RJC
http://www.rasayanjournal.com

RASĀYAN *J. Chem.*
Vol.4, No.1 (2011), 29-35
ISSN: 0974-1496
CODEN: RJCABP

SYNTHESIS AND ANTI-MICROBIAL ACTIVITY OF NOVEL ACETYLATED MALTOSYL CARBAMIDES, BENZOTHIAZOLYL CARBAMIDES AND CARBAMATES

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ABSTRACT

As part of ongoing studies in developing new antimicrobials, a class of structurally novel acetylated maltosyl carbamides, benzothiazolyl carbamides and carbamates were synthesized by the interaction of Hepta-*O*-acetyl-β-D-maltosyl isocyanate and aryl amines, substituted benzothiazoles and various alcohols. These molecules were evaluated in vitro for their antimicrobial activities. Most of the compounds exhibited significant antibacterial and moderate antifungal activity against all the tested strains.

Key words: Maltosyl isocyanate, Aryl amines, Substituted benzothiazoles, Carbamates, Antimicrobial activity.

INTRODUCTION

Compounds containing the urea functionality are biological interest as antimycobacterial[1] and as inhibitors of HIV protease[2]. A series of glucosyl ureas have been shown to be α-glucosidase inhibitors[3] and *N*-acyl-*N'*-β-D-glucopyranosyl ureas exhibit strong inhibition against glycogen phosphorylase[4] and can be used as antidibetic agents[5]. *N*-maltosylated compounds and their derivatives have wide applications in industries and medicinal chemistry[6]. Carbamides and theirderivatives shows strong antibacterial activity and are versatile reagent in organic synthesis[7]. Benzothiazoles are bicyclic ring system with multiple applications. They have diverse chemical reactivity and broad spectrum of biological activity including antibacterial and antifungal properties[8-10], 2-aminobenzothiazoles shows antitumor[11] and antimalarial activity[12]. Bis substituted amidino benzothiazoles act as potential anti HIV agents[13]. Also schiffbase of benzothiazoles possess antitubercular, anticancer, antitumor, antipyretic and sterase inhibitory activity[14,15].

Our analogue based design encompasses the synthesis of new 1-hepta-*O*-acetyl-β-D-maltosyl-3-aryl carbamides **2a-g**, 1-hepta-*O*-acetyl-β-D-maltosyl-3-(2)-substituted benzothiazolyl carbamides **3a-g** and *N*-hepta-*O*-acetyl-β-D-maltosyl-*O*-alkyl carbamates **4a-e**, to be tested for their in vitro antimicrobial properties against Gram positive and Gram negative bacteria and fungi.

EXPERIMENTAL

Melting points were taken in open capillary tube on Mac digital melting point apparatus and were uncorrected. IR spectra were recorded on a Perkin-Elmer Spectum RXI FTIR Spectrometer in solid phase KBr. The ^{1}H NMR spectra were recorded in $CDCl_3$ at 300 MHz on a Bruker DRX- 300 NMR Spectrometer. The Mass spectra were recorded on a Jeol- 102 Mass Spectrometer. Optical rotations $[\alpha]^{30}_D$ were measured on Equip-Tronics EQ-800 Digital Polarimeter at 30^0C in Chloroform. Thin Layer Chromatography [TLC] was performed in E. Merck precoated silica gel plates and detected by exposure under short UV light. The compounds described in this paper were first time synthesized by the multistep reaction protocol. Hepta-*O*-acetyl-β-D-maltosyl isocyanate **(1)**, synthesized by using hepta-*O*-acetyl-α-D-maltosyl bromide was undergone substitution in the presence of lead cyanate in refluxing xylene[16-18]. The 1-hepta-*O*-acetyl-β-D-maltosyl-3-aryl carbamides **(2)**, 1-hepta-*O*-acetyl-β-D-maltosyl-3-(2)-substituted benzothiazolyl carbamides **(3)** and *N*-hepta-*O*-acetyl-β-D-maltosyl-*O*-alkyl carbamates **(4)** were obtained

by refluxing **(1)** with appropriate aryl amines, 2-aminobenzothiazole / substituted benzothiazoles and alcohols respectively(Scheme- 1).

