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SYNTHESIS AND REACTIONS OF SOME 2-MERCAPT0-3-CYNO BENZOFURAN DERIVATIVES WITH EXPECTED BIOLOGICAL ACTIVITY

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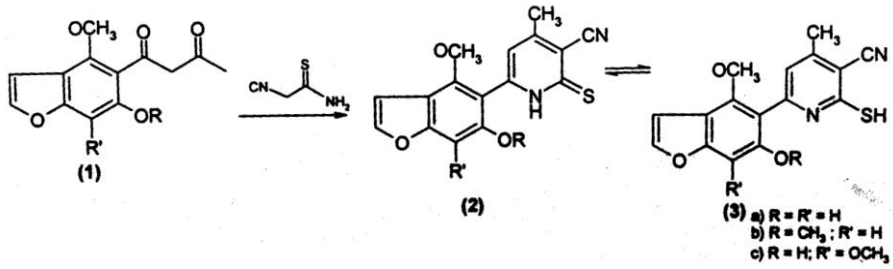
Abstract

Benzofuran derivatives are known possess hypotensive[1], vasodilating and spasmolytic activity[2]. Moreover, some benzofuran derivatives showed antibacterial activity as well as antiparasitic properties[3,4].On the other hand substituted pyridine showed herbicidal[5] and antibacterial activities[6] compounds having both pyridine and benzofuran moieties can be expected to possess marked biological activities.

Chemistry:

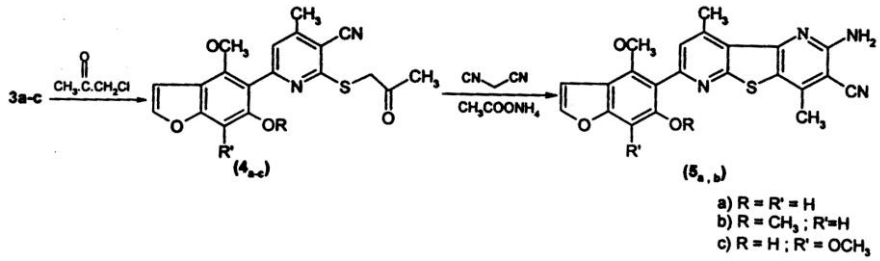
3-[4,7-Dimethoxy-6-hydroxy benzofuran-5-yl] buta-l,3dione(**l**$_c$)[7] reacted with α-thiocynoactamide in presence of ammonium acetate[8] to give 2-mercapto-3-cyano-4-methyl-6-[4,7dimethoxy-6-hydroxybenzofuran-5yl] pyridine [**2**$_c$ or possible isomer **3**$_c$] (Scheme **I**)



(Scheme I)

Compound **2**$_c$ was confirmed by elemental analysis (Table II) and respectroscopic evidence.

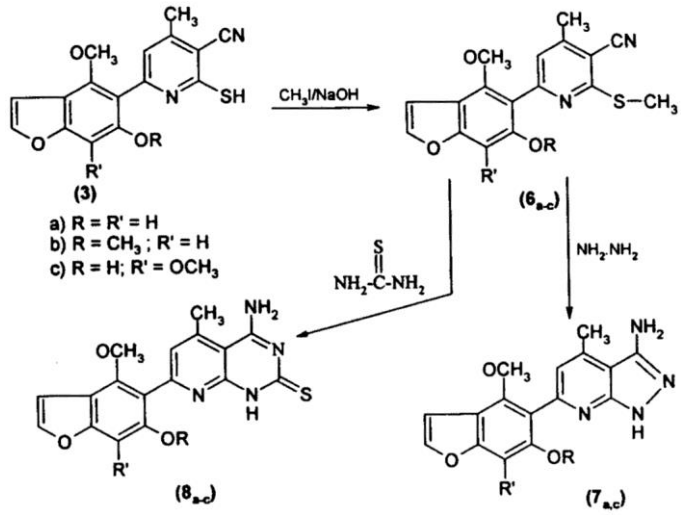
The reaction of compounds **2**$_{a-c}$ or its isomer **3**$_{a-c}$ with chloro acetone[9] gave pyridine derivatives[10] (**4**$_{a-c}$). Their H^1NMR spectra showed the methylene group at (δ,4.15,4,13,4.18) respectively. Heating of **4**$_{a,c}$ with malononitrile and ammonium acetate gave **5**$_{a,b}$ (Scheme II).



