International Journal of Life Sciences
International Peer Reviewed Open Access Journal

Special Issue A16, March 2021
ISSN:2320-7817(p) 2320-964X(0)

Original Article Open Access

Synthesis and studies antimicrobial activity of 5-p-tolylthiocarbamido-1-naphthol

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Manuscript details:

Available online on http://www.ijlsci.in
ISSN: 2320-964X (Online)
ISSN: 2320-7817 (Print)

Cite this article as:
Wadekar Ajay B and Bhade Dhammapal L (2021) synthesis and studies antimicrobial activity of 5-p-tolylthiocarbamido-1-naphthol, *Int. J. of. Life Sciences*, Special Issue, A16: 43-45.

Article published in Special issue of National Conference on "Recent Trends in Science and Technology-2021 (RTST-2021)" organized by Department of Environmental Science, Shri. Dnyaneshwar Maskuji Burungale Science & Arts College, Shegaon, Bhuldhana, and Department of Botany Indraraj Commerce and Science College Shillod, DIst. Aurangabad, Maharashtra, India date, February 22, 2021.

ABSTRACT

Present research work deal with synthesis of 5-p-tolylthiocarbamido-1-naphtho and check their antimicrobial activity against four human pathogens. This molecule was synthesized by condensation of 5-amino-1-naphthol with p-tolyl isothiocynate in acetone medium. Recently here focus to assert antimicrobial activity of this molecule against gram positive and gram negative human pathogen bacteria such as E. coli, Proteus vulgaries, P. aeruginos and S. aureus. Comparatively L_4 showed highly activity against E.Coli rather than remaining three pathogens. This antimicrobial activity relationship confirmed that this compound is a potential candidate for future drugs discovery and development.

Keywords: 5-p-tolylthiocarbamido-1-naphthol (L4), pathogenic bacteria, activity, disc diffusion method.

INTRODUCTION

Thiocarbamidonaphthol are molecules reveals most significant applications in medicinal as well as pharmaceutical sciences. Recently in laboratory synthesized were various substituted thiocarbamiamido-naphthol compounds (Tayade and Wadekar, 2016). Newly synthesis substituted thoiocarbamidonaphthols molecule are studied and their synthetic applications were explained with the evidence of structural arrangement. As structural evidence of 5-amino-1-naphthtol having phenolic hydroxyl and amino group as a active side for reaction. Due to reactivity values of such kind of molecule, it is interesting task to synthesized various substituted thiocarbamido-naphthol compounds along with nitrogen, sulphure and halogen group in heteroacyclic and heterocyclic compounds by the interaction of various thiouria and isothiocynates with 5-amino-1-naphthol molecule.

Antimicrobial activity of substituted thiocarbamido-naphthol molecules was studied (Wadekar *et al*. (2016). Antimicrobial activity studied by various researchers on time to time by against different human pathogen bacteria and various newly synthesized molecules (Tayade, 1996), Rudnitskaya *et al*., 2010; Chigwada *et al*., 2007; Dover *et al*., 2017; Paranjpe. 1966; Rahman and Siddiqui, 2010; Foroumadi *et al*., 2009). Barker (2006) investigated antibacterial drug discovery and structure-based design. Synthesis and antimicrobial activity evaluation of new 1,2,4-triazoles and 1,3,4-thiadiazole bearing imodazol [2,1-*b*] thiadiazole moiety was studied (Güzeldemirci and Küçükbasmaci, 2010). From antimicrobial study some of these compounds showed measurable pharmaceutical and biological activities (Neu, 1992).

From literature review was sure thiocarbamidonaphthol and their substitution has significant values in various science fields due to present of phenolic hydroxyl, thio and animo groups. Bearing all these things in mind designed this research scheme to synthesis of 5-p-tolylthiocarbamio-naphthol by interaction of 5-amino-1-naphthol with p-tolytl-isothiocynate in acetone medium and to check their antimicrobial activity against various human pathogens bacteria.

MATERIAL AND METHODS

All AR graded chemical are used through experiment. Paraffin bath used to determine the melting points of the all synthesized compounds. Carlo-Ebra 1106 analyzer used to find the carbon and hydrogen analysis. Colman-N-analyzer-29 was used to estimate Nitrogen. IR spectra were recorded on Perkin Elmer Spectrometer in range 4000-400 cm-1 in KBr pellets. PMR spectra were recorded on Brucker Ac 300 F Spectrometer with TMS as internal standard using CDCl3 and DMSO–d6 as solvent. The purity of compound was checked on silica Gel-G Pellets by TLC with layer thickness of 0.3 mm.

