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Research Article

Synthesis of Novel Phenoxybenzoyl Methane Derivatives Using Different Phenols

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ABSTRACT
Novel phenoxybenzoyl methane compounds were synthesized using different phenols to yield potential therapeutically active compounds. Melting point, yield and molecular formula are observed. Percentage of Nitrogen calculated.

Keywords: Phenoxy, Benzoyl, methane derivates, phenols.

INTRODUCTION

New Molecules are prepared in millions every month. In most cases the chemical scientist has specific reasons for preparing a particular molecule, usually based on theoretical considerations, medicinal chemistry, biological mechanisms or a combination of all three.

One of the largest factor leading to a better rational approach to novel drugs has been the improvement in knowledge of biochemical pathways.

In the past few decades the biological sciences have undergone a large shift toward molecular approach of biological mechanisms.

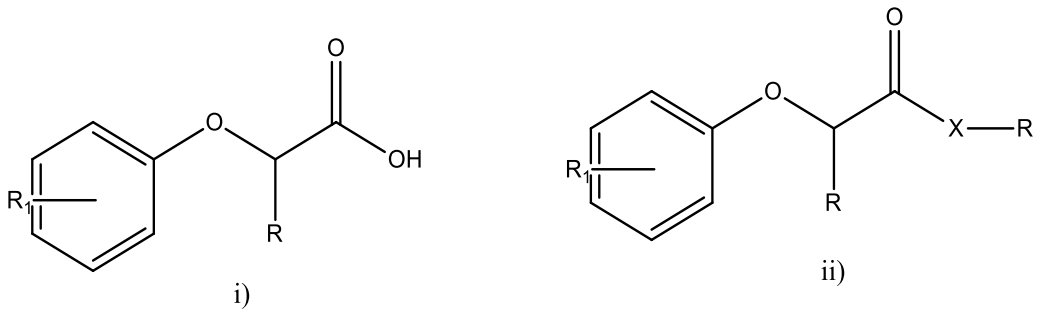
In other words, biology is much more drifting its way and is steadily becoming more physically oriented. For example, molecular biology, biochemical pharmacology and molecular pathology are fields of research which were not established a few decades ago. In the present study novel molecules are prepared in similar way to get better therapeutic yield.

MATERIALS AND METHODS

All the chemicals used for the synthesis of the compounds were purchased from several vendors. Few of the chemicals are procured as gift samples. The 1H-NMR spectra were recorded with a Varian Mercury-400 FT-NMR spectrometer (Varian Inc., Palo Alto, CA, USA), in DMSO- d6 . The mass spectra were obtained on a Waters ZQ micromass LC-MS spectrometer (Waters Corporation, Milford, MA, USA) using the ESI(+) method. Elemental analysis was performed on a Leco 932 CHNS instrument (St. Joseph, MI, USA) and the results were within ± 0.4% of the theoretical values.

RESULTS AND DISCUSSIONS

The synthesized compounds were tested in vitro for antibacterial activity against gram-positive *S. aureus*, *B. subtilis*,, gram- negative *E. coli* and *E. coli* producing extended spectrum β-lactamase, *P. aerugi-*



X=O, NH
R=H,CH_3,C_2H_5
R_1=aryl or alkyl

Fig 1: General structure of (i) phenoxy acids (ii) phenoxy acid derivatives

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Table1:Spectral and elemental analyses data

