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Synthesis and Antimicrobial Activity of Heterocyclic Compounds

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ABSTRACT

4-(naphthalen-2-yl)thiazol-2-amine (I) on reaction with 2-bromo-1-arylethanone(IIa-f)yields6-Aryl-3-(naphthalen-2-yl)imidazo[2,1-b]thiazole (III a-f). The structures of all the compounds series (IIIa-f) were characterized analytically. The compounds were also monitored for anti-microbial activity.

Introduction

In recent years lots of research was done to synthesis anti-microbial actives compounds for various microorganisms, particularly for bacteria and several fungi. The numerous derivatives of imidazole heterocycle moiety and natural products have been synthesized for their antibacterial, Insecticidal, anti-HIV, antifungal,anticancer and anti-inflammatory activities.[1-7]

On the other hand, thiazolo were reported with their anticancer, antiparasitic, antibacterial, antifungal agents and antifolate activity.[8-12]

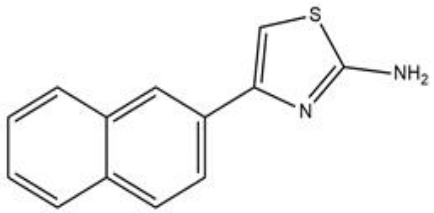
Hence, Thiazole and Imidazole containing compounds into one molecule may have good medicinal property. Thus it was thought to explore this type of merge molecules. The present communication deals with the synthetic approach shown in scheme-1.

Experimental

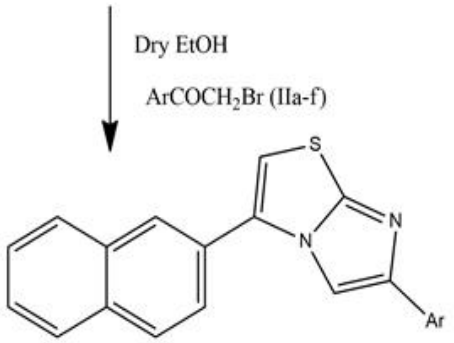
4-(naphthalen-2-yl)thiazol-2-amine (I) and 2-bromo-1-arylethanone (IIa-f) were synthesis by reported method. [13,14]All other reagents were used laboratory grade.The IR spectra of all compounds were taken in KBr pellets on a Nicolet 400D spectrometer. Proton NMR spectra were recorded on on a Bruker (400 MHz) spectrometer. Deutorated DMSO was used as a solvent. LC-MS of selected samples taken on LC-MSD-Trap-SL_01046. All the compounds were checked for their purity by TLC. The characterization data of all these compounds are given in Table.1.

The antibacterial activity of both the series of compounds (IIIa-f) were studied against gram +Ve and –Ve bacteria shown in Table-4. The activity was measured at a conc, 50µg/ml by agar-cup plate method.[15]The % age inhibition of growth of bacteria by the compounds is shown in Table-2.

The antifungal activity of both the series of compounds (IIIa-f) were measured at 1000ppm concentration in vitro Plant pathogen shown in Table-3 have been selected for study.[16]



4-(naphthalen-2-yl)thiazol-2-amine (I)



6-Aryl-3-(naphthalen-2-yl)imidazo[2,1-*b*]thiazole (III a-f)

Where Ar= Ph,4-ClPh,4-BrPh,4-CH_3Ph,4OHPh,4-NO_2Ph

Synthesis of 6-Aryl-3-(naphthalen-2-yl)imidazo[2,1-b] thiazole (III a-f)

A mixture of 4-(naphthalen-2-yl)thiazol-2-amine (I) (0.01 mol) and 2-bromo-1-arylethanone (IIIa-f) (0.01mol) in anhydrous ethyl alcohol (30 mL) was refluxfor 6-7 hrs. Then reaction mixture was cooled under tap water, then poured intocold H_2O. The solid product which precipitated was collectedby filtration, washed with solid product with water, dried and crystallized from ethyl alcohol. The details are given in Table-1.

