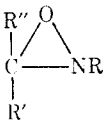
TABLE I

OXAZIRIDINES



R	R′	R″	Yield, %	Bp (mm) or mp, °C	Formula[a,b]
C_6H_{11}	H	*m*-ClC_6H_4	99	41	$C_{13}H_{16}ClNO$
C_6H_{11}	H	*p*-ClC_6H_4	99.2	68–69	$C_{13}H_{16}ClNO$
C_6H_{11}	H	*o*-$NO_2C_6H_4$	95.9	58–59	$C_{13}H_{16}N_2O_3$
C_6H_{11}	H	*m*-$NO_2C_6H_4$	99.2	64–65	$C_{13}H_{16}N_2O_3$
C_6H_{11}	H	*p*-$NO_2C_6H_4$	98.8	72–73	$C_{13}H_{16}N_2O_3$
C_6H_{11}	H	3,4-$(CH_3O)_2C_6H_3$	98.4	Gum	$C_{15}H_{21}NO_3$
t-C_4H_9	H	*m*-ClC_6H_4	98	45–46	$C_{11}H_{14}ClNO$
t-C_4H_9	H	*p*-ClC_6H_4	98.6	67	$C_{11}H_{14}ClNO$
t-C_4H_9	H	*o*-$NO_2C_6H_4$	98.7	35–36	$C_{11}H_{14}N_2O_3$
t-C_4H_9	H	*m*-$NO_2C_6H_4$	97.5	46–47	$C_{11}H_{14}N_2O_3$
t-C_4H_9	H	3,4-$(CH_3O)_2C_6H_3$	95.4	60	$C_{13}H_{19}NO_3$
i-C_3H_7	Tetramethylene		48	58–60 (10)	$C_8H_{15}NO$
sec-C_4H_9	H	C_6H_5	93.7	82–83 (1.5)	$C_{11}H_{15}NO$

[a] These compounds were titrated with KI to determine active oxygen and all gave 97–99.3% of the calculated values. All compounds were analyzed for C, H, N, and the analytical results obtained were within ±0.4% of the theoretical values. [b] We wish to thank Ed Hoff for C, H, N analyses.

Experimental Section

The oxaziridines were prepared by the peracetic acid[2] or *m*-chloroperbenzoic acid[4] oxidation of the corresponding imines. The oxaziridines were isolated by distillation at reduced pressure and in some cases additional purification was obtained by chromatographing with a neutral alumina column.

(4) R. G. Pews, *J. Org. Chem.*, **32**, 1628 (1967).

Possible Anticonvulsant Thiazolo[3,2-*a*]benzimidazole Mannich Bases. XI[1]

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In view of the potent pharmacodynamic activity[3] of a large number of thiazole Mannich bases, additional thiazolo[3,2-*a*]benzimidazole Mannich bases were synthesized. These compounds have been tested for anticonvulsant activity (Table I).

Experimental Section

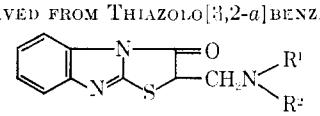
Thiazolo[3,2-*a*]benzimidazol-3(2H)-one.—2-Carbethoxymethylthiobenzimidazole[4] (5 g) in *o*-$PhCl_2$ (20 ml) was refluxed for 1 hr while removing EtOH. The mixture became quite dark; colored crystals (1 g) separated and were recrystallized (EtOH), mp 179–180°.

Diethylaminothiazolo[3,2-*a*]benzimidazol-3(2H)-one.—A mixture of thiazolo[3,2-*a*]benzimidazol-3(2H)-one (2 g), Et_2NH (2 ml), AcOH (10 ml), and CH_2O (2 ml) was heated on a steam bath for 7 hr. After cooling, H_2O (20 ml) was added and the solution was neutralized with saturated aqueous K_2CO_3. The base was filtered, washed (H_2O), and recrystallized (EtOH), yield 42%, mp 210°. *Anal.* ($C_{14}H_{17}NSO$) N, S.

The above procedure was followed to prepare the other compounds.

TABLE I

MANNICH BASES DERIVED FROM THIAZOLO[3,2-*a*]BENZIMIDAZOL-3(2H)-ONE[a]



No.	N(R_1R_2)	Formula	Mp, °C	Yield, %	Activity[b]	LD_{50} (toxicity), mg/kg
1	Et_2N	$C_{14}H_{17}N_3SO$	210	42	++	250
2	Me_2N	$C_{12}H_{17}N_3SO$	190	45	+	390
3	Ph_2N	$C_{22}H_{17}N_3SO$	175	50	+++	350
4	PhNEt	$C_{18}H_{17}N_3SO$	250	40	++	290
5	*n*-Pr_2N	$C_{16}H_{21}N_3SO$	240	45	++	370
6	*n*-Bu_2N	$C_{18}H_{25}N_3SO$	250	50	+++	400
7	*sec*-Bu_2N	$C_{18}H_{25}N_3SO$	210	45	+++	500
8	Piperidino	$C_{15}H_{17}N_3SO$	215	40	++++	450
9	Morpholino	$C_{14}H_{15}N_3SO_2$	230	41	+++++	480

[a] All new compounds were analyzed for N and S; the analytical values were within ±0.4% of the calculated values. [b] Mice were used for the experiments for anticonvulsant activity following the method by T. J. Putnam and H. H. Merritt, *Science*, **85**, 525 (1937). +++++ = convulsive threshold elevated more than 60 mA, ++++ raised by 60 mA, +++ = raised by 40 mA, ++ = raised by 15–20 mA, and + = raised by 10–15 mA, 2 hr after treatment.

(1) Part X: J. M. Singh, *J. Med. Chem.*, in press.

(2) Address inquiries to Defence Science Laboratory, Delhi-6, India.

(3) F. F. Blicke, *Ann. Rev. Biochem.*, **13**, 549 (1943).

(4) J. H. Van Allan, *J. Org. Chem.*, **21**, 24 (1956).