Available online at www.pelagiaresearchlibrary.com

Pelagia Research Library

Der Chemica Sinica, 2012, 3(2):477-480

ISSN: 0976-8505
CODEN (USA) CSHIA5

Studies an Antifungal Activity of 1-Naphthyl Ethers and Esters

Nilesh S. Pawar*, Ravi S. Balaskar*, Sunil L. Garud[1] and Pramod P. Mahulikar[2]

** Synthetic Research Laboratory, Department of Chemistry, Pratap College, Amalner, Jalgaon, India*
[1]Department of Physics, Pratap College, Amalner, Jalgaon, India
[2]School of Chemical Sciences, North Maharashtra University, Jalgaon, India

ABSTRACT

Various ether and ester derivatives of 1-naphthol were synthesized using polymer-supported reactions and evaluated for their antifungal potency. 1-Naphthol derivatives showed antifungal potency against Aspergillus niger, Aspergillus flavous and Alternaria alternata but not against Fusarium oxysporum.

Key words: 1-Naphthol, Polymer-Support, Derivatization, Structure-Activity Relationships and Antifungal Activity.

INTRODUCTION

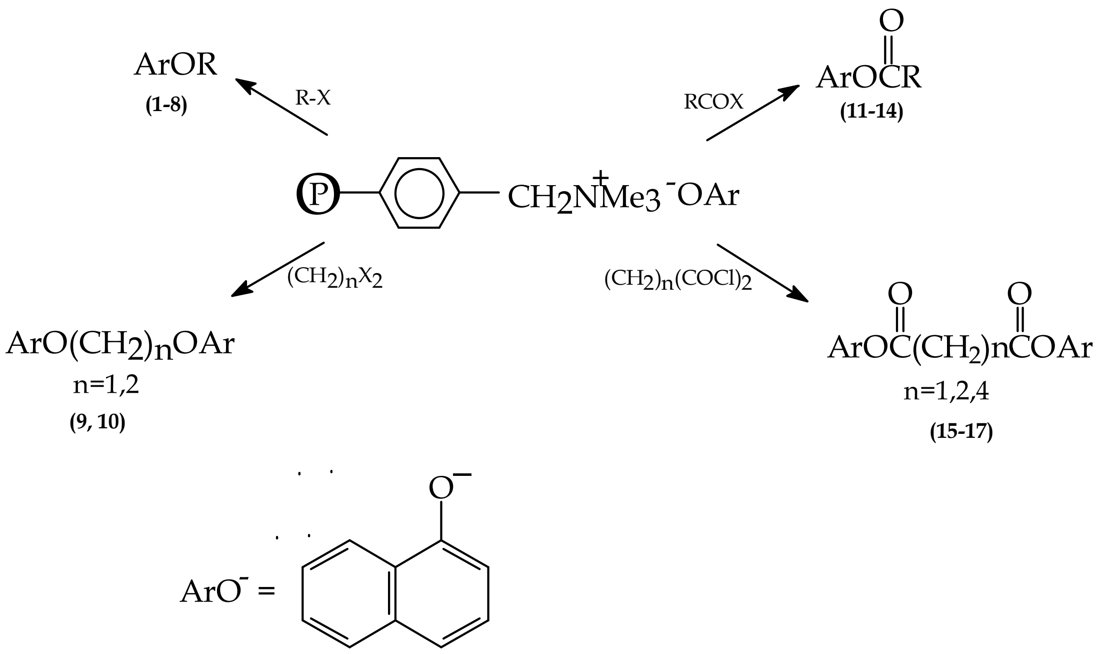
Naphthols are the most important naphthalene derivatives as they are key intermediates in the production of many chemicals other than dyes and pigments. Naphthyl ethers are very useful compounds as agrochemicals [1,2], and are usually obtained by alkylation in a solvent such as acetone or benzene under reflux conditions for several hours in the presence of a base. However, the desired compounds are contaminated by *C*-alkylation products [3-6]. Reported references regarding present molecule shows upcoming importance of the work [8-10].

Organic synthesis by solid phase methods is a powerful tool for the generation of structurally diverse molecules, due to their characteristic properties and the ease of set-up and work-up. Alkylation and acylation of 1-naphthoxide supported on Amberlite IRA-400 (Cl^- form) yielded O-alkylated and O-acylated products, respectively, in high yields and purity (**Scheme**). In addition to ease and simplicity of the method and regeneration of the polymeric byproduct, the polymeric reagent seems to increase the nucleophilicity of the anions.

MATERIALS AND METHODS

The synthesized 1-naphthyl ethers and esters were tested for their pest control potency, namely, antifungal activity against fungal species viz, *Aspergillus niger, Aspergillus flavous, Alternaria alternata* and *Fusarium oxysporum*. The standard paper disc agar method was employed for the said bioassay and the results are summarized in **Table 1** and presented in **Fig. 1**.

