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Pyromellitic diimide containing four-member heterocyclic derivatives synthesis, characterization and evaluation antimicrobial activity

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ABSTRACT

In this study, pyromellitic diimide and sodium hydroxide were reacted in dry DMF to yield a sodium salt pyromellitic diimide, which was then combined with ethylchloro acetate to generate N, N'-bis (ethyl acetate). This process was used to synthesize new four-member heterocyclic derivatives, 1,3diazetidene. By chemically mixing substance (1) with hydrazine hydrate, pyromethyl dichloride produces the corresponding hydrazine derivatives (2). Compound (2) underwent a condensation reaction with a range of para-aromatic aldehydes, yielding Schiff base derivatives (3–7). These Schiff base derivatives were then cyclized using phenyl isocyanate, P-Chloro phenylisocyante, and phenyl isothiocyanate to produce four-member heterocyclic derivatives (8–22). The produced compounds' physicochemical characteristics and melting points were identified. To identify novel compounds, spectral approaches such as 1H-NMR, 13C-NMR, and FT-IR were employed. Furthermore, tests were conducted on the biological activity components.

Keywords: Pyromellitic diimide, Schiff base ,1,3diazetidine, anti-microbial activity.

INTRODUCTION

Heterocycles with a mono nitrogen that have two carbonyl groups attached to the same nitrogen atom are called cyclic imides. Cyclic imides are an important class of chemical compounds due to their wide variety of various biological effects, such as anti-inflammatory, antifungal, antibacterial, analgesic, antioxidant, and anticancer properties ^[1,2]. Schiff bases are condensation products of primary amines with carbonyl compounds, which were discovered in 1864 ^[4] by German chemists ^[3]. Schiff bases are an important family of the most widely used organic chemicals, having applications in many fields such as biology, medicine, inorganic and analytical chemistry, and others ^[5-7]. Schiff bases are derived from a variety of heterocyclic compounds and exhibit a wide range of biological activities, such asantibacterial ^[8-9], antifungal ^[10-11], antiviral ^[13], anticancer ^[14], antiprotozoal ^[15], antiparasitic ^[16], anticonvulsant ^[17], analgesic ^[18], antiinflammatory ^[19], antiplatelet ^[20], antioxidant ^[21], antihyproliferative ^[22], cardioprotective, antidepressant, antihypertensive, herbicidal, antiglycation, and cytotoxic activity ^[7].

1,3-Diazetidines are N-heterocyclic, four-membered species that have β -lactams with significant laboratory, pharmaceutical, and industrial applications. These substances have the potential to function as β -lactam antibiotic analogues, including cephalosporins, penicillins, thienamycins, and related antimicrobial agents. Their properties include antibacterial^[23],anti-inflammatory^[24],antitumor^[25],hypoglycemic,and antihyperlipidemic effects^[26]; anti-malarial, FAAH, and anti-antihypertensive^[27-30]; anticancer^[31]; lowering the toxicity of the raw materials made from it^[32]; and producing compounds with improved pharmacokinetic properties. They are also employed in the synthesis of numerous kinds of pharmacophores^[33] and alkaloids as intermediates and starting materials. It has been reported that acetidine derivatives have antitubercular, anti-HIV, analgesic, anti-inflammatory, and ulcerogenic properties.

MATERIALS AND METHODS

Each and every chemical used was acquired from Fluka or Aldrich Basic Chemicals. Melting points (MP) in open glass capillaries were measured using Thomas capillary melting point apparatus that was not calibrated using gallenkamp. Using a SHIMAZU FTIR 8400 Fourier transform infrared spectrophotometer, FTIR spectra were recorded on KBr disks. All of the main ingredients and the reagent were pure and easily obtained from a

store. A 300 MHz spectrometer was used to record the 1H- and 13C-NMR spectra. Using the Agilent Technologies model ultra-shield, nuclear magnetic resonance (NMR) spectra were recorded using dimethyl sulfoxide solvent (DMSO-d6). The downfield chemical changes in δ (ppm) are given with tetra methylsilane (TMS) as a point of reference.

Synthesis of N, N'-bis(ethyl acetate) Pyromelliticdiimidyl(1)^[34].

