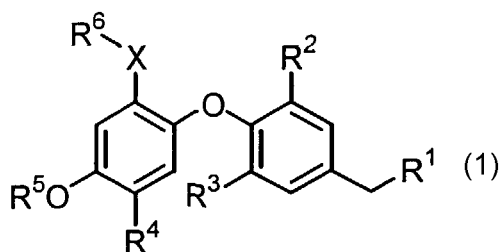


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- (54) Title: COMPOUNDS ACTIVE AT THE GLUCOCORTICOID RECEPTOR II
- (57) Abstract: This invention relates to novel compounds that are liver selective glucocorticoid receptor antagonists, to methods of preparing such compounds, and to methods for using such compounds in therapy and in the regulation of metabolism, especially lowering blood glucose levels. The compounds referred to are compounds according to the formula 1: wherein X is selected from: CH₂, CHYR⁷, CHYC(O)R⁷, C=O, C=S, and C=NOR⁸; Y is selected from: O, S, and NR⁸; R¹ is selected from: COOH and heteroaryl; R² and R³ are independently of each other selected from: hydrogen, halogen, and C₁₋₆ alkyl, provided that one of R² or R³ is other than hydrogen; R⁴ is selected from: C₁₋₆-alkyl, C₂₋₆ alkenyl, C₂₋₆-alkynyl, halogen, (R⁹)(R¹⁰)N, R⁸C(Z)N(R¹¹), R⁸OC(Z)N(R¹¹), (R⁹)(R¹⁰)NC(Z)N(R¹¹), R⁸S(O)₂N(R¹¹), (R⁹)(R¹⁰)NS(O)₂N(R¹¹), and R⁸SC(Z)N(R¹¹); R⁵ is selected from: (i) C₁₋₆-alkyl which is substituted by a group selected from A, provided that A is not halogen; (ii) C₇₋₁₂-alkyl, C₂₋₁₂-alkenyl and C₂₋₁₂-alkynyl; (iii) C₁₋₁₂-alkyl, where one or more carbon atoms are replaced by Y, and where one or more carbons are optionally substituted by a group selected from A, provided that if more than one carbon is replaced by Y, the said Y groups are not directly connected to each other; R⁶ is selected from: C₁₋₁₂-alkyl, C₃₋₈-cycloalkyl, C₃₋₈-heterocycloalkyl, C₂₋₆-alkenyl, and C₂₋₆-alkynyl, aryl, and heteroaryl; R⁷ is optionally selected from hydrogen; R⁸, R⁹, R¹⁰ and R¹¹ are independently of each other selected from: hydrogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, and C₃₋₈-heterocycloalkyl, aryl and heteroaryl; or where any pair of R⁸, R⁹, R¹⁰ and R¹¹ together with the atom or atoms to which they are bound form a ring having 3-7 ring members; or pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.
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