

Synthesis, Characterization and Biological Studies of Novel Imines and Azetidinones Derivatives of Haloaryloxy Moiety

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Haloaryloxy moiety on refluxing with chloroethyl acetate in the presence of potassium carbonate and acetone yielded ethyl haloaryloxy acetate (**1**), which was reacted with hydrazine hydrate to produce haloaryloxyacetyl hydrazine (**2**), which on treatment with aromatic aldehydes yields imines (**3A-J**) and subsequently into azetidinones (**4A-J**). The novel series of compounds were elucidated on the basis of spectral studies and screened for antibacterial and antifungal studies.

Key Words: Azetidinones, imines, hydrazine, haloaryloxy moiety, antibacterial activity, antifungal activity.

INTRODUCTION

As per the ORG reports the total Indian pharmaceutical market is of Rs. 28000 crores, in which 20 % market share is contributed by antibiotics only. In this antibiotics market, β -lactams covers around 50 % market share *i.e.* 10 % of total Indian pharmaceutical market. Azetidinones, imines and aryl-oxo moieties are worldwide known for their antibacterial¹, anti-inflammatory², CNS active³, antimicrobial⁴⁻⁶, antitubercular^{7,8}, anticancer⁹ and germicidal¹⁰ activity. It is already known that imines can be synthesized from aryloxy moieties and converted into azetidinones¹⁰. As per the ORG reports, literature survey, scope, need of Indian pharmaceutical market of azetidinones (*i.e.* β -lactam antibiotics), the activities associated with aryloxy moieties, imines and azetidinones, we have made an attempt to convert a haloaryloxy moiety into some novel N-{3-chloro-2-[substituted aryl-4-oxoazetidin-1-yl]-2-(4-chloro-3-alkylphenoxy)} acetamides *via* imines, hydrazide and ester intermediates to generate more potent antibacterial and antifungal compound. The newly synthesized compounds were further elucidated spectroscopically and screened for antibacterial and antifungal activities.

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EXPERIMENTAL

Melting points were determined in open capillary tubes. IR spectra were recorded (KBr) on Bruker PCIR, ^1H NMR spectra on Jeol, GSX 400 using CDCl_3 solvent and mass spectra on MASPEC system (MSW/9629). Purity of synthesized compounds was checked by TLC.

Ethyl aryloxy acetate (1): A mixture of haloaryloxy compound (0.1 mol), ethyl chloro acetate (0.1 mol) and anhydrous potassium carbonate (0.15 mol) in dried acetone was refluxed for 16 h. Resultant mixture was distilled off and poured on to ice-cold water and stirred. Residue was extracted with ether and the extract was dried over anhydrous sodium sulphate and was purified under reduced pressure to yield compound **1**.

Ethylaryloxy acetyl hydrazine (2): A mixture of compound **1** (0.05 mol) and hydrazine hydrate (0.075 mol) in ethanol was refluxed for 6 h and after distilling off the solvent the residue was recrystallized from methanol to yield compound **2**.

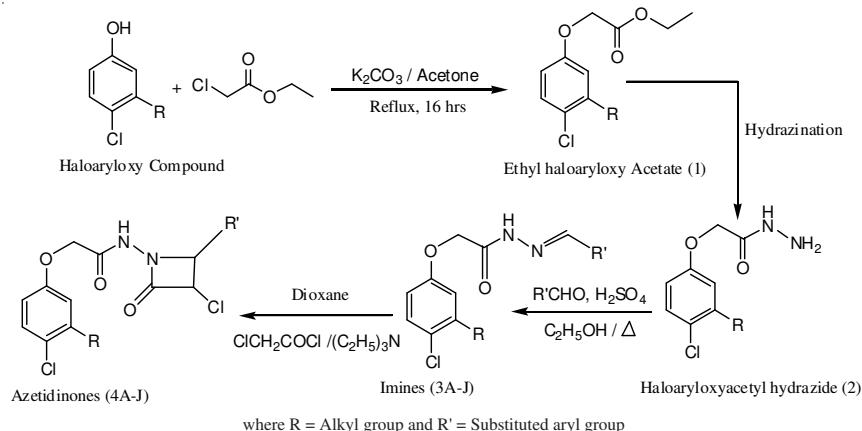
2-{(4-Chloro-3-alkyl)phenoxy}-N-[substituted aryl]acetohydrazide (3A-J): A mixture of compound **2** (0.01 mol) and aromatic aldehyde (0.01 mol) was refluxed for 6 h using acetic acid. Crystals formed were washed with ice-cold water, dried and recrystallized from methanol to yield compound **3A-J**.