Comp	Ir (cm^{-1}; kbr)	^{1}H-NMR (δ ppm, $CDCl_3$,TMS)	Elemental analyses (calculated/found)			Mass (m/z)
			C%	H%	N%	M^+ peak
MK-1	3374, 3229 (NH), 1638 (C=O), 657 (C-Cl)	1.77, 2.43 (m, CH_2, 2H), 2.35, 2.64 (dd, CH_2, 2H), 2.85 (m, CH_2, 2H), 3.62 (qn, CH, 1H), 5.49 (s, NH, 2H), 7.10-7.18 (m, ArH, 3H)	63.01 62.86	5.77 5.76	6.68 6.70	210
MK-2	3294 (NH), 1631 (C=O), 658 (C-Cl)	1.28 (d, CH_3, 6H), 1.80, 2.40 (m, CH_2, 2H), 2.33, 2.61 (dd, CH_2, 2H), 2.87 (m, CH_2, 2H), 3.61 (qn, CH, 1H), 3.87 (m, CH, 1H), 5.26 (s, NH, 1H), 7.10-7.18 (m, ArH, 3H)	66.79 66.58	7.21 7.23	5.56 5.57	252
MK-3	3281 (NH), 1640 (C=O), 658 (C-Cl)	0.97 (t, CH_3, 3H), 1.31 (m, CH_2, 4H), 1.52 (qn, CH_2, 2H), 1.79, 2.45(m, CH_2, 2H), 2.37, 2.66 (dd, CH_2, 2H), 2.86 (m, CH_2, 2H), 3.19 (t, CH_2, 2H), 3.64 (qn, CH, 1H), 5.40 (s, NH, 1H), 7.09-7.17 (m, ArH, 3H)	68.68 68.90	7.93 7.91	5.01 4.99	280
MK-4	3310 (NH), 1640 (C=O), 658 (C-Cl) cm^{-1} MS (m/z): 278	1.52 (m, CH_2, 4H) 1.86 (m, CH_2, 4H), 1.79, 2.42 (m, CH_2, 2H), 2.33, 2.64 (dd, CH_2, 2H), 2.87 (m, CH_2, 2H), 3.61 (qn, CH, 1H), 3.68 (qn, CH, 1H), 5.32 (s, NH, 1H), 7.11-7.18 (m, ArH, 3H)	69.18 69.41	7.26 7.29	5.04 5.06	278
MK-5	1624 (C=O), 657 (C-Cl) 663 cm^{-1}	1.65 (m, CH_2, 6H),1.75, 2.45 (m, CH_2, 2H), 2.72, 2.46 (dd, CH_2, 2H), 2.85 (m, CH_2, 2H), 3.37 (t, CH_2, 4H), 3.66 (qn, CH, 1H), 7.10-7.17 (m, ArH, 3H)	69.18 69.31	7.26 7.29	5.04 5.06	278
MK-6	3306 (NH), 1642 (C=O), 658 (C-Cl) cm^{-1}	1.77, 2.40 (m, CH_2, 2H), 2.32, 2.57 (dd, CH_2, 2H), 2.84 (m, CH_2, 2H), 3.65 (qn, CH, 1H), 4.46 (s, Bnz CH_2, 2H), 5.81 (s, NH, 1H), 7.09-7.17 (m, ArH, 3H), 7.31 (m, ArH, 5H)	72.11 72.33	6.05 6.06	4.67 4.69	300
MK-7	3282 (NH), 1648 (C=O), 663 (C-Cl) cm^{-1}	(t, 1.81, 2.40 (m, CH_2, 2H), 2.47, 2.75 (dd, CH_2, 2H), 2.87 (m, CH_2, 2H), 3.70 (qn, CH, 1H), 7.24 (s, NH, 1H), 7.10-7.21 (m, ArH, 4H), 7.31-7.48 (m, ArH, 4H)	71.45 71.67	5.64 5.66	4.90 4.92	286
MK-8	3306 (NH), 1642 (C=O), 662 (C-Cl)	1.80, 2.44 (m, CH_2, 2H), 2.47, 2.76 (dd, CH_2, 2H), 2.88 (m, CH_2, 2H), 3.71 (qn, CH, 1H), 7.17 (s, NH, 1H), 7.08-7.33 (m, ArH, 6H), 7.64 (s, ArH, 1H)	63.76 63.89	4.72 4.74	4.37 4.39	320
MK-9	3320 (NH), 1648 (C=O), 659 (C-CL) CM^{-1}	1.84, 2.39 (M, CH_2, 2H), 2.30 (S, CH_3, 3H), 2.47, 2.74 (DD, CH_2, 2H), 2.87 (M, CH_2, 2H), 3.66 (QN, CH, 1H), 7.10 (S, NH, 1H), 7.05-7.16 (M, ARH, 5H), 7.45 (D, ARH, 2H)	72.11 72.31	6.05 6.03	4.67 4.69	300
MK-10	3321 (NH), 1650 (C=O), 658 (C-Cl) cm^{-1};	1.83, 2.46 (m, CH_2, 2H), 2.53, 2.81 (dd, CH_2, 2H), 2.88 (m, CH_2, 2H), 3.71 (qn, CH, 1H), 7.31 (s, NH, 1H), 7.10-7.30 (m, ArH, 4H), 8.17-8.51 (m, ArH, 3H)	67.02 67.23	5.27 5.25	9.77 9.73	287

nosa, and *P. aeruginosa* bacteria using broth microdilution. Ampicillin used as references.As shown in Table 1, none of the target compounds had activity against gram-negative bacteria. The target compounds were generally active against B. subtilis. Moreover, this compound was 2 times as active as ampicillin against both B. subtilis. Among the target com- pounds, compound MK-9 exhibited the best antibacterial activity, with a MIC value of 15.62 μg/mL, against B. subtilis. Moreover, this derivative was the only compound that was as active as ampicillin, with a MIC value of 31.25 μg/mL, against S. aureus.

