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Synthesis of Fused Heterocyclic Compounds Derived from 2-Phenyl[1,5]benzothiazepin-4(5H)-One

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2-PHENYL[1,5]benzothiazepin-4(5H)-one (1) was reacted with arylidenenitriles, a mixture of carbon disulphide or phenylisothiocyanate and active nitriles to give pyrano-, pyrido-, thiopyrano-, 1,2-dithiolo[3,4-e]-; 1,3-dithiolo[4,5-e] [1,5] benzothiazepines, benzothiazepino-[6,5-c] [1,8] naphthyridine and oxathieno [1, 5] benzothiazepines (2–11), respectively. Treatment of compound 1 with a mixture of sulphur and phenyl isothiocyanate or 2-amino-1,1,3- tricyano-2-aminopropene gave 1,3-dithieno [4,5-e]- and thieno [3,2-e]- [1,5] benzothiazepines (12, 13), respectively.

Keywords: 1,5-Benzothiazepin-4(5H)-one, Naphthyridines and Thieno [3,2-e] benzothiazepines.

Ried and Marx[(1)] had reported that the reaction of *o*-aminothiophenol and *β*-ketoesters in refluxing xylene afforded 1,5-benzothiazepines. 1,5-Benzothiazepines were used for the synthesis of thiazolo[2,3-*b*]-[(2)] ; oxazino[2,3-*d*] [1,5] benzothiazepines[(3)] and different substituted derivatives[(4-6)] . It is well known that benzothiazepines have great importance in discovery of led compounds[(7)] and possess biological activities such as antihypertensive[(8)], analgesic[(9)], antidepressants[(10)], antiulcer[(11)], antagonist of several G-protein coupled receptors[(12)] and bradykinin agonist[(13-16)] . The present work aims at the synthesis of a novel group of fused heterocyclic compounds containing 1,5-benzothiazepine nucleus which may possess biological activities.

Results and Discussion

Treatment of compound 1 with arylidenenitriles such as *p*-chlorobenzylidinemalononitrile, *p*-chlorobenzylidenecyanoacetamide, ethyl *p*-chlorobenzylidenecyanoacetate or benzo[b]-3,4-dioxolmethylidenecyanothioacetamide in dioxane and a catalytic amount of piperidine gave the corresponding pyrano- or pyrido[1,5]benzothiazepine derivatives 2–4, respectively (*cf.* Scheme 1). The IR spectra of compounds 2-5 revealed the absence of the absorption band corresponding to C=O group of the starting compound and showed new absorption bands corresponding. Their IR spectra revealed the absence of the absorption bands corresponding to NH and C=O groups of compound 1 and appearance of new absorption bands corresponding to NH, NH_2, CN and CO groups at ν 3442, 3321; 3193; 2213-2201, and 1690 cm^{-1}, respectively. The