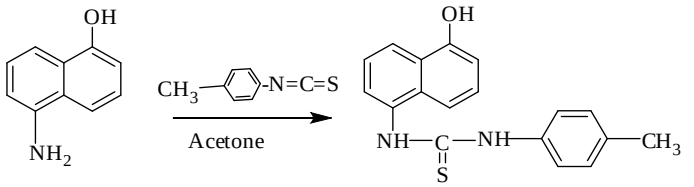
Synthesis of 5-p-tolylthiocarbamido-1-naphthol [L_4]

5-amino-1-naphthol (L_1) and p-tolylisothiocynate mixture refluxed in acetone medium on water bath for 4 hours. Brown colour crystalline product was gradually separated out after four hrs, which on trituration with petroleum ether afforded brown crystals It was recrystallised by ethanol with aqueous ethanol to isolate 5-p-tolylthiocarbamido-1-naphthol. Yield is 84.41%, melting point is 218^0 C.

Properties: It is brown , crystalline solid having melting point 218^0C. It gave positive test for nitrogen and sulphur. Desulphurised with alkaline plumbite solution. It formed picrate, melting point 210 ^{0} C.

Elemental analysis: C [(Found 61.75%) calculated 62.09%], **H**[(found 3.21%) calculated 3.98%], **N**[(found 8.25%) calculated 8.59%], **S**[(found 8.94%) calculated 9.75%]

IR Spectrum: - The IR spectrum was carried out in KBr pellets. The important absorption can be correlated as (cm^{-1}):- 3363.17 (N-H-Stretching), 3304.14 (phenolic O-H stretching), 3045.16 (Aromatic C-H-stretching), 1689.30 (N-C-N stretching), 1596.11 (C-N-stretching), 1420.11(C-C stretching), 1373.6(C-O stretching), 1272.6(N-C=S stretching) and 1074.19 (C=S-stretching).



5-Amino-1-naphthol[L_1] 5-p-Tolylthiocarbamido-1-naphthol[L_4]

Table-1: Antimicrobial activity of 5-p-tolylthiocarbamido-1-naphthol.

Compound	E. *coli (mm)*	Proteus *vulgaries (mm)*	P. *aeruginosa (mm)*	S. aureus. *(mm)*
5-p-tolylthiocarbamido-1-napthol (L_4)	**15**	**11**	**12**	**11**

NMR Spectrum:- The spectrum of compound was carried out in $CDCl_3$ and DMSO-d_6 .This spectrum distinctly displayed phenolic –OH proton at δ 9.6566 ppm, signals due to Ar-H, protons at δ 8.2072-6.6549 ppm, -NH protons of naphthalene ring at δ 5.4632-5.2534 ppm,-NH proton of benzene ring at δ 3.3708 ppm.

Antimicrobial Activities

Disc diffusion method was used to find out the antimicrobial activities of this newly synthesized compound, using standard Co-Trimazin 25 µg/ml against gram positive and gram negative bacteria such as E. *coli*, Proteus *vulgarizes* , P. *aeruginosa* and S. *aureus.*

Disc Diffusion Method

Hi-media medium with composition of Pepton- 5gm/lit., NaCl -5gm/lit, Yeast extract -1.5gm/lit, Agar powder - 20gm/lit, pH - 7.4 ± 0.1 used throughout present experiment. The medium for antibacterial activities were prepared [N-agar for bacterial] by dissolving 26 gms of ingredients in one liter of distilled water and sterilized in autoclave at 121^0 C at 15 Ibs/inch pressure in an autoclave for 15 minutes.

Then microbes were inoculated with requisite quantity to the medium at temperature 40-50^0C and immediately poured the inoculate medium in to sterilized petridishes to give a depth of 3-4 mm of uniform thickness. After solidification the commercial sterilized disc [HI-Media] loaded with 0.01 M concentration solution of 5-p-tolylthiocarbamido-1-napthol. Then it was kept at room temperature for 4 h, as a pre-incubation and then plates of bacteria were incubated for 24 hrs, at 37^0C. After the period of incubation period, zones of inhibition were recorded around the disc. The results are cited in

RESULTS AND DISCUSSION

Newly synthesized organic compound 5-p-tolylthhiocarbamido-1-naphthtol was characterized by various standard methods and check their antimicrobial activity against four mostly observed human pathogens. Presently Table-1 reveals that (L_4) showed measurable and significant antimicrobial activity against E. *coli,* Proteus vulgaries, P. *aeruginosa and* S. aureus. *Comparative trend of antimicrobial activity of* L_4 *like* E. *coli* > P. *aeruginosa* > Proteus *vulgaries* = S. *aureus.* While Table-1 was reveal that comparatively L_4 highly activity against *E.Coli rather than remaining three pathogens. C*onsequently present studies open the door to understand biochemical and medicinal value of L_4. As newly synthesized L_4 showed remarkable and significant activities so this compound can be used as alternative for the treatment of diseases caused by the above mentioned pathogens only if they do not have toxic and other side effects after the details study. The potency of the drug is increased due to substitution.

Conflicts of interest: The authors stated that no conflicts of interest.

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