United States Patent Office 3,268,557 Patented August 23, 1966

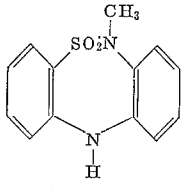
3,268,557

5,5-DIOXODIBENZO[1,2,5]-THIADIAZEPINES AND INTERMEDIATES THEREFOR

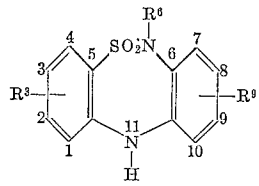
Abraham Weber, Paris, France, assignor to Mead Johnson & Company, Evansville, Ind., a corporation of Indiana

No Drawing. Filed Dec. 6, 1963, Ser. No. 328,476
7 Claims. (Cl. 260—327)

This invention is concerned with a new class of heterocyclic compounds of which 6-methyl-5,5-dioxo-dibenzo [1,2,5]thiadiazepine is structurally the simplest member. The formula for this substance appears below as Formula I. The class of compounds with which this invention is principally concerned is represented by Formula II.



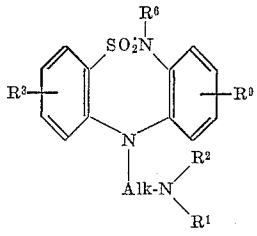
Formula I



Formula II

In Formula II, R^3 represents from one to two substituents attached at the 1,2,3, or 4 positions of the heterocyclic structure. The positions of this ring system are identified by number in Formula II. R^3 substituent is selected from the group consisting of hydrogen, halogen methyl, trifluoromethyl, alkoxy, alkylthio, and alkylsulfonyl, and contains up to four carbon atoms. R^6 is a lower alkyl group having up to four carbon atoms such as methyl, ethyl, propyl, isopropyl, n-butyl, sec.-butyl, etc., or it is a benzyl group. R^9 is a substituent attached at the 7, 8, 9 or 10 position of the heterocyclic structure and is selected from the group consisting of hydrogen, halogen, methyl, trifluoromethyl, dimethylamino, dimethylsulfamyl, carbalkoxy, alkylthio, alkylsulfonyl, alkoxy, and alkylanoyl, and, like group R^3, contains up to four carbon atoms. These substances are useful as chemical intermediates in the preparation of a novel group of psychotropic agents which are the subject of my copending application Serial No. 328,460 filed herewith.

The psychotropic agents disclosed and claimed in copending application Serial No. 328,460 are compounds having the following formula as well as the acid addition salts thereof



wherein:

R^3 is from one to two substituents selected from the group consisting of hydrogen, halogen, methyl, trifluoromethyl, alkoxy, alkylthio, and alkylsulfonyl and contains up to four carbon atoms;

R^6 is selected from the group consisting of lower alkyl having one to four carbon atoms, and benzyl;

R^9 is selected from the group consisting of hydrogen, halogen, methyl, trifluoromethyl, dimethylamino, dimethylsulfamyl, carbalkoxy, alkylthio, alkylsulfonyl, alkoxy, and alkanoyl, and contains up to four carbon atoms;

Alk is selected from the group consisting of ethylene and trimethylene having up to one alkyl substituent containing up to two carbon atoms;

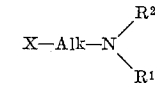


is selected from the group consisting of pyrrolidino, piperidino, morpholino, thiamorpholino, 4-R^4-piperazino, and amino wherein

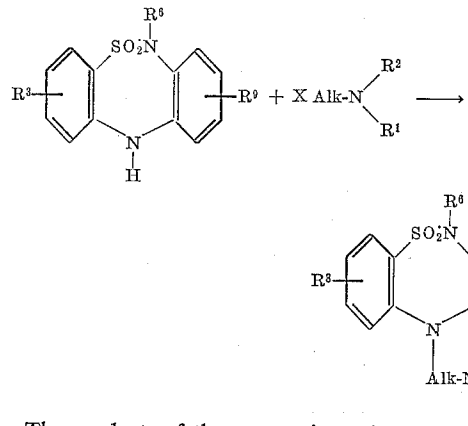
R^1 and R^4 are selected from the group consisting of alkyl, hydroxyalkyl having up to four carbon atoms, and alkanoxyalkyl having up to seven carbon atoms, and

R^2 contains up to four carbon atoms and is alkyl.

