1-naphthal-*p*-toluidine indicated three methyl protons at τ 7.7 as a singlet, six naphthyl and four phenyl protons at τ 2.0–3.1 as a multiplet, phenolic proton at τ 0.7 as a singlet and azomethine proton at τ 1.8.

Antifungal activity : The imines were tested against the fungi *Alternaria brassicae*, *Fusarium oxysporum* and *Ustilago tritici* by employing spore germination inhibition method[3] at various concentrations. Compound **6** was found to possess considerable antifungal activity (ED_{50} 340 ppm) against *A. brassicae* and **5** against *U. tritici* (ED_{50} 465 ppm). None of the compounds was found effective against *F. oxysporum*. The ED_{50} values of dithane M-45 and bavistin were found to be 32 and 8 ppm against *A. brassicae* and *U. tritici* respectively, used as standard fungicides.

Experimental

M.ps. are uncorrected. Pmr spectrum ($CDCl_3$) was recorded on a EM 390/360 spectrometer and ir spectra (nujol) on a Perkin-Elmer 800 spectrometer. All compounds gave satisfactory elemental analyses.

A mixture of 2-hydroxy-1-naphthaldehyde (1.72 g, 0.01 mol), dry benzene (50 ml) and aniline (0.92 ml, 0.01 mol) was refluxed using a Dean and Stark water separator till water (0.01 mol) was separated out. The solution was then allowed to cool and the separated solid was crystallised from methanol as yellow shining crystals of 2-hydroxy-1-naphthalaniline (**1**; 94%), m.p. 85°.



1; R = H
2; R = *p*-CH_3
3; R = *p*-OCH_3
4; R = *p*-OC_2H_5
5; R = *p*-Cl
6; R = *p*-OH
7; R = *m*-Cl

Condensation of 2-hydroxy-1-naphthaldehyde with *p*-toluidine, *p*-anisidine, *p*-phenithidine, *p*-chloroaniline, *p*-hydroxyaniline and *m*-chloroaniline following the above procedure resulted in the formation of other compounds : **2** (92%), m.p. 125°; **3** (95%), 102°; **4** (88%), 126°; **5** (86%), 90°; **6** (94%), 212°; **7** (80%), 110°.

Solutions of each compound in ethanol and diluting with sterilised water, were incubated after mixing with spore suspension at 20 ± 2° for 20 h employing cavity slides. The germination of the spores was recorded and percentage inhibition was calculated.

References

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