

Title (en)
TRYPTASE INHIBITORS

Title (de)
TRYPTASE-INHIBITOREN

Title (fr)
INHIBITEURS DE LA TRYPTASE

Publication
EP 1060171 A2 20001220 (DE)

Application
EP 99907497 A 19990204

Priority
• DE 19804761 A 19980206
• DE 19851300 A 19981106
• EP 9900727 W 19990204

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 Å, such that the dimension of the head groups and of the linker permit the inhibitor to penetrate into a cavity with the dimensions 52 Å X 32 Å X 40 Å, 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
C07D 295/20; A61K 31/485; C07D 211/58; A61K 31/445; C07D 279/18; A61K 31/155; C07C 237/10; A61K 31/16; C07D 213/44; C07D 213/82

IPC 8 full level
A61K 31/165 (2006.01); **A61K 31/44** (2006.01); **A61K 31/4402** (2006.01); **A61K 31/4418** (2006.01); **A61K 31/4427** (2006.01); **A61K 31/445** (2006.01); **A61K 31/4468** (2006.01); **A61K 31/4545** (2006.01); **A61K 31/495** (2006.01); **A61K 31/496** (2006.01); **A61K 31/4985** (2006.01); **A61P 1/02** (2006.01); **A61P 11/06** (2006.01); **A61P 17/00** (2006.01); **A61P 17/06** (2006.01); **A61P 19/06** (2006.01); **A61P 27/16** (2006.01); **A61P 29/00** (2006.01); **A61P 37/08** (2006.01); **A61P 43/00** (2006.01); **C07C 237/10** (2006.01); **C07C 237/22** (2006.01); **C07C 279/16** (2006.01); **C07C 279/18** (2006.01); **C07D 211/58** (2006.01); **C07D 213/81** (2006.01); **C07D 213/82** (2006.01); **C07D 241/08** (2006.01); **C07D 295/185** (2006.01); **C07D 295/20** (2006.01); **C07D 295/215** (2006.01); **C07D 401/14** (2006.01); **C07D 487/14** (2006.01)

CPC (source: EP US)
A61P 1/02 (2017.12 - EP); **A61P 11/06** (2017.12 - EP); **A61P 17/00** (2017.12 - EP); **A61P 17/06** (2017.12 - EP); **A61P 19/06** (2017.12 - EP); **A61P 27/16** (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **A61P 37/08** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07C 237/22** (2013.01 - EP US); **C07C 279/16** (2013.01 - EP US); **C07D 211/58** (2013.01 - EP US); **C07D 213/81** (2013.01 - EP US); **C07D 213/82** (2013.01 - EP US); **C07D 295/185** (2013.01 - EP US); **C07D 295/215** (2013.01 - EP US); **C07D 401/14** (2013.01 - EP US)

Citation (search report)
See references of WO 9940073A2

Designated contracting state (EPC)
AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE

DOCDB simple family (publication)
WO 9940073 A2 19990812; WO 9940073 A3 19991111; AU 2723099 A 19990823; EP 1060171 A2 20001220; JP 2002502845 A 20020129; US 6613769 B1 20030902

DOCDB simple family (application)
EP 9900727 W 19990204; AU 2723099 A 19990204; EP 99907497 A 19990204; JP 2000530503 A 19990204; US 60131801 A 20010122