

Anti-Obesity

1. Preparation of piperidines and related compounds as melanin-concentrating hormone receptor antagonist for treatment of obesity

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Abstract: The synthesis of compounds **I** [R^1 , R^2 = (un)substituted alkyl, heterocycle; ALK^1 , ALK^2 = (un)substituted secondary alkyl; L^1 linker; L^2 = bond linker ; HET^1 = (un)substituted saturated heterocycle. containing N; m, n = 0, 1] and their derivatives are described for example **I** [R^1 = benzydryl; R^2 = Ph; $L^2-(ALK^2)_n$ = $CH_2CH:CH_2$; HET^1 = piperidine; $L^1-(ALK)_m$ = 4-NHCOCH₂] fumaric acid salt. These were found to be useful as melanin-concentrating hormone receptor antagonist for the treatment of obesity.



(General formula) **I**

Activity and bioassay: IC_{50} = 430 nM was displayed by compound **I** [R^1 = benzhydryl; R^2 = Ph; $L^2-(ALK^2)_n$ = $CH_2CH:CH_2$ = piperidine; $L^1-(ALK)_m$ = 4-NHCOCH₂] in human melanin-concentrating hormone (MCH) inhibition assays.

Origin: Synthetic