(Scheme II)

Compound **4**$_{a-c}$, **5**$_{a,c}$ were confirmed by elemental analysis (Table II) and spectroscopic data.

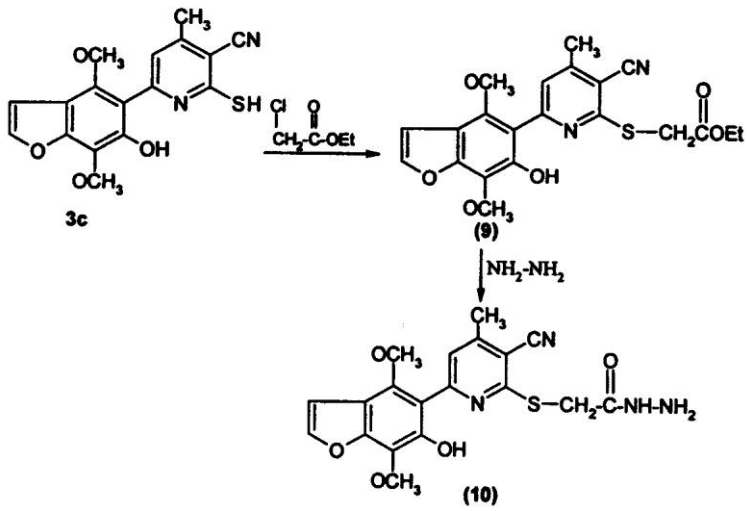
Methylation of **2**$_{a-c}$ or its isomer **3**$_{a-c}$ in presence of sodium and methyl iodide in ethanol at room temperature gave 2-mercaptopyridine derivatives (**6**$_{a-c}$). Treatment of compound (**6**$_{a,c}$) with excess of hydrazine hydrate in hot ethanol gave pyrazolopyridine derivatives (**7**$_{a,c}$) IR showed (NH_2/NH) at 3340 & 3213 cm^{-1}. Condensation of compounds **6**$_{a-c}$ with thiourea, sodium ethoxide in hot ethanol gave the pyridopyrimidine derivatives **8**$_{a-c}$. (Scheme III)



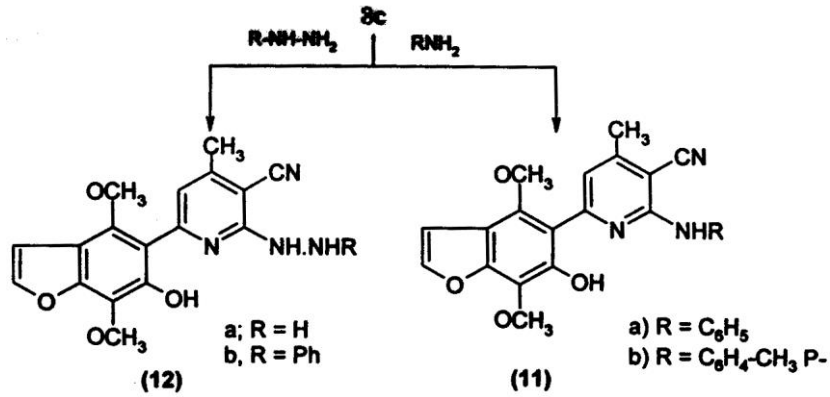
(Scheme III)

Alkylation of 2-mercapto-3-cyno 4-methyl-6-[4,7-dimethoxy-6hydroxybenzofuran-5-yl] pyridine (**3**$_c$) with ethylchloroacetate in presence of sodium acetate in dry acetone, yielded pyridine thioacetate derivative **(9)**. Condensation of compound **(9)** with hydrazine hydrate in ethanol afforded the corresponding

3-cyano-4,6- disubstituted-2-pyridinylthioacetyl hydrazine **(10)** (Scheme **IV**).



Ethanolic solution of **3**$_c$ with primary aromatic amines such as aniline and p-toludine gave the corresponding 2-aminopyridinederivatives **(11**$_{a,b}$**)**. Similarly, reaction of **3**$_c$ with hydrazine hydrate and phenyl hydrazine afforded the corresponding 2-hydrazino and phenylhydrazino-3-cyno-4-methyl-6-substil uted pyridine derivatives respectively (**12**$_{a,b}$).



