filtrate. The solvent was removed *in vacuo* and the residue was purified by crystallization.

$NaBH_4$ reduction of 1-[3-(*p*-tolyl)-3-oxopropylamino]adamantane and subsequent treatment with HCl yielded the corresponding alcohol hydrochloride, mp 262–263°, which did not give the correct elemental analysis even after repeated recrystallizations and whose ir spectrum, however, left no doubt about its identity [ν_{max} (Nujol) 3375 (OH), 2700–2450 (NH_2^+), and 1590 cm^{-1} (aromatic)]. Condensation of this intermediate with toluene under Friedel-Crafts conditions produced readily the expected 3,3-ditolyl derivative.

Friedel-Crafts Reaction.—To a suspension of the amino alcohol hydrochloride (1.0 mol) in about ten times its weight of the appropriate aromatic hydrocarbon, anhydrous $AlCl_3$ (1.5 mol) was added in small portions and the reaction mixture was heated to 80–90°, where it was kept for 30 min. After cooling to room temperature it was poured into a mixture of equal amounts of ice, H_2O, and concentrated HCl. The product, which separated in crystalline form, was filtered off and purified by crystallization.

Acknowledgment.—Adamantane and 1-aminoadamantane were prepared by Dr. M. C. Bankiewicz.

6H-Indeno[2,1-*g*]quinolines

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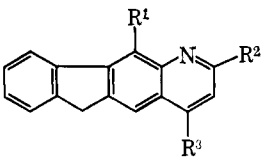
Interest in the antitumour activity of ellipticine has prompted studies on isomeric systems.[1] Linear indenoquinolines are simple analogs of the corresponding pyridocarbazoles and we report here the synthesis for evaluation of the hitherto unknown 6H-indeno[2,1-*g*]quinoline (I, $R^1 = R^2 = R^3 = H$) and some methyl homologs by the Skraup and Doebner procedures.



I

Experimental Section

Melting points were measured using an Electrothermal electrically heated block and are uncorrected. Uv spectra were measured in EtOH using Unicam SP500 and SP800B spectrophotometers.

6H-Indeno[2,1-*g*]quinoline (I, $R^1 = R^2 = R^3 = H$).—A Skraup reaction on 3-fluorenamine[2] with glycerol, I_2, and polyphosphoric acid at 180°[3] gave the parent indenoquinoline,[4] mp 142–143° (C_6H_6–petroleum ether (bp 60–80°)). *Anal.* ($C_{16}H_{11}N$) C, H. The **picrate** had mp 254–255° dec (from 2-methoxyethanol). *Anal.* ($C_{22}H_{14}N_4O_7$) C, H.

11-Methyl-6H-indeno[2,1-*g*]quinoline (I, R^1 = **Me**; $R^2 = R^3 = H$), prepared similarly from 4-methyl-3-fluorenamine,[2] formed needles, mp 120–121° (from EtOH). *Anal.* ($C_{17}H_{13}N$) C, H. The **picrate** formed needles, mp 237° dec (from 2-methoxyethanol). *Anal.* ($C_{23}H_{16}N_4O_7$) C, H, N.

2-Methyl-6H-indeno[2,1-*g*]quinoline (I, R^2 = **Me**; $R^1 = R^3 = H$).—3-Fluorenamine was refluxed with pyruvic acid in EtOH and the precipitated acid (I, $R^1 = H$, $R^2 = Me$, $R^3 = CO_2H$), mp *ca.* 350°, was decarboxylated by heating with soda lime. The distillate gave I[5] as needles, mp 147–148° from EtOH. *Anal.* ($C_{17}H_{13}N$) C, H.

(1) A. N. Fujiwara, E. M. Acton, and L. Goodman, *J. Med. Chem.*, **10**, 126 (1967).

(2) J. Davey, B. R. T. Keene, and G. C. Mannering, *J. Chem. Soc., C*, 120 (1967).

(3) Other conditions (*e.g.*, the sulfomix procedure) offered no advantage.

