United States Patent [19]

Brown et al.

[11] **3,927,023**

[45] **Dec. 16, 1975**

[54] **IMIDAZOLYL BENZOFURANS**

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[73] Assignee: **Warner-Lambert Company**, Morris Plains, N.J.

[22] Filed: **May 24, 1974**

[21] Appl. No.: **473,253**

[52] **U.S. Cl.** ..... **260/309.6**; 424/251; 424/269; 260/251 R; 260/256.4 C; 260/256.4 H

[51] **Int. Cl.**[2] **C07D 233/04**

[58] **Field of Search** 260/309.6

[56] **References Cited**

UNITED STATES PATENTS

3,818,035 6/1974 Binon et al. 260/309.6

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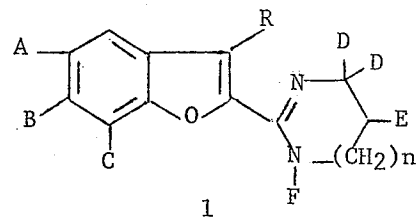
Attorney, Agent, or Firm—Albert H. Graddis; Frank S. Chow

[57] **ABSTRACT**

Imidazolyl and tetrahydropyrimidyl benzofurans of the formula:



1

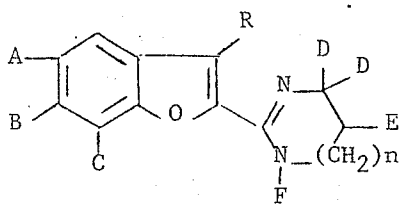
are disclosed. In the above structure A, B, and C are hydrogen or lower alkyl of 1 to 6 carbon atoms, halogen, lower alkoxy of 1 to 6 carbon atoms, or an aromatic ring; R is hydrogen, lower alkyl of 1 to 6 carbon atoms, hydroxy, amino or an aromatic ring; D is hydrogen or lower alkyl of 1 to 6 carbon atoms, E is hydrogen, lower alkyl of 1 to 6 carbon atoms, or hydroxy; F is hydrogen, lower alkyl of 1 to 6 carbon atoms, or β-hydroxyethyl and *n* is 0 or 1.

These compounds are useful in the management of gastric hyperacidity and gastric ulcers.

17 Claims, No Drawings

IMIDAZOLYL BENZOFURANS

The present invention relates to imidazolyl and tetrahydropyrimidyl benzofurans having the following structural formula:



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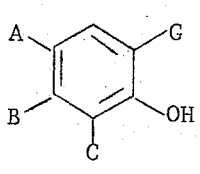
wherein A, B, and C are hydrogen or lower alkyl of 1 to 6 carbon atoms, halogen, lower alkoxy of 1 to 6 carbon atoms, or an aromatic ring; R is hydrogen, lower alkyl of 1 to 6 carbon atoms, hydroxy, amino or an aromatic ring; D is hydrogen or lower alkyl of 1 to 6 carbon atoms, E is hydrogen, lower alkyl of 1 to 6 carbon atoms, or hydroxy; F is hydrogen, lower alkyl of 1 to 6 carbon atoms, or β-hydroxyethyl and *n* is 0 or 1.

As stated in the above definition for A, B, C, D, E, F and R the terms "lower alkyl" and "lower alkoxy" are meant to have 1 to 6 carbon atoms in the chain. These include, for example, methyl, ethyl, propyl, isopropyl, and methoxy, ethoxy, propoxy, isopropoxy, and the like. The term "aromatic ring" is preferably a mono cyclic aromatic hydrocarbon radical of 6 to 10 carbon atoms such as phenyl or tolyl. The aromatic ring may be further substituted by groups such as nitro, amino, halogen, alkyl or alkoxy.

The compounds of this invention exhibit gastric antisecretory effects when they are administered to a mammalian host. For example, utilizing a laboratory animal such as a rat at an oral dose of 20 mg/kg, the compounds of this invention were found to reduce the gastric volume up to 80%. This reduction in gastric volume is accompanied by a concommitant lowering of the gastric acidity. These compounds are indicated in the management of conditions resulting from gastric hyperacidity such as, for example, gastric ulcer. In the management of gastric ulcer an oral dose of about 20 mg/kg two or three times daily is recommended. This dose regimen may be varied by methods known to the art.

In order to use these compounds they may be combined with an inert dilutent such as lactose and then encapsulated. Alternatively, they may be compressed into tablets by conventional pharmaceutical techniques.