N-{3-Chloro-2-[substituted aryl]-4-oxoazetidin-1-yl}-2-(4-chloro-3-alkyl phenoxy)acetamide (4A-J): A solution of compound **3A-J** (0.01 mol), dried dioxane (50 mL), chloroacetyl chloride (0.012 mol) and triethylamine (0.02 mol) prepared at 0 °C was stirred for 18-20 h. Product formed was isolated and recrystallized from methanol to yield **4A-J**.

Screening for biological activity: The synthesized compounds **3A-J** and **4A-J** were screened for antibacterial (*S. aureus*, *B. subtilis*, *E. coli* and *P. aeruginosa*) and antifungal (*C. albicans*, *A. flavus* and *A. fumigatus*) by disk diffusion method at a concentration of 2 mg/mL using DMF as solvent. Ampicillin 1 mg/mL and fluconazole 2.5 mg/mL were used as standards. The results were recorded using ampicillin and fluconazole as standards are given in Table-4.

RESULTS AND DISCUSSION

2-{(4-Chloro-3-alkyl)phenoxy}-N-[substituted aryl]acetohydrazide (**3A-J**), prepared from esterified haloaryloxy moiety **2**, when cyclized with triethyl amine and chloroacetyl chloride leads to potent antibacterial and antifungal N-{3-chloro-2-[substituted phenyl]-4-oxoazetidin-1-yl}-2-(4-chloro-3-methylphenoxy) acetamide (**4A-J**). Reaction procedure of conversion of haloaryloxy moiety to **3A-J** and **4A-J** is suggested in **Scheme-I**. Physical data of **3A-J** and **4A-J** are given in Table-1. The assigned structure, molecular formulae and the anomeric configuration of the newly synthesized

**Scheme-I:** Conversion of haloaryloxy moiety into imines and azetidinones
TABLE-1
 PHYSICAL CHARACTERISTICS OF SYNTHESIZED
 COMPOUNDS 3A-J AND 4A-J

Compd. (m.f.)	R' (aryl group)	Physical characteristics	Yield (%) / m.w.	m.p. (°C)
3A (C ₁₈ H ₂₀ N ₃ O ₂ Cl)		White crystals	72.03 345.82	194-195
3B (C ₁₆ H ₁₄ N ₂ O ₂ Cl ₂)		White crystals	63.22 337.2	212-213
3C (C ₁₆ H ₁₅ N ₂ O ₄ Cl)		White crystals	65.38 334.75	220-221
3D (C ₁₆ H ₁₅ ClN ₂ O ₂)		White cloggy crystals	59.25 302.76	185-186
3E (C ₁₆ H ₁₅ N ₂ O ₃ Cl)		White crystals	57.33 318.75	216-217
3F (C ₁₇ H ₁₇ N ₂ O ₄ Cl)		White crystals	58.65 348.78	225-226
3G (C ₁₇ H ₁₇ N ₂ O ₃ Cl)		White crystals	56.49 332.78	221-222
3H (C ₁₄ H ₁₃ N ₂ O ₃ Cl)		White cloggy crystals	68.27 292.72	180-181