(4) Cyclization at C-2 rather than C-4 is to be expected; the nature of the product is confirmed by the close similarity of its uv spectrum [λ_{max} 213, 262, 311, 327, and 342 mμ (log 4.62, 4.68, 4.00, 4.12, and 4.26)] to that of the product of the following reaction, which can only be 11-methyl-6H-indeno[2,1-*g*]quinoline [λ_{max} 214, 266, 313, 325, and 341 (log 4.60, 4.71, 4.08, 4.11, and 4.11)].

(5) Uv spectrum closely similar to that of the 11-methyl isomer.

Substituted Quinazolone Hydrazides as Possible Antituberculous Agents

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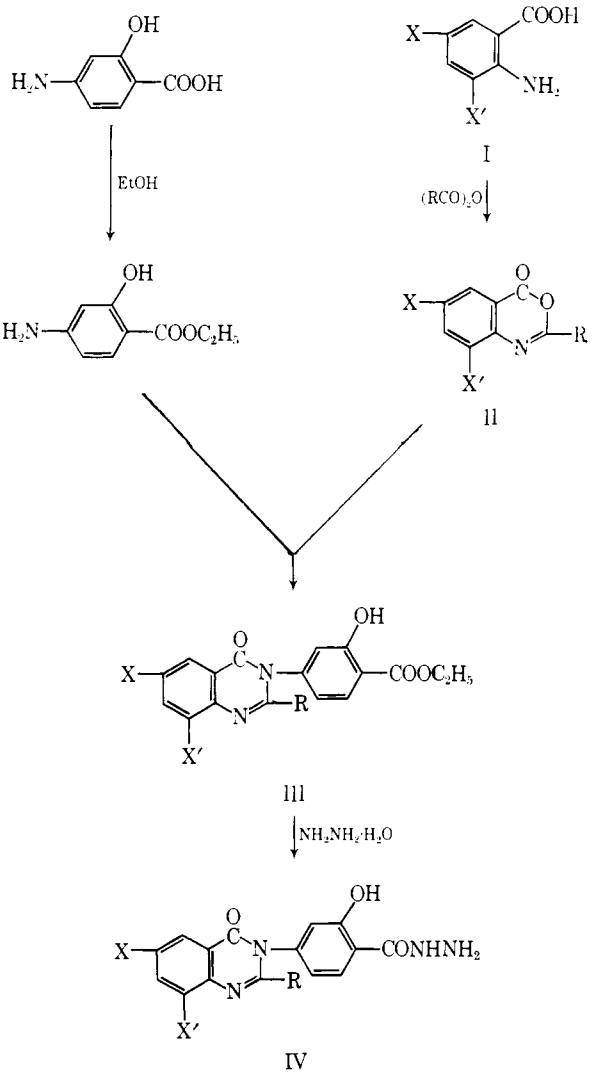
The therapeutic use of isonicotinic acid hydrazide (isoniazid) and *p*-aminosalicylic acid (PAS) as antituberculous agents is well documented. A search for newer antituberculous compounds led us to synthesize some substituted quinazolone hydrazides having structural similarity to PAS. Similar quinazolone hydrazides have also been shown to inhibit rat liver mitochondrial monoamine oxidase.[1,2] Substituted quinazolone hydrazides were synthesized by the route outlined in Scheme I.

SCHEME I



(1) S. S. Parmar and R. C. Arora, *Can. J. Chem.*, **44**, 2100 (1966).

(2) S. S. Parmar and R. C. Arora, *J. Med. Chem.*, **10**, 1182 (1967).

