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Screening and biological evaluation of substituted 4-arylacetamido 2-amino thiazoles

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Abstract

In this paper we would like to represent the anti fungal and anti bacterial activity of some substituted thiazoles (3a-o). All the synthesized title compounds were screened for their antifungal activity against *Candida albicans* and *Aspergillus niger*. Antibacterial activity were screened *Enterococcus faecalis* and *Staphylococcus aureus* and *Klebsiella pneumoniae* and *Escherichia coli.*

Keywords: Thiazoles, antifungal activity and antIbacterial activity.

INTRODUCTION

Thiazoles are the five membered ring aromatic hetero cyclic compounds containing N, O atoms. Ethyl-2-aminothiazole-4-carboxylate, tertbutyl-4-ethoxycarbonyl) thiazol-2-carbamate which showed good antibacterial and antifungal activities. 2, 4-diphenylthiazole-5-acetic acid derivatives have been prepared by Hantzsch thiazole synthesis and evaluated as anti-inflammatory agents on carrageen an induced edema in rats. Thiazole acetic acids and their derivatives which were found to exhibit analgesic, antipyretic and anti-inflammatory activities.

MATERIALS AND METHODS

Nine dilutions of each drug were prepared with BHI for MIC. In the initial tube 20 µL of drug was added into the 380 µL of BHI broth. For dilutions 200 µL of BHI broth was added into the next 9 tubes separately. Then from the initial tube 200 µL was transferred to the first tube containing 200 µL of BHI broth. This was considered as 10^{-1} dilution.

From 10^{-1} diluted tube 200 µL was transferred to second tube to make 10^{-2} dilution. The serial dilution was repeated up to 10^{-9} dilution for each drug. From the maintained stock cultures of required organisms, 5 µL was taken and added into 2 mL of BHI broth. In each serially diluted tube 200 µL of above culture suspension was added. The tubes were incubated for 24 hours and observed for turbidity.

Experimental Section

Antibacterial Activity

All the synthesized compounds were evaluated for their antibacterial activity against Compounds showed very good antibacterial activity against gram positive bacteria (Table-1). Some of the compounds (3b, 3d, 3f, 3g, 3m, 3n, 3o) found to be more potent than standard Ciprofloxacin against *S.aureus* and all most all the compounds were found to be more potent (0.2-0.8 µg/mL) than the standard Ciprofloxacin against *E. faecalis.* Compounds were almost inactive against gram negative bacteria. Compound 3f showed MIC of 12.5 µg/mL, rest of the compounds showed MIC value of 100 µg/mL.

Antifingal Activity

The antifungal data (Table-1) revealed that all the synthesized compounds irrespective of the substituent present, showed very good anti fungal activity against *C.albicans* and *A.niger* with MIC values between (0.2-1.6 µg/mL) compared to standard Fluconazole (MIC value 16 and 8 µg/mL).

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Compounds 3d, 3g, 3l, 3n (against *C.albicans*) and compounds 3a-3e, 3g, 3i, 3j, 3n (against *A. niger)* showed highest activity with MIC of 0.2 µg/mL.

RESULTS AND DISCUSSION

Compounds 3(a-o) were tested for antioxidant

Table-1. Results of biological evaluation of compounds 3 (a–o) MICs (µg/mL)

Compound	R	R'	Antiibacterial activity				Antifungal activity	
			Gram positive		Gram negative			
			S.aureus	*E.faecalis*	*E.coli*	*K.pneumoniae*	*C.albicans*	*A.niger*
3a	H	H	12.5	0.2	100	100	0.4	0.2
3b	4-$CH_3C_6H_4$	H	0.2	0.2	100	100	0.4	0.2
3c	2-Cl C_6H_4	H	12.5	0.2	100	100	0.8	0.2
3d	2-$CH_3C_6H_4$	H	0.2	0.8	100	100	0.2	0.2
3e	C_6H_5	H	-	1.6	-	-	0.8	0.2
3f	4-Cl C_6H_4	H	0.2	0.2	12.5	100	0.8	0.4
3g	3-Cl C_6H_4	H	0.2	0.2	50	100	0.2	0.2
3h	H	4-Cl	1.6	0.2	50	100	1.6	0.4
3i	4-$CH_3C_6H_4$	4-Cl	3.125	0.4	100	100	0.4	0.2
3j	H	3-Cl	1.6	0.4	100	100	0.8	0.2
3k	C_6H_5	3-Cl	3.125	0.2	100	25	0.4	0.4
3l	4-ClC_6H_4	3-Cl	1.6	0.2	-	100	0.2	0.4
3m	4-$CH_3C_6H_4$	3-Cl	0.4	0.8	-	100	0.2	0.4
3n	C_6H_5	2-CH_3	0.2	0.8	100	100	0.2	0.2
3o	4-$CH_3C_6H_4$	2-CH_3	0.2	0.8	100	-	0.4	0.8
Ciprofloxacin			2	2	2	2	-	-
Fluconazole			-	-	-	-	16	8

Table-2. Results of antioxidant activity for compounds 3(a–o).

