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Synthesis and antimicrobial activity of 5-(2-aminothiazol-4-yl)-3, 4-dihydro-4-phenyl pyrimidin-2(1*H*)-one

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A series of hybrid 5-(2-aminothiazol-4-yl)-3,4-dihydro-4-phenyl pyrimidin-2(1*H*)-ones (ATDPP) are reported. Efficient cyclocondensation of appropriately substituted 5-(2-bromoacetyl)-3,4-dihydro-4-phenylpyrimidine-2(1*H*)-ones (BADPP) with thiourea in ethanol proceeds in high yield to furnish the corresponding ATDPPs. Dihydropyrimidine carboxylates (DHPMS) and their bromo derivatives are the key substrates for cyclocondensation. The ATDPPS revealed biological activity as antimicrobial and antifungal agents against *S. aureus, P. aurogenosa, K. pneumonae* and *C. albicans.*

Keywords: Pyrimidines, bromopyrimidines, thiazoles, cyclocondensation reaction, antimicrobial activity

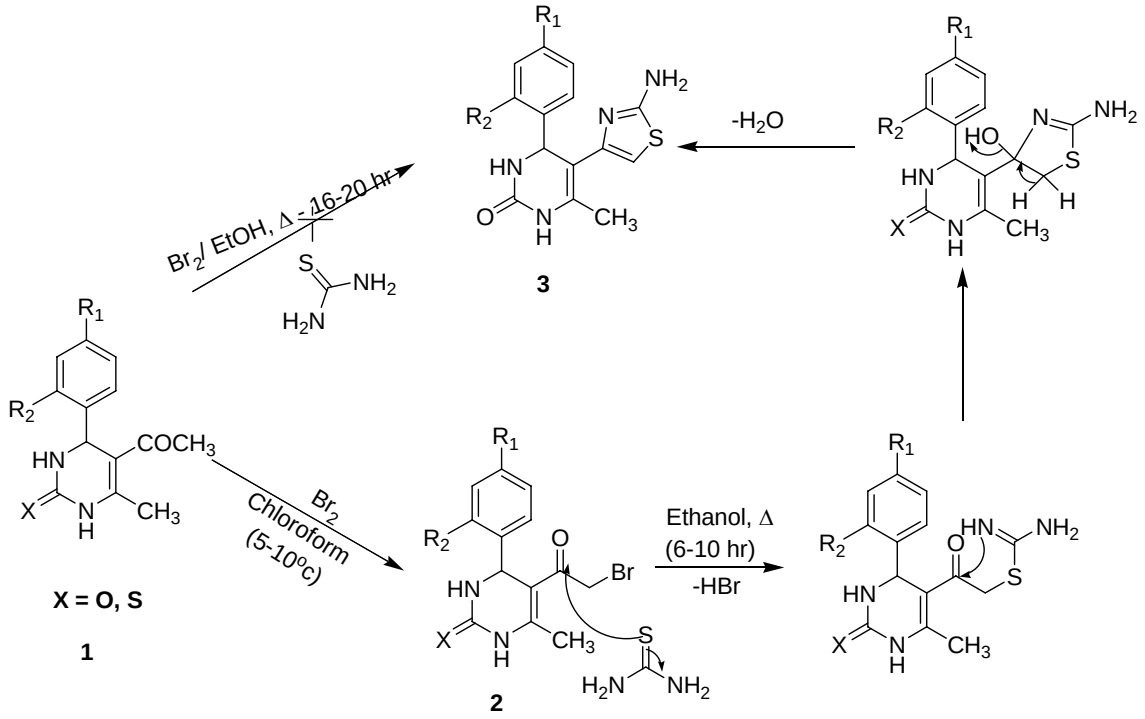
In the past decade, dihydropyrimidines (DHPMS) and their derivatives have attracted considerable interest because of their promising activity as calcium channel blockers, antihypertensive agents, α-1a-antagonists and neuropeptide Y (NPY) antagonists[1]. Fused pyrimidines are used in a variety of agrochemicals, natural and veternary products[2-4]. Pyrimidine derivatives and heterocyclic annulated pyrimidines exhibit a wide variety of interesting biological effects such as antiproliferative[5], antiviral[6], antitumor[7], anti-inflammatory[8], antibacterial[9], antifungal[10], antitubercular[11], antihistaminic[12] and analgesic[13] activities.