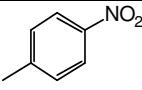
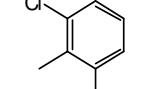
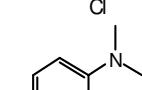
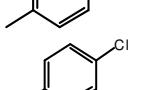
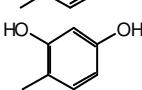
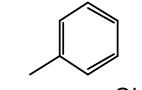
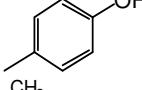
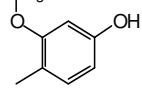
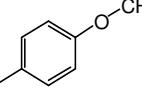
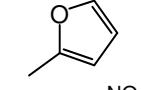
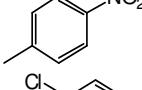
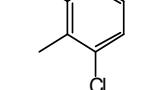
3I (C ₁₆ H ₁₄ N ₃ O ₄ Cl)		White crystals	60.08 347.75	197-198
3J (C ₁₆ H ₁₃ N ₂ O ₂ Cl ₃)		White crystals	62.00 371.65	226-227
4A (C ₂₀ H ₂₁ N ₃ O ₃ Cl ₂)		Yellowish brown crystals	68.53 422.31	215-216
4B (C ₁₈ H ₁₅ N ₂ O ₃ Cl ₃)		Cream White Crystals	59.93 413.68	226-227
4C (C ₁₈ H ₁₆ N ₂ O ₅ Cl ₂)		Pale yellow crystals	59.06 41.24	235-236
4D (C ₁₈ H ₁₆ N ₂ O ₃ Cl ₂)		Light yellow crystals	51.88 379.24	206-207
4E (C ₁₈ H ₁₆ N ₂ O ₄ Cl ₂)		White crystals	50.22 395.24	229-230
4F (C ₁₉ H ₁₈ N ₂ O ₅ Cl ₂)		Light brown crystals	51.03 425.26	242-243
4G (C ₁₉ H ₁₈ N ₂ O ₄ Cl ₂)		Cream yellow crystals	48.23 49.26	240-241
4H (C ₁₆ H ₁₄ N ₂ O ₄ Cl ₂)		White crystals	61.25 369.20	198-199
4I (C ₁₈ H ₁₅ N ₃ O ₅ Cl ₂)		Pale yellow crystals	52.65 424.23	215-216
4J (C ₁₈ H ₁₄ N ₂ O ₃ Cl ₄)		Yellow crystals	54.23 448.13	236-237

TABLE-2
MASS AND ¹H-NMR DATA OF 3A-J AND 4A-J

Compd.	Mass (m/z)	¹ H NMR (ppm)
3A	m/z: 345 (M ⁺), 190 (base Peak), 330, 198, 155, 147, 141, 120	2.39 δ (3H, s, CH ₃), 2.87 δ (6H, s, N(CH ₃) ₂), 4.83 δ (2H, s, OCH ₂), 6.50 δ (1H, d, 2.72Hz, Ar-H2), 6.53 δ (1H, dd, 2.75, 6.31, 2.70Hz, Ar-H6), 6.62 δ (2H, d, 6.31Hz, Ar'-H3' & 5'), 6.95 δ (2H, d, 6.98Hz, Ar'-H2' & 6'), 7.04 δ (1H, d, 6.31Hz, Ar-H5), 8.00 δ (1H, s, N=CH), 9.50 δ (1H, s, NH)

