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Design, Synthesis and In-Vitro Anti-Bacterial Studies of Novel Heterocyclic and Non Heterocyclic Compounds

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ABSTRACT

In the present study, a new series imidazoles and benzoic acid derivatives were synthesized taking different aldehydes as substitutions. The preliminary characterization of synthesized compounds identified by physical constants determination and TLC. The chemical structures were confirmed by means of IR, 1H-NMR and Mass spectral data. The synthesized compounds were screened for their antibacterial activity using standard methods. According to the screening results, the compounds in the scheme have demonstrated antibacterial and antifungal activity comparable to that of mainstream medications. This is due to the presence of groups such as -OCH3, -NO_2, -Br, and -N-CH_3 at various places on the phenyl nucleus and the heterocyclic system connected to the nucleus.

KEYWORDS: Imidazoles, Heterocyclic compound, antimicrobial activity

INTRODUCTION

Heterocyclic compounds are those which have a cyclic structure with two, or more, different kinds of atom in the ring. These two kinds of atoms are like carbon and the other elements (heteroatoms), most often N, O and S. Although the parent compound, benzothiazole is not widely used, many of its derivatives are found in commercial products or in nature[1-3]. Imidazole is a planar 5-membered ring and is amphoteric. That is, it can function as both an acid and as a base. As an acid, the pKa of Imidazole is 14.5, making it less acidic than carboxylic acids, phenols, and imides, but slightly more acidic than alcohols. The acidic proton is located on N-1. As a base, the pKa of the conjugate acid (cited above as $pKBH^+$ to avoid confusion between the two) is approximately 7, making imidazole approximately sixty times more basic than pyridine. [4, 5]

The basic site is N-3, protonation gives the imidazolium cation, which is symmetrical. The compound is classified as aromatic due to the presence of a sextet of π-electrons, consisting of a pair of electrons from the protonated nitrogen atom and one from each of the remaining four atoms of the ring. [6] Different drugs containing these basic moieties with good pharmacological activity have been reported earlier. They undergo different types of chemical reactions and are found useful in synthesis of variety of heterocyclic compounds. Imidazole and their derivatives were used for the synthesis of various types of medicinal compounds having a good therapeutic value. [7, 8] Hence, the aim of the present study was to obtain imidazole and benzoic acid derivatives as biologically effective agent with good therapeutic values and minimum toxic levels.

MATERIALS AND METHODS

Melting points (MP) were determined on a standard Boetius apparatus and are uncorrected. The IR spectra were recorded in Perkin-Elmer BXF1 FT-IR spectrophotometer using KBr disc method. ^1H and ^{13}C NMR spectra were recorded in the indicated solvent on a Bruker AMX 400 and 100 MHz respectively with tetramethylsilane (TMS) as internal standard (chemical shifts in δ ppm). The LC-MS [API/ESI-MS (80 eV)] spectra were recorded on Agilent HPLC 1100 series. The elemental analyses of the synthesized compounds were recorded on Carlo Erba 1108 elemental analyzer and were within ± 0.4% of the theoretical values. Analytical TLC was performed on Silica Gel F_{254} plates (Merck) with visualization by UV (254 nm) chamber with protective filters. All reagents and solvents were used as without further purification.

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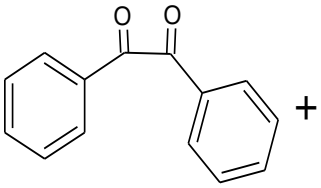
Synthesis Of Imidazole Derivatives: [9, 10]

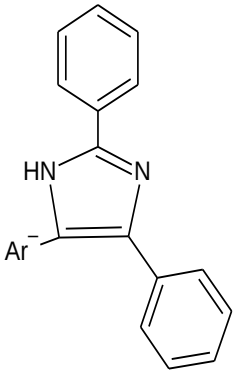


Benzil[0.01mmol]

\+ Ar-CHO

substituted aromatic aldehydes[0.01mol]

a. Added Ammonium Acetate[0.01mol] to the above mixture and then added Glacial Acetic acid-5ml
b. Reflux the reaction mixture for 4 hours
c. Reaction mixture was then cooled to room temperature.
d. Poured about 15 ml of water over the reaction mixture and kept for refrigeration overnight and then precipitate was collected, dried and recrystallised using hot ethanol.



