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(54) Title: SOMATOSTATIN ANTAGONISTS

$$A^1\text{-cyclo}\{D\text{-}Cys\text{-}A^2\text{-}D\text{-}Trp\text{-}A^3\text{-}A^4\text{-}Cys\}\text{-}A^5\text{-}Y^1 \quad (I)$$

(57) Abstract: The present invention is directed to a somatostatin antagonist according to formula (I), wherein A^1 is an optionally substituted aromatic ∝-amino acid; A^2 is an optionally substituted aromatic ∝-amino acid; A^3 is Dab, Dap, Lys or Orn; A^4 is β-Hydroxyvaline, Ser, Hser, or Thr; A^5 is an optionally substituted D- or L-aromatic -amino acid; and Y^1 is OH, NH_2 or NHR^1, where R^1 is $(C_{1\text{-}6})$alkyl; wherein each said optionally substituted aromatic -amino acid is optionally substituted with one or more substituents each independently selected from the group consisting of halogen, NO_2, OH, CN, $(C_{1\text{-}6})$alkyl, $(c_{2\text{-}6})$alkenyl, $(c_{2\text{-}6})$alkynyl, $(C_{1\text{-}6})$alkoxy, Bzl, O-Bzl, and NR^9R^{10}, where R^9 ad R^{10} each is independently H, O, or $(C_{1\text{-}6})$alkyl; and wherein the amine nitrogen of each of amide peptide bond and the amino group of A^1 of formula (I) is optionally substituted with a methyl group, provided that there is at least one said methyl group; or a pharmaceutically acceptable salt thereof, and to uses thereof.