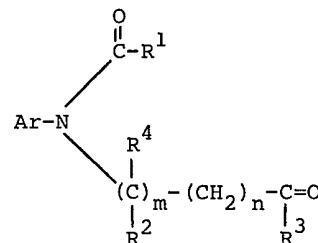


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(54) **N-haloacetylanilino-substituted  
carbonyl intermediates for oximes**

(57) Novel N-haloacetylanilino-  
substituted carbonyl compounds are  
represented by the general formula:



wherein Ar is phenyl or substituted phenyl; R<sup>1</sup> is halo-methyl; R<sup>2</sup> and R<sup>3</sup> are each independently hydrogen, alkyl, phenyl, benzyl, substituted phenyl or benzyl, haloalkyl, cyanoalkyl, alkoxyalkyl, alkylthioalkyl or thiocynoalkyl; R<sup>4</sup> is hydrogen or alkyl; n is 0 or 1; and m is 0 or 1; with the proviso that R<sup>2</sup> and R<sup>3</sup> may be joined together to form a carbocyclic ring or a heterocyclic ring.

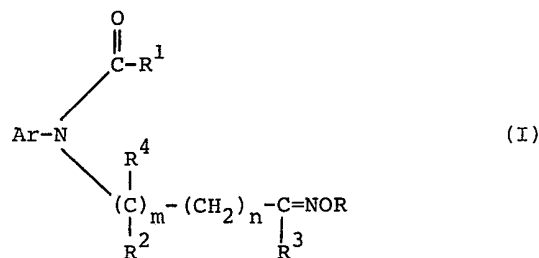
The carbonyl compounds are useful as intermediates for preparing oximes having herbicidal activity and useful as plant growth regulating compounds.

## SPECIFICATION

**N-Haloacetylanilino-substituted carbonyl intermediates for oximes**

5 This invention relates to novel N-haloacetylanilino-substituted carbonyl compounds useful as intermediates in the preparation of N-haloacetylphenylamino carbonyl oximes having herbicidal and plant-growth-regulating properties. 5

Oximes which can be prepared from the intermediates of the present invention are represented by the general formula:



20 wherein Ar is phenyl or phenyl substituted with 1 to 4 of the same or different substituents selected from fluoro, chloro, bromo, iodo, or alkyl of 1 to 4 carbon atoms, or substituted with 1 or 2 of the same or different substituents selected from alkoxy of 1 to 4 carbon atoms, nitro or haloalkyl of 1 to 2 carbon atoms and 1 to 3 of the same or different halogens selected from fluoro, chloro, bromo or iodo;

25 R<sup>1</sup> is halomethyl of 1 to 3 of the same or different halogens selected from fluoro, chloro, bromo, or iodo;

R<sup>2</sup> and R<sup>3</sup> are each independently hydrogen, alkyl of 1 to 6 carbon atoms, phenyl, benzyl, phenyl or benzyl substituted with 1 or 2 of the same or different substituents selected from fluoro, chloro, bromo, iodo, alkyl of 1 to 4 carbon atoms, alkoxy of 1 to 4 carbon atoms or nitro; haloalkyl of 1 to 4 carbon atoms and 1 to 9 of the same or different halogens selected from fluoro, chloro, bromo or iodo, cyanoalkyl of 2 to 6 carbon atoms, alkoxyalkyl of 2 to 6 carbon atoms, alkylthioalkyl of 2 to 6 carbon atoms, or thiocynoalkyl of 2 to 6 carbon atoms;

R<sup>4</sup> is hydrogen or alkyl of 1 to 6 carbon atoms;

n is 0 or 1;

m is 0 or 1; and

35 R is hydrogen, alkyl of 1 to 6 carbon atoms, alkenyl of 3 to 6 carbon atoms, alkynyl of 3 to 6 carbon atoms, alkylthioalkyl of 2 to 6 carbon atoms, haloalkyl of 1 to 4 carbon atoms and 1 to 9 of the same or different halogens selected from fluoro, chloro, bromo or iodo, alkoxyalkyl of 2 to 6 carbon atoms, cyanoalkyl of 2 to 6 carbon atoms, phenyl, benzyl, phenyl or benzyl substituted with 1 or 2 of the same or different substituents selected from fluoro, chloro, bromo, iodo, alkyl of 1 to 4 carbon atoms, alkoxy of 1 to 4 carbon atoms or nitro, or R is acyl of the formula

