A NOVEL SYNTHESIS OF BENZOTHIAZOCINES AND THEIR HOMOLOGUES

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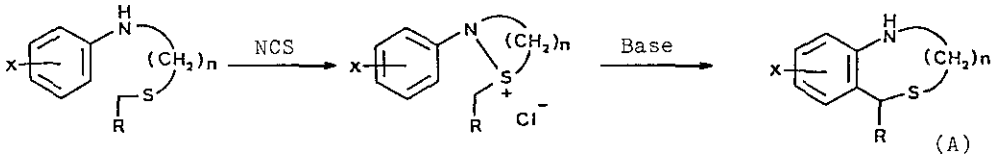
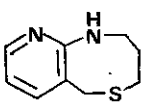
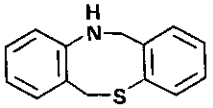
A simple and efficient synthesis of 5.1-benzothiazocine (A) (n=3), 6.1-benzothiazonine (n=4) and 7.1-benzothiazecine (n=5) derivatives has been achieved. Pyridothiazocine (B) and dibenzothiazocine (C) were obtained by the similar manner.



(A)

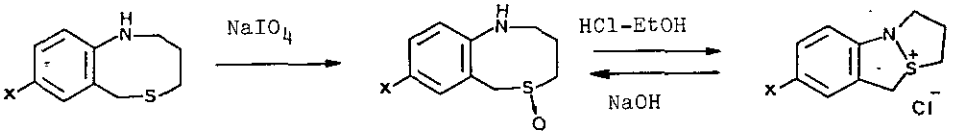


(B)



(C)

Oxidation of the benzothiazocines by $NaIO_4$ afforded the S-oxides (D), which were interconvertible with the novel ring system, isothiazolobenzisothiazoliums (E).



(D) (E)

A series of the benzothiazocines, benzothiazonines and isothiazolobenzisothiazoliums were found to reduce gastric secretion (Shay rat) and some of them may have potential for clinical utility in the treatment of gastric ulcers.