Thiazoles represent useful pharmacophore with a variety of biological activities[14-16]. Various substituted thiazoles have been synthesized and examined for their antifungal and antibacterial activity[17-21]. New hybrid moieties secured by linking thiazoles with pyrimidines promise to offer fascinating scaffolds. Design of synthetic methods for the efficient preparation of these heterocyclic compounds is necessary: we embarked on the synthesis and bioassay of compounds having pyrimidine and thiazole moieties embedded in a joint molecular framework to improve specificity and efficacy of both scaffolds against microorganisms. We report herein the synthesis and biological evaluation of 5-(2-aminothiazol-4-yl)-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one.

Results and Discussion

Our initial efforts focused on delineating a one pot synthesis of the 5-(2-aminothiazol-4-yl)-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one **3a** *via* I_2/Br_2 catalyzed cyclocondensation of 5-acetyl-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one with thiourea in refluxing ethanol. All permutations generated by varying parameters such as solvents (ethanol, ethylene glycol, DMF), co-solvents (acetic acid), reaction time for cyclocondensation (10 to 24 hr), stirring after addition of I_2 / Br_2 to reaction-mixture (1 to 4 hr), stoichiometry of thiourea (5 to 10 equivalents), work up at *p*H 7 to 10 did not lead to higher yields. Numerous experiments aimed at efficient synthesis of 5-(2-aminothiazol-4-yl)-3, 4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one were frustratingly unsuccessful. The yields were drastically low and the isolation procedures were tedious and cumbersome. After extensive experimentation, an efficient alternate synthesis of 5-(2-aminothiazol-4-yl)-3, 4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one **3** that overcame the drawbacks of the initial method[22,23] (extended reaction times, difficulties in product isolation) has been developed. The reaction, after suitable modification of other parameters has been fairly well optimized.

5-(2-aminothiazol-4-yl)-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one **3** was synthesized by dissolving equimolar proportion of 5-(2-bromoacetyl)-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one **2** (0.005 mole) and thiourea (0.005 mole) in 25 mL ethanol followed by 6-10 hr at reflux. Additionally, we noticed that, synthesis of 5-(2-aminothiazol-4-yl)-3,4-dihydro-4-phenyl pyrimidin-2(1*H*)-one **3** *via*



Scheme I

α-bromination of key substrate (DHPPS) **2** was a facile process. The product was precipitated from an aqueous solution (66% yield, **Scheme I**).

We attempted the entire series of reactions with appropriately substituted substrates 5-(2-bromoacetyl)-3, 4-dihydro-4-phenyl pyrimidine-2(1*H*)-ones **2a-h**. CHN, IR, ^{1}H and ^{13}C NMR, and mass spectroscopy supported the structures of 5-(2-bromoacetyl)-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-ones **2** and 5-(2-aminothiazol-4-yl)-3,4-dihydro-6-methyl phenylpyrimidin-2(1*H*)-ones **3**. The ^{1}H NMR spectra of 5-(2-bromoacetyl)-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one **2a** showed the disappearance of 3H singlet at δ 2.35 of $-COCH_3$ and appearance of 2H singlet at 4.8 of $-COCH_2Br$. Signals appearing at δ 32.6, 195.1 in the ^{13}C NMR spectral revealed the presence of –Br at $-COCH_2$ group. The strong IR bands at 2918-3015 and 773, 1153 cm^{-1} supported α-bromination at $-COCH_3$ group. The mass fragmentation also confirmed the structure [ms: *m/z* 308 (M+1), 310 (M+2), 229 (M-Br)].