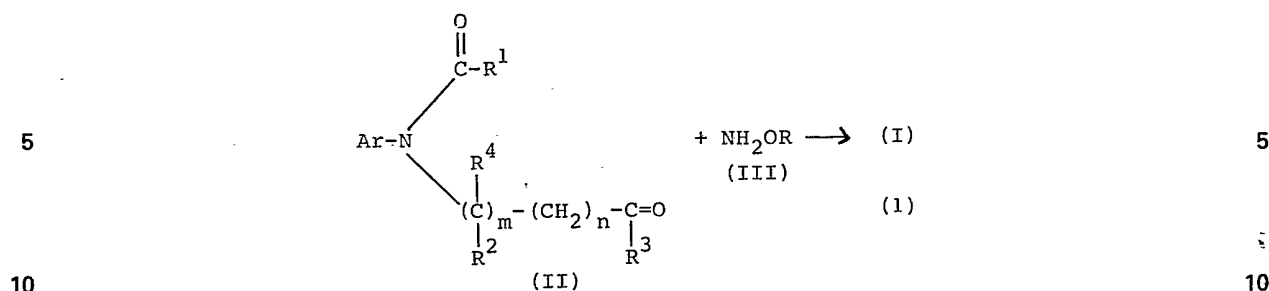


45 wherein R<sup>5</sup> is hydrogen, alkyl of 1 to 4 carbon atoms, haloalkyl of 1 to 4 carbon atoms and 1 to 9 of the same or different halogens selected from fluoro, bromo, chloro or iodo, alkoxyalkyl of 2 to 6 carbon atoms, alkylthioalkyl of 2 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, alkylthio of 1 to 6 carbon atoms, acetyl, or the group -NR'R'' wherein R' and R'' are independently hydrogen, alkyl of 1 to 6 carbon atoms or phenyl;

50 with the proviso that R<sup>2</sup> and R<sup>3</sup> may be joined together to form a carbocyclic ring of 5 or 6 carbon atoms or a heterocyclic ring containing one O, N or S hetero atom and 4 to 5 carbon atoms; or R and R<sup>2</sup> may be joined together to form a heterocyclic ring containing 3 or 4 carbon atoms; or R and R<sup>3</sup> may be joined together to form a heterocyclic ring containing 3 or 4 carbon atoms.

The oximes represented by formula I are described and claimed in our copending Application No. 7911021 (Serial No. 2019404).

55 The oxime compounds of formula (I) are prepared by reaction of a carbonyl compound of formula (II) and an alkoxyamino compound of formula (III) by conventional procedures, as depicted below in reaction (1):-



wherein Ar, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, n and m have the same meaning as previously defined.

In formula (II), representative Ar groups include 2-fluorophenyl, 2-chlorophenyl, 2,3-dichlorophenyl, 2-trifluoromethylphenyl, 3-bromophenyl, 3,5-diiodophenyl, 2-methylphenyl, 2-methyl-3-chlorophenyl, 2,3-dimethylphenyl, 2,3,5,6-tetramethylphenyl, 2,6-diethylphenyl, 2-methyl-6-ethylphenyl, 2,3,6-trimethylphenyl, 3,5-dimethylphenyl, 2-nitrophenyl and 2-ethoxyphenyl. The substituents on the phenyl ring are preferably in the 2-, 3-, 5- and 6- positions, and most preferably are in the 2- and 6- positions.

Representative R<sup>1</sup> groups include fluoromethyl, chloromethyl, bromomethyl, iodomethyl, dichloromethyl, tribromomethyl and fluorodichloromethyl.

Representative alkyl R<sup>2</sup> and R<sup>3</sup> are methyl, ethyl, isopropyl and n-hexyl.

Representative substituted-phenyl R<sup>2</sup> and R<sup>3</sup> groups include 3-fluorophenyl, 2-chlorophenyl, 4-bromophenyl, 2-iodophenyl, 3-methylphenyl, 2,4-diethylphenyl, 3-methoxyphenyl and 2-nitrophenyl. Representative substituted-benzyl R<sup>2</sup> and R<sup>3</sup> groups include 4-chlorobenzyl, 2-methoxybenzyl, 2,4-dimethylbenzyl and 3-nitrobenzyl.

Representative R<sup>2</sup> and R<sup>3</sup> haloalkyl groups include trichloromethyl, 1,1,2,2-tetrachloroethyl and fluoromethyl. Representative R<sup>2</sup> and R<sup>3</sup> cyanoalkyl groups include cyanomethyl and cyanoethyl. Representative R<sup>2</sup> and R<sup>3</sup> alkoxy-alkyl groups include methoxymethyl, ethoxymethyl and methoxyethyl. Representative R<sup>2</sup> and R<sup>3</sup> alkylthioalkyl groups include methylthiomethyl, ethylthiomethyl and methylthioethyl. Representative R<sup>2</sup> and R<sup>3</sup> thiocynoalkyl groups include thiocyanomethyl and thiocynoethyl.

Preferred substituted phenyl R<sup>2</sup> and R<sup>3</sup> groups are phenyl substituted with 1 or 2 fluoro, chloro, bromo or alkyl of 1 to 4 carbon atoms.

Representative groups in which R<sup>2</sup> and R<sup>3</sup> are joined together to form part of a ring include dimethylene, trimethylene, tetramethylene, -CH<sub>2</sub>OCH<sub>2</sub>-, -CH<sub>2</sub>=N-CH<sub>2</sub>-, -CH<sub>2</sub>SCH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>- and -CH<sub>2</sub>NHCH<sub>2</sub>-.

Representative R<sup>4</sup> groups include hydrogen, methyl and ethyl. Preferably R<sup>4</sup> is hydrogen.

Preferably m=1 when n=0 and m=0 when n=1.