1a-j 2,4,5-triphenyl-1*H*-imidazole derivatives

Scheme 1: Imidazole Derivatives

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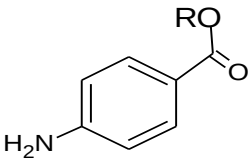
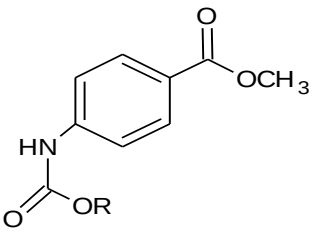
Synthesis Of Benzoic Acid Derivatives



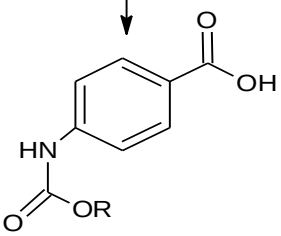
5.0gm[0.033mol] SUBSTITUTED P-AMINO BENZOATE

1. Above compounds are taken in 100ml of methylene chloride
2. The mixture was cooled to 0 -5°C. and added 3.2ml[0.04mol] of pyridine, with 2.8ml[0.04mol] of methyl chloroformate with 0.5g of DMAP is added to the reaaction mixture
3. the reaction mixture was stirred at ambient temperature for 16 hrs and then diluted with 100ml D.W. and 50ml methylene chloride
4. The reaction mixture was vigorously shaked and the layers were seperated. The organic layer was dried with sodium sulphate and concentrated to give substituted -4- [(methoxycarbonyl)aminobenzoate)



SUBSTITUTED-4-[(METHOXYCARBONYL)AMINO BENZOATE [1.5g(0.06mol)] [1a-f]

1. Taken 10ml deionised water, 7ml of 1N NaOH was heated at 60-70°C for 08 hours.
2. the reaction mixture was filtered and the filtrate was acic with 6N HCl
3. The ppt. was collected by filtration, washed with water and dried to get final product



substituted-4-[(methoxycarbonyl)amino Benzoic acid

Final Product[2a-f]

Scheme 2: Synthesis Of Benzoic Acid Derivatives

INVITRO ANTIBACTERIAL ACTIVITY

The educational laboratories portion of City of Medicine I was where the final chemicals' antibacterial activity was tested. According to the Well Diffusion Method, a preliminary antimicrobial test has been conducted:

Three test bacteria (Escherichia coli, Pseudomonas aeruginosa, a gramme negative bacteria, and Staphylococcus aureus, a gramme positive bacteria) were clinically activated and kept on nutrient agar medium for the study of the synthesised compounds' antimicrobial activity in vitro. Sulfamethoxazole was a common medication for its antibacterial effects. [11, 12]

Sensitivity Assay: Agar well diffusion assay was used to determine the antibacterial properties of each derivative component; pure isolates of the three types of bacteria were first subcultured in brain heart infusion broth at a temperature of 37°C for 18 to 24 hours and choose three to five bacteria isolate colonies using a loop, add them to a tube containing 3 mL of normal saline, and vortex the mixture. [13, 14]

100 microliters or so of the McFarland turbidity standard's (number 0.5) standardised inoculum bacterial suspension, which contains approximately 1.05×10^8 CFU per millilitre. On the surface of Mueller Hinton Agar (MHA) plates, each microbe was injected using a glass spreader. The extra liquid was airdried in a clean room, or the spreading procedure was repeated, after which the plate was dried and five wells with a diameter of 6 mm were punched into the agar. Then, five wells were created in each agar plate of the tested bacteria, and (100 µL) of dilutions of the derivative compound (500, 250, 125, and 62.5) were added into the wells on the MHA plate. The negative controller was DMSO. [15]

The antibacterial activity was calculated by measuring the diameter of the inhibition zone (IZ) all over the disc in mm after the plates had been incubated at 37 °C for 24 hours. The diameter of the inhibition zone formed throughout the well was used to estimate the antibacterial effect.

