

Title (en)

PENTAPEPTIDE-N-ALKYLAMIDES AND THEIR ACID ADDITION SALTS, METHODS FOR PREPARATION OF THESE COMPOUNDS AND PHARMACEUTICAL FORMULATIONS CONTAINING THEM

Publication

EP 0000559 B1 19831005 (EN)

Application

EP 78100463 A 19780721

Priority

- GB 3090977 A 19770722
- GB 4898077 A 19771124

Abstract (en)

[origin: EP0000559A1] Peptides of their salts or their acid addition salts thereof of formula: H.Tyr.X<2>.Gly.X<4>.X<5>.R<1> wherein X<2> is selected from D-alanyl, D-valyl, D-norvalyl, D-leucyl, D-isoleucyl, D-norleucyl, D-methionyl, D-methionyl sulphoxide, D-methionyl sulphone, C-methylalanyl, D-seryl, D-threonyl, D-phenylalanyl, D-O-methylhomoseryl and D-O-methyl-threonyl; X<4> is selected from L-phenylalanyl, L-4-nitrophenylalanyl, L-4-chlorophenylalanyl and L-4-methylphenylalanyl; X<5> is selected from D-leucyl, D-methionyl, D-prolyl, L-leucyl, L-methionyl, L-prolyl, D-methionyl, sulphoxide, D-methionyl sulphone, L-methionyl sulphoxide, L-methionyl sulphone and cycloleucyl; and R<1> is selected from L-seryl, D-seryl L-threonyl, D-threonyl, glycyl, pyrrolidyl, piperidyl, morpholinyl and NHR; wherein R is alkyl, benzyl, cyclohexyl or 1-hydroxyethyl; provided that when X<2> is D-alanyl, D-valyl, D-norvalyl, D-leucyl, D-isoleucyl, D-norleucyl or C-methylalanyl; X<4> is L-phenylalanyl, L-4-nitrophenylalanyl, L-4-chlorophenylalanyl or L-4-methylphenylalanyl; X<5> is D-leucyl, D-methionyl, D-prolyl, L-leucyl, L-methionyl, L-prolyl, D-methionyl sulphoxide, D-methionyl sulphone, L-methionyl sulphoxide or L-methionyl sulphone; then R<1> is other than L-seryl, D-seryl, L-threonyl, D-threonyl, pyrrolidyl, piperidyl, morpholinyl or NHR; wherein R is alkyl of one to twenty carbon atoms; furthermore that when X<2> is D-seryl, D-phenylalanyl or D-methionyl; X<5> is L-leucyl or L-methionyl; then R is other than alkyl of one to three carbon atoms; furthermore that when X<2> is D-methionyl; X<4> is L-phenylalanyl; X<5> is L-prolyl then R is other than ethyl, pharmaceutical formulations containing them and their use in medicine as morphine-like agents and as anaesthetics.

IPC 1-7

C07C 103/52; A61K 37/02

IPC 8 full level

A61P 1/12 (2006.01); **A61P 11/14** (2006.01); **A61K 38/00** (2006.01); **A61P 23/00** (2006.01); **A61P 25/04** (2006.01); **C07K 7/06** (2006.01); **C07K 14/675** (2006.01); **C07K 14/70** (2006.01)

CPC (source: EP)

A61P 1/12 (2018.01); **A61P 11/14** (2018.01); **A61P 23/00** (2018.01); **A61P 25/04** (2018.01); **C07K 14/6755** (2013.01); **C07K 14/702** (2013.01); **A61K 38/00** (2013.01)

Citation (examination)

UNLISTED DRUGS (Edit. Special Libr. associat.) Vol. 29, page 190 n(1977)

Cited by

EP0005658A1; FR2364890A1; FR2465713A1; EP0083864A3; EP0085963A3

Designated contracting state (EPC)

CH DE FR NL SE

DOCDB simple family (publication)

EP 0000559 A1 19790207; **EP 0000559 B1 19831005**; DE 2862327 D1 19831110; IT 1107551 B 19851125; IT 7850431 A0 19780721; JP S5424850 A 19790224

DOCDB simple family (application)

EP 78100463 A 19780721; DE 2862327 T 19780721; IT 5043178 A 19780721; JP 8931678 A 19780721