Compd.	Mass (m/z)	¹ H NMR (ppm)
3B	m/z: 336 (M ⁺ , 198, base Peak), 321, 181, 155, 141, 138, 111	2.36 δ (3H, s, CH ₃), 4.80 δ (2H, s, OCH ₂), 6.50 δ (1H, d, 2.81Hz, Ar-H2), 6.53 δ (1H, dd, 2.75, 6.31, 2.73Hz, Ar-H6), 7.04 δ (1H, d, 6.29Hz, Ar-H5), 7.10 δ (2H, d, 6.31Hz, Ar'-H2' & 6'), 7.21 δ (2H, d, 6.86Hz, Ar'-H3' & 5'), 8.00 δ (1H, s, N=CH), 9.25 δ (1H, s, NH)
3C	m/z: 334 (M ⁺ , 198, base Peak), 179, 155, 141, 136, 109	2.35 δ (3H, s, CH ₃), 4.80 δ (2H, s, OCH ₂), 5.16 δ (1H, s, OH), 5.18 δ (1H, s, OH), 6.20 δ (1H, d, 2.81Hz, Ar'-H3'), 6.30 δ (1H, dd, 2.73, 6.72, 2.72Hz, Ar'-H5'), 6.50 δ (1H, d, 2.72Hz, Ar-H2), 6.53 δ (1H, dd, 2.69, 6.33, 2.69Hz, Ar-H6), 7.03 δ (1H, d, 6.65 Hz, Ar-H5), 7.31 δ (1H, d, 6.67 Hz, Ar'-H6'), 8.01 δ (1H, s, N=CH), 9.02 δ (1H, s, NH)
3D	m/z: 302 (M ⁺ , 104, base Peak), 287, 252, 198, 155, 147, 141	2.36 δ (3H, s, CH ₃), 4.83 δ (2H, s, OCH ₂), 6.52 δ (1H, d, 2.52Hz, Ar-H2), 6.55 δ (1H, dd, 2.72, 6.94, 2.72Hz, Ar-H6), 7.03 δ (1H, d, 6.75Hz, Ar-H5), 7.09 δ (1H, t, 7.01, 7.02Hz, Ar'-H4'), 7.14 δ (2H, dd, 2.73, 6.50, 2.71Hz, Ar'-H2' & 6'), 7.21 δ (2H, m, Ar'-H3' & 5'), 8.12 δ (1H, s, N=CH), 9.50 δ (1H, s, NH)
3E	m/z: 318 (M ⁺ , 163, base Peak), 303, 198, 155, 141, 120, 93	2.36 δ (3H, s, CH ₃), 4.84 δ (2H, s, OCH ₂), 5.00 δ (1H, s, OH), 6.50 δ (1H, d, 2.72Hz, Ar-H2), 6.53 δ (1H, dd, 2.78, 2.73Hz, Ar-H6), 6.32, 2.73Hz, Ar-H6), 6.79 δ (2H, d, 6.37Hz, Ar'-H3' & 5'), 7.04 δ (1H, d, 6.37Hz, Ar-H5), 7.40 δ (2H, d, 6.65Hz, Ar'-H2'&6'), 8.12 δ (1H, s, N=CH), 9.28 δ (1H, s, NH)
3F	m/z: 348 (M ⁺ , 198, base Peak), 333, 193, 155, 150, 141, 123	2.36 δ (3H, s, CH ₃), 3.74 δ (3H, s, OCH ₃), 4.83 δ (2H, s, OCH ₂), 4.93 δ (1H, s, OH), 6.43 δ (1H, d, 2.88Hz, Ar'-H2'), 6.50 δ (2H, d, 2.72Hz, Ar-H2 & Ar'-H6'), 6.53 δ (1H, dd, 2.67, 6.08, 2.69Hz, Ar-H6), 6.62 δ (2H, d, 6.01Hz, Ar'-5'), 7.03 δ (1H, d, 6.02Hz, Ar-H5), 8.00 δ (1H, s, N=CH), 9.26 δ (1H, s, NH)
3G	m/z: 332 (M ⁺ , 177, base Peak), 317, 282, 198, 155, 141, 134, 107	2.36 δ (3H, s, CH ₃), 3.74 δ (3H, s, OCH ₃), 4.81 δ (2H, s, OCH ₂), 6.50 δ (2H, d, 2.72Hz, Ar-H2), 6.52 δ (1H, dd, 2.72, 6.28, 2.71Hz, Ar-H6), 6.71 δ (2H, d, 6.67Hz, Ar'-3' & 5'), 7.01 δ (2H, d, 6.60Hz, Ar'-2' & 6'), 7.04 δ (1H, d, 6.28Hz, Ar-H5), 8.00 δ (1H, s, N=CH), 9.03 δ (1H, s, NH)
3H	m/z: 292 (M ⁺ , 155, base Peak), 277, 242, 198, 141, 137, 94	2.37 δ (3H, s, CH ₃), 4.83 δ (2H, s, OCH ₂), 6.09 δ (1H, dd, 2.73, 7.39, 2.71Hz, Ar'-H3'), 6.25 δ (1H, t, 6.30, 6.32Hz, Ar'-H4'), 6.52 δ (1H, d, 2.70Hz, Ar-H2), 6.56 δ (1H, dd, 2.73, 6.31, 2.71Hz, Ar-H6), 7.03 δ (1H, d, 6.33Hz, Ar-H5), 7.25 δ (1H, dd, 2.73, 6.29, 2.69Hz, Ar'-H5'), 8.01 δ (1H, s, N=CH), 9.51 δ (1H, s, NH)
3I	m/z: 347 (M ⁺ , 155, base Peak), 332, 198, 192, 149, 141, 122	2.31 δ (3H, s, CH ₃), 4.81 δ (2H, s, OCH ₂), 6.50 δ (1H, d, 2.72Hz, Ar-H2), 6.53 δ (1H, dd, 2.67, 6.32, 2.69Hz, Ar-H6), 7.04 δ (1H, d, 6.23Hz, Ar-H5), 7.39 δ (2H, d, 6.30Hz, Ar'-H2' & 6'), 8.00 δ (1H, s, N=CH), 8.15 δ (2H, d, 6.34Hz, Ar'- H3' & 5'), 9.25 δ (1H, s, NH)