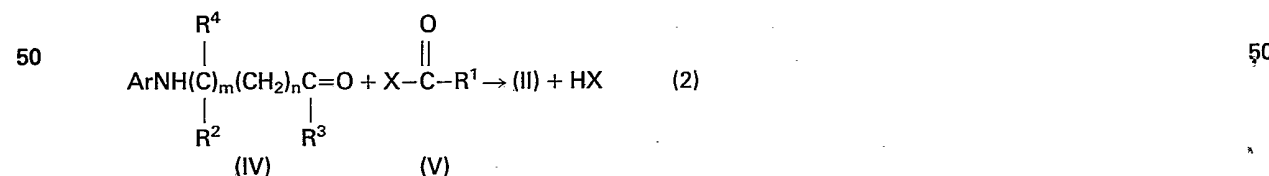
Preferably Ar is phenyl substituted with 2 to 3 alkyl or 1 to 4 carbon atoms. Most preferably Ar is 2,6-dialkylphenyl, especially 2,6-dimethylphenyl and 2,6-diethylphenyl.

Preferably R<sup>1</sup> is monohalomethyl, especially chloromethyl or bromomethyl.

Preferably R<sup>2</sup> and R<sup>3</sup> individually are hydrogen or alkyl of 1 to 3 carbon atoms or are joined together to form part of a 5- or 6-membered carbocyclic ring, that is, R<sup>2</sup> and R<sup>3</sup> are dimethylene, trimethylene or tetramethylene.

When m=0 and n=1, R<sup>3</sup> is preferably alkyl of 1 to 3 carbon atoms, most preferably methyl.

The N-haloacetylanilino-substituted carbonyl compounds of formula (II), which are the intermediates of the present invention, may be prepared by acylating the anilino-substituted carbonyl compound with a haloacetyl halide as depicted below in reaction (2):-



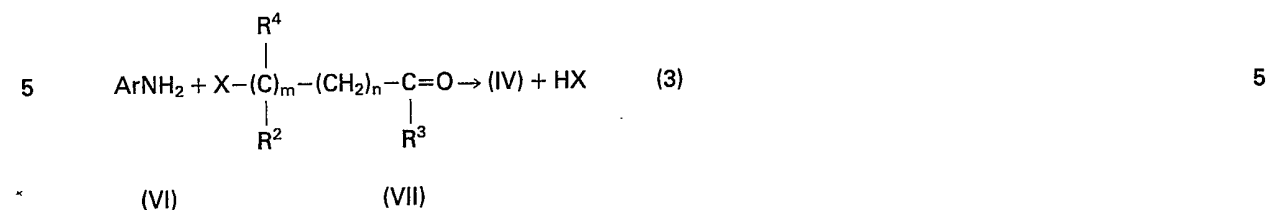
wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, n and m have the same meaning as previously defined, and X is chloro or bromo.

The acylation reaction (2) is conducted in conventional procedures. The reactants (IV) and (V) are generally contacted in substantially equimolar amounts in an inert organic solvent at a temperature of 0° to 100°C.

Suitable inert organic solvents include ethyl acetate, dichloromethane, dimethoxymethane and benzene. If desired, a base such as a trialkylamine or a pyridine compound may be employed to scavenge the hydrogen halide by-product. The product (II) is isolated and purified by conventional procedures such as extraction, distillation, chromatography or crystallization.

The carbonyl compound (IV) can be prepared by a variety of methods. One method for preparing

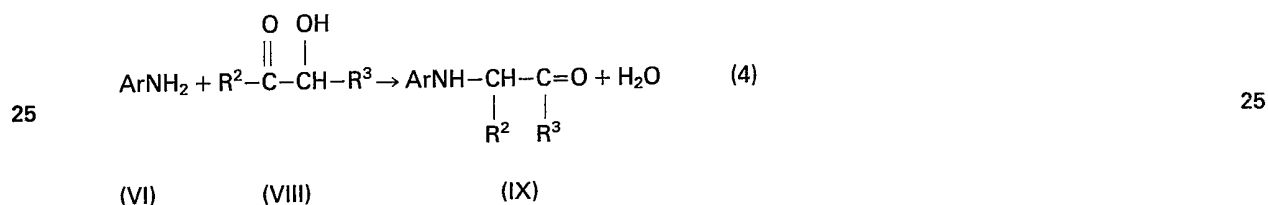
compounds of formula (IV) is depicted in the following reaction (3):-



wherein Ar, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, X, m and n have the same meaning as previously defined.

Reaction (3) is the alkylation of an aniline compound (VI) with an alpha-halo or beta-halo carbonyl compound (VII). The alkylation reaction is conducted by more-or-less conventional procedures. For example, the reaction is generally conducted by contacting substantially equimolar amounts of the aniline compound (VI) and the alpha-halo or beta-halo carbonyl compound (VII) in the liquid phase in an inert organic diluent at a temperature of 25°C to 150°C. Reaction (3) is preferably conducted with an alpha-bromo carbonyl compound.

