



Green Synthesis and Antimicrobial Activity of Some Eugenol Derivatives

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ABSTRACT

Eugenol derivatives (3a-f) were synthesized under microwave irradiation in aq KOH by reacting different aromatic acid chlorides (2a-f) with Eugenol. The newly synthesized compounds were confirmed by spectral analysis and evaluated for antimicrobial activity. Some compounds showed promising activities.

Keywords: Eugenol; Microwave synthesis; Antimicrobial activity

INTRODUCTION

Eugenol, 2-Methoxy-4-(prop-2-en-1-yl) phenol is a phytochemical obtained from *Syzigium aromaticum*, *Ocimum Sanctum*, etc. It finds wide applications ranging from perfumeries, flavourings, and in medicines. Eugenol is used in combination with zinc oxide as a surgical dressing [1], pulp capping agent [2] cavity liner, temporary cement [3] in mouth washes and endodontic therapy [4] in the study of mucous secretions and in gastric cytology [5-7]. It shows an antidepressant [8] like activity, antibacterial [9] and antioxidant [10-12] activity. Microwave heating is becoming a widely accepted tool for synthetic chemists. It is possible to improve product yields and enhance the rate of reactions as well as being a safe and convenient method for heating reaction mixtures to elevated temperatures [13-15]. These examples prompted to isolate eugenol from essential oil of *O. sanctum* Rama and synthesize microwave irradiated synthesis of some new ester derivatives and evaluate for its antimicrobial activities.

MATERIALS AND METHODS

The progress of reaction was routinely checked by TLC using Silica G, and spots were exposed to iodine vapor for visualization. A Perkin - Elmer FT-IR spectrometer (ν_{\max} in cm^{-1}) was used to record IR Spectra; and for NMR spectra a Bruker 300 MHz instrument was used. ^1H NMR spectra were recorded in CDCl_3 using TMS as internal standard (chemical shifts in δ , ppm), Mass spectra were obtained on LCQ advantage Thermo Finiger spectrometer and Carlo Erba 1108 analyzer was used for elemental analysis.

General Procedure for 3a-f

4-allyl-2-methoxyphenyl nicotinate (3a):

A mixture of Aromatic acid chloride (10 mmol) (2a) and 2-Methoxy-4-(prop-2-en-1-yl) phenol (Eugenol) (10 mmol) in alcoholic KOH were taken in 100 ml erylemer flask and the reaction was irradiated under microwave at 600 W for 2-3 minutes. The product obtained was purified by using ethanol as solvent.

IR (KBr) cm^{-1} : 3053 (Ar-H), 1740 (C=O), 1605 (C=C), 1278 (C-O); ^1H NMR (CDCl_3): δ 8.18-6.42 (m, 7H, Ar-H), 6.24 (t, 1H, $\text{CH}=\text{CH}_2$), 4.98 (d, 2H, $\text{CH}=\text{CH}_2$), 3.72 (s, 3H, OCH_3), 2.98 (d, 2H, CH_2); Mass (m/z) : 269. Anal. calcd. for $\text{C}_{16}\text{H}_{15}\text{NO}_3$: C, 71.36; H, 5.61; N, 5.20. Found: C, 71.80; H, 5.68; N, 5.18% (Table 1).

Similarly, 3 b-f were synthesized by using various aromatic acid chlorides.

Antimicrobial Activity

The synthesized compounds were evaluated for antimicrobial activity by using Cup Plate method. The *Escherichia coli*, *Bacillus subtilis* were used as Bacterial strain and *Aspergillus niger* (recultured), *Candida albicans* as fungal strain. The 100 µg solution in DMSO were prepared and used for analysis. The zone of inhibition (in mm) was measured after two days at 37°C. Ampicillin and Fluconazole were used as internal standards. The data of antimicrobial studies are recorded in Table 2.