Compd.	Mass (m/z)	¹ H NMR (ppm)
3J	m/z: 370 (M ⁺ , 155) (base Peak), 355, 215, 198, 172, 145, 141	2.34 δ (3H, s, CH ₃), 4.84 δ (2H, s, OCH ₂), 6.51 δ (1H, d, 2.72, Ar-H2), 6.54 δ (1H, dd, 2.70, 6.30, 2.72Hz, Ar-H6), 7.04 δ (1H, d, 6.66Hz, Ar-H5), 7.12 δ (1H, t, 6.67, 6.68Hz, Ar'-H4'), 7.20 δ (2H, d, 6.68Hz, Ar'-H3' & 5'), 8.01 δ (1H, s, N=CH), 9.52 δ (1H, s, NH)
4A	m/z: 421 (M ⁺ , 273) (base Peak), 406, 266, 223, 198, 175, 155, 147, 141, 120	2.39 δ (3H, s, CH ₃), 2.87 δ (6H, s, N(CH ₃) ₂), 4.83 δ (2H, s, OCH ₂), 5.07 δ (1H, d, 6.63Hz, N-CH), 5.25 δ (1H, d, 6.69Hz, CH-Cl), 6.50 δ (1H, d, 2.72Hz, Ar-H2), 6.53 δ (1H, dd, 2.73, 6.3, 2.73Hz, Ar-H6), 6.59 δ (2H, d, 6.65Hz, Ar'-H3' & 5'), 6.95 δ (2H, d, 6.37Hz, Ar'-H2' & 6'), 7.03 δ (1H, d, 6.65Hz, Ar-H5), 9.12 δ (1H, s, NH)
4B	m/z: 412 (M ⁺ , 166) (base Peak), 396, 273, 257, 214, 198, 155, 141, 138, 111	2.36 δ (3H, s, CH ₃), 4.83 δ (2H, s, OCH ₂), 5.03 δ (1H, d, 6.55Hz, N-CH), 5.23 δ (1H, d, 6.67Hz, CH-Cl), 6.50 δ (1H, d, 2.72Hz, Ar-H2), 6.53 δ (1H, dd, 2.72, 6.32, 2.72Hz, Ar-H6), 7.04 δ (1H, d, 6.27Hz, Ar-H5), 7.10 δ (1H, d, 6.67Hz, Ar'-H2' & 6'), 7.21 δ (2H, d, 6.62Hz, Ar'-H3' & 5'), 9.25 δ (1H, s, NH)
4C	m/z: 410 (M ⁺ , 155) (base Peak), 395, 273, 255, 212, 198, 164, 141, 136, 109	2.36 δ (3H, s, CH ₃), 4.81 δ (2H, s, OCH ₂), 4.97 δ (1H, s, OH), 4.99 δ (1H, s, OH), 5.03 δ (1H, d, 6.64Hz, N-CH), 5.22 δ (1H, d, 6.67Hz, CH-Cl), 6.15 δ (1H, d, 2.71Hz, Ar'-H3'), 6.22 δ (1H, dd, 2.67, 6.36, 2.68Hz, Ar'-H5'), 6.50 δ (1H, d, 2.73Hz, Ar-H2), 6.53 δ (1H, dd, 2.70, 6.31, 2.71Hz, Ar-H6), 6.78 δ (1H, d, 6.80Hz, Ar'-H6'), 7.04 δ (1H, d, 6.66Hz, Ar-H5), 9.00 δ (1H, s, NH)
4D	m/z: 378 (M ⁺ , 132) (base Peak), 363, 273, 223, 198, 180, 155, 141, 104	2.36 δ (3H, s, CH ₃), 4.83 δ (2H, s, OCH ₂), 5.08 δ (1H, d, 6.83Hz, N-CH), 5.28 δ (1H, d, 6.67Hz, CH-Cl), 6.53 δ (1H, d, 2.71Hz, Ar-H2), 6.56 δ (1H, dd, 2.70, 6.67, 2.73Hz, Ar-H6), 7.03 δ (1H, d, 6.69Hz, Ar-H5), 7.09 δ (1H, t, 6.65, 6.66Hz, Ar'-H4'), 7.14 δ (2H, dd, 2.72, 6.31, 2.73Hz, Ar'-H2' & 6'), 7.14 δ (2H, m, Ar'-H3' & 5'), 9.50 δ (1H, s, NH)
4E	m/z: 394,M ⁺ , 198 (base Peak), 379, 273, 239, 196, 155, 148, 141, 120, 93	2.36 δ (3H, s, CH ₃), 4.83 δ (2H, s, OCH ₂), 5.00 δ (1H, s, OH), 5.07 δ (1H, d, 6.67Hz, N-CH), 5.25 δ (1H, d, 6.16Hz, CH-Cl), 6.50 δ (1H, d, 2.72Hz, Ar-H2), 6.52 δ (1H, dd, 2.66, 6.54, 2.68Hz, Ar-H6), 6.68 δ (2H, d, 6.21Hz, Ar'-H3' & 5'), 6.95 δ (2H, d, 6.65Hz, Ar'-H2' & 6'), 7.04 δ (1H, d, 7.83Hz, Ar-H5), 9.25 δ (1H, s, NH)
4F	m/z: 424 (M ⁺ , 198) (base Peak), 409, 273, 269, 226, 178, 155, 150, 141, 123	2.36 δ (3H, s, CH ₃), 3.73 δ (3H, s, OCH ₃), 4.81 δ (2H, s, OCH ₂), 4.93 δ (1H, s, OH), 5.03 δ (1H, d, 6.67Hz, N-CH), 5.22 δ (1H, d, 6.66Hz, CH-Cl), 6.43 δ (1H, d, 2.81Hz, Ar'-H2'), 6.50 δ (2H, d, 2.72Hz, Ar-H2 & Ar'-H6'), 6.52 δ (1H, dd, 2.70, 6.10, 2.69Hz, Ar-H6), 6.60 δ (1H, d, 6.28Hz, Ar'-5'), 7.03 δ (1H, d, 6.29Hz, Ar-H5), 9.24 δ (1H, s, NH)