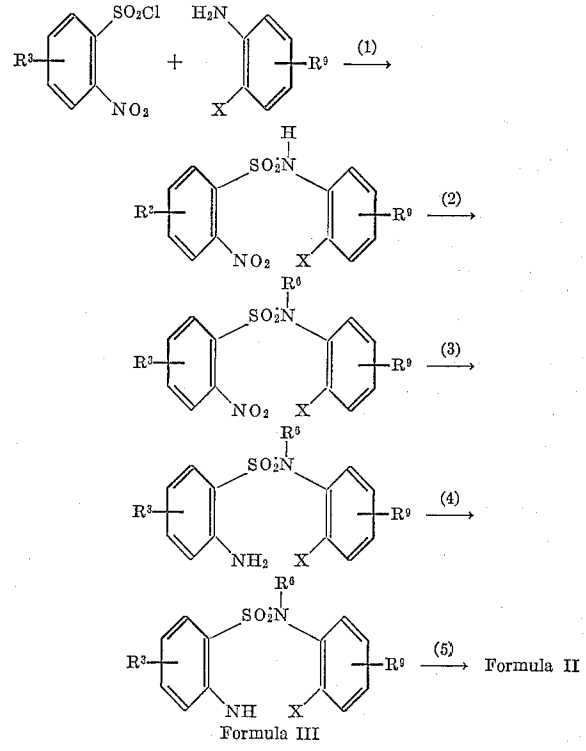
The compounds of the formula immediately above are prepared from the compounds of the present invention by reaction therewith of an aminoalkyl ester such as a chloride, bromide, iodide, methanesulfonate, p-toluenesulfonate, sulfate, carbonate, etc. of the formula



wherein X is chlorine, bromine, iodine, p-$C_6H_4SO_3$—, CH_3SOC—, SO_4—, —OCO_2—, $C_2H_5OCO_2$—, etc., with a corresponding dioxodibenzothiadiazepine of the above formula bearing a hydrogen atom in the 11-position according to the following scheme:



The products of the present invention are prepared by the following reaction sequence:



Formula III

Formula II

In the structural formulas of this reaction scheme, R^3, R^6, and R^9 have the same meaning as above. X refers to a halogen atom selected from chlorine, bromine, and iodine.

The first step involves formation of a 2-nitro-2'-halobenzenesulfonanilide by reaction of a 2-nitrobenzenesulfonyl halide with a 2-bromo, 2-chloro, or 2-iodianiline. The 2-nitro-2'-halobenzenesulfonanilide is then treated with an alkylating or benzylating agent for introduction of the R^6 substituent onto the sulfonamide nitrogen atom. The N-substituted 2-nitro-2'-halobenzenesulfonanilide is reduced in Step 3 to the corresponding amino compound. The latter is then acylated to form an N-substituted 2-acylamino-2'-halobenzenesulfonanilide in which the acylamino group contains from one to four carbon atoms; that is, formylamino, acetamino, propionamino, butyramino, etc. The acylamino compounds are designated by Formula III in the above reaction sequence in which R refers to a hydrogen atom or a lower alkyl group having up to three carbon atoms. These substances are also considered part of the present invention as they are uniquely suited to cyclization in Step 5 to the products of Formula II.

Step 1 is carried out under conventional conditions for the preparation of sulfonanilides. A suitable procedure involves mixing of the sulfonyl halide and haloaniline in the presence of a strong base such as sodium hydroxide, sodium carbonate, pyridine, or other strong tertiary organic amine in an inert liquid reaction medium. The latter term is intended to refer to liquid vehicles which do not react with either the sulfonyl halide or substituted aniline to an appreciable extent under the conditions employed for the transformation. For example, water may satisfactorily serve as the solvent, the reaction being carried out, for instance, in dilute aqueous sodium hydroxide as in the Schotten-Baumann method. Preferred conditions involve the use of an inert organic solvent such as a hydrocarbon or hydrocarbon ether including benzene, toluene, xylene, or di-n-butyl ether in the presence of at least one molecular proportion of a strong tertiary amine such as pyridine relative to the quantity of sulfonyl halide. The product may be recovered by evaporation of the solvent and neutralization of the residue. Purification by recrystallization from an appropriate solvent such as ethanol, chloroform, ethyl acetate, methanol, etc., is sometimes desirable. A reaction temperature of about 60–150° C. is preferred in order to hasten the reaction to completion.

