

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

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- 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.

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IPC 8 full level

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