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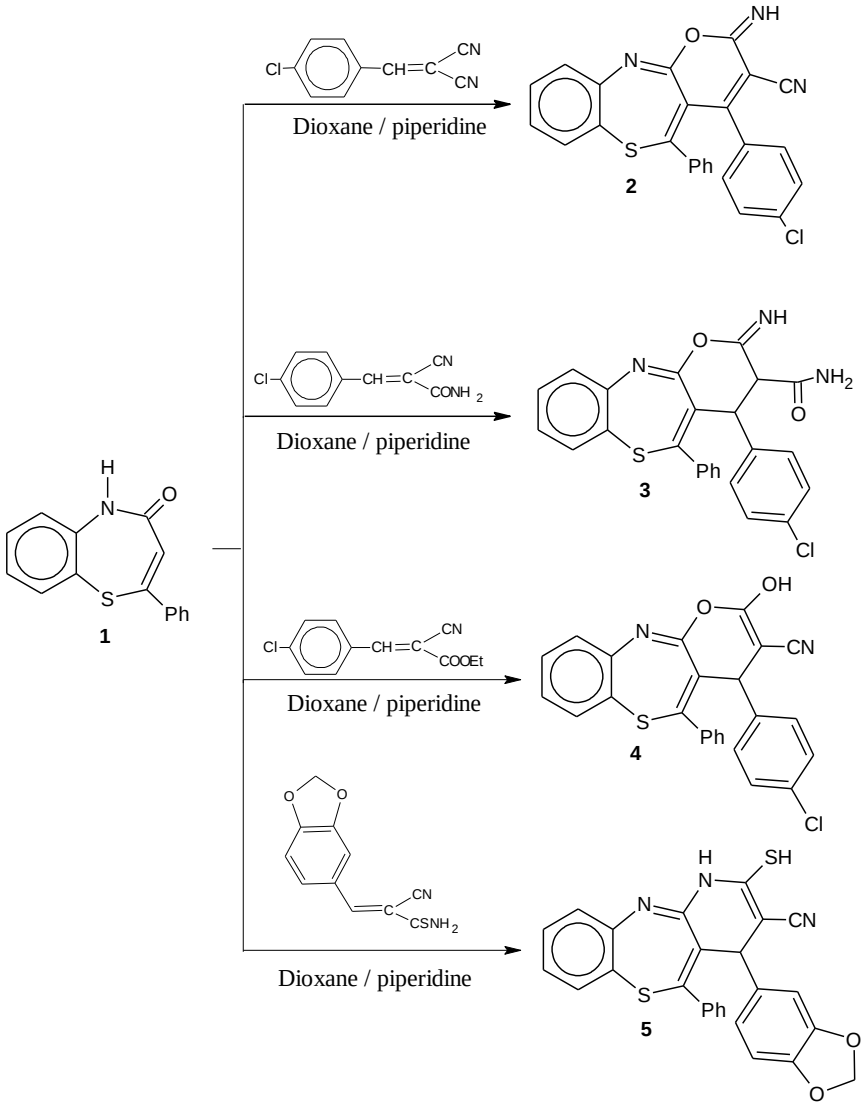
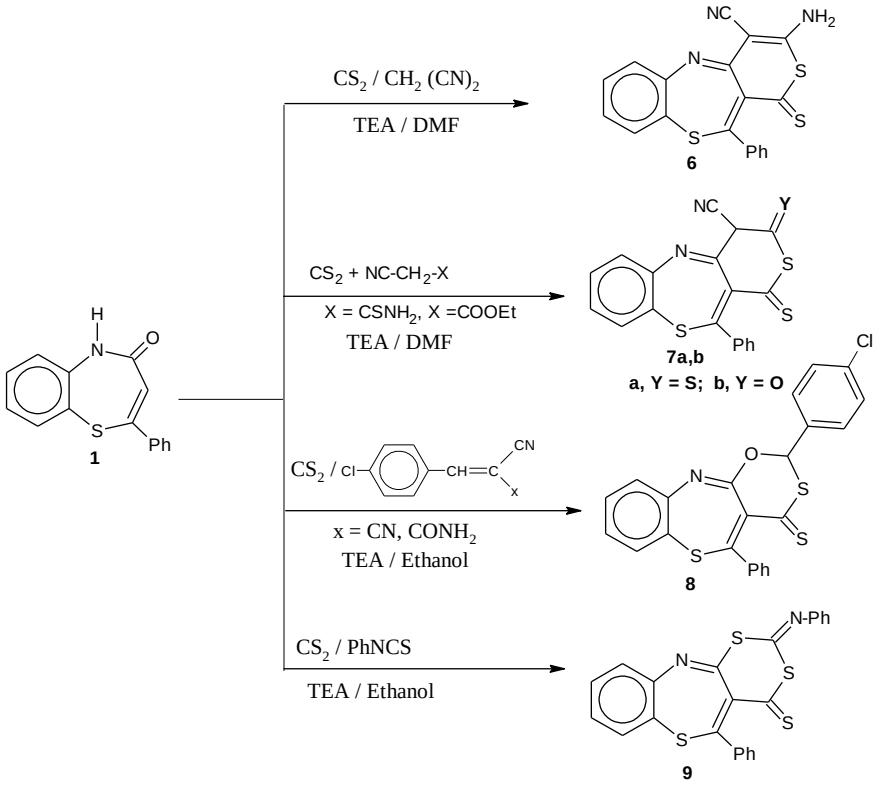
reaction pathway was assumed to proceed through the nucleophilic addition of the formed carbanion at 3-position of compound 1 at the C=C group of the arylidene substrate followed by the addition of the tautomeric OH group to the CN group forming compounds 2 & 3 or attack on the CO ester with elimination of ethanol molecule affording compound 4. While in case of compound 4, the condensation of the amino group with the carbonyl group of compound 1, took place [17] .