Step 2 involves replacement of the sulfonamido hydrogen atom by a lower alkyl or benzyl group. This is conventionally accomplished under ordinary conditions of alkylation involving agitating the sulfonanilide from Step 1 with at least one molecular proportion of an alkylating agent such as a mineral acid ester of benzyl alcohol or a lower alkanol including dimethylsulfate, methyl bromide, diethyl sulfate, benzyl bromide, butyl iodide, etc. in the presence of a basic substance as condensing agent. It is preferred, again, to use an inert liquid reaction medium which includes those mentioned above as well as other non-hydroxylic or non-acidic solvents such as the hydrocarbon ketones and esters. Acetone has proven to be a convenient solvent with potassium carbonate as the base. In the preparation of the compounds wherein R^6 is methyl, dimethylsulfate has proven useful as alkylating agent.

Reduction of the nitro group to the amino group is done under conditions which are selected to avoid changing other parts of the molecule, for instance cleavage of the halogen atom. The art has provided a number of methods which are satisfactory for carrying out this step. These include reduction of the nitro group with sodium sulfide, ferrous hydroxide, activated iron, or according to a number of other chemical methods. Preferred chemical reductions include the use of stannous chloride and hydrochloric acid employing either ethanol or acetic acid as reaction medium (Ullmann et al., Ber. 43, 2694 (1910); Ross et al., J. Am. Chem. Soc. 74, 1297 (1952)).

Catalytic methods for reducing the nitro group are also applicable and are in fact more convenient for small scale operation. Hydrogenation over a palladium-on-carbon catalyst at a pressure of from 1 to 3 atmospheres employing acetic acid as solvent is quite satisfactory. From the standpoint of convenience, a Raney nickel catalyst with hydrazine hydrate as reducing agent or hydrogen donor is preferred. This method is illustrated in Example 5 hereof.

Acylation of the 2-amino group of the product of Step 3 is carried out in conventional manner. A convenient method is illustrated in Example 6 hereof in which the formyl amide is prepared by acylation of the aminosulfonanilide with a mixture of acetic anhydride and formic acid. Other acylating agents and conditions may be employed as will be apparent to those skilled in the art.

The final step of the synthesis, Step No. 5, involves cyclization to provide the novel heterocyclic structure which is the subject of the present invention. The transformation is carried out in the presence of a copper catalyst at elevated temperature. Temperatures of the order of 100 to 250° C. are employed. Copper powder or a copper salt such as cuprous carbonate are satisfactory forms. The cyclization may be carried out directly on the aminosulfonanilide of Step 3 without first acylating the amino group as in Step 4, but the method is far less efficient than that shown in the reaction scheme and is not practical as a preparative method. Vastly superior yields are obtained when using the N-acylated sulfonanilide of Formula III for the cyclization step. In addition, it has been observed that the bromo and iodo compounds, Formula III wherein X is bromine or iodine, are superior to the chloro compounds, Formula III wherein X is chlorine, since much higher yields are obtained.

The following examples are specific procedures for the preparation of a number of the novel heterocyclic compounds and intermediates of the present invention. These examples illustrate application of these preparative methods to the synthesis of various members of the class bearing benzo substituents, that is where R^3 or R^9 are other than hydrogen.

Example 1.—2-nitro-2'-bromobenzenesulfonanilide

Pyridine, 40 ml., is carefully added to a solution of 44.3 g. (0.2 mole) of o-nitrobenzenesulfonyl chloride in 500 ml. of benzene and the resulting solution is treated with 34.4 g. (0.02 mole) of o-bromoaniline. The mixture is then refluxed for 2 hrs., the solvent removed by evaporation, and the residue mixed with about 20 ml. of water to induce crystallization. The slurry is then acidified and the crude crystalline product collected by filtration. It is recrystallized first from ethyl acetate and then from a mixture of ethanol and chloroform, yielding 65.5 g. (92%) of the desired product as colorless plates, M.P. 147° C.