Serial dilutions of newly synthesised chemicals preparation:

1. Take 0.01 g from each compounds and put it in test tube, dissolve it in l0mL DMSO solvent (this is the stock solution l000µg/mL).

2. Take 2.5 mL from the stock solution put it in another test tube and add 2.5 mL from DMSO solvent here made (500µg/mL) (1st dilution).

3. Take 2.5 mL from the first dilution solution put it in another test tube and add 2.5 mL from DMSO solvent here made (250µg/mL) (2nd dilution).

4. Take 2.5 mL from the 2nd dilution solution put it in another test tube and add 2.5 mL from DMSO solvent here made (125µg/mL) (3rd dilution).

5. Take 2.5 mL from the 3rd dilution solution put it in another test tube and add 2.5 mL from DMSO solvent here made (62.5µg/mL) (4th dilution).

All of the synthesised compounds (1a–1j and 2a-2f) went through this process again, and sulfamethoxazole was also employed as a standard medication. [16, 17, 18]

RESULTS

Synthesis of Imidazole derivatives

5-(3-chlorophenyl)-2,4-diphenyl-1H-imidazole, 1a: Pale yellow solid; Yield 61.25%, mp 198°C. IR (ν_{max}, cm^{-1}): 3402, 3338, 2200, 1574, 1367 and 820. ^{1}H NMR (DMSO-d_6): δ 5.65 (2H, br s, C-4-NH_2); 6.61 (2H, d, J = 8.4 Hz, C-3 and 5-H); 6.78 (2H, br s, C-2-NH_2); 7.08 (1H, s, C-5-H); 7.60 (2H, d, J = 8.4 Hz, C-2 and 6-H); 7.66 (2H, d, J = 8.4 Hz, C-2 and 6-H); 7.87 (2H, d, J = 8.4 Hz, C-3 and 5-H). ^{13}C NMR (DMSO-d_6): δ 85.92 (C-3), 110.65 (C-5), 112.34 (C-2), 116.54 (C≡N), 117.96 (C-3 and 5), 119.37 (C-2 and 6), 125.61 (C-3 and 5), 129.24 (C-2 and 6), 136.61 (C-1), 137.35 (C-4), 148.97 (C-1), 151.19 (C-4), 155.99 (C-4), 158.75 (C-6). LC-MS *(m/z)*: 321.5 [{M+H}$^+$]. Anal. Calcd for $C_{21}H_{15}ClN_2$: C, 76.24; H, 4.57; N, 8.47; Cl, 10.72.

5-(3-bromophenyl)-2,4-diphenyl-1H-imidazole, 1b: Light brown solid; Yield 71.66%, mp 202°C. IR (ν_{max}, cm^{-1}): 3425, 3352, 2202, 1630, 1580, 1368 and 829. ^{1}H NMR (DMSO-d_6): δ 5.67 (2H, br s, C-4-NH_2); 6.60 (2H, d, J = 8.8 Hz, C-3 and 5-H); 6.85 (2H, br s, C-2-NH_2); 7.00 (1H, s, C-5-H); 7.65-7.44 (3H, m, C-3,5 and 6-H); 7.84 (2H, d, J = 8.8 Hz, C-2 and 6-H). LC-MS *(m/z)*: 355.8 [{M+H}$^+$]. Anal. Calcd for $C_{21}H_{15}BrN_2$: C, 67.21; H, 4.03; N, 7.47; Br, 21.29.