For elucidation of the structure of 5-(2-aminothiazol-4-yl)-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one **3a**, a strong coupled band of primary amines $-NH_2$ appeared in the IR at 3100-3400 cm^{-1} and C-S stretch was evident at 1262 and 1051 cm^{-1}. Furthermore ^{1}H NMR analysis of **3a** showed a singlet at δ 3.9 (2H, NH_2), a singlet at 6.38 1H (-CH- Ar-thiazole ring). ^{13}C NMR spectral signals at δ 113.4, 142.4 and 166.9 indicated the presence of thiazole ring. Mass fragmentation pattern supported the structure of 5-(2-aminothiazol-4-yl)-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one **3a** [MS: *m/z* 286 (M+1), 270 (M-NH_2)] (**Table I**).

Biological activity (Antifungal and antibacterial study)

All ATDPP are screened against *S. aureus, K. pneumonae* and *P. aeruginosa* as antimicrobial and *C. albicans* as antifungal agents. The cytotoxicity of ATDPP was compared with doxycycline for antibacterial study and fluconazole for antifungal study. The zone of inhibition was expressed in mm and compared with standard drugs used. It was found that *S. aureus, P. aeruginosa* and *C. albicans* were highly resistant to ATDPP and displayed moderate zones of inhibition. However, *K. pneumonae* showed sensitivity to ATDPP and had medium to high zone of inhibition compared with doxycycline. The inhibitory effects of compounds **3a-h** against these organisms are depicted **Table II**. The results were compared with doxycycline.

Experimental Section

All solvents were distilled prior to use. TLC was performed on silica gel G (Qualigen). Melting points

Table I — Physical characterization data for substituted 5-(2-bromoacetyl)-3,4 dihydro-4-phenyl) pyrimidine-2(1*H*) ones **2a-h** and substituted 5-(2-aminothiazol-4-yl)-3, 4-dihydro-4-phenylpyrimidin-2(1*H*)-one **3a-h**

Compd	R_1	R_2	X	Yield (%)	m.p. (°C)	Mol. Formula
2a	H	H	O	79	97	$C_{13}H_{13}N_2O_2Br$
2b	OCH_3	H	O	91	108	$C_{14}H_{15}N_2O_3Br$
2c	OH	H	O	73	157	$C_{13}H_{13}N_2O_3Br$
2d	Cl	H	O	88	220	$C_{13}H_{12}N_2O_2BrCl$
2e	H	Cl	O	76	243	$C_{13}H_{12}N_2O_2BrCl$
2f	H	H	S	85	180	$C_{13}H_{13}N_2OSBr$
2g	OCH_3	H	S	90	240	$C_{14}H_{15}N_2O_2SBr$
2h	OH	H	S	89	230	$C_{13}H_{13}N_2O_2SBr$
3a	H	H	O	66	220	$C_{14}H_{14}N_4OS$
3b	OCH_3	H	O	63	215	$C_{15}H_{16}N_4O_2S$
3c	OH	H	O	62	215	$C_{14}H_{14}N_4O_2S$
3d	Cl	H	O	55	245	$C_{14}H_{13}N_4OSCl$
3e	H	Cl	O	57	209	$C_{14}H_{13}N_4OSCl$
3f	H	H	S	59	250	$C_{14}H_{14}N_4S_2$
3g	OCH_3	H	S	65	225	$C_{15}H_{16}N_4OS_2$
3h	OH	H	S	63	220	$C_{14}H_{14}N_4OS_2$

