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ORIGINAL RESEARCH

Synthesis and bioactivity of some new 1-tolyl-3-aryl-4-methylimidazole-2-thiones

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Abstract Three series of new 1-(isomeric methyl)benzoyl-3-arylthioureas **(1–3a–i)** were prepared from 2-, 3-, and 4-methylbenzoyl chlorides via isothiocyanate formation followed by treatment with various substituted anilines. The base-catalyzed condensation of thioureas **(1–3a–i)** with acetone was carried out in the presence of bromine to afford the corresponding 1-(isomeric methyl) benzoyl-3-aryl-4-methyl-imidazole-2-thiones **(4–6a–i)** in good yield. Thioureas and the corresponding thiones were characterized by spectroscopic data and elemental analyses. The mass fragmentation pattern of thiones is also discussed. The thiones were evaluated for antibacterial, antifungal, and insecticidal activities and exhibit significant antibacterial activity and slight but not significant antifungal and insecticidal properties.

Keywords 1-Tolyl-3-arylthioureas · 1-Tolyl-3-aryl-4-methyl-imidazole-2-thiones · Bioactivities

Introduction

Various derivatives of imidazole-2-thione have attracted widespread attention owing to their diverse pharmacological properties and bioactivities (Matsuda *et al*., 1997; Du Mont *et al*., 2001). Imidazol-2-thione moiety is also found in nature. Thus, L-ergothioneine, a rare, essential natural amino acid discovered in the fungus *Claviceps puprurea*, is an antioxidant, known to protect against gamma and UV radiation and in isolated heart against postischemic reperfusion (Xue and Yadan, 1995). 1-Methylimidazole-2-thione (methimazole), a drug well known for its

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effective antithyroid activity, has been shown to be a potent inhibitor of the thyroperoxidase (TPO)-catalyzed iodination of tyrosine, or tyrosyl residues of thyroglobulin. It is readily oxidized to bis-[1-methylimidazole(2)] disulfide (Engler *et al*., 1982; Aragoni *et al*., 2002). Derivatives of imidazole-2-thiones block reactions catalyzed by thyroid peroxidase (TPX) and the lactoperoxidase (LPX), and this property suggests their use as potential antihyperthyroid drugs that block TPX-catalyzed tyrosine iodination, but do not cause irreversible enzyme inactivation (Kruse *et al*., 1990). Some 1-(4-hydroxybenzyl)imidazole-2-thiones show structural–activity relationships for novel multisubstrate inhibitors of dopamine β-hydroxylase, evidenced by their effects on *in vitro* inhibitory potencies resulting from structural changes at the Cu-binding region of inhibitor. Attempts were made to determine replacement groups for the thione of the prototypical inhibitor 1-(4-hydroxybenzyl)imidazole-2-thione. The synthesis and evaluation of oxygen and nitrogen analogs of the soft thione group demonstrated that the S atom is necessary for optimal activity (Kruse *et al*., 1990). The 1-(pyrrolylalkyl) imidazole-2-thiones and analogs were prepared for use as cardiotonics, antihypertensives, dopamine β-hydroxylase inhibitors and are shown to lower blood pressure up to 30–40 mm Hg in rats (Kruse and Ross, 1990). Variously substituted imidazole-2-thiones form monolayers by self-assembly with diverse functionality in molecularly controlled proportions. The formation of monolayers and a novel intercalation property that results from the presence of voids between the alkyl chains in the monolayers has also been described (Arduengo *et al*., 1990). A mevalonate derivative**,** containing 4,5-diphenyl-l*H*-imidazol-2-y1 moiety, as a pharmacophore inhibits rat hepatic microsomal ACAT *in vitro* and thus has beneficial effects in the treatment of atherosclerosis (Harris *et al*., 1992). The 1-benzylimidazole-2-thione moiety is broadly associated with dopamine β-hydroxylase (DBH) inhibitory activity in spontaneously hypertensive rats (Doerge et al. 1993). Isomeric 2-, 3-, and 4-(l-pyridylmethyl)imidazole-2-thiones were prepared to exploit the pH differential that exists across the chromaffin vesicle membrane and were shown to exhibit modest DBH inhibition *in vitro*, but produced significant effects *in vivo* to increase the vascular ratio of dopamine to norepinephrine and to lower blood pressure (Ross *et al*., 1987). 4-Methyl-5-substituted imidazole-2-thiones derivatives reduce the reperfusion injury that occurs when oxygen is reintroduced into the ischemic tissue. They also reduced myocardial stunning, i.e., the prolonged loss of contractile function in the absence of necrosis after short periods of myocardial ischemia. They prevent, or lessen, reperfusion injury that occurs when oxygen is reintroduced into the myocardium after a heart attack (Dage *et al.*, 1989; Schnettler *et al*., 1989). The oxidation of ascorbic acid to dehydro-ascorbic acid was accelerated by metal ions such as copper. This stimulation of ascorbate oxidation was inhibited by the addition of 2-imidazolethiones and is proposed to complex copper through their free SH groups (Smith and Gore, 1990). A series of *N*-aminoimidazoline-2-thiones and *N*-aminoimidazoles, with an uncommon spectrum of antiretroviral activity, were synthesized and tested for their potential to inhibit the replication of HIV and SIV in a cell culture model for acute infection. They were found to be potent inhibitors of the HIV-1, HIV-2, and SIV replication in MT-4 cells and their structure–activity relationships were determined and found to mainly act at the HIV-1 RT according to