Dry dimethylformamide (6 ml) was mixed with (0.5 g, 0.002mol.) of pyromellitic diimide at room temperature. Subsequently, (0.2g 0.004mole) of sodium hydrate was added, and after 15 minutes of stirring, (0.4 ml, 0.004mole) of ethyl chloroacetate was added. Stir for forty-five minutes. After that, mix reflex for six hours. The precipitate is then obtained by cooling it to room temperature, filtering it, and then pouring the filtered mixture into ice-cold water and washing it with cold distilled water. (Ethanol-Water) caused the solid white precipitate to recrystallize. Table 1 displays the physical characteristics of chemical (1) and the FT-IR spectral data

Synthesis of N,N -bis (aceto hydrazide) pyromelliticdiimidyl(2)^[35].

Reflux for 10 hours after dissolving (0.5g, 0.002 mole) of compound (1) in absolute ethanol while stirring. Next, add (0.5 mL, 0.006 mole) of hydrazine hydrate in phases. After cooling to room temperature, the mixture was filtered through cold, washed distilled water, and the precipitate was dried. (Petroleum ether) was used to recrystallize the solid yellow precipitate. Table 1 displays the physical characteristics of chemical (2) and the FT-IR spectral data.

Table 1: presents the produced compounds' physical characteristics and FT-IR spectrum data (1-2).

| | | a comp | ounas p | mysicai | characteristics and FT-IR spectrum data (1-2). | | | | |
|-----|-------------------------------------------------------------------------------------------------------------------------------------------|-----------|------------|---------|------------------------------------------------|---------------------------|--------------------------|-----------------|---------------------------------------------|
| Phy | sical properties | | | | Major FTIR absorption cm ⁻¹ | | | | |
| | | | | | | | | | |
| No | Structure | M.p ∘C | Yield % | Color | v(N-H) | v(C-H) arom. Aliph. | v(C=O) Imide | v(C=C) Arom. | Other band |
| 1 | H ₂ H ₃ H ₂ H ₃ H ₃ H ₄ CH ₃ CH ₂ CH ₃ | >300 | 90 | white | | 3068 2960 | 1772 1735 1720este | 1542 | υ C-N 1033 υ O-C 1303 |
| 2 | 0 | >300 | 90 | yellow | 3240 | 3014 2927 | 1722 1714 1670ami | 1560 | v N-H ₂ asym.3328 sym.3288 |

Synthesis of N, 'N(substituted benzyledine acetohydrzaide)pyromellitic diimdyl(3-7)^[36].

A solution of (0.5 g,0.022 mol.) N, N'-bis (aceto hydrazide) pyromellitic diimide (0.044 mol.) Para substituted aromatic aldehydes in (10 mL) absolute ethanol solvent was mixed thoroughly with a catalytic three drops of glacial acetic acid, refluxed the mixture for (6-7) hour. It islet it reach room temperature, during which it is filtered and cleaned with cold, distilled water. The precipitate was recrystallized by the reaction of water and ethanol. The FT-IR spectral data and the physical properties of compounds (3–7) are shown in Table 2.

Table 2: presents the produced compounds' physical characteristics and FT-IR spectrum data (3-7).

| Phy | Physical characteristics | | | | | Major FTIR absorption cm ⁻¹ | | | | |
|-----|--------------------------|-----------|------------|-------|--------|----------------------------------------|--------------------------|--------|---------------|--|
| No. | Structure | M.p ∘C | Yield % | Color | v(N-H) | | v(C=O) Imide Amide | v(C=N) | Other band | |

| 3 | | 204 - 206 | 80 | Gray | 3247 | 3049 3029 2900 | 1774 1718 1654 | 1625 | υC-N 1027 δ(p- sub.) 810 |
|---|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------------|----|-------------------|------|----------------------|----------------------|------|------------------------------------------------------------------|
| 4 | O H ₂ O H ₂ O H ₂ O H ₃ O H ₄ O H ₄ O H ₅ O O O O O O O O O O O O O O O O O O O | 187 - 189 | 80 | yellow | 3270 | 3082 2975 | 1731 1658 | 1610 | υ NO ₂ asym15 23 sym.134 4 δ(p- sub). 810 |
| 5 | H ₂ − C − C − C − C − C − C − C − C − C − | 207 - 208 | 80 | Yellowisl whit | 3280 | 3029 2891 | 1774 1722 1656 | 1627 | υ O-H 3342 υ C-N 1026 δ(p- sub). 827 |
| 6 | | 224 - 226 | 80 | white | 3230 | 3022 2883 | 1759 1714 1654 | 1628 | v C-Cl 10918(p -sub). 808 |
| 7 | | 196 - 197 | 80 | yellow | 3272 | 3026 2894 | 1732 1654 | 1622 | υ C-N 1066 δ(p- sub). 810 |

