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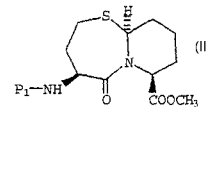
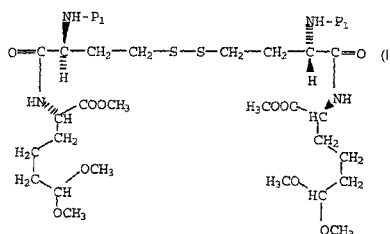
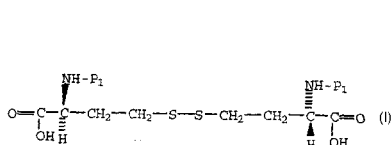
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(54) Title: PREPARATION OF [4S-(4 α ,7 α ,10 α)]-4-AMINO-OCTAHYDRO-5-OXO-7H-PYRIDO[2,1-B][1,3]THIAZEPINE-7-CARBOXYLIC ACID, METHYL ESTER AND SALTS THEREOF VIA NOVEL DISULFIDES



(57) Abstract: N-protected-L-homocysteine disulfide of the formula (I) or an activated form thereof is reacted with (S)-2-amino-6,6-dimethoxyhexanoic acid, methyl ester to give the disulfide intermediate of the formula (II). The disulfide intermediate of formula (II) is reacted to cleave the disulfide bond and the resulting monomer is subjected to an acid catalyzed cyclization reaction to give the N-protected lactam of the formula (III). Removal of the N-protecting group gives [4S-(4 α ,7 α ,10 α)]-4-aminooctahydro-5-oxo-7H-pyrido[2,1-b][1,3]thiazepine-7-carboxylic acid, methyl ester which along with its salt is useful as an intermediate in the preparation of the dual inhibitor [4S- [4 α (R*),7 α ,10 α]]-octahydro-4-[(2-mercapto-1-oxo-3-phenylpropyl)amino]-5-oxo-7H-pyrido-[2,1-b][1,3]thiazepine-7-carboxylic acid.