According to the present invention, the above compounds are prepared from substituted phenols



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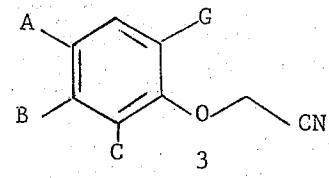
in which A, B, and C are as defined above, G is formyl (in such a case R becomes hydrogen in compound 1); acyl, i.e., alkanoyl of 2 to 7 carbon atoms (in which case R in compound 1 becomes an alkyl group of 1 to 6 carbon atoms); aroyl (in which R becomes aryl); carbamoyl or a lower carbalkoxy (in which case R becomes hydroxy); or cyano (in which R becomes amino).

In the first step of this invention, the phenol 2 is reacted with bromoacetonitrile in a suitable solvent in the presence of a base to give a substituted phenoxyacetonitrile 3 in which A, B, and C and G are as defined above.



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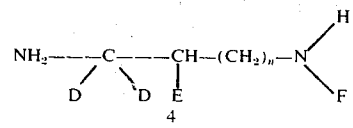
Among the bases which may be used for this alkylation step are sodium hydride, sodium methoxide, or, preferably, potassium carbonate. Among the solvents which may be used are methanol, benzene, acetone, DMF, or, preferably, DMSO.

In the second step of this invention, the compound 3 is treated with an alkylene diamine or substituted alkylene diamine of structure 4



4

whereby compounds of 1 are formed.

In 4, the groups D, E, F and *n* are as defined for 1. In this second step in which both the nitrogen-containing ring is formed and the ring closure to the benzofuran takes place, a catalytic amount of carbon disulfide is conveniently used to increase the rate of reaction.

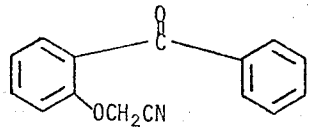
In actual practice when carrying out the steps of this invention, compound 3 need not be purified or separated from unreacted 2, but instead the total crude product of step 1 may be reacted with the diamine of structure 4. In this way, compounds of structure 1 are easily isolated as a basic fraction and thereby separated from the non-basis materials 2 and 3.

The starting materials for this invention, i.e., the phenols and the diamines of structure 4, are either commercially available or are known compounds which are synthesized by methods described in the literature (with the exception of 2-hydroxy,4-5-dichlorobenzophenone which is a new compound which is described in example 23). In addition, many of the compounds according to 3 are known compounds.

The compounds of this invention form acid addition salts with pharmaceutically acceptable acids such as hydrochloric, nitric, acetic, sulfuric and so on. These salts are prepared by conventional procedures, i.e., by reacting the base with the selected acid and recovering the desired salt. These salts are also a feature of this invention.

In order to further illustrate the practice of this invention, the following examples are included. In the examples temperatures are given in degrees centigrade.

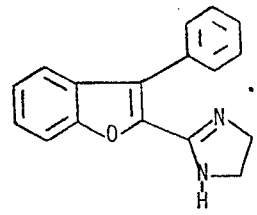
EXAMPLE 1



2-Benzoyl phenoxyacetonitrile

A mixture of 9.9 g (.05 mole) of o-hydroxybenzophenone, 6.6 g (0.055 mole) of bromoacetonitrile, 6.9 g. (0.05 mole) of K_2CO_3 and 50 ml of DMSO was stirred at 75° for 5 hours. The reaction was cooled and poured into a large volume of water. The mixture was extracted with ether, and the ether phase cross washed with water, 5% NaOH and water. The ether was dried and concentrated to give 10.9 g of product as an oil containing some starting material. It was used as is for the next step.

EXAMPLE 2

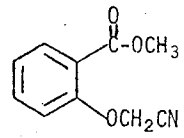


2-(2-Imidazolin-2-yl)-3-phenylbenzofuran

A mixture of 10.5 g (0.044 mole) of 2-benzoyl phenoxyacetonitrile, 3.2 g (.054 mole) of ethylenediamine and 0.2 ml of carbon disulfide was heated for 5 hours on the steam bath. Ammonia was evolved. The mixture was poured into water and the precipitate extracted with ethyl acetate. The organic phase was washed twice with water, then extracted with 4N HCl. The aqueous acid phase was washed with ethyl acetate and made basic with ammonium hydroxide. The precipitated oil crystallized on stirring and was filtered and was recrystallized from ethyl acetate for analysis, mp 119–20°.