5-(3-iodophenyl)-2,4-diphenyl-1H-imidazole, 1c: Off white solid; Yield 55.27%, mp 241°C. IR (ν_{max}, cm^{-1}): 3466, 3425, 2200, 1605, 1574, 1371 and 1238. ^{1}H NMR (DMSO-d_6): δ 5.63 (2H, br s, C-4-NH_2); 6.61 (2H, d, J = 8.8 Hz, C-3 and 5-H); 6.76 (2H, br

s, C-2-NH_2); 7.08 (1H, s, C-5-H); 7.37 (2H, dd, *J* = 10.2 Hz, *J* = 8.8 Hz, C-2 and 6-H); 7.70 (2H, dd, *J* = 9.2 Hz, *J* = 8.6 Hz, C-3 and 5-H); 7.87 (2H, d, *J* = 8.4 Hz, C-2 and 6-H). LC-MS *(m/z)*: 305.2 [{M+H}$^+$]. Anal. Calcd for $C_{21}H_{15}IN_2$: C, 59.73; H, 3.58; N, 6.63; I, 30.05.

5-(3-fluorophenyl)-2,4-diphenyl-1H-imidazole, 1d: White colour solid; Yield 46.70%, mp 174°C. IR (ν_{max}, cm^{-1}): 3424, 3348, 2203, 1629, 1571, 1367 and 639. ^{1}H NMR (DMSO-d_6): δ 5.65 (2H, br s, C-4-NH_2); 6.62 (2H, d, *J* = 8.4 Hz, C-3 and 5-H); 6.80 (2H, br s, C-2-NH_2); 7.12 (1H, s, C-5-H); 7.52-7.48 (1H, t, *J* = 7.1 Hz, C-5-H); 7.64 (1H, d, *J* = 7.6 Hz, C-4-H); 7.72 (1H, d, *J* = 7.6 Hz, C-6-H); 7.83 (1H, s, C-2-H); 7.90 (2H, d, *J* = 8.4 Hz, C-2 and 6-H). LC-MS *(m/z)*: 365 [{M+H}$^+$]. Anal. Calcd for $C_{21}H_{15}FN_2$: C, 80.24; H, 4.81; N, 8.91; F, 6.04.

2-(2,4-diphenyl-1H-imidazol-5-yl)aniline, 1e: Pale yellow solid; Yield 72.20%, mp 188°C. IR (ν_{max}, cm^{-1}): 3468, 3428, 2200, 1610, 1577, 1373 and 1251. ^{1}H NMR (DMSO-d_6): δ 3.83 (3H, s, C-4-OCH_3); 5.62 (2H, br s, C-4-NH_2); 6.55 (2H, d, *J* = 8.4 Hz, C-3 and 5-H); 6.68 (2H, br s, C-2- NH_2); 7.05 (1H, s, C-5-H); 7.09 (2H, d, *J* = 8.4 Hz, C-3 and 5-H); 7.61 (2H, d, *J* = 8.8 Hz, C-2 and 6-H); 7.86 (2H, d, *J* = 8.8 Hz, C-2 and 6-H). LC-MS *(m/z)*: 317 [{M+H}$^+$]. Anal. Calcd for $C_{21}H_{17}N_3$: C, 81.00; H, 5.50; N, 13.49.

2-(2,4-diphenyl-1H-imidazol-5-yl)-6-fluorophenol, 1f: Creamish white solid; Yield 62.80%, mp 169°C. IR (ν_{max}, cm^{-1}): 3465, 3430, 2205, 1614, 1582, 1368 and 1259. ^{1}H NMR (DMSO-d_6): δ 3.74 (3H, s, C-3-OCH_3); 3.80 (3H, s, C-4-OCH_3); 5.62 (2H, br s, C-4-NH_2); 6.59 (2H, d, *J* = 8.4 Hz, C-3 and 5-H); 6.75 (2H, br s, C-2-NH_2); 6.99 (1H, s, C-5-H); 7.55 (2H, d, *J* = 8.8 Hz, C-2 and 6-H); 6.93-6.79 (3H, m, C-2, 5 and 6-H). LC-MS *(m/z)*: 347 [{M+H}$^+$]. Anal. Calcd for $C_{21}H_{15}FN_2O$: C, 76.35; H, 4.58; N, 8.48; F, 5.75; O, 4.84.