All the new compounds **1**, **2a-g**, **3a-g** and **4a-e** were characterized by m.p., elemental analysis and spectroscopic data (IR, ^{1}H NMR and MS). The spectral data and elemental analysis of the new compounds reported in this correlate with the proposed structure. The hepta-*O*-acetyl-α-D-maltosyl bromide was prepared according to the literature[19-21].

Synthesis of Hepta-*O*-acetyl-β-D-maltosyl isocyanate (1)

To a solution of hepta-*O*-acetyl-α-D-maltosyl bromide (3.4g, 0.005mol) in xylene (20ml) and lead cyanate (1.4g, 0.005mol) was added and the resulting mixture was refluxed for 3hr with constant stirring. After the removal of lead bromide the xylene filtrate was evaporated under reduced pressure then triturated with petroleum ether (60-80^{0}C) to afford milky white solid. It was purified by dissolving it in chloroform and reprecipitating with petroleum ether (60-80^{0}C) to afford a white solid product **(1)**. Yield (71.34%), m.p. 168-170°C.

Synthesis of 1-hepta-*O*-acetyl-β-D-maltosyl-3-aryl carbamides (2a-g)

A (0.005mol) solution of aryl amines in benzene was added to a (0.005mol) Hepta-*O*-acetyl-β-D-maltosyl isocyanate **(1)** in 15ml benzene and the reaction mixture was refluxed over boiling water bath for 3hr. After refluxing, the solvent was distilled off and the sticky residue obtained was triturated with petroleum ether (60-80^{0}C) to afford a white solid **(2a-g)**. The products were purified by recrystalization from ethanol-water (1:3).

Synthesis of 1-hepta-*O*-acetyl-β-D-maltosyl-3-(2)-aryl substituted benzothiazolyl carbamides (3a-g)

A (0.005mol) solution of 2-amino benzothiazole / substituted benzothiazoles in 5ml benzene was added to a (0.005mol) Hepta-*O*-acetyl-β-D-maltosyl isocyanate **(1)** in 15ml benzene and the reaction mixture was refluxed over boiling water bath for 4hr. After refluxing, the solvent was distilled off and the sticky residue obtained was triturated with petroleum ether (60-80^{0}C) to afford a white solid **(3a-g)**. The products were purified by recrystalization from ethanol-water (1:3).

Synthesis of *N*-hepta-*O*-acetyl-β-D-maltosyl-*O*-alkyl carbamates (4a-e)

A (0.005mol) hepta-*O*-acetyl-β-D-maltosyl isocyanate **(1)** was added to various alcohols (25ml) and the reaction mixture was refluxed 3-4hr. After refluxing it was allowed to cool and poured into water with vigorous shaking. A white granular solid separated out **(4a-e)**, products were crystallized from aqueous ethanol.

Hepta-*O*-acetyl-β-D-maltosyl isocyanate (1)

IR (KBr, cm^{-1}): 2965 (Ali C-H), 2119 (N=C=O), 1755 (C=O), 1440(C=N), 1228 (C-O), 900 and1038 (maltose unit). ^{1}H NMR ($CDCl_3$) δ: 3.37-5.44 (m, 14H, maltose unit), 1.63-2.18 (m, 21H, 7$COCH_3$). Mass m/z: 661, 619, 331, (Calcd for $C_{27}H_{35}O_{18}N$; 661.09). Anal. Calcd for $C_{27}H_{35}O_{18}N$: C, 49.01; H, 5.29; N, 2.11. Found: C, 48.70; H, 5.28; N, 2.15.

1-hepta-*O*-acetyl-β-D-maltosyl-3-phenyl carbamide (2a)

IR (KBr, cm^{-1}): 3465 (N-H), 1752 (C=O), 1376 (C-N), 1232 (C-O), 901 and1039 (maltose unit). ^{1}H NMR ($CDCl_3$) δ: 7.16–7.27 (m, 5H, Ar-H), 6.68–6.70 (s, 2H, NH), 3.67-5.59 (m, 14H, maltose unit), 2.03-2.23 (m, 21H, 7$COCH_3$). Mass m/z: 754, 694, 652 (Calcd for $C_{33}H_{42}O_{18}N_2$; 754.15). Anal. Calcd for $C_{33}H_{42}O_{18}N_2$: C, 52.51; H, 5.57; N, 3.71. Found: C, 52.07; H, 5.54; N, 3.73.