Anal. Calcd for $C_{17}H_{14}N_2O$: C, 77.84; H, 5.38; N, 10.68. Found: C, 77.85; H, 5.45; N, 10.70.

EXAMPLE 3

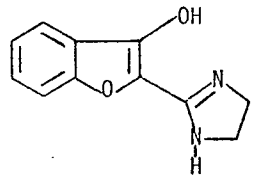


2-Carbomethoxyphenoxyacetonitrile

In the same way as described in example 1, methyl salicylate and bromoacetonitrile were reacted to give the title compound, mp 53–4° after recrystallization from methylene chloride-hexane.

Anal. Calcd for $C_{10}H_9NO_3$: C, 62.82; H, 4.75; N, 7.33. Found; C, 63.04; H, 4.85; N, 7.60.

EXAMPLE 4

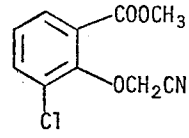


2-(2-Imidazolin-2-yl)-3-benzofuranol

In the same way as described in example 2, 2-carbomethoxyphenoxyacetonitrile and ethylenediamine were reacted to give the title compound, mp 268–9° after recrystallization from methanol.

Anal. Calcd for $C_{11}H_{10}N_2O_2$: C, 65.33; H, 4.98; N, 13.86. Found: C, 65.25; H, 5.04; N 13.98.

EXAMPLE 5

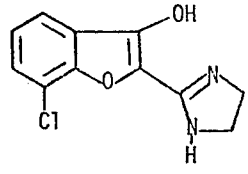


2-Carbomethoxy-6-chlorophenoxyacetonitrile

In the same way as described in example 1, methyl 3-chlorosalicylate and bromoacetonitrile were reacted to give the title compound, mp 70–1° after recrystallization from hexane.

Anal. Calcd for $C_{10}H_8ClNO_3$: C, 53.23; H, 3.57; Cl, 15.71. Found: C, 53.02; H, 3.56; N, 15.45.

EXAMPLE 6

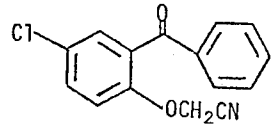


7-Chloro-2-(2-imidazolin-2-yl)-3-benzofuranol

In the same way as described in example 2, 2-carbomethoxy-6-chlorophenoxyacetonitrile and ethylenediamine were reacted to give the title compound, mp 266–9° after recrystallization from acetonitrile.

Anal. Calcd for $C_{11}H_9ClN_2O_2$: C, 55.83; H, 3.83; N, 11.84. Found: C, 55.84; H, 3.75; N, 11.73.

EXAMPLE 7

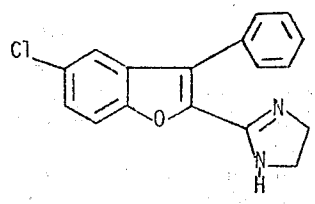


2-Benzoyl-4-chlorophenoxyacetonitrile

In the same way as described in example 1, 5-chloro-2-hydroxybenzophenone and bromoacetonitrile were reacted to give the title compound, mp 77°–78° after recrystallization from methanol.

Anal. Calcd for $C_{15}H_{10}ClNO_2$: C, 66.31; H, 3.71; N, 5.16. Found: C, 66.18; H, 3.62; N, 5.20.

EXAMPLE 8

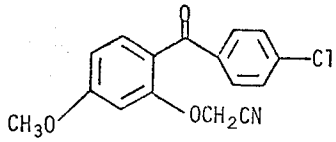


5-Chloro-2-(2-imidazolin-2-yl)-3-phenylbenzofuran

In the same way as described in example 2, 2-benzoyl-4-chlorophenoxyacetonitrile and ethylenediamine were reacted to give the title compound, mp 175–6° after recrystallization from ethyl acetate.

Anal. Calcd for $C_{17}H_{13}ClN_2O$: C, 68.81; H, 4.42; N, 9.44. Found: C, 68.99; H, 4.36; N, 9.44.