Compd.	Mass (m/z)	¹ H NMR (ppm)
4G	m/z: 408 (M ⁺ , 134 (base Peak), 393, 273, 253, 210, 198, 162, 155, 141, 107	2.36 δ (3H, s, CH ₃), 3.73 δ (3H, s, OCH ₃), 4.81 δ (2H, s, OCH ₂), 5.03 δ (1H, d, 6.37Hz, N-CH), 5.22 δ (1H, d, 6.67Hz, CH-Cl), 6.51 δ (2H, d, 2.73Hz, Ar-H2), 6.53 δ (1H, dd, 2.72, 6.29, 2.72Hz, Ar-H6), 6.63 δ (2H, d, 6.76Hz, Ar'-3' & 5'), 7.00 δ (2H, d, 6.28Hz, Ar'-2' & 6'), 7.04 δ (1H, d, 6.67Hz, Ar-H5), 9.00 δ (1H, s, NH)
4H	m/z: 368, (M ⁺ , 122 (base Peak), 353, 273, 213, 198, 170, 155, 141, 122, 94	2.37 δ (3H, s, CH ₃), 4.83 δ (2H, s, OCH ₂), 5.12 δ (1H, d, 6.67Hz, N-CH), 5.31 δ (1H, d, 6.67Hz, CH-Cl), 6.02 δ (1H, dd, 2.68, 6.30, 2.72Hz, Ar'-H3'), 6.25 δ (1H, t, 6.29, 6.32Hz, Ar'-H4'), 6.53 δ (1H, d, 2.70Hz, Ar-H2), 6.56 δ (1H, dd, 2.70, 6.33, 2.72Hz, Ar-H6), 7.03 δ (1H, d, 6.69Hz, Ar-H5), 7.24 δ (1H, dd, 2.71, 6.29, 2.72Hz, Ar'-H5'), 9.50 δ (1H, s, NH)
4I	m/z: 423 (M ⁺ , 122 (base Peak), 408, 373, 273, 268, 225, 198, 155, 149, 141	2.31 δ (3H, s, CH ₃), 4.81 δ (2H, s, OCH ₂), 5.05 δ (1H, d, 6.68Hz, N-CH), 5.23 δ (1H, d, 6.67Hz, CH-Cl), 6.50 δ (1H, d, 2.72Hz, Ar-H2), 6.52 δ (1H, dd, 2.72, 6.02, 6.02, 2.72Hz, Ar-H6), 7.04 δ (1H, d, 6.63Hz, Ar-H5), 7.37 δ (2H, d, 6.68Hz, Ar'-H2' & 6'), 8.12 δ (2H, d, 6.67Hz, Ar'-H3' & 5'), 9.12 δ (1H, s, NH)
4J	m/z: 446 (M ⁺ , 198 (base Peak), 431, 291, 273, H6), 248, 172, 155, 145, 141	2.34 δ (3H, s, CH ₃), 4.84 δ (2H, s, OCH ₂), 5.31 δ (1H, d, 6.82, N-CH), 5.50 δ (1H, d, 6.67Hz, CH-Cl), 6.50 δ (1H, d, 2.71Hz, Ar-H2), 6.53 δ (1H, dd, 2.72, 6.31, 2.72Hz, Ar-431, 291, 273, H6), 6.92 δ (1H, t, 6.67, 6.66Hz, Ar'-H4'), 7.04 δ (1H, d, 6.62Hz, Ar-H5), 7.12 δ (2H, d, 6.71Hz, Ar'-H3' & 5'), 9.26 δ (1H, s, NH)