an NNRTI type mode of action (Lagoja *et al*., 2003). Some lubricating oils and anticorrosion-antiwear additives consist of 5,5-di(hydrocarbyl-substituted)-4-imidazolidinethiones (Lagoja *et al.*, 2003; Ren 2000; Mukkamala 2003). 4-Substituted imidazol-2-thi-ones were prepared as antagonists of α-2B and α-2C adrenergic receptors and were found to be useful for treatment of diseases responsive to treatment by agonists of α*β*-adrenergic receptors. The diseases include corneal, visceral, and neuropathic pain; neurodegenerative diseases; diarrhea; spinal ischemia; chronic gastrointestinal diseases; psychoses; arthritis, etc. (Chow et al., 2003). Copper(I) complexes of tri-*o*-tolylphosphine and heterocyclic thiones ligands are reported to possess antifungal activity. 1,3-Imidazole-2-thione derivatives showed an activity against HIV-1 comparable to the activity of Navirapine (Loksha *et al*., 2003). Optically pure 1-aryl-5-hydroxy-4-(D-arabino-tetritol-1-yl)-imidazolidine-2-thione may be used as a chiral auxiliary and ligand for asymmetric catalysis (Gasch *et al*., 2000). Chiral imidazolidine-2-thione N-and C-nucleoside are precursors for the synthesis of azidonucleosides and fluoronucleosides known for their anti-AIDS activity (Fuentes *et al*., 2002). *N*-Substituted 1-amino-2,3-dihydro-1*H*-imidazol-2-thiones-*N*-nucleosides and *S*-glycosides have also been prepared and tested against HIV-1 and HIV-2 induced cytopathy in human MT-4 lymphocyte cells (Al-Masoudi *etal.*, 2003).

Although a number of methods appear in the literature for the synthesis of substituted imidazol-2-thiones (Xu and Yadan, 1995; Schantl and Lagoja, 1997), but with the exception of two recent references the 1-aroyl-3-aryl-4-methylimidazole-2-thiones (Fig. 1) are unreported in the literature (Zeng *et al*., 2003; Wang *et al*., 2005). We report the synthesis, characterization, and bioactivities of some new 1-(isomeric methyl) benzoyl-3-aryl-4-methylimidazole-2-thiones.

Results and Discussion

The isomeric methyl benzoic acids were converted into the corresponding acid chlorides using thionyl chloride, then treated with a solution of potassium thiocyanate in acetone to afford aryl isothiocyanate *in situ*, followed by refluxing with substituted anilines to provide 1-isomeric methylbenzoyl thioureas in high yields. The thioureas were purified by recrystallization using aqueous ethanol and were characterized by Fourier transform infrared spectroscopy (FTIR), ^{1}H-nuclear magnetic resonance (NMR) spectroscopy, and mass spectrometry (MS) (Saeed and Parvez, 2005; Saeed and Florke, 2006). The FTIR data of the 1-isomeric