 $Synthesis of N, N-bis[(2-oxo-3-phenyl-4-(4-substituted phenyl-1,3-diazetidine-1-yl)aminoaceto] \\ pyromelliticdiimidyl(8-12); N, N-bis[(2-thion-3-phenyl-4-(4-substituted phenyl-1,3-diazetidine-1-yl)aminoaceto] \\ pyromelliticdiimidyl(13-17)^{[37]}.$

A mixture of equivalent amounts of $(0.00\ 1\ mole)$ of Schiff base derivatives (3-7) in $10\ ml$ of absolute ethanol as a solvent, phenyl iso cyanate $(0.8\ ml,0.002\ mole)$, phenyl iso thio cyanate $(0.4\ ml,0.002\ mole)$ was added. The mixture was in reflux condition for (5-6) hour. Then, it cooled at room temperature. The products (8-17) were filtered with washed cool distill water andrecrystallized with suitable solvent. Physical properties of compound (8-17) and FTIR spectral data are represented in table 3.

Table 3: physical properties and FT-IR spectral data for prepared compounds (8-17).

| Physi | Table 3: physical properties and FT-IR spectral data for prepared compounds (8-17). hysical properties Major FTIR absorption cm ⁻¹ | | | | | | | | | | |
|-------|-------------------------------------------------------------------------------------------------------------------------------------------------|-------------|------------|-----------------|------|---------------------------|--------------------------|--------------|---------------------------------------------------------------|--|--|
| No. | Structure | M.p °C | Yield % | Color | | v(C-H) Arom. Aliph. | v(C=O) Imide Amide | v(C=C) arom. | Other band | | |
| 8 | | 220- 222 | 85 | yellow | 3328 | 3055 2950 | 1772 1720 1649 | 1596 1554 | υ C- N1024 | | |
| 9 | | 183- 185 | 80 | Light yellow | 3330 | 3085 2975 | 1764 1731 1649 | 1596 1556 | υ NO ₂ asym.155 6 Sym.1344 υ C-N 1045 δ(P-sub) 808 | | |
| 10 | | 164- 165 | 85 | Brown | 3288 | 3062 2972 | 1768 1737 1650 | 1596 1558 | υ O-H 3326 υ C-N 1050 Δ(p-sub). | | |
| 11 | | 154- 156 | 85 | Matt brown | 3296 | 3072 2979 | 1772 1714 1656 | 1593 1550 | δ N-H 1633 v C-Cl 1087 δ(p-sub). 823 | | |
| 12 | nc N-ch, | 204- 205 | 85 | Yellov | 3328 | 3051 2974 | 1774 1736 1649 | 1598 1556 | υ C- N1027 δ(p-sub). 811 | | |
| 13 | HCN H L L L L L L L L L L L L L L L L L L | 242- 243 | 85 | Light yellow | 3240 | 3029 2883 | 1754 1715 1660 | 1598 1560 | υ C=S 1359 υ C- N1027 | | |
| 14 | | 82- 84 | 85 | Orang | 2388 | 3056 2952 | 1768 1695 1649 | 1596 1542 | υNO ₂ Asym. 1542 Sym.1344 υ C=S 1313 δ(p-sub). 837 | | |
| | | 95- 97 | 80 | Brown | 3370 | 3055 2923 | 1774 1736 1649 | 1596 1554 | υ O-H 3433 υ C=S 1377 υ C-N 1026 | | |

| | | | | | | | | δ(p-sub). 819 |
|----|-------------|----|-------|------|--------------|----------------------|--------------|-----------------------------------------------------|
| 16 | 101- 103 | 80 | Brown | 3280 | 3055 2881 | 1768 1635 1654 | 1596 1539 | υ C=S 1359 υ C- C11093 δ(p-sub). 825 |
| 17 | 72- 73 | 90 | Reddi | 3395 | 3012 2862 | 1770 1714 | 1596 1560 | υ C=S 1359 δ(p-sub). 808 |

$Synthesis \ of \ N, \ 'N-bis[(2-p-chloro-3-phenyl-4-(4-substituted \ phenyl-1,3-diazetidine-1-yl)aminoaceto] \\ pyromellitic diimidyl (18-22)^{[37]}.$

P-chloro phenyl isocyanate was added in equivalent amounts (0.00 1 mole) of Schiff base derivatives (3-7) in 10 ml of absolute ethanol as a solvent. For (7-8) hours, the combination was in reflux condition. It cooled to room temperature after that. After being filtered through clean, cool distil water, the products (18–22) were recrystallized using an appropriate solvent. Table 4 shows the physical characteristics and FT-IR spectral data of compound (18–22).