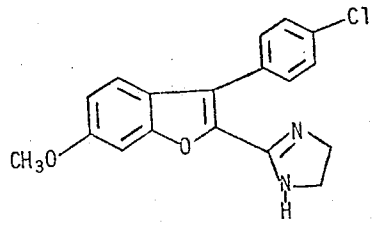
EXAMPLE 9



2-(p-Chlorobenzoyl)-5-methoxyphenoxyacetonitrile

In the same way as described in example 1, 4'-chloro-2-hydroxy-4-methoxybenzophenone and bromoacetonitrile were reacted to give the title compound as a yellow oil.

EXAMPLE 10

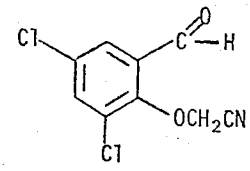


3-(p-Chlorophenyl)-2-(2-imidazolin-2-yl)-6-methoxybenzofuran

In the same way as described in example 2, 2-(p-chlorobenzoyl)-5-methoxyphenoxyacetonitrile and ethylenediamine were reacted to give the title compound, mp 128–9° after recrystallization from ethyl acetate-hexane.

Anal. Calcd. for $C_{18}H_{15}ClN_2O_2$: C, 66.16; H, 4.63; N, 8.57. Found: C, 65.97; H, 4.47; N, 8.66.

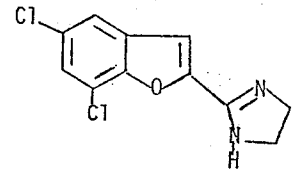
EXAMPLE 11



2-Formyl-4,6-dichlorophenoxyacetonitrile

To a solution of 2.3 g (0.1 mole) of sodium in 100 ml ethanol was added 19.1 g (0.1 mole) of 3,5-dichlorosalicylaldehyde and 12.0 g (0.1 mole) of bromoacetonitrile. The mixture was refluxed for 6 hours, then concentrated to dryness. The residue was partitioned between water and ether. The ether was washed twice with 5% NaOH solution, water and then dried and concentrated to an oil which was used as is in example 12.

EXAMPLE 12

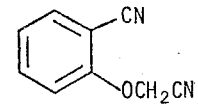


5,7-Dichloro-2-(2-imidazolin-2-yl)benzofuran

In the same way as described in example 2, 2-formyl-4,6-dichlorophenoxyacetonitrile and ethylenediamine were reacted to give the title compound, mp 185–8° after recrystallization from ethyl acetate.

Anal. Calcd for $C_{11}H_8Cl_2H_2O$: C, 51.79; H, 3.16; N, 10.98. Found: C, 51.58; H, 3.19; N, 11.10.

EXAMPLE 13

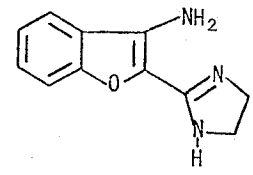


2-Cyanophenoxyacetonitrile

In the same way as described in example 1, 2-cyanophenol and bromoacetonitrile were reacted to give the title compound, mp 64–5° after recrystallization from ethyl acetate-hexane.

Anal. Calcd for $C_9H_6N_2O$: C, 68.35; H, 3.82; N, 17.71. Found: C, 68.12; H, 3.77; N, 17.41.

EXAMPLE 14

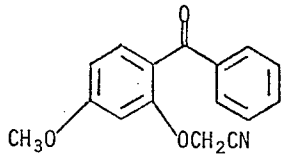


3-Amino-2-(2-imidazolin-2-yl)benzofuran

In the same way as described in example 2, 2-cyanophenoxyacetonitrile and ethylenediamine were reacted to give the title compound, mp 99°–100° after recrystallization from methylene chloride-hexane.

Anal. Calcd for $C_{11}H_{11}N_3O$: C, 65.67; H, 5.51; N, 20.88. Found: C, 65.45; H, 5.62; N, 20.80.

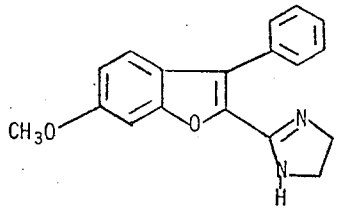
EXAMPLE 15



2-Benzoyl-5-methoxyphenoxyacetonitrile

In the same way as described in example 1, 2-hydroxy-4-methoxybenzophenone and bromoacetonitrile were reacted to give the title compound as an oil which was used directly in example 16.

