Antibacterial and Antioxidant Activity Evaluation of 1,3-Diaryl-prop-2-en-1-one Derivatives

by Juni Ekowati

Submission date: 19-Dec-2019 04:57PM (UTC+0800)
Submission ID: 1236933970
File name: Antibacterial_and_Antioxidant_try_OCR.pdf (1.97M)
Word count: 1748
Character count: 10090

Available online at www.sciencedirect.com

ScienceDirect

Procedia Chemistry 16 (2015) 372 – 375

Procedia Chemistry

International Symposium on Applied Chemistry 2015 (ISAC 2015)

Antibacterial and Antioxidant Activity Evaluation of 1,3-Diaryl-prop-2-en-1-one Derivatives

Melanny Ika Sulistyowaty[a]*, Kholis Amalia Nofianti[a], Juni Ekowati[a], Galih Satrio Putra[a], Tri Widiandania, Tutuk Budiatia

[a]Faculty of Pharmacy, Universitas Airlangga, Jln. Dharmawangsa Dalam Selatan Surabaya,60286, Indonesia

Abstract

Some 1,3-diaryl-propenone derivatives had been synthesized by a conventional Claisen-Schmidt condensation in the previous experiment. This study purposed to examine their antibacterial activity against *Staphylococcus aureus*, *Escherichia coli* and *Candida albicans* by using agar diffusion susceptibility method. The tested compounds were also screened for antioxidant activity by DPPH method. The results of antibacterial activity showed that the tested compounds were inactive toward *Escherichia coli*, but still had modest ability to inhibit *Staphylococcus aureus* and *Candida albicans*, compared to standard drugs. While the results of antioxidant activity disclosed that the compound with hydroxyl groups which possessed antioxidant ability (16.36 %), but not the others.

Peer-review under responsibility of Research Center for Chemistry, Indonesian Institute of Sciences

Keywords: Antibacterial activity; Antioxidant activity; DPPH; 1,3-diaryl-propenone

1. Introduction

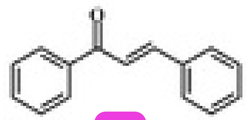
The well-known compound of 1,3-diaryl-prop-2-en-1-one derivatives is chalcones. They are aromatic compounds which are linked by a three carbon α, β-unsaturated carbonyl system and plentifully existing in nature.[1] Chalcones are popular intermediates for synthesizing heterocyclic compounds. The compounds with the skeleton of 1,3-diaryl-prop-2-en-1-one have been reported to possess various biological activities due to the presence of a reactive α, β-unsaturated carbonyl system.[2]

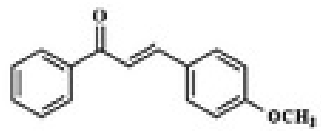
*Corresponding author. Tel.: +62315033710; fax: +62315020514.
E-mail address: melanny-i-s@ff.unair.ac.id

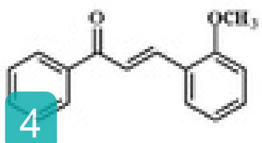
Peer-review under responsibility of Research Center for Chemistry, Indonesian Institute of Sciences
doi:10.1016/j.proche.2015.12.066

In the previous study, we had synthesized some 1,3-diaryl-prop-2-en-1-one derivatives and examined their toxicity using Brine Shrimp Lethality Test (BST).[3] We were also evaluating in vitro antimalarial activity of those compounds against parasite *Plasmodium falciparum* , 3D7 strain.[4,5] In this present study, antibacterial and antioxidant activity were observed to the 1,3-diaryl-prop-2-en-1-one derivatives to observe the their pharmacological effect.

