



Synthesis and Pharmacological Evaluation of some Novel Pyrimidine Derivatives

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ABSTRACT

In the present research work, (2E)-3-(4-Methoxyphenyl)-1-(thiophen-2-yl)prop-2-en-1-one **1** was cyclised with thiourea to get 4-(4-Methoxyphenyl)-6-(thiophen-2-yl)pyrimidine-2-thiol **2**. The -SH group of **2** was methylated to afford 4-(4-Methoxyphenyl)-2-(methylsulfanyl)-6-(thiophen-2-yl) pyrimidine **3** which underwent nucleophilic substitution with hydrazine hydrate to get 2-Hydrazinyl-4-(4-methoxyphenyl)-6-(thiophen-2-yl) pyrimidine **4**. Condensation of **4** with different aldehydes yielded corresponding Schiff's bases 2-[substitutedhydrazinyl]-4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidines **5a-e**. The compound **4** was acylated to get compounds N'-[4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidin-2-yl]substitutedhydrazides **6a-e**. Structure confirmation was accomplished by spectral studies (IR, ¹H NMR, Mass) and elemental analysis of all the synthesized compounds. Some selected compounds were screened for antibacterial and antioxidant activities.

Keywords: Thiophene, methoxyphenyl, thiourea, antibacterial activity, antioxidant.

INTRODUCTION

Pyrimidines and fused pyrimidines, being an integral part of DNA and RNA, play an essential role in several biological processes and have considerable chemical and pharmacological importance. Particularly, the pyrimidine ring is found in the nucleoside antibiotics, antibacterial, antitumor, cardiovascular as well as agrochemical and veterinary products [1-9]. In view of these observations and in continuation of our interest in developing efficient syntheses of polyfunctionally substituted heterocycles utilizing the readily obtainable pyrimidine as starting material [10-12], it is worthwhile to explore their potential utility for synthesis of polyfunctionally substituted pyrimidine derivatives useful for optimization of biological activity.

MATERIALS AND METHODS

All the melting points were determined in an open capillary and are uncorrected. IR spectra were recorded on Bruker alpha FT IR spectrophotometer. ¹H NMR spectra were measured on Bruker AV 400MHZ using CDCl₃ and DMSO as solvent. Chemical shifts are expressed in δ ppm. Mass spectra were performed on a Joel JMS-D 300 mass spectrometer operating at 70 eV. All the reactions were followed and checked by

TLC, and further purification was done by column chromatography. All the reagents used were of AR grade and they were again purified by distillation.

Preparation of (2E)-3-(4-methoxyphenyl)-1-(thiophen-2-yl) prop-2-en-1-one 1: A mixture of acetyl thiophene (1.26 g, 0.01 mol) and anisaldehyde (1.36 g, 0.01 mol) were stirred in ethanol (15 mL) then an aqueous solution of 40% potassium hydroxide (10 mL) was added and the stirring was continued for 2 h. The mixture was kept overnight at room temperature, poured into crushed ice and then acidified with dilute hydrochloric acid. The solid separated was filtered, dried and recrystallized from ethyl acetate, ethanol mixture (8:2).

(2E)-3-(4-Methoxyphenyl)-1-(thiophen-2-yl)prop-2-en-1-one 1: IR (KBr) ν (cm^{-1}): 1614 (CH=CH), 1644 (C=O); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm): 6.93-6.94 (dd, 2H, CH=CH), 6.95-7.86 (m, 7H, Ar-H), 3.87 (s, 3H, OCH_3); Elemental analysis: Calculated (%) for $\text{C}_{14}\text{H}_{12}\text{O}_2\text{S}$: C, 68.57; H, 4.89; Found: C, 68.53; H, 4.85; MS: m/z 245.

Preparation of 4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidine-2-thiol 2: A mixture of (2E)-3-(4-methoxyphenyl)-1-(thiophen-2-yl) prop-2-en-1-one **1a** (2.45 g, 0.01 mol) and thiourea (0.76 g, 0.01 mol) in 1,4-dioxane (10 mL) and a catalytic amount of acetic acid were taken in a round bottomed flask and refluxed for 24 h. The progress of the reaction was monitored by TLC. The reaction mixture was cooled, and poured into ice cold water with stirring. The product was filtered, dried and recrystallized using ethanol.

