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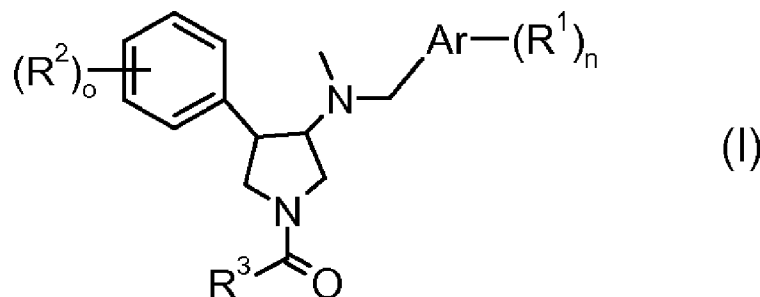
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(54) Title: 3-(BENZYLAMINO)-PYRROLIDINE DERIVATIVES AND THEIR USE AS NK-3 RECEPTOR ANTAGONISTS



(57) Abstract: The present invention relates to a compounds of formula I wherein Ar is aryl; R¹ is hydrogen, halogen, lower alkyl, lower alkoxy, lower alkyl substituted by halogen, lower alkoxy substituted by halogen, cyano, amino, mono or di-lower alkyl amino, C(O)-lower alkyl, or is aryl or heteroaryl; R² is hydrogen or halogen; R³ is -(CH₂)_p-heterocyclyl, optionally substituted by one or two substituents R⁴; or is lower alkyl, lower alkoxy, -(CH₂)_p-O-lower alkyl, -(CH₂)_p-CN, -O-(CH₂)_p-CN, -(CH₂)_p-heteroaryl, -(CH₂)_p-C(O)-heteroaryl, -O-(CH₂)_p-heterocyclyl, -(CH₂)_p-aryl, optionally substituted by lower alkoxy or halogen, -(CH₂)_p-O-aryl, optionally substituted by lower alkyl, -(CH₂)_p-NR'-heterocyclyl, optionally substituted by lower alkyl, -(CH₂)_p-NR'R'', -(CH₂)_p-NR'-CH₂-p-NR'R'', -(CH₂)_p-NR'-CH₂-p-CN, -(CH₂)_p-C(O)-NR'R'' or is -O-(CH₂)_p-NR'R''; R⁴ is hydroxy, lower alkyl, -(CH₂)_p-OH, -(CH₂)_p-NR'R'', -NR'-C(O)-lower alkyl, -(CH₂)_p-CN, -S(O)₂-lower alkyl, -NR'-S(O)₂-lower alkyl, -S(O)₂-NR'R'', -C(O)-lower alkyl, -C(O)-lower cycloalkyl, wherein the cycloalkyl may be substituted by lower alkyl, or is -C(O)-NR'R'', heterocyclyl, optionally substituted by =O, or is heteroaryl, optionally substituted by alkoxy or cyano, or is aryl optionally substituted by alkoxy, cyano or is 2-oxa-5-aza-bicyclo[2.2.1]hept-5-yl; R' and R' ' are independently from each other hydrogen, lower alkyl or -(CH₂)_p-OH; n is 1 or 2; in case n is 1 or 2, R¹ may be the same or different; o is 1 or 2; in case o is 1 or 2, R² may be the same or different; p, p' are the same or different and are 0, 1, 2, 3 or 4; or to a pharmaceutically active salt, a racemic mixture, an enantiomer, an optical isomer or a tautomeric form thereof. It has been found that the present compounds are high potential NK-3 receptor antagonists for the treatment of depression, pain, psychosis, Parkinson's disease, schizophrenia, anxiety and attention deficit hyperactivity disorder (ADHD).



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