Table 4: presents the produced compounds' physical characteristics and FT-IR spectrum data (18-22).

| Phy | Physical properties | | | | | Major FTIR absorption cm ⁻¹ | | | | |
|-----|---------------------|-------------|------------|----------------|--------|----------------------------------------|--------------------------|--------------|--------------------------------------------------------------------------|--|
| No. | Structure | M.p ∘C | Yield % | Colo | υ(N-H) | v(C-H) Arom. Aliph. | v(C=O) Imide Amide | v(C=C) arom. | Other band | |
| 18 | | 282- 283 | 85 | Matt yello | | 3072 2979 | 1774 1714 | 1593 1560 | δ N-H 1633 v C- N1012 v C- Cl1087 δ(p- sub). 823 | |
| 19 | | 92- 93 | 80 | Light orang | 3365 | 3008 2975 | 1774 1763 1690 | 1593 1560 | NO ₂ Asym. 1560 Sym. 1346 v C-N 1010 v C- C11087 | |

| | | | | | | | | | δ(p- sub). 823 |
|----|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------|----|---------------|------|--------------|----------------------|--------------|--------------------------------------------------------------------------|
| 20 | | 260- 262 | 80 | Matt | 3385 | 3062 2977 | 1770 1665 | 1595 1560 | υ O-H 3415 υ C-N 1012 υ C- C11087 δ(p- sub). 823 |
| 21 | | 142- 144 | 85 | Gray | 3348 | 3074 2972 | 1770 1714 1652 | 1595 1560 | δ N-H 1633 υ C- C11091 δ(p- sub). 825 |
| 22 | 11, F = N = C11, 11, 2 = C11, 1 | 140- 142 | 80 | Matt yello | | 3074 2975 | 1768 1735 | 1595 1560 | υ C-N 1012 υ C- C11087 δ(p- sub). 823 |

Anti-microbial activity test^[38,39].

A variety of synthetic materials' antibacterial properties was investigated using the disk diffusion method. Four strains of bacteria were used to measure the amount of compounds produced: two Gram-positive strains (Enterococcus faecalis and Staphylococcus aureus) and two Gram-negative strains (Escherichia coli and pseudomonas aeruginosa). They also looked at fungal strains, which are harmful fungi that resemble yeast (Candida). A 5 mm-diameter filter paper disk (Whattman no. 1) was autoclaved at 121 °C for 15 minutes to disinfect it. 800 μ g of every compound under evaluation were impregnated into the sterilized disks. 800 μ L of each of the two investigated microbe cultures were added to the disk surface. To allow for adequate diffusion, the impregnated disk was incubated for one hour at 5 °C and then for twenty-four hours at 37 °C. The inhibitory zones on microorganisms generated by evaluated substances were measured.

RESULTS AND DISCUSSION

The physical parameters mentioned in Table 1 confirmed the pyromellitic diimide reaction with sodium hydrate and ethyl chloro acetate to yield compound (1) in Scheme 1.Absence of infrared spectral data v(N-H) of amide at 3448 cm⁻¹and showed appearanceat 3068 and 2960 cm⁻¹ for (C-H aromatic and alipha.)respectively 1772,1735 and 1720 cm⁻¹ for v (C=O imide and ester). The presence of the ester group resulted in a positive Hydroxamic Acid test^[40,41]. Combining chemical (1) with hydrate hydrazine yieldsN, N'-bis (aceto hydrazide) pyromellitic diimide (2). FTIR spectrum data showed absorption at 3328-3288 cm⁻¹ for v(NH₂)asymmetric and symmetric, (3240), (3014,2927),(1722,1714,1670),(1560,1517),(3461,3025) cm⁻¹ for v (N-H),v(C-H aromatic and alpha),v (C=O imide and amide), v (C=C Aromatic). On the other hand, table 5 displays the ¹H-NMR spectra data of chemical (1) ppm in DMSO-d6 solvent show 1.25(t,3H, CH₃);3.42(q,2H,O-CH₂);4.20(S,2H, N-CH₂);8.07-8.37(m,2H,Ar-H). H-NMR spectrum dataof compound(2) 3.77(b,2H,CH₃);3.97(s,2H,N-CH₂);8.34-8.94(s,2H,Ar-H);10.72. Table 5 displays the 13C-NMR spectra of compounds (1) and (2).