The tested compounds as listed in fig. 1 were evaluated their antibacterial activity by using agar diffusion susceptibility method, against a Gram positive bacteria, *Staphylococcus aureus* (ATCC 6538), a Gram negative bacteria, *Escherichia coli* (ATCC 8739) and a pathogen fungi, *Candida albican* (ATCC 10231). As for in vitro antioxidant bioassay or scavenging activity were carried out by using 1,1-Diphenyl-2-Picrylhydrazine (DPPH) model according to Balsare in minor modification.[6]


1,3-diphenylprop-2-en-1-one
(1)


3-(4-methoxyphenyl)-1-phenylprop-2-en-1-one
(2)


3-(2-methoxyphenyl)-1-phenylprop-2-en-1-one
(3)

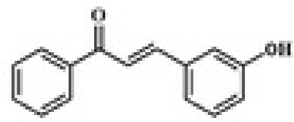

3-(3-hydroxyphenyl)-1-phenylprop-2-en-1-one
(4)

Fig. 1. Structure of 1,3-diaryl-prop-2-en-1-one derivatives.

2. Experimental

2.1. Material

All reagents and solvents used in this experimental were obtained from commercial sources as pro analytical grade, such as ethanol, methanol, DMSO, DPPH, vitamin E, phosphate buffer 0.1 M pH 7.0, Nutrient Agar (NA), Potato Dextrose Agar (PDA), Streptomycin, Fluoconazole, *Staphylococcus aureus* (ATCC 6538), *Escherichia coli* (ATCC 8739) and *Candida albican* (ATCC 10231).

The tested compounds, 1,3-diaryl-prop-2-en-1-one derivatives, namely 1,3-diphenyl-2-propen-1-one (1), 3-(4-methoxyphenyl)-1-phenylprop-2-en-1-one (2); 3-(2-methoxyphenyl)-1-phenylprop-2-en-1-one (3) and 3-(3-hydroxyphenyl)-1-phenylprop-2-en-1-one (4) were confirmed by melting point test, Thin Layer Chromatography (TLC) test, Infra-red (IR) and ^{1}H-NMR Spectrometry indicates identically similar with the references.[3,4]

2.2. Methods

2.2.1. Antibacterial Activity Assay

This examination was performed by using agar diffusion method. 1,3-diaryl-prop-2-en-1-one derivatives were prepared in assorted concentrations (200, 500, 1000 ppm) using DMSO as solvent and also as negative control, which didn't reveal any inhibition. A reference standard as positive control for both Gram positive and gram negative bacteria was Streptomycin sulphate (100 ppm). A reference standard for pathogen fungal was Ketokonazole (100 ppm). Preparation of nutrient broth, PDA medium, agar medium sub culture was performed as the standard procedure.[7] The plates were incubated at 37°C for 24 hours. All examinations were performed in triplicate. Zone of inhibition of the each compound was measured in mm.[2]

2.2.2. Antioxidant Activity Assay

Antioxidant activity of the tested compounds were performed by DPPH model.[6] Stock solution of DPPH 20 ppm in methanol was prepared and absorbance was recorded at 517 nm. The various concentrations of the Vitamin E was prepared as 500, 1000, 2000, 3000, 4000, 5000 ppm. 1 (one) ml each of the sample solutions was added to 3 ml of 20 ppm DPPH stock solution. The samples were kept in dark for 30 minutes at room temperature, and the absorbance was recorded at 527 nm using UV-visible spectrophotometer. The data was used to produce calibration curve. 4000 ppm of each tested compound were prepared and treated in the same way as standard compound. Vitamin E was used as a reference standard and methanol as blank. The reduction of the absorbance was calculated with standard equation as % antioxidant.[5] The assay was carried out in duplication.

2.3. Results and Discussions

The results of antibacterial and antifungal studies are given in table 1. From the table, it showed that all the tested compounds were inactive toward Gram negative bacteria, *Escherichia coli* and had lower ability to inhibit Gram positive bacteria, *Staphylococcus aureus* and pathogen fungal, *Candida albican* than standard drug.