EXAMPLE 16



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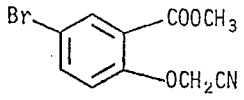
2-(2-Imidazolin-2-yl)-6-methoxy-3-phenylbenzofuran

In the same way as described in example 2, 2-benzoyl-5-methoxyphenoxyacetonitrile and ethylenediamine were reacted to give the title compound, mp 146–8° after recrystallization from ethyl acetate.

Anal. Calcd for $C_{18}H_{16}N_2O_2$: C, 73.95; H, 5.52; N, 9.58. Found: C, 74.03; H, 5.51; N, 9.28.

EXAMPLE 17

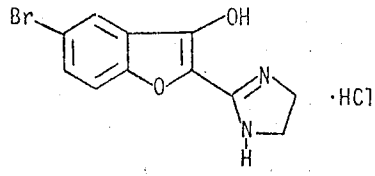


2-Carbomethoxy-4-bromophenoxyacetonitrile

In the same way as described in example 1, methyl-5-bromosalicylate and bromoacetonitrile were reacted to give the title compound, mp 88–9° after recrystallization from methylene chloride-hexane.

Anal. Calcd for $C_{10}H_8BrNO_3$: C, 44.47; H, 2.99; N, 5.19. Found: C, 44.60; H, 2.83; N, 5.32.

EXAMPLE 18

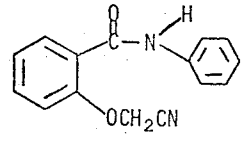


5-Bromo-2-(2-imidazolin-2-yl)-3-benzofuranol hydrochloride

In the same way as described in example 2, 2-carbomethoxy-4-bromophenoxyacetonitrile and ethylenediamine were reacted to give the title compound which was converted to its hydrochloride salt by passing dry hydrogen chloride into an ethanol solution of the free base and concentrating to dryness. The salt had mp 262–5° after recrystallization from ethanol.

Anal. Calcd for $C_{11}H_{10}BrClN_2O_2$: C, 41.60; H, 3.17; N, 8.82. Found: C, 41.49; H, 3.11; N, 8.96.

EXAMPLE 19

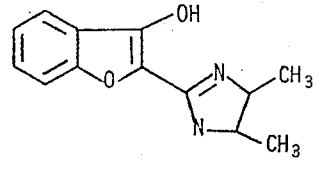


2-(Phenylcarbamoyl)-phenoxyacetonitrile

In the same way as described in example 1, salicylanilide and bromoacetonitrile were reacted to give the title compound, mp 156–7° after recrystallization from methanol.

Anal. Calcd for $C_{15}H_{12}N_2O_2$: C, 71.41; H, 4.80; N, 11.11. Found: C, 71.32; H, 4.71; N, 10.91.

EXAMPLE 20

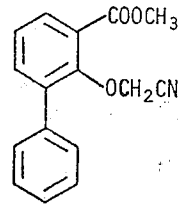


2-(4,5-dimethyl-2-imidazolin-2-yl)-3-benzofuranol

In the same way as described in example 2, 2-(phenylcarbamoyl)phenoxyacetonitrile and 2,3-diaminobutane were reacted to give the title compound, mp 270–3° after recrystallization from isopropanol.

Anal. Calcd for $C_{13}H_{14}N_2O_2$: C, 67.81; H, 6.13; N, 12.17. Found: C, 67.85; H, 6.04; N, 12.18.

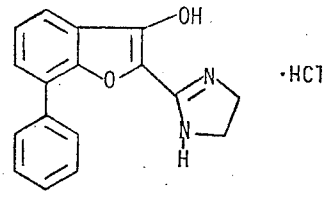
EXAMPLE 21



2-Carbomethoxy-6-phenylphenoxyacetonitrile

In the same way as described in example 1, methyl-3-phenylsalicylate and bromoacetonitrile were reacted to give the title compound as an oil used directly in example 22.

EXAMPLE 22

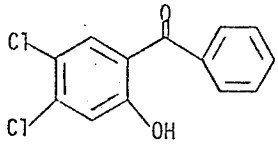


2-(2-Imidazolin-2-yl)-7-phenyl-3-benzofuranol hydrochloride

In the same way as described in example 2, 2-carbomethoxy-6-phenylphenoxyacetonitrile and ethylenediamine were reacted to give the title compound. The hydrochloride salt formed on treatment with 2N HCl and had mp 246–9° after recrystallization from isopropanol.

Anal. Calcd for $C_{17}H_{15}ClN_2O_2$: C, 64.87; H, 4.90; N, 8.90. Found: C, 64.56; H, 4.78; N, 9.02.