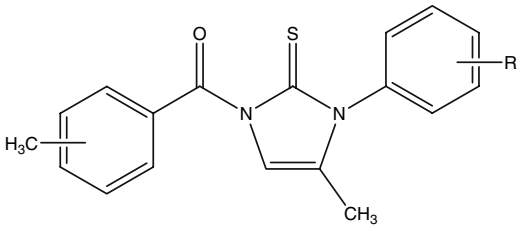


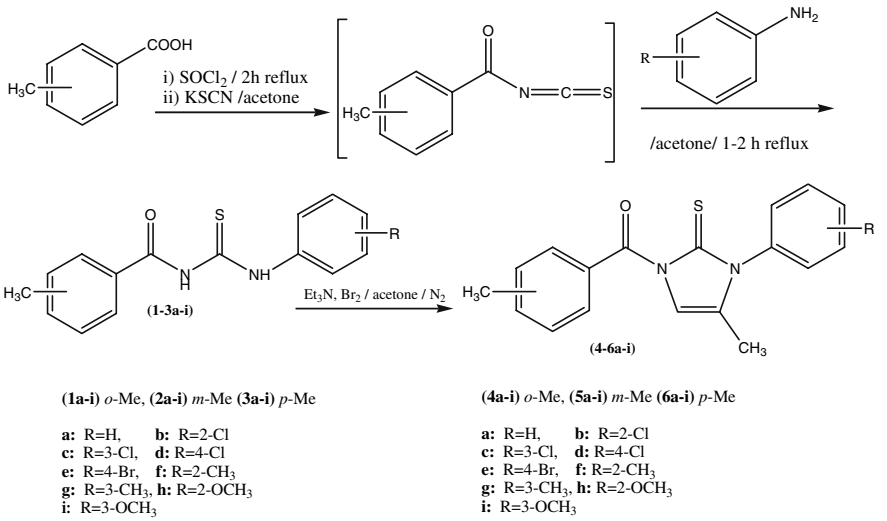
Fig. 1 1-(Isomeric methyl)benzoyl-3-aryl-4-methylimidazole-2-thiones

Table 1 Physical, IR, and mass spectral data of 1-(isomeric methylbenzoyl)-3-aryl thioureas

Compound	M.P. (°C)	Yield (%)	IR (cm^{-1}) C=C	CO	NH	CS	CN	EIMS *m/z*
1a	112	79	1593	1681	3210	1260	1154	270,177,135, 119
1b	145	75	1595	1673	3225	1254	1157	304, 306,177.9,119
1c	85	70	1598	1684	3301	1257	1161	304,306,170.9,119
1d	164	82	1593	1677	3242	1260	1163	304, 306,170.9,119
1e	160	80	1586	1678	3208	1256	1159	347.9, 349.9, 212.9
1f	120	85	1561	1670	3231	1260	1150	284, 149, 177,119
1g	118	71	1567	1681	3241	1253	115	284, 149, 177,119
1h	92	83	1557	1676	3256	1245	1158	300, 177, 165, 119
1i	104	72	1582	1673	3287	1248	1154	300, 177, 165, 119
2a	94	77	1588	1668	3275	1238	1151	270,177,135, 119
2b	137	71	1584	1688	324	1272	1163	304, 306,177.9,119
2c	124	75	1580	1657	3243	1260	1157	—
2d	138	78	1581	1668	3240	1256	1153	—
2e	148	69	15	1672	3213	1240	1159	347.9, 349.9, 212.9
2f	117	72	15	1663	3303	1251	1140	284, 149, 177,119
2g	95	73	1568	2666	3291	1267	1138	—
2h	194	68	1597	1651	3233	1262	1146	300, 177, 165, 119
2i	79	65	1595	1673	3332	1278	1148	—
3a	128	88	1607	1667	3321	1259	1155	177,135, 119
3b	156	82	1565	1661	3215	1246	1151	—
3c	122	81	1572	1680	3324	1239	1156	304,306,170.9,119
3d	1152	85	1595	1670	3291	1256	1157	—
3e	170	82	1198	1682	3213	1261	1154	—
3f	90	83	1590	1672	3219	1251	1156	284, 149, 177,119
3g	112	79	1609	1676	3275	1263	1152	—
3h	116	81	1597	1672	3291	1261	1157	—
3i	98	76	1588	1663	3215	1257	1153	300, 177, 165, 119

methylbenzoyl-3-aryl thioureas showed characteristic peaks for NH at 3350, 3200 cm^{-1} for free and associated NH, at 1670–1685 cm^{-1} for carbonyl, at 1245–1260 and 1150–1165 for C=S and C–N peaks, in addition to the aromatic C=C peaks at 1550–1597 cm^{-1} (Table 1). The characteristic broad singlets for HN(1) and HN(3) appeared at δ 9–10.7 and 12.5–12.7 and those for aromatic protons in the region δ 7.2–7.8 ppm in ^{1}H-NMR spectra. Mass spectra of the thioureas showed the molecular ion peak and the base peak at *m/z* 119 in each case (Table 1).