1-hepta-*O*-acetyl-β-D-maltosyl-3-*o*-Cl-phenyl carbamide (2b)

IR (KBr, cm^{-1}): 3489 (N-H), 1751 (C=O), 1376 (C-N), 1238 (C-O), 940 and1041 (maltose unit). ^{1}H NMR ($CDCl_3$) δ: 7.19–7.27 (m, 4H, Ar-H), 6.78 (s, 2H, NH), 3.65-5.59 (m, 14H, maltose unit), 2.03-2.23 (m, 21H, 7$COCH_3$). Mass m/z: 787, 753 (Calcd for $C_{33}H_{41}O_{18}N_2Cl$; 788.16). Anal. Calcd for $C_{33}H_{41}O_{18}N_2Cl$: C, 50.25; H, 5.20; N, 3.55. Found: C, 50.23; H, 5.19; N, 3.56.

1-hepta-*O*-acetyl-β-D-maltosyl-3-*m*-Cl-phenyl carbamide (2c)

IR (KBr, cm^{-1}): 3488 (N-H), 1750 (C=O), 1376 (C-N), 1236 (C-O), 940 and1041 (maltose unit). ^{1}H NMR ($CDCl_3$) δ: 7.20–7.27 (m, 4H, Ar-H), 6.65 (s, 2H, NH), 3.63-5.59 (m, 14H, maltose unit), 2.01-2.23 (m,

21H, 7$COCH_3$). Mass m/z: 787, 753 (Calcd for $C_{33}H_{41}O_{18}N_2Cl$; 788.60). Anal. Calcd for $C_{33}H_{41}O_{18}N_2Cl$: C, 50.25; H, 5.20; N, 3.55. Found: C, 50.21; H, 5.17; N, 3.56.

1-hepta-*O*-acetyl-β-D-maltosyl-3-*p*-Cl-phenyl carbamide (2d)

IR (KBr, cm^{-1}): 3489 (N-H), 1750 (C=O), 1375 (C-N), 1236 (C-O), 941 and1041 (maltose unit). ^1H NMR ($CDCl_3$) δ: 7.09–7.16 (m, 4H, Ar-H), 6.43 (s, 2H, NH), 3.63-5.60 (m, 14H, maltose unit), 2.00-2.23 (m, 21H, 7$COCH_3$). Mass m/z: 789, 753 (Calcd for $C_{33}H_{41}O_{18}N_2Cl$; 788.60). Anal. Calcd for $C_{33}H_{41}O_{18}N_2Cl$: C, 50.25; H, 5.20; N, 3.55. Found: C, 50.21; H, 5.19; N, 3.55.

1-hepta-*O*-acetyl-β-D-maltosyl-3-*o*-tolyl carbamide (2e)

IR (KBr, cm^{-1}): 3476 (N-H), 1752 (C=O), 1376 (C-N), 1236 (C-O), 900 and1039 (maltose unit). ^1H NMR ($CDCl_3$) δ: 7.06–7.26 (m, 4H, Ar-H), 5.52 (s, 2H, NH), 3.76-5.48 (m, 14H, maltose unit), 2.03-2.25 (m, 21H, 7$COCH_3$). Mass m/z: 768, 708 (Calcd for $C_{34}H_{44}O_{18}N_2$; 768.16). Anal. Calcd for $C_{34}H_{44}O_{18}N_2$: C, 53.12; H, 5.72; N, 3.64. Found: C, 53.12; H, 5.71; N, 3.67.

1-hepta-*O*-acetyl-β-D-maltosyl-3-*m*-tolyl carbamide (2f)

IR (KBr, cm^{-1}): 3476 (N-H), 1751 (C=O), 1378 (C-N), 1236 (C-O), 901 and1039 (maltose unit). ^1H NMR ($CDCl_3$) δ: 7.06–7.27 (m, 4H, Ar-H), 5.65 (s, 2H, NH), 3.56-5.48 (m, 14H, maltose unit), 2.02-2.26 (m, 21H, 7$COCH_3$). Mass m/z: 768, 708 (Calcd for $C_{34}H_{44}O_{18}N_2$; 768.16). Anal. Calcd for $C_{34}H_{44}O_{18}N_2$: C, 53.12; H, 5.72; N, 3.64. Found: C, 53.10; H, 5.70; N, 3.65.