Compound Code	R	R'	300 µg/mL	250 µg/ML	200 µg/mL	150 µg/mL	IC_{50} µg/mL
3a	H	H	-	-	-	-	-
3b	4-$CH_3C_6H_4$	H	70.00	64.65	46.09	36.31	207.41
3c	2-Cl C_6H_4	H	58.62	49.00	46.90	40.71	237.20
3d	2-$CH_3C_6H_4$	H	46.18	35.95	35.75	35.25	402.00
3e	C_6H_5	H	54.98	48.53	45.25	36.45	258.00
3f	4-Cl C_6H_4	H	51.46	45.36	43.96	37.74	288.56
3g	3-Cl C_6H_4	H	28.26	22.00	20.64	17.79	655.00
3h	H	4-Cl	-	-	-	-	-
3i	4-$CH_3C_6H_4$	4-Cl	66.50	60.32	52.03	44.99	**184.89**
3j	H	3-Cl	-	-	-	-	-
3k	C_6H_5	3-Cl	49.69	48.45	35.28	33.12	293.36
3l	4-ClC_6H_4	3-Cl	51.78	45.56	34.96	33.24	291.06
3m	4-$CH_3C_6H_4$	3-Cl	62.04	34.07	30.08	25.49	278.45
3n	C_6H_5	2-CH_3	45.12	38.19	32.89	25.14	338.00
3o	4-$CH_3C_6H_4$	2-CH_3	58.70	36.66	33.25	31.49	283.21
Ascorbic acid	-	-	-	-	-	-	20.23

property by DPPH. Compounds show moderate antioxidant property (Table-2). Compound **3i** shows maximum activity amongst the synthesized compounds. Substituents on aromatic ring attached to amide NH do not make much contribution to the activity, whereas phenyl ring attached to amino nitrogen of aminothiazole moiety has greater contribution for the anti oxidant activity. Absence of phenyl ring renders the compounds least active. Compounds 3a, 3h, 3j, did not show any scavenging activity even at 300 µ g/mL. Further substituents on phenyl ring contribute to the activity. Methyl group at *para* position (3c, 3b, 3o, 3m) and Cl at *ortho* position (3c) favours scavenging activity. Whereas presence of methyl group (3d) at ortho position and Cl at *meta* position (3g) inhibits scavenging activity to some extent.

CONCLUSIONS

All the synthesized compounds 3(a-o) were found to exhibit potent anti-bacterial activity against gram positive bacteria *S.aureus* and *E.faecalis* and antifungal activity against *A.niger* and *C.albicans.*

Competing interests

The authors have declared that no competing interests exist.

References

Newbould, B. B., *Br.J.Pharmacol*. 1969, *35*, 487.

Reddy, G, J.; Rao, K. S., *Indian J. Chem*. 2006, *45B*, 2143.

Attimarad, M.; Bagavant, G., *Indian J. Pharm. Sci.* 1999, *61*,152.

Haridas, Rishikesan, R.; *European J. Med. Chem.* 2012, *49*, 172.

Hepworth, W.; Stacy, G. J., *Nature (London),* 1969, 221, 582.

Brown, K.; Cater, D. P.; Cavalla, J. F.; *J. Med. Chem*. 1974, *17*, 1177.

Aries, R., *Chem. Abstr*. 1970, *72*, 43654v.

New-berry, Robert, A., *Chem. Abstr*. 1970, *72*, 43655w.

Cáceres-Castillo, D.; Mena-Rejón, G. J., *Tetrahedron Lett*. 2012, *53*, 3934.

Potewar, T. M.; Srivasan, K. V., *Tetrahedron* 2008, *64*, 5019.

Meshram, H. M.; Thakur, P. B.; *Tetrahedron Lett*. 2012, *53*, 5265.

Jawale, D. V.; Mane, R. A., *Chin. Chem. Lett.* 2010, *21*, 412.

McGowan, M. A.; S. L. Buchwald, *Org. Lett*., 2012, *14*, 1432.

Parmar, K.A.; Suthar, B. G.; *Bull. Korean Chem. Soc*. 2010, *31*, 793.

Zhang, A.; Xiong, W.; Hilbert, J. E.; *J. Med. Chem*. 2004, *47*,1886.

Jiang, T.; Lipscomb, W. N., *Proc. Natl. Acad. Sci.* 2005, *102*, 7970.

Nefzi, A.; Arutyunyan, S., *Tetrahedron Lett.* 2010, *51*, 4797.

Kamila, S.; Mendoza, K.; Biehl, E. R., *Tetrahedron Lett.* 2012, *53*, 4921.

Furet, P.; Bold, G.; Meyer, T.; *J. Med. Chem.* 2006, *49,* 4451.

Sasmal, P. K.; Chandrasekhar, A.; Iqbal, J., *Tetrahedron.*2008, *64*, 11074.

Maruyama, T.; T.; Ohta, M., *Chem. Pharm. Bull.* 2012, *60*, 647.

Mallams, A. K.; Israelstam, S. S., *J. Org. Chem.* 1964, *29*, 3548.

Schwalbe, R.; "Antimicrobial Susceptibility Testing Protocols", CRC Press **2007**.