The base-catalyzed condensation of 1-(isomeric methyl)benzoyl-3-arylthioureas with acetone was achieved in the presence of bromine. Thus, triethyl amine was added to a solution of 1-(isomeric methyl)benzoyl-3-arylthiourea (**1–3a–i**) in dry acetone, followed by the treatment with an acetone solution of bromine, and stirring of the resulting reaction mixture under nitrogen from 30 min to 1 h provided the 1-tolyl-3-aryl-4-methylimidazole-2-thiones (Scheme 1). Cyclization progress was

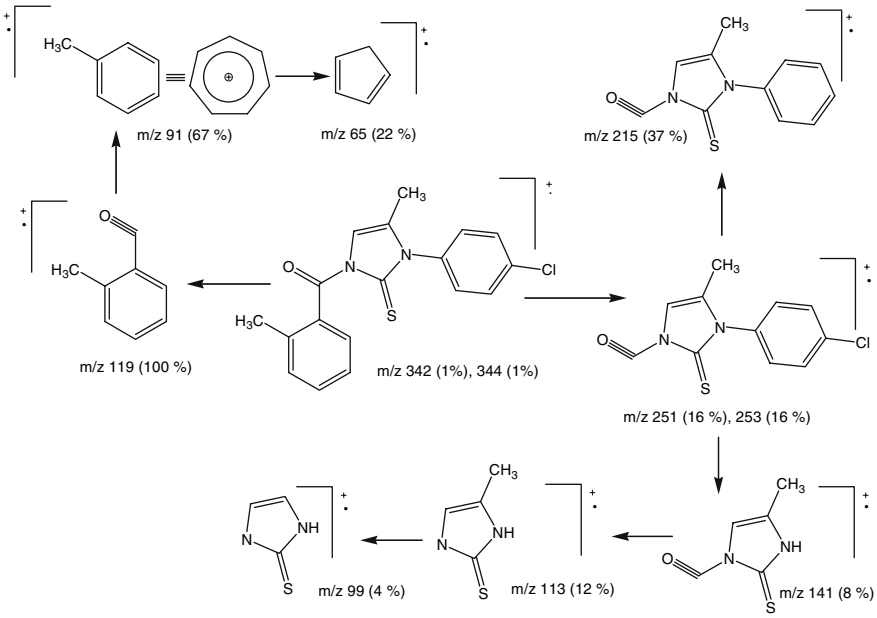


Scheme 1 Synthesis of 1-(isomeric methyl)benzoyl-3-aryl-4-methylimidazole-2-thiones

monitored by thin-layer chromatography (TLC), with the thiones showing lower R_f values compared to those of the corresponding thioureas. The melting points of thiones are lower than those of the thioureas and percent yields of *o*-tolyl- and *p*-tolyl thiones are higher than those of *m*-tolyl thiones. The compounds were characterized by the complete absence of NH absorptions of the thiourea moiety and the appearance of the characteristic peaks for C=O and C=S peaks at 1670–1713 and 1230–1260 cm^{-1}, respectively, in addition to the aromatic and methyl peaks at 1550–1605 and 2851–2965 cm^{-1} in the IR spectra (Table 2). In the ^{1}H-NMR spectra, the characteristic singlets for H-C(4) appeared at δ 6.30–6.43 and those for Me-C(4) at δ 2.0–2.06 in addition to the peaks for an aromatic methyl at δ 2.49 and two aromatic rings at δ 7.1–7.3 and 7.5–7.95 ppm (Table 3). In MS, in addition to the molecular ion peak M^+, the major fragments corresponded to $[M^+\text{-tolyl}]^+$ and the base peak at *m/z* 119 $[CH_3PhCO]^+$ which originated from methylbenzoyl part of the molecule (Scheme 2).