Table 2: Analysis of compounds

S. No.	Compound code	R	M. P. (ºC)	Yield (%)	Mol. Formula	% of Nitrogen Calc.	Found
1.	MK-1	C_6H_5	138	68.46	$C_{16}H_{15}ON$	5.90	5.88
2.	MK-2	C_6H_5-CH=CH	102	53.32	$C_{18}H_{17}ON$	5.32	5.31
3.	MK-3	2-Cl-C_6H_4	113	77.62	$C_{16}H_{14}ONCl$	5.15	5.11
4.	MK-4	4-Cl-C_6H_4	208	62.40	$C_{16}H_{14}ONCl$	5.15	5.14
5.	MK-5	2-CH_3-C_6H_4	84	86.98	$C_{17}H_{17}ON$	5.57	5.52
6.	MK-6	3-CH_3-C_6H_4	146	85.72	$C_{17}H_{17}ON$	5.57	5.54
7.	MK-7	4-CH_3-C_6H_4	109	76.80	$C_{17}H_{17}ON$	5.57	5.56
8.	MK-8	3-NO_2-C_6H_4	110	65.46	$C_{16}H_{14}O_3N_2$	9.92	9.89
9.	MK-9	4-NO_2-C_6H_4	117	67.72	$C_{16}H_{14}O_3N_2$	9.92	9.90
10.	MK-10	4-OCH_3-C_6H_4	147	61.24	$C_{17}H_{17}O_2N$	5.24	5.19

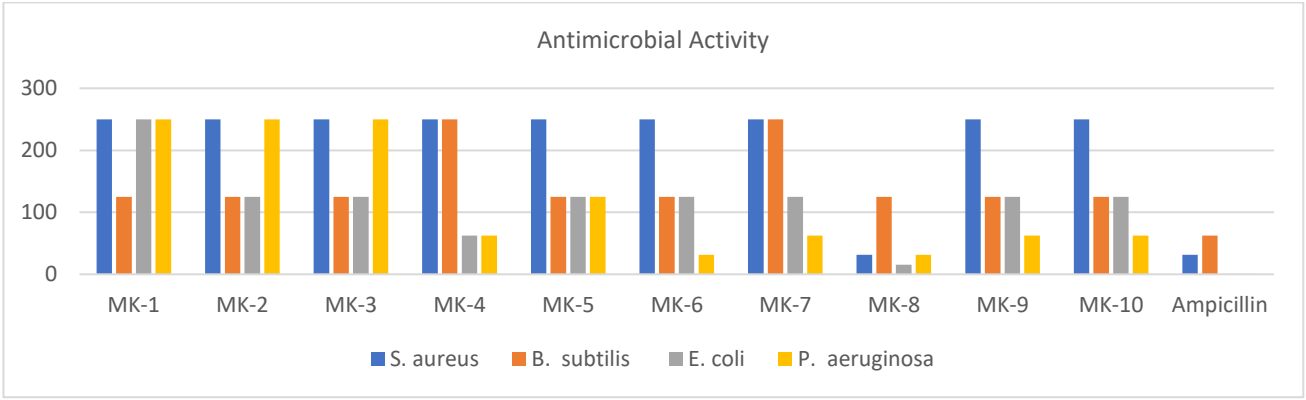

Fig 2: Graphical Representation of antimicrobial activity

Table 2: Activity recorded of different compounds

Compound	*S. aureus*	*B. subtilis*	*E. coli*	*P. aeruginosa*
MK-1	250	125	250	250
MK-2	250	125	125	250
MK-3	250	125	125	250
MK-4	250	250	62.5	62.5
MK-5	250	125	125	125
MK-6	250	125	125	31.25
MK-7	250	250	125	62.5
MK-8	31.25	125	15.62	31.25
MK-9	250	125	125	62.5
MK-10	250	125	125	62.5
Ampicillin	31.25	62.5	0.48	0.48

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