Table II — Antimicrobial-screening results of synthesized compound **3a-h**

Entry	*S.aureus*	*P.aeruginosa*	*K.pneumonae*	*C.albicans*
3a	11.0 mm	5.0 mm	7.0 mm	7.0 mm
3b	10.0 mm	6.0 mm	7.0 mm	7.0 mm
3c	10.0 mm	7.0 mm	7.0 mm	8.0 mm
3d	10.0 mm	7.0 mm	6.0 mm	8.0 mm
3e	11.0 mm	8.0 mm	6.0 mm	8.0 mm
3f	8.0 mm	7.0 mm	7.0 mm	9.0 mm
3g	10.0 mm	6.0 mm	7.0 mm	8.0 mm
3h	11.0 mm	7.0 mm	7.0 mm	9.0 mm
D	34.0 mm	10.0 mm	5.0 mm	—
F	—	—	—	40.0 mm

D: Doxycyclin, F: Fluconazole

were determined by open capillary method and are uncorrected. ^{1}H NMR spectra were recorded in DMSO-d_6 solution on a Bruker Avance II 400 (400 MHz) NMR spectrometer and ^{13}C NMR spectra at 100 MHz. Chemical shifts were reported in ppm using TMS as an internal standard. IR spectra were obtained on a Shimadzu FT-IR spectrophotometer using KBr discs. Mass spectra were recorded on a Shimadzu gas chromatograph coupled with QP5050 Spectrometer at 1-1.5 eV.

General procedure

5-(2-Bromoacetyl)-3,4-dihydro-6-methyl-4-phenyl-pyrimidin-2(1*H*)-one, 2a

To a solution of 5-acetyl-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one **1a** in 20 mL $CHCl_3$, bromine (4.8 g, 0.03 mole) in 10 mL $CHCl_3$ was added drop-wise over a period of 30 min at 5-10°C with stirring that was continued for an additional 24 hr. This mixture was poured in ice-cold water to isolate the product. The crude product that separated was filtered and washed with 5% sodium thiosulfate solution. Further precipitation from ethanol-acetic acid furnished the ABDPP as a light brown amorphous powder (79% yield).

5-(2-Bromoacetyl)-3,4-dihydro-6-methyl-4-phenyl-pyrimidin-2(1*H*)-one, 2a

IR (KBr): 3018 and 2921(-CH_2), 1705 (C=O), 1153 and 773 cm^{-1} (CH_2-Br); ^{1}H NMR (DMSO-d_6): δ 2.3 (s, 3H, Ar-CH_3), 4.8 (s, 2H, -CH_2); ^{13}C NMR ($CDCl_3$): δ 22.8, 32.6, 44.0, 61.8, 101.9, 126.4, 127.4, 127.9, 141.4, 147.3, 154.2; MS: *m/z* 308 (M+1), 310 (M+2), 229 (M-Br).

5-(2-Bromoacetyl)-3,4-dihydro-4-(4-methoxyphenyl)-6-methylpyrimidin-2(1*H*)-one, 2b

IR (KBr): 3020 and 2920 (-CH_2), 1709 (C=O), 1155 and 775 cm^{-1} (CH_2-Br); ^{1}H NMR (DMSO-d_6): δ 2.2 (s, 3H, Ar-CH_3), 3.6 (s, 3H, -OCH_3), 4.7 (s, 2H, -CH_2); MS: *m/z* 338 (M+1), 340 (M+2), 259 (M-Br).

5-(2-Bromoacetyl)-3,4-dihydro-4-(4-hydroxyphenyl)-6-methylpyrimidin-2(1*H*)-one, 2c

IR (KBr): 3016 and 2918 (-CH_2), 1706 (C=O), 1153 and 778 cm^{-1} (CH_2-Br); ^{1}H NMR (DMSO-d_6): δ 2.0 (s, 3H, Ar-CH_3), 4.7 (s, 2H, -CH_2), 5.01 (s,1H, -OH); MS: *m/z* 324 (M+1), 326 (M+2), 245 (M-Br).

5-(2-Bromoacetyl)-4-(4-chlorophenyl)-3,4-dihydro-6-methylpyrimidin-2(1*H*)-one, 2d

IR (KBr): 3019 and 2922 (-CH_2), 1703 (C=O), 1151 and 775 cm^{-1} (CH_2-Br); ^{1}H NMR (DMSO-d_6): δ 2.2 (s, 3H, Ar-CH_3), 4.6 (s, 2H, -CH_2); MS: *m/z* 342 (M+1), 344 (M+2), 263 (M-Br).