Bioactivities

The thiones **(4a–i)**, **(5a–i)**, and (**6a–i**) were screened *in vitro* for antibacterial activity against the pathogenic bacteria *Escherichia coli, Pseudomonas areuginosa, Staphylococcus aureus*, and *Bacillus subtilis* using the agar well diffusion technique (Atta-ur-Rahman *et al*., 2001). Bioactivity was determined via growth inhibition, in millimeters of each clear zone. Obviously, all of the thiones showed significant activity against *E. coli* (Table 4), which in the majority of cases is even more potent than that of the standard drug, amoxicillin. In general, the following order of activity among the three series of 1-(isomeric methylbenzoyl)-3-aryl-4-methylimidazole-2-



Scheme 2 Mass fragmentation pattern of 1-(2-methylbenzoyl)-3-(4-chlorophenyl)imidazole-2-thione

thiones was observed: 4-methyl benzoyl **(4a–i)** > 2-methylbenzoyl **(5a–i)** > 3-methylbenzoyl (**6a–i**). Compounds **6b–6g** bearing 2-, 3-, 4-chloro, 4-bromo, 2-, and 3- methyl substituents, respectively, have comparatively shown the best antibacterial activity against each of the four strains of bacteria; compound **6c** especially gave the best response.

1-(Isomeric methylbenzoyl)-3-aryl-4-methylimidazole-2-thiones were also examined for antifungal activity against pathogenic fungi species: *Trichphyton longifusus, Candida albicans, Aspergillus flavus, Microsporum canis, Fusarium solani*, and *C. glabrata.* This was determined by the agar dilution method (Atta-ur-Rahman *et al*., 2001) and the results were reported as linear growth (%) mm using miconazole and amphotericin B as the internal standards. Growth inhibition was calculated with reference to +ve control. As shown in Table 5, the thiones are generally inactive as fungicides/fungistatics except for compounds **5d, 5e 6d,** and **6e** which exhibited slight but nonsignificant activity.

The insecticidal activity of some selected thiones was determined by the contact toxicity (Atta-ur-Rahman *et al*., 2001) method against *Tribolium castaneum, Sitophilus oryzae, Rhyzopertha dominica*, and *Callosbruchus analis.* Table 6 shows that the majority of these compounds are either inactive or show very weak insecticidal activity.

In conclusion, the method for the preparation of 1-aroyl-3-aryl-4-substituted imidazole-2-thiones (**4–6**) by the cyclization of corresponding 1-aroyl-3-arylthioureas with acetone, in the presence of bromine and triethylamine, has been established by synthesis of three series of (1-isomeric methyl)benzoyl-3-aryl-4-

Table 2 Physical, IR and elemental analysis data of 1-isomeric methylbenzoyl-3-aryl-4-methylimidazole-2-thiones **4–6a–i**

Compound	M.P. °C	Yield %	IR cm^{-1} C=C	CO	CS	CN	Calcd./found C % H % N % S %
4a	172	50	1577	1666	1248	2953	70.10; 5.23; 9.08; 10.40 70.21; 5.10; 9.14; 10.35
4b	206	53	1567	1653	1236	2851	63.06; 10.34; 8.17; 9.35 63.02; 10.12; 8.09;9.41
4c	240	50	1560	1658	1227	2880	63.06; 10.34; 8.17; 9.35 62.97; 10.41; 8.24; 9.41
4d	124	58	1582	1684	1260	2871	63.06; 10.34; 8.17; 9.35 —
4e	162	60	1581	1677	1231	2945	55.82; 3.90; 7.23; 8.28 55.71; 3.92; 7.31; 8.20
4f	190	64	1584	1713	1237	2938	70.78; 5.63; 8.69; 9.94 70.81; 5.67; 8.71; 9.90
4g	82	61	1592	1701	1240	2921	70.78; 5.63; 8.69; 9.94 70.69; 5.60; 8.61; 9.89
4h	194	59	1600	1671	1243	2932	67.43; 5.36; 8.28; 9.47 67.18; 5.21; 8.39; 9.51
4i	172	63	1603	1660	1260	2965	—
5a	142	52	1593	1683	1259	2936	70.10; 5.23; 9.08; 10.4069.93; 5.37; 9.13; 10.57
5b	221	55	1580	1679	1263	2942	—
5c	194	59	1595	1663	1261	2913	63.06; 10.34; 8.17; 9.35 63.02; 10.12; 8.17; 9.41
5d	127	64	1598	1667	1268	2920	—
5e	175	66	1588	1690	1271	2938	—
5f	230	49	1594	1656	1256	2924	70.78; 5.63; 8.69; 9.94 70.84; 5.67; 8.71; 9.89
5g	220	45	1593	1668	1246	2919	—
5h	117	50	1591	1660	1260	2938	67.43; 5.36; 8.28; 9.47 67.18; 5.21; 8.39; 9.51
5i	109	53	1603	1660	1260	2965	67.43; 5.36; 8.28; 9.4767.40; 5.56; 8.14; 9.63
6a	181	73	1590	1665	1191	2938	70.10; 5.23; 9.08; 10.40 69.93; 5.37; 9.13; 10.57
6b	243	71	1585	1639	1260	2938	—
6c	179	68	1563	1679	1268	2921	—
6d	162	75	1586	1660	1250	2922	63.06; 10.34; 8.17; 9.3563.02; 10.12; 8.17; 9.41
6e	184	79	1580	1673	1240	2934	55.82; 3.90; 7.23; 8.2855.71; 3.92; 7.31; 8.20
6f	191	66	1557	1680	1252	2927	—
6g	132	57	1600	1670	1257	2917	—
6h	212	67	1599	1656	1263	2934	67.43; 5.36; 8.28; 9.47 67.18; 5.21; 8.39; 9.51
6i	220	65	1582	1679	1248	2948	—