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Table-1 Physical and Analytical Data of the Compounds Synthesized (IIIa-f)

Comp. No.	Molecular Formula*	M.P. ˚C	Elemental Analysis			
			C%	H%	N%	S%
			Calcd. Found	Calcd. Found	Calcd. Found	Calcd. Found
IIIa	$C_{21}H_{14}N_2S$ (326)	278-279	77.2 77.27	4.3 4.32	8.5 8.58	9.8 9.82
IIIb	$C_{21}H_{13}N_2SCl$ (360.5)	264-265	69.8 69.90	3.6 3.63	7.7 7.76	8.8 8.89
IIIc	$C_{21}H_{13}N_2SBr$ (405)	275-277	62.2 62.23	3.2 3.23	6.9 6.91	7.8 7.91
IIId	$C_{22}H_{16}N_2S$ (340)	270-271	77.6 77.62	4.7 4.74	8.2 8.23	9.4 9.42
IIIe	$C_{21}H_{14}N_2OS$ (342)	263-264	73.6 73.66	4.1 4.12	8.1 8.18	9.3 9.36
IIIf	$C_{21}H_{13}N_3O_2S$ (371)	272-273	67.9 67.91	3.5 3.53	11.3 11.31	8.6 8.63

**Uncorrected* LC-MS data for IIIb:367, IIIe: 348

Results and Discussions

The 4-(naphthalen-2-yl)thiazol-2-amine(I) on reaction with 2-bromo-1-arylethanone(IIa-f) gives 6-Aryl-3-(naphthalen-2-yl) imidazo [2,1-b]thiazole (III a-f).

Table-2 Antibacterial Activity of Compounds (IIIa-f)

Comp. No.	Zone of Inhibition(mm)			
	Gram +ve		*Gram -ve*	
	Bacillus Subtilis	*Staphylococcus aureus*	*Kllebsiella promioe*	*E.coil*
IIIa	55	49	63	61
IIIb	72	51	82	69
IIIc	70	47	80	63
IIId	59	49	74	62
IIIe	60	44	60	59
IIIf	70	50	81	66
Tetracycline	79	55	87	72

The structures of (IIIa-f) were confirmed by elemental analysis and IR spectra showing an absorption bands at 3030-3080 cm^{-1}(C-H of Ar), 710 cm^{-1} (C-S),1120 cm^{-1} (C-N), 1080(-Cl),1555, 1375(-NO_2), 2960, 1370 cm^{-1} (-CH_3),690 cm^{-1} (C-Br),3250-3300 cm^{-1} (OH),1180-1200 cm^{-1} (C-OH).^{1}H NMR (400MHz , DMSO - d_6 ,δ / *ppm*) : 8.40-7.65(m,7H,Ar-H),8.90-8.12(m,2H,Ar-H of thizaole-Imidazole ring), (IIIa): 8.15-7.40 (m,5H, ArH); (IIIb): 8.00-7.60 (s,4H,ArH) ; (IIIc): 7.84-7.62(s,4H,ArH);(IIId):7.70-7.24(s,4H,ArH), 2.37 (s,3H, CH_3); (IIIe): 5.80(s,1H,OH),7.52-6.82(s,4H,ArH), (IIIf): 8.40-7.96(s,4H,ArH).The C,H,N analysis data of all compounds are presented in Table-1.

Table 3. Antifungal Activity of Compounds (IIIa-f)

Zone of Inhibition at 1000 ppm (%)				
Comp. No.	*Botrydepladia Thiobromine*	*Nigrosspora Sp.*	*Penicillium Expansum*	*Rhizopus Nigricuns*
IIIa	58	66	57	55
IIIb	68	74	72	67
IIIc	62	68	64	62
IIId	60	70	66	59
IIIe	61	69	65	61
IIIf	75	72	70	64

All the elemental and spectral features suggest that the data are consistent with the predicted structure shown in Scheme-1. The LC-MS of selected compounds shows the peak of M^+ ion which is consistent of their molecular weight. All these facts confirm the structures IIIa-f.

The examination of antibacterial activity data reveals that all compounds toxic against microbes and the compounds IIIb and IIIe found more active against the gram-positive and gram-negative bacteria.