Experimental

Melting points were uncorrected and were determined on a Stuart melting point apparatus. Elemental analysis were determined on a perkin Elmer, 240 (micro-analyses), microanalytical laboratory, Cairo, university, Giza, Egypt. IR spectra

were recorded on a Shimadz 440 Infrared Spectrophotometer (Shimaduz) Japan using KBr technique. IHNMR Spectra were recorded on a BRUKER Proton NMR-Advance 300(300MHz) in DMSO-d_6 as a solvent, using tetramethylsilane (TMS) as internal standard and chemical shift (δ) in ppm. Mass spectra were in run on HP MODEL MS-5988.

Synthesis of 2-mercapto-3-cyno-4-methyl-6-(4,7-dimethoxy-6-hydroxy benzofuran-5-yl]pyrimidine (2e or its isomer 3c):

A Mixture of (0.01 mol) of **lc**, (0.01 mol) of α-cynoacetamide and (0.008 mol) of ammonium acetate was heated under refluxed for 6 hrs. The reaction mixture was left to cool, filtered than crystallized from ethanol (c.f table 11). IR spectrum (KBr) showing strong absorption bands at 3395 (OH), 2210 (C≡N).

Mass spectra m/z (%) 342 (20%) and base beak at 193. H^1NMR (DMSO-d_6) spectrum showed δ 2.2 (s, 3H, CH_3), 3.6, 4.1 ppm (2s, 6H, $2OCH_3$), 5.9 ppm (broad, IH, SH), 6.4-6.6 (m, 2H, IH of H-3 of furan moiety and IH of H-5 of pyridine moiety; 7.45 ppm (d, IH H-2 of furan moiety) (J=2, 1HZ), and 12.0 ppm (broad, IH, OH exchangeable with D_2O).

Synthesis of 3-cyno-4-methyl 2-acetyl methyl thio-6-[4-methoxy-6-hydroxybenzofuran-5-yl] pyridine (4_a), 6-[4,6-dimethoxy benzofuran-5-yl] pyridine (4_b) and 6-[4,7-dimethoxy-6-hydroxybenzofuran-5-yl] pyridine (4_c).

Equimolar amount of pyfidine thione **2_{a-c}** or its isomer (**3_{a-c}**) (0.01 mol) and chloroacetone (0.01 mol) were heated under reflex in (20 ml)] pyridine for 5hrs. The solvent was evaporated and the solid obtained was washed several times by ethanol and recrystallized from suitable solvent to yield 4a-c (c.f. table II).

IR spectra KBr for compound 4a 3395 (OH); 2220 (C≡N) 1642 (⟩C=N) and 1700 (C=O) cm^{-1} mass spectra m/z% 368 (10%). H^1NMR for 4a δ 2.3 ppm (s, 3H, CH_3), 2.41 ppm (s, 3H, $CO.CH_3$), 3.34 ppm (s, 3H, OCH_3) 4.15 ppm (s, 2H,CH_2), 6.6-ppm (d, J=2, 1Hz, IH of H.3 of furan moiety), 7.2 (s, 2H, IH pyridine and IH_{-7} benzofuran moiety), 7.6 ppm (d, J=2.1Hz IH, H_{-2} furan moiety) and 10(broad, s, IH, OH). For 4b IR data 2222cm^{-1} (C≡N) and 1640 cm^{-1} (C=N) and 1690 cm^{-1} (C=O) M.S m/z % 382 (15%). H^1NMR of δ 2.1 ppm (s, 3H, CH_3), 2.4 ppm (s, 3H, $COCH_3$ 3.4 (2s, 6H, $2OCH_3$) and 4.13 (s, 2H, CH_2), 6.2-6.4 (m, 2H of H_{-3} furan + H_{-5} pyridine), 6.8 (s, IH, H_{-7} benfouran) and 7.5 (d, IH, H_{-2} furan).

IR spectrum for **4_c** 3395 cm^{-1} (OH), 2222 cm^{-1} (C≡N), 1642 cm^{-1} (C=N) and 1690

cm^{-1} (C=O). Mass spectrum m/z% 398 (10%). H^1NMR data for 4c δ 2.11 ppm (s, 3H-CH_3) 3.43 (s, 3H, $COCH_3$), 3.9-4.2 (2s, 3H, OCH_3 for each), 4.5 (s, 2H, CH_2), 6-6.2 (m, 2H, H..3 furan + H.$_5$ pyridine) 7.0 (s, IH, H.7 benzofuran) and 7.2 (d, IH, H.2 furan).