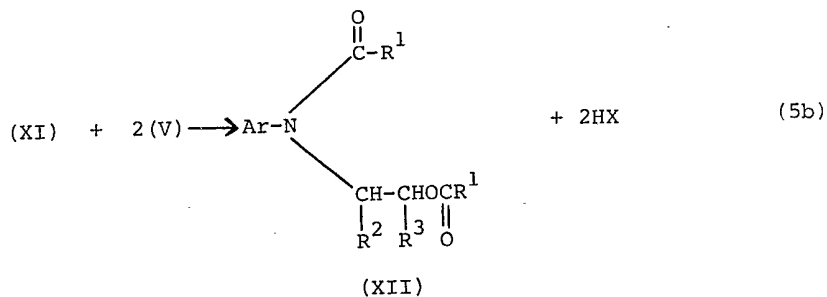
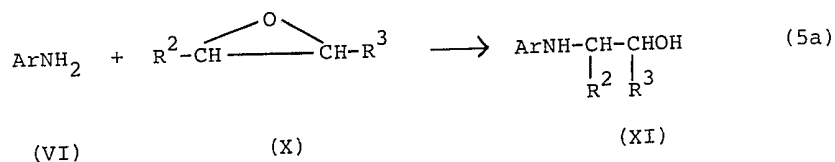
A method of preparing anilino-carbonyl compounds of formula (IV) wherein n is zero comprises the reaction of an aniline compound (VI) with an alpha-hydroxy carbonyl compound to give the carbonyl compound (IX), as depicted in the following reaction (4):

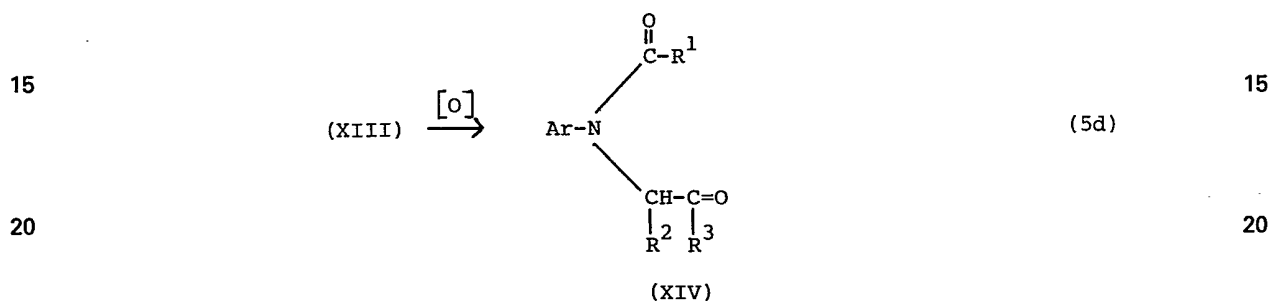
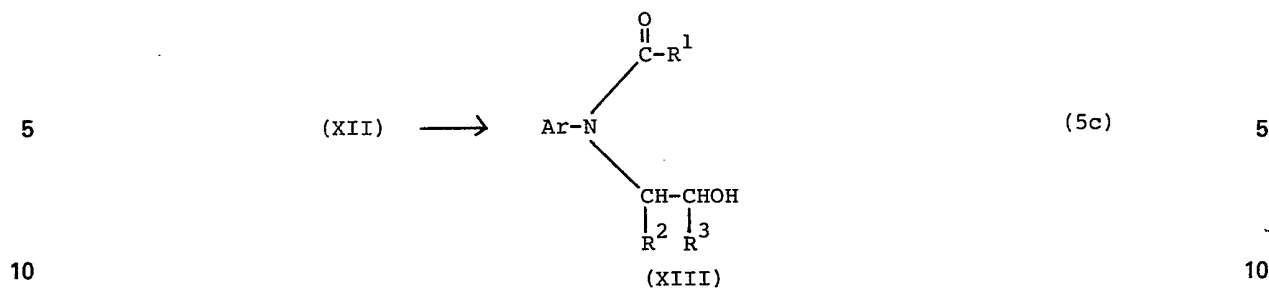


wherein Ar, R<sup>2</sup> and R<sup>3</sup> have the same meaning as previously defined.

Reaction (4) is conducted by reacting substantially equimolar amounts of the aniline compound (VI) and alpha-hydroxy carbonyl compound (VIII) in the liquid phase in an inert diluent at a temperature of 25° to 150°C. Water is a by-product of the reaction, and the reaction is generally driven to completion by removing the water as it is formed in the reaction, for example as an azeotropic distillation with benzene. Reaction (4) is preferably conducted with alpha-hydroxy ketones, e.g. compounds of formula (VIII) wherein R<sup>2</sup> is alkyl or aryl. Most preferably, the reaction is conducted with compounds of formula (VIII) wherein both R<sup>2</sup> and R<sup>3</sup> are alkyl.

Another method of preparing compounds of formula (II) wherein n is zero is depicted by the following reaction sequence (5):-





wherein Ar, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and X have the same meaning as previously defined.

25 Reaction (5a) comprises the reaction of the aniline compound (VI) with an epoxide (X) to give the anilino-alcohol (XI). The reaction of the aniline compound (VI) and the epoxide (X) is conducted by contacting substantially equimolar amounts of the reactants in the liquid phase, generally in an inert diluent, at a temperature of 0°C to 100°C until the reaction is complete. Reaction 5(b) comprises the bis-acylation of the anilino-alcohol to give the acetanilide ester (XII) by conventional procedures. Reaction (5c) comprises the cleavage of the ester group of the acetanilide ester (XII) to give the hydroxy acetanilide compound (XIII). 30 Reaction (5d) comprises the oxidation of the hydroxy acetanilide compound (XIII) to the carbonyl compound (XIV) with conventional oxidizing agents, e.g., potassium permanganate, or chromium trioxide in pyridine. The following Examples illustrate the preparation of compounds in accordance with the invention.