References

1.X. Bi, X. Meng, G. Chen, B. Chen, and P. Zhao, "Manganese oxidecatalyzed synthesis of anti-HIV N-substituted benzimidazoles via aone-pot multistep process," Catal. Commun., vol. 116, pp. 27–31, 2018.

2.S. Uzun, Z. Esen, E. Koç, N. C. Usta, and M. Ceylan, "Novel purinebenzimidazoles as antimicrobial agents by regulating ROSgeneration and targeting clinically resistant Staphylococcus aureusDNA groove," J. Mol. Struct., vol. 1178, pp. 450–457, 2019.

3.R. Abraham, P. Prakash, K. Mahendran, and M. Ramanathan, "Anovel series of N-acyl substituted indole-linked benzimidazolesandnaphthoimidazoles as potential anti inflammatory, anti biofilmandanti microbial agents," Microb. Pathog., vol. 114, no. 2018, pp. 409–413, 2018.

4. S. M. Ali, S. A. Kadhem, A. Jabar, K. Atia, and R. I. Al-bayti,"Journal of Global Pharma Technology Synthesis , Characterizationand Antibacterial Studies of Some New Imidazole Derivatives," vol.3026, no. 1, pp. 123–125, 2018.

5. Y. N. Wang, R. R. Y. Bheemanaboina, G. X. Cai, and C. H. Zhou,"Novelpurinebenzimidazoles as antimicrobial agents by regulatingROS generation and targeting clinically resistant Staphylococcusaureus DNA groove," Bioorganic Med. Chem. Lett., vol. 28, no. 9,pp. 1621–1628, 2018.

6. S. A. Kadhem, S. M. Ali, A. Jabar, K. Atia, R. H. Salih, and R. A.Abdulrazaq, "Synthesis and study of biological activities ofcompounds derived from new Imidazole derivative," J. Pharm. Sci.Res., vol. 10, no. 11, pp. 2818–2824, 2018.

7. E. Łukowska-Chojnacka, P. Wińska, M. Wielechowska, M.Poprzeczko, and M. Bretner, "Synthesis of novel polybrominatedbenzimidazole derivatives - Potential CK2 inhibitors with anticancerandproapoptotic activity," Bioorganic Med. Chem., vol. 24, no. 4,pp. 735–741, 2016.

8. Gangjee A, Jain HD, Kurup S. Recent Advances in Classical and Non-Classical Antifolates as Antitumor and Antiopportunistic Infection Agents: Part I. Anticancer Agents Med Chem, 2007; 7:524-542.

9.Chan D, Anderson A. Towards Species-specific Antifolates. Curr Med Chem, 2006; 13:377-398.

10. Kompis IM, Islam K, Then RL. DNA and RNA Synthesis: Antifolates. Chem Rev, 2005; 105:593-620.

11. Toyoda T, Brobey RK, Sano G, Horii T, Tomioka N, Itai A. Lead Discovery of Inhibitors of the DihydrofolateReductase Domain of Plasmodium falciparumDihydrofolateReductase-ThymidylateSynthase. BiochemBiophys Res Commun, 1997; 235(3):515-519.

12. Dolzhenko AV, Chui W-K. Synthesis of 2-amino-s-triazino[1,2-a]benzimidazoles as potential antifolates from 2-guanidino-and 2-guanidino-5-methylbenzimidazoles. J Het Chem,43,95-100,2006.

13. K.H.Patel and A.G.Mehta,"Synthesis and Antifungal Activity of Azetidinone and Thiazolidinones Derivatives of 2-Amino-6-(2-naphthalenyl)thiazolo[3,2-d]thiadiazole", Journal of Chemistry,3(2),103-103,2006

14. P.T.Phan,T.t.Nguyen and T.N.Pham,"Synthesis and bioactivity evaluation of novel 2-salicyloylbenzofurans as antibacterial agents",Molecules,22(5), 687-694, 2017.

15.A.L. Barry,The Antimicrobial Susceptibility Test:Principal and Practices,4th ed., edited by Illuslea and Feger,Philadelphia,180,1976.

16. E.I. Nweze, P.K. Mukherjee and M.A.Ohannoum, J.Clin. Microbiology,48(10),3750-3752,2019.