Preparation of 2-amino 7-[4-methoxy-6-hydroxy benzofuran-5-yl] (5a) or 7-[4,7-dimethoxy-6-hydroxy benzofuran-5-yl]4,9-dimethyl thio-[2,3$_d$; 4,5$_b$'] dipyridine-3-carbonitrile (5$_c$)

A mixture of 4a or 4c (0.01 mol), malononitrile (0.66 mol) and ammonium acetate (excess 1.5 gm) were fused together at 200°C for 20 minutes in an oil bath. The solid obtained was treated with acetic acid and filtrated to give compound **4$_a$** and **4$_b$** respectively. The compound recrystallized from suitable solvent (c.f. Table II) In IR spectrum disappear C=O, for 5a IR V_{max}/cm^{-1}, 2210 (C=N), 3372 (NH_2), 1634, 1630 (C=N) and 3390 (OH). Its ^{1}HNMR,δ 2.43, δ 2.47 ppm (s-6H, $2CH_3$) and 4.1 ppm (s, 3H, OCH_3) 6.61 ppm (br, 2H, NH_2) 6.8-7.0 (m, 2H, H$_{-3}$ furan + H$_{-5}$ pyridine) 7.35-8.2 (m, 2H, H$_{-2}$ furan H_7 benzofuran) 11.0 ppm (br IH, OH). Mass spectra m/z % 416 (25%), base peak 190. IR for 5b 2220 (C=N), 3370 (NH_2), 1630, 1635 (C=N) and 3395 (OH), ^{1}HNMR, δ 2.49 ppm (s, 3H, $2CH_3$) 4.2 4.35(2s,6H, 20CH3), 6-67 ppm (br, s, 2H NH2 exchangeable with D_2O) 6.9-7.0 (m, 2H, H..3 furan + H_5 pyridine), 2.8 (d, H-$_2$ furan) and 12.0 ppm (br, s, IH, OH). Mass spectra m/z(%) 446 (5%).

Synthesis of 3-cyno-4-methyl-(2-methylthio-6-[4-methoxy-6-hydroxy benzofurane-5-yl)-pyridine (6$_a$) or 6-[4,6-dimethethoxy benzofuran 5-yl) pyridine (6$_b$) or 6-[4,7-dimethoxy 6-hydroxy benzofuran-5yl] pyridine (6$_c$).

The pyridine thione **3$_{a-c}$** (0.01 mol) was dissolved in a mixture of sodium hydroxide (10% 5 ml) and ethanol (20 ml). The reaction mixture was stirred at room temperature and excess of methyl iodide (0.012 mol) was added drop wise during 15 minutes. Stirring was continued for 3 hr, water was then added. The obained solid was filtrated, washed several time by water and recrystallized from suitable solvent (c.f. Table II) for 6a IR 2208 (C≡N), 1630 (C=N) and 3395 (OH). H^1NMR δ 2.35 ppm (s, 3H, CH_3), 2.46 ppm (s, 3H, SCH_3), 3.91 ppm (s, 3H, OCH_3) 6.6-6.8 (m, 2H, H_3 furan + H_5 pyridine), 6.95 (s, 1H, H-$_7$ furan), 7.45 (d, 1H, H-$_2$ furan) and 12 ppm (s, H,OH). Mass spectra mlz % 326. IR for 6$_b$ 2220 (C≡N), 1630 (C=N). ^{1}HNMR δ 2.36 ppm (s, 3H, CH_3), 4.06 (s,3H, OCH_3), 4.2 ppm (s, 3H, OCH_3) 6.4-6.6 (m, 2H, H-$_3$ furan H-$_5$ pyridine), 6.8 (s, 1H, H-$_7$ benzofuran) and 7.2 (d, 1H, H.2 furan), mlz(%)340. For 6c. IR max/cm^{-1} 2220 (C≡N) 1633 (C=N), 3390 (OH), ^{1}HNMR

δ 2.4 ppm (s, 3H, CH_3), 2.5 ppm (s, 3H, S-CH_3), 4.1, 4.3 (2s, 6H, 2OCH_3) 6.7-6.8 (m, 2H, H-3 furan + H-5 pyridine), 7.9 (d, IH, H.2 furan) and 12 ppm (s, IH, OH), mass spectrum mlz (%) 356.