1-hepta-*O*-acetyl-β-D-maltosyl-3-*p*-tolyl carbamide (2g)

IR (KBr, cm^{-1}): 3478 (N-H), 1752 (C=O), 1376 (C-N), 1238 (C-O), 901 and1039 (maltose unit). ^1H NMR ($CDCl_3$) δ: 7.00–7.18 (m, 4H, Ar-H), 5.64 (s, 2H, NH), 3.76-5.53 (m, 14H, maltose unit), 2.03-2.25 (m, 21H, 7$COCH_3$). Mass m/z: 768, 708 (Calcd for $C_{34}H_{44}O_{18}N_2$; 768.16). Anal. Calcd for $C_{34}H_{44}O_{18}N_2$: C, 53.12; H, 5.72; N, 3.64. Found: C, 53.08; H, 5.67; N, 3.67.

1-hepta-*O*-acetyl-β-D-maltosyl-3-(2)- benzothiazolyl carbamide (3a)

IR (KBr, cm^{-1}): 3350 (N-H), 1752 (C=O), 1535 (C=N), 1374 (C-N), 1233 (C-O), 900 and1039 (maltose unit), 769 (C-S). ^1H NMR ($CDCl_3$) δ: 7.27–7.69 (m, 4H, Ar-H), 6.25 (s, 2H, NH), 3.76-5.64 (m, 14H, maltose unit), 1.90-2.23 (m, 21H, 7$COCH_3$). Mass m/z: 812, 619 (Calcd for $C_{34}H_{41}O_{18}N_3S$; 811.22). Anal. Calcd for $C_{34}H_{41}O_{18}N_3S$: C, 50.30, H, 5.05; N, 5.17; S, 3.94. Found: C, 50.29; H, 4.98; N, 5.14; S, 3.63.

1-hepta-*O*-acetyl-β-D-maltosyl-3-(2)-4-Cl-benzothiazolyl carbamide (3b)

IR (KBr, cm^{-1}): 3471 (N-H), 1751 (C=O), 1599 (C=N), 1376 (C-N), 1237 (C-O), 942 and1041 (maltose unit), 769 (C-S). ^1H NMR ($CDCl_3$) δ: 7.26–7.49 (m, 3H, Ar-H), 6.25 (s, 2H, NH), 3.74-5.62 (m, 14H, maltose unit), 2.02-2.25 (m, 21H, 7$COCH_3$). Mass m/z: 845, 725 (Calcd for $C_{34}H_{40}O_{18}N_3SCl$; 845.67). Anal. Calcd for $C_{34}H_{40}O_{18}N_3SCl$: C, 47.28; H, 5.21; N, 5.09; S, 3.87. Found: C, 47.26; H, 5.20; N, 4.98; S, 3.84.

1-hepta-*O*-acetyl-β-D-maltosyl-3-(2)-5-Cl-benzothiazolyl carbamide (3c)

IR (KBr, cm^{-1}): 3471 (N-H), 1752 (C=O), 1589 (C=N), 1374 (C-N), 1236 (C-O), 942 and1042 (maltose unit), 769 (C-S). ^1H NMR ($CDCl_3$) δ: 7.27–7.50 (m, 3H, Ar-H), 6.22 (s, 2H, NH), 3.73-5.62 (m, 14H, maltose unit), 2.00-2.25 (m, 21H, 7$COCH_3$). Mass m/z: 845, 725 (Calcd for $C_{34}H_{40}O_{18}N_3SCl$; 845.67). Anal. Calcd for $C_{34}H_{40}O_{18}N_3SCl$: C, 47.28; H, 5.21; N, 5.09; S, 3.87. Found: C, 47.20; H, 5.19; N, 4.98; S, 3.83.