Analysis.—Br, 22.31; N, 7.92; S, 8.90.

Example 2.—2 - nitro - N - methyl - 2' - bromobenzenesulfonanilide

A mixture of 3.5 g. (0.01 mole) of 2-nitro-2'-bromobenzenesulfonanilide, 1.5 g. (0.01 mole) of potassium carbonate, and 1.5 g. (0.01 mole) of dimethylsulfate in 50 ml. of acetone is agitated with a mechanical stirrer overnight at room temperature. After warming the mixture to boiling and filtering to remove insoluble material, the solvent is removed by evaporation. Dilute ammonium hydroxide, 20 ml., is added to the residue to destroy excess dimethylsulfate, the residual oil is chilled, whereupon it solidifies. The yellow solid is recrystallized from methanol affording a nearly quantitative yield of the desired product. Recrystallization from ethyl acetate yields the pure product, M.P. 119° C.

Analysis.—Br, 21.44; N, 7.80; S, 8.52.

Example 3.—2-nitro-N-(n-butyl)-2'-bromobenzenesulfonanilide

The procedure of Example 2 is repeated substituting n-butyl iodide on an equimolar basis for the dimethylsulfate specified in that example resulting in formation of the desired product which is recovered and purified in substantially the same fashion.

Example 4.—2 - nitro - N - benzyl - 2' - bromobenzenesulfonanilide

The procedure of Example 2 is repeated substituting benzyl bromide on an equimolar basis for the dimethylsulfate specified in that example. The desired product is recovered and purified in substantially the same fashion.

Example 5.—2-amino-N-methyl-2'-bromobenzenesulfonanilide

A solution of 56 g. (0.15 mole) of N-methyl-2-nitro-2'-bromobenzenesulfonanilide in 700 ml. of absolute ethanol is prepared and approximately 20 g. of a suspension of Raney nickel hydrogenation catalyst is added thereto. The mixture is heated to boiling and a solution of 60 ml. of 85% hydrazine hydrate and 40 ml. of absolute ethanol is added in portion-wise fashion at a rate just sufficient to maintain vigorous refluxing due to the exothermic reaction. Approximately 1.5 hrs. is required. The mixture is then refluxed for an additional 2 hrs., cooled, filtered, and the filtrate concentrated to dryness. The partially crystalline residue is recrystallized from ethyl acetate and then from 95% ethanol, yielding in two crops 44 g. (86%) of the desired product. The purified product is prepared by recrystallization of this material from ethyl acetate. It is obtained as opaque white prisms, M.P. 139° C.

Analysis.—Br, 23.43; N, 8.31; S, 9.31.

This substance exhibits absorption maxima in the infrared (1% in KBr pellet) at 2.95 and 3.05 m., as is characteristic or aromatic primary amines.

Example 6.—2-formylamino-N-methyl-2'-bromobenzenesulfonanilide

A mixture of 20.4 ml. of acetic anhydride and 8.6 ml. of 98% formic acid is prepared and 50 g. of N-methyl-2 amino-2'-bromobenzenesulfonanilide is thoroughly mixed therewith. The resulting mass is then stirred at 70° C. for one hour, chilled, and mixed with ice water. The

tan precipitate constituing the desired product is recovered by filtration and recrystallized from a mixture of isopropanol and heptane providing a nearly quantitative yield of the desired product. The purified material is obtained by recrystallization from isopropanol, M.P. 111° C.

Example 7.—2-acetamino-N-methyl-2'-bromobenzenesulfonanilide

A mixture of 25 ml. of acetic anhydride and 41 g. (0.12 mole) of 2-amino-N-methyl-2-'-bromobenzenesulfonanilide is heated to boiling over a free flame for about 5 min. The mixture is allowed to stand at room temperature for two hours, diluted to 1 l. with water, and chilled overnight. The mixture is then extracted with four 100 ml. portions of chloroform, the extracts dried over magnesium sulfate, and the solvent distilled. The residue partially crystallizes. It is twice recrystallized from isopropanol, yielding the desired product, M.P. 80–82° C.

Analysis.—C, 46.88; H, 3.90; S, 8.55.

The procedure of Example 7 may be adapted to the corresponding 2-propionamino and 2-butyramino compounds by employing propionic anhydride or butyric anhydride therein.

