benzothiophene derivatives

R 0100 38 - 157 New Benzothiophene Compounds Related to Propafenone. — A convenient and efficient approach to title compounds (VIII) and (X) starting from 2-methylbenzothiophene (I) is described. Both are equally potent as propafenone in reducing the isometric force of contraction of papillary muscles, but they lack any β - adrenoceptor blocking activity. — (UNTERHALT, B.; REMS, L.; Arch. Pharm. (Weinheim, Ger.) 330 (1997) 4, 107-108; Inst. Pharm. Chem., Westfael. Wilhelms-Univ., D-48149 Muenster, Germany; EN)

$$IV = \begin{array}{c} \begin{array}{c} \text{1. Ce}(SO_4)_2 \cdot 4H_2O, \; 50\% \; aq. \; AcOH, \; reflux \; (66\%)} \\ \\ 2. \; Tms = CN \; \; (V), \; SbCl_5 \; (cat.), \; CH_2Cl_2, \; 20^{\circ}C \; (85\%)} \\ \hline \\ 3. \; LiAlH_4, \; \; Et_2O, \; -40 \; -> \; +20^{\circ}C \; (90\%) \end{array} \hspace{3.5cm} \Rightarrow \begin{array}{c} OH \\ NH_2 \\ VI \end{array}$$

$$VI = \begin{array}{c} 1. & \text{Me} & \bigcirc_{CI} & (VII) \\ & \text{NEt}_3, & \text{CH}_2\text{CI}_2, -50 \ -> +20^{\circ}\text{C} \ (96\%) \\ \hline 2. & \text{LiAlH}_4, & \text{Et}_2\text{O}, -40 \ -> +20^{\circ}\text{C} \ (79\%) \\ \hline \end{array} \\ \hline \\ \text{Ph} \\ \text{VIII} \\ \end{array}$$