Scheme 1

The reaction of compound 1 with carbon disulphide and active nitriles namely; malononitrile, cyanothioacetamide and ethyl cyanoacetate in dimethylformamide in presence of catalytic amount of triethylamine afforded the corresponding thiopyrano [1,5]-benzothiazepine derivatives 6 & 7a,b, respectively, (Scheme 2). Their IR spectra revealed the absence of the absorption bands corresponding to NH and C=O groups of compound 1 and appearance of new absorption bands corresponding to NH_2, CN and CO groups at ν 3304,3182; 2207-2194, and 1704 cm^{-1}, respectively. The reaction is thought to proceed[17] through initial formation of a carbanion at the 3-position of compound 1 which exerts a nucleophilic attack at the CS_2 carbon atom forming the corresponding dithiocarboxylic group. This step is followed by a nucleophilic attack of the SH group at the CN, CS or CO groups with elimination of NH_3 molecule in case of cyanothioacetamide or elimination of ethanol molecule in case of ethyl cyanoacetate and subsequent condensation of the active methylene group with C=O group of the benzothiazepine compound forming compounds 7a and 7b, respectively.

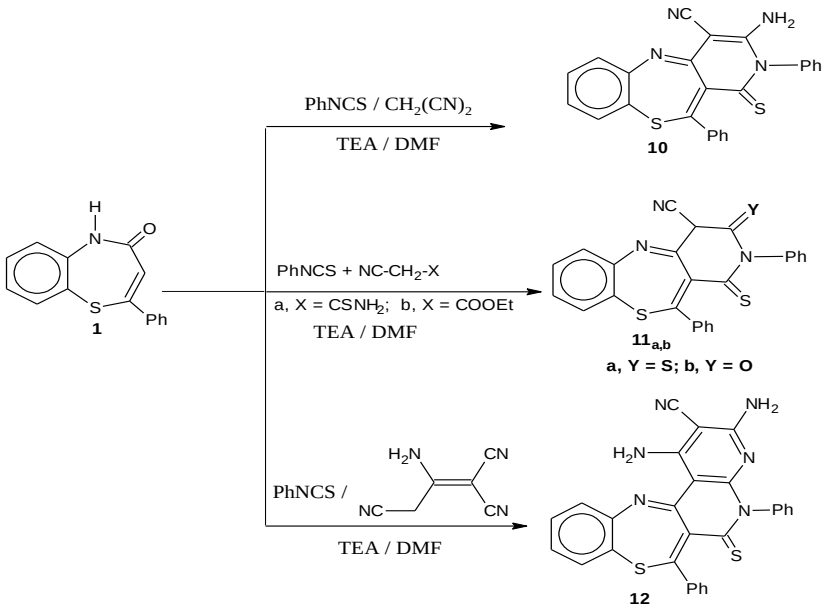


Scheme 2

Refluxing of compound 1 with carbon disulphide and *p*-chlorobenzylidine-malononitrile or *p*-chlorobenzylidinecyanoacetamide in ethanol containing