1-hepta-*O*-acetyl-β-D-maltosyl-3-(2)-6-Cl-benzothiazolyl carbamide (3d)

IR (KBr, cm^{-1}): 3472 (N-H), 1750 (C=O), 1590 (C=N), 1376 (C-N), 1236 (C-O), 942 and1041 (maltose unit), 773 (C-S). ^1H NMR ($CDCl_3$) δ: 7.26–7.49 (m, 3H, Ar-H), 6.25 (s, 2H, NH), 3.74-5.62 (m, 14H, maltose unit), 2.02-2.25 (m, 21H, 7$COCH_3$). Mass m/z: 845, 725 (Calcd for $C_{34}H_{40}O_{18}N_3SCl$; 845.67).

Anal. Calcd for $C_{34}H_{40}O_{18}N_3SCl$: C, 47.28; H, 5.21; N, 5.09; S, 3.87. Found: C, 47.24; H, 5.19; N, 5.02; S, 3.86.

1-hepta-*O*-acetyl-β-D-maltosyl-3-(2)-4-methyl benzothiazolyl carbamide (3e)
IR (KBr, cm^{-1}): 3487 (N-H), 1749 (C=O), 1634 (C=N), 1375 (C-N), 1238 (C-O), 941 and1042 (maltose unit), 774 (C-S). ^1H NMR ($CDCl_3$) δ: 7.26–7.36 (m, 3H, Ar-H), 5.62 (s, 2H, NH), 3.97-5.59 (m, 14H, maltose unit), 2.37 (s, 3H, Ar-CH_3), 2.03-2.23 (m, 21H, 7$COCH_3$). Mass m/z: 825, 705 (Calcd for $C_{35}H_{43}O_{18}N_3S$; 825.23). Anal. Calcd for $C_{35}H_{43}O_{18}N_3S$: C, 50.90; H, 5.21; N, 5.09; S, 3.87. Found: C, 50.89; H, 5.20; N, 5.10; S, 3.86.

1-hepta-*O*-acetyl-β-D-maltosyl-3-(2)-5-methyl benzothiazolyl carbamide (3f)
IR (KBr, cm^{-1}): 3485 (N-H), 1750 (C=O), 1636 (C=N), 1376 (C-N), 1238 (C-O), 940 and1043 (maltose unit), 774 (C-S). ^1H NMR ($CDCl_3$) δ: 7.25–7.34 (m, 3H, Ar-H), 5.65 (s, 2H, NH), 3.87-5.60 (m, 14H, maltose unit), 2.36 (s, 3H, Ar-CH_3), 2.00-2.23 (m, 21H, 7$COCH_3$). Mass m/z: 825, 705 (Calcd for $C_{35}H_{43}O_{18}N_3S$; 825.23). Anal. Calcd for $C_{35}H_{43}O_{18}N_3S$: C, 50.90; H, 5.21; N, 5.09; S, 3.87. Found: C, 50.86; H, 5.18; N, 5.10; S, 3.85.

1-hepta-*O*-acetyl-β-D-maltosyl-3-(2)-6-methyl benzothiazolyl carbamide (3g)
IR (KBr, cm^{-1}): 3492 (N-H), 1750 (C=O), 1634 (C=N), 1375 (C-N), 1238 (C-O), 941 and1042 (maltose unit), 774 (C-S). ^1H NMR ($CDCl_3$) δ: 7.26–7.36 (m, 3H, Ar-H), 5.62 (s, 2H, NH), 3.97-5.59 (m, 14H, maltose unit), 2.37 (s, 3H, Ar-CH_3), 2.03-2.23 (m, 21H, 7$COCH_3$). Mass m/z: 825, 705 (Calcd for $C_{35}H_{43}O_{18}N_3S$; 825.23). Anal. Calcd for $C_{35}H_{43}O_{18}N_3S$: C, 50.90; H, 5.21; N, 5.09; S, 3.87. Found: C, 50.89; H, 5.20; N, 5.10; S, 3.86.

***N*-hepta-*O*-acetyl-β-D-maltosyl-*O*-methyl carbamate (4a)**
IR (KBr, cm^{-1}): 3487 (N-H), 1749 (C=O), 1375 (C-N), 1237 (C-O), 900 and1040 (maltose unit). ^1H NMR ($CDCl_3$) δ: 7.26 (s, 1H, NH), 3.96-5.68 (m, 14H, maltose unit), 3.29 (s, 3H, -CH_3), 2.00-2.15 (m, 21H, 7$COCH_3$). Mass m/z: 693, 619 (Calcd for $C_{28}H_{39}O_{19}N$; 693.09). Anal. Calcd for $C_{28}H_{39}O_{19}N$: C, 48.48; H, 5.62; N, 2.02. Found: C, 48.37; H, 5.59; N, 1.98.