5-(2-Bromoacetyl)-4-(2-chlorophenyl)-3,4-dihydro-6-methylpyrimidin-2(1*H*)-one, 2e

IR (KBr): 3018 and 2921 (-CH_2), 1710 (C=O), 1156 and 774 cm^{-1} (CH_2-Br); ^{1}H NMR (DMSO-d_6): δ 2.2 (s, 3H, Ar-CH_3), 4.6 (s, 2H, -CH_2); MS: *m/z* 342 (M+1), 344 (M+2), 263 (M-Br).

2-Bromo-1-(1,2,3,4-tetrahydro-6-methyl-4-phenyl-2-thioxopyrimidin-5-yl)ethanone, 2f

IR (KBr): 3025 and 2925 (-CH_2), 1715 (C=O), 1153 and 776 cm^{-1} (CH_2-Br); ^1H NMR (DMSO-d_6): δ 2.2 (s, 3H, Ar-CH_3), 4.7 (s, 2H, -CH_2); MS: *m/z* 324 (M+1), 326 (M+2), 245 (M-Br).

2-Bromo-1-(1,2,3,4-tetrahydro-4-(4-methoxyphenyl)-6-methyl-2-thioxopyrimidin-5-yl)ethanone, 2g

IR (KBr): 3019 and 2920 (-CH_2), 1707 (C=O), 1154 and 773 cm^{-1} (CH_2-Br); ^1H NMR (DMSO-d_6): δ 2.1 (s, 3H, Ar-CH_3), 3.79 (s, 3H, -OCH_3), 4.75 (s, 2H, -CH_2); MS: *m/z* 354 (M+1), 356 (M+2), 275 (M-Br).

2-Bromo-1-(1,2,3,4-tetrahydro-4-(4-hydroxyphenyl)-6-methyl-2-thioxopyrimidin-5-yl)ethanone, 2h

IR (KBr): 3020 and 2924 (-CH_2), 1708 (C=O), 1156 and 773 cm^{-1} (CH_2-Br); ^1H NMR (DMSO-d_6): δ 2.1 (s, 3H, Ar-CH_3), 4.9 (s, 2H, -CH_2), 5.17 (s,1H,-OH); MS: *m/z* 340 (M+1), 342 (M+2), 261 (M-Br).

5-(2-Aminothiazol-4-yl)-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one, 3a

An ethanolic solution of 5-(2-bromoacetyl)-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one **2a** (0.005 mole,1.54 g) was treated with thiourea (0.005 mole, 0.38 g). The mixture was heated under reflux for about 6-10 hr. After the completion of the reaction, the reaction-mixture was poured into ice-cold water. The resultant product (5-(2-aminothiazol-4-yl)-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(*1H*)-one **3a** was obtained after filtration in good yield. The product was purified by recrystallization from DMF and ethanol with 66% yield.

5-(2-Aminothiazol-4-yl)-3,4-dihydro-6-methyl-4-phenylpyrimidin-2(1*H*)-one, 3a

IR (KBr): 3380 (-NH_2), 1620 (C=N), 1495 (C-N), 1262 and 1051 cm^{-1} (C-S); ^1H NMR (DMSO-d_6): δ 2.16 (s, 3H, CH_3), 3.9 (s, 2H, -NH_2), 6.38 (s, 1H, -thiazole); ^{13}C NMR ($CDCl_3$): δ 15.3, 56.6, 113.3, 115.8, 126.4, 127.4, 127.9, 142.4, 146.4, 153.9, 166.9; MS: *m/z* 286 (M+1), 270 (M-NH_2). Anal. Calcd for $C_{14}H_{14}N_4OS$: C, 58.74; H, 4.89; N, 19.58. Found: C, 58; H, 4.5; N, 18.98%.

