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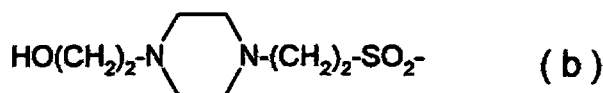
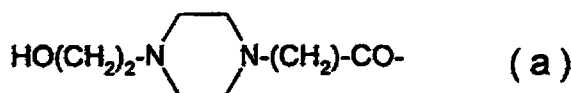
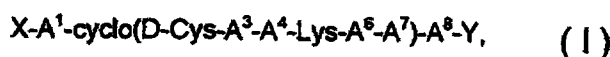
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(54) Title: SOMATOSTATIN AGONISTS



(57) Abstract: The present in-
vention is directed to cyclic
peptides of formula (I): X-A¹-cy-
clo(D-Cys-A³-A⁴-Lys-A⁶-A⁷)-A⁸-Y, or a
pharmaceutically acceptable salt thereof,
wherein X is H, formula (a) or formula
(b); A³ and A⁴ are each independently the
D- or L-isomer of an amino acid selected
from the group consisting of Phe, Tyr,
Tyr(I), Trp, 3-Pal, 4-Pal, Cpa and Nal;
A⁴ is L-Trp, D-Trp, L-β-methyl-Trp or
D-β-methyl-Trp; A⁶ is -NH-(CHR¹)_n-CO-,
where n is 2, 3, or 4; A⁷ is L- or D-Cys;
A⁸ is the D- or L-isomer of an amino acid
selected from the group consisting of Phe,
Tyr, Tyr(I), Trp, Nal, Cpa, Val, Leu, Ile,
Ser and Thr; Y is NR²R³ where R² and R³
are each independently H or (C₁-C₅)alkyl;

R¹ is selected from the group consisting H, (C₁-C₄)alkyl and -CH₂-aryl; wherein said aryl is an optionally substituted moiety
selected from the group consisting of phenyl, 1-naphthyl, and 2-naphthyl, wherein said optionally substituted moiety is optionally
substituted with one or more substituents each independently selected from the group consisting of (C₁₋₆)alkyl, (C₂₋₆)alkenyl,
(C₂₋₆)alkynyl, aryl, aryl(C₁₋₆)alkyl, (C₁₋₆)alkoxy, -N(R⁴R⁵), -COOH, -CON(R⁴R⁵), halo, -OH, -CN, and -NO₂; R⁴ and R⁵ each is,
independently for each occurrence, H or (C₁₋₃)alkyl; where the Cys of A² is bonded to the Cys of A⁷ by a di-sulfide bond formed
from the thiol groups of each Cys; pharmaceutical compositions comprising said peptides and the use thereof as a somatostatin
receptor subtypes agonist. The peptides of the present invention bind selectively to the somatostatin subtype receptor type-5 and
elicit an agonist effect from the somatostatin subtype receptors that the peptides bind to.

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