4-(4-Methoxyphenyl)-6-(thiophen-2-yl)pyrimidine-2-thiol 2: IR (KBr) ν (cm^{-1}): 2363 (SH), 1250 (C-O-C); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm): 12.50 (b, 1H, SH), 3.86 (s, 3H, OCH_3), 7.17-8.19 (m, 8H, Ar-H); Elemental analysis: Calculated (%) for $\text{C}_{15}\text{H}_{14}\text{N}_2\text{OS}_2$: C, 60.00; H, 4.66; N, 9.33; Found: C, 59.32; H, 4.58; N, 9.54; MS: m/z 300.

Preparation of 4-(4-methoxyphenyl)-2-(methylsulfanyl)-6-(thiophen-2-yl) pyrimidine 3: To a solution of 4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidine-2-thiol **2a** (3.01 g, 0.01 mol) in dimethyl formamide (20 mL), potassium carbonate (2.76 g, 0.02 mol) and methyl iodide (2.84 g, 0.02 mol) were added and the mixture was stirred for 4 h. Reaction time and completion of reaction was monitored by TLC. Then reaction mixture was diluted with cold water and neutralised by glacial acetic acid. The product was filtered off, dried and recrystallized from ethanol.

4-(4-Methoxyphenyl)-2-(methylsulfanyl)-6-(thiophen-2-yl)pyrimidine 3: IR (KBr) ν (cm^{-1}): 827 (C-S-C), 1297 (C-O-C), 1645 (C=N); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm): 2.17 (s, 3H, SCH_3), 6.64-7.70 (m, 8H, Ar H), 3.85 (s, 3H, OCH_3); Elemental analysis: Calculated (%) for $\text{C}_{16}\text{H}_{14}\text{N}_2\text{OS}_2$: C, 61.14; H, 4.45; N, 8.91; Found: C, 61.71; H, 4.40; N, 8.85; MS: m/z 314.

Preparation of 2-Hydrazinyl-4-(4-methoxyphenyl)-6-(thiophen-2-yl) pyrimidine 4: A mixture of compound 4-(4-methoxyphenyl)-2-(methylsulfanyl)-6-(thiophen-2-yl) pyrimidine **3a** (3.14 g, 0.01 mol) and hydrazine hydrate (0.96 g, 0.03 mol) in absolute ethanol (15 mL) was refluxed for 6 h. completion of reaction was monitored by TLC, after completion of the reaction, the mixture was poured into crushed ice. The separated solid was filtered, dried and recrystallized from ethanol.

2-Hydrazinyl-4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidine 4: IR (KBr) ν (cm^{-1}): 3310 (N-H), 1280-1165 (C-O-C); 1611 (C=N); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm): 2.66 (s, 2H, NH_2), 9.93 (s, 1H, NH), 6.93-8.40 (m, 8H, Ar-H), 3.66 (s, 3H, OCH_3); Elemental analysis: Calculated (%) for $\text{C}_{15}\text{H}_{14}\text{N}_4\text{OS}$: C, 60.40; H, 4.69; N, 18.79; Found: C, 60.49; H, 4.59; N, 18.85; MS: m/z 298.

Preparation of 2-[(2Z)-2-benzylidenehydrazinyl]-4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidine 5a: The compound 2-hydrazinyl-4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidine **4** (3.01g, 0.01mol) was refluxed with benzaldehyde (1.06g, 1mL, 0.01mol) in absolute ethyl alcohol for 16 h. The completion of the reaction was monitored through TLC, after the completion, reaction mixture was poured into ice cold water with stirring. The solid obtained was filtered and washed thoroughly with water. The crude product

was purified by several trials of recrystallisation with rectified spirit to afford pure **5a**. Similarly, the compounds **5b-e** were prepared.