5-(2-Aminothiazol-4-yl)-3,4-dihydro-4-(4-methoxyphenyl)-6-methylpyrimidin-2(1*H*)-one, 3b

IR (KBr): 3382 (-NH_2), 1621 (C=N), 1497 (C-N), 1262 and 1051 cm^{-1} (C-S); ^1H NMR (DMSO-d_6): δ 2.02 (s, 3H, CH_3), 3.82 (s, 3H, -OCH_3), 3.92 (s, 2H, -NH_2), 6.37 (s, 1H, -thiazole-H); MS: *m/z* 316 (M+1), 300 (M-NH_2). Anal. Calcd for $C_{15}H_{16}N_4O_2S$: C, 56.96; H, 5.06; N, 17.72. Found: C, 56.45; H, 4.76; N, 17.11%.

5-(2-Aminothiazol-4-yl)-3,4-dihydro-4-(4-hydroxyphenyl)-6-methylpyrimidin-2(1*H*)-one, 3c

IR (KBr): 3379 (-NH_2), 1622 (C=N), 1494 (C-N), 1262 and 1051 cm^{-1} (C-S); ^1H NMR (DMSO-d_6): δ 2.3 (s, 3H, CH_3), 3.86 (s, 2H, -NH_2), 4.97 (s, 1H, -OH), 6.32 (s, 1H, -thiazole-H); MS: *m/z* 302 (M+1), 285 (M-NH_2). Anal. Calcd for $C_{14}H_{14}N_4O_2S$: C, 55.63; H, 4.63; N, 18.54. Found: C, 55.1; H, 3.98; N, 17.78%.

5-(2-Aminothiazol-4-yl)-4-(4-chlorophenyl)-3,4-dihydro-6-methylpyrimidin-2(1*H*)-one, 3d

IR (KBr): 3384 (-NH_2), 1625 (C=N), 1495 (C-N), 1262 and 1051 cm^{-1} (C-S); ^1H NMR (DMSO-d_6): δ 2.3 (s, 3H, CH_3), 3.7 (s, 2H, -NH_2), 6.38 (s, 1H, -thiazole-H); MS: *m/z* 320 (M+1), 304 (M-NH_2). Anal. Calcd for $C_{14}H_{13}N_4OSCl$: C, 52.5; H, 4.06; N, 17.5. Found: C, 51.76; H, 3.58; N, 16.34%.

5-(2-Aminothiazol-4-yl)-4-(2-chlorophenyl)-3,4-dihydro-6-methylpyrimidin-2(1*H*)-one, 3e

IR (KBr): 3380 (-NH_2), 1620 (C=N), 1496 (C-N), 1262 and 1051 cm^{-1} (C-S); ^1H NMR (DMSO-d_6): δ 2.0 (s, 3H, CH_3), 3.7 (s, 2H, -NH_2), 6.2 (s, 1H, -thiazole-H); MS: *m/z* 320 (M+1), 302 (M-NH_2). Anal. Calcd for $C_{14}H_{13}N_4OSCl$: C, 53.5; H, 4.66; N, 17.46. Found: C, 52.13; H, 3.67; N, 16.56%.

5-(2-Aminothiazol-4-yl)-3,4-dihydro-6-methyl-4-phenylpyrimidine-2(1*H*)-thione, 3f

IR (KBr): 3378 (-NH_2), 1619 (C=N), 1494 (C-N), 1262 and 1051 cm^{-1} (C-S); ^1H NMR (DMSO-d_6): δ 2.29 (s, 3H, CH_3), 3.9 (s, 2H, -NH_2), 6.18 (s, 1H, -thiazole-H); MS: *m/z* 302 (M+1), 286 (M-NH_2). Anal. Calcd for $C_{14}H_{14}N_4S_2$: C, 55.74; H, 4.39; N, 18.58. Found: C, 54.95; H, 4.09; N, 18.06%.