EXAMPLE 23

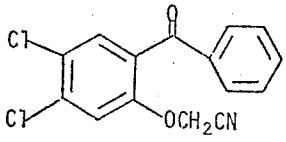


2-Hydroxy-4,5-dichlorobenzophenone

To a suspension of 67.5 g (0.5 mole) of aluminum chloride in 81.5 g (0.5 mole) of 3,4-dichlorophenol was added with stirring at 100° 70.5 (0.5 mole) of benzoyl chloride. The reaction mixture was then heated to 180° for 35 minutes. The reaction was cooled, the complex decomposed with 750 ml of 5% HCl, and the mixture extracted with chloroform. The chloroform layer was washed with water, dilute NaOH, water, dried and concentrated to a solid. The solid was recrystallized from ethanol for analysis, mp 115–16°.

Anal. Calcd for $C_{13}H_8Cl_2O_2$: C, 58.46; H, 3.02; Cl, 26.55. Found: C, 58.69; H, 2.98; Cl, 26.36.

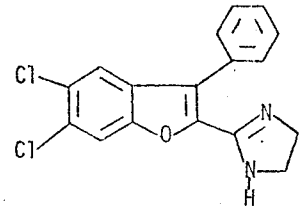
EXAMPLE 24



2-Benzoyl-4,5-dichlorophenoxyacetonitrile

In the same way as described in example 1, 2-hydroxy-4,5-dichlorobenzophenone and bromoacetonitrile were reacted to give the title compound as an oil used directly in examples 25 and 26.

EXAMPLE 25

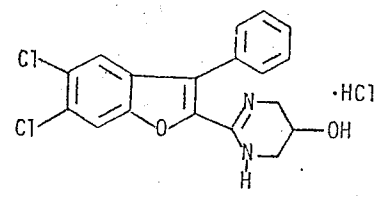


5,6-Dichloro-2-(2-imidazolin-2-yl)-3-phenylbenzofuran

In the same way as described in example 2, 2-benzoyl-4,5-dichlorophenoxyacetonitrile and ethylenediamine were reacted to give the title compound, mp 195–6° after recrystallization from methanol.

Anal. Calcd for $C_{17}H_{12}Cl_2ON_2$: C, 61.65; H, 3.65; N, 8.46; Cl, 21.41. Found: C, 61.53; H, 3.65; N, 8.67; Cl, 21.41.

EXAMPLE 26

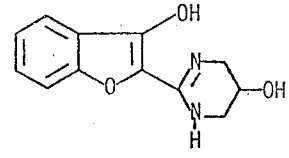


2-(5,6-Dichloro-3-phenyl-2-benzofuranyl)-1,4,5,6-tetrahydro-5-pyrimidinol hydrochloride

In the same way as described in example 2, 2-benzoyl-4,5-dichlorophenoxyacetonitrile and 2-hydroxy-1,3-propane diamine were reacted to give the title compound. The hydrochloride salt was made by passing HCl into a solution of the base in isopropanol and had mp 228–9° after recrystallization from isopropanol.

Anal. Calcd for $C_{18}H_{15}Cl_3N_2O_2$: C, 54.36; H, 3.80; N, 7.04; Cl, 26.74. Found: C, 54.10; H, 3.74; N, 7.11; Cl, 26.73.

EXAMPLE 27

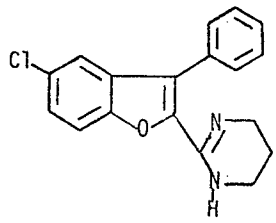


1,4,5,6-Tetrahydro-2-(3-hydroxy-2-benzofuranyl)-5-pyrimidinol

In the same way as described in example 2, 2-carbomethoxyphenoxyacetonitrile and 2-hydroxy-1,3-propane diamine were reacted to give the title compound, mp 253–5° after recrystallization from methanol.

Anal. Calcd for $C_{12}H_{12}N_2O_3$: C, 62.06; H, 5.21; N, 12.06. Found: C, 61.96; H, 5.30; N, 12.10.