2-[(2Z)-2-Benzylidenehydrazinyl]-4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidine 5a: IR (KBr) ν (cm⁻¹): 3113 (NH), 1551 (C=N), 1356 (C-O-C); ¹H NMR (400 MHz, CDCl₃) δ (ppm): 3.66 (s, 3H, OCH₃), 9.42 (s, 1H, NH), 8.40 (s, 1H, CH=N), 6.93-8.09 (m, 13H, Ar-H), Elemental analysis: Calculated (%) for C₂₂H₁₈N₄O₂S: C, 68.39; H, 4.66; N, 14.50; Found; C, 68.42; H, 4.40; N, 14.55; MS m/z: 386.

2-[(2Z)-2-(4-Methoxybenzylidene)hydrazinyl]-4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidine 5b: IR (KBr) ν (cm⁻¹): 3205 (NH), 1550 (C=N), 1250 (C-O-C); ¹H NMR (400 MHz, CDCl₃) δ (ppm): 3.85 (s, 6H, OCH₃), 9.40 (s, 1H, NH), 8.75 (s, 1H, CH=N), 6.90-8.31 (m, 12H, Ar-H), Elemental analysis: Calculated (%) for C₂₃H₂₀N₄O₂S: C, 66.34; H, 4.80; N, 13.46; Found; C, 66.33; H, 4.81; N, 13.42; MS m/z: 416.

2-[(2Z)-2-(4-Chlorobenzylidene)hydrazinyl]-4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidine 5c: IR (KBr) ν (cm⁻¹): 3130 (NH), 1565 (C=N), 1350 (C-O-C); ¹H NMR (400 MHz, CDCl₃) δ (ppm): 3.86 (s, 3H, OCH₃), 9.48 (s, 1H, NH), 8.35 (s, 1H, CH=N), 6.90-8.01 (m, 12H, Ar-H); Elemental analysis: Calculated (%) for C₂₂H₁₇ClN₄O₂S: C, 62.70; H, 4.03; N, 13.30; Found; C, 62.71; H, 4.01; N, 13.35; MS m/z: 421.

(Z)-1-(4-(4-Methoxyphenyl)-6-(thiophene-2-yl)pyrimidin-2-yl)-2-((quinoline-3-yl)methylene)hydrazine 5d: IR (KBr) ν (cm⁻¹): 3115 (NH), 1572 (C=N), 1305 (C-O-C); ¹H NMR (400 MHz, CDCl₃) δ (ppm): 3.70 (s, 3H, OCH₃), 9.37 (s, 1H, NH), 8.32 (s, 1H, CH=N), 6.93-8.09 (m, 13H, Ar-H); Elemental analysis: Calculated (%) for C₂₅H₁₈ClN₅O₂S: C, 63.55; H, 3.81; N, 14.83; Found; C, 63.50; H, 3.82; N, 14.61; MS m/z: 472.

(Z)-2-(4-Phenoxybenzylidene)-1-(4-(4-methoxyphenyl)-6-(thiophene-2-yl)pyrimidin-2-yl)hydrazine 5e: IR (KBr) ν (cm⁻¹): 3207 (NH), 1560 (C=N), 1350 (C-O-C); ¹H NMR (400 MHz, CDCl₃) δ (ppm): 3.81 (s, 3H, OCH₃), 9.30 (s, 1H, NH), 8.28 (s, 1H, CH=N), 6.93-8.09 (m, 17H, Ar-H); Elemental analysis: Calculated (%) for C₂₈H₂₂N₄O₂S: C, 70.14; H, 4.55; N, 11.66; Found; C, 70.19; H, 4.57; N, 11.55; MS m/z: 479.

Preparation of N'-[4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidin-2-yl]thiophene-2-carbohydrazide 6a: A mixture of compound 2-hydrazinyl-4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidine **4** (3.01g, 0.01mol), thiophene-2-carbonyl chloride (1.45g, 0.01mol) and K₂CO₃ in 1,4-dioxane was refluxed for 8 hrs. The completion of the reaction was monitored by TLC. After completion, the reaction mixture was poured into the ice cold water, stirred well, the solid obtained was filtered and washed thoroughly with water. The crude compound was purified through recrystallisation in ethyl alcohol. Compounds **6b-e** were prepared analogously.