***N*-hepta-*O*-acetyl-β-D-maltosyl-*O*-ethyl carbamate (4b)**
IR (KBr, cm^{-1}): 3487 (N-H), 1749 (C=O), 1375 (C-N), 1237 (C-O), 900 and1040 (maltose unit). ^1H NMR ($CDCl_3$) δ: 7.22 (s, 1H, NH), 3.96-5.68 (m, 14H, maltose unit), 2.00-2.15 (m, 21H, 7$COCH_3$), 1.09 (t, 3H, -CH_3). Mass m/z: 707 (Calcd for $C_{29}H_{41}O_{19}N$; 707.10). Anal. Calcd for $C_{29}H_{41}O_{19}N$: C, 49.22; H, 5.79; N, 1.98. Found: C, 49.20; H, 5.75; N, 1.97.

***N*-hepta-*O*-acetyl-β-D-maltosyl-*O*-isopropyl carbamate (4c)**
IR (KBr, cm^{-1}): 3487 (N-H), 1749 (C=O), 1375 (C-N), 1237 (C-O), 900 and1040 (maltose unit). ^1H NMR ($CDCl_3$) δ: 7.25 (s, 1H, NH), 3.96-5.68 (m, 14H, maltose unit), 2.00-2.15 (m, 21H, 7$COCH_3$), 1.41 (d, 6H, -CH_3). Mass m/z: 721 (Calcd for $C_{30}H_{43}O_{19}N$; 721.11). Anal. Calcd for $C_{30}H_{43}O_{19}N$: C, 49.93; H, 5.96; N, 1.94. Found: C, 49.89; H, 5.93; N, 1.95.

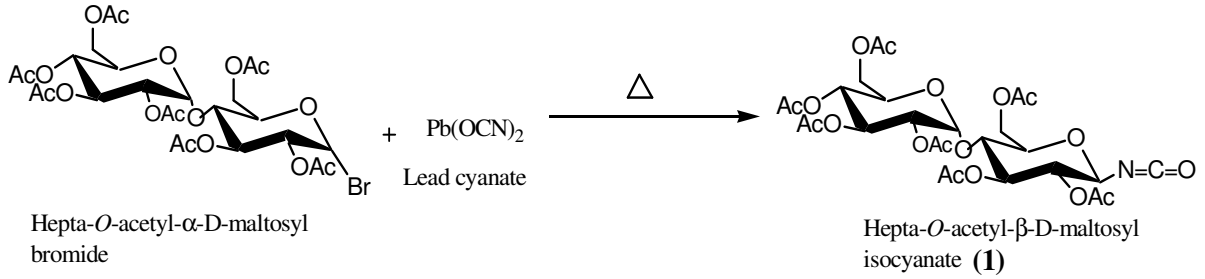
***N*-hepta-*O*-acetyl-β-D-maltosyl-*O*-isoamyl carbamate (4d)**
IR (KBr, cm^{-1}): 3487 (N-H), 1749 (C=O), 1375 (C-N), 1237 (C-O), 900 and1040 (maltose unit). ^1H NMR ($CDCl_3$) δ: 7.25 (s, 1H, NH), 3.96-5.68 (m, 14H, maltose unit), 1.92-2.10 (m, 21H, 7$COCH_3$), 1.10 (d, 6H, -CH_3). Mass m/z: 749 (Calcd for $C_{32}H_{47}O_{19}N$; 749.13). Anal. Calcd for $C_{32}H_{47}O_{19}N$: C, 51.26; H, 6.27; N, 1.86. Found: C, 50.96; H, 6.21; N, 1.90.