imines **3A-J** and azetidinones **4A-J** compounds were confirmed and elucidated by mass, ¹H NMR and IR spectral data, arise as result of occurrence of molecular ion peak of the assigned structures, downfield shifting of protons and different stretching of bands of the compounds (Table-3). All the synthesized compounds **3A-J** and **4A-J** have shown antibacterial and antifungal activity to certain extent. From the compounds synthesized, compounds **3C**, **3E**, **3F**, **4C**, **4E** and **4F** have shown good antibacterial and antifungal activity and some of the remaining compounds have shown moderate activity on tested organisms (Table-4).

TABLE-3
IR SPECTRAL DATA OF **3A-J** AND **4A-J**

Compd.	IR (cm ⁻¹)
3A	1645 for CO of CONH, 3214, 1632 for NH of CONH, 1594, 1471, 1296, 1190, 1166, 1121, 1081, 867, 836, 770 for C=C & C-H of aromatic ring
3B	1648 for CO of CONH, 3256, 1624 for NH of CONH, 1591, 1465, 1292, 1160, 1130, 1082, 861, 835, 774 for C=C & C-H of aromatic ring

Compd.	IR (cm^{-1})
3C	1646 for CO of CONH, 3310, 1628 for NH of CONH, 3511, 3510 for OH on phenyl ring, 1593, 1448, 1284, 1195, 1176, 1152, 904, 789 for C=C & C-H of aromatic ring
3D	1646 for CO of CONH, 3252, 1629 for NH of CONH, 1596, 1461, 1291, 1194, 1152, 1084, 894, 866, 746 for C=C & C-H of aromatic ring
3E	1640 for CO of CONH, 3310, 1632 for NH of CONH, 3508 for OH on phenyl ring, 1588, 1481, 1290, 1173, 1140, 944, 876, 780 for C=C & C-H of aromatic ring
3F	1644 for CO of CONH, 3120, 1655 for NH of CONH, 3502 for OH on phenyl ring, 1231, 1058 for C-O-C, 1590, 1475, 1190, 1161, 1143, 1128, 1074, 1033 for C=C & C-H of aromatic ring
3G	1647 for CO of CONH, 3211, 1638 for NH of CONH, 1260, 1024 for C-O-C, 1593, 1478, 1296, 1243, 1208, 1177, 1103, 891, 840, 776 for C=C & C-H of aromatic ring
3H	1640 for CO of CONH, 3315, 1654 for NH of CONH, 1262, 1064 for C-O-C, 1588, 1464, 1270, 1171, 1155, 1150, 1106, 841, 892, 799 for C=C & C-H of aromatic ring
3I	1635 for CO of CONH, 3112, 1626 for NH of CONH, 1340 for NO_2 , 1586, 1424, 1287, 1165, 1138, 1107, 864, 843, 794 for C=C & C-H of aromatic ring
3J	1636 CO of CONH, 3254, 1622 for NH of CONH, 1588, 1427, 1299, 1154, 1138, 1103, 876, 817, 749 for C=C & C-H of aromatic ring
4A	1752 for CO of azetidinone ring, 1646 for CO of CONH, 3217, 1638 for NH of CONH, 1591, 1475, 1295, 1196, 1162, m 1119, 1085, 869, 832, 771 for C=C & C-H of aromatic ring
4B	1735 for CO of azetidinone ring, 1642 for CO of CONH, 3252, 1629 for NH of CONH, 1595, 1461, 1297, 1165, 1131, 1088, 869, 832, 771 for C=C & C-H of aromatic ring