5-(2-bromo-5-chlorophenyl)-2,4-diphenyl-1H-imidazole, 1g: Slightly dark brown; Yield 58.05%, mp 178°C. IR (ν_{max}, cm^{-1}): 3462, 3363, 2202, 1622, 1583 and 1371. ^{1}H NMR (DMSO-d_6): δ 2.39 (3H, s, C-4-CH_3); 5.62 (2H, br s, C-4-NH_2); 6.55 (2H, d, *J* = 8.8 Hz, C-3 and 5-H); 6.70 (2H, br s, C-2-NH_2); 7.05 (1H, s, C-5-H); 7.17 (2H, d, *J* = 8.0 Hz, C-3 and 5-H); 7.53 (2H, d, *J* = 8.0 Hz, C-2 and 6-H); 7.68 (2H, d, *J* = 8.6 Hz, C-2 and 6-H). LC-MS *(m/z)*: 301 [{M+H}$^+$]. Anal. Calcd for $C_{21}H_{14}BrClN$: C, 61.56; H, 3.44; N, 6.84; Cl, 8.65; Br, 19.50.

2-bromo-4-(2,4-diphenyl-1H-imidazol-5-yl)phenol, 1h: Deep orange solid; Yield 62.60%, mp 168°C. IR (ν_{max}, cm^{-1}): 3444, 3328, 2212, 1614, 1561 and 1360. ^{1}H NMR (DMSO-d_6): δ 3.10 [6H, s, C-4-N(CH_3)$_2$]; 5.86 (2H, br s, C-4-NH_2); 6.84 (2H, d, *J* = 10.2 Hz, C-3 and 5-H); 7.07 (1H, s, C-5-H); 7.26 (2H, d, *J* = 9.8 Hz, C-3 and 5-H); 7.83 (2H, d, *J* = 10.0 Hz, C-2 and 6-H); 8.02 (2H, br s, C-2-NH_2); 8.13 (2H, d, *J* = 9.8 Hz, C-2 and 6-H). LC-MS *(m/z)*: 330 [{M+H}$^+$]. Anal. Calcd for $C_{21}H_{15}BrN_2O$: C, 64.46; H, 3.86; N, 7.16; O, 4.09; Br, 20.42.

5-(2-fluoro-5-methylphenyl)-2,4-diphenyl-1H-imidazole, 1i: Off White solid; Yield 59.20%, mp 172°C. IR (ν_{max}, cm^{-1}): 3468, 3335, 2217, 1630, 1601 and 1355. ^{1}H NMR (DMSO-d_6): δ 6.19 (2H, br s, C-4-NH_2); 6.63 (2H, d, *J* = 8.8 Hz, C-3 and 5-H); 7.61-7.55 (4H, m, Anthracenyl-H); 7.62 (1H, s, Anthracenyl-H); 7.66 (1H, s, C-5-H); 7.90 (2H, d, *J* = 8.4 Hz, C-2 and 6-H); 8.25-8.14 (4H, m, Anthracenyl-H); 8.66 (2H, br s, C-2-NH_2). LC-MS *(m/z)*: 387 [{M+H}$^+$]. Anal. Calcd for $C_{22}H_{17}FN_2$: C, 80.47; H, 5.22; N, 8.53; F, 5.79.