For antifungal study, fungus were subcultured on Nutrient Agar [7]. To each petriplate 20 ml of sterilized Sabouraud's broth medium was added. After the agar had set, 10% of inoculum (suspension culture) was added to each petriplate and spread thoroughly by rotatory motion of the plate. Sterilized Whatman No. 1 filter paper discs (6 mm diameter) were thoroughly moistened with 5 mg/ml solution of the compound(s) in acetonitrile and placed on the seeded agar plates. Paper discs moistened with acetonitrile, were placed on the surface of seeded petriplates as a control. The plates were incubated at 27°C for 2-3 days. A clear zone of inhibition around the paper disc demonstrated the relative susceptibility of the fungi to the synthesized derivatives. The fungicidal potency is proportional to the diameter (in mm) of the zone of inhibition. The experiments were performed in duplicate and the averages of the measured zones of inhibition were considered.



Scheme: Synthesis of 1-naphthyl ethers and esters

Percent change in antifungal activity of different derivatives with respect to parent compound (1-naphthol) were calculated using following formula:

Percent change in antifungal activity = 100 [(D – P)/P]

Where,

D = zone of inhibition for derivatives
P = zone of inhibition for parent compound

RESULTS AND DISCUSSION

Table 1: Antifungal activity of 1-naphthol and its derivatives

	Aspergillus niger		***Aspergillus flavous***		***Alternaria alternata***		***Fusarium oxysporum***	
Derivatives	Zones of Inhibition (dia., mm)	% change in activity over the parent	Zones of Inhibition (dia., mm)	% change in activity over the parent	Zones of Inhibition (dia., mm)	% change in activity over the parent	Zones of Inhibition (dia., mm)	% change in activity over the parent
Parent								
1-naphthol	16.0	---	28.0	---	15.0	---	30.0	---
Ethers								
Methyl	---	---	25.0	25.0	20.0	33.3	19.0	-36.6
Ethyl	---	---	24.0	20.0	18.0	20.0	23.0	-23.3
Propyl	08.0	-27.2	25.0	25.0	17.0	13.3	22.0	-26.6
Isopropyl	---	---	24.0	20.0	18.0	20.0	18.0	-40.0
Butyl	08.0	-27.2	23.0	15.0	14.0	-06.6	21.0	-30.0
Allyl	11.0	00.0	24.0	20.0	15.0	00.0	21.0	-30.0
Benzyl	14.0	27.2	23.0	15.0	13.0	13.3	25.0	16.6
Carboxymethyl	12.0	09.0	21.0	05.0	18.0	20.0	23.0	23.3
Dimer Ethers								
Methylene	12.0	09.0	14.0	-30.0	12.0	-20.0	12.0	-60.0
Ethylene	25.0	127.2	24.0	20.0	21.0	40.0	21.0	--30.0
Esters								-
Acetate	10.0	-09.0	20.0	00.0	14.0	-06.6	20.0	-33.3
Benzoate	08.0	-27.2	10.0	-50.0	16.0	06.6	20.0	-33.3
Cinnamate	08.0	-27.2	---	---	15.0	00.0	---	---
Phenyl acetate	10.0	-09.0	25.0	25.0	18.0	20.0	21.0	-30.0
Dimer Esters								
Malonate	17.0	54.5	15.0	-25.0	14.0	-06.6	21.0	-30.0
Succinate	22.0	100.0	22.0	10.0	17.0	13.3	26.0	-13.3
Adipate	20.0	81.8	25.0	25.0	22.0	46.6	27.0	-10.0

1-Naphthol showed antifungal potency against *Aspergillus niger*, *Aspergillus flavous* and *Alternaria alternata* but not against *Fusarium oxysporum*. Only few derivatives of 1-naphthol were found to be effective with very less increase in antifungal potency than parent molecule.

