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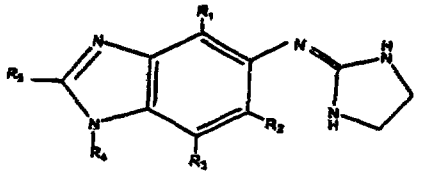
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(54) Title: 5-(2–IMIDAZOLINYLAMINO)–BENZIMIDAZOLE DERIVATIVES, THEIR PREPARATION AND THEIR USE AS .ALPHA.–ADRENOCEPTOR AGONISTS WITH IMPROVED METABOLIC STABILITY



(I)

(57) Abstract

The present invention is directed to compounds having a structure according formula (I), wherein: (a) R1 is alkyl; (b) R2 is selected from the group consisting of: hydrogen, alkyl, methoxy, cyano, and halo; (c) R3 is selected from the group consisting of: hydrogen, methyl, hydroxy, cyano and halo; (d) R4 is selected from the group consisting of: hydrogen, methyl, ethyl and isopropyl; (e) R5 is selected from the group consisting of: hydrogen, methyl, amino, methoxy, hydroxy, cyano and halo; (f) provided that at least one of R2, R3, R4 or R5 is other than hydrogen or fluorine; (g) provided that when R1 is methyl and both R2 and R5 are hydrogen, R3 is other than methyl or halo; (h) provided that when R3 is cyano, R1 is methyl; and any tautomer of the structure or a pharmaceutically acceptable salt, or biohydrolyzable ester, amide, or imide thereof. The compounds of the present invention are peripherally acting selective alpha–2 adrenergic compounds that lower CNS activity and that resist metabolic transformation into undesirable compounds.