### 35 EXAMPLE 1 35

#### (a) Preparation of 2-(2,6-dimethylphenylamino)cyclopentanol

A solution of 8.4 g (0.1 mol) cyclopentane-1,2-oxide and 12.1 g (0.1 mol) 2,6-dimethylaniline in 100 ml toluene was mixed with 6 drops of boron trifluoride etherate. The solution was heated under reflux for 2 hours and then evaporated under reduced pressure to give an oil residue. The residue was chromatog- 40 graphed on 120 g of silica gel using successively as eluant 1 litre dichloromethane, 1 litre 5% acetone in dichloromethane and 1 litre 10% acetone in dichloromethane. 2-(2,6-dimethylphenylamino) cyclopentanol (11 g) was the second material eluted. Elemental analysis of this product (a pale yellow oil) for C<sub>13</sub>H<sub>19</sub>NO showed:

45		Calc.	Found	45
	%C	76.1	76.5	
	%H	9.3	9.9	
50	%N	6.8	6.4	50

#### (b) Preparation of 2-(N-chloroacetyl-2,6-dimethylphenylamino)cyclopentanone

A solution of 7.1 g (0.035 mol) 2-(2,6-dimethylphenylamino)cyclopentanol prepared as in (a) and 9.4 g 55 (0.083 mol) chloroacetyl chloride in about 200 ml toluene was stirred at about 25°C for 20 hours and then heated under reflux for 1.5 hours. The reaction mixture was cooled and evaporated under reduced pressure to give an amber oil. The oil was chromatographed on 60 g silica gel using ethyl ether. The eluted oil product (7.4 g) crystallized on standing. Recrystallization from ethyl ether/hexane gave 1-chloroacetoxy-2-(N-chloroacetyl-2,6-dimethylphenylamino)cyclopentane, as a white solid, m.p. 96-98°C. Elemental analysis for 60 C<sub>17</sub>H<sub>21</sub>Cl<sub>2</sub>NO<sub>3</sub> showed: %Cl, calc. 19.8, found 19.7.

A slurry of 5 g of 1-chloroacetoxy-2-(N-chloroacetyl-2,6-dimethylphenylamino)cyclopentane and 1 g of potassium carbonate in 100 ml ethanol was stirred at 0-10°C (ice bath) for 2 hours. The reaction mixture was then filtered and evaporated under reduced pressure to give an oily residue. The residue was taken up in ethyl ether, washed with water, dried over magnesium sulfate and evaporated under reduced pressure to 65 give 4 g of 2-(N-chloroacetyl-2,6-dimethylphenylamino)cyclopentanol, as a pale amber oil. Elemental 65

analysis for  $C_{15}H_{20}ClNO_2$  showed: %Cl, calc. 12.6, found 12.9.

A 4.5-mol (0.004 mol) sample of Jones Reagent (26.72 g chromium trioxide in 23 ml of concentrated sulphuric acid diluted with  $H_2O$  to 100 ml) was added dropwise to a vigorously stirred solution of 4.4 g (0.016 mol) 2-(N-chloroacetyl-2,6-dimethylphenylamino) cyclopentanol in 100 ml acetone. The acetone solution was decanted from the solids, dried over magnesium sulfate, treated with silica and evaporated under reduced pressure to give 3.7 g of pale yellow oil. The oil was chromatographed on silica gel using mixtures of ethyl ether/hexane as eluant. 2-(N-chloroacetyl-2,6-dimethylphenylamino)cyclopentanone was eluted with 25% ethyl ether/hexane, as a white solid. This product melted at 79-82°C after recrystallization from hexane. This product is tabulated in Table I, as Compound No. 1-A.

10

#### EXAMPLE 2

##### *Preparation of 3-(N-chloroacetyl-2,6-dimethylphenylamino)-2-butanone*

A mixture of 121 g (1 mol) dimethylaniline, 149 g (1 mol) 3-bromo-2-butanone and 126 g (1.5 mol) sodium bicarbonate in 500 ml ethanol was stirred at 60-70°C for about 18 hours. The reaction mixture was filtered and the filtrate evaporated under reduced pressure to give an oil. The oil was taken up in dichloromethane, dried over magnesium sulfate, treated with silica, filtered and evaporated under reduced pressure to give 174.8 g of 3-(2,6-dimethylphenylamino)-2-butanone as a light amber oil. The infrared spectrum of the product showed strong carbonyl absorption at 5.8 microns.