Table 3 ^{1}H-NMR and mass spectral data of 1-isomeric methylbenzoyl-3-aryl-4-methylimidazole-2-thiones **4-6a-i**

Compound	δ $CDCl_3$ ppm		EIMS *m/z*		
	C_4-H	C_5-Me	$M^{+\cdot}$	$[M-91]^+$	[ArCO]
4a	6.30	2.05	308	217, 189	119
4b	6.32	2.05	342	251, 215	119
4c	6.34	2.05	342	251, 215	119
4d	6.38	2.03	342	251, 215	119
4e	6.31	2.02	386	295, 215,	119
4f	6.35	2.02	322	342, 215,	119
4g	6.37	2.02	322	342, 215,	119
4h	6.36	2.02	338	247, 233,	119
4i	6.34	2.07	338	247, 233,	119
5a	6.38	2.06	308	217,189,	119
5b	6.34	2.05	—		
5c	6.34	2.05	342	251, 215,	119
5d	6.28	2.03	—		
5e	6.30	2.02	386	295, 215,	119
5f	6.37	2.04	—		
5g	6.41	2.02	322	342, 215,	119
5h	6.40	2.02	338	247, 233,	119
5i	6.45	2.07	—		
6a	6.38	2.06	308	217,119	
6b	6.34	2.05	—		
6c	6.34	2.05	322	231, 119	
6d	6.28	2.03	328	291, 119	
6e	6.30	2.02	—		
6f	6.42	2.02	—		
6g	6.40	2.02	—		
6h	6.40	2.02	—		
6i	6.43	2.07	—		

methylimidazole-2-thiones. The thiones exhibit significant antibacterial activity and slight but not significant antifungal and insecticidal properties.

Experimental

Melting points were recorded via a MEL TEMP MP-D apparatus and are uncorrected. ^{1}H-NMR spectra were determined in $CDCl_3$ at 400 MHz using a Bruker AM-400 machine. FTIR spectra were recorded on an FTS 3000 MX spectrophotometer and mass spectra (EI, 70eV) on a MAT 312 instrument. Elemental analyses were conducted using a LECO-183 CHNS analyzer. Bioactivities were carried out at the International Centre for Chemical Sciences Karachi, Pakistan.

Table 4 Antibacterial bioassay of (1-(isomeric methyl)benzoyl-3-aryl-4-methylimidazole-2-thiones (**4–6a–i**)

Compound	*Escherichia coli*	*Bacillus subtilis*	*Staphylococcus aureus*	*Pseudomonas aeruginosa*
4a	13	—	—	—
4b	14	17	17	7
4c	15	10	19	—
4d	18	—	10	13
4e	16	14	—	10
4f	18	12	13	—
4g	15	10	12	—
4h	12	11	14	6
4i	13	13	—	11
5b	13	13	13	—
5c	13	17	13	—
5d	13	15	—	—
5e	13	15	—	—
5f	13	13	—	—
5g	13	—	—	—
5h	13	14	—	—
5i	12	—	—	—
6b	17	15	21	12
6c	20	14	18	16
6d	19	13	20	17
6e	14	17	14	13
6f	18	18	22	10
6g	12	10	18	14
6h	10	12	-	-
6i	11	13	-	-
Amoxicillin	13.26	34.89	25	10