Experimental Section[3]

Substituted anthranilic acids were synthesized according to the methods reported in the literature. The acids (I) used were anthranilic and 5-chloro,[4] 5-bromo-,[5] 5-iodo-,[6] 3,5-dichloro-,[4] 3,5-dibromo-,[5] and 3,5-diiodoanthranilic.[6] Acetanthranils (II) were synthesized by refluxing 1 mole of the appropriate acid (I) with 2 moles of Ac_2O or propionic anhydride for 1 hr. After excess Ac_2O was distilled, the acetanthranils which separated as solid masses[1,2] were used without further purification. Quinazolones were synthesized in good yields by heating equimolar proportions of the appropriate acetanthranils and ethyl *p*-aminosalicylate as reported earlier.[7] The quinazolones (III) shown in Table I are characterized by their sharp melting points and analyses. Quinazolone hydrazides (IV) were synthesized by refluxing 1 mole of the appropriate quinazolone with 2 moles of $NH_2NH_2 \cdot H_2O$ (99–100%) in absolute EtOH for 6–8 hr.[8] On distilling the excess EtOH, the quinazolone hydrazides which separated as solid masses in good yields were characterized by their sharp melting points and analyses (Table II).

TABLE I
SUBSTITUTED QUINAZOLONES (III)

X	X′	R	Mp, °C	Yield, %	Formula	Analyses
H	H	CH_3	197	60	$C_{18}H_{16}N_2O_4$	N
Cl	H	CH_3	132	65	$C_{18}H_{15}ClN_2O_4$	N
Br	H	CH_3	183	55	$C_{18}H_{15}BrN_2O_4$	N
I	H	CH_3	158	58	$C_{18}H_{15}IN_2O_4$	N
Cl	Cl	CH_3	223	56	$C_{18}H_{14}Cl_2N_2O_4$	N
Br	Br	CH_3	220	54	$C_{18}H_{14}Br_2N_2O_4$	N
I	I	CH_3	178	60	$C_{18}H_{14}I_2N_2O_4$	N
H	H	C_2H_5	107	50	$C_{19}H_{18}N_2O_4$	N
Cl	H	C_2H_5	172	54	$C_{19}H_{17}ClN_2O_4$	N
Br	H	C_2H_5	155	45	$C_{19}H_{17}BrN_2O_4$	N
I	H	C_2H_5	142	56	$C_{19}H_{17}IN_2O_4$	N
Cl	Cl	C_2H_5	160	55	$C_{19}H_{16}Cl_2N_2O_4$	N
Br	Br	C_2H_5	164	62	$C_{19}H_{16}Br_2N_2O_4$	N
I	I	C_2H_5	141	54	$C_{19}H_{16}I_2N_2O_4$	N[a]

[a] N: calcd, 4.75; found, 4.20.

TABLE II
QUINAZOLONE HYDRAZIDES (IV)

X	X′	R	Mp, °C	Yield, %	Formula	Analyses
H	H	CH_3	162	45	$C_{16}H_{14}N_4O_3$	N[a]
Cl	H	CH_3	168	48	$C_{16}H_{13}ClN_4O_3$	N[b]
Br	H	CH_3	175	50	$C_{16}H_{13}BrN_4O_3$	N
I	H	CH_3	183	55	$C_{16}H_{13}IN_4O_3$	N[c]
Cl	Cl	CH_3	220	55	$C_{16}H_{12}Cl_2N_4O_3$	N[d]
Br	Br	CH_3	218	45	$C_{16}H_{12}Br_2N_4O_3$	N
I	I	CH_3	240	58	$C_{16}H_{12}I_2N_4O_3$	N[e]
H	H	C_2H_5	121	50	$C_{17}H_{16}N_4O_3$	N
Cl	H	C_2H_5	232	40	$C_{17}H_{15}ClN_4O_3$	N
Br	H	C_2H_5	225	45	$C_{17}H_{15}BrN_4O_3$	N
I	H	C_2H_5	150	60	$C_{17}H_{15}IN_4O_3$	N[f]
Cl	Cl	C_2H_5	132	56	$C_{17}H_{14}Cl_2N_4O_3$	N[g]
Br	Br	C_2H_5	166	55	$C_{17}H_{14}Br_2N_4O_3$	N
I	I	C_2H_5	196	54	$C_{17}H_{14}I_2N_4O_3$	N

[a] N: calcd, 18.06; found, 17.50. [b] N: calcd, 16.26; found, 15.80. [c] N: calcd, 12.84; found, 12.30. [d] N: calcd, 14.78; found, 15.50. [e] N: calcd, 9.90; found, 9.40. [f] N: calcd, 12.45; found, 13.20. [g] N: calcd, 14.25; found, 13.80.