N'-[4-(4-Methoxyphenyl)-6-(thiophen-2-yl)pyrimidin-2-yl]benzohydrazide 6a: IR (KBr) ν (cm⁻¹): 3092 (NH), 1679 (C=O), 1543 (C=N), 1342 (C-O-C); ¹H NMR (400 MHz, CDCl₃) δ (ppm): 3.70 (s, 3H, OCH₃), 9.31 (s, 1H, pyrimidine NH), 8.71 (s, 1H, NHCO), 6.64-7.68 (m, 13H, Ar-H), Elemental analysis: Calculated (%) for C₂₂H₁₈N₄O₂S: C, 65.67; H, 4.47; N, 13.93; Found; C, 65.60; H, 4.63; N, 13.76; MS m/z: 402.

N'-[4-(4-Methoxyphenyl)-6-(thiophen-2-yl)pyrimidin-2-yl]thiophene-2-carbohydrazide 6b: IR (KBr) ν (cm⁻¹): 3190 (NH), 1655 (C=O), 1559 (C=N), 1351 (C-O-C); ¹H NMR (400 MHz, CDCl₃) δ (ppm): 3.75 (s, 3H, OCH₃), 9.30 (s, 1H, pyrimidine NH), 8.77 (s, 1H, NHCO), 6.60-7.22 (m, 11H, Ar-H), Elemental analysis: Calculated (%) for C₂₀H₁₆N₄O₂S₂: C, 58.82; H, 3.92; N, 13.72; Found; C, 58.59; H, 3.67; N, 13.70; MS m/z: 408.

N'-[4-(4-Methoxyphenyl)-6-(thiophen-2-yl)pyrimidin-2-yl]acetohydrazide 6c: IR (KBr) ν (cm⁻¹): 3205 (NH), 1675 (C=O), 1559 (C=N), 1355 (C-O-C); ¹H NMR (400 MHz, CDCl₃) δ (ppm): 3.79 (s, 3H, OCH₃), 9.25 (s, 1H, pyrimidine NH), 8.20 (s, 1H, NHCO), 6.72-7.02 (m, 8H, Ar-H), Elemental analysis: Calculated (%) for C₁₇H₁₆N₄O₂S: C, 60.00; H, 4.70; N, 16.47; Found; C, 60.13; H, 4.75; N, 16.49; MS m/z: 340.

N'-[4-(4-Methoxyphenyl)-6-(thiophen-2-yl)pyrimidin-2-yl]-2-phenylacetohydrazide 6d: IR (KBr) ν (cm⁻¹): 3155 (NH), 1670 (C=O), 1509 (C=N), 1320 (C-O-C); ¹H NMR δ : ¹H NMR (400 MHz, CDCl₃) δ