A 152.6-g (1.35-mol) sample of chloroacetyl chloride was added over 0.25 hour in small portions to a stirred solution of 170.8 g (0.89 mol) 3-(2,6-dimethylphenylamino)-2-butanone in 500 ml toluene. The reaction mixture was heated under reflux for 3 hours, cooled and filtered. The filtrate was concentrated and chromatographed on silica gel using dichloromethane eluant. The eluted material was recrystallized several times from ethyl ether/hexane to give the 3-(N-chloroacetyl-2,6-dimethylphenylamino)-2-butanone product, as a brown solid, m.p. 78-82°C. The infrared spectrum of the product showed strong carbonyl absorption at 5.8 and 6.1 microns. This product is tabulated in Table I as Compound No. 5-A.

#### EXAMPLE 3

##### *Preparation of alpha-(N-chloroacetyl-2,6-dimethylphenylamino)acetaldehyde*

A solution of 2 g alpha-(N-chloroacetyl-2,6-dimethylphenylamino)acetaldehyde diethylacetal (U.S. Patent 3,966,811) and 0.1 g p-toluenesulfonic acid in 50 ml acetone was heated under reflux for 3 hours. The reaction mixture was evaporated under reduced pressure, diluted with ethyl ether, washed with water, washed with sodium bicarbonate solution and evaporated to an oil. Analysis of the oil indicated the presence of about 50% of the starting diethylacetal.

The oil, 3 g of additional diethylacetal and 0.3 g of additional p-toluenesulfonic acid in 50 ml acetone were heated under reflux for 10 hours. The reaction mixture was worked up as described above to give an oil. The oil was chromatographed through a silica gel column. The desired product (2.6 g) was eluted with 10% ethyl ether in hexane. The infrared spectrum of the product showed strong carbonyl absorption at 5.8 micron and 6.0 micron. The product is tabulated in Table I as Compound No. 8-A.

#### EXAMPLE 4

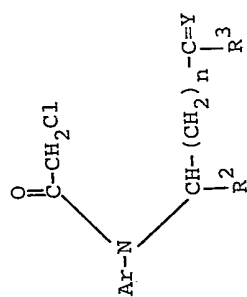
##### *Preparation of beta-(N-chloroacetyl-2,6-dimethylphenylamino)propionaldehyde*

A solution of 33.9 g (0.28 mol) dimethylaniline, 50 g (0.3 mol) beta-chloropropionaldehyde diethylacetal, 45 g (0.3 mol) sodium iodide and 48.3 g (0.3 mol) potassium bicarbonate in 300 ml ethanol was heated under reflux for 7 hours. The reaction mixture was cooled, filtered and evaporated under reduced pressure to give 28.1 g of an amber oil. The oil was distilled (pot temperature 133-135°C at 0.5 mm Hg) to give 16.1 g of beta-(2,6-dimethylphenylamino)propionaldehyde diethyl-acetal.

An 8.6 g (0.076 mol) sample of chloroacetyl chloride was added dropwise to a solution of 16 g (0.06 mol) beta-2,6-dimethylphenylamino)propionaldehyde diethylacetal and 6 g (0.076 mol) pyridine in 60 ml ethyl acetate. A salt immediately precipitated. The reaction mixture was filtered and the filtrate was evaporated under reduced pressure to give an oil. The oil was mixed with 100 ml acetone, 25 ml water and about 0.5 g p-toluene-sulfonic acid. The resulting solution was stirred for 2 hours at 25°C, diluted with water, and extracted with ethyl ether. The ether extracts were evaporated to give a pale yellow oil. The yellow oil was dissolved in dichloromethane, dried over magnesium sulfate, treated with silica, filtered and evaporated to give 12.3 g of an oil which partially crystallized to give the product. Infrared analysis showed amide carbonyl absorption at 6.0 micron and aldehyde carbonyl absorption at 5.8 micron. The product is tabulated in Table I as Compound No. 13-A.

TABLE I

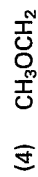
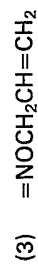
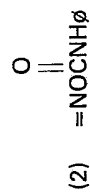
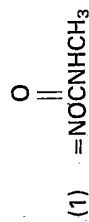
Compounds of the formula