(3) Melting points were taken in capillary tubes and are corrected.
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Heterocycles. III. Syntheses of N-Tosyl-3-carbomethoxy-3-methyl-4-keto-1,2,3,4-tetrahydroquinoline and N-Tosyl-3-cyano-3-methyl-4-keto-1,2,3,4-tetrahydroquinoline[1]

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Recent interest in the synthesis and of the physiological activity of aza steroids[2] prompted us to synthesize a number of aza steroids. The title compounds were synthesized as intermediates. The method of synthesis is analogous to the route used by Bachmann, *et al.*,[3] and Johnson, *et al.*,[4] for the preparation of equilenin.

Experimental Section[5]

Methyl N-Tosyl-4-keto-1,2,3,4-tetrahydroquinoline-3-glyoxalate (I).—To a suspended solution of 1.7 g of $NaOCH_3$ in 20 ml of C_6H_6 was added 3.6 g of dimethyl oxalate, and the mixture was heated for 10 min. To the ice-cooled solution was added a solution of 4.5 g of N-tosyl-4-keto-1,2,3,4-tetrahydroquinoline[6] in 100 ml of C_6H_6 over a 10-min period and the mixture was stirred at room temperature for 15 hr. The mixture was hydrolyzed with H_2O. The organic layer was extracted with 5% NaOH solution and the combined aqueous solution was acidified with dilute HCl. The light yellow crystals were filtered off and dried *in vacuo*. Recrystallizations from MeOH gave 5.5 g (94.5%) of I, mp 126–127°. *Anal.* ($C_{19}H_{17}NO_6S$) C, H, N.

N-Tosyl-3-carbomethoxy-4-keto-1,2,3,4-tetrahydroquinoline (II).—A mixture of 5.0 g of I and 2.5 g of powdered soft glass was heated at 200° for 1 hr. After cooling, the mixture was treated with acetone, and the solution was decanted from the glass and evaporated. The residue was recrystallized from MeOH to give 3.36 g (72.5%) of II, mp 123–125°. *Anal.* ($C_{18}H_{17}NO_5S$) C, H, N.

N-Tosyl-3-carbomethoxy-3-methyl-4-keto-1,2,3,4-tetrahydroquinoline (III).—To a solution of 1.2 g of Na in 24 ml of MeOH was added a solution of 3.6 g of II in a mixture of 20 ml of MeOH and 20 ml of C_6H_6. The mixture was refluxed for 15 min, cooled, and treated with 3 ml of MeI. After 30 min at room temperature, an additional 3 ml of MeI was added. The resulting solution was stirred at room temperature for 2 hr, then refluxed for 45 min, cooled, neutralized with AcOH, and evaporated nearly to dryness. The residue was treated with C_6H_6 and H_2O, and the organic layer was washed (saturated $NaHCO_3$ solution, H_2O), dried (Na_2SO_4), and evaporated to give 3.25 g (86.9%) of crude product. Recrystallization from MeOH gave 1.84 g (49.2%) of pure III, mp 124–125°. *Anal.* ($C_{19}H_{19}NO_5S$) C, H, N.

N-Tosyl-3-hydroxymethylene-4-keto-1,2,3,4-tetrahydroquinoline (IV).—To a suspension of 1.7 g of $NaOCH_3$ in 30 ml of C_6H_6

(1) Part II: T. Moriwake, *J. Med. Chem.*, **9**, 635 (1966).
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(4) W. S. Johnson, J. W. Petersen, and C. D. Gutsche, *ibid.*, **69**, 2942 (1947).
(5) All melting points are uncorrected. Microanalyses were performed by Miss T. Nisi. Where analyses are indicated only by symbols of the elements, analytical results obtained for those elements were within ±0.4% of the theoretical values.
(6) W. S. Johnson, E. L. Woroch, and B. G. Buel, *J. Am. Chem. Soc.*, **71**, 1901 (1949).