***N*-hepta-*O*-acetyl-β-D-maltosyl-*O*-n-butyl carbamate (4e)**
IR (KBr, cm^{-1}): 3483 (N-H), 1745 (C=O), 1367 (C-N), 1242 (C-O), 941 and1045 (maltose unit). ^1H NMR ($CDCl_3$) δ: 7.23 (s, 1H, NH), 3.42-5.43 (m, 14H, maltose unit), 3.02 (t, 2H, O-CH_2), 1.94-2.10 (m, 21H,

7$COCH_3$), 1.30-1.59 (m, 4H, -CH_2), 1.01 (t, 3H, -CH_3). Mass m/z: 734, 683 (Calcd for $C_{31}H_{43}O_{19}N$; 733.12). Anal. Calcd for $C_{31}H_{45}O_{19}N$: C, 50.61; H, 6.12; N, 1.90. Found: C, 50.59; H, 6.09; N, 1.89.

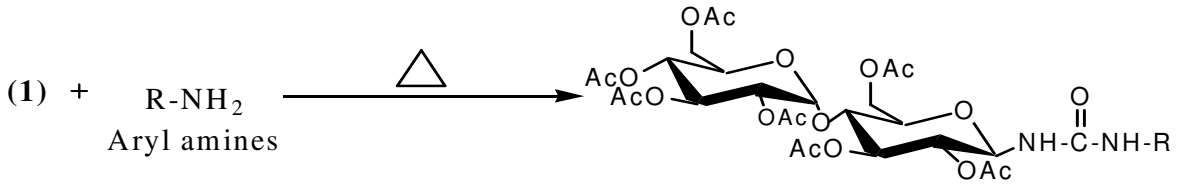
Antimicrobial activity

The antimicrobial activity of newly synthesized compounds were tested in vitro against a panel of selected Gram positive, Gram negative bacteria and fungi are presented in Table-2 in comparison with those of the references drugs Gentamicin and Fluconazole respectively.The antimicrobial activity was evaluated against different bacterial and fungal strains such as *E. coli* (MTCC 1680), *P. vulgaris* (MTCC 1771), *P. aeruginosa* (MTCC 7191), *S. aurues* (MTCC 3160) and fungal strains *A. niger* (clinical isolated), *C. albicans* (clinical isolated) by using cup plate agar diffusion method. The compounds investigated were dissolved in DMSO [1mg/mL] and filled in 9mm wells in agar media. Inhibition zones read after incubation at 30^0C for 24 hr for bacterial strains and for fungal strains inhibition zones read after incubation at 35^0C for 48 hr.



Hepta-*O*-acetyl-α-D-maltosyl bromide

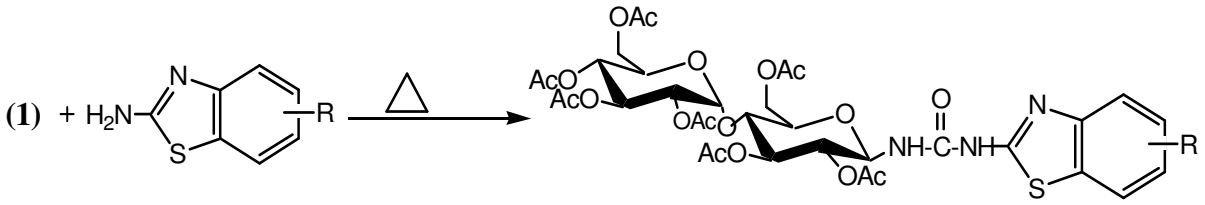
Hepta-*O*-acetyl-β-D-maltosyl isocyanate **(1)**



Title compounds **(2a-g)**

Where, R = **a)** Phenyl, **b)** *o*-Cl-Phenyl, **c)** *m*-Cl-Phenyl, **d)** *p*-Cl-phenyl, **e)** *o*-tolyl, **f)** *m*-tolyl, **g)** *p*-tolyl.

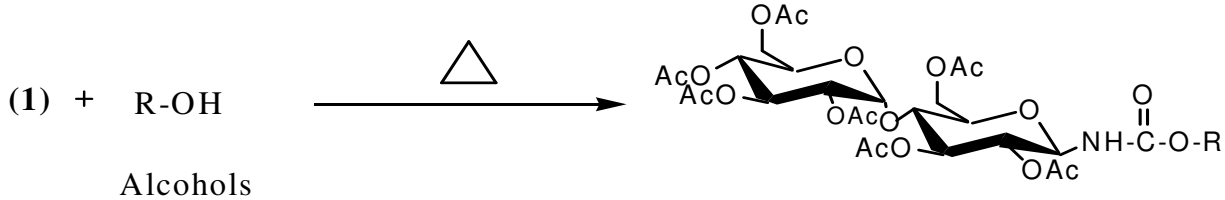
Ac = $COCH_3$



Substituted benzothiazoles

Title compounds **(3a-g)**

Where, R = **a)** H, **b)** 4-Cl, **c)** 5-Cl, **d)** 6-Cl, **e)** 4-CH_3, **f)** 5-CH_3, **g)** 6-CH_3.