Scheme 1 synthesis of compounds (1-2)

The Schiff base (3-7) was synthesized by condensation reaction of compound(2) with different p-substitutedaromaticaldehydeswith little drop of glacial acetic acid in absolute ethanol to form Schiff base(3-7) in equation 1. Absence of $v(NH_2)3328-3288$ cm⁻¹ of compound (2)FT-IR of compounds (3-7). The absorption bands showed at 3280-3230cm⁻¹ for v (N-H) and confirmed the formation of compound (3-7) from the appearance of the bands at (1774-1714), (1658-1654) cm⁻¹ for v (C=O) imide and amide and the absorption of v (C=N) 1628-1610 cm⁻¹ Schiff base. All details of infrared spectral data to compounds (3-7) were table 2. HNMR spectrum data of compound (4) 3.61(s,2H,N-CH₂);6.23(s,1H,N=CH);8.11-8.64(m,10H,Ar-H);10.72(s,1H,NH) while HNMR spectrum data of compound (5) 3.64(s,2H,N-CH₂);6.86(s,1H,N=CH);7.69-8.57(m,10H,Ar-H);9.7(s,1H,OH);10.52(s,1H,NH) and the H-NMR spectrum data absorption of compound (7) 3.11(s,6H,N-(CH₃)₂);3.84(s,2H-CH₂);6.91(s,1H,N=CH);7.68-8.92(m,10H,Ar-H);11.01(s,1H,NH).Table 5 displays all of the information from the 1 H- and 13 C-NMR spectra for the Schiff base compounds (3-7).

G=NO2,OH,Cl,N(CH1)2

Equation (1)

Schiff base (3-7) was reacted with (phenyl iso cyanate, phenyl iso thio cyanate and p- chloro phenyl isocyanate) in absolute ethanol as a solvent to produce the compounds(8-22) showed in scheme 2. The FT-IR spectral datashowed absence of the double bond in the cyclization reactions when Schiff base (3-7) react with phenyl iso cyanate, to give N, 'N-bis [(2-oxo-3-phenyl-4-(4-substituted phenyl-1,3-diazetidine-1-yl) aminoaceto] pyromelliticdiimidyl (8-12) showed absorption (3330-3288) cm⁻¹ for v(N-H),(1774-1714), (1650-1649) cm⁻¹ for v(C=O) imide and amide whilewhen react Schiff base compounds (3-7) with phenyl iso thio cyanate give N, 'N-bis [(2-thion-3-phenyl-4-(4-substituted phenyl-1,3-diazetidine-1-yl) aminoaceto] pyromelliticdiimidyl (13-17) showed absorption (3395-3240) for v(N-H) cm⁻¹, (1770-1635), (1660-1649) cm⁻¹ for (C=O) imide and amide and when react Schiff base compounds(3-7) with p- chloro phenyl isocyanate to give N, 'N-bis [(2-p-chloro-3-phenyl-4-(4-substituted phenyl-1,3-diazetidine-1-yl) aminoaceto] pyromellitic dimidyl (18-22) showed absorbance 3385-3296cm⁻¹ for v(N-H),(1774-1714), (1690-1652) cm⁻¹ for v(C=O) for imide and amide. Tables 3 and 4 display all of the chemical (8-22)'s FT-IR data absorption.