Table 1: Physical data of compounds 3a-f







Comp. no	R	M.F	% Yield	M.P
3a		C ₁₆ H ₁₅ NO ₃	95	182-184
3b		C ₁₆ H ₁₅ NO ₄	90	201-203
3c		C ₁₆ H ₁₆ N ₂ O ₃	91	234-236
3d		C ₁₆ H ₁₅ NO ₃	93	218-220
3e		C ₁₆ H ₁₆ N ₂ O ₃	86	238-240
3f		C ₁₆ H ₁₅ NO ₃	91	222-225

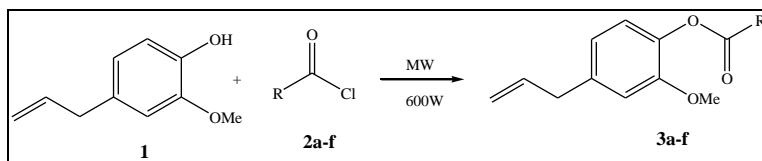
Table 2: Antimicrobial activity of the compounds 3a-f

Compounds	<i>Bacillus subtilis</i>	<i>Escherichia coli</i>	<i>Candida albicans</i>	<i>Aspergillus niger</i>
3a	27	25	19	26
3b	26	24	16	15
3c	17	16	22	21
3d	16	19	18	17
3e	19	15	16	14
3f	24	23	22	22
Ampicillin	35	34	-	-
Fluconazole	-	-	31	32

(Zone of inhibition in mm)

RESULTS AND DISCUSSION

Eugenol derivatives (3a-f) were synthesized at 600 W microwave irradiation in alcoholic KOH by treating various Aromatic acid chlorides with Eugenol. Formation of Eugenol ester derivatives were confirmed on the basis of elemental and spectral analysis (Scheme 1). Compounds 3a showed strong IR absorption bands at 1740 (C=O) due to for ester stretching. ¹H NMR spectrum of compound 3a showed multiplets at 8.18-6.42 due to aromatic protons, one doublets and triplet at 4.98 and 6.24 due to olefin protons, singlet at 3.72 due to methoxy proton. The physical data of compounds 3a-f are recorded in Table 1. All synthesized esters were screened for antimicrobial activity and compound 3a, 3b, 3c and 3f showed moderate to good activity.



Scheme 1: 2a-f to 3a-f

CONCLUSION

A simple, efficient, and convenient method was developed for the synthesis of Eugenol derivatives.

REFERENCES

- [1] M Massler; M Manskhani. *J Prosthet Dent.* **1960**, 10, 964-975.
- [2] RL Glass; HA Zander. *J Dent Res.* **1949**, 28, 97-107.
- [3] M Masslem. *J Dent Child.* **1961**, 28, 150-153.
- [4] DF Mitchell. *J Dent Child.* **1961**, 28, 150-153.
- [5] NI Tanabaum. *J Dent Child.* **1951**, 18, 16-20.
- [6] RW Phillips. *JADA.* **1963**, 66, 496-502.
- [7] GV Black. Operative Dentistry, 7th ed. Medico-Dental Publishing Co. Chicago, **1956**, 233.
- [8] Y Irie; N Itokazu; N Anjiki; A Ishige; K Watanabe; WM Keung. *Brain Research.* **2004**, 2, 243-246.
- [9] M Dining; VV Trajano; I Medeiros. *Revista Brasileira de Ciencias Farmaceuticas.* **2007**, 43, 1
- [10] S Burt. *Int J Food Microbiol.* **2004**, 94, 223-253.
- [11] M Ogata; M Hoshi; S Urano; T Endo. *Chem Pharm Bull.* **2000**, 48, 1467-1469.
- [12] S Fujisawa; T Atsumi; Y Kadoma; H Sakagami. *Toxicolol.* **2002**, 177, 39-54.
- [13] A Loupy; Ed Wiley-VCH, *Weinheim.* **2006**.
- [14] CO Kappe, A Stadler. Wiley VCH, *Weinhiem.* **2005**.
- [15] Lidstrom P; JP Tierne, *Eds Blackwell.* **2005**.