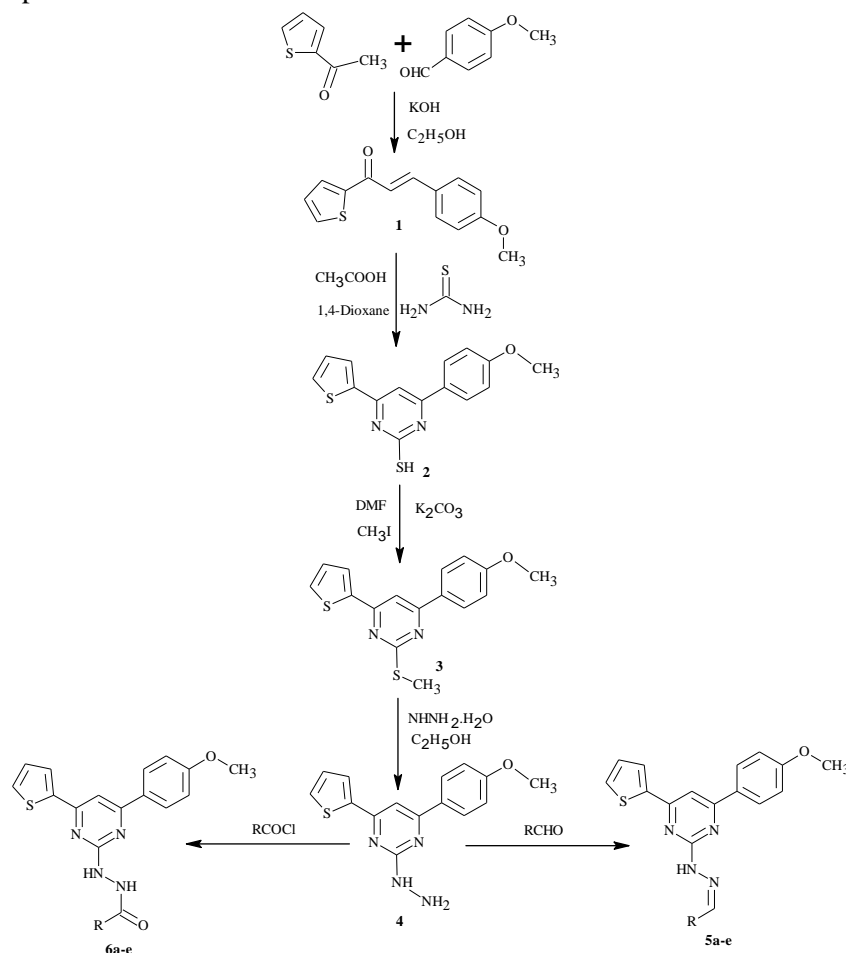
(ppm): 3.67 (s, 3H, OCH₃), 9.22 (s, 1H, pyrimidine NH), 8.50 (s, 1H, NHCO), 3.06 (s, 2H, CH₂CO), 6.64-7.68 (m, 13H, Ar-H), Elemental analysis: Calculated (%) for C₂₃H₂₀N₄O₂S: C, 66.34; H, 4.81; N, 13.46; Found; C, 66.31; H, 4.89; N, 13.40; MS m/z: 416.

N'-[4-(4-Methoxyphenyl)-6-(thiophen-2-yl)pyrimidin-2-yl]furan-2-carbohydrazide 6e: IR (KBr) ν (cm⁻¹): 3186 (NH), 1674 (C=O), 1540 (C=N), 1340 (C-O-C); ¹H NMR (400 MHz, CDCl₃) δ (ppm): 3.74 (s, 3H, OCH₃), 9.21 (s, 1H, pyrimidine NH), 8.50 (s, 1H, NHCO), 6.80-7.79 (m, 11H, Ar-H), Elemental analysis: Calculated (%) for C₂₀H₁₆N₄O₃S: C, 61.22; H, 4.08; N, 14.28; Found; C, 61.23; H, 4.16; N, 14.20; MS m/z: 392.

RESULTS AND DISCUSSION

The chalcone **1** was used as precursor to synthesise pyrimidine derivatives which have been prepared by refluxing 2-acetylthiophene with anisaldehyde in presence of potassium hydroxide in ethanol medium. All the chalcones have been characterised by elemental analysis and spectral studies.

In confirmation, the compound **1** exhibited absorption band at 1644cm⁻¹ in its IR spectrum corresponding to carbonyl group. The ¹H NMR spectrum of compound **1** showed a singlet at 3.87 δ due to OCH₃ group, a double doublet at 6.93 δ due to CO-CH=CH group. Further, a molecular ion peak at m/z 245 in its mass spectrum is in conspicuous with the structure.



Scheme 1

Compound	R	Compound	R
5a	C ₆ H ₅	6a	C ₆ H ₅
5b	C ₆ H ₄ -4-OCH ₃	6b	C ₄ H ₃ S
5c	C ₆ H ₄ -4-Cl	6c	CH ₃
5d	C ₉ H ₅ N-2-Cl	6d	C ₆ H ₅ CH ₂
5e	C ₆ H ₅ -4-OC ₆ H ₅	6e	C ₄ H ₃ O

Compounds **1** was then refluxed with thiourea in presence of catalytic amount of acetic acid in 1,4-dioxane solvent to afford 4-methoxyphenyl-6-thiophen-2-yl-pyrimidine-2-thiols **2** in good yield. The formation of **2** was monitored by TLC. In confirmation, compound **2** exhibited absorption band at 2363 cm⁻¹ corresponding to SH stretching in its IR spectrum. The ¹H NMR spectrum showed a singlet at 3.86 δ due to three protons of OCH₃ group and a broad signal at 12.50 δ due to two protons of SH group. Further, a molecular ion peak at m/z 300 in its mass spectrum is in agreement with the structure.