EXAMPLE 28

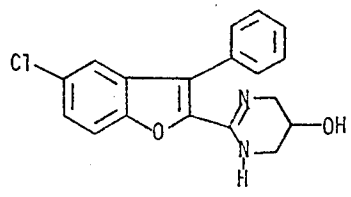


2-(5-Chloro-3-phenyl-2-benzofuranyl)-1,4,5,6-Tetrahydropyrimidine

In the same way as described in example 2, 2-benzoyl-4-chlorophenoxyacetonitrile and 1,3-propane diamine were reacted to give the title compound, mp 164–5° after recrystallization from ethyl acetate.

Anal. Calcd for $C_{18}H_{15}ClN_2O$: C, 69.57; H, 4.87; N, 9.01. Found: C, 69.52; H, 4.98; N, 8.75.

EXAMPLE 29

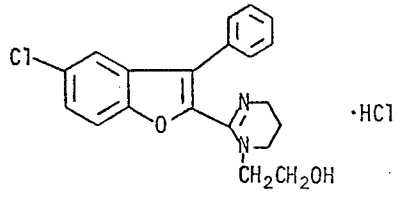


2-(5-Chloro-3-phenyl-2-benzofuranyl)-1,4,5,6-tetrahydro-5-pyrimidinol

In the same way as described in example 2, 2-benzoyl-4-chlorophenoxyacetonitrile and 2-hydroxy-1,3-propane diamine were reacted to give the title compound, mp 199°–200° after recrystallization from acetonitrile.

Anal. Calcd for $C_{18}H_{15}ClN_2O_2$: C, 66.16; H, 4.63; N, 8.57. Found: C, 65.95; H, 4.72; N, 8.54.

EXAMPLE 30

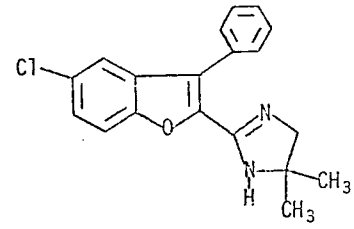


2-(5-Chloro-3-phenyl-2-benzofuranyl)-1,4,5,6-Tetrahydro-1-pyrimidine ethanol hydrochloride

In the same way as described in example 2, 2-benzoyl-4-chlorophenoxyacetonitrile and 1,3-diamino-N-(β-hydroxyethyl)-propane were reacted to give the title compound. The hydrochloride salt had mp 127–30° after recrystallization from acetonitrile.

Anal. Calcd for $C_{20}H_{20}Cl_2N_2O_2$: C, 60.17; H, 5.31; N, 7.39. Found: C, 60.39; H, 5.30; N, 7.20.

EXAMPLE 31

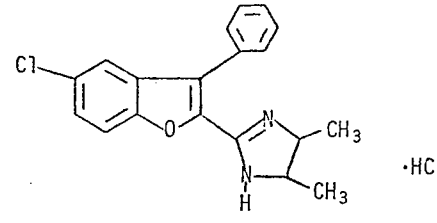


2-(5-Chloro-3-phenyl-2-benzofuranyl)-4,4-dimethyl-2-imidazoline

In the same way as described in example 2, 2-benzoyl-4-chlorophenoxyacetonitrile and 1,2-diamino-2-methyl propane were reacted to give the title compound, mp 145–6° after recrystallization from ethyl acetate-hexane.

Anal. Calcd for $C_{19}H_{17}ClN_2O$: C, 70.26; H, 5.28; N, 8.62. Found: C, 70.43; H, 5.40; N, 8.42.

EXAMPLE 32

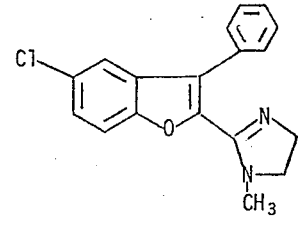


2-(5-Chloro-3-phenyl-2-benzofuranyl)-4,5-dimethyl-2-imidazoline hydrochloride

In the same way as described in example 2, 2-benzoyl-4-chlorophenoxyacetonitrile and 2,3-diaminobutane were reacted to give the title product. The hydrochloride salt was recrystallized from ethanol, mp 232–4°.

Anal. Calcd for $C_{19}H_{18}Cl_2N_2O$: C, 63.17; H, 5.02; N, 7.75. Found: C, 62.90; H, 5.07; N, 8.02.

EXAMPLE 33

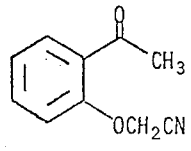


2-(5-Chloro-3-phenyl-2-benzofuranyl)-1-methyl-2-imidazoline

In the same way as described in example 2, 2-benzoyl-4-chlorophenoxyacetonitrile and N-methylethylenediamine were reacted to give the title compound, mp 105–6° after recrystallization from methylene chloride-hexane.