Title compounds **(4a-e)**

Where, R = **a)** methyl, **b)** ethyl, **c)** iso-propyl, **d)** iso-amyl, **e)** n-butyl.

Scheme-1

RESULTS AND DISCUSSION

Antimicrobial activity

Most of the synthesized copounds exhibited mild to moderate anti-microbial activity against the tested microorganisms. Compounds **2b, 2c, 2e, 3b** and **3c** were found to possess significant antibacterial and antifungal activity when compared to standard drug (Gentamicin and Fluconazol for antibacterial and antifungal respectively). The entire synthesized compounds exhibited mild to moderate activity are shown in Table -2.

Table-1: Physical characterization data of the synthesized compounds.

Compd	m.p. ^{0}C	Yield %	$[\alpha]_D^{30}$ [*c*, in $CHCl_3$]	R_f, EtOA:Hexane, 1:1
2a	113	75.25	+180.01°(c, 0.968)	0.79
2b	145	71.69	+75.04°(*c*, 0.993)	0.81
2c	128	60.65	+120.05°(*c*, 0.932)	0.83
2d	118	71.25	+212.19°(*c*, 0.986)	0.72
2e	115	50.68	+105.88° (*c*, 0.986)	0.86
2f	140	68.95	+90.42° (c, 0.993)	0.82
2g	136	70.12	+150.50° (c,0.994)	0.76
3a	190-192	89.30	+99.75° (*c*, 0.978)	0.78
3b	186-188	70.71	+ 45.32° (*c*, 0.987)	0.90
3c	160	65.53	+54.40° (*c*, 0.990)	0.93
3d	153-154	80.36	+65.70° (*c*, 0.993)	0.87
3e	175	74.60	+123.20° (*c*, 0.991)	0.79
3f	183-184	62.30	+141.51° (*c*, 0.982)	0.78
3g	170-173	69.70	-296.43° (*c*, 0.933)	0.82
4a	150	50.18	+60.32° (*c*, 0.667)	0.65
4b	164	49.21	-40.50° (*c*, 0.667)	0.63
4c	170	65.17	-70.35° (*c*, 0.668)	0.71
4d	175-174	62.22	+104.13° (*c*, 0.674)	0.77
4e	153-152	73.20	+64.62° (*c*, 0.667)	0.80

Table-2: Anti-microbial activities of the synthesized compounds.
(Invitro activity - zone of inhibition measured in mm[a])

Compd	Bacteria				Fungi	
	E.coli	*P. aeruginosa*	*P.vulgaris*	*S.aureus*	*A.niger*	*C. albicans*
2a	20	18	20	----	12	10
2b	20	19	20	23	18	16
2c	24	20	21	20	19	17
2d	22	16	21	20	18	15
2e	24	21	21	17	18	11
2f	20	15	24	23	16	17
2g	20	19	20	23	18	16
3a	19	13	18	16	19	17
3b	20	17	16	19	20	18
3c	23	21	22	23	18	19
3d	22	16	12	20	17	18
3e	17	12	----	24	12	17
3f	24	15	----	18	12	19
3g	21	13	14	16	10	16
4a	20	16	18	14	----	10
4b	17	16	----	14	---	09

4c	21	----	----	20	----	11
4d	17	----	22	19	12	----
4e	16	18	18	17	----	15
Gentamicin	24	20	23	24	----	-----
Fluconazole	----	-----	----	----	20	18

--- No activity was observed.

[a] Values are the average of three readings.

ACKNOLEDGEMENTS

The authors are thankfull to SAIF, CDRI, Lucknow for providing spectral data. The authors are also thakfull to the Principal Dr. S. G. Bhadange for providing necessary facility. We thanks R. B. Ingle and D. L. Barate for performing antimicrobial studies.

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[RJC-710/2011]