Preparation of 3-amino 6-[4-methoxy-6-hydroxy benzofuran-5-yl] or 6-[4,7-dimethoxy-6-hydroxy benzofuran-5yl]-4-methyl IH pyrazolo [3,4d] pyridine [7 a,c]:

A mixture of 6a or 6b (0.01 mol) and hydrazine hydrate (excess 3 ml) in hot ethanol (50 ml) was heated for about 4hrs. The solvent was evaporated and the solid was recovered by filtration and recrystalized from ethanol to give **7a,c**. IR υ_{max}/cm^{-1} for **7**a showed 3342 (NH_2), 3213 (NH), 3395 (OH) and 1630, 1644 for (2 C=N). H^1NMR of **7a** (DMSO) δ 2.6 ppm (s, 3H, CH_3), 4.1 ppm (s, 3H, OCH_3), 6.41 ppm (s, 2H, NH_2 exchangeable with D_2O) 6.3-6.5 (m, 2H, H_3 furan + H_5 pyridine) 6.9 (s, IH, NH), 7.1 (s, 1H, H7 benzofuran), 7.8(d,IH,H-2, furan) and 10 ppm (s, IH, OH). Mass spectra m/z% 310 (2.5%) base peak at 190. IR $\upsilon_{maxl}cm^{-1}$ for compound 7c appeared 3340 (NH_2), 3213 (NH), 3390 (OH) and 1640, 1642 (for 2C=N). H^1NMR for **7c** δ 2.6 ppm (s, 3H, CH_3), 4.05-4.1 ppm (s, 3H, 2OCH_3), 6.3 ppm (s, 2H, NH_2 exchangeable with D_2O) 6-6.5 (m, 2H, H-3 furan + H_5 pyridine) 6.8 ppm (s, IH, NH) 8.2 (d,IH,H-2 furan) and 11 ppm (s, IH, OH) Mass data m/z (%) 340 (3%).

4-Amino-5-methyl-lH pyrido [2,3-d] pyrimidine7[4,7 dimethoxy 6-hydroxy benzofuran-5-yl]2-thione (8e)

A mixture of 7a , 7b or 7c (0.01 mol), thiourea (0.76 gm 0.01 mol) and sodium metal (0.05 gm, 0.02 mol) in ethanol (30 ml), was heated under reflux for 4hrs. The solid obtained on hot was recovered by filtration and recrystallized from suitable solvent to give compounds **8a-c**.

IR $\upsilon max/cm^{-1}$ for compound **8a** showed 3340 (NH_2), 1240 (C=S), 1640-1644 (C=N) and 3390 for (OH). H^1NMR, δ 2.7 ppm (s, 3H, CH_3), 4.1 ppm (s, 3H, OCH_3) 6.61 (m, 2H, H-3 furan + H_5 pyridine) 6.5 ppm (s, 2H, NH_2) 7.1 (s, IH, H-7 benzofuran), 7.9 (d, IH, K-2 furan) and 10ppm (br, 2H, and NH, OH exchangeable with D_2O) m/z (%) 354 (2.5%) 8b IR υ_{max}/cm^{-1} 1242(C=S), 3344 (NH_2), 1640,1644 (C=N), H^1NMR δ 2.7 ppm (s, 3H, CH_3), 4.0, 4.01 (2s for 6H, 2OCH_3) 6.2-6.3 (m, 2H, H_3 furan + H-5 pyridine) 6.7, (s, 2H, NH_2 exchangeable with D_2O), 7.3 (s IH, H-7 benzofuran), 8.1 (d, IH, H_2 furan), 10 (s, IH, NH) and 11.2 (br, s, IH, OH-exchangeable with D_2O) m/z % 368. For **8c** IR υ_{max}/cm^{-1} 1240 (C=S), 3340 (NH_2), 1644, 1646 (C=N). H^1NMR δ 2.7ppm (s, 3H,CH_3), 4.2-4.3 (2 s for 6H, 2OCH_3) 6.0-

6.1 (m, 2H, H_3 furan + Hs pyridine) 6.5-7.1 ppm (br, 2H, NHz) 7.8 (d, IH, H_2 furan), 11 ppm (s, IH, NH) and 11.4 (br, IH, OH exchangeable with D_2O)

Etbyl-[-3-cyno 4,6 disubsituted pyridine-2-yl]-thio acetic ester (9).