Anal. Calcd for $C_{18}H_{15}ClN_2O$: C, 69.57; H, 4.87; N, 9.01. Found: C, 69.77; H, 5.03; N, 9.26.

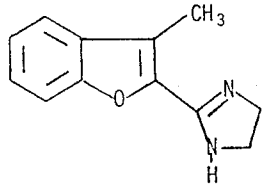
EXAMPLE 34



2-Acetyl phenoxyacetonitrile

In the same way as described in example 1, o-hydroxyacetophenone and bromoacetonitrile were reacted to give the title compound as a solid which was used as is for the next step.

EXAMPLE 35



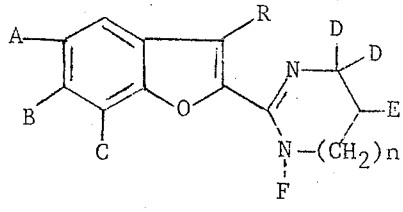
2-(2-Imidazolin-2-yl)-3-methylbenzofuran

In the same way as described in example 2, 2-acetyl phenoxyacetonitrile and ethylenediamine were reacted to give the title compound, mp 108–9° after recrystallization from acetonitrile.

Anal. Calcd for $C_{12}H_{12}N_2O$: C, 71.98; H, 6.04; N, 13.99. Found: C, 72.17; H, 6.15; N, 14.13.

We claim:

1. A compound of the formula



in which A, B, and C are hydrogen or lower alkyl of 1 to 6 carbon atoms, halogen, lower alkoxy of 1 to 6 carbon atoms, or an aromatic ring; R is hydrogen, lower alkyl of 1 to 6 carbon atoms, hydroxy, amino or an aromatic ring; D is hydrogen or lower alkyl of 1 to 6 carbon atoms, E is hydrogen, lower alkyl of 1 to 6 carbon atoms, or hydroxy; F is hydrogen, lower alkyl of 1 to 6 carbon atoms, or β-hydroxyethyl and n is 0 and the pharmaceutically acceptable acid addition salts thereof.

2. A compound according to claim **1** which is 2-(2-imidazolin-2-yl)-3-phenylbenzofuran.

3. A compound according to claim **1** which is 2-(2-imidazolin-2-yl)-3-benzofuranol.

4. A compound according to claim **1** which is 7-chloro-2-(2-imidazolin-2-yl)-3-benzofuranol.

5. A compound according to claim **1** which is 5-chloro-2-(2-imidazolin-2-yl)-3-phenylbenzofuran.

6. A compound according to claim **1** which is 3-(p-chlorophenyl)-2-(2-imidazolin-2-yl)-6-methoxy-benzofuran.

7. A compound according to claim **1** which is 5,7-Dichloro-2-(2-imidazolin-2-yl)benzofuran.

8. A compound according to claim **1** which is 3-amino-2-(2-imidazolin-2-yl)benzofuran.

9. A compound according to claim **1** which is 2-(2-imidazolin-2-yl)-6-methoxy-3-phenylbenzofuran.

10. A compound according to claim **1** which is 5-Bromo-2-(2-imidazolin-2-yl)-3-benzofuranol hydrochloride.

11. A compound according to claim **1** which is 2-(4,5-dimethyl-2-imidazolin-2-yl)-3-benzofuranol.

12. A compound according to claim **1** which is 2-(2-imidazolin-2-yl)-7-phenyl-3-benzofuranol and its hydrochloride.

13. A compound according to claim **1** which is 5,6-dichloro-2-(2-imidazolin-2-yl)-3-phenylbenzofuran.

14. A compound according to claim **1** which is 2-(5-chloro-3-phenyl-2-benzofuranyl)-4,4-dimethyl-2-imidazoline.

15. A compound according to claim **1** which is 2-(5-chloro-3-phenyl-2-benzofuranyl)-4,5-dimethyl-2-imidazoline and its hydrochloride.

16. A compound according to claim **1** which is 2-(5-chloro-3-phenyl-2-benzofuranyl)-1-methyl-2-imidazoline.

17. A compound according to claim **1** which is 2-(2-imidazolin-2-yl)-3-methylbenzofuran.

* * * * *