

and sucked dry. The filter cake was removed, triturated with 50 ml acetone, and filtered. Yield and other data are given in Table I.

For the preparation of compounds **IVa** and **b**, respectively, pyruvic aldehyde (methylglyoxal) was used as the 40% aqueous solution and phenylglyoxal as the monohydrate. These aldehydes required a reaction time of 30–45 min.

The ir spectra of all compounds agreed with the assigned structures, with secondary amide absorption being noted in the ranges 1530–1580, 1630–1660, and 3280 cm^{-1} . In addition, compounds **IIIe–i** and **IVa** and **b** gave bands characteristic of the respective aromatic substitution. Compound **IVa** showed absorption at 1725 and 1370 cm^{-1} , characteristic of the carbonyl and acetyl groups, respectively. **IVb** gave carbonyl absorption at 1690 cm^{-1} .

Confirmatory proton nmr spectra were obtained as follows: **IVa**: (DMSO- d_6), δ 2.25 (s, CH_3CO), 6.17–5.92 (t, $-\text{CH}$, $J = 7$ Hz), 8.03–7.40 (m, 10H, C_6H_5), 9.17–9.03 (d, 2H, $-\text{NH}$, $J = 7$ Hz). **IVb**: (DMSO- d_6), δ 7.15–7.04

(t, $-\text{CH}$, $J = 7$ Hz), 8.12–7.42 (m, 15H, C_6H_5), 9.30–9.18 (d, 2H, $-\text{NH}$, $J = 7$ Hz).

Attempts to obtain mass spectral data were unsuccessful because of the instability of the compounds at the required temperature.

Acknowledgment

The author is grateful to T. Axenrod, City University of New York, and to M. Warman, of this laboratory, for nmr and mass spectral data.

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Received for review August 28, 1973. Accepted December 31, 1973.

Synthesis of *N*-Aryl-*N'*-2-naphthiazolyguanidines

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The experimental conditions for the synthesis of naphthiazolyguanidines are given.

Because guanidine derivatives possess a high degree of biological activity (1, 2), it was thought worthwhile to synthesize some naphthiazolyguanidines.

Experimental

***N*-Phenyl-*N'*-2-naphthiazolythiocarbamide.** Phenylisothiocyanate (3 ml) and 2-aminonaphthiazole (5 grams) were refluxed for 2 hr. The excess phenylisothiocyanate and 2-aminonaphthiazole were removed by washing several times with petroleum ether and ether. The thiocarbamide thus obtained was crystallized from EtOH, mp

161°, yield 75%. Similarly, various substituted *N*-aryl-*N'*-2-naphthiazolythiocarbamides were prepared (Table I).

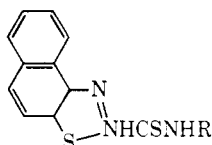
***N*-Phenyl-*N'*-2-naphthiazolyguanidine.** *N*-phenyl-*N'*-2-naphthiazolythiocarbamide quantitatively formed the corresponding guanidine when heated with yellow lead oxide and ethanolic NH_3 in a sealed tube at 110°C. The product was crystallized from 50% EtOH, mp 185°. Similarly, various aryl-substituted *N*-aryl-*N'*-2-naphthiazolyguanidines and their hydrochlorides were prepared (Table II).

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Received for review August 29, 1973. Accepted December 15, 1973.

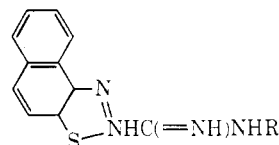
Table I. *N*-Aryl-*N'*-2-naphthiazolythiocarbamides



S No.	Nature of R	Mp, °C	Molecular formula ^a
1	<i>o</i> -MeC ₆ H ₄	135	C ₁₉ H ₁₅ N ₃ S ₂
2	<i>m</i> -MeC ₆ H ₄	132	C ₁₉ H ₁₅ N ₃ S ₂
3	<i>p</i> -MeC ₆ H ₄	158	C ₁₉ H ₁₅ N ₃ S ₂
4	<i>o</i> -OMeC ₆ H ₄	141	C ₁₉ H ₁₅ N ₃ OS ₂
5	<i>m</i> -OMeC ₆ H ₄	135	C ₁₉ H ₁₅ N ₃ OS ₂
6	<i>p</i> -OMeC ₆ H ₄	120	C ₁₉ H ₁₅ N ₃ OS ₂
7	<i>p</i> -OEtC ₆ H ₄	159	C ₂₀ H ₁₇ N ₃ OS ₂
8	<i>p</i> -ClC ₆ H ₄	164	C ₁₈ H ₁₂ ClN ₃ S ₂

^a All compounds analyzed satisfactorily for N,S.

Table II. *N*-Aryl-*N'*-2-naphthiazolyguanidines



S No.	Nature of R	Mp, °C	Molecular formula ^a	Mp, °C, hydrochloride	Molecular formula, hydrochloride
1	<i>o</i> -MeC ₆ H ₄	141	C ₁₉ H ₁₅ N ₄ S	253–54	C ₁₉ H ₁₇ ClN ₄ S
2	<i>m</i> -MeC ₆ H ₄	177	C ₁₉ H ₁₅ N ₄ S	185	C ₁₉ H ₁₇ ClN ₄ S
3	<i>p</i> -MeC ₆ H ₄	175	C ₁₉ H ₁₅ N ₄ S	204–205	C ₁₉ H ₁₇ ClN ₄ S
4	<i>o</i> -OMeC ₆ H ₄	175	C ₁₉ H ₁₅ N ₄ OS	195	C ₁₉ H ₁₇ ClN ₄ OS
5	<i>m</i> -OMeC ₆ H ₄	169	C ₁₉ H ₁₅ N ₄ OS	180	C ₁₉ H ₁₇ ClN ₄ OS
6	<i>p</i> -OMeC ₆ H ₄	171	C ₁₉ H ₁₅ N ₄ OS	190	C ₁₉ H ₁₇ ClN ₄ OS
7	<i>p</i> -OEtC ₆ H ₄	165	C ₂₀ H ₁₇ N ₄ OS	185	C ₂₀ H ₁₉ ClN ₄ OS
8	<i>p</i> -ClC ₆ H ₄	175	C ₁₈ H ₁₃ ClN ₄ S	181–82	C ₁₈ H ₁₅ Cl ₂ N ₄ S

^a All compounds analyzed satisfactorily for N,S.