A mixture of 3c (0.01 mol), ethyl chloroacetate (0.01 mol) and fused sodium acetate (0.03 mol) in dry acetone (30 ml) was refluxed on a water bath for 10 hrs. The reactions watched and poured into ice. The resulted solid was filtered and washed with water, dried and recrystallized (c.f. table 11). The IR spectrum of (9) showed strong absorption bands at 3189 (OH), 1747 (–$\overset{\overset{O}{\|}}{C}$– of ester), 2213 (C=N) and . 1614cm^{-1} (C=N), mass spectrum m/z (%) 428, H^1NMR of 9 (DMSO) showed single at δ 1.95 (s, 3H, CH_3), 2.35 (t, 3H, CH_2 -CH_3), 3.37 (2s, 6H, 2OCH_3), 3.6-3.8 (m, 4H, SCH_2 and CH_2-CH_3), δ 6.6-7.0 (m, 2H, H-3 furan + H_5 pyridine), 7.2 (s, H, H_2 furan moiety) δ 10 (s 1H, OH exchangeable with D_2O).

3-Cyano-4,6-disubstituted-2-pyridine thio acetyl bydrazines (10)

A solution of **9** (0.01 mol) and hydrazine hydrate (0.01 mol) in ethanol (30 ml) was heated under reflux for 6 hrs. The product obtained after cooling was filtrated, dried and recrystallized to give compound 10 (cf. Table 11). IR spectrum of **10** showed strong bands at 3348 (OH), 3433-3184 (NH_2/NH), 2210 (C=N), 1660 C=O amide, 1597 (C=N) MS of IX m/z(%) 414. H^1NMR (DMSO): δ 1.36 (s, 3H, CH_3), 3.37 (2s, 6H, 2OCH_3) 3.6 (s, 2H-SCH_2) 6.1-6.3 (m, 2H, H_3 furan + H_5 pyridine) 6.6 (br, s, 3H, NH.NH_2), 8.0 (d, IH, H_2 furan) 9.97 (s, IH, CONH) and 11.0 (s, IH, OH, exchangeable with D_2O).

N-sobstituted- 2-amino-3-cyano-4,6-disubstited pyridine derivatives ($ll_{a.b}$):

A solution of 3c (0.01 mol) and a primary amine (aniline, ptoludine) (0.01 mol) in ethanol (30 ml) was heated under reflux for 6 hrs. The product obtained after cooling was filtered and then crystallized from suitable solvent to give $ll_{a.b}$ (c.f. Table II). For lla IR spectrum showing strong absorption bands at 3190 (NH), 2220 (C≡N), 1618 (C=N), 3225 (OH). H^1NMR (DMSO) showed signals at δ 2.34 (s, 3H, CH_3), 3.24 (2s, 6H, 2OCH_3) 6.6 (d,IH, H-$_3$ of furan moiety), 6.8-7.7 (m, 7H, 5H aromatic, IH pyridine moiety, IH, H-$_2$ furan moieties), 10 (s, IH, NH) and 12 (s, IH, OH), MS m/z % 401.

For l1b IR spectrum show 2200 C=N 1620 (C=N), 3250 (OH), and 3190 (NH). ^{1}HNMR of 11 b; 2.3 (2s, 6H, 2CHJ); 3.4. 3.6 (2s, 6, 20CHJ), 6.6 (d, IH, H-J furan),

7.2-7.7 (m, 7H, 5H aromatic + Hs pyridine + H..2 furan), 10 (s, IH, NH) and 12.0 (br, IH, OH-exchangeable with D2O), mJz % 415.