¹H-NMRdata spectrum of compound (8) 4.30(s,2H,N-CH₂);5.10(s,2H-H-diazetiden);6.92-7.50(m,22H,Ar-H);10.80(s,1H,NH) while ¹H-NMR data spectrum of compound (12) 3.05(s,6H,(CH₃)₂);3.83(s,2H,N-CH₂);5.96(s,1H-H-diazetiden);6.91-8.88(m,20H,Ar-H);9.60(s,1H,NH) and ¹H-NMRdata spectrum of compound (14)3.36(s,2H,N-CH₂);5.30(s,1H,N-CH-azidring);7.01-8.39(m,20H,Ar-H);10.52(s,1H,NH). ¹H-NMRdata spectrum of compound (15) 3.09(s,2H,N-CH₂);5.61(s,1H,N-CH);6.92-7.75(m,20H,Ar-H);9.36(s,2H,OH);10.52(s,1H,NH). ¹H-NMRdata spectrum of compound (16) 3.29(s,2H,N-CH₂);5.22(s,2H,H-azid ring);6.95-8.84(m,18H,Ar-H);10.40(s,1H,NH). ¹H-NMRdata spectrum of compound (20) 3.37(s,2H,N-CH₂);6.79(s,1H,N-CH);6.88-8.93(m,18H,Ar-H);10.35(s,1H,NH);11.09(s,1H,OH). ¹H-NMRdata spectrum of compound (21) 3.88(s,2H,N-CH₂);5.01(s,1H,N-CH);7.26-8.01(m,18H,Ar-H);10.46(s,1H,NH). ¹H-NMRdata spectrum of compound (22)3.00(s,6H,N-(CH₃)²);5.01(s,2H,N-CH₂);6.72-8.72(m,11H,Ar-H);10.22(s,1H,NH).

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Table 5 displays all of the information from the 1H- and 13C-NMR spectra for the Schiff base.

$$G = \bigoplus_{\substack{k = 1 \\ k = 1 \\ k = 2 \\ k =$$

 $G{=}NO_2,\!OH,\!Cl,\!N(CH_3)_2$

Scheme (2) synthesis compounds (8-22)

Table 5¹H-NMR spectral data (⁸ppm)

| No | Compounds structure | ¹H-NMR spectral data (⁵ppm) | ¹³ C-NMR spectral data |
|----|-----------------------------------------------------------------------|-------------------------------------------------|-----------------------------------|
| • | | | (^δ ppm) |
| 1 | 9 9 7 9 4 9 | 1.25(t,3H, CH ₃); | 14.42(C1); 40.77(C2); |
| | | 3.42(q,2H, O-CH ₂); | 62.06(C4); 125.80(C7); |
| | ьс—с о о о о—с —сн, | 4.20(S,2H,N-CH ₂); | 136.82(C6,C8) ;165.82(C5) |
| | | 8.07-8.37(m,2H,Ar-H). | ;168.01(C3). |
| 2 | 0 0 1 | 3.77(b,2H,NH ₂); | 62.00(C2); 125.55(C5); |
| | 9 H2 P 2 P2 P | 3.97(S,2H,N-CH ₂); | 135.56(C4,C6); 163.86(C3); |
| | °-° - ° | 8.34-8.94(s,2H,Ar-H); | 170.01(C1). |
| | H ₂ N-NH C HN-NH ₂ | 10.72(t,1H,NH). | |
| 4 | 0 0 76 | 3.61(s,2H,N-CH ₂); | 56.45(C7); 123.93(C5); |
| | HC=N-N-C-C N N-C C-N-N=CH | 6.23(S,1H,N=CH); | 124.30(C2,C3); |
| | | 8.11-8.64(m,10H,Ar-H); | 125.42(C10); 135.71(C9); |
| | | 10.72(S,1H,NH). | 139.87(C4); |
| | NO ₂ NO ₂ | | 144.01(C5);153.11(C1); |
| | | | 163.54(C8); 171.11(C6). |
| | | | |
| 5 | 0 7 6 | 3.64(s,2H,N-CH ₂); | 60.21(C7); 116.22(C2); |
| | HC=N-N-C-C N N-C C-N-N=CH | 6.86(s,1H,N=CH); | 125.55(C10)12892(C4); |
| | | 7.69-8.57(m,10H,Ar-H); | 130.56(C3) 135.53(C9); |
| | OH OH | 9.7(s,1H,OH); | 144.01(C5); 160.77(C1); |
| | | 10.52(s,1H,NH). | 168.24(C8);171.11(C6). |
| 7 | 0 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 | 3.11(s,6H, N-(CH ₃) ₂); | 44.46(C1); 60.21(C8); |
| | HC=N-H-G-G N | 3.84(s,2H,N-CH ₂); | 125.55(C11); |
| | | 6.91(s,1H, N=CH); | 131.58(C3,C4,C5); |
| | H ₂ C—N—CH ₃ H ₂ C—N—CH ₃ | 7.68-8.92(m,10H,Ar-H); | 133.17(C10); |
| | * 1 | 11.01(s,1H,NH). | 153.60(C2,C6); 163.43(C9); |
| | | | 170.0(C7). |