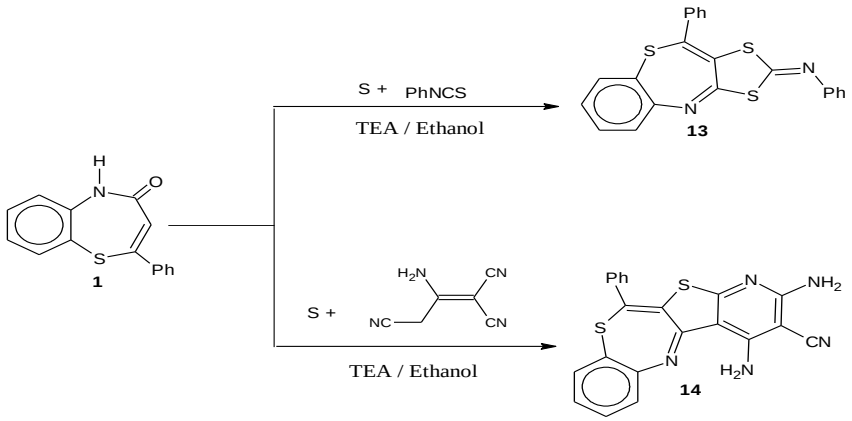
catalytic amount triethylamine gave the same product in the two cases namely,2-(4-chlorophenyl)-5- phenyl- 2H-(1,3) oxathieno [5,6-e] [1,5] benzothiazepine-4 -thione (8) (Scheme 2). ^{13}C NMR spectrum showed the following new signals at δ 218.00, 81.46 for (C=S) and (O-CH-S-) groups, respectively. Its mass spectrum showed molecular ion peak M^+ at m/z 454.1,452.1 (,66%) at 454.1 (M^+ + 2); 452.1 (M^+, 66%). The reaction pathway of compound 8 was assumed to follow a nucleophilic addition of the formed carbanion at position 3 to the C=S of carbon disulphide followed by nucleophilic attack at the C=C of the arylidene by the terminal SH group with elimination of malononitrile or cyanoacetamide. Moreover, 2-phenylimino-5- phenyl-4*H*-1,3-dithieno [4,5-*e*] [1,5] benzothiazepine-4- thione (9) was obtained through the reaction of compound 1 with carbon disulphide and phenylisothiocyanate[18] in ethanol in presence of triethylamine as a catalyst (*cf.* Scheme 3). Its IR spectrum revealed the absence of the absorption bands corresponding to NH and C=O groups and the appearance of the C=S absorption band at 1164-1128 cm^{-1}. Whereas, ^{1}H-NMR spectrum revealed the absence of the signal corresponding to =CH group. ^{13}C-NMR spectrum showed new signal at δ 199.40 for (C=S) group. Mass spectrum showed the molecular ion peak at m/z 446 (M^++1), 55%, 369 (1 %), 268.89 (20%), 235.9(18%), 151.95 (11%), 104.49(100%), 77.81(65%).

Similarly, compound 1 was treated with phenylisothiocyanate and active nitriles namely: malononitrile, cyanothioacetamide, ethyl cyanoacetate and 2-amino-1,1,3-tricyano-1-propene to give pyrido[3,4-*c*][1,5]benzothiazepines (10 & 11a,b) and benzothiazepino[6,5-*c*][1,8]naph-thyridine 12, respectively. The reaction mechanism was previously discussed [16] (Scheme 3).



Scheme 3

The reaction of compound 1 with sulphur and phenylisothiocyanate or 2-amino-1,1,3-tricyano-2-amino-1-propene[16] in ethanol and a catalytic amount of triethylamine gave 10-phenyl-2-phenylimino-1,3-dithiolo[4,5-*e*] [1,5] benzothia-zepine (13) and 1,3-diamino-2-cyano-6-phenylpyrido [3',4':4,5] thieno [3,2-*e*] [1,5] benzothiazepine (14), respectively (Scheme 4). Their IR spectra revealed the absence of the absorption bands corresponding to the NH and C=O groups of the starting compound 1 and the presence of new bands at 3420-3300 cm^{-1} and 2189 cm^{-1} corresponding to NH_2 and CN groups, respectively. Mass spectrum of compound 13 showed a molecular ion peak $M^{\cdot+}$ at m/z 402 (5.4 %). Also, Mass spectrum of compound 14 showed a molecular ion $M^{\cdot+}$–1 at m/z 398 (53.4%), and $M^{\cdot}$–2 at m/z 397 (100%).



Scheme 4

Experimental

General

All melting points were recorded on Melt-Temp II melting point apparatus. IR spectra were measured as KBr pellets on a Nicolet 710 FT-IR spectrometer. ^{1}H NMR spectra were recorded in deuterated chloroform or dimethyl sulfoxide at 200 MHz on a Varian Germini NMR spectrometer using tetramethyl-silane as an internal reference. ^{13}C-NMR spectra were recorded on Brucker AC 300 (75.5 MHz) spectrometer. Mass spectra were performed on a Shimadzu GCMS-QP 1000 mass spectrometer at 70 eV. The elemental analyses were carried out on an elemental analyzer 240 ºC. All compounds were checked for their purity on TLC plates.