2-(Hydrazino or phenylhydrazino) 4,6-disubstituted pyridine-3cyno derivatives ($12_{a,b}$):

A solution of $\mathbf{l_c}$ (0.01 mol) and hydrazine hydrate or phenylhydrazine (0.01 mol) in ethanol 30 ml was heated under reflux for 5hrs. The precipitate was filtered and crystallized (c.f table) IR spectrum for **12** showed stretching frequency at 3300 (OH), 3121 (NH/NH_2) 2207 (C≡N), 1627 (C=N). The H^1NMR of 12a spectrum of XIIa in DMSO showed 4.01, 4.2 (2s 6H, 2OCH_3), 6.6 (br, 3H, NH, NH_2), 6.9-7.11 (m, 2H, H_3 furan + H_5 pyridine), 7.7 (d, IH, H_2 furan) and 11 (s,IH, OH). Mass spectrum rn/z (%) 340(1.5%) for 12b IR spectrum 2207 (C=N), 1644 (C=N) strong adsorption 3147 (NHNH) and 3359 (OH).

Antimicrobial activity

The standardized disc-agar diffusion method (Bauer Kirby 1966) was followed to determine the activity of the synthesized compounds against the sensitive organisms Staphylococcus aureus (ATCC 25923) and *Streptococcus pyogenes* (A TCC 19615) as Gram positive bacteria, *Pseudomonas fluorescens* (S 97) and *Pseudomonas phaseolicola* (GSPB 2828) as Gramnegative bacteria and the fungi *Fusarium oxysporum* and *Aspergillus fumigatus*.

The broad spectrum antibiotic chloramphencol was used as standard antibacterial reference and cicloheximide was used as standard antifungal reference. The tested compounds were dissolved in dimethyl formamide [(DMF) which have no inhibition activity] to get concentrations of 2 mg / ml and 1 mg / ml. The test was performed on medium potato dextrose agar (PDA) which contains infusion of 200 g potatoes, 6 g dextrose and 15g agar.

Uniform size filter paper disks (3 disks per compound) were impregnated by equal volume (10μ1) from the specific concentration of dissolved tested compounds and carefully placed on inoculated agar surface.

After incubation for 36 h at 27°C in the case of bacteria and for 48 h at 24°C in the case of fungi inhibition of the organisms which evidenced by clear zone surround each disk was measured and used to calculate mean of inhibition zones. The activity of tested compounds were categorized as follows

- Low activity = Mean of zone diameter $\leq$ 1/3 of mean zone diameter of control.

- Intermediate activity = Mean of zone diameter $\leq$ 2/3 of mean
- High activity = Mean of zone diameter> 2/3 of mean zone diameter of control. zone diameter of control.

Table I

Organisms	Mean* of zone diameter, nearest whole mm											
	Gram-positive bacteria				Gram-negative bacteria				Fungi**			
	Staphylococcus aureus (ATCC 25923)		*Streptococcus pyogenes (ATCC 19615)*		*Pseudomonas phaseolicola (GSPB 2828)*		*Pseudomonas fluorescens (S 97)*		*Fusarium oxysporum*		*Aspergillus fumigatus*	
Consent	1	2	1	2	1	2	1	2	1	2	1	2
Sample	2mg /ml	1mg /ml	2mg /ml	1mg /ml	2mg /ml	1mg /ml	2mg /ml	1mg /ml	2mg /ml	1mg /ml	2mg /ml	1mg /ml
3c	-	-	-	-	6L	3 L	-	-	-	-	-	-
4b	-	-	-	-	10L	7 L	10 L	61	-	-	-	-
5a	-	-	-	-	18I	12I	21I	15I	-	-	-	-
6a	-	-	-	-	10L	5L	14I	71	-	-	-	-
7b	-	-	-	-	8 L	2L	7L	2 L	-	-	-	-
8b	-	-	-	-	14 I	9L	20 L	10 L	-	-	-	-
9	-	-	-	-	18 L	14I	18I	11I	17I	9L	-	-
10	-	-	-	-	11L	7L	12 L	9L	-	-	-	-
11a	-	-	-	-	20 L	13I	16I	10L	22I	12 I	18I	16I
12a	-	-	-	-	10L	4L	1L	9L	-	-	-	-
Control #	42	28	38	30	36	25	38	30	40	28	40	31

* = Calculate from 3 values.

** = Identified depending on morphological and microscopical characters.

- = No effect.

L = Low activity : Mean of zone diameter $\leq$ 1/3 of mean zone diameter of control.

I : Intermediate activity = Mean of zone diameter > 2/3 of mean zone diameter of control.

: Chloramphencol in the case of bacteria and cicloheximide in the case of fungi.