No.	Ar	Y	R <sup>2</sup>	R <sup>3</sup>	n	m.p., °C	Elemental Analysis			N(Cl)	
							C	H	N(Cl)	Calc.	Found
1A	2,6-(CH <sub>3</sub> ) <sub>2</sub> -φ	=O	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -	0	79-82					(12.7)	(13.5)
1B	2,6-(CH <sub>3</sub> ) <sub>2</sub> -φ	=NOCH <sub>3</sub>	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -	0	oil					(11.5)	(12.1)
2	2,6-(CH <sub>3</sub> ) <sub>2</sub> -φ	=NOC <sub>2</sub> H <sub>5</sub>	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -	0	oil	63.1	7.4	7.0	8.3	8.7	8.3
3	2,3-(CH <sub>3</sub> ) <sub>2</sub> -φ	=NOCH <sub>3</sub>	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -	0	oil	62.1	6.9	7.0	8.9	9.1	8.9
4	2,6-(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> -φ	=NOCH <sub>3</sub>	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -	0	oil	64.1	7.5	7.2	8.0	8.3	8.0
5A	2,6-(CH <sub>3</sub> ) <sub>2</sub> -φ	=O	CH <sub>3</sub>	CH <sub>3</sub>	0	78-82	62.8	6.7	6.9	5.2	5.4
5B	2,6-(CH <sub>3</sub> ) <sub>2</sub> -φ	=NOCH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	0	oil	60.6	7.1	7.0	9.4	8.8
6	2-CH <sub>3</sub> -6-C <sub>2</sub> H <sub>5</sub> -φ	=NOCH <sub>3</sub>	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -	0	oil	63.2	7.2	7.5	8.0	8.7	8.0
7A	2,6-(CH <sub>3</sub> ) <sub>2</sub> -φ	=O	-(CH <sub>2</sub> ) <sub>4</sub> -	0	110-112					(11.5)	(11.0)
7B	2,6-(CH <sub>3</sub> ) <sub>2</sub> -φ	=NOCH <sub>3</sub>	-(CH <sub>2</sub> ) <sub>4</sub> -	0	oil	63.2	7.2	7.5	5.4	8.7	5.4
8A	2,6-(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> -φ	=O	CH <sub>3</sub>	CH <sub>3</sub>	0	oil	65.0	7.5	7.6	4.7	4.7
8B	2,6-(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> -φ	=NOCH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	0	oil	62.9	7.7	8.0	8.6	7.9
9A	2,6-(CH <sub>3</sub> ) <sub>2</sub> -φ	=NOCH <sub>3</sub>	H	H	0	oil				(14.8)	(15.6)
9B	2,6-(CH <sub>3</sub> ) <sub>2</sub> -φ	=O	H	H	0	55-57	58.1	6.3	6.5	10.4	10.6
10	2,6-(CH <sub>3</sub> ) <sub>2</sub> -φ	=NOCH <sub>3</sub>	H	H	0	oil	60.7	7.4	7.4	9.4	8.5
11	2-CH <sub>3</sub> -6-C <sub>2</sub> H <sub>5</sub> -φ	=NOCH <sub>3</sub>	H	H	0	oil	59.5	6.7	6.7	9.9	9.5

TABLE I Cont'd.

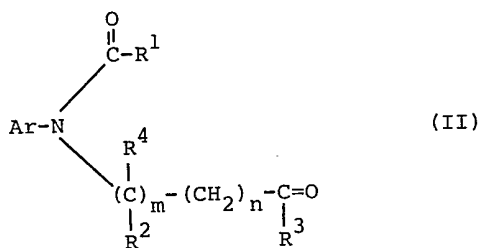
12	2-CH <sub>3</sub> -6-C <sub>2</sub> H <sub>5</sub> - $\phi$	=NOH	H	H	0	113-115	58.1	59.8	6.3	6.8	10.4	10.9
13A	2,6-(CH <sub>3</sub> ) <sub>2</sub> - $\phi$	=O	H	H	1	oil	61.5	59.8	6.3	6.3	5.5	5.3
13B	2,6-(CH <sub>3</sub> ) <sub>2</sub> - $\phi$	=NOCH <sub>3</sub>	H	H	1	oil	59.5	61.6	6.7	7.0	9.9	8.6
14	2,6-(CH <sub>3</sub> ) <sub>2</sub> - $\phi$	=NOCH <sub>3</sub>	H	$\phi$	0	77-80	66.2	67.5	6.1	6.4	8.1	8.1
15A	2,6-(CH <sub>3</sub> ) <sub>2</sub> - $\phi$	=O	H	CH <sub>3</sub>	0	97-98.5					(14.0)	(13.4)
15B	2,6-(CH <sub>3</sub> ) <sub>2</sub> - $\phi$	=NOCH <sub>3</sub>	H	CH <sub>3</sub>	0	59-61	59.5	59.6	6.7	6.7	9.9	9.8
16A	2,6-(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> - $\phi$	=O	H	CH <sub>3</sub>	0	65-66					(12.6)	(12.6)
16B	2,6-(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> - $\phi$	=NOCH <sub>3</sub>	H	CH <sub>3</sub>	0	oil					(11.4)	(10.9)
17	2,6-(CH <sub>3</sub> ) <sub>2</sub> - $\phi$	(1)	CH <sub>3</sub>	CH <sub>2</sub>	0	102-114	56.6	57.6	6.5	6.6	12.4	12.0
18	2,6-(CH <sub>3</sub> ) <sub>2</sub> - $\phi$	(2)	CH <sub>3</sub>	CH <sub>3</sub>	0	115-117	62.8	63.3	6.0	6.4	10.5	10.5
19	2,6-(CH <sub>3</sub> ) <sub>2</sub> - $\phi$	=NOCH <sub>2</sub> $\phi$	CH <sub>3</sub>	CH <sub>3</sub>	0	oil	67.7	64.2	6.7	6.6	7.5	7.4
20	2,6-(CH <sub>3</sub> ) <sub>2</sub> - $\phi$	(3)	CH <sub>3</sub>	CH <sub>3</sub>	0	oil	63.3	64.7	7.1	7.4	8.7	9.3
21	2,6-(CH <sub>3</sub> ) <sub>2</sub> - $\phi$	=NOCH <sub>3</sub>	H	(4)	0	oil	57.6	58.3	6.7	7.0	9.0	8.5