Examples 8–27.—Benzo-substituted benzenesulfonanilides

The benzenesulfonyl halides and 2-haloanilines listed in Table I are reacted according to the method of Example 1; the resulting 2-nitro-2-halobenzenesulfonilides are then methylated according to Example 2; the 2-nitro-N- methyl-2'-halobenzenesulfonilides from that step are then reduced according to Example 5; and the 2-amino-N-methyl-2'-halobenzenesulfonanilides obtained are formylated according to Example 6 to yield the series of 2-formylamino - N - methyl - 2'-halobenzenesulfonanilides which are listed in Table I.

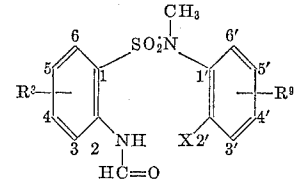


TABLE I.—2-FORMYLAMINO-N-METHYL-2'-HALOBENZENESULFONANILIDES

[Examples 8–27]

Ex. No.	Benzenesulfonyl Halide	2-Halo-aniline	Product		
			R^3	R^9	X
8	2-nitro-4-methoxy-benzenesulfonyl chloride	2-bromoaniline	4-CH_3O	H	Br
9	2-nitrobenzenesulfonyl chloride	2-chloroaniline	H	H	Cl
10	2-nitro-4-chlorobenzenesulfonyl chloride	2-bromoaniline	4-Cl	H	Br
11	2-nitrobenzenesulfonyl chloride	2-bromo-4-dimethylsulfamylaniline.	H	4'$SO_2N(CH_3)_2$.	Br
12	do	3-bromo-4-aminoacetophenone.	H	4'-$COCH_3$	Br
13	2-nitro-4-fluorobenzenesulfonyl chloride	2-iodoaniline	4-F	H	I
14	2-nitro-4-toluenesulfonyl chloride	2-bromoaniline	4-CH_3	H	Br
15	2-nitro-4-ethoxybenzenesulfonyl chloride	do	4-C_2H_5O	H	Br
16	2-nitro-4,5-dimethylbenzenesulfonyl chloride.	do	4,5-$(CH_3)_2$	H	Br
17	2-nitro-4,6-dimethylbenzenesulfonyl chloride	do	4,6-$(CH_3)_2$	H	Br
18	2-nitrobenzenesulfonyl chloride	2,6-dibromoaniline	H	6'-Br	Br
19	do	2-bromo-5-fluoroaniline	H	5'-F	Br
20	do	2-bromo-5-chloroaniline	H	5'-Cl	Br
21	do	2-bromo-4-methylaniline	H	4'-CH_3	Br
22	do	2-bromo-5-dimethylaminoaniline.	H	5'-$(CH_3)_2N$	Br
23	do	Methyl 3-amino-4-bromobenzoate.	H	5'-CO_2CH_3	Br
24	2-nitro-4-bromobenzenesulfonyl chloride	2-bromoaniline	4-Br	H	Br
25	2-nitro-4-trifluoromethylbenzenesulfonyl chloride.	do	4-CF_3	H	Br
26	2-nitrobenzenesulfonyl chloride	3-amino-4-chlorobenzotrifluoride.	H	5'-CF_3	Cl
27	do	2-bromo-5-ethoxyaniline	H	5'-C_2H_5O	Br

Example 28.—6-methyl-5,5-dioxodibenzo[1,2,5] thiadiazepine

A mixture of 5 g. of 2-acetamino-N-methyl-2'-bromobenzenesulfonanilide, 2 g. of anhydrous potassium carbonate, and 0.1 g. of copper powder is refluxed for 7 hrs. in 70 ml. of dimethylformamide. The mixture is allowed to cool to room temperature and then diluted with ice water. The mixture is chilled in the refrigerator, resulting in precipitation of the desired product as a gray precipitate which is collected, dried in the air, yielding 3.0 g. (88%) of the desired product, M.P. 194–196° C. This material, on recrystallization from ethanol, yields the purified product, M.P. 201° C.

Analysis.—N, 10.71; S, 12.20.

When 2 - amino - N - methyl - 2' - bromobenzenesulfonanilide is treated with copper powder and potassium carbonate according to this procedure, the same product is obtained in low yield and it is extremely difficult to purify.