Table 1. Antimicrobial activity of 1,3-diaryl-prop-2-en-1-one derivatives

Concentrations (ppm)	Zone of inhibition (diameter in mm)											
	Escherichia coli				*Staphylococcus aureus*				*Candida albican*			
	1	2	3	4	1	2	3	4	1	2	3	4
200	0	0	0	0	0	0	0	0	10.2 ±0.4	10.9 ±0.2	0	0
500	0	0	0	0	16.2 ±0.4	12.9 ±0.3	11.5 ±0.1	13.1 ±0.1	14.3 ±0.3	11.3 ±0.2	10.2 ±0.4	12.0 ±0.2
1000	0	0	0	0	16.4 ±0.5	12.3 ±0.5	12.1 ±0.3	17.1 ±0.7	17.6 ±0.5	11.1 ±0.5	11.4 ±0.2	13.3 ±0.4
Standard drugs (100 ppm)	Streptomycin 17.0 ±0.6				Streptomycin 19.8 ±0.4				Ketokonazole 25.3 ±0.3			

The synthesized compounds then were evaluated by DPPH and were compared to **a**-tocopherole. Vitamin E was used as reference because of its structure similarity where possessing conjugated double bond. The absorbance reduction then quantitatively determined as the antioxidant activity. Calibration curve of **a**-tocopherol showed in **fig.2**, and the absorbance of tested compound showed in **table 2**. It is found than only the hydroxyl substituent chalcone 3-(4-hydroxyphenyl)-1-phenylprop-2-en-1-one, experimentally showed antioxidant activity. While for three other synthesized compound, 1,3-diphenyl-2-propen-1-one, 3-(4-methoxyphenyl)-1-phenylprop-2-en-1-one, and 3-(2-methoxyphenyl)-1-phenylprop-2-en-1-one showed no ability in the reduction of radical DPPH.

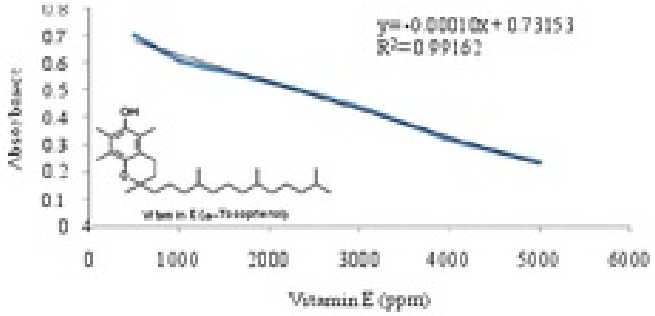


Fig. 2. Calibration curve of Vitamin E.

Table 2 The Absorbance of The Tested Compounds

No	Compounds	Absorbance (4000 ppm)	Compare to Vitamin E (ppm)	Antioxidant activity % (b/b)	
1	1	0.76511	< calibration curve	Not detected	0
2	2	0.7862	< calibration curve	Not detected	0
3	3	0.77904	< calibration curve	Not detected	0
4	4	0.65331	794.69	16.21	Average:
		0.65176	809.43	16.52	16.3640.2

The hydroxylated compounds experimentally possess antioxidant activity determined by DPPH scavenging activity. While for three other compounds experimentally did not have ability to donate hydrogen atom to the radical DPPH so that the antioxidant activity could not be evaluated using this method. From the reference, antioxidant ability of the 1,3-diphenylpropenone derivatives due to existence of carbonyl group at C-4, quantity and position of hydroxyl group and double bond at C-2 and C-3.[9] Hydroxyl of phenolic group is active side of antioxidant ability to trap free radical.[10] Intermolecular hydrogen bond increased radical stability then increased its antioxidant activity. Conjugated carbonyl group with double bound could also increase antioxidant activity, since it could produce stabilized radical compound through electron delocalization.[11]

2.4. Conclusion

All the tested compounds had no antibacterial activity toward *Escherichia coli*, but still had low activity against *Staphylococcus aureus* and *Candida albicans*. Compound 3-(3-hydroxyphenyl)-1-phenylprop-2-en-1-one had antioxidant activity better than the others.