5-(2-Aminothiazol-4-yl)-3,4-dihydro-4-(4-methoxyphenyl)-6-methylpyrimidine-2(1*H*)-thione, 3g

IR (KBr): 3382 (-NH_2), 1622 (C=N), 1496 (C-N), 1262 and 1051 cm^{-1} (C-S); ^1H NMR (DMSO-d_6): δ 2.1 (s, 3H, CH_3), 3.29 (s, 3H, -OCH_3), 3.6 (s, 2H, -NH_2), 6.1 (s, 1H, -thiazole-H); MS: *m/z* 332 (M+1), 316 (M-NH_2). Anal. Calcd for $C_{15}H_{16}N_4OS_2$:

C, 55.64; H, 4.82; N, 16.58. Found: C, 53.64; H, 4.43; N, 16.14%.

5-(2-Aminothiazol-4-yl)-3,4-dihydro-4-(4-hydroxyphenyl)-6-methylpyrimidine-2(1*H*)-thione, 3h

IR (KBr): 3384 (-NH_2), 1621 (C=N), 1494 (C-N), 1262 and 1051 cm^{-1} (C-S); ^{1}H NMR (DMSO-d_6): δ 2.2 (s, 3H, CH_3), 3.9 (s, 2H, -NH_2), 4.9 (s, 1H, -OH), 6.26 (s, 1H, -thiazole-H); MS: *m/z* 318 (M+1), 302 (M-NH_2). Anal. Calcd for $C_{14}H_{14}N_4OS_2$: C, 52.82; H, 4.6; N, 17.7. Found: C, 52.12; H, 3.96; N, 17.07%.

Biological Activity

Antifungal study

As no sensitivity was observed at Conc. < 100μg $disc^{-1}$, the antifungal activities of compounds **3a-h** have been assayed *in vitro* at a concentration 100 μg $disc^{-1}$ against *C. albicans*. Fluconazole was used as standard fungicide for the antifungal test. Muller-Hinton agar was used as basal medium for test fungi. Glass Petri-dishes were sterilized and 10 mL of sterilized melted MH agar medium (45°C) was poured into each Petri-dish. After solidification of the medium a small portion of mycelium of *C. albicans* was spread carefully over the centre of each MH agar plate with the help of a spreader. Thus, fungus was transferred to each plate. The plates were then incubated at (27°C) and after 30 min of incubation they were ready for use. The prepared discs of test sample were placed gently on the solidified agar plate, freshly seeded with the test organisms with sterile forceps. The plates were then incubated at 37.5°C for 24 hr. Dimethyl formamide (DMF) was used as a solvent to prepare desired solutions of the compounds initially.

Antibacterial study

As the sensitivity was not observed at Conc. < 100μg $disc^{-1}$, the antibacterial activity of compounds **3a-h** has been assayed at concentration of 100 μg $disc^{-1}$ against strains of Gram +ve and Gram –ve pathogenic bacteria (*P. aeruginosa, K. pneumonae* and *S. aureus*). Initially, susceptibility testing was carried out by measuring the inhibitory zone diameter on Muller-Hinton agar, with conventional paper disc diffusion method, and the inhibitory zone diameters were read and rounded to the nearest whole numbers (mm) for analysis. The inhibitory effects of compounds **3a-h** against these organisms are depicted **Table II**. The results were compared with doxycyclin.

Conclusion

An efficient synthesis of 5-(2-aminothiazol-4-yl)-3,4-dihydro-4-phenylpyrimidin-2(1*H*)-one is achieved *via* cyclocondensation of α-bromo DHPMS **2a** with thiourea in ethanol. The biological evaluation of activities of 5-(2-aminothiazol-4-yl)-3,4-dihydro-4-phenylpyrimidin-2(1*H*)-one showed moderate activity against *S. aureus, K. pneumonae* and *C. albicans* but had sensitivity against *P. aurogenosa*. Work is underway in our laboratories to increase the efficacy and specificity of the titled scaffolds as xenobiotics by structural refinements and modulation.

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