Title (en)

NOVEL TRANSDERMAL PENETRATION ENHANCERS

Publication

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Application

EP 89905539 A 19890405

Priority

US 17914488 A 19880408

Abstract (en)

[origin: WO8909800A1] This invention relates to compounds and a method for their use in carrying physiologically active agents through body membranes such as skin and for retaining these agents in body tissues. More specifically, the invention relates to carboxylic acid derivatives and salts thereof, which compounds are useful in topically administering a physiologically active agent to a human or animal via a composition comprising the agent and an effective amount of a compound represented in one embodiment by general formula (I), wherein W represents oxygen, sulfur, or two hydrogen radicals; wherein Z represents oxygen, sulfur, or -CH2-; wherein R represents alkyl optionally substituted with one to three double or triple bonds, -SR'", -OR'", -NHR'", -CH3, or COOR1, and wherein R1 represents hydrogen or lower alkyl; wherein R'" represents alkyl, alkylthioalkyl, alkoxyalkyl, substituted aminoalkyl, optionally substituted with a phenyl, benzoyl or heterocyclic group; wherein R' represents hydrogen, alkyl, alkoxy, acyloxy, alkylthio, hydroxy, -(CH2)yCOOR1 and with y being between zero and 3, inclusive; and wherein R" represents hydrogen or -(CH2)yCOOR1 such that when R" is hydrogen, then W is two hydrogen radicals and R' is not hydrogen; and when R' is hydrogen, then R" is not hydrogen; and wherein m is between 1 and 5, preferably 2, 3, or 4, while n is between 1 and 24, preferably between 5 and 12, and x is zero or 1, inclusive. It has been found that physiologically active agents are carried through body membranes by the above penetration-enhancing agents and are retained in body tissue. The invention further relates to the penetration-enhancing agents themselves and the method of making such penetration-enhancing agents.

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IPC 8 full level

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