STUDIES ON LOCAL ANAESTHETICS Part II

To study the effect of replacement of the amino-group of novocaine by groups like urea, thiourea, cyanamide, guanidine and amino-guanidine, etc., the following compounds as shown in Table I have been prepared.

The urea derivative (I) is prepared by the action of potassium cyanate on novocaine in excess of dilute acetic acid. The thio-carbamido derivatives (II—VIII) are prepared by condensing the corresponing isothiocyanates with novocaine in a suitable organic solvent. The acetyl and benzoyl thio-carbimides obtained by boiling the acid chlorides with lead thiocyanate in anhydrous benzene, reacting with anhydrous novocaine furnish the corresponding acetyl and benzoyl thioureas (IX and X).

TABLE I

:		
No. of compound	Formula of the compound R=-C ₆ H ₄ -COOCH ₂ CH ₂ -T	
I	NH ₂ -CO-NH-R	· 84°
II	PhNH-CS-NH-R	152°
III	p-Cl. C ₆ H ₄ -NH-CS-NH-R	132°
IV	p-Br. C ₆ H ₄ -NH-CS-NH-R	138 (decomp.)
V	$p-1\cdot C_6H_4-NH-CS-NH-R$	178 (decomp.)
VI	p-Me. C ₆ H ₄ -NH-CS-NH-R	119
VII	o-Me. C ₆ H ₄ -NH-CS-NH-R	109
VIII	m -Me. C_6H_4 -N H-CS-N H-R	103
IX	CH ₃ CO-NH-CS-NH-R	132
X	PhCO-NH-CS-NH-R	152

The compounds are under pharmacological investigation.

P. V. G. KRISHNAMACHARLU.

B. H. IYER.

P. C. GUHA.

Org. Chem. Laboratories, Indian Institute of Science, Bangalore 3, April 10, 1950.