Pyrimidine **3** was prepared by the treatment of 4-methoxyphenyl-6-thiophen-2-yl-pyrimidine-2-thiols **2** with methyl iodide in presence of DMF and potassium carbonate. The IR spectrum of **3** exhibited a absorption band at 1645 cm⁻¹ due to C=N group and at 827 cm⁻¹ due to C-S-C stretching. The ¹H NMR spectrum of compound **3** showed a singlet at 3.85 δ due to three protons of OCH₃ group and a singlet at 2.17 δ for three protons of S-CH₃ group. Further, it showed a molecular ion peak at m/z 314 in its mass spectrum is in agreement with the structure.

Compounds **3** on reflexion with hydrazine hydrate in presence of ethanol produced 4-methoxyphenyl-2-hydrazinyl-6-(thiophen-2-yl)pyrimidine **4**. The reactions were monitored by TLC. IR spectrum of **4** showed absorption band at 3310 cm⁻¹ due to NH group. The ¹H NMR spectrum showed a singlet at 3.66 δ due to three protons of OCH₃ group and singlets at 2.66 δ and 9.93 δ due to NH₂ and NH group respectively. Its mass spectrum showed a molecular ion peak at m/z 298 which is in agreement with the structure.

Compound **4** was converted to various Schiff's bases 2-[substitutedhydrazinyl]-4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidine **5a-e** by the treatment of substituted aldehydes in ethanol medium. Appearance of IR peak at 1551 cm⁻¹ of C=N str. of Schiff base, 3113 and 1356 cm⁻¹ stretching peaks of NH and C-O-C confirmed the formation of **5a-e** series of compounds. It was further confirmed by fine singlet at δ 8.40 due to presence of CH=N group in the Schiff bases.

The compound **4** on refluxing with various acid chlorides produced compounds N'-[4-(4-methoxyphenyl)-6-(thiophen-2-yl)pyrimidin-2-yl]substitutedhydrazide **6a-e**. IR spectrum of **6a** showed absorption band at 3092 cm⁻¹ due to NH group and 1679 cm⁻¹ due to NHCO stretching. The ¹H NMR spectrum showed a singlet at 3.70 δ due to three protons of OCH₃ group and singlets at 8.71 δ and 9.31 δ due to NHCO and NH group respectively. The mass spectrum also supported the proposed structure by viewing molecular ion peak m/z 402. Analytical and spectral data for synthesized compounds are given in experimental section.

Some selected compounds were screened for antibacterial and anti oxidant activity studies. The compounds 5d, 6a, 6b, 6c have shown equipotent antibacterial activity against standard drug used. The compounds 5c, 6a, 6b and 6e have shown equipotent antioxidant activity against the standard drug used. The results are depicted in table 2 and table 3 respectively.

Antibacterial Activity: Some selected compounds were screened for their antibacterial activity against *Staphylococcus aureus*, *Escherichia coli*, *S.Paratyphi A* and *Bacillus subtilis*. The activity was carried out using cup plate agar method [13]. The zone of inhibition was measured in millimetres. DMF was used as a vehicle. Chloramphenicol and Streptomycin were used as standard drugs for comparison. The compounds were tested at 40 µg/mL concentration. Some of the compounds were found to show potent activity against bacteria. The zone of inhibition was presented in table 2.

Antioxidant Activity: The antioxidant activity of the newly synthesised compounds **5a-e** and **6a-e** were tested by DPPH scavenging method [14]. DPPH 0.002% in methanol was used as the free radical. The optical density was measured at 517 nm using UV-Visible spectrophotometer. The absorbance of the DPPH control was also noted. The scavenging activity of the compounds against the stable DPPH was calculated using the equation: Scavenging activity (%) = (A – B) / A X 100, where ‘A’ was the absorbance of DPPH solution and ‘B’ was the absorbance of DPPH solution with compounds. the results were shown in table 3.