Table II

Comp. No.	M.P.°C Sol.	Yield % colour	Mol. F. Mol wt.	Analysis cal./F.			
				C	H	N	S
2 or 3c	150 Pet.E 80 %	60% brown	$C_{17}H_{14}O_4N_2S$ (342.26)	59.66	4.12	8.18	9.34
4a	214 Ethanol	40% Brown	$C_{19}H_{17}O_4N_2S$ (369.306)	61.79	4.6	7.69	8.66
4b	200 Ethanol	30% Pale brown	$C_{20}H_{18}O_4N_2S$ (382.33)	62.84	4.74	7.33	8.36
4c	180 Ethanol	40% Pale brown	$C_{20}H_{18}O_5N_2S$ (398.33)	60.31	4.55	7.03	8.03
5a	190 Ethanol	50% Yellowish brown	$C_{22}H_{16}O_3N_4S$ (416.32)	63.46	3.87	13.45	7.67
5b	170 Pet. E 80/100	40% Yellowish brown	$C_{23}H_{18}O_4N_4S$ (446.35)	61.88	4.06	12.55	7.16
6a	180 Pet. E 80/100	30% Brownish Yellow (340.23)	$C_{17}H_{14}O_3N_2S$ (326.25)	62.58	4.32	8.58	9.79
6b	190 Pet. Ethr 80/100	40% Pale yellow	$C_{18}H_{16}O_3N_2S$ (340.28)	63.47	4.73	8.22	9.38
6c	200 Ethanol	50% Yellow cryst.	$C_{18}H_{16}O_4N_2S$ (356.27)	60.67	4.52	7.86	8.97
7a	180 P.E 80-100	50% Pale brown	$C_{16}H_{14}O_3N_4$ (310.33)	61.93	4.54	18.09	-
7c	200 Ethanol	40% Pale yellow	$C_{17}H_{16}O_4N_4$ (340.36)	59.99	4.79	18.80	-
8a	190 P.E. 80/100	30% Pale yellow	$C_{17}H_{14}O_3N_4S$ (354.31)	57.63	3.98	15.81	9.02
8b	200 Ethanol	40% Brown	$C_{18}H_{16}O_3N_4S$ (368.34)	58.7	4.38	15.21	8.68
8c	150 Pet ethr 80/100	30% Pale brown	$C_{19}H_{18}O_4N_4S$ (398.37)	57.29	4.55	14.06	8.02
9	160 Ethanol	30% Brown	$C_{21}H_{20}O_6N_2S$ (428.39)	58.88	4.71	6.45	7.46

Table II : Cont.

Comp. No.	M.P.°C Sol.	Yield % colour	Mol. F. Mol wt.	Analysis cal./F.			
				C	H	N	S
10	162.63 Ethanol	40% Reddish brown	$C_{19}H_{18}O_5N_4S$ (414.365)	55.08	4.38	13.52	7.72
11a	173 methanol	50 yellow	$C_{23}H_{13}O_4N_3$ (401.445)	68.82	4.77	10.47	--
11b	210 Ethanol	30% Brown	$C_{24}H_{21}O_4N_3$	69.39	5.09	10.11	-
12a	170 Pet /E 80/100	30% Brown	$C_{17}H_{16}O_4N_4$	59.36	4.74	16.46	-
12b	180 Ethanol	40% Yellow	$C_{23}H_{20}O_4N_4$ (416.316)	66.36	4.81	13.46	-

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المخلص العربي

تحضير وتفاعلات بعض مشتقات 2-مركبتو 3-سيانو بنزوفيوران المتوقع لها نشاط بيولوجي

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بتفاعل مشتقات البنزوفيوران مع ثيوسيانو اسيتاميد حضرت إنتاج مركبات تم تفاعلها مع كلورواسيتون ، مالونونيتريل ثم تم تفاعله أيضا مع يوديد المثيل والثيويوريا والهيدرازين هيدرات لتعطي مركبات لها بعض النشاط البيولوجي .

كما تفاعل الخليتون ميثيل ايثر 2-مركبتو -3-سيانوكلوروخلات الإيثيل مع الهيدرازين هيدرات والأمينات ليعطي مركبات جديدة لها بعض النشاط البيولوجي .

وقد تم إثبات تركيب المركبات بواسطة الأشعة تحت الحمراء والرنين النووي المغناطيسي وطيف الكتلة .