3-chloro-5-(2,4-diphenyl-1H-imidazol-5-yl)phenol, 1j: Light yellow solid; Yield 61.40%, mp 176°C. IR (ν_{max}, cm^{-1}): 3423, 3330, 2215, 1644, 1576 and 1352. ^{1}H NMR (DMSO-d_6): δ 5.68 (2H, br s, C-4-NH_2); 6.65 (2H, d, *J* = 8.2 Hz, C-3 and 5-H); 6.85 (2H, br s, C-2-NH_2); 7.05 (1H, s, C-5-H); 7.63-7.47 (4H, m, C-3, 4, 5 and 6-H); 7.89 (2H, d, *J* = 8.2 Hz, C-2 and 6-H). LC-MS *(m/z)*: 288.1 [{M+H}$^+$]. Anal. Calcd for $C_{21}H_{15}ClN_2O$: C, 72.73; H, 4.36; N, 8.08; Cl, 10.22; O, 4.61.

Synthesis of Benzoic acid

4-{[(chloromethoxy)carbonyl]amino}benzoic acid, 2a: Off white crystals; Yield 62.30%, mp 125°C. IR (ν_{max}, cm^{-1}): 3402, 3342, 1681, 1605, 1365 and 821. ^{1}H NMR (DMSO-d_6): δ 7.00 (2H, br s, C-4-NH_2); 7.25 (1H, s, C-6-H); 7.31 (2H, d, *J* = 8.0 Hz, C-3 and 5-H); 7.63 (2H, d, *J* = 8.4 Hz, C-2 and 6-H); 7.64 (2H, br s, C-4-NH_2); 7.70 (2H, d, *J* = 8.0 Hz, C-2 and 6-H); 8.12 (2H, d, *J* = 8.0 Hz, C-3 and 5-H); 8.33 (1H, s, C-2-H). ^{13}C NMR (DMSO-d_6): δ 106.01 (C-10), 116.89 (C-3 and 5), 118.57 (C-6), 127.66 (C-1), 128.95 (C-2 and 6), 129.28 (C-3 and 5), 129.43 (C-2 and 6), 132.49 (C-4), 134.44 (C-1), 145.85 (C-4), 148.01 (C-5), 156.15 (C-2), 158.46 (C-7), 159.79 (C-9), 162.73 (C-4). LC-MS *(m/z)*: 348.5 [{M+H}$^+$]. Anal. Calcd for $C_9H_8ClNO_4$: C, 47.08; H, 3.51; N, 6.10; O, 27.87, Cl, 15.44.

4-{[(bromomethoxy)carbonyl]amino}benzoic acid, 2b: Yellowish brown crystals; Yield 56.30%, mp 142°C. IR (ν_{max}, cm^{-1}): 3403, 3337, 1684, 1600, 1366 and 827. ^{1}H NMR (DMSO-d_6): δ 7.07 (2H, br s, C-4-NH_2); 7.20 (1H, s, C-6-H); 7.54 (1H, s, C-3-H); 7.62-7.56 (2H, m, C-5 and C-6-H); 7.70 (2H, d, *J* = 8.4 Hz, C-3 and 5-H); 7.85 (2H, br s, C-4-NH_2); 8.09 (2H, d, *J* = 8.4 Hz, C-2

and 6-H); 8.33 (1H, s, C-2-H). LC-MS *(m/z)*: 383 [{M+H}$^+$]. Anal. Calcd for $C_9H_8BrNO_4$: C, 39.44; H, 2.94; N, 5.11; O, 23.35; Br, 29.15.

4-{[(fluoromethoxy)carbonyl]amino}benzoic acid, 2c: Pale yellow crystals; Yield 66.40%, mp 119°C. IR (ν_{max}, cm^{-1}): 3406, 3300, 1682, 1604, 1366 and 1239. ^{1}H NMR (DMSO-d_6): δ 6.96 (2H, br s, C-4-NH_2); 7.24 (1H, s, C-6-H); 7.30 (2H, d, *J* = 8.4 Hz, C-3 and 5-H); 7.42-7.37 (2H, m, C-2 and 6-H); 7.72 (2H, br s, C-4-NH_2); 7.75-7.73 (2H, m, C-3 and 5-H); 8.12 (2H, d, *J* = 8.4 Hz, C-2 and 6-H); 8.34 (1H, s, C-2-H). LC-MS (80 eV)] *(m/z)*: 332 [{M+H}$^+$]. Anal. Calcd for $C_9H_8FNO_4$: C, 50.71; H, 3.78; N, 6.57; O, 30.02; F, 8.91.