Pyrano[3,2-b]- and pyrido[3,2-b][1,5]benzothiazepines

General procedure

A mixture of compound 1 (5 mmol, 1.30 g), arylidene compound namely: (*p*-chloro-benzylidinemalononitrile (0.94 g), *p*-chlorobenzylidinecyanoacetamide (1.03 g), ethyl *p*-chlorobenzylidenecyanoacetate (1.17 g) and benzo[*b*]-3,4-dioxomethylidinecyanothio-acetamide (1.16 g), and drops of piperidine was refluxed in dioxane (20 ml) for 4 hr. On cooling, the formed precipitate was filtered off and recrystallized from appropriate solvent.

2-Imino4-(4-chlorophenyl)-5-phenyl-2H-pyrano[3,2-b][1,5]benzothiazepine-3-carbonitrile (2)

Crystallized from ethanol in 56% yield; mp. 310-2 ^{o}C. IR(KBr) cm-1: 3223(NH); 2203 (C≡N); 1632(C = N). ^{1}H NMR(DMSO-d6) δ 11.00(s, 1H, NH); 8.00 – 7.00(m, 13H, H_{arom}). Anal. Calcd for $C_{25}H_{14}ClN_3OS$ (439.92): C, 68.34 ; H, 3.21; N, 9.55; S, 7.29 . Found: C, 68.66; H, 3.05; N, 9.720; S, 7.32.

2 Iimino4-(4-chlorophenyl)-5-phenyl-3,4-dihydro-2H-pyrano[3,2-b]-[1,5]benzo-thiazepine-3-carboxamide (3)

Crystallized from ethanol in 66% yield; mp. 285-7 ^{o}C. IR(KBr) cm^{-1}: 3442, 3321 (NH_2); 3193(NH); 1690 (C=O). 1H NMR(DMSO-d6) δ 10.40(s, 1H, NH); 8.00 – 7.20 (m,13H, Harom); 5.30(d, 1H, CH-Ar); 3.70(d, 1H, CH-C=O); 3.40(s, 2H, NH_2).. Anal. Calcd for $C_{25}H_{18}ClN_2O_2SCl$ (459.96): C, 65.28 ; H, 3.94; N, 9.41; S, 6.97 . Found: C, 65.15; H, 3.77; N, 9.02; S, 6.79.

4-(4-Chlorophenyl)-2-hydroxy-5-phenyl-4H-pyrano[3,2-b][1,5]-benzothiazepine- 3-carbonitrile (4)

Crystallized from dioxane in 70 % yield; mp. 267-8 oC. IR(KBr) cm^{-1}: 3434 (OH); 2213 (C≡N). 1H NMR(DMSO-d6) δ 7.80 – 7.10(m, 13H, H_{arom}); 5.10(s, 1H,CH-Ar); 3.80(s, 1H, OH). Anal. Calcd for $C_{25}H_{15}ClN_2O_2SCl$ (442.92): C, 67.79 ; H, 3.41; N, 6.32; S, 7.24. Found: C, 67.59; H, 3.37; N, 6.11; S, 7.41.

4-Benzo[a][1,3]dioxol-5-yl- 2-mercapto-3- cyano-5- phenyl-4,11-dihydropyrido [3,2-b][1,5]-benzothiazepine (5)

Crystallized from benzene in 50 % yield; mp. 301-3 ^{o}C. IR(KBr) cm^{-1}: 3285 (NH); 2201 (C≡N); 1633 (C=N). 1H NMR(DMSO-d6) δ 10.50(s, 1H, NH); 8.00-6.90(m, 12H, H_{arom}+ 2H, $CH_2(O)_2$); 5.20(s, CH-Ar); 1.20(s, 1H, SH). Anal. Calcd for $C_{26}H_{17}N_3O_2S_2$ (467.56): C, 66.79; H, 3.66; N, 8.99; S, 13.72. Found: C, 66.53; H, 3.64; N, 9.11; S, 13.61.