Concentration = 2 mg/ml of DMSO; diameter of well = 6 mm

Synthesis of 1-(isomeric methylbenzoyl)-3-arylthioureas

To a stirred solution of potassium thiocyanate (20 mmol) in dry acetone (10 ml) was added, dropwise, isomeric methylbenzoyl chloride (20 mmol). After the initial reaction had subsided, the mixture was heated for 30 min and then a hot solution of suitably substituted aniline (20 mmol) in acetone (10 ml) was added slowly with constant stirring followed by reflux for 1 h. The mixture was poured into five times its volume of cold water when the 1-(isomeric methylbenzoyl)-3-arylthioureas precipitated as solids, or as slowly crystallizing oils that were recrystallized from aqueous ethanol. The physical, IR, and elemental analysis data are given in Table 1.

Table 5 Antifungal bioassay of (1-isomeric methyl)benzoyl-3-aryl-4-methylimidazole-2-thiones (**4–6a–i**)

Compound	LINEAR GROWTH (mm)					
	Trichphyton longifusus	*Candida albicans*	*Aspergillus flavus*	*Pseudomonas aeruginosa*	*Fusarium solani*	*Candida glabrata*
4a	100	100	–100	100	100	100
4b	100	100	80	100	85	100
4c	90	75	69	100	100	100
4d	80	100	65	90	100	100
4e	100	100	100	100	100	100
4f	100	100	100	100	100	100
4g	100	100	100	100	100	100
4h	100	80	100	67	100	79
4i	100	100	100	65	100	76
5b	100	100	100	100	100	100
5c	100	100	100	100	76	89
5d	75	65	89	100	100	83
5e	77	89	60	78	100	90
5f	100	100	100	100	100	100
5g	100	100	100	100	100	100
5h	100	100	100	100	100	100
5i	100	100	100	100	100	100
6a	100	100	100	100	100	100
6b	90	100	86	100	100	90
6c	80	100	100	60	95	100
6d	79	68	69	100	85	100
6e	90	80	100	100	68	100
6f	100	100	100	100	100	100
6g	100	92	100	100	100	100
6h	100	100	100	100	100	100
6i	100	100	100	100	100	100
+ ive Control	0	0	0	0	0	0
-ive Control	100	100	100	100	100	100
Standard drug	70[a]	110.8[a]	20[b]	98.4[a]	73.23[a]	110.8[a]

Conc. 200 mg/ml of media SDA (Sabuoraud dextrose agar). The values for standard drugs indicate linear growth inhibition (%). Standard drugs are a: miconazole, b: amphotericin B

Synthesis of (1-isomeric methyl)benzoyl-3-aryl-4-methylimidazole-2-thiones

To a stirred solution of 1-(isomeric methyl)benzoyl-3-arylthiourea (2 mmol) in 20 ml of dry acetone and triethylamine (3 ml, 2 mmol) was added, dropwise, a solution of bromine 0.1 ml (2 mmol) in dry acetone (10 ml) under nitrogen and the reaction mixture stirred for 30 min to 1 h. After the reaction was completed, the reaction mixture was filtered and the filtrate was concentrated to afford crude 1-(isomeric

Table 6 Insecticidal bioassay of some selected 1-(4-methylbenzoyl)-3-aryl-4-methylimidazole-2-thiones

Compound	% Mortality			
	Tribolium castaneum	*Sitophilus oryzae*	*Rhyzopertha dominica*	*Callosbruchus analis*
+ve Control	100	100	100	100
-ve Control	0	0	0	0
4a	0	0	0	0
4c	0	0	0	0
4d	51	32	10	20
4e	23	20	31	32
5b	0	0	0	0
5c	29	31	26	0
5d	0	26	25	60
5e	23	19	34	0
6b	20	43	25	10
6c	20	14	18	30
6d	19	10	20	0
6e	20	31	19	0

Concentration = 200 μg/ml; standard drug = Permethrin (Coopex)

methylbenzoyl)-3-aryl-4-methylimidazole-2-thiones, then purified by recrystallization from suitable solvents. The physical, IR, and elemental analysis data appear in Table 2 and 1H-NMR and EIMS data in Table 3, respectively.

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