Title (en)

BETA-3-ADRENORECEPTOR AGONISTS, AGONIST COMPOSITIONS AND METHODS OF MAKING AND USING THE SAME

Title (de)

BETA-3-ADRENOREZEPTOR-AGONISTEN, AGONISTISCHE ZUSAMMENSETZUNG UND VERFAHREN ZUR HERSTELLUNG UND ANWENDUNG

Title (fr)

AGONISTES DE RECEPTEUR ADRENERGIQUE-BETA-3, COMPOSITIONS AGONISTES ET PROCEDES PERMETTANT DE LES PREPARER ET DE LES UTILISER

Publication

EP 1373212 A4 20040623 (EN)

Application

EP 01964673 A 20010329

Priority

US 0110376 W 20010329

Abstract (en)

[origin: WO0174782A1] Compounds which are highly potent and highly specific beta 3-Adrenoreceptor agonists are provided. The compounds are formulated into pharmaceutical preparations and administered for stimulating, regulating and modulating metabolism of fats in adipose tissues in animals, particularly humans and other mammals. The compounds of the invention have the structure (A). R1 and R2 are each independently members selected from the group consisting of H, OH, C1, NO2, CH3SO2NH, NH2, CH3O and weak acids of the structure R7-NH, where R7 is an acyl group, wherein at least one of R1 and R2 is OH. It is generally preferred that R2 be OH; R3, R4 and R5 are variously and independently members selected from I, Br, C1, F, OCH3, CH3, alkyl, alkylaryl, aminoalkyl, thioalkyl, and O-alkyl. Preferably, R4 and R5 are each a halogen, the same or different; R6 is an acid moiety which forms an acid salt with the NH group. R6 is desirably HC1 or (COOH)2. While the racemic mixtures are active, selective, and bioavailable, we have found that the isolated isomers are ordinarily of more particular interest. The S(-) isomers are preferred, as they will be found to have the highest selectivity and the highest bioavailability. The R(+) isomers are also of interest, as the R-isomers are in some cases easier to isolate. The compounds are formulated into pharmaceutical carriers to serve as highly selective, effective and safe beta 3-Adrenoreceptor agonists to provide long term weight control. In humans, the compositions are administered to control body fat levels, and to maintain acceptable body fat levels over time. In domesticated animals, the compositions are administered to attain desirably low fat content in carcass meats intended for human consumption.

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Citation (search report)

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- See references of WO 0174782A1

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