Title (en)

TRYPTASE INHIBITORS

Title (de)

TRYPTASE-INHIBITOREN

Title (fr)

INHIBITEURS DE LA TRYPTASE

Publication

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Application

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Abstract (en)

[origin: WO9940073A2] The invention relates to bifunctional inhibitors of human tryptase of formula (I), to human tryptase in crystalline form, to a method for producing human tryptase in crystalline form, to pharmaceutical compositions comprising a bifunctional inhibitor of human tryptase, and to a method for developing and identifying tryptase inhibitors. The tryptase inhibitors are characterized in that both head groups K1 and K2 are the same or different and each comprises a group Q which can interact with a carboxylate group. The linker L can assume a conformation such that the groups Q of both head groups are situated at a distance ranging from 20 to 45 ANGSTROM , such that the dimension of the head groups and of the linker permit the inhibitor to penetrate into a cavity with the dimensions 52 ANGSTROM X 32 ANGSTROM X 40 ANGSTROM , and such that L represents formula (II) wherein A1 and A2 are the same or different, and represent -C(O)-, NH-, -O- (oxygen), -S- (sulfur), -S(O)2-, -S(O)2-NH-, -NH-S(O)2-, -C(O)-NH-, -NH-C(O)-, -O-C(O)-, -C(O)-O- or a bond. A3 and A4 are the same or different and represent -C(O)-, -C(S)-, -O-, -S-, -NH-, -O-C(O)-, -C(O)-O-, -C(O)-NH-, -NH-C(O)- or a bond, or are selected from the group A5, A6, M, B1-B6 as stated in the description.

IPC 1-7

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