References

1. MR, Almasi, VG, Sastry and N., Banu. Synthesis and Cytotoxic, Antioxidant Activity of 1,3-diphenyl-2-propene-1-one Derivatives. *Int J ChemTech Res* 2011; 3:1482-1489
2. Y. Rajendra P., A Lakshmana R., R.Rambabu. Synthesis and Antimicrobacterial Activity of Some Chalcone Derivatives. *E-journal of Chemistry* 2008; 5:461-466
3. Meinarty Ika Sulistyowaty., Kholis A.N., Suzana, Tutuk Budiati. Synthesis and Brine Shrimp Bioassay of Chalcone and Its Two Methoxy Derivatives. *International Journal of Pharmaceutical and Chemical Sciences* 2013;2
4. Meinarty Ika Sulistyowaty, Kholis A.N., Suzana, Tutuk Budiati. In Vitro Antimalarial Activity of Chalcone and Its Derivatives. *International Journal of Pharmacy and Pharmaceutical Sciences* 2014;6:669-671
5. Meinarty Ika Sulistyowaty, Kholis A.N., Wirwied Ekasari, Tutuk Budiati. Synthesis and Antiplasmodium Activity of Monohydroxy Chalcone. *Proceeding of The 3rd Intern. Conference on Pharmacy & Advance Pharmaceutical Sciences, Jogjakarta* Book 1, June 2013, p 236
6. Bolmaa, DP, *et al*. Evaluation of Antioxidant Activity of Chalcones and Flavonoids. *Int J ChemTech Res* 2016, 2 :1080-1089
7. Bauty A L. The Microbial Susceptibility Test: Principle and Practice Edit by: Elisa Lea, Febiger. USA Philadelphia; 1976
8. Yunahar Ferda, Kurnia Irpan, Lia Fitriani. Antibacterial and Antioxidant Activity of Kelodi Tikus Leaves Extract (*Typhonium flagelliforme*) (Lodd) Blume. *Procedia Chemistry* 2014; 13: 209-213
9. Farkas O., Judit Jakus., Karoly Heberger. Quantitative Structure-Antioxidant Activity Relationships of Flavonoid Compounds. *Molecule* 2004; 9: 1079-1088
10. Chaniara, R., *et al*. Antioxidant properties of Madusa (Tropaeolum tuberosum) phenolic extracts against Oxidative Damage using Biological in vitro Assay. *J Food Chemistry* 2008; 111: 98-105
11. Heim K.E., Anthony R.T., Dennis J B. Flavonoid Antioxidants: Chemistry, Metabolism and Structure-Activity Relationships, *The Journal of Nutritional Biochemistry* 2002; 13:527-584

Antibacterial and Antioxidant Activity Evaluation of 1,3-Diaryl-prop-2-en-1-one Derivatives

ORIGINALITY REPORT

11%	8%	8%	0%
SIMILARITY INDEX	INTERNET SOURCES	PUBLICATIONS	STUDENT PAPERS

PRIMARY SOURCES

1	www.tandfonline.com Internet Source	2%
2	baadalsg.inflibnet.ac.in Internet Source	2%
3	www.camicahue.cl Internet Source	1%
4	Juliï¿½, Sebastiï¿½n, Joan Guixer, Jaume Masana, Josï¿½ Rocas, Stefano Colonna, Rita Annuziata, and Henriette Molinari. "Synthetic enzymes. Part 2. Catalytic asymmetric epoxidation by means of polyamino-acids in a triphase system", Journal of the Chemical Society Perkin Transactions 1, 1982. Publication	1%
5	academic.oup.com Internet Source	1%
6	Z. S. Gribnikov. "Ballistic and quasiballistic tunnel transit time oscillators for the terahertz	1%

range: Linear admittance", Journal of Applied Physics, 2003
Publication

7	www.scilit.net Internet Source	1%
8	jocpr.com Internet Source	1%
9	Andrieu, C.G.. "The electric dipole moments and structures of various thioketones", Journal of Molecular Structure, 197707 Publication	1%
10	Stanislaw Burda, Wieslaw Oleszek. "Antioxidant and Antiradical Activities of Flavonoids", Journal of Agricultural and Food Chemistry, 2001 Publication	<1%
11	www.mdpi.com Internet Source	<1%

Exclude quotes	Off	Exclude matches	Off
Exclude bibliography	On		

Antibacterial and Antioxidant Activity Evaluation of 1,3-Diaryl-prop-2-en-1-one Derivatives

GRADEMARK REPORT

FINAL GRADE

/0

GENERAL COMMENTS

Instructor

PAGE 1

PAGE 2

PAGE 3

PAGE 4