Thiopyrano[4,3-b][1,5]benzothiazepines

General procedure

To a stirred solution of compound 1 (0.01 mol, 2.53 g) in ethanol (20 ml), carbon disulphide (0.015 mol, 1.14 ml) and triethylamine (1 ml) were added. The reaction mixture was stirred at room temperature for 2 hr, and then 0.01 mole of malononitrile (0.66 g), cyanothioacetamide (1 g) or ethyl cyanoacetate (0.11 ml) in dimethylformamide (1 ml) was added. The reaction mixture was refluxed for 4 hr. After cooling, the reaction mixture was poured into water and HCl (100 : 5 ml, v/v) and the solid product was filtered off, washed with water and crystallized from appropriate solvent.

3-Amino-11-phenyl-1-thioxo-thiopyrano[4,3-b][1,5]benzothiazepine-4- carbonitrile (6)

Crystallized from benzene in 80 % yield; mp. 277-9 ^{o}C. IR(KBr) cm^{-1}: 3304, 3182 (NH_2); 2207 (C≡N). ^{1}H NMR(DMSO-d6) δ 7.80 – 6.90(m, 9H, H_{arom});

5.10(br,2H, NH_2). Anal. Calcd for $C_{19}H_{11}N_3S_3$ (377.51): C, 60.45 ; H, 2.94; N, 11.13; S, 25.48. Found: C, 60.67; H, 2.69; N, 11.16; S, 25.71.

11-Phenyl-1,3-dithioxo-4H-thiopyrano[4,3-b][1,5]benzothiazepine-4-carbonitrile (7a)

Crystallized from DMF in 58 % yield; mp 256-8 °C. IR(KBr) cm-1: 2201 (C≡N). ^{1}H NMR(DMSO-d6) δ 8.20 – 7.20 (m, 9H, H_{arom}); 2.60(s,1H, CH-CN). Anal. Calcd for $C_{19}H_{10}N_2S_4$ (394.57): C, 57.84 ; H, 2.55; N, 7.10; S, 32.51. Found: C, 57.69; H, 2.66; N, 6.93; S, 32.78.

3-Oxo- 11- phenyl-1- thioxo- 4H-thiopyrano[4,3-b] [1,5]-benzothiazepine-4-carbonitrile (7b)

Crystallized from benzene in 86 % yield; mp 299 °C. IR(KBr) cm^{-1}: 2194 (C≡N); 1704 (C=O). ^{1}H NMR(DMSO-d6) δ 9.10 – 7.40 (m, 9H, H_{arom}); 2.20(s, 1H, CH-CN). Anal. Calcd for $C_{19}H_{10}N_2OS_3$ (378.50): C, 60.29 ; H, 2.66; N, 7.40; S, 25.42. Found: C, 60.47; H, 2.83; N, 7.52; S, 25.19.

Oxathiolo[5,6-e]- and 1,3-dithiolo[4,5-e][1,5]benzothiazepine-4-thiones

General procedure

To a stirred solution of compound 1 (0.01 mol, 2.53 g) in ethanol (20 ml), carbon disulphide (0.015 mol, 1.14 ml) and triethylamine (1 ml) were added. The reaction mixture was stirred at room temperature for 2 hr, then *p*-chlorobenzylidinemalononitrile (0.01 mol, 1.9 g), *p*-chlorobenzylidine-cyanoacetamide (0.01 mol, 2.06 g) or phenyl isothiocyanate (0.01 mol, 1.2 ml) was added. The reaction mixture was refluxed for 4 hr; the solid precipitated was filtered off and crystallized from appropriate solvent.