4C	1755 for CO of azetidinone ring, 1642 for CO of CONH, 3312, 1625 for NH of CONH, 3515, 3506 for OH on phenyl ring, 1590, 1443, 1289, 1198, 1172, 1156, 908, 785 for C=C & C-H of aromatic ring
4D	1738 for CO of azetidinone ring, 1646 for CO of CONH, 3252, 1629 for NH of CONH, 1596, 1461, 1291, 1194, 1152, 1084, 894, 866, 746 for C=C & C-H of aromatic ring
4E	1735 for CO of azetidinone ring, 1645 for CO of CONH, 3305, 1635 for NH of CONH, 3502 for OH on phenyl ring, 1589, 1485, 1292, 1175, 1145, 945, 878, 785 for C=C & C-H of aromatic ring
4F	1729 for CO of azetidinone ring, 1648 for CO of CONH, 3125, 1652 for NH of CONH, 3502 for OH on phenyl ring, 1236, 1056 for C-O-C, 1591, 1470, 1196, 1165, 1149, 1125, 1079, 1032 for C=C & C-H of aromatic ring
4G	1757 for CO of azetidinone ring, 1644 for CO of CONH, 3215, 1631 for NH of CONH, 1266, 1023 for C-O-C, 1590, 1477, 1290, 1241, 1205, 1172, 1109, 893, 846, 779 for C=C & C-H of aromatic ring

Compd.	IR (cm^{-1})
4H	1741 for CO of azetidinone ring, 1641 for CO of CONH, 3310, 1651 for NH of CONH, 1264, 1063 for C-O-C, 1589, 1468, 1279, 1174, 1156, 1156, 1102, 846, 893, 792 for C=C & C-H of aromatic ring
4I	1739 for CO of azetidinone ring, 1638 for CO of CONH, 3117, 1623 for NH of CONH, 1345 for NO_2 , 1587, 1421, 1282, 1169, 1131, 1105, 861, 849, 798 for C=C & C-H of aromatic ring
4J	1743 CO of azetidinone ring, 1637 CO of CONH, 3256, 1624 for NH of CONH, 1589, 1429, 1294, 1155, 1132, 1106, 878, 812, 743 for C=C & C-H of aromatic ring

TABLE-4
ANTIMICROBIAL ACTIVITY SENSITIVITY TESTING **3A-J** AND **4A-J**

Compd. no.	MIC (Diameter of zone of inhibition in mm) mg/mL						
	Antibacterial activity				Antifungal activity		
	SA	BS	EC	PA	CA	AF	AFU
3A	18	12	18	13	10	8	9
3B	14	15	18	14	11	10	8
3C	22	23	24	22	12	13	10
3D	15	14	11	13	10	9	8
3E	22	24	21	21	13	11	12
3F	21	23	20	22	11	12	10
3G	19	15	13	13	10	12	9
3H	20	16	15	13	11	10	8
3I	22	21	17	12	10	8	10
3J	21	15	13	11	9	10	8
4A	21	23	19	16	9	8	8
4B	16	14	18	14	9	11	10
4C	24	22	23	21	15	14	10
4D	17	16	14	11	12	10	11
4E	24	21	23	20	15	12	10
4F	22	24	21	23	12	14	10
4G	20	11	15	14	10	9	12
4H	21	14	12	18	8	11	9
4I	23	24	18	12	10	12	9
4J	23	18	16	17	10	11	8
Ampicillin	25	24	25	24	—	—	—
Fluconazole	—	—	—	—	17	16	17

SA = *S. aureus*; BS = *B. subtilis*; EC = *E. coli*; PA = *P. aeruginosa*;
 CA = *C. albicans*; AF = *A. flavus*; AFU = *A. fumigatus*.

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