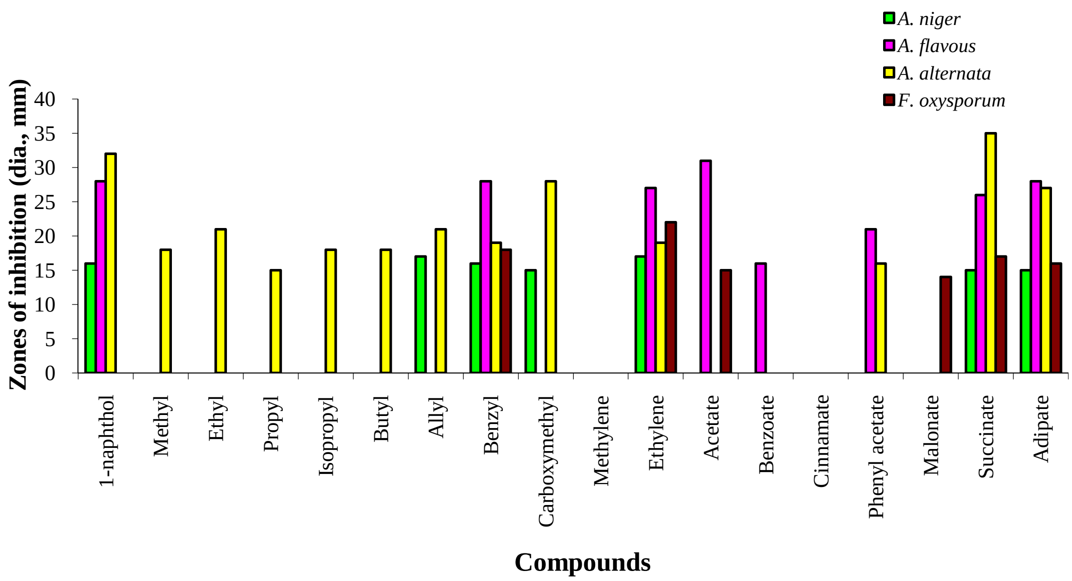


Fig.1 (a): Antifunal activity of 1-naphthol and its derivatives

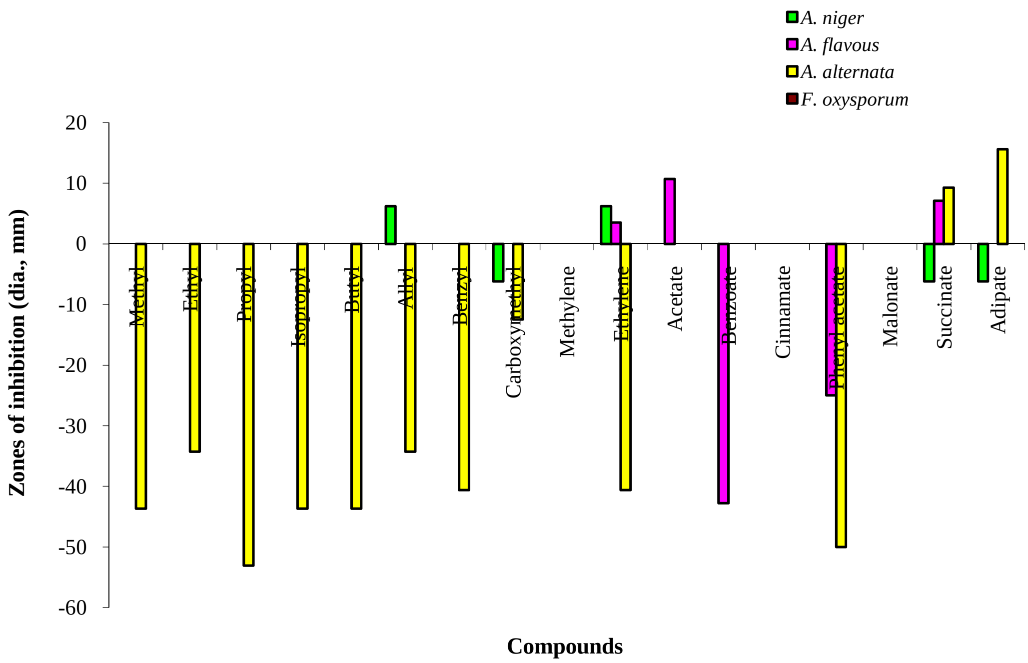


Fig 1 (b): Percent change in antifungal activity for 1-naphthol derivatives

CONCLUSION

The systematic derivatization based on structure-activity relationships (SAR), thus, warrants evaluation both as a source and a model for new commercial pest management agents having eco-friendly nature. This will certainly help us to escape from the clutches of harmful conventional synthetic chemical pesticides. With a strong will and concrete efforts, such derivatization will help to exploit the pest management potency and efficacy of various eco-friendly natural and synthetic molecules.

REFERENCES

[1] Ullmann's, *Encyclopedia of Industrial Chemistry*, Editors: B. Elvers, S. Hawkins, G. Sehulz, 5th ed., **Vol. A 17**, p. 15-19, **1991**.
[2] Krik-Othmer, *Encyclopedia of Chemical Technology*, John Wiley and Sons, A Wiley-interscience Publication, Editor: Mary Howe-Grant, p. 994-999, **1995**.
[3] B. P. Bandgar, S. D. Unde, D. S. Unde, V. H. Kulkarni and S. V. Patil, *Ind. J. Chem*., **33(B),** 782, **1994**.
[4] S. V. Damle, P. N. Patil and M. M. Salunkhe, *Synth. Commun*., **29(10),** 1639, **1999**.
[5] M. T. Thorat, R. B. Mane, M. H. Jagdale, M. M. Salunkhe and P. P. Wadgaonkar, *Egypt. J. Chem*., **31(5),** 621, **1988**.
[6] Vogel A. I., in *Text Book of Practical Organic Chemistry*, 5th Ed., ELBS and Longman, London, **1994**.
[7] N. S. Pawar, D. S. Dalal, S. R. Shimpi and P. P. Mahulikar, *Euro. J. Pharma. Sci.*, **21**, 115, (**2004**).
[8] B. S. Kuarm, P. A. Crooks and P. Rajitha, *Adv. Appl. Sci. Res*., **3**, 1, (**2012**).
[9] M. I. F. Mohamed, S. Arunadevi, M. Koperuncholan and M. S. Mubarak, *Der Chemica Sinica*, **2**, 52, (**2011**).
[10] S. M. Shah, F. B. Bux, V. Parmar and A. Singh, *Der Chemica Sinica*, **2**, 304, (**2011**).