Table 1: Characterisation data of synthesised compounds

Compound	Yield (%)	M.p °C	Mol. formula (Mol.Wt)	Found % (Cacl'd.)		
				C	H	N
1	80	170-175	C ₁₄ H ₁₂ O ₂ S (245)	68.53 (68.57)	4.85 (4.89)	--
2	60	181-185	C ₁₅ H ₁₄ N ₂ OS ₂ (300)	59.32 (60.00)	4.58 (4.66)	9.54 (9.33)
3	75	219-225	C ₁₆ H ₁₄ N ₂ OS ₂ (314)	61.71 (61.14)	4.40 (4.45)	8.85 (8.91)
4	75	196-201	C ₁₅ H ₁₄ N ₄ OS (298)	60.49 (60.40)	4.59 (4.69)	18.85 (18.79)
5a	60	210	C ₂₂ H ₁₈ N ₄ OS (386)	68.42 (68.39)	4.40 (4.66)	14.55 (14.50)
5b	52	202	C ₂₃ H ₂₀ N ₄ O ₂ S (416)	66.33 (66.34)	4.81 (4.80)	13.42 (13.46)
5c	63	220	C ₂₂ H ₁₇ ClN ₄ OS (421)	62.71 (62.70)	4.01 (4.03)	13.35 (13.30)
5d	56	205	C ₂₅ H ₁₈ ClN ₅ OS (472)	63.50 (63.55)	3.82 (3.81)	14.61 (14.83)
5e	69	217	C ₂₈ H ₂₂ N ₄ O ₂ S (479)	70.19 (70.14)	4.57 (4.55)	11.55 (11.66)
6a	65	192	C ₂₂ H ₁₈ N ₄ O ₂ S (402)	65.60 (65.67)	4.63 (4.47)	13.76 (13.93)
6b	70	197	C ₂₀ H ₁₆ N ₄ O ₂ S ₂ (408)	58.59 (58.82)	3.67 (3.92)	13.70 (13.72)
6c	78	180	C ₁₇ H ₁₆ N ₄ O ₂ S (340)	60.13 (60.00)	4.75 (4.70)	16.49 (16.47)
6d	64	203	C ₂₃ H ₂₀ N ₄ O ₂ S (416)	66.31 (66.34)	4.89 (4.81)	13.40 (13.46)
6e	61	189	C ₂₀ H ₁₆ N ₄ O ₃ S (392)	61.23 (61.22)	4.16 (4.08)	14.20 (14.28)

Table 2: Antibacterial activity of the synthesised compounds

Compound	Diameter of zone of inhibition (mm)			
	<i>Staphylococcus aureus</i>	<i>Escherichia coli</i>	<i>S. Paratyphi-A</i>	<i>Bacillus Subtilis</i>
5a	10	14	12	18
5b	9	17	11	15
5c	11	-	-	16
5d	13	15	12	21
5e	15	18	17	12
6a	17	13	19	21
6b	19	18	17	20
6c	20	17	17	22
6d	15	14	13	11
6e	16	18	15	18
DMF	00	00	00	00
Chloramphenicol	24	20	20	24

Table 3: Antioxidant activity of synthesised compounds

Compound	Scavenging activity of different concentrations ($\mu\text{g/mL}$) of compounds %				
	25	50	100	200	400
5a	51.30	54.36	63.88	68.32	73.15
5b	53.78	58.80	63.05	67.66	72.22
5c	63.12	68.87	72.40	77.86	82.05
5d	60.25	66.32	69.94	74.65	78.25
5e	50.04	53.19	55.36	59.30	64.23
6a	63.51	67.20	70.90	73.15	86.29
6b	58.22	67.09	71.42	75.87	88.33
6c	58.91	62.75	67.18	72.78	75.20
6d	51.62	56.20	60.16	64.33	70.45
6e	62.16	68.63	72.24	79.19	87.70
Ascorbic acid	80.30	82.19	88.04	93.70	96.11

APPLICATIONS

Some compounds have exhibited equipotent antioxidant activity when compared with the standard. These may serve as lead molecules for antioxidant activity and may possess anticancer activity.

CONCLUSIONS

The research work is focussed on the facile synthesis of pyrimidine derivatives with good yield. The reactions performed are eco-friendly. The publication of these facts would be of significant use for the scientific community.

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