Examples 29–48.—Benzo-substituted 6-methyl-5,5-dioxodibenzo[1,2,5]thiadiazepine

Various benzenesulfonanilides of Examples 8–27 (Table I), are treated as described in Example 28, which results in the cyclization thereof to the corresponding 5,5-dioxodibenzo[1,2,5]thiadiazepines. The products are listed below, along with an identifying example number for each. The number in parenthesis immediately following the example number refers to the benzenesulfonanilide intermediate required for preparation of the identified product.

Example 29 (8)—2-methoxy-6-methyl-5,5-dioxodibenzo[1,2,5]thiadiazepine

Example 30 (9) [1]—6-methyl-5,5-dioxodibenzo[1,2,5] thiadiazepine

Example 31 (10)—2-chloro-5-methyl-5,5-dioxodibenzo-[1,2,5]thiadiazepine

Example 32 (11)—6-methyl-9-dimethylsulfamyl-5,5-dioxodibenzo[1,2,5]thiadiazepine

Example 33 (12)—6-methyl-9-acetyl-5,5-dioxodibenzo-[1,2,5]thiadiazepine

Example 34 (13)—2-fluoro-6-methyl-5,5-dioxodibenzo[1,2,5]thiadiazepine

Example 35 (14)—2,6-dimethyl-5,5-dioxodibenzo-[1,2,5]thiadiazepine

Example 36 (15)—2-ethoxy-6-methyl-5,5-dioxodibenzo[1,2,5]thiadiazepine

Example 37 (16)—2,3,6-trimethyl-5,5-dioxodibenzo-[1,2,5]thiadiazepine

Example 38 (17)—2,4,6-trimethyl-5,5-dioxodibenzo-[1,2,5]thiadiazepine

Example 39 (18)—6-methyl-7-bromo-5,5-dioxodibenzo[1,2,5]thiadiazepine

Example 40 (19)—6-methyl-8-fluoro-5,5-dioxodibenzo[1,2,5]thiadiazepine

Example 41 (20)—6-methyl-8-chloro-5,5-dioxodibenzo[1,2,5]thiadiazepine

Example 42 (21)—6,9-dimethyl-5,5-dioxodibenzo-[1,2,5]thiadiazepine

Example 43 (22)—6-methyl-8-dimethylamino-5,5-dioxodibenzo[1,2,5]thiadiazepine

Example 44 (23)—6-methyl-8-carbomethoxy-5,5-dioxodibenzo[1,2,5]thiadiazepine

Example 45 (24)—2-bromo-6-methyl-5,5-dioxodibenzo[1,2,5]thiadiazepine

Example 46 (25)—2-trifluoromethyl-6-methyl-5,5-dioxodibenzo[1,2,5]thiadiazepine

[1] In the preparation of 6-methyl-5,5-dioxodibenzo[1,2,5] thiadiazepine from 2-formylamino-N-methyl-2'-chlorobenzenesulfonanilide, the cyclization is much less efficient than with the bromo intermediate specified in Example 28. A 20% yield was obtained in this instance as compared to the 88% yield of Example 28.

Example 47 (26)—6-methyl-7-trifluoromethyl-5,5-dioxodibenzo[1,2,5]thiadiazepine

Example 48 (27)—6-methyl-8-ethoxy-5,5-dioxodibenzo[1,2,5]thiadiazepine

Example 49.—6-(n-butyl)-5,5-dioxodibenzo-[1,2,5]thiadiazepine

2 - formylamino - N - (n - butyl) - 2' - bromobenzenesulfonanilide is prepared from 2-nitro-N-(n-butyl)-2'-bromobenzenesulfonanilide by the procedures of Examples 5 and 6. It is then substituted in the procedure of Example 28 for the N-methylbromobenzenesulfonanilide specified therein. The desired product is recovered in substantially the same fashion.

Example 50.—6-benzyl-5,5-dioxodibenzo[1,2,5] thiadiazepine

2 - formylamino - N - benzyl - 2' - bromobenzenesulfonanilide is prepared from 2-nitro-N-benzyl-2'-bromobenzenesulfonanilide by the procedures of Examples 5 and 6. It is then treated as described in the procedure of Example 28. The above named product is recovered in substantially the same fashion described in Example 28.

