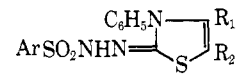
TABLE II



Compd	Ar	R_1	R_2	Mp, °C[a]	Yield, %[b]	Formula	Analysis
IIa	p-$CH_3OC_6H_4$	C_6H_5	H	180–182 dec	75	$C_{22}H_{19}N_3O_3S_2$	C, H, N, S
IIb	p-$C_2H_5OC_6H_4$	C_6H_5	H	172–173 dec	77	$C_{23}H_{21}N_3O_3S_2$	C, H, N, S
IIc	p-n-$C_3H_7OC_6H_4$	C_6H_5	H	163–164 dec	88	$C_{24}H_{23}N_3O_3S_2$	C, H, N, S
IId	p-$CH_3OC_6H_4$	Me	COOEt	181–182	72	$C_{20}H_{21}N_3O_3S_2$	N, S
IIe	p-$C_2H_5OC_6H_4$	Me	COOEt	187–188	84	$C_{21}H_{23}N_3O_3S_2$	C, H, N
IIf	p-n-$C_3H_7OC_6H_4$	Me	COOEt	194–195 dec	73	$C_{22}H_{25}N_3O_3S_2$	C, H, N, S

[a–c] See footnotes in Table I.

reported as antituberculous[5,6] and antibacterial[7] agents. Compounds Ia, Ib, Ic, and Id all gave 100% control of *Meloidogne* spp at an application rate corresponding to 29.18 kg/acre.[8] Compound Ib gave 90% control of *Puccinia sorghi* when applied sumultaneously to foliage at 500 ppm and to soil at 14.6 kg/acre.[8]

Experimental Section

1-Arylsulfonyl-4-phenylthiosemicarbazides (I).—The appropriate 1-arylsulfonylhydrazide (4 mmol) was dissolved in 95% EtOH (20 ml), followed by addition of phenyl isocyanate (5.4 g, 4 mmol). Refluxing for 30 min followed by cooling of the solution gave a white, crystalline solid that was recrystallized from MeOH or EtOH.

2-Arylsulfonylhydrazone-3-phenyl-4-thiazolines (II).—The appropriate I (5 mmol) was dissolved in DMF (25 ml), and 5 mmol of α-bromoacetophenone (1 g) or ethyl α-chloroacetoacetate (0.82 g) was added. The solution was heated 30 min on a steam bath, the dark red liquid was chilled, and 3 N NH_4OH was added to bring it to pH 8. Addition of H_2O (100 ml) gave the product as a greenish powder which was washed several times with H_2O and recrystallized from EtOH.

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(6) R. H. Mizzoni and P. C. Eisman, *J. Amer. Chem. Soc.*, **80**, 3471 (1958).

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An Aminopyrimidine Steroid[1]

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Thus far, only two steroids where ring A is a pyrimidine ring capable of tautomeric forms have been reported.[3] This work describes the first amino analog of this type.

Experimental Section[4]

17β-Acetoxy-2,4-diaza-1-hydroxy-3-methylamino-1,3,5(10)-estratriene.—A solution of 50 mg of methyl 17β-acetoxy-1,5-seco-2,3,4-trisnorestran-5-on-1-oate,[3,5] 100 mg of methylguanidine sulfate, and 150 mg of anhydrous NaOAc in 5 ml of anhydrous EtOH was refluxed 96 hr. The steroids were recovered from the H_2O-diluted mixture with $CHCl_3$, then dissolved in 2 ml of glacial HOAc and refluxed for 16 hr. The material was again recovered with $CHCl_3$ after H_2O dilution of the reaction. Chromatography of the resultant mixture of starting material and product on a silica tlc plate (50% EtOAc–$CHCl_3$) gave 16 mg of product. Recrystallization from EtOAc gave pure material, mp 290 dec; ν_{max} 3460, 3340, 3230, 1720, 1635, 1610, 1570, 1515 cm^{-1}; λ_{max} 234, 290 mμ; λ_{max} (acid) 230, 261 mμ. *Anal.* ($C_{19}H_{27}N_3O_3$) N.

(3) D. M. Piatak and E. Caspi, *Steroids*, **3**, 631 (1964); E. Caspi and D. M. Piatak, *Experientia*, **19**, 465 (1963).

(4) Melting points are corrected. When analyses are indicated by the symbol for the element, analytical results obtained for those elements were within ±0.4% of the theoretical values. Uv spectra were taken in MeOH or MeOH with 2 N HCl added (0.1 ml/5 ml).

(5) E. Caspi, P. K. Grover, D. M. Piatak, and Y. Shimizu, *J. Chem. Soc.*, 3052 (1965).

Antituberculous Schiff Bases

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Schiff's bases formed by the condensation of isoniazide [I] with various benzaldehydes are reported to possess antituberculous activity.[1] We have prepared additional Schiff's bases (benzylideneisonicotinoyl hydrazones) which were tested for antituberculous activity by the technique of Doub and Youmans.[2]

Experimental Section

Preparation of Schiff's bases.—Isoniazide (1 g) was dissolved in EtOH (30 ml) and to it was added aldehyde[3] (1.3 g) in 20 ml of EtOH. The mixture was refluxed on a steam bath. In some cases, the compound separated while hot, in others on cooling or on dilution with H_2O. Most of the compounds were pale yellow and crystallized from EtOH.

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(2) Recipient of Career Program Award CA-K3-16614 from the National Cancer Institute.

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