4-{[(2-chloroethoxy)carbonyl]amino}benzoic acid, 2d: Off white crystals; Yield 68.70%, mp 116°C. IR (ν_{max}, cm^{-1}): 3407, 3339, 1684, 1606, 1360 and 701. ^{1}H NMR (DMSO-d_6): δ 7.00 (2H, br s, C-4-NH_2); 7.28 (1H, s, C-6-H); 7.54-7.50 (1H, t, *J* = 8.8 Hz, C-5-H); 7.68 (2H, d, *J* = 8.4 Hz, C-3 and 5-H); 7.73 (2H, *d*, *J* =10.2 Hz, C-4 and 6-H); 7.87 (2H, br s, C-4-NH_2); 8.13 (1H, s, C-2-H); 8.15 (2H, d, *J* = 7.8 Hz, C-2 and 6-H); 8.33 (1H, s, C-2-H). LC-MS *(m/z)*: 393 [{M+H}$^+$]. Anal. Calcd for $C_{10}H_{10}ClNO_4$: C, 49.30; H, 4.14; N, 5.75; O, 26.21, Cl, 14.55.

4-{[(2-bromoethoxy)carbonyl]amino}benzoic acid, 2e: Yellowish brown solid, Yield 59.20%, mp 158°C. IR (ν_{max}, cm^{-1}): 3402, 3336, 1681, 1605, 1365 and 1251. ^{1}H NMR (DMSO-d_6): δ 3.76 (3H, s, C-4-OCH_3); 7.03 (2H, br s, C-4-NH_2); 7.34 (1H, s, C-6-H); 7.29 (2H, d, *J* = 8.0 Hz, C-3 and 5-H); 7.71 (2H, d, *J* = 8.4 Hz, C-2 and 6-H); 7.68 (2H, br s, C-4-NH_2); 7.76 (2H, d, *J* = 8.0 Hz, C-2 and 6-H); 8.06 (2H, d, *J* = 8.0 Hz, C-3 and 5-H); 8.42 (1H, s, C-2-H). LC-MS *(m/z)*: 344.2 [{M+H}$^+$]. Anal. Calcd for $C_{10}H_{10}BrNO_4$: C, 41.69; H, 3.50; N, 4.86; O, 22.21; BR, 27.74.

4-{[(2-Fluoroethoxy)carbonyl]amino}benzoic acid, 2f: Whitish yellow crystals, Yield 72.97%, mp 114°C. IR (ν_{max}, cm^{-1}): 3403, 3300, 1681, 1607 and 1368. ^{1}H NMR (DMSO-d_6): δ 2.40 (3H, s, C-4-CH_3); 6.91 (2H, br s, C-4-NH_2); 7.22 (1H, s, C-6-H); 7.36 (2H, d, *J* = 7.8 Hz, C-3 and 5-H); 7.57 (2H, d, *J* = 7.6 Hz, C-2 and 6-H); 7.65 (2H, br s, C-4-NH_2); 7.70 (2H, d, *J* = 8.8 Hz, C-3 and 5-H); 8.11 (2H, d, *J* = 8.4 Hz, C-2 and 6-H); 8.33 (1H, s, C-2-H). LC-MS *(m/z)*: 328 [{M+H}$^+$]. Anal. Calcd for $C_{10}H_{10}FNO_4$: C, 52.87; H, 4.44; N, 6.17; O, 28.17; F, 28.17.