Example 51.—7-ethylthio-6-methyl-5,5-dioxodibenzo[1,2,5]thiadiazepine

Thirty-four grams (0.1 mole) of 6-methyl-7-bromo-5,5-dioxodibenzo[1,2,5]thiadiazepine, 13 g. (0.1 mole) of cuprous ethyl mercaptide (prepared according to Adams and Ferretti, R. Adams and A. Ferretti, J. Am. Chem. Soc. 81, 4927 (1959)), 90 ml. of quinoline and 8 ml. of pyridine is heated at 200° C. for 10 hrs. The mixture is then poured into an ice slurry of excess hydrochloric acid. Digestion of the precipitate with acetone after collecting it by filtration yields a dark solution which is diluted with Et_2O to precipitate tars. The supernatant is decanted and evaporated and the residue recrystallized from 95% ethanol to give the purified product.

Example 52.—7-ethanesulfonyl-6-methyl-5,5-dioxodibenzo[1,2,5]thiadiazepine

The procedure of Pomerantz and Conner, J. Am. Chem. Soc. 61, 3386 (1939) is adapted to this preparation. One-tenth mole of the product of Example 50 is dissolved in a mixture of 50 ml. of glacial acetic acid and 50 ml. of acetic anhydride and chilled to 0° C. while 27 ml. of 30% aqueous hydrogen peroxide is slowly added. The mixture is kept at room temperature for 3 days. Excess peroxide remaining at this time is decomposed by adding a pinch of manganese dioxide. The solvent is removed in vacuo and the residue recrystallized from aqueous ethanol to yield the desired product.

Example 53.—2-ethylthio-6-methyl-5,5-dioxodibenzo[1,2,5]thiadiazepine

The method of Example 51 is modified to use the product of Example 45 as starting material, resulting in formation of the desired product.

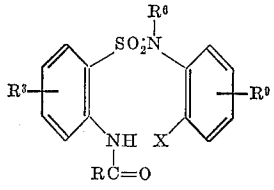
Example 54.—2-ethanesulfonyl-6-methyl-5,5-dioxodibenzo[1,2,5]thiadiazepine

The method of Example 52 is adapted to use of the product of Example 53 as starting material, yielding the desired product.

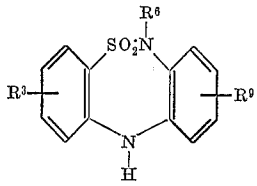
While several particular embodiments of this invention are shown above, it will be understood that the invention is not to be limited thereto, since many modifications may be made, and it is contemplated by the appended claims to include any such modification as falls within the true spirit and scope of the invention.

What is claimed is:

1. A compound selected from the group consisting of



and



wherein:

X is selected from the group consisting of chlorine, bromine, and iodine,

R is selected from the group consisting of H, and alkyl having up to three carbon atoms,

R^3 is from one to two substituents selected from the group consisting of hydrogen, halogen, methyl, trifluoromethyl, alkoxy, alkylthio, and alkylsulfonyl and contains up to four carbon atoms,

R^6 is selected from the group consisting of lower alkyl having one to four carbon atoms, and benzyl, and

R^9 is selected from the group consisting of hydrogen, halogen, methyl, trifluoromethyl, dimethylamino, dimethylsulfamyl, carbalkoxy, alkylthio, alkylsulfonyl, alkoxy, and alkanoyl, and contains up to four carbon atoms.

2. 2 - formylamino - 2′ - bromo - N - (lower alkyl)-benzenesulfonanilide.

3. 2 - acetylamino - 2′ - bromo - N - (lower alkyl)-benzenesulfonanilide.

4. 2 - formylamino - 2′ - bromo - N - methylbenzenesulfonanilide.

5. 2 - acetylamino - 2′ - bromo - N - methylbenzenesulfonanilide.

6. 6 - (lower alkyl) - 5,5 - dioxodibenzo[1,2,5]thiadiazepine.

7. 6 - methyl - 5,5 - dioxodibenzo[1,2,5]thiadiazepine.

No references cited.

WALTER A. MODANCE, *Primary Examiner.*

JAMES A. PATTEN, *Assistant Examiner.*