2-(4-Chlorophenyl)- 5- phenyl-2H-[1,3]oxathiolo[5,6-e] [1,5]benzothiazepine-4-thione (8)

Crystallized from benzene in 90 % yield; mp 301-302 °C. IR(KBr) cm^{-1}: 1625 (C=N); 1190 (C=S).^{1}H NMR (DMSO-d6) δ 8.20 – 6.40 (m, 13H, H_{arom}); 5.10(s, 1H, CH).^{13}C NMR(DMSO-d6) δ 218.00 (C=S), 160,00, 156.00, 148.40, 139, 90,136.33, 134.80, 134.66, 131.44, 130.66, 130.45, 130.45, 130.23, 128.90, 128.90, 127.77, 127.27, 126.45, 126.45, 126.11, 124.88, 81.46 (O-CH-S-). Anal. Calcd for $C_{23}H_{13}$ $ClNOS_3$ (451.01): C, 61.25 ; H, 2.91; N, 3.11; S, 21.33. Found: C, 61.51; H, 2.69; N, 3.25; S, 21.11.

2-Phenylimino-5-phenyl-4H-1,3-dithiolo [4,5-e][1,5]benzothiazepine-4-thione (9)

Crystallized from benzene in 86 % yield; mp. 225-6 °C. IR(KBr) cm^{-1}: 3056 (CH_{arom}); 1627 (C=N); 1164 (C=S). ^{13}C NMR(DMSO-d6) ^{13}C NMR: 199.40 (C=S), 159.22, 151.80 (-C=N-), 150.77, 150.75, 150.23, 148.32, 137.30, 133.44, 133.36, 131.35, 131.00, 129.33, 129.33, 128.00, 127.57, 127.57, 126.55, 126.55, 126.00, 125.35, 125.35, 123.34. Anal. Calcd for $C_{23}H_{14}N_2S_4$ (446.64): C, 61.85; H, 3.16; N, 6.27; S, 28.72. Found: C, 61.69; H, 3.02; N, 6.42; S, 28.95.

Thioxopyrido [4,3-b] [1,5] benzothiazepines and benzothiazepino [6,5-c] [1,8] naphtharidine

General procedure

To a stirred solution of compound 1 (0.01 mol, 2.53 g) in dioxane (20 ml), phenyl isothiocyanate (0.01 mol, 1.2 ml) and triethylamine (1 ml) were added. The reaction mixture was stirred at room temperature for 2 hr, and then malononitrile (0.01 mol, 0.66 g), cyanothioacetamide (0.01 mol, 1 g), ethyl cyanoacetate (0.01 mol, 0.11 ml) or 2-amino-1,1,3-tricyano-1-propene (0.01 mol, 1.3 g) in DMF (1 ml) were added. The reaction mixture was refluxed for 4 hr. After cooling, the reaction mixture was poured into dilute hydrochloric acid (100 ml l, 5%). The solid product was filtered off, washed with water and crystallized from appropriate solvent.

3-Amino2,11-diphenyl-1-thioxopyrido[4,3-b][1,5]benzothiazepine-4-carbonitrile (10)

Crystallized from benzene in 86 % yield; mp 302-4 ^{o}C. IR(KBr) cm-1: 3282, 3176 (NH2); 2197 (C≡N). 1H NMR(DMSO-d6) δ 8.2 0- 7.00 (m, 14H, H_{arom}) 3.20 (brs, 2H, NH_2). Anal. Calcd for $C_{25}H_{16}N_4S_2$ (436.56): C, 68.78 ; H, 3.69; N, 12.83; S, 14.69. Found: C, 68.49; H, 3.82; N, 12.57; S, 14.91.

2,11-Diphenyl- 1,3- dithioxo-4H-pyrido [4,3-b] [1,5] benzothiazepine-4-carbonitrile (11a)

Crystallized from benzene in 86 % yield; mp 235-7 ^{o}C. IR(KBr) cm-1: 2203 (C≡N); 1617 (C=N). 1H NMR(DMSO-d6) δ 8.10 – 7.00 (m, 14H, H_{arom}); 2.40 (s, 1H, CH –CN). Anal. Calcd for $C_{25}H_{15}N_3S_3$ (453.61): C, 66.20 ; H, 3.33; N, 9.26; S, 21.21. Found: C, 66.48; H, 3.50; N, 9.07; S, 21.39.