Table 1: Antibacterial activity of compounds 1c, 1e, 1f against tested bacteria

Compound No.	Conc. (µg/ml)	S. aureus (Gm+ve) Inhibition zone (mm)	P. aeruginosa (Gm-ve) Inhibition zone (mm)	E. coli (Gm-ve) Inhibition zone (mm)
SMT	62.5	13	-	14
	125	15	-	16
	250	17	13	17
	500	18	17	20
DMSO	Pure	-	-	-
1c	62.5	-	-	-
	125	10	09	10
	250	14	14	13
	500	16	17	17
1e	62.5	-	-	08
	125	12	13	15
	250	13	13	17
	500	18	16	18
1f	62.5	07	06	-
	125	13	12	07
	250	15	15	11
	500	16	16	17

Table 2: Antibacterial activity of compounds 2a, 2c and 2d against tested bacteria

Compound No.	Conc. (µg/ml)	S. aureus (Gm+ve) Inhibition zone (mm)	P. aeruginosa (Gm-ve) Inhibition zone (mm)	E. coli (Gm-ve) Inhibition zone (mm)
SMT	62.5	13	-	14
	125	15	-	16
	250	17	13	17
	500	18	17	20
DMSO	Pure	-	-	-
2a	62.5	07	09	07
	125	11	13	10
	250	14	15	13
	500	17	18	17
2c	62.5	-	-	09
	125	11	11	13
	250	14	14	15
	500	17	16	18
2d	62.5	-	-	07
	125	13	14	14
	250	17	15	15
	500	16	16	16

DISCUSSION

TLC was used to monitor all processes, and physical constant and FTIR spectrum analyses were used to evaluate the structure and purity of the predicted compounds, which were then followed by NMR and Mass spectroscopy. We made care to pronounce the reaction complete based on the TLC. Iodine vapours or viewing in a UV chamber were used to visualize the TLC plates. All reaction products were purified using various workup techniques to eliminate any unreacted starting material and recrystallization using appropriate solvents.

According to the literature review, imidazole and benzoic acid has been reported for a variety of pharmacological properties, with certain molecules showing high activity and others showing moderate to excellent activity. All of the synthesised quinoline derivatives were tested for antibacterial activity against S. aureus, P. aeruginosa and E. coli using DMF as a solvent. On nutritional agar media, using the disc diffusion technique. Sulphamethoxazole was employed as the antibacterial standard. According to the antimicrobial screening results indicated in the table above, compounds 1c, 1e and 1f of imidazole and 2a, 2c, and 2d had poor activity at 62.5 µg/ml, but medium activity at 125 µg/ml against S. aureus and medium activity against E. coli. When compared to the standard antibiotic sulphamethoxazole, 1c, 1e, 1f and 2a, 2c, 2d and showed extremely strong action against S. aureus at 250 and 500 µg/ml. All of the substances examined, however, showed lower levels of activity than the benchmark. The major focus of the discussion section is on the antibacterial activities of produced drugs.

CONCLUSION

The goal of this research was to identify Imidazole compounds having anthelmintic properties. Condensation processes were used to make the various substituted aldehyde derivatives. Ten compounds were created, and each one was given the designation "l a-1j." The structure of the several substituted imidazole derivatives was validated using a variety of analytical techniques, including elemental analysis, IR, 1H-NMR, and mass spectroscopy analyses. Two types of earth worms were used to carry out the anthelmintic activities. The foregoing findings indicate that synthesised substituted imidazole can be a valuable source for investigation. As a result, in the quest for novel active compounds, it may be beneficial to look into this area, or to make or introduce various functional groups to secondary amines, or to use cyclization as a replacement, which might lead to better pharmacological agents. The foregoing findings indicate that synthesised substituted imidazole can be a valuable source for investigation. As a result, in the quest for novel active compounds, it may be beneficial to look into this area, or to make or introduce various functional groups to secondary amines, or to use cyclization as a replacement, which might lead to better pharmacological agents.

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