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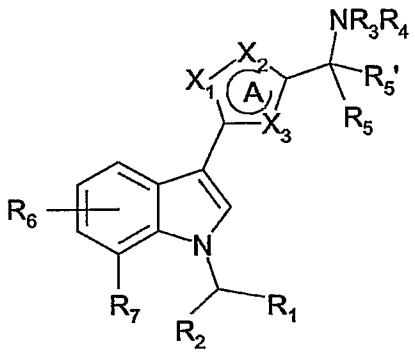
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(54) Title: (INDOL-3-YL)-HETEROCYCLE DERIVATIVES AS AGONISTS OF THE CANNABINOID CB1 RECEPTOR



(I)

(57) Abstract: The invention relates to (indol-3-yl)-heterocycle derivatives having general Formula (I) wherein A represents a 5-membered aromatic heterocyclic ring, wherein X_1, X_2 and X_3 are independently selected from N, O, S and CR; R is H or (C_{1-4})alkyl; or R, when present in X_2 or X_3, may form together with R_3 a 5-8 membered ring; R_1 is a 5-8 membered saturated carbocyclic ring, optionally containing a heteroatom selected from O and S; R_2 is H, CH_3 or CH_2-CH_3;or R_2 is joined together with R_7 to form a 6-membered ring, optionally containing a heteroatom selected from O and S, and which heteroatom is bonded to the 7-position of the indole ring; R_3 and R_4 are independently H, (C_{1-6})alkyl or (C_{3-7})cycloalkyl, the alkyl groups being optionally substituted with OH, (C_{1-4})alkyloxy, (C_{1-4})alkylthio, (C_{1-4})alkylsulfonyl, CN or halogen; or R_3 together with R_4 and the N to which they are bonded form a 4-8 membered ring optionally containing a further heteroatom selected from O and S, and which is optionally substituted with OH, (C_{1-4})alkyl, (C_{1-4})alkyloxy, (C_{1-4})alkyloxy- (C_{1-4})alkyl, or halogen; or R_3 together with R_5 forms a 4-8 membered ring optionally containing a further heteroatom selected from O and S, and which is optionally substituted with OH, $(C_1$-4)alkyl, (C_{1-4})alkyloxy, (C_{1-4})alkyloxy- (C_{1-4})alkyl, or halogen; or R_3 together with R, when present in X_2 or X_3, forms a 5-8 membered ring; R_5 is H or (C_{1-4})alkyl; or R_5 together with R_3 forms a 4-8 membered ring optionally containing a further heteroatom selected from O and S, and which is optionally substituted with OH, (C_{1-4})alkyl, (C_{1-4})alkyloxy, (C_{1-4}) alkyloxy- (C_{1-4})alkyl, or halogen; R_5' is H or (C_{1-4})alkyl; R_6 represents 1-3 substituents independently selected from H, $(C_{1-4}$alkyl, (C_{1-4}) alkyloxy, CN and halogen; R_7 is H, (C_{1-4})alkyl, (C_{1-4})alkyloxy, CN or halogen; or R_7 is joined together with R_2 to form a 6-membered ring, optionally containing a further heteroatom selected from O and S, and which heteroatom is bonded to the 7-position of the indole ring; or a pharmaceutically acceptable salt thereof, as agonists of the cannabinoid CB1 receptor, which can be used in the treatment of pain such as for example peri-operative pain, chronic pain, neuropathic pain, cancer pain and pain and spasticity associated with multiple sclerosis.

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