3-Oxo-2,11-diphenyl-1-thioxo-4H-pyrido[4,3-b][1,5]benzothiazepine-4-carbonitrile (11b)

Crystallized from benzene in 86 % yield; mp 310-12 ^{o}C. IR(KBr) cm^{-1}: 2210 (C≡N); 1693 (C=O). 1H NMR($CDCl_3$-d6) δ 8.50 – 7.10(m, 14H, H_{arom}); 2.60(s, 1H, CH-CN). Anal. Calcd for $C_{25}H_{15}N_3OS_2$ (437.55): C, 68.63 ; H, 3.46; N, 9.60; S, 14.66 . Found: C, 68.41; H, 3.61; N, 9.76; S, 14.40.

1,3-Diamino-5,7-diphenyl-6-thioxo-[1,5]benzothiazepino[6,5-c][1,8] naphtharidine-2-carbonitrile (12)

Crystallized from benzene in 86 % yield; mp 329-330 ^{o}C. IR(KBr) cm^{-1}: 3429, 3330, 3208 (2NH, NH_2); 2199 (C≡N). 1H NMR(DMSO-d6) δ 8.3 0– 7.10 (m, 14H, H_{arom}); 4.40 (s, 1H, NH); 3.20 (s, 2H, NH_2); 2.20 (s, 1H, NH). Anal. Calcd for $C_{28}H_{18}N_6S_2$ (502.62): C, 66.91 ; H, 3.61; N, 16.72; S, 12.76. Found: C, 66.68; H, 3.45; N, 16.89; S, 12.51.

1,3-Dithiolo[4,5-e]- and thieno[3,2-e][1,5]benzothiazepines

General procedure

To a stirred solution of compound 1 (0.01 mol, 2.53 g) in ethanol (20 ml), sulphur (0.01 mol, 0.32 g) and triethylamine (0.2 ml) were added. The reaction

mixture was refluxed for 2 hr, then phenyl isothiocyanate (0.01 mol, 1.2 ml) or 2-amino-1,1,3-tricyano-1-propene (0.01 mol, 1.32 g) was added. The reaction mixture was refluxed for 4 hr. The solid precipitate was filtered off and crystallized from appropriate solvent.

10-Phenyl-2-phenylimino-1,3-dithiolo[4,5-e][1,5]benzothiazepine (13)

Crystallized from benzene in 86 % yield; mp 267-9 ^{o}C. IR(KBr) cm^{-1}: 1651 (C=N). ^{1}H NMR(DMSO-d6) δ 8.20 – 7.00 (m, 14H, H_{arom}). Anal. Calcd for $C_{22}H_{14}N_2S_3$ (402.56): C, 65.63 ; H, 3.51; N, 6.96; S, 23.90. Found: C, 65.87; H, 3.27; N, 7.05; S, 23.67.

1,3-Diamino-6-phenylpyrido [3˙,4˙:4,5] thieno[3,2-e] [1,5] benzothiazepine-2-carbonitrile (14)

Crystallized from benzene in 86 % yield; mp 305-7 ^{o}C. IR(KBr) cm^{-1}: 3420, 3300 (NH_2); 2189 (C≡N). ^{1}H NMR(DMSO-d6) δ 8.00 – 7.10 (m, 9H, H_{arom}); 6.00-5.00 (brs, 4H, NH_2). Anal. Calcd for $C_{21}H_{13}N_5S_2$ (399.50): C, 63.14 ; H, 3.28; N, 17.53; S, 16.05. Found: C, 63.39; H, 3.06; N, 17.28; S, 16.23.

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تخليق المركبات الحلقية غير المتجانسة المشتقة من مركب ٢-فينيل بنزوثيازبين -٤كيتون

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أجرى تفاعل مركب ٢- فينيل بنزوثيازبين -٤كيتون (١) مع آريلليدين مالونتريلات فى وجود ثانى كبريتيد الكربون أو فينيل أيزو ثيوسيانات حيث تكونت المركبات الحلقية غير المتجانسة الملتحمة (٢-١١) على التوالى وعند مفاعلة المركب (١) مع خليط من عنصر الكبريت و فينيل أيزو ثيوسيانات أو مركب ٢-امينو ١،١،٣- ثالث سيانو ٢- أمينو بروبين تتكون مشتقات ١ - ٣- داى ثينو - ٤ وثينو بنزوثيا زبينز (١٢،١٣) على التوالى.