A SIMPLE ENANTIOSELECTIVE SYNTHESIS OF 8-LACTAMS

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A complete diastereoselection is observed during the cyclocondensation of activated glycine derivatives with aldimines derived from L-(S)-glyceraldehyde acetonide. Compounds of type I are isolated in high optical and chemical yields. They are converted into key intermediates used in the syntheses of various mono- and bicylic β -lactam antibiotics. A mechanism is suggested to explain this remarkable diastereoselection. Since L-(S)-glyceraldehyde acetonide is easily accessible from L-ascorbic acid, the reported reaction sequence is a cheap and practical enantioselective synthesis of β -lactams.

R: phthalimido, MeO₂C-CH=C(CH₃)-NH

R': aryl, CH₂-aryl