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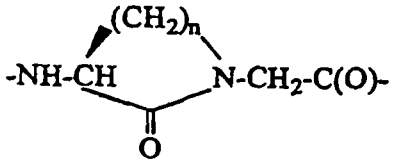


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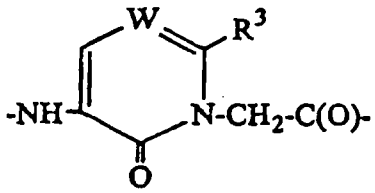


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(54) Title: SERINE PROTEASE INHIBITORS



(I)



(II)

(57) Abstract

The invention relates to a compound having the formula (I): R^1SO_2–B–X–Z–C(O)–Y, B is a bond, an amino acid of the formula –NH–CH[$(CH_2)_p$C(O)OH]–C(O)– or an ester derivative thereof wherein p is 1, 2, or 3, Gly, D–1–Piq, D–3–Piq, D–1–Tiq, D–3–Tiq, D–Atc, Aic, or a L– or D–amino acid having a hydrophobic, basic or neutral side chain; X is an amino acid with a hydrophobic side chain, glutamine, serine, threonine, a cyclic amino acid optionally containing an additional heteroatom selected from N, O or S, and optionally substituted with (1–6C)alkyl, (1–6C)alkoxy, benzyloxy or oxo, or X is 2–amino–isobutyric acid, $–NR^2–CH_2–C(O)–$ or the fragment (I) or (II), wherein n is 2, 3, or 4, W is CH or N and R^3 is H, (1–6C)alkyl or phenyl which groups may optionally be substituted with hydroxy, (1–6C)alkoxy, COOH, COO(1–6C)alkyl, $CONH_2$, or halogen; Z is lysine or 4–aminocyclohexylglycine. The compounds of the invention have anticoagulant activity and can be used in treating or preventing thrombin–related diseases. The variable R^1 and Y are defined in claim 1.

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