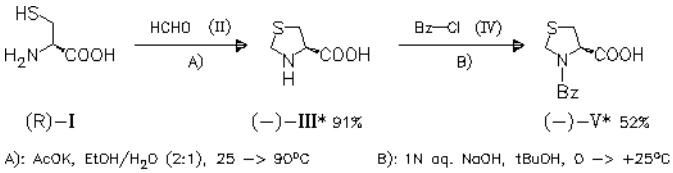
amino acids, peptides

U 0400

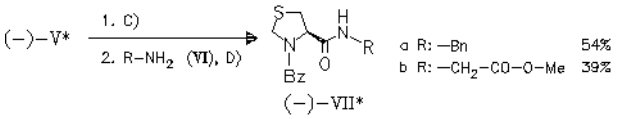
21 - 173

Synthesis and Stereochemical Studies of 2-Substituted Thiazolidine-4-carboxamide Derivatives. — A variety of new thiazolidinecarboxamides [cf. (VII), (XI)], which have potentially useful immunological properties, are synthesized in a stereoselective manner by coupling appropriate thiazolidinecarboxylic acid derivatives derived from (R)-cysteine (I) with amines or amino acid esters. — (REFOUVELET, BERNARD; PELLEGRINI, NADIA; ROBERT, JEAN-FRANCOIS; CRINI, GREGORIO; BLACQUE, OLIVIER; KUBICKI, MAREK M.; J. Heterocycl. Chem. 37 (2000) 6, 1425-1430; Equipe Chim. Ther., Fac. Med. Pharm., Univ. Franche-Comte, F-25030 Besancon, Fr.; EN)



(R)–**I** (–)–**III*** 91% (–)–**V*** 52%

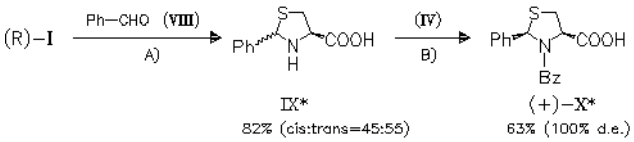
A): AcOK, EtOH/H_2O (2:1), 25 –> 90°C B): 1N aq. NaOH, tBuOH, 0 –> +25°C



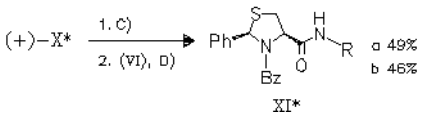
a R: –Bn 54%
b R: $-CH_2-CO-O-Me$ 39%

(–)–**VII***

C): Cl–CO–O–iBu, NMM, THF/DMF (1:1), –10°C
D): THF, 0 –> +25°C



IX* 82% (cis:trans=45:55) (+)–**X*** 63% (100% d.e.)



a 49%
b 46%

XI*