indole derivatives, isoindole derivatives

R 0140 27 - 145 New Indole Derivatives as ACAT Inhibitors: Synthesis and Structure-Activity Relationships. — The indole derivatives (VI) and (VII) are prepared on a route similar to that demonstrated for compound (VI). They are tested for their activity as inhibitors of Acyl-CoA cholesterol O-acyl transferase. Derivatives (VI) and (VIIc) show the most potent activity. The influence of substitution and chain length on the activity is discussed. — (BELLEMIN, R.; DECERPRIT, J.; FESTAL, D.; Eur. J. Med. Chem. 31 (1996) 2, 123-132; Lipha Res. Dev. Cent., F-69003 Lyon, Fr.; EN)

$$IV = \frac{\text{Cl}_3\text{C} \bigcirc \text{iPr}_{\text{NH}} \bigcirc \text{iPr}_{\text{VI}} \bigcirc \text{iPr}_{\text{NH}} \bigcirc$$

$$\begin{array}{c} \text{d R}^{1}. \ R^{2}. \ R^{3}: -H \ ; \ R^{4}: -Pr \\ \\ \text{b R}^{1}: -Me \ ; \ R^{2}: -Et \ ; \ R^{3}: -H \ ; \ R^{4}: -Pr \\ \\ \text{iPr} \\ \\ \text{c R}^{1}: -Me \ ; \ R^{2}: -Et \ ; \ R^{3}: -H \ ; \ R^{4}: -Pr \\ \\ \text{iPr} \\ \\ \text{iPr} \\ \\ \text{d R}^{1}. -Me \ ; \ R^{2}: -Bu \ ; \ R^{3}: -H \ ; \ R^{4}: -Pr \\ \\ \text{iPr} \\ \\ \text{iPr} \\ \\ \text{d R}^{1}. -R^{2}. -R^{3}: -R^{2}: -R^{3}: -R^{4}: -Pr \\ \\ \text{iPr} \\ \\ \text{iPr} \\ \\ \text{iPr} \\ \\ \text{d R}^{1}. -R^{2}. -R^{3}: -R^{2}: -R^{3}: -R^{4}: -R^{4}:$$