| 8 | | 4.30(s,2H,N-CH ₂); 5.10(s,2H,H-adiazitidine); 6.92-7.50(m,22H,Ar-H); 10.80(s,1H,NH). | 65.21(C12); 88.01(C5); 124.14(C3,C14); 126.92(C1); 128.67(C2,C7,C8,C9); 140.17(C6); 144.00(C4); 153.11(C15); 163.44(C10,C13); 168.40(C11). |
|----|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| 12 | H,C—N—CH ₁ H,C—N—CH ₂ H,C—N—CH ₃ H,C—N—CH ₃ H,C—N—CH ₃ H,C—N—CH ₄ H,C—N—CH ₃ H,C—N—CH ₄ H,C—N—CH ₅ H,C—N | 3.05(s, 6H, N-(CH ₃) ₂ ; 3.83(s,2H,N-CH ₂); 5.69(s,1H, N-CH-diazitidine); 6.91-8.88(m,20H,Ar-H); 11.09(s,1H,NH). | 41(C1); 60.01(C13); 88.22(C6);114.94(C3);125.7 9(16);128.69(C9,C10);133.01(C5); 140.09(C7);153.13(C2); 156.30(C11); 163.46(C11,C14); 170.11(C12). |
| 14 | NO. 10. 10. 10. 10. 10. 10. 10. 10. 10. 10 | 3.36(s,2H,N-CH ₂); 5.30(s,1H,N-CH-azid ring); 7.01-8.39(m,20H,Ar-H); 10.52(s,1H,NH). | 60.01;(C12); 96.23(C5); 124.72(C15)128.66(C2,C3); 128.88(C9); 129.20(C8); 131.14(C7); 135.22(C14); 140.22(C6); 163.45(C13); 170.11(C11); 176.01(C10). |
| 15 | HO OR THE STATE OF | 3.09(s,2H,N-CH ₂); 5.61(s,1H,N-CH); 6.92-7.75(m,20H,Ar-H); 9.36(s,2H,OH); 10.52(s,1H,NH). | 40.46(C12); 96.01(C5); 116.12(C2); 125.12(C15); 128.68(C3,C9); 129.23(C8); 132.58(C7); 136.71(C14); 137.78(C4); 140.15(C6); 156.01(C1); 163.45(C13); 170.11(C11); 176.00(C10). |
| 16 | | 3.29(s,2H,N-CH ₂); 5.22(s,2H,H-azid ring); 6.95-8.84(m,18H,Ar-H); 10.40(s,1H,NH). | 40.83(C12); 96.01(C5); 125.19(C15);128.34(C2,C3); ; 128.34(C9);129.52(C8); 130.11(C8);134.12(C1,C7); 136.86(C14); 140.24(C4,C6); 163.45(C13); 170.11(C11); 176.01(C10). |
| 20 | | 3.37(s,2H,N-CH ₂); 6.79(s,1H,N-CH); 6.88-8.93(m,18H,Ar-H); 10.35(s,1H,NH); 11.09(s,2H,OH). | 40.81(C12); 96.01(C5); 120.28(C2);125.94(C7,C15); ; 128.53(C3);129.71(C8); 130.11(C9); 135.86(C14); 137.01(C4,C6); 156.21(C1,C10); 163.40(C13); 170.11(C11). |
| 21 | | 3.88(s,2H,N-CH ₂); 5.01(s,1H,N-CH); 7.26-8.01(m,18H,Ar-H); 10.46(s,1H,NH). | 40.80(C12); 96.01(C5); 122.36(C1); 125.92(C3,C7,C15); 128.67(C2);130.10(C8); 134.12(C9); 135.86(C14); 138.36(C6); 145.22(C4); 156.21(C10);163.40(C13); 170.11(C11). |

| 22 | H ₂ C—N—CH ₃ : H ₂ C—N—CH ₃ | 3.00(s,6H,N-(CH ₃) ₂); | 36.68(C1); 60.45(C13); |
|----|-------------------------------------------------------------------------|------------------------------------------------|---------------------------|
| | | 5.01(s,2H,N-CH ₂); | 88.10(C6);125.94(C16); |
| | HC N X 2 - C X Y X X X X X X X X X X X X X X X X X | 6.72-8.72(m,11H,Ar-H); | 126.30(C4);128.72(C3); |
| | 1 1-1 | 10.22(s,1H,NH). | 130.10(C8);135.22(C15); |
| | | | 136.86(C7); 139.04(C9); |
| | \(\frac{1}{2}\) | | 143.12(C5);152.83(C2); |
| | CI | | 155.30(C11) 156.21(10); |
| | | | 163.45(C14); 170.11(C12). |