## CLAIMS

1. N-Haloacetylanilino-substituted carbonyl compounds represented by the general formula:



wherein Ar is phenyl or phenyl substituted by from 1 to 4 of the same or different substituents selected from fluoro, chloro, bromo, iodo and alkyl of 1 to 4 carbon atoms, or substituted by 1 or 2 of the same or different substituents selected from alkoxy of 1 to 4 carbon atoms, nitro and haloalkyl of 1 or 2 carbon atoms and from 1 to 3 of the same or different halogens selected from fluoro, chloro, bromo and iodo;

R<sup>1</sup> is halomethyl of from 1 to 3 of the same or different halogens selected from fluoro, chloro, bromo and iodo;

R<sup>2</sup> and R<sup>2</sup> are each independently hydrogen, alkyl of 1 to 6 carbon atoms, phenyl, benzyl, phenyl or benzyl substituted by 1 or 2 of the same or different substituents selected from fluoro, chloro, bromo, iodo, alkyl of 1 to 4 carbon atoms, alkoxy of 1 to 4 carbon atoms and nitro; haloalkyl of 1 to 4 carbon atoms and 1 to 9 of the same or different halogens selected from fluoro, chloro, bromo and iodo, cyanoalkyl of 2 to 6 carbon atoms, alkoxyalkyl of 2 to 6 carbon atoms, alkylthioalkyl of 2 to 6 carbon atoms, or thiocynoalkyl of 2 to 6 carbon atoms;

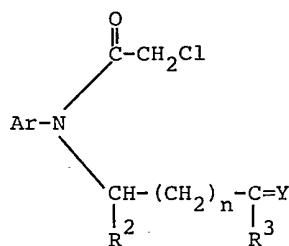
R<sup>4</sup> is hydrogen or alkyl of 1 to 6 carbon atoms;

n is 0 or 1; and

m is 0 or 1;

with the proviso that R<sup>2</sup> and R<sup>3</sup> may be jointed together to form a carbocyclic ring of 5 or 6 carbon atoms or a heterocyclic ring of one O, N or S hetero atom and 4 or 5 carbon atoms.

2. N-haloacetylanilino-substituted carbonyl compounds represented by the general formula:



wherein Ar is phenyl or phenyl substituted by from 1 to 4 of the same or different substituents selected from fluoro, chloro, bromo, iodo and alkyl or 1 to 4 carbon atoms, or substituted by 1 or 2 of the same or different substituents selected from alkoxy of 1 to 4 carbon atoms, nitro and haloalkyl of 1 or 2 carbon atoms and from 1 to 3 of the same or different halogens selected from fluoro, chloro, bromo and iodo; R<sup>1</sup> is halomethyl of from 1 to 3 of the same or different halogens selected from fluoro, chloro, bromo and iodo; R<sup>2</sup> is hydrogen, alkyl of 1 to 6 carbon atoms, phenyl, benzyl or phenyl or benzyl substituted by 1 or 2 of the same or different substituents selected from fluoro, chloro, bromo, iodo, alkyl of 1 to 4 carbon atoms, alkoxy of 1 to 4 carbon atoms and nitro; R<sup>3</sup> is hydrogen, alkyl or 1 to 6 carbon atoms, phenyl, benzyl, or phenyl or benzyl substituted by 1 or 2 of the same or different substituents selected from fluoro, chloro, bromo, iodo, alkyl or 1 to 4 carbon atoms, alkoxy of 1 to 4 carbon atoms and nitro; R<sup>4</sup> is hydrogen; n is 0 or 1; and m is 1.

3. Compounds as claimed in Claim 1, wherein Ar is phenyl substituted at the 2- and 6- positions.

4. Compounds as claimed in Claim 3, wherein Ar is 2,6-dialkylphenyl.

5. Compounds as claimed in Claim 1, 2 or 4, wherein R<sup>1</sup> is monohalomethyl.

6. Compounds as claimed in Claim 5, wherein R<sup>1</sup> is chloromethyl or bromomethyl.

7. Compounds as claimed in any one of Claim 1 and 3 to 6, wherein R<sup>2</sup> and R<sup>3</sup> individually are hydrogen

or alkyl of 1 to 3 carbon atoms, or are jointed together to form part of a 5- or 6- membered carbocyclic ring.

8. Compounds as claimed in any one of Claims 1 and 3 to 7, wherein R<sup>4</sup> is hydrogen.

9. Compounds as claimed in Claim 1, wherein Ar is 2,6-dialkylphenyl, R<sup>1</sup> is monohalomethyl, n is 0 and R<sup>4</sup> is hydrogen.

10. A compound as claimed in Claim 9, wherein Ar is 2,6-dimethylphenyl, R<sup>1</sup> is chloromethyl, m is 1 and

R<sup>2</sup> and R<sup>3</sup> are both hydrogen.

- 
11. A compound as claimed in Claim 1, wherein Ar is 2,6-dimethylphenyl, R<sup>1</sup> is chloromethyl, R<sup>2</sup> and R<sup>3</sup> together form a trimethylene group and R<sup>4</sup> is hydrogen.
12. A process for preparing a compound as claimed in Claim 1, substantially as described in any one of the foregoing Examples.

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