Anti-microbial activity

It comprises testing chemicals against two Gram-negative bacteria (Escherichia coli and Pseudomonas aeruginosa) and two Gram-positive bacteria (Enterococcus faecalis and Staphylococcus aureus), as well as yeast-like pathogenic fungi (Candida) that are listed in Table 6. Compounds (1, 6, 11, 15, 16, 20, and 22) demonstrated very strong antibacterial activity against (Pseudomonas aeruginosa, Staphylococcus aureus, Escherichia coli, and Enterococcus faecalis),according to the results of the antibacterial activity test. Compound (2) demonstrated strong antibacterial activity against (Pseudomonas aeruginosa), and Compounds (8 and 10) demonstrated strong antibacterial activity against (Escherichia coli).Compound (14) exhibits significant antibacterial action against (Staphylococcus aureus and Enterococcus faecalis).No inhibition was seen by compounds (4,5,9, and 12) against the bacteria (Enterococcus faecalis, Staphylococcus aureus, Escherichia coli, and Pseudomonas aeruginosa).Compounds (1, 2, and 6) demonstrated extremely potent antifungal (Candida) action. Compounds (3, 8, and 20) demonstrated robustand Compounds(5,7,9,10,11,12,13,14,15,16,21and 22) showed no inhibition against fungi.

Table 6: tests the antimicrobial activity of certain produced substances.

| No. | Staphylococcus | Enterococcus | Pseudomonas | E.coli | Candida albican |
|-------------|----------------|--------------|-------------|--------|-----------------|
| | aureus | faecalis | aeruginosa | | |
| 1 | 45 mm | 49mm | 46mm | 40mm | 31mm |
| 2 | 44mm | 44mm | 22mm | 34mm | 34mm |
| 3 | 46mm | 52mm | - | - | 24mm |
| 4 | - | - | - | - | 12mm |
| 5 | - | - | - | - | - |
| 6 | 45mm | 49mm | 39mm | 36mm | 35mm |
| 7 | - | - | 42mm | 39mm | - |
| 8 | - | - | 35mm | 28mm | 24mm |
| 9 | - | - | - | 11mm | - |
| 10 | - | - | 39mm | 29mm | - |
| 11 | 49mm | 52mm | 35mm | 32mm | - |
| 12 | - | - | - | - | - |
| 13 | 12mm | 12mm | - | - | - |
| 14 | 23mm | 21mm | - | - | - |
| 15 | 41mm | 51mm | 41mm | 34mm | - |
| 16 | 43mm | 48mm | 36mm | 36mm | - |
| 17 | - | 39mm | - | - | 13mm |
| 18 | 12mm | 12mm | - | - | 11mm |
| 19 | 15mm | 15mm | 29mm | - | 12mm |
| 20 | 40mm | 52mm | 40mm | 42mm | 26mm |
| 21 | - | 54mm | 44mm | 31mm | - |
| 22 | 52mm | 52mm | 49mm | 33mm | = |
| Ceftriaxone | 30 | 35 | 30 | 35 | - |
| Fluconazole | - | - | - | - | 25 |

DMSO is the Solvent; [C]: 800µg/ml.

Zone of inhibition: (-) no inhibition zone; (10-15) weak; (16-20) moderate; (21-30) strong; (31-40) very strong.

CONCLUSION

The synthesis of 1,3diazetidine from pyromellitic diimide and the subsequent determination of its antibacterial activity are illustrated in this paper. These substances' antibacterial activity was assessed against fungus, bacteria, and Gram-positive and Gram-negative organisms. The target compounds (1,6,11,15,1620, and 22) demonstrated strong antibacterial activity against (Enterococcus faecalis, Staphylococcus aureus, Escherichia

coli, and pseudomonas aeruginosa). The